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Industria y Comercio
SUPERINTENDENCIA

PETITORIO

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SUPERINTENDENCIA Y COMERCIO
Radicación : 02117312
Fecha (UCI): 2002-12-30 17:53:17
Trámite : 011 PCT-PATENT 379 FASE NACI 411 PRESENTAC
Procedencia: 2002 INFORME DE BUSQUEDA PATENTES
Folios: 1592 ión

FORMULARIO UNICO DE SOLICITUD DE PATENTE PCT
ENTRADA EN FASE NACIONAL

1 SOLICITUD DE:

☒ Patente de Invención. ☐ Patente de Modelo de Utilidad.

☐ Capítulo I. ☒ Capítulo II.

2 SOLICITANTE (71)

Nombre: ELAN PHARMACEUTICALS, INC. y PHARMACIA & UPJOHN COMPANY

Dirección: 800 Gateway Blvd.
So. San Francisco, California 94080. y
301 Herietta Street,
Kalamazoo, Michigan 49007
Estados Unidos de América,
respectivamente.
Domicilio: San Francisco, California, y
Kalamazoo, Michigan,
Estados Unidos de América.
respectivamente.

IDENTIFICACION
C.C. ☐ NIT ☐
C.E. ☐ Otro ☐
Cual_____
Número: _____

3 REPRESENTANTE O APODERADO

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Dirección: Carrera 4ª. No. 72-35
Teléfono: 347 36 11
Fax:: 217 92 11
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Domicilio: Bogotá, Colombia.

IDENTIFICACION
C.C. ☒ NIT ☐
C.E. ☐ Otro ☐
Cual_____
Número: 438.019 T.P. 31.929

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10- Michel MAILLARD
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Estados Unidos de América.

3

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5 PCT (86)

Solicitud Internacional No. PCT/US.01/21012 Fecha: 29 de junio de 2001
Publicación Internacional No. WO 02/02512 A2 Fecha : 10 de enero de 2002

6 Título (54) COMPUESTOS PARA TRATAR ENFERMEDAD DE ALZHEIMER

7 Clasificación Internacional (51) C07C 237/00 // A61 K 31/13

8 Prioridad

SI ☒ NO ☐

(33) País de Origen	(32) Fecha	(31) Número de Solicitud
US.	30 de junio 2000	60/215.323
US.	22 de noviembre de 2000	60/252.736
US.	15 de diciembre de 2000	60/255.956
US.	13 de febrero de 2001	60/268.497
US.	29 de marzo de 2001	60/279.779
US.	4 de junio de 2001	60/295.589

9 Comprobante de pago No.:_____ Fecha: _____

10 **Anexos:**

- ☒ Comprobante de pago de la tasa de presentación de la solicitud por 378.000.oo.
- ☐ Comprobante de pago por reivindicación de prioridad.
- ☐ Documento que acredita la existencia y representación legal cuando el solicitante sea persona jurídica.
- ☐ Poderes, si fuere el caso.
- ☐ Documento de cesión del inventor al solicitante o a su causante.
- ☐ Declaraciones.
- ☒ Copia de la solicitud Internacional. (Resumen, descripción, reivindicaciones, dibujos)
- ☒ Traducción de la solicitud internacional al castellano. (Resumen, descripción, reivindicaciones, dibujos)
- ☒ Copia del examen preliminar efectuado por la IPEA.
- ☐ Traducción del examen preliminar efectuado por la IPEA.
- ☐ De ser el caso, copia del contrato de acceso.
- ☐ De ser el caso, documento que acredite la licencia o autorización de uso de conocimientos tradicionales de las comunidades indígenas.
- ☐ De ser el caso, certificado de depósito del material biológico.
- ☐ Arte final 12x12
- ☐ De ser el caso, información sobre otras solicitudes de patente o títulos obtenidos en el extranjero por el mismo titular o su causante, relacionadas parcial o totalmente con la invención de esta solicitud.
- ☐ Otros

11 **FIGURA CARACTERISTICA:**

12 **Solicito la concesión de la patente,**

NOMBRE: EMILIO FERRERO

FIRMA :

C.C. 438.019 de Usaquén T.P. 31.929

JBP/mrm-Id-1-

PATENT COOPERATION TREATY

DOCKETED

SEP 30 2002

From the INTERNATIONAL SEARCHING AUTHORITY

PCT DUE DATE: 11/25/02 BY: KB CT 12/25/02

NOTIFICATION OF TRANSMITTAL OF THE INTERNATIONAL SEARCH REPORT OR THE DECLARATION

(PCT Rule 44.1)

To:
McDONNELL BOEHNEN HULBERT & BERGHOFF
Attn. Sarussi, Steven,J.
300 South Wacker Drive
Suite 3200
Chicago, IL 60606
UNITED STATES OF AMERICA

Date of mailing (day/month/year)	25/09/2002
Applicant's or agent's file reference: 13615.25WOU4	FOR FURTHER ACTION — See paragraphs 1 and 4 below
International application No.: PCT/US 01/21012	International filing date (day/month/year): 29/06/2001
Applicant: ELAN PHARMACEUTICALS, INC.	

1. [X] The applicant is hereby notified that the International Search Report has been established and is transmitted herewith.

Filing of amendments and statement under Article 19:
The applicant is entitled, if he so wishes, to amend the claims of the International Application (see Rule 46):

When? The time limit for filing such amendments is normally 2 months from the date of transmittal of the International Search Report; however, for more details, see the notes on the accompanying sheet.

Where? Directly to the International Bureau of WIPO
34, chemin des Colombettes
1211 Geneva 20, Switzerland
Fascimile No.: (41-22) 740.14.35

For more detailed instructions, see the notes on the accompanying sheet.

2. [ ] The applicant is hereby notified that no International Search Report will be established and that the declaration under Article 17(2)(a) to that effect is transmitted herewith.

3. [ ] With regard to the protest against payment of (an) additional fee(s) under Rule 40.2, the applicant is notified that:

   [ ] the protest together with the decision thereon has been transmitted to the International Bureau together with the applicant's request to forward the texts of both the protest and the decision thereon to the designated Offices.

   [ ] no decision has been made yet on the protest; the applicant will be notified as soon as a decision is made.

4. **Further action(s):** The applicant is reminded of the following:

Shortly after **18 months** from the priority date, the international application will be published by the International Bureau. If the applicant wishes to avoid or postpone publication, a notice of withdrawal of the international application, or of the priority claim, must reach the International Bureau as provided in Rules 90*bis*.1 and 90*bis*.3, respectively, before the completion of the technical preparations for international publication.

Within **19 months** from the priority date, a demand for international preliminary examination must be filed if the applicant wishes to postpone the entry into the national phase until 30 months from the priority date (in some Offices even later).

Within **20 months** from the priority date, the applicant must perform the prescribed acts for entry into the national phase before all designated Offices which have not been elected in the demand or in a later election within 19 months from the priority date or could not be elected because they are not bound by Chapter II.

Name and mailing address of the International Searching Authority	Authorized officer
European Patent Office, P.B. 5818 Patentlaan 2 NL-2280 HV Rijswijk Tel. (+31-70) 340-2040, Tx. 31 651 epo nl, Fax: (+31-70) 340-3016	Tanja Röhrmund Tanja Röhrmund

Form PCT/ISA/220 (July 1998)

NOTES TO FORM PCT/ISA/220

These Notes are intended to give the basic instructions concerning the filing of amendments under article 19. The Notes are based on the requirements of the Patent Cooperation Treaty, the Regulations and the Administrative Instructions under that Treaty. In case of discrepancy between these Notes and those requirements, the latter are applicable. For more detailed information, see also the PCT Applicant's Guide, a publication of WIPO.

In these Notes, "Article", "Rule", and "Section" refer to the provisions of the PCT, the PCT Regulations and the PCT Administrative Instructions, respectively.

INSTRUCTIONS CONCERNING AMENDMENTS UNDER ARTICLE 19

The applicant has, after having received the international search report, one opportunity to amend the claims of the international application. It should however be emphasized that, since all parts of the international application (claims, description and drawings) may be amended during the international preliminary examination procedure, there is usually no need to file amendments of the claims under Article 19 except where, e.g. the applicant wants the latter to be published for the purposes of provisional protection or has another reason for amending the claims before international publication. Furthermore, it should be emphasized that provisional protection is available in some States only.

What parts of the international application may be amended?

Under Article 19, only the claims may be amended.

During the international phase, the claims may also be amended (or further amended) under Article 34 before the International Preliminary Examining Authority. The description and drawings may only be amended under Article 34 before the International Examining Authority.

Upon entry into the national phase, all parts of the international application may be amended under Article 28 or, where applicable, Article 41.

When? Within 2 months from the date of transmittal of the international search report or 16 months from the priority date, whichever time limit expires later. It should be noted, however, that the amendments will be considered as having been received on time if they are received by the International Bureau after the expiration of the applicable time limit but before the completion of the technical preparations for international publication (Rule 48.1).

Where not to file the amendments?

The amendments may only be filed with the International Bureau and not with the receiving Office or the International Searching Authority (Rule 46.2).

Where a demand for international preliminary examination has been/is filed, see below.

How? Either by cancelling one or more entire claims, by adding one or more new claims or by amending the text of one or more of the claims as filed.

A replacement sheet must be submitted for each sheet of the claims which, on account of an amendment or amendments, differs from the sheet originally filed.

All the claims appearing on a replacement sheet must be numbered in Arabic numerals. Where a claim is cancelled, no renumbering of the other claims is required. In all cases where claims are renumbered, they must be renumbered consecutively (Administrative Instructions, Section 205(b)).

The amendments must be made in the language in which the international application is to be published.

What documents must/may accompany the amendments?

Letter (Section 205(b)):

The amendments must be submitted with a letter.

The letter will not be published with the international application and the amended claims. It should not be confused with the "Statement under Article 19(1)" (see below, under "Statement under Article 19(1)").

The letter must be in English or French, at the choice of the applicant. However, if the language of the international application is English, the letter must be in English; if the language of the international application is French, the letter must be in French.

NOTES TO FORM PCT/ISA/220 (continued)

The letter must indicate the differences between the claims as filed and the claims as amended. It must, in particular, indicate, in connection with each claim appearing in the international application (it being understood that identical indications concerning several claims may be grouped),whether

(i) the claim is unchanged;

(ii) the claim is cancelled;

(iii) the claim is new;

(iv) the claim replaces one or more claims as filed;

(v) the claim is the result of the division of a claim as filed.

The following examples illustrate the manner in which amendments must be explained in the accompanying letter:

1. [Where originally there were 48 claims and after amendment of some claims there are 51]:
"Claims 1 to 29, 31, 32, 34, 35, 37 to 48 replaced by amended claims bearing the same numbers; claims 30, 33 and 36 unchanged; new claims 49 to 51 added."

2. [Where originally there were 15 claims and after amendment of all claims there are 11]:
"Claims 1 to 15 replaced by amended claims 1 to 11."

3. [Where originally there were 14 claims and the amendments consist in cancelling some claims and in adding new claims]:
"Claims 1 to 6 and 14 unchanged; claims 7 to 13 cancelled; new claims 15, 16 and 17 added." or
"Claims 7 to 13 cancelled; new claims 15, 16 and 17 added; all other claims unchanged."

4. [Where various kinds of amendments are made]:
"Claims 1-10 unchanged; claims 11 to 13, 18 and 19 cancelled; claims 14, 15 and 16 replaced by amended claim 14; claim 17 subdivided into amended claims 15, 16 and 17; new claims 20 and 21 added."

"Statement under article 19(1)" (Rule 46.4)

The amendments may be accompanied by a statement explaining the amendments and indicating any impact that such amendments might have on the description and the drawings (which cannot be amended under Article 19(1)).

The statement will be published with the international application and the amended claims.

It must be in the language in which the international application is to be published.

It must be brief, not exceeding 500 words if in English or if translated into English.

It should not be confused with and does not replace the letter indicating the differences between the claims as filed and as amended. It must be filed on a separate sheet and must be identified as such by a heading, preferably by using the words "Statement under Article 19(1)."

It may not contain any disparaging comments on the international search report or the relevance of citations contained in that report. Reference to citations, relevant to a given claim, contained in the international search report may be made only in connection with an amendment of that claim.

Consequence if a demand for international preliminary examination has already been filed

If, at the time of filing any amendments and any accompanying statement, under Article 19, a demand for international preliminary examination has already been submitted, the applicant must preferably, at the time of filing the amendments (and any statement) with the International Bureau, also file with the International Preliminary Examining Authority a copy of such amendments (and of any statement) and, where required, a translation of such amendments for the procedure before that Authority (see Rules 55.3(a) and 62.2, first sentence). For further information, see the Notes to the demand form (PCT/IPEA/401).

Consequence with regard to translation of the international application for entry into the national phase

The applicant's attention is drawn to the fact that, upon entry into the national phase, a translation of the claims as amended under Article 19 may have to be furnished to the designated/elected Offices, instead of, or in addition to, the translation of the claims as filed.

For further details on the requirements of each designated/elected Office, see Volume II of the PCT Applicant's Guide.

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PATENT COOPERATION TREATY

PCT

INTERNATIONAL SEARCH REPORT

(PCT Article 18 and Rules 43 and 44)

Applicant's or agent's file reference 13615.25WOU4	FOR FURTHER ACTION see Notification of Transmittal of International Search Report (Form PCT/ISA/220) as well as, where applicable, item 5 below.	
International application No. PCT/US 01/21012	International filing date *(day/month/year)* 29/06/2001	(Earliest) Priority Date *(day/month/year)* 30/06/2000
Applicant ELAN PHARMACEUTICALS, INC.		

This International Search Report has been prepared by this International Searching Authority and is transmitted to the applicant according to Article 18. A copy is being transmitted to the International Bureau.

This International Search Report consists of a total of 8 sheets.

☐ It is also accompanied by a copy of each prior art document cited in this report.

1. **Basis of the report**

   a. With regard to the **language**, the international search was carried out on the basis of the international application in the language in which it was filed, unless otherwise indicated under this item.

   ☐ the international search was carried out on the basis of a translation of the international application furnished to this Authority (Rule 23.1(b)).

   b. With regard to any **nucleotide and/or amino acid sequence** disclosed in the international application, the international search was carried out on the basis of the sequence listing :

   ☐ contained in the international application in written form.

   ☐ filed together with the international application in computer readable form.

   ☐ furnished subsequently to this Authority in written form.

   ☐ furnished subsequently to this Authority in computer readble form.

   ☐ the statement that the subsequently furnished written sequence listing does not go beyond the disclosure in the international application as filed has been furnished.

   ☐ the statement that the information recorded in computer readable form is identical to the written sequence listing has been furnished

2. ☒ **Certain claims were found unsearchable** (See Box I).

3. ☒ **Unity of invention is lacking** (see Box II).

4. With regard to the **title**,

   ☒ the text is approved as submitted by the applicant.

   ☐ the text has been established by this Authority to read as follows:

5. With regard to the **abstract**,

   ☐ the text is approved as submitted by the applicant.

   ☒ the text has been established, according to Rule 38.2(b), by this Authority as it appears in Box III. The applicant may, within one month from the date of mailing of this international search report, submit comments to this Authority.

6. The figure of the drawings to be published with the abstract is Figure No. _____

   ☐ as suggested by the applicant.

   ☐ because the applicant failed to suggest a figure.

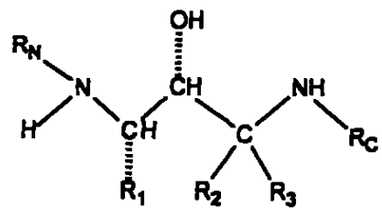
   ☐ because this figure better characterizes the invention.

   ☐ None of the figures.

Box III TEXT OF THE ABSTRACT (Continuation of Item 5 of the first sheet)

ABSTRACT

The present invention is substituted amines of formula (X)



(X)

useful in treating Alzheimer's disease and other similar diseases.

INTERNATIONAL SEARCH REPORT

International Application No
PCT/US 01/[illegible]012

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A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 C07C233/78 C07D307/52 C07D307/14 C07D213/38 C07D295/12
C07D333/20 C07C317/44 C07D213/82 C07C233/40 A61K31/165
A61K31/33 A61P25/28

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 C07C C07D A61K

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

CHEM ABS Data, BEILSTEIN Data, EPO-Internal, WPI Data, PAJ

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	J.S. NG ET AL: TETRAHEDRON, vol. 51, no. 23, 1995, pages 6397-6410, XP002200382 page 6401, scheme III, compound 12 ---	81
A	WO 98 33795 A (THE REGENTS OF THE UNIVERSITY OF CALIFORNIA) 6 August 1998 (1998-08-06) cited in the application page 4, lines 8-26; page 7, lines 21-26; table 1; page 30, line 1 - page 32, line 28; claims ---	1,145, 146,156, 183-185, 218,223, 229,232, 234,241, 242, 252-255

-/--

[X] Further documents are listed in the continuation of box C.

[X] Patent family members are listed in annex.

* Special categories of cited documents :

"A" document defining the general state of the art which is not considered to be of particular relevance

"E" earlier document but published on or after the international filing date

"L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)

"O" document referring to an oral disclosure, use, exhibition or other means

"P" document published prior to the international filing date but later than the priority date claimed

"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.

"&" document member of the same patent family

Date of the actual completion of the international search	Date of mailing of the international search report
29 May 2002	25 09. 2002

Name and mailing address of the ISA	Authorized officer
European Patent Office, P.B. 5818 Patentlaan 2 NL - 2280 HV Rijswijk Tel. (+31-70) 340-2040, Tx. 31 651 epo nl, Fax: (+31-70) 340-3016	Van Amsterdam, L

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Form PCT/ISA/210 (second sheet) (July 1992)

INTERNATIONAL SEARCH REPORT

International Appli[illegible] No
PCT/US 01/[illegible]012

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	N. CHEVALLIER ET AL: BRAIN RESEARCH, vol. 750, no. 1/2, 1997, pages 11-19, XP000921314 ---	
A	EP 0 652 009 A (ELI LILLY AND CO ET AL) 10 May 1995 (1995-05-10) cited in the application -----	

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INTERNATIONAL SEARCH REPORT

International Application No.
PCT/US 01/21012

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Box I Observations where certain claims were found unsearchable (Continuation of item 1 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. [X] Claims Nos.:
because they relate to subject matter not required to be searched by this Authority, namely:

see FURTHER INFORMATION sheet PCT/ISA/210

2. [X] Claims Nos.:
because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:

see FURTHER INFORMATION sheet PCT/ISA/210

3. [ ] Claims Nos.:
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box II Observations where unity of invention is lacking (Continuation of item 2 of first sheet)

This International Searching Authority found multiple inventions in this International application, as follows:

see additional sheet

1. [ ] As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.

2. [ ] As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.

3. [ ] As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:

4. [X] No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

1-25,71-81,134-255

Remark on Protest

[ ] The additional search fees were accompanied by the applicant's protest.

[ ] No protest accompanied the payment of additional search fees.

International Application No. PCT/US 01/21012

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

This International Searching Authority found multiple (groups of) inventions in this international application, as follows:

1. Claims: 1-25,71-81,134-255

   Compounds of formula X and their use in the treatment of Alzheimer's disease; intermediates of formulae VIII and XVI.

2. Claims: 26-47

   Intermediates of formulae III and IV.

3. Claims: 48-57

   Intermediates of formula V.

4. Claims: 58-70, 105-114

   Intermediates of formulae VII and XIII.

5. Claims: 82-94

   Intermediates of formula XI.

6. Claims: 95-104,115-133

   Intermediates of formulae XII, XIV and XV

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

Continuation of Box I.1

Although claims 145-182, 221-222, 230-240 and, in as far as they relate to a treatment in vivo, claims 218, 220, 223-224, 226-229, 242 and 244 are directed to a method of treatment of the human/animal body, the search has been carried out and based on the alleged effects of the compound/composition.

Continuation of Box I.2

Formula X of claim 1 and formulae VIII and XVI of claims 71 and 134, respectively, all relate to an extremely large number of possible compounds. In fact, the claims contain so many options and possible permutations that a lack of clarity and conciseness within the meaning of Article 6 PCT arises to such an extent as to render a meaningful search of the claims impossible. The search has been guided by those parts of the application which do appear to be sufficiently clear and concise, namely the examples relating to compounds of formulae X, VIII and XVI, and has been carried out for compounds of formulae X, VIII and XVI, wherein, where appropriate,

R1 = (VI) with n1 = 1 and R1-aryl = phenyl, optionally substituted with 1-4 the same or different (A) - (N);
R2 = R3 = H;
RN = (I) with XN = A; II, III, IV, V or VI;
RC = I - XXIX.

The applicant's attention is drawn to the fact that claims, or parts of claims, relating to inventions in respect of which no international search report has been established need not be the subject of an international preliminary examination (Rule 66.1(e) PCT). The applicant is advised that the EPO policy when acting as an International Preliminary Examining Authority is normally not to carry out a preliminary examination on matter which has not been searched. This is the case irrespective of whether or not the claims are amended following receipt of the search report or during any Chapter II procedure.

INTERNATIONAL SEARCH REPORT

Information on patent family members

International Appl[illegible]n No
PCT/US 01/[illegible]012

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Patent document cited in search report		Publication date	Patent family member(s)			Publication date
WO 9833795	A	06-08-1998	AU	749281	B2	20-06-2002
			AU	6268698	A	25-08-1998
			EP	0958293	A1	24-11-1999
			JP	2001510474	T	31-07-2001
			US	6150416	A	21-11-2000
			WO	9833795	A1	06-08-1998
EP 652009	A	10-05-1995	AU	6897094	A	16-02-1995
			CA	2129689	A1	10-02-1995
			CN	1120040	A	10-04-1996
			CZ	9401841	A3	15-03-1995
			EP	0652009	A1	10-05-1995
			JP	7165606	A	27-06-1995
			NO	942883	A	10-02-1995
			NZ	264143	A	26-11-1996
			ZA	9405719	A	01-02-1996

PATENT COOPERATION TREATY

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From the
INTERNATIONAL PRELIMINARY EXAMINING AUTHORITY

PCT

To:
SARUSSI, Stephen J.
McDONNELL BOEHNEN HULBERT
& BERGHOFF
300 South Wacker Drive
Suite 3200
Chicago, IL 60606
ETATS-UNIS D'AMERIQUE

NOTIFICATION OF RECEIPT OF DEMAND BY COMPETENT INTERNATIONAL PRELIMINARY EXAMINING AUTHORITY

(PCT Rules 59.3(e) and 61.1(b), first sentence and Administrative Instructions, Section 601(a))

Date of mailing *(day/month/year)*	07.02.02
Applicant's or agent's file reference: 01-1693-H	IMPORTANT NOTIFICATION

International application No.	International filing date *(day/month/year)*	Priority date *(day/month/year)*
PCT/US 01/21012	29/06/2001	30/06/2000

Applicant
ELAN PHARMACEUTICALS, INC. et al.

1. The applicant is hereby notified that this International Preliminary Examining Authority considers the following date as the date of receipt of the demand for international preliminary examination of the international application:

24/01/2002

2. This date of receipt is:

- [x] the actual date of receipt of the demand by this Authority (Rule 61.1(b)).
- [ ] the actual date of receipt of the demand on behalf of this Authority (Rule 59.3(e)).
- [ ] the date on which this Authority has, in response to the invitation to correct defects in the demand (Form PCT/IPEA/404), received the required corrections.

3. [ ] ATTENTION: That date of receipt is AFTER the expiration of 19 months from the priority date. Consequently, the election(s) made in the demand does (do) not have the effect of postponing the entry into the national phase until 30 months from the priority date (or later in some Offices) (Article 39(1)). Therefore, the acts for entry into the national phase must be performed within 20 months from the priority date (or later in some Offices) (Article 22). For details, see the *PCT Applicant's Guide*, Volume II.

[ ] *(If applicable)* This notification confirms the information given by telephone, facsimile transmission or in person on:

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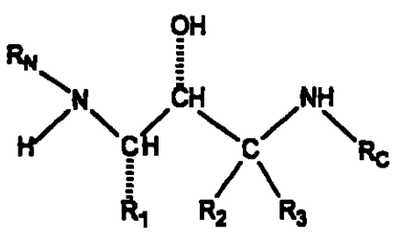
[Continued on next page]

(54) Title: COMPOUNDS TO TREAT ALZHEIMER'S DISEASE

(57) Abstract: The present invention is substituted amines of formula (X) and of formula (X') useful in treating Alzheimer's disease and other similar diseases.



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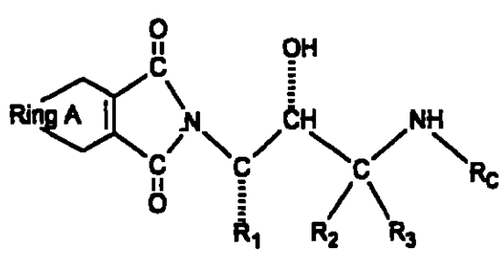

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WO 02/02512 A2

COMPOUNDS TO TREAT ALZHEIMER'S DISEASE

This application is being filed as a PCT International Patent Application in the name of Elan Pharmaceuticals, Inc., a U.S. national corporation and resident, (Applicant for all countries) and Pharmacia & Upjohn Company, a U.S. national corporation (Applicant for all countries), on 29 June 2001, designating all countries except US.

CROSS-REFERENCE TO RELATED APPLICATIONS

This application claims priority to the following provisional applications: United States provisional application Serial No. 60/215,323, filed June 30, 2000; United States provisional application Serial No. 60/252,736, filed November 22, 2000; United States provisional application Serial No. 60/255,956, filed December 15, 2000; United States provisional application Serial No. 60/268,497, filed February 13, 2001; United States provisional application Serial No. 60/279,779, filed March 29, 2001; and United States provisional application Serial No. 60/295,589, filed June 4, 2001.

BACKGROUND OF THE INVENTION

1. Field of the Invention

The present invention is directed to compounds useful in treatment of Alzheimer's disease and similar diseases.

2. Description of the Related Art

Alzheimer's disease (AD) is a progressive degenerative disease of the brain primarily associated with aging. Clinical presentation of AD is characterized by loss of memory, cognition, reasoning, judgement, and orientation. As the disease progresses, motor, sensory, and linguistic abilities are also affected until there is global impairment of multiple cognitive functions. These cognitive losses occur gradually, but typically lead to severe impairment and eventual death in the range of four to twelve years.

Alzheimer's disease is characterized by two major pathologic observations in the brain: neurofibrillary tangles and beta amyloid (or neuritic) plaques, comprised predominantly of an aggregate of a peptide fragment know as A beta. Individuals with AD exhibit characteristic beta-amyloid deposits in the brain (beta amyloid

plaques) and in cerebral blood vessels (beta amyloid angiopathy) as well as neurofibrillary tangles. Neurofibrillary tangles occur not only in Alzheimer's disease but also in other dementia-inducing disorders. On autopsy, large numbers of these lesions are generally found in areas of the human brain important for memory and cognition.

Smaller numbers of these lesions in a more restricted anatomical distribution are found in the brains of most aged humans who do not have clinical AD. Amyloidogenic plaques and vascular amyloid angiopathy also characterize the brains of individuals with Trisomy 21 (Down's Syndrome), Hereditary Cerebral Hemorrhage with Amyloidosis of the Dutch-Type (HCHWA-D), and other neurogenerative disorders. Beta-amyloid is a defining feature of AD, now believed to be a causative precursor or factor in the development of the disease. Deposition of A beta in areas of the brain responsible for cognitive activities is a major factor in the development of AD. Beta-amyloid plaques are predominantly composed of amyloid beta peptide (A beta, also sometimes designated betaA4). A beta peptide is derived by proteolysis of the amyloid precursor protein (APP) and is comprised of 39-42 amino acids. Several proteases called secretases are involved in the processing of APP.

Cleavage of APP at the N-terminus of the A beta peptide by beta-secretase and at the C-terminus by one or more gamma-secretases constitutes the beta-amyloidogenic pathway, i.e. the pathway by which A beta is formed. Cleavage of APP by alpha-secretase produces alpha-sAPP, a secreted form of APP that does not result in beta-amyloid plaque formation. This alternate pathway precludes the formation of A beta peptide. A description of the proteolytic processing fragments of APP is found, for example, in U.S. Patent Nos. 5,441,870; 5,721,130; and 5,942,400.

An aspartyl protease has been identified as the enzyme responsible for processing of APP at the beta-secretase cleavage site. The beta-secretase enzyme has been disclosed using varied nomenclature, including BACE, Asp, and Memapsin. See, for example, Sinha et.al., 1999, *Nature* 402:537-554 (p501) and published PCT application WO00/17369.

Several lines of evidence indicate that progressive cerebral deposition of beta-amyloid peptide (A beta) plays a seminal role in the pathogenesis of AD and can precede cognitive symptoms by years or decades. See, for example, Selkoe,

1991, *Neuron* 6:487. Release of A beta from neuronal cells grown in culture and the presence of A beta in cerebrospinal fluid (CSF) of both normal individuals and AD patients has been demonstrated. See, for example, Seubert et al., 1992, *Nature* 359:325-327.

It has been proposed that A beta peptide accumulates as a result of APP processing by beta--secretase, thus inhibition of this enzyme's activity is desirable for the treatement of AD. *In vivo* processing of APP at the beta-secretase cleavage site is thought to be a rate-limiting step in A beta production, and is thus a therapeutic target for the treatment of AD. See for example, Sabbagh, M., et al., 1997, *Alz. Dis. Rev.* 3, 1-19.

BACE1 knockout mice fail to produce A beta, and present a normal phenotype. When crossed with transgenic mice that overexpress APP, the progeny show reduced amounts of A beta in brain extracts as compared with control animals (Luo et. al., 2001 *Nature Neuroscience* 4:231-232). This evidence further supports the proposal that inhibition of beta-secretase activity and reduction of A beta in the brain provides a therapeutic method for the treatment of AD and other beta amyloid disorders.

Published PCT application WO00/47618 entitled "Beta-Secretase Enzyme Compositions and Methods" identifies the beta-secretase enzyme and methods of its use. This publication also discloses oligopeptide inhibitors that bind the enzyme's active site and are useful in affinity column purification of the enzyme. In addition, WO00/77030 discloses tetrapeptide inhibitors of beta-secretase activity that are based on a statine molecule.

Various pharmaceutical agents have been proposed for the treatment of Alzheimer's disease but without any real success. US Patent 5,175,281 discloses 21-aminosteroids as being useful for treating Alzheimer's disease. US Patent 5,502,187 discloses bicyclic heterocyclic amines as being useful for treating Alzheimer's disease.

US Patents 4,616,088 and 4,665,193 discloses hydroxyethylamine compounds as anti-hypertensive agents due to their ability to inhibit renin.

US Patent 4,636,491 discloses various tetrapeptides which are useful as renin inhibitors.

US Patent 4,749,792 discloses amino compounds useful as analgesics because of their ability to inhibit an enkephalin-degrading aminopeptidase.

US Patent 5,142,056 discloses peptide derivatives with a C_2-symmetric dihydroxyethylene core as retroviral protease inhibitors.

US Patents 5,461,067 and 5,753,652 disclose the synthesis of retroviral protease inhibitors.

US Patent 5,475,138 and 5,631,405 disclose processes and various intermediates useful in the synthesis of selected protease inhibitors.

US Patent 5,502,061 discloses HIV protease inhibitors containing an unsaturated carbocycle or heterocycle at the C-terminus.

US Patent 5,545,640 discloses compounds which inhibit HIV protease activity.

US Patent 5,516,784 discloses compounds active against retroviruses, including HIV.

US Patent 5,602,175 discloses hydroxyethylamine compounds as retroviral protease inhibitors.

US Patent 5,631,405 discloses a process for the formation of intermediates useful in the synthesis of selected protease inhibitors.

US Patent 5,733,882 and International Publications WO 93/02057 and WO 93/17003 disclose dipeptide analogs as retroviral protease inhibitors.

US Patent 5,760,076 discloses hydroxyethylamino sulfonamide compounds as retrovirus protease inhibitors.

US Patent 5,807,870 discloses hydroxyethylamine compounds for the inhibition of HIV protease.

US Patent 5,827,891 discloses HIV protease inhibitors.

US Patent 5,830,897 discloses hydroxyethylamino sulfonamide compounds as retrovirus protease inhibitors.

US Patent 5,831,117 discloses a process and intermediates useful in retroviral protease inhibitor intermediates.

US Patent 5,847,169 discloses a process for preparing aminoepoxides involving the activation of the terminal hydroxyl of an aminodiol.

US Patent 5,849,911 discloses hydroxyethylamine HIV protease inhibitors which form hydrazines with one of the amino groups; this amino group must also be alkylated.

US Patent 5,922,770 discloses peptide derivatives which are useful in treating disorders resulting from a deficiency in growth hormone.

US Patent 6,013,658 discloses peptide derivatives which are useful in treating disorders resulting from a deficiency in growth hormone.

US Patent 6,022,872 discloses hydroxyethylamino sulfonyl urea compounds as HIV protease inhibitors.

US Patent 6,060,476 discloses hydroxyethylamino sulfonamide compounds as HIV protease inhibitors.

International Publication WO 89/01488 discloses renin inhibiting peptides with a hydroxyethylene or dihydroxyethylene isostere in the 10,11-position of the renin substrate angiotensinogen.

International Publication WO92/00750 discloses retroviral protease inhibitors.

International Publication WO 94/04492 discloses hydroxyethylamine intermediates useful for the treatment of retroviral diseases such as HIV. This disclosure also presents epoxides as intermediates for the retroviral inhibitors.

International Publication WO 95/06030 discloses epoxides, chloromethyl ketones, and alcohols prepared as intermediates for HIV protease inhibitors, with a single protecting group on the amine and arylalkyl side chain substituted with alkyl, nitro, nitrile, alkoxy, and thioalkoxy; a preferred side chain is 4-fluorophenylmethyl.

International Publication WO98/29401 discloses a method for the preparation of aminoepoxides from aminoaldehydes by which the aminoaldehyde continuously flows into a mixing zone containing an *in situ* generated halomethyl organometallic reagent.

International Publication WO98/33795 discloses non-peptide inhibitors of cathepsin D.

International Publication WO98/50342 discloses bis aminomethyl carbonyl compounds as inhibitors of cysteine and serine proteases.

International Publication WO00/056335 discloses non-peptide inhibitors of aspartyl proteases. These compounds influence processing of the amyloid precursor protein APP.

EP 0 609 625 discloses HIV protease inhibitors with only one noncyclized nitrogen atom.

Bioorganic & Medicinal Chemistry Letters, *5*, 721-726 (1995) describes the synthesis of compounds useful for the inhibition of HIV protease in which the C-terminal nitrogen of the hydroxyethylamine compound is incorporated into a ring

system such that a piperidine ring, with an amide substituent next to the nitrogen, is formed.

The hydroxyethylamine "nucleus" or isostere, which is present in the compounds of the present invention has been employed with success in the area of HIV protease inhibition. Many of these hydroxyethylamine compounds are known as well as how to make them. See for example, *J. Am. Chem. Soc.*, 93, 288-291 (1993), *Tetrahedron Letters*, 28(45) 5569-5572 (1987), *J. Med. Chem.*, 38(4), 581-584 (1994), *Tetrahedron Letters*, 38(4), 619-620 (1997).

US Patent 5,648,511 discloses a diprotected aralkyl epoxide.

US Patents 5,482,947; 5,508,294; 5,510,349; 5,510,388; 5,521,219; 5,610,190; 5,639,769; 5,760,064; and 5,965,588 disclose monoprotected (substituted) aralkyl epoxides.

Tetrahedron Lett., 30(40),5425-5428 (1989) discloses a process in which doubly protected alpha-amino aldehydes are transformed into the corresponding aminoalkyl epoxides.

J. Med. Chem., 36, 2300 (1993) discloses an azide substituted benzyl epoxide.

Tetrahedron Lett., 38, 3175 (1997) discloses a process for the preparation of N-BOC protected epoxides from protected amino acid esters.

J. Med. Chem., 35, 2525 (1992) discloses hydroxyethylamine inhibitors of HIV protease.

US Patent 5,481,011 discloses arylalkyl amino epoxides in which the amino group is protected by a carbamate functionality.

Synlett, 6, 902 (2000) discloses the preparation of alpha-chloroketones of aminoprotected-(substituted)benzyl esters.

US Patent 5,648,511 discloses a diprotected aralkyl alcohol.

US Patents 5,482,947; 5,508,294; 5,510,349; 5,510,388; 5,521,219; 5,610,190; 5,639,769; 5,760,064; and 5,965,588 disclose monoprotected (substituted) aralklyl alcohols.

US Patents 5,482,947; 5,508,294; 5,510,349; 5,510,388; 5,521,219; 5,610,190; 5,639,769; 5,760,064; and 5,965,588 disclose a process for removing the protecting group of the monoprotected (substituted) aralklyl alcohols to give the free amino alcohol product as the amine salt.

US Patent 5,648,511 discloses the removal of the amino protecting group of the protected amino-alcohol (VIII) to give the free amino-alcohol (IX).

US Patent 6,150,344 discloses phosphate containing compounds useful in treating Alzheimer's disease.

EP 652 009 A1 discloses inhibitors of aspartyl protease which inhibit beta-amyloid peptide production in cell culture and *in vivo*. The compounds which inhibit intracellular beta-amyloid peptide production are useful in treating Alzheimer's Disease.

WO00/69262 discloses a new beta-secretase and its use in assays to screen for potential drug candidates against Alzheimer's disease.

WO01/00663 discloses memapsin 2 (human beta-secretase) as well as catalytically active recombinant enzyme. In addition, a method of identifying inhibitors of memapsin 2, as well as two inhibitors are disclosed. Both inhibitors that are disclosed are peptides.

WO01/00665 discloses inhibitors of memapsin 2 that are useful in treating Alzheimer's disease.

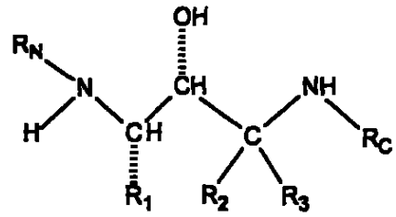
WO01/19797 discloses lactams of the formula –C-C-CO-N-lactam-W-X-Y-Z which are useful in treating Alzheimer's disease.

At present there are no effective treatments for halting, preventing, or reversing the progression of Alzheimer's disease. Therefore, there is an urgent need for pharmaceutical agents capable of slowing the progression of Alzheimer's disease and/or preventing it in the first place.

Compounds that are effective inhibitors of beta-secretase, that inhibit beta-secretase-mediated cleavage of APP, that are effective inhibitors of A beta production, and/or are effective to reduce amyloid beta deposits or plaques, are needed for the treatment and prevention of disease characterized by amyloid beta deposits or plaques, such as AD.

SUMMARY OF INVENTION

Disclosed is a substituted amine of formula (X)



(X)

where R_1 is:

(I) C_1-C_6 alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, C_1-C_7 alkyl (optionally substituted with C_1-C_3 alkyl and C_1-C_3 alkoxy), -F, -Cl, -Br, -I, -OH, -SH, -C≡N, $-CF_3$, C_1-C_3 alkoxy, $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are -H or C_1-C_6 alkyl, -OC=O $NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(II) $-CH_2-S(O)_{0-2}-(C_1-C_6$ alkyl),

(III) $-CH_2-CH_2-S(O)_{0-2}-(C_1-C_6$ alkyl),

(IV) C_2-C_6 alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, $-CF_3$, C_1-C_3 alkoxy, $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are -H or C_1-C_6 alkyl,

(V) C_2-C_6 alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, $-CF_3$, C_1-C_3 alkoxy, $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are -H or C_1-C_6 alkyl,

(VI) $-(CH_2)_{n1}-(R_{1-aryl})$ where n_1 is zero or one and where R_{1-aryl} is phenyl, 1-naphthyl, 2-naphthyl and indanyl, indenyl, dihydronaphthayl, tetralinyl optionally substituted with one, two, three or four of the following substituents on the aryl ring:

(A) C_1-C_6 alkyl optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above, -C≡N, $-CF_3$, C_1-C_3 alkoxy,

(B) C_2-C_6 alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, $-CF_3$, C_1-C_3 alkoxy, $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are -H or C_1-C_6 alkyl,

(C) C_2-C_6 alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, $-CF_3$, C_1-C_3 alkoxy, $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are -H or C_1-C_6 alkyl,

(D) -F, Cl, -Br and -I,

(F) -C_1-C_6 alkoxy optionally substituted with one, two or three -F,

(G) $-NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are as defined below,

(H) -OH,

(I) -C≡N,

(J) C_3-C_7 cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, $-CF_3$, C_1-C_3 alkoxy, $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are -H or C_1-C_6 alkyl,

(K) -CO-(C_1-C_4 alkyl),

(L) $-SO_2-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(M) $-CO-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(N) $-SO_2$-(C_1-C_4 alkyl),

(VII) $-(CH_2)_{n1}-(R_{1\text{-heteroaryl}})$ where n_1 is as defined above and where $R_{1\text{-heteroaryl}}$ is selected from the group consisting of:

pyridinyl,

pyrimidinyl,

quinolinyl,

benzothienyl,

indolyl,

indolinyl,

pryidazinyl,

pyrazinyl,

isoindolyl,

isoquinolyl,

quinazolinyl,
quinoxalinyl,
phthalazinyl,
imidazolyl,
isoxazolyl,
pyrazolyl,
oxazolyl,
thiazolyl,
indolizinyl,
indazolyl,
benzothiazolyl,
benzimidazolyl,
benzofuranyl,
furanyl,
thienyl,
pyrrolyl,
oxadiazolyl,
thiadiazolyl,
triazolyl,
tetrazolyl,
oxazolopyridinyl,
imidazopyridinyl,
isothiazolyl,
naphthyridinyl,
cinnolinyl,
carbazolyl,
beta-carbolinyl,
isochromanyl,
chromanyl,
tetrahydroisoquinolinyl,
isoindolinyl,
isobenzotetrahydrofuranyl,
isobenzotetrahydrothienyl,
isobenzothienyl,

benzoxazolyl,
pyridopyridinyl,
benzotetrahydrofuranyl,
benzotetrahydrothienyl,
purinyl,
benzodioxolyl,
triazinyl,
phenoxazinyl,
phenothiazinyl,
pteridinyl,
benzothiazolyl,
imidazopyridinyl,
imidazothiazolyl,
dihydrobenzisoxazinyl,
benzisoxazinyl,
benzoxazinyl,
dihydrobenzisothiazinyl,
benzopyranyl,
benzothiopyranyl,
coumarinyl,
isocoumarinyl,
chromonyl,
chromanonyl,
pyridinyl-N-oxide
tetrahydroquinolinyl
dihydroquinolinyl
dihydroquinolinonyl
dihydroisoquinolinonyl
dihydrocoumarinyl
dihydroisocoumarinyl
isoindolinonyl
benzodioxanyl
benzoxazolinonyl
pyrrolyl N-oxide,

pyrimidinyl N-oxide,
pyridazinyl N-oxide,
pyrazinyl N-oxide,
quinolinyl N-oxide,
indolyl N-oxide,
indolinyl N-oxide,
isoquinolyl N-oxide,
quinazolinyl N-oxide,
quinoxalinyl N-oxide,
phthalazinyl N-oxide,
imidazolyl N-oxide,
isoxazolyl N-oxide,
oxazolyl N-oxide,
thiazolyl N-oxide,
indolizinyl N-oxide,
indazolyl N-oxide,
benzothiazolyl N-oxide,
benzimidazolyl N-oxide,
pyrrolyl N-oxide,
oxadiazolyl N-oxide,
thiadiazolyl N-oxide,
triazolyl N-oxide,
tetrazolyl N-oxide,
benzothiopyranyl S-oxide,
benzothiopyranyl S,S-dioxide,

where the $R_{1\text{-heteroaryl}}$ group is bonded to $-(CH_2)_{n1}-$ by any ring atom of the parent $R_{N\text{-heteroaryl}}$ group substituted by hydrogen such that the new bond to the $R_{1\text{-heteroaryl}}$ group replaces the hydrogen atom and its bond, where heteroaryl is optionally substituted with one, two, three or four of:

(1) C_1-C_6 alkyl optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, $-NR_{1\text{-a}}R_{1\text{-b}}$ where $R_{1\text{-a}}$ and $R_{1\text{-b}}$ are as defined above, -C≡N, $-CF_3$, C_1-C_3 alkoxy,

(2) C_2-C_6 alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, $-CF_3$, C_1-C_3 alkoxy, $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are -H or C_1-C_6 alkyl,

(3) C_2-C_6 alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, $-CF_3$, C_1-C_3 alkoxy, $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are -H or C_1-C_6 alkyl,

(4) -F, -Cl, -Br and -I,

(6) -C_1-C_6 alkoxy optionally substituted with one, two, or three -F,

(7) $-NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are as defined below,

(8) -OH,

(9) -C≡N,

(10) C_3-C_7 cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, $-CF_3$, C_1-C_3 alkoxy, $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are -H or C_1-C_6 alkyl,

(11) -CO-(C_1-C_4 alkyl),

(12) $-SO_2-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(13) $-CO-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(14) $-SO_2$-(C_1-C_4 alkyl), with the proviso that when n_1 is zero $R_{1\text{-heteroaryl}}$ is not bonded to the carbon chain by nitrogen,

(VIII) $-(CH_2)_{n1}-(R_{1\text{-heterocycle}})$ where n_1 is as defined above and $R_{1\text{-heterocycle}}$ is selected from the group consisting of:

morpholinyl,
thiomorpholinyl,
thiomorpholinyl S-oxide,
thiomorpholinyl S,S-dioxide,
piperazinyl,
homopiperazinyl,
pyrrolidinyl,
pyrrolinyl,
tetrahydropyranyl,

piperidinyl,
tetrahydrofuranyl,
tetrahydrothienyl,
homopiperidinyl,
homomorpholinyl,
homothiomorpholinyl,
homothiomorpholinyl S,S-dioxide, and
oxazolidinonyl,
dihydropyrazolyl
dihydropyrrolyl
dihydropyrazinyl
dihydropyridinyl
dihydropyrimidinyl
dihydrofuryl
dihydropyranyl
tetrahydrothienyl S-oxide
tetrahydrothienyl S,S-dioxide
homothiomorpholinyl S-oxide

where the $R_{1\text{-heterocycle}}$ group is bonded by any atom of the parent $R_{1\text{-heterocycle}}$ group substituted by hydrogen such that the new bond to the $R_{1\text{-heterocycle}}$ group replaces the hydrogen atom and its bond, where heterocycle is optionally substituted with one, two, three or four:

(1) C_1-C_6 alkyl optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, $-NR_{1\text{-a}}R_{1\text{-b}}$ where $R_{1\text{-a}}$ and $R_{1\text{-b}}$ are as defined above, -C≡N, $-CF_3$, C_1-C_3 alkoxy,

(2) C_2-C_6 alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, $-CF_3$, C_1-C_3 alkoxy, $-NR_{1\text{-a}}R_{1\text{-b}}$ where $R_{1\text{-a}}$ and $R_{1\text{-b}}$ are -H or C_1-C_6 alkyl,

(3) C_2-C_6 alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group

consisting of -F, -Cl, -OH, -SH, -C≡N, $-CF_3$, C_1-C_3 alkoxy, $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are -H or C_1-C_6 alkyl,

(4) -F, -Cl, -Br and -I,

(5) C_1-C_6 alkoxy,

(6) -C_1-C_6 alkoxy optionally substituted with one, two, or three -F,

(7) $-NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are as defined below,

(8) -OH,

(9) -C≡N,

(10) C_3-C_7 cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH -C≡N, $-CF_3$, C_1-C_3 alkoxy, $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are -H or C_1-C_6 alkyl,

(11) -CO-(C_1-C_4 alkyl),

(12) $-SO_2-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(13) $-CO-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(14) $-SO_2-(C_1-C_4$ alkyl),

(15) =O, with the proviso that when n_1 is zero $R_{1\text{-heterocycle}}$ is not bonded to the carbon chain by nitrogen;

where R_2 is selected from the group consisting of:

(I)-H,

(II) C_1-C_6 alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, $-CF_3$, C_1-C_3 alkoxy, $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(III) $-(CH_2)_{0-4}-R_{2-1}$ where R_{2-1} is $R_{1\text{-aryl}}$ or $R_{1\text{-heteroaryl}}$ where $R_{1\text{-aryl}}$ and $R_{1\text{-heteroaryl}}$ are as defined above;

(IV) C_2-C_6 alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, $-CF_3$, C_1-C_3 alkoxy, $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are -H or C_1-C_6 alkyl,

(V) C_2-C_6 alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, $-CF_3$, C_1-C_3 alkoxy, $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are -H or C_1-C_6 alkyl,

(VI) $-(CH_2)_{0-4}$- C_3-C_7 cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, $-CF_3$, C_1-C_3 alkoxy, $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are -H or C_1-C_6 alkyl,

where R_3 is selected from the group consisting of:

(I)-H,

(II) C_1-C_6 alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, $-CF_3$, C_1-C_3 alkoxy, $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(III) $-(CH_2)_{0-4}-R_{2-1}$ where R_{2-1} is R_{1-aryl} or $R_{1-heteroaryl}$ where R_{1-aryl} and $R_{1-heteroaryl}$ are as defined above;

(IV) C_2-C_6 alkenyl with one or two double bonds,

(V) C_2-C_6 alkynyl with one or two triple bonds,

(VI) $-(CH_2)_{0-4}$- C_3-C_7 cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, $-CF_3$, C_1-C_3 alkoxy, $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are -H or C_1-C_6 alkyl,

and where R_2 and R_3 are taken together with the carbon to which they are attached to form a carbocycle of three, four, five, six, and seven carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of -O-, -S-, $-SO_2$-, $-NR_{N-2}$-, where R_{N-2} is as defined below;

where R_N is:

(I) R_{N-1}-X_N- where X_N is selected from the group consisting of:

(A) -CO-,

(B) $-SO_2$-,

(C) $-(CR'R'')_{1-6}$ where R' and R" are the same or different and are -H and C_1-C_4 alkyl,

(D) $-CO-(CR'R'')_{1-6}-X_{N-1}$ where X_{N-1} is selected from the group consisting of -O-, -S- and -NR'- and where R' and R" are as defined above,

(E) a single bond;

where R_{N-1} is selected from the group consisting of:

(A) $R_{N\text{-}aryl}$ where $R_{N\text{-}aryl}$ is phenyl, 1-naphthyl, 2-naphthyl, tetralinyl, indanyl, dihydronaphthyl or 6,7,8,9-tetrahydro-5H-benzo[a]cycloheptenyl, optionally substituted with one, two or three of the following substituents which can be the same or different and are:

(1) C_1-C_6 alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, $-CF_3$, C_1-C_3 alkoxy, $-NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are as defined above,

(2) -OH,

(3) $-NO_2$,

(4) -F, -Cl, -Br, -I,

(5) -CO-OH,

(6) -C≡N,

(7) $-(CH_2)_{0\text{-}4}$-CO-$NR_{N\text{-}2}R_{N\text{-}3}$ where $R_{N\text{-}2}$ and $R_{N\text{-}3}$ are the same or different and are selected from the group consisting of:

(a) -H,

(b) -C_1-C_6 alkyl optionally substituted with one substitutent selected from the group consisting of:

(i) -OH,

(ii) $-NH_2$,

(c) -C_1-C_6 alkyl optionally substituted with one to three -F, -Cl, -Br, -I,

(d) -C_3-C_7 cycloalkyl,

(e) -(C_1-C_2 alkyl)-(C_3-C_7 cycloalkyl),

(f) -(C_1-C_6 alkyl)-O-(C_1-C_3 alkyl),

(g) -C_2-C_6 alkenyl with one or two double bonds,

(h) -C_2-C_6 alkynyl with one or two triple bonds,

(i) -C_1-C_6 alkyl chain with one double bond and one triple bond,

(j) $-R_{1\text{-}aryl}$ where $R_{1\text{-}aryl}$ is as defined above,

(k) $-R_{1\text{-heteroaryl}}$ where $R_{1\text{-heteroaryl}}$ is as defined above,

(8) $-(CH_2)_{0-4}-CO-(C_1-C_{12}$ alkyl),

(9) $-(CH_2)_{0-4}-CO-(C_2-C_{12}$ alkenyl with one, two or three double bonds),

(10) $-(CH_2)_{0-4}-CO-(C_2-C_{12}$ alkynyl with one, two or three triple bonds),

(11) $-(CH_2)_{0-4}-CO-(C_3-C_7$ cycloalkyl),

(12) $-(CH_2)_{0-4}-CO-R_{1\text{-aryl}}$ where $R_{1\text{-aryl}}$ is as defined above,

(13) $-(CH_2)_{0-4}-CO-R_{1\text{-heteroaryl}}$ where $R_{1\text{-heteroaryl}}$ is as defined above,

(14) $-(CH_2)_{0-4}-CO-R_{1\text{-heterocycle}}$ where $R_{1\text{-heterocycle}}$ is as defined above,

(15) $-(CH_2)_{0-4}-CO-R_{N-4}$ where R_{N-4} is selected from the group consisting of morpholinyl, thiomorpholinyl, piperazinyl, piperidinyl, homomorpholinyl, homothiomorpholinyl, homothiomorpholinyl S-oxide, homothiomorpholinyl S,S-dioxide, pyrrolinyl and pyrrolidinyl where each group is optionally substituted with one, two, three, or four of C_1-C_6 alkyl,

(16) $-(CH_2)_{0-4}-CO-O-R_{N-5}$ where R_{N-5} is selected from the group consisting of:

(a) C_1-C_6 alkyl,

(b) $-(CH_2)_{0-2}-(R_{1\text{-aryl}})$ where $R_{1\text{-aryl}}$ is as defined above,

(c) C_2-C_6 alkenyl containing one or two double bonds,

(d) C_2-C_6 alkynyl containing one or two triple bonds,

(e) C_3-C_7 cycloalkyl,

(f) $-(CH_2)_{0-2}-(R_{1\text{-heteroaryl}})$ where $R_{1\text{-heteroaryl}}$ is as defined above,

(17) $-(CH_2)_{0-4}-SO_2-NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are as defined above,

(18) $-(CH_2)_{0-4}-SO-(C_1-C_8$ alkyl),

(19) $-(CH_2)_{0-4}-SO_2-(C_1-C_{12}$ alkyl),

(20) $-(CH_2)_{0-4}-SO_2-(C_3-C_7$ cycloalkyl),

(21) $-(CH_2)_{0-4}-N(H$ or $R_{N-5})-CO-O-R_{N-5}$ where R_{N-5} can be the same or different and is as defined above,

(22) $-(CH_2)_{0-4}-N(H$ or $R_{N-5})-CO-N(R_{N-5})_2$, where R_{N-5} can be the same or different and is as defined above,

(23) $-(CH_2)_{0-4}-N-CS-N(R_{N-5})_2$, where R_{N-5} can be the same or different and is as defined above,

(24) $-(CH_2)_{0-4}-N(-H$ or $R_{N-5})-CO-R_{N-2}$ where R_{N-5} and R_{N-2} can be the same or different and are as defined above,

(25) $-(CH_2)_{0-4}-NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} can be the same or different and are as defined above,

(26) $-(CH_2)_{0-4}-R_{N-4}$ where R_{N-4} is as defined above,

(27) $-(CH_2)_{0-4}-O-CO-(C_1-C_6$ alkyl),

(28) $-(CH_2)_{0-4}-O-P(O)-(OR_{N-acyl-1})_2$ where $R_{N-acyl-1}$ is -H or C_1-C_4 alkyl,

(29) $-(CH_2)_{0-4}-O-CO-N(R_{N-5})_2$ where R_{N-5} is as defined above,

(30) $-(CH_2)_{0-4}-O-CS-N(R_{N-5})_2$ where R_{N-5} is as defined above,

(31) $-(CH_2)_{0-4}-O-(R_{N-5})_2$ where R_{N-5} is as defined above,

(32) $-(CH_2)_{0-4}-O-(R_{N-5})_2-COOH$ where R_{N-5} is as defined above,

(33) $-(CH_2)_{0-4}-S-(R_{N-5})_2$ where R_{N-5} is as defined above,

(34) $-(CH_2)_{0-4}-O-(C_1-C_6$ alkyl optionally substituted with one, two, three, four, or five of -F),

(35) C_3-C_7 cycloalkyl,

(36) C_2-C_6 alkenyl with one or two double bonds optionally substituted with C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, $-CF_3$, C_1-C_3 alkoxy, $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(37) C_2-C_6 alkynyl with one or two triple bonds optionally substituted with C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF_3, C_1-C_3 alkoxy, -$NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(38) -$(CH_2)_{0-4}$-N(-H or R_{N-5})-SO_2-R_{N-2} where R_{N-5} and R_{N-2} can be the same or different and are as described above,

(39) -$(CH_2)_{0-4}$- C_3-C_7 cycloalkyl,

(B) -$R_{N\text{-heteroaryl}}$ where $R_{N\text{-heteroaryl}}$ is selected from the group consisting of:

pyridinyl,
pyrimidinyl,
quinolinyl,
benzothienyl,
indolyl,
indolinyl,
pryidazinyl,
pyrazinyl,
isoindolyl,
isoquinolyl,
quinazolinyl,
quinoxalinyl,
phthalazinyl,
imidazolyl,
isoxazolyl,
pyrazolyl,
oxazolyl,
thiazolyl,
indolizinyl,
indazolyl,
benzothiazolyl,
benzimidazolyl,
benzofuranyl,
furanyl,
thienyl,

pyrrolyl,
oxadiazolyl,
thiadiazolyl,
triazolyl,
tetrazolyl,
oxazolopyridinyl,
imidazopyridinyl,
isothiazolyl,
naphthyridinyl,
cinnolinyl,
carbazolyl,
beta-carbolinyl,
isochromanyl,
chromanyl,
tetrahydroisoquinolinyl,
isoindolinyl,
isobenzotetrahydrofuranyl,
isobenzotetrahydrothienyl,
isobenzothienyl,
benzoxazolyl,
pyridopyridinyl,
benzotetrahydrofuranyl,
benzotetrahydrothienyl,
purinyl,
benzodioxolyl,
triazinyl,
henoxazinyl,
phenothiazinyl,
pteridinyl,
benzothiazolyl,
imidazopyridinyl,
imidazothiazolyl,
dihydrobenzisoxazinyl,
benzisoxazinyl,

benzoxazinyl,
dihydrobenzisothiazinyl,
benzopyranyl,
benzothiopyranyl,
coumarinyl,
isocoumarinyl,
chromonyl,
chromanonyl,
pyridinyl-N-oxide,
tetrahydroquinolinyl
dihydroquinolinyl
dihydroquinolinonyl
dihydroisoquinolinonyl
dihydrocoumarinyl
dihydroisocoumarinyl
isoindolinonyl
benzodioxanyl
benzoxazolinonyl
pyrrolyl N-oxide,
pyrimidinyl N-oxide,
pyridazinyl N-oxide,
pyrazinyl N-oxide,
quinolinyl N-oxide,
indolyl N-oxide,
indolinyl N-oxide,
isoquinolyl N-oxide,
quinazolinyl N-oxide,
quinoxalinyl N-oxide,
phthalazinyl N-oxide,
imidazolyl N-oxide,
isoxazolyl N-oxide,
oxazolyl N-oxide,
thiazolyl N-oxide,
indolizinyl N-oxide,

indazolyl N-oxide,
benzothiazolyl N-oxide,
benzimidazolyl N-oxide,
pyrrolyl N-oxide,
oxadiazolyl N-oxide,
thiadiazolyl N-oxide,
triazolyl N-oxide,
tetrazolyl N-oxide,
benzothiopyranyl S-oxide,
benzothiopyranyl S,S-dioxide,

where the $R_{N\text{-heteroaryl}}$ group is bonded by any atom of the parent $R_{N\text{-heteroaryl}}$ group substituted by hydrogen such that the new bond to the $R_{N\text{-heteroaryl}}$ group replaces the hydrogen atom and its bond, where heteroaryl is optionally substituted with one, two, three, or four of:

(1) C_1-C_6 alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, $-CF_3$, C_1-C_3 alkoxy, $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(2) -OH,

(3) $-NO_2$,

(4) -F, -Cl, -Br, -I,

(5) -CO-OH,

(6) -C≡N,

(7) $-(CH_2)_{0-4}$-CO-$NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are the same or different and are selected from the group consisting of:

(a) -H,

(b) -C_1-C_6 alkyl optionally substituted with one substitutent selected from the group consisting of:

(i) -OH,

(ii) $-NH_2$,

(c) -C_1-C_6 alkyl optionally substituted with one to three -F, -Cl, -Br, -I,

(d) -C_3-C_7 cycloalkyl,

(e) -(C_1-C_2 alkyl)-(C_3-C_7 cycloalkyl),

(f) -(C_1-C_6 alkyl)-O-(C_1-C_3 alkyl),

(g) -C_2-C_6 alkenyl with one or two double bonds,

(h) -C_2-C_6 alkynyl with one or two triple bonds,

(i) -C_1-C_6 alkyl chain with one double bond and one triple bond,

(j) -$R_{1\text{-aryl}}$ where $R_{1\text{-aryl}}$ is as defined above,

(k) -$R_{1\text{-heteroaryl}}$ where $R_{1\text{-heteroaryl}}$ is as defined above,

(8) -$(CH_2)_{0\text{-}4}$-CO-(C_1-C_{12} alkyl),

(9) -$(CH_2)_{0\text{-}4}$-CO-(C_2-C_{12} alkenyl with one, two or three double bonds),

(10) -$(CH_2)_{0\text{-}4}$-CO-(C_2-C_{12} alkynyl with one, two or three triple bonds),

(11) -$(CH_2)_{0\text{-}4}$-CO-(C_3-C_7 cycloalkyl),

(12) -$(CH_2)_{0\text{-}4}$-CO-$R_{1\text{-aryl}}$ where $R_{1\text{-aryl}}$ is as defined above,

(13) -$(CH_2)_{0\text{-}4}$-CO-$R_{1\text{-heteroaryl}}$ where $R_{1\text{-heteroaryl}}$ is as defined above,

(14) -$(CH_2)_{0\text{-}4}$-CO-$R_{1\text{-heterocycle}}$ where $R_{1\text{-heterocycle}}$ is as defined above,

(15) -$(CH_2)_{0\text{-}4}$-CO-$R_{N\text{-}4}$ where $R_{N\text{-}4}$ is selected from the group consisting of morpholinyl, thiomorpholinyl, piperazinyl, piperidinyl, homomorpholinyl, homothiomorpholinyl, homothiomorpholinyl S-oxide, homothiomorpholinyl S,S-dioxide, pyrrolinyl and pyrrolidinyl where each group is optionally substituted with one, two, three, or four of C_1-C_6 alkyl,

(16) -$(CH_2)_{0\text{-}4}$-CO-O-$R_{N\text{-}5}$ where $R_{N\text{-}5}$ is selected from the group consisting of:

(a) C_1-C_6 alkyl,

(b) -$(CH_2)_{0\text{-}2}$-($R_{1\text{-aryl}}$) where $R_{1\text{-aryl}}$ is as defined above,

(c) C_2-C_6 alkenyl containing one or two double bonds,

(d) C_2-C_6 alkynyl containing one or two triple bonds,

(e) C_3-C_7 cycloalkyl,

(f) $-(CH_2)_{0-2}-(R_{1\text{-heteroaryl}})$ where $R_{1\text{-heteroaryl}}$ is as defined above,

(17) $-(CH_2)_{0-4}-SO_2-NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are as defined above,

(18) $-(CH_2)_{0-4}-SO-(C_1-C_8$ alkyl),

(19) $-(CH_2)_{0-4}-SO_2-(C_1-C_{12}$ alkyl),

(20) $-(CH_2)_{0-4}-SO_2-(C_3-C_7$ cycloalkyl),

(21) $-(CH_2)_{0-4}-N(H$ or $R_{N-5})-CO-O-R_{N-5}$ where R_{N-5} can be the same or different and is as defined above,

(22) $-(CH_2)_{0-4}-N(H$ or $R_{N-5})-CO-N(R_{N-5})_2$, where R_{N-5} can be the same or different and is as defined above,

(23) $-(CH_2)_{0-4}-N-CS-N(R_{N-5})_2$, where R_{N-5} can be the same or different and is as defined above,

(24) $-(CH_2)_{0-4}-N(-H$ or $R_{N-5})-CO-R_{N-2}$ where R_{N-5} and R_{N-2} can be the same or different and are as defined above,

(25) $-(CH_2)_{0-4}-NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} can be the same or different and are as defined above,

(26) $-(CH_2)_{0-4}-R_{N-4}$ where R_{N-4} is as defined above,

(27) $-(CH_2)_{0-4}-O-CO-(C_1-C_6$ alkyl),

(28) $-(CH_2)_{0-4}-O-P(O)-(OR_{N\text{-aryl-1}})_2$ where $R_{N\text{-aryl-1}}$ is -H or C_1-C_4 alkyl,

(29) $-(CH_2)_{0-4}-O-CO-N(R_{N-5})_2$ where R_{N-5} is as defined above,

(30) $-(CH_2)_{0-4}-O-CS-N(R_{N-5})_2$ where R_{N-5} is as defined above,

(31) $-(CH_2)_{0-4}-O-(R_{N-5})_2$ where R_{N-5} is as defined above,

(32) $-(CH_2)_{0-4}-O-(R_{N-5})_2-COOH$ where R_{N-5} is as defined above,

(33) $-(CH_2)_{0-4}-S-(R_{N-5})_2$ where R_{N-5} is as defined above,

(34) $-(CH_2)_{0-4}-O-(C_1-C_6$ alkyl optionally substituted with one, two, three, four, or five of -F),

(35) C_3-C_7 cycloalkyl,

(36) C_2-C_6 alkenyl with one or two double bonds optionally substituted with C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, $-CF_3$, C_1-C_3 alkoxy, $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(37) C_2-C_6 alkynyl with one or two triple bonds optionally substituted with C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, $-CF_3$, C_1-C_3 alkoxy, $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(38) $-(CH_2)_{0-4}-N(-H$ or $R_{N-5})-SO_2-R_{N-2}$ where R_{N-5} and R_{N-2} can be the same or different and are as described above,

(39) $-(CH_2)_{0-4}-$ C_3-C_7 cycloalkyl,

(C) $R_{N-aryl}-W-R_{N-aryl}$,

(D) $R_{N-aryl}-W-R_{N-heteroaryl}$,

(E) $R_{N-aryl}-W-R_{N-1-heterocycle}$, where $R_{N-heterocycle}$ is defined as $R_{1-heterocycle}$ is defined above,

(F) $R_{N-heteroaryl}-W-R_{N-aryl}$,

(G) $R_{N-heteroaryl}-W-R_{N-heteroaryl}$,

(H) $R_{N-heteroaryl}-W-R_{N-1-heterocycle}$, where $R_{N-1-heterocycle}$ is defined as $R_{1-heterocycle}$ is defined above,

(I) $R_{N-heterocycle}-W-R_{N-aryl}$,

(J) $R_{N-heterocycle}-W-R_{N-heteroaryl}$,

(K) $R_{N-heterocycle}-W-R_{N-1-heterocycle}$,

where W is

(1) $-(CH_2)_{0-4}-$,

(2) -O-,

(3) $-S(O)_{0-2}-$,

(4) $-N(R_{N-5})-$ where R_{N-5} is as defined above, or

(5) -CO-;

(II) $-CO-(C_1-C_{10}$ alkyl) where alkyl is optionally substituted with one two or three substitutents selected from the group consisting of:

(A) -OH,

(B) -C_1-C_6 alkoxy,

(C) -C_1-C_6 thioalkoxy,

(D) -CO-O-R_{N-8} where R_{N-8} is -H, C_1-C_6 alkyl or -phenyl,

(E) -CO-$NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are the same or different and are as defined above,

(F) -CO-R_{N-4} where R_{N-4} is as defined above,

(G) -SO_2-(C_1-C_8 alkyl),

(H) -SO_2-$NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are the same or different and are as defined above,

(I) -NH-CO-(C_1-C_6 alkyl),

(J) -NH-CO-O-R_{N-8} where R_{N-8} is as defined above,

(K) -$NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are the same or different and are as defined above,

(L) -R_{N-4} where R_{N-4} is as defined above,

(M) -O-CO-(C_1-C_6 alkyl),

(N) -O-CO-$NR_{N-8}R_{N-8}$ where R_{N-8} are the same or different and are as defined above,

(O) -O-(C_1-C_5 alkyl)-COOH,

(P) -O-(C_1-C_6 alkyl optionally substitued with one, two, or three of -F, -Cl, -Br, -I),

(Q) -NH-SO_2-(C_1-C_6 alkyl),

(R) -F, -Cl,

(III) -CO-(C_1-C_6 alkyl)-O-(C_1-C_6 alkyl) where alkyl is optionally substituted with one, two, or three substitutents selected from the group consisting of

(A) -OH,

(B) -C_1-C_6 alkoxy,

(C) -C_1-C_6 thioalkoxy,

(D) -CO-O-R_{N-8} where R_{N-8} is -H, C_1-C_6 alkyl or -phenyl,

(E) -CO-$NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are the same or different and are as defined above,

(F) -CO-R_{N-4} where R_{N-4} is as defined above,

(G) $-SO_2-(C_1-C_8$ alkyl),

(H) $-SO_2-NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are the same or different and are as defined above,

(I) $-NH-CO-(C_1-C_6$ alkyl),

(J) $-NH-CO-O-R_{N-8}$ where R_{N-8} is as defined above,

(K) $-NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are the same or different and are as defined above,

(L) $-R_{N-4}$ where R_{N-4} is as defined above,

(M) $-O-CO-(C_1-C_6$ alkyl),

(N) $-O-CO-NR_{N-8}R_{N-8}$ where R_{N-8} are the same or different and are as defined above,

(O) $-O-(C_1-C_5$ alkyl)-COOH,

(P) $-O-(C_1-C_6$ alkyl optionally substitued with one, two, or three of -F, -Cl, -Br, -I),

(Q) $-NH-SO_2-(C_1-C_6$ alkyl),

(R) -F, -Cl,

(IV) $-CO-(C_1-C_6$ alkyl)-S-$(C_1-C_6$ alkyl) where alkyl is optionally substituted with one, two, or three of substitutents selected from the group consisting of :

(A) -OH,

(B) $-C_1-C_6$ alkoxy,

(C) $-C_1-C_6$ thioalkoxy,

(D) $-CO-O-R_{N-8}$ where R_{N-8} is as defined above,

(E) $-CO-NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are the same or different and are as defined above,

(F) $-CO-R_{N-4}$ where R_{N-4} is as defined above,

(G) $-SO_2-(C_1-C_8$ alkyl),

(H) $-SO_2-NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are the same or different and are as defined above,

(I) $-NH-CO-(C_1-C_6$ alkyl),

(J) $-NH-CO-O-R_{N-8}$ where R_{N-8} is as defined above,

(K) $-NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are the same or different and are as defined above,

(L) $-R_{N-4}$ where R_{N-4} is as defined above,

(M) -O-CO-(C_1-C_6 alkyl),

(N) -O-CO-$NR_{N-8}R_{N-8}$ where the R_{N-8}s are the same or different and are as defined above,

(O) -O-(C_1-C_5 alkyl)-COOH,

(P) -O-(C_1-C_6 alkyl optionally substitued with one, two, or three of -F, -Cl, -Br, -I),

(Q) -NH-SO_2-(C_1-C_6 alkyl),

(R) -F, -Cl,

(V) -CO-CH(-$(CH_2)_{0-2}$-O-R_{N-10})-$(CH_2)_{0-2}$-R_{N-aryl}/$R_{N-heteroaryl}$) where R_{N-aryl} and $R_{N-heteroaryl}$ are as defined above, where R_{N-10} is selected from the group consisting of:

(A) -H,

(B) C_1-C_6 alkyl,

(C) C_3-C_7 cycloalkyl,

(D) C_2-C_6 alkenyl with one double bond,

(E) C_2-C_6 alkynyl with one triple bond,

(F) R_{1-aryl} where R_{1-aryl} is as defined above,

(G) $R_{N-heteroaryl}$ where $R_{N-heteroaryl}$ is as defined above,

(VI) -CO-(C_3-C_8 cycloalkyl) where alkyl is optionally substituted with one or two substitutents selected from the group consisting of:

(A) -$(CH_2)_{0-4}$-OH,

(B) -$(CH_2)_{0-4}$-C_1-C_6 alkoxy,

(C) -$(CH_2)_{0-4}$-C_1-C_6 thioalkoxy,

(D) -$(CH_2)_{0-4}$-CO-O-R_{N-8} where R_{N-8} is -H, C_1-C_6 alkyl or -phenyl,

(E) -$(CH_2)_{0-4}$-CO-$NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are the same or different and are as defined above,

(F) -$(CH_2)_{0-4}$-CO-R_{N-4} where R_{N-4} is as defined above,

(G) -$(CH_2)_{0-4}$-SO_2-(C_1-C_8 alkyl),

(H) -$(CH_2)_{0-4}$-SO_2-$NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are the same or different and are as defined above,

(I) $-(CH_2)_{0-4}-NH-CO-(C_1-C_6$ alkyl),

(J) $-NH-CO-O-R_{N-8}$ where R_{N-8} is as defined above,

(K) $-(CH_2)_{0-4}-NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are the same or different and are as defined above,

(L) $-(CH_2)_{0-4}-R_{N-4}$ where R_{N-4} is as defined above,

(M) $-O-CO-(C_1-C_6$ alkyl),

(N) $-O-CO-NR_{N-8}R_{N-8}$ where the R_{N-8}s are the same or different and are as defined above,

(O) $-O-(C_1-C_5$ alkyl)-COOH,

(P) $-O-(C_1-C_6$ alkyl optionally substitued with one, two, or three of -F, -Cl, -Br, -I),

(Q) $-NH-SO_2-(C_1-C_6$ alkyl),

(R) -F, -Cl,

where R_C is:

(I) $-C_1-C_{10}$ alkyl optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, $-CF_3$, C_1-C_6 alkoxy, -O-phenyl, $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above, -OC=O $NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above, $-S(=O)_{0-2}$ R_{1-a} where R_{1-a} is as defined above, - $NR_{1-a}C=O$ $NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above, -C=O $NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above, and - $S(=O)_2$ $NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(II) $-(CH_2)_{0-3}-(C_3-C_8)$ cycloalkyl where cycloalkyl can be optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, $-CF_3$, C_1-C_6 alkoxy, -O-phenyl, -CO-OH, -CO-O-(C_1-C_4 alkyl), $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(III) $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-aryl}$ where R_{C-x} and R_{C-y} are

-H,

C_1-C_4 alkyl optionally substituted with one or two -OH,

C_1-C_4 alkoxy optionally substituted with one, two, or three of -F,

$-(CH_2)_{0-4}-C_3-C_7$ cycloalkyl,

C_2-C_6 alkenyl containing one or two double bonds,

C_2-C_6 alkynyl containing one or two triple bonds,

phenyl,

and where R_{C-x} and R_{C-y} are taken together with the carbon to which they are attached to form a carbocycle of three, four, five, six and seven carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of -O-, -S-, $-SO_2-$, $-NR_{N-2}-$ and R_{C-aryl} is defined as R_{N-aryl} is defined above;

(IV) $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heteroaryl}$ where $R_{C-heteroaryl}$ is defined as $R_{N-heteroaryl}$ is defined above and R_{C-x} and R_{C-y} are as defined above,

(V) $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-aryl}-R_{C-aryl}$ where R_{C-aryl}, R_{C-x} and R_{C-y} are as defined above,

(VI) $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-aryl}-R_{C-heteroaryl}$ where R_{C-aryl} , $R_{C-heteroaryl}$, R_{C-x} and R_{C-y} are as defined above,

(VII) $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heteroaryl}-R_{C-aryl}$ where $R_{C-heteroaryl}$, R_{C-aryl}, R_{C-x} and R_{C-y} are as defined above,

(VIII) $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heteroaryl}-R_{C-heteroaryl}$ where $R_{C-heteroaryl}$, R_{C-x} and R_{C-y} are as defined above,

(IX) $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-aryl}-R_{C-heterocycle}$ where R_{C-aryl}, R_{C-x} and R_{C-y} are as defined above, and $R_{C-heterocycle}$ is defined as $R_{N-heterocycle}$ is defined above,

(X) $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heteroaryl}-R_{C-heterocycle}$ where $R_{C-heteroaryl}$, $R_{C-heterocycle}$, R_{C-x} and R_{C-y} are as defined above,

(XI) $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heterocycle}-R_{C-aryl}$ where $R_{C-heterocycle}$, R_{C-aryl}, R_{C-x} and R_{C-y} are as defined above,

(XII) $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heterocycle}-R_{C-heteroaryl}$ where $R_{C-heterocycle}$, $R_{C-heteroaryl}$, R_{C-x} and R_{C-y} are as defined above,

(XIII) $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heterocycle}-R_{C-heterocycle}$ where $R_{C-heterocycle}$, R_{C-x} and R_{C-y} are as defined above,

(XIV) $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heterocycle}$ where $R_{C-heterocycle}$, R_{C-x} and R_{C-y} are as defined above,

(XV) $-[C(R_{C-1})(R_{C-2})]_{1-3}-CO-N-(R_{C-3})_2$ where R_{C-1} and R_{C-2} are the same or different and are selected from the group consisting of:

(A) -H,

(B) $-C_1-C_6$ alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH,

-SH, -C≡N, $-CF_3$, C_1-C_6 alkoxy, -O-phenyl, $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(C) C_2-C_6 alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, $-CF_3$, C_1-C_6 alkoxy, -O-phenyl, $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(D) C_2-C_6 alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, $-CF_3$, C_1-C_6 alkoxy, -O-phenyl, $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(E) $-(CH_2)_{1-2}-S(O)_{0-2}-(C_1-C_6$ alkyl),

(F) $-(CH_2)_{0-4}-C_3-C_7$ cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, $-CF_3$, C_1-C_6 alkoxy, -O-phenyl, $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(G) $-(C_1-C_4$ alkyl$)-R_{C'-aryl}$ where $R_{C'-aryl}$ is as defined for R_{1-aryl},

(H) $-(C_1-C_4$ alkyl$)-R_{C-heteroaryl}$ where $R_{C-heteroaryl}$ is as defined above,

(I) $-(C_1-C_4$ alkyl$)-R_{C-heterocycle}$ where $R_{C-heterocycle}$ is as defined above,

(J) $-R_{C-heteroaryl}$ where $R_{C-heteroaryl}$ is as defined above,

(K) $-R_{C-heterocycle}$ where $R_{C-heterocycle}$ is as defined above,

(M) $-(CH_2)_{1-4}-R_{C-4}-(CH_2)_{0-4}-R_{C'-aryl}$ where R_{C-4} is -O-, -S- or $-NR_{C-5}-$ where R_{C-5} is C_1-C_6 alkyl, and where $R_{C'-aryl}$ is defined above,

(N) $-(CH_2)_{1-4}-R_{C-4}-(CH_2)_{0-4}-R_{C-heteroaryl}$ where R_{C-4} and $R_{C-heteroaryl}$ are as defined above,

(O) $-R_{C'-aryl}$ where $R_{C'-aryl}$ is as defined above,

and where R_{C-3} is the same or different and is:

(A) -H,

(B) $-C_1$-C_6 alkyl optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH,

-SH, -C≡N, $-CF_3$, C_1-C_6 alkoxy, -O-phenyl, $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(C) C_2-C_6 alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, $-CF_3$, C_1-C_6 alkoxy, -O-phenyl, $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(D) C_2-C_6 alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, $-CF_3$, C_1-C_6 alkoxy, -O-phenyl, $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(E) $-(CH_2)_{0-4}$-C_3-C_7 cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl,
-Br, -I, -OH, -SH, -C≡N, $-CF_3$, C_1-C_6 alkoxy, -O-phenyl, $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(F) $-R_{C'-aryl}$ where $R_{C'-aryl}$ is as defined above,

(G) $-R_{C-heteroaryl}$ where $R_{C-heteroaryl}$ is as defined above,

(H) $-R_{C-heterocycle}$ where $R_{C-heterocycle}$ is as defined above,

(I) $-(C_1$-C_4 alkyl$)$-$R_{C'-aryl}$ where $R_{C'-aryl}$ is as defined above,

(J) $-(C_1$-C_4 alkyl$)$-$R_{C-heteroaryl}$ where $R_{C-heteroaryl}$ is as defined above,

(K) $-(C_1$-C_4 alkyl$)$-$R_{C-heterocycle}$ where $R_{C-heterocycle}$ is as defined above,

(XVI) $-CH(R_{C-aryl})_2$ where R_{C-aryl} are the same or different and are as defined above,

(XVII) $-CH(R_{C-heteroaryl})_2$ where $R_{C-heteroaryl}$ are the same or different and are as defined above,

(XVIII) $-CH(R_{C-aryl})(R_{C-heteroaryl})$ where R_{C-aryl} and $R_{C-heteroaryl}$ are as defined above,

(XIX) -cyclopentyl, -cyclohexyl, or -cycloheptyl ring fused to R_{C-aryl} or $R_{C-heteroaryl}$ or $R_{C-heterocycle}$ where R_{C-aryl} or $R_{C-heteroaryl}$ or $R_{C-heterocycle}$ are as defined above where one carbon of cyclopentyl, cyclohexyl, or -cycloheptyl is optionally replaced with NH, NR_{N-5}, O, $S(=O)_{0-2}$, and where cyclopentyl, cyclohexyl, or -

cycloheptyl can be optionally substituted with one or two -C_1-C_3 alkyl, -F, -OH, -SH, -C≡N, -CF_3, C_1-C_6 alkoxy, =O, -$NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(XX) C_2-C_{10} alkenyl containing one or two double bonds optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF_3, C_1-C_6 alkoxy, -O-phenyl, -$NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(XXI) C_2-C_{10} alkynyl containing one or two triple bonds optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF_3, C_1-C_6 alkoxy, -O-phenyl, -$NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(XXI) -$(CH_2)_{0-1}$-CHR_{C-6}-$(CH_2)_{0-1}$-R_{C-aryl} where R_{C-aryl} is as defined above and R_{C-6} is -$(CH_2)_{0-6}$-OH,

(XXII) -$(CH_2)_{0-1}$-CHR_{C-6}-$(CH_2)_{0-1}$-$R_{C-heteroaryl}$ where $R_{C-heteroaryl}$ and R_{C-6} is as defined above,

(XXIII) -CH(-R_{C-aryl} or $R_{C-heteroaryl}$)-CO-O(C_1-C_4 alkyl) where R_{C-aryl} and $R_{C-heteroaryl}$ are as defined above,

(XXIV) -CH(-CH_2-OH)-CH(-OH)-micro-NO_2,

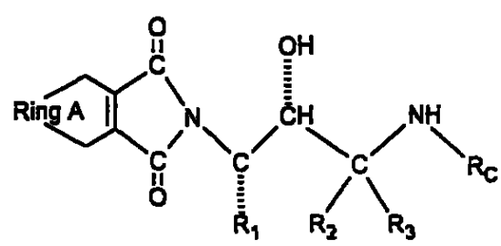
(XXV) (C_1-C_6 alkyl)-O-(C_1-C_6 alkyl)-OH,

(XXVII) -CH_2-NH-CH_2-CH(-O-CH_2-CH_3)$_2$,

(XXVIII) -H,

(XXIX) -$(CH_2)_{0-6}$-C(=NR_{1-a})($NR_{1-a}R_{1-b}$) where R_{1-a} and R_{1-b} are as defined above, and pharmaceutically acceptable salts thereof.

Also disclosed is a substituted amine of the formula (X')



(X')

where R_1, R_2, R_3 and R_C are as defined above;

where Ring A is phenyl, 1-naphthyl and 2-naphthyl optionally substituted with one, two or three of the following substituents which can be the same or different and are:

(1) C_1-C_6 alkyl,

(2) -F, -Cl, -Br, I,

(3) -OH,

(4) $-NO_2$,

(5) -CO-OH,

(6) -C≡N,

(7) $-CO-NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are as defined above,

(8) -CO-(C_3-C_{12} alkyl),

(9) -CO-(C_3-C_6 cycloalkyl),

(10) $-CO-R_{1\text{-heteroaryl}}$ where $R_{1\text{-heteroaryl}}$ is as defined in above,

(11) $-CO-R_{1\text{-heterocycle}}$ where $R_{1\text{-heterocycle}}$ is as defined in above,

(12) $-CO-R_{N-4}$ where R_{N-4} is as defined in above,

(13) $-CO-O-R_{N-5}$ where R_{N-5} is as defined in above,

(14) $-SO_2-NR_{N-2}R_{N-3}$ where R_{N-3} and R_{N-3} are as defined above,

(15) -SO-(C_1-C_8 alkyl),

(16) $-SO_2$-(C_3-C_{12} alkyl) with the proviso that the alkyl group not be straight chained,

(17) $-NH-CO-O-R_{N-5}$ where R_{N-5} is as defined above,

(18) $-NH-CO-N(C_1-C_3\ \text{alkyl})_2$,

(19) $-N-CS-N(C_1-C_3\ \text{alkyl})_2$,

(20) -N(-H or C_1-C_3 alkyl)-CO-R_{N-5} where R_{N-5} is as defined above,

(21) $-NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} can be the same or different and are as defined above,

(22) $-R_{N-4}$ where R_{N-4} is as defined above,

(23) -O-CO-(C_1-C_6 alkyl),

(24) $-O-CO-N(C_1-C_3\ \text{alkyl})_2$,

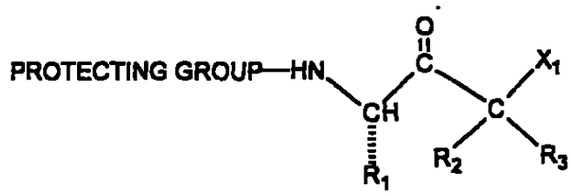
(25) $-O-CS-N(C_1-C_3\ \text{alkyl})_2$,

(26) -O-(C_1-C_6 alkyl),

(27) -O-(C_2-C_5 alkyl)-COOH,

(28) -S-(C_1-C_6 alkyl) and pharmaceutically acceptable salts thereof.

Additionally disclosed is a protected compound of the formula (III)



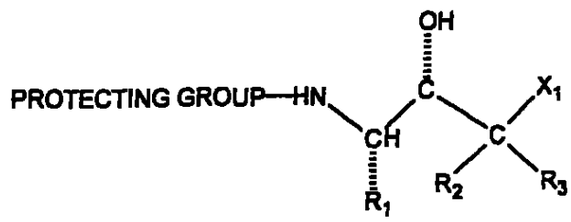
(III)

where R_1, R_2 and R_3 are as defined above;

where X_1 is -Cl, -Br, -I, -O-tosylate, -O-mesylate, -O-nosylate;

where PROTECTING GROUP is selected from the group consisting of *t*-butoxycarbonyl, benzyloxycarbonyl, formyl, trityl, acetyl, trichloroacetyl, dichloroacetyl, chloroacetyl, trifluoroacetyl, difluoroacetyl, fluoroacetyl, 4-phenylbenzyloxycarbonyl, 2-methylbenzyloxycarbonyl, 4-ethoxybenzyloxycarbonyl, 4-fluorobenzyloxycarbonyl, 4-chlorobenzyloxycarbonyl, 3-chlorobenzyloxycarbonyl, 2-chlorobenzyloxycarbonyl, 2,4-dichlorobenzyloxycarbonyl, 4-bromobenzyloxycarbonyl, 3-bromobenzyloxycarbonyl, 4-nitrobenzyloxycarbonyl, 4-cyanobenzyloxycarbonyl, 2-(4-xenyl)isopropoxycarbonyl, 1,1-diphenyleth-1-yloxycarbonyl, 1,1-diphenylprop-1-yloxycarbonyl, 2-phenylprop-2-yloxycarbonyl, 2-(*p*-toluyl)prop-2-yloxycarbonyl, cyclopentanyloxycarbonyl, 1-methylcyclopentanyloxycarbonyl, cyclohexanyloxycarbonyl, 1-methylcyclohexanyloxycabonyl, 2-methylcyclohexanyloxycarbonyl, 2-(4-toluylsulfonyl)ethoxycarbonyl, 2-(methylsulfonyl)ethoxycarbonyl, 2-(triphenylphosphino)ethoxycarbonyl, fluorenylmethoxycarbonyl, 2-(trimethylsilyl)ethoxycarbonyl, allyloxycarbonyl, 1-(trimethylsilylmethyl)prop-1-enyloxycarbonyl, 5-benzisoxalylmethoxycarbonyl, 4-acetoxybenzyloxycarbonyl, 2,2,2-trichloroethoxycarbonyl, 2-ethynyl-2-propoxycarbonyl, cyclopropylmethoxycarbonyl, 4-(decyloxyl)benzyloxycarbonyl, isobornyloxycarbonyl and 1-piperidyloxycarbonyl, 9-fluorenylmethyl carbonate, -CH-CH=CH_2 and phenyl-C(=N-)-H.

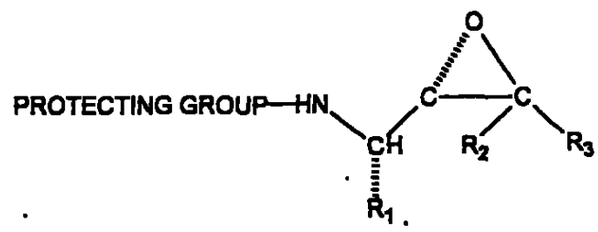
Further disclosed is an alcohol of the formula (IV)



(IV)

where R_1, R_2, R_3, X_1 and PROTECTING GROUP are as defined above.

Additionally disclosed is an epoxide of the formula (V)



(V)

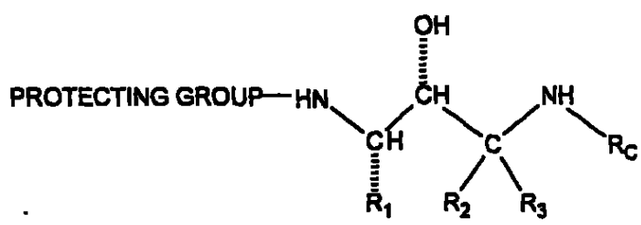
where R_2, R_3 and PROTECTING GROUP are as defined above and where R_1 is:

$-CH_2$-phenyl where -phenyl is substituted with two -F,

$-(CH_2)_{n1}-R_{1\text{-heteroaryl}}$ where $R_{1\text{-heteroaryl}}$ is as defined above, and

$-(CH_2)_{n1}-R_{1\text{-heterocycle}}$ where $R_{1\text{-heterocycle}}$ is as defined above.

Further disclosed is a protected alcohol of the formula (VII)



(VII)

where R_2, R_3, R_C and PROTECTING GROUP are as defined above, and where R_1 is:

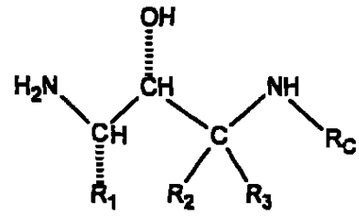
$-CH_2$-phenyl where -phenyl is substituted with two -F,

$-(CH_2)_{n1}-R_{1\text{-heteroaryl}}$ where $R_{1\text{-heteroaryl}}$ is as defined above and

$-(CH_2)_{n1}-R_{1\text{-heterocycle}}$ where $R_{1\text{-heterocycle}}$ is as defined above and

chemically acceptable salts thereof.

Also disclosed is an amine of the formula (VIII)



(VIII)

where R_2, R_3 and R_C are as defined above and where R_1 is:

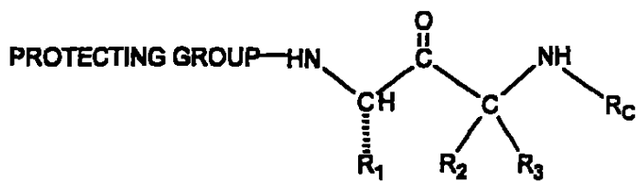
-CH_2-phenyl where -phenyl is substituted with two -F,

-$(CH_2)_{n1}$-$R_{1\text{-heteroaryl}}$ where $R_{1\text{-heteroaryl}}$ is as defined above and

-$(CH_2)_{n1}$-$R_{1\text{-heterocycle}}$ where $R_{1\text{-heterocycle}}$ is as defined above and

chemically acceptable salts thereof.

Disclosed is a protected ketone of formula (XI)



(XI)

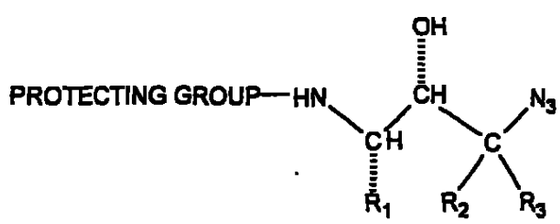
where R_2, R_3, R_C and PROTECTING GROUP are as defined above and where R_1 is:

-CH_2-phenyl where -phenyl is substituted with two -F,

-$(CH_2)_{n1}$-$R_{1\text{-heteroaryl}}$ where $R_{1\text{-heteroaryl}}$ is as defined above and

-$(CH_2)_{n1}$-$R_{1\text{-heterocycle}}$ where $R_{1\text{-heterocycle}}$ is as defined above.

Also disclosed is a protected azide of formula (XII)



(XII)

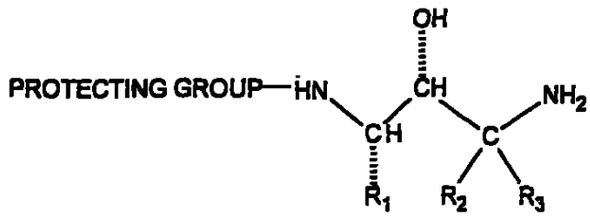
where R_2, R_3 and PROTECTING GROUP are as defined above and where R_1 is:

-CH_2-phenyl where -phenyl is substituted with two -F,

-$(CH_2)_{n1}$-$R_{1\text{-heteroaryl}}$ where $R_{1\text{-heteroaryl}}$ is as defined above and

-$(CH_2)_{n1}$-$R_{1\text{-heterocycle}}$ where $R_{1\text{-heterocycle}}$ is as defined above.

Further disclosed is a protected amine of formula (XIII)



(XIII)

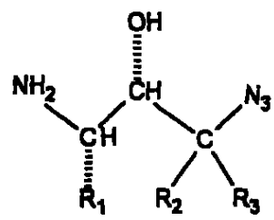
where R_2, R_3 and PROTECTING GROUP are as defined above and where R_1 is:

-CH_2-phenyl where -phenyl is substituted with two -F,

-$(CH_2)_{n1}$-$R_{1\text{-heteroaryl}}$ where $R_{1\text{-heteroaryl}}$ is as defined above and

-$(CH_2)_{n1}$-$R_{1\text{-heterocycle}}$ where $R_{1\text{-heterocycle}}$ is as defined above.

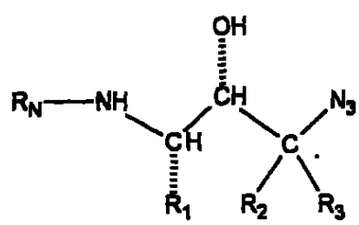
Additionally disclosed is an unprotected azide of formula (XIV)



(XIV)

where R_1, R_2, R_3 and PROTECTING GROUP are as defined above for the substituted amine (X).

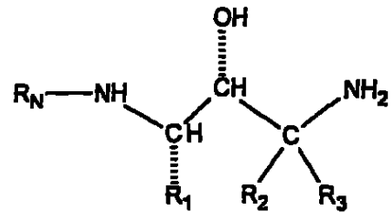
Further disclosed is an azide of formula (XV)



(XV)

where R_1, R_2, R_3 and R_N are as defined above for the substituted amine (X).

Also disclosed is a free amine of formula (XVI)



(XVI)

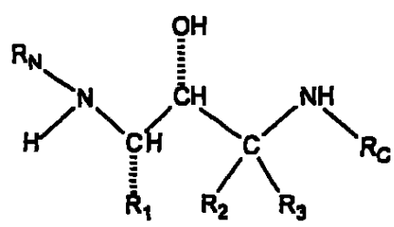
where R_2, R_3 and R_N are as defined above and where R_1 is:

(A) $-CH_2$-phenyl where -phenyl is substituted with two -F,

(B) $-(CH_2)_{n1}-R_{1\text{-heteroaryl}}$ where $R_{1\text{-heteroaryl}}$ is as defined above and

(C) $-(CH_2)_{n1}-R_{1\text{-heterocycle}}$ where $R_{1\text{-heterocycle}}$ is as defined above.

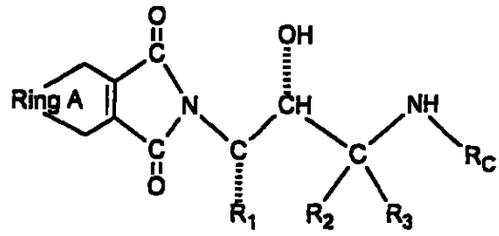
Disclosed is a method of treating a patient who has, or in preventing a patient from getting, a disease or condition selected from the group consisting of Alzheimer's disease, for helping prevent or delay the onset of Alzheimer's disease, for treating patients with mild cognitive impairment (MCI) and preventing or delaying the onset of Alzheimer's disease in those who would progress from MCI to AD, for treating Down's syndrome, for treating humans who have Hereditary Cerebral Hemorrhage with Amyloidosis of the Dutch-Type, for treating cerebral amyloid angiopathy and preventing its potential consequences, i.e. single and recurrent lobar hemorrhages, for treating other degenerative dementias, including dementias of mixed vascular and degenerative origin, dementia associated with Parkinson's disease, dementia associated with progressive supranuclear palsy, dementia associated with cortical basal degeneration, or diffuse Lewy body type of Alzheimer's disease and who is in need of such treatment which comprises administration of a therapeutically effective amount of a compound selected from the group consisting of a substituted amine of formula (X)



(X)

where R_1, R_2, R_3, R_C, and R_N are as defined above for the substituted amine (X), and pharmaceutically acceptable salts thereof, and

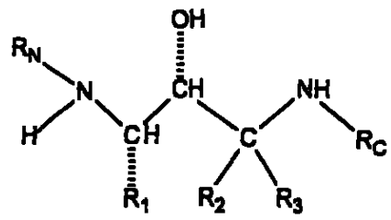
a substituted amine with R_N cyclized of formula (X')



(X')

where R_1, R_2, R_3, R_C, and Ring A, are as defined above for the amine (X'), and pharmaceutically acceptable salts thereof.

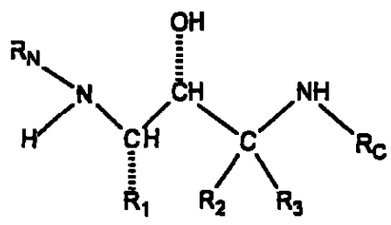
Also disclosed are methods for inhibiting beta-secretase activity, for inhibiting cleavage of amyloid precursor protein (APP), in a reaction mixture, at a site between Met596 and Asp597, numbered for the APP-695 amino acid isotype; or at a corresponding site of an isotype or mutant thereof, for inhibiting production of amyloid beta peptide (A beta) in a cell, for inhibiting the production of beta-amyloid plaque in an animal, and for treating or preventing a disease characterized by beta-amyloid deposits in the brain which comprise administration of a therapeutically effective amount of a substituted amine of formula (X)



(X)

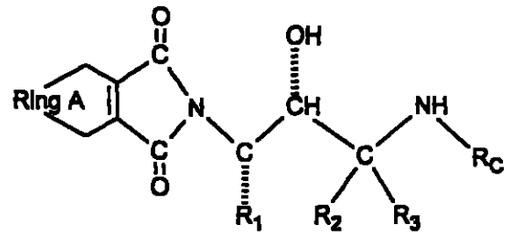
where R_1, R_2, R_3, R_C, and R_N are as defined above for the substituted amine (X), and pharmaceutically acceptable salts thereof.

Disclosed is a pharmaceutial composition which comprises a substituted amine of formula (X)



(X)

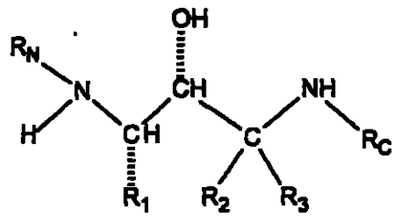
where R_1, R_2, R_3, R_N and R_C are as defined above for the substituted amine (X), or a substituted amine with R_N cyclized of formula (X')



(X')

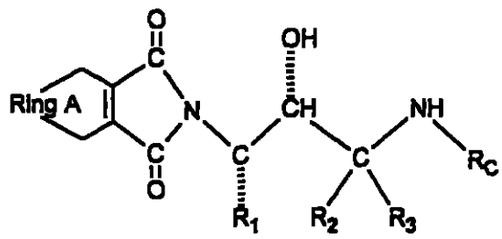
where R_1 is as defined above for compound (X') and where Ring A is as defined above, and pharmaceutically acceptable salts thereof, and one or more pharmaceutically acceptable inert carriers.

Disclosed is the use of a substituted amine of formula (X)



(X)

where R_1, R_2, R_3, R_N and R_C are as defined above for the substituted amine (X), or a substituted amine with R_N cyclized of formula (X')



(X')

where R_1, R_2, R_3, R_C, and Ring A, are as defined above for compound (X'), and pharmaceutically acceptable salts thereof for the manufacture of a medicament for use in treating a patient who has, or in preventing a patient from getting, a disease or condition selected from the group consisting of Alzheimer's disease, for helping prevent or delay the onset of Alzheimer's disease, for treating patients with mild cognitive impairment (MCI) and preventing or delaying the onset of Alzheimer's disease in those who would progress from MCI to AD, for treating Down's syndrome, for treating humans who have Hereditary Cerebral Hemorrhage with

Amyloidosis of the Dutch-Type, for treating cerebral amyloid angiopathy and preventing its potential consequences, i.e. single and recurrent lobar hemorrhages, for treating other degenerative dementias, including dementias of mixed vascular and degenerative origin, dementia associated with Parkinson's disease, dementia associated with progressive supranuclear palsy, dementia associated with cortical basal degeneration, diffuse Lewy body type of Alzheimer's disease and who is in need of such treatment.

The present invention provides compounds, compositions, kits, and methods for inhibiting beta-secretase-mediated cleavage of amyloid precursor protein (APP). More particularly, the compounds, compositions, and methods of the invention are effective to inhibit the production of A beta peptide and to treat or prevent any human or veterinary disease or condition associated with a pathological form of A beta peptide.

The compounds, compositions, and methods of the invention are useful for treating humans who have Alzheimer's Disease (AD), for helping prevent or delay the onset of AD, for treating patients with mild cognitive impairment (MCI), and preventing or delaying the onset of AD in those patients who would otherwise be expected to progress from MCI to AD, for treating Down's syndrome, for treating Hereditary Cerebral Hemorrhage with Amyloidosis of the Dutch Type, for treating cerebral beta-amyloid angiopathy and preventing its potential consequences such as single and recurrent lobar hemorrhages, for treating other degenerative dementias, including dementias of mixed vascular and degenerative origin, for treating dementia associated with Parkinson's disease, dementia associated with progressive supranuclear palsy, dementia associated with cortical basal degeneration, and diffuse Lewy body type AD.

The compounds of the invention possess beta-secretase inhibitory activity. The inhibitory activities of the compounds of the invention are readily demonstrated, for example, using one or more of the assays described herein or known in the art.

DETAILED DESCRIPTION OF THE INVENTION

The present invention is the substituted amines (X) that are useful in treating and preventing Alzheimer's disease. The anti-Alzheimer's substituted amines (X) are made by methods well known to those skilled in the art from starting compounds known to those skilled in the art. The process chemistry is well known to those skilled in the art. The most general process to prepare the substituted amines (X) of the present invention is set forth in CHART A. The chemistry is straight forward and in summary involves the steps of N-protecting an amino acid (I) starting material to produce the corresponding protected amino acid (II), reaction of the protected amino acid (II) with diazomethane followed by work-up to add a carbon atom to produce the corresponding protected compound (III), reduction of the protected compound (III) to the corresponding alcohol (IV), formation of the corresponding epoxide (V), opening of the epoxide (V) with a C-terminal amine, R_C-NH_2 (VI) to produce the corresponding protected alcohol (VII) which then has the nitrogen protecting group removed to produce the corresponding amine (VIII), which is then reacted with an amide forming agent of the formula $(R_{N-1}\text{-}X_N)_2O$ or $R_{N-1}\text{-}X_N\text{-}X_2$ or $R_{N-1}\text{-}X_N\text{-}OH$ (IX) to produce the anti-Alzheimer substituted amine (X). One skilled in the art will appreciate that these are all well known reactions in organic chemistry. A chemist skilled in the art, knowing the chemical structure of the biologically active substituted amine end product (X) of the invention would be able to prepare them by known methods from known starting materials without any additional information. The explanation below therefore is not necessary but is deemed helpful to those skilled in the art who desire to make the compounds of the present invention.

The backbone of the compounds of the present invention is a hydroxyethylamine moiety, -NH-CH(R)-CH(OH)-. It can be readily prepared by methods disclosed in the literature and known to those skilled in the art. For example,
J. Med. Chem., 36, 288-291 (1992), *Tetrahedron Letters*, 28, 5569-5572 (1987), *J. Med. Chem.*, 38, 581-584 (1994) and *Tetrahedron Letters*, 38, 619-620 (1997) all disclose processes to prepare hydroxyethylamine type compounds.

CHART A sets forth a general method used in the present invention to prepare the appropriately substituted amines (X). The anti-Alzheimer substituted

amines (X) of the present invention are prepared by starting with the corresponding amino acid (I). The amino acids (I) are well known to those skilled in the art or can be readily prepared from known compounds by methods well known to those skilled in the art. The substituted amines (X) of the present invention have at least two enantiomeric centers which give four enantiomers. The first of these enantiomeric centers derives from the amino acid starting material (I). It is preferred to commercially obtain or produce the desired enantiomer (S) rather than produce an enantiomerically impure mixture and then have to separate out the desired enantiomer (S). It is preferred to start the process with enantiomerically pure (S)-amino acid (I) of the same configuration as that of the substituted amine (X) product. For the amino acids (I), R_1 is:

(I) C_1-C_6 alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, C_1-C_7 alkyl (optionally substituted with C_1-C_3 alkyl and C_1-C_3 alkoxy), -F, -Cl, -Br, -I, -OH, -SH, -C≡N, $-CF_3$, C_1-C_3 alkoxy, $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are -H or C_1-C_6 alkyl, -OC=O $NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(II) $-CH_2-S(O)_{0-2}-(C_1-C_6$ alkyl),

(III) $-CH_2-CH_2-S(O)_{0-2}-(C_1-C_6$ alkyl),

(IV) C_2-C_6 alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, $-CF_3$, C_1-C_3 alkoxy, $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are -H or C_1-C_6 alkyl,

(V) C_2-C_6 alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, $-CF_3$, C_1-C_3 alkoxy, $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are -H or C_1-C_6 alkyl,

(VI) $-(CH_2)_{n1}-(R_{1-aryl})$ where n_1 is zero or one and where R_{1-aryl} is phenyl, 1-naphthyl, 2-naphthyl and indanyl, indenyl, dihydronaphthalyl, tetralinyl optionally substituted with one, two, three, or four of the following substituents on the aryl ring:

(A) C_1-C_6 alkyl optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above, -C≡N, $-CF_3$, C_1-C_3 alkoxy,

(B) C_2-C_6 alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, $-CF_3$, C_1-C_3 alkoxy, $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are -H or C_1-C_6 alkyl,

(C) C_2-C_6 alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, $-CF_3$, C_1-C_3 alkoxy, $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are -H or C_1-C_6 alkyl,

(D) -F, Cl, -Br and -I,

(F) -C_1-C_6 alkoxy optionally substituted with one, two, or three -F,

(G) $-NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are as defined below,

(H) -OH,

(I) -C≡N,

(J) C_3-C_7 cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, $-CF_3$, C_1-C_3 alkoxy, $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are -H or C_1-C_6 alkyl,

(K) -CO-(C_1-C_4 alkyl),

(L) $-SO_2-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(M) $-CO-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(N) $-SO_2$-(C_1-C_4 alkyl),

(VII) $-(CH_2)_{n1}-(R_{1\text{-heteroaryl}})$ where n_1 is as defined above and where $R_{1\text{-heteroaryl}}$ is selected from the group consisting of:

pyridinyl,
pyrimidinyl,
quinolinyl,
benzothienyl,
indolyl,
indolinyl,
pryidazinyl,
pyrazinyl,
isoindolyl,
isoquinolyl,

quinazolinyl,
quinoxalinyl,
phthalazinyl,
imidazolyl,
isoxazolyl,
pyrazolyl,
oxazolyl,
thiazolyl,
indolizinyl,
indazolyl,
benzothiazolyl,
benzimidazolyl,
benzofuranyl,
furanyl,
thienyl,
pyrrolyl,
oxadiazolyl,
thiadiazolyl,
triazolyl,
tetrazolyl,
oxazolopyridinyl,
imidazopyridinyl,
isothiazolyl,
naphthyridinyl,
cinnolinyl,
carbazolyl,
beta-carbolinyl,
isochromanyl,
chromanyl,
tetrahydroisoquinolinyl,
isoindolinyl,
isobenzotetrahydrofuranyl,
isobenzotetrahydrothienyl,
isobenzothienyl,

benzoxazolyl,
pyridopyridinyl,
benzotetrahydrofuranyl,
benzotetrahydrothienyl,
purinyl,
benzodioxolyl,
triazinyl,
phenoxazinyl,
phenothiazinyl,
pteridinyl,
benzothiazolyl,
imidazopyridinyl,
imidazothiazolyl,
dihydrobenzisoxazinyl,
benzisoxazinyl,
benzoxazinyl,
dihydrobenzisothiazinyl,
benzopyranyl,
benzothiopyranyl,
coumarinyl,
isocoumarinyl,
chromonyl,
chromanonyl,
pyridinyl-N-oxide
tetrahydroquinolinyl
dihydroquinolinyl
dihydroquinolinonyl
dihydroisoquinolinonyl
dihydrocoumarinyl
dihydroisocoumarinyl
isoindolinonyl
benzodioxanyl
benzoxazolinonyl
pyrrolyl N-oxide,

pyrimidinyl N-oxide,
pyridazinyl N-oxide,
pyrazinyl N-oxide,
quinolinyl N-oxide,
indolyl N-oxide,
indolinyl N-oxide,
isoquinolyl N-oxide,
quinazolinyl N-oxide,
quinoxalinyl N-oxide,
phthalazinyl N-oxide,
imidazolyl N-oxide,
isoxazolyl N-oxide,
oxazolyl N-oxide,
thiazolyl N-oxide,
indolizinyl N-oxide,
indazolyl N-oxide,
benzothiazolyl N-oxide,
benzimidazolyl N-oxide,
pyrrolyl N-oxide,
oxadiazolyl N-oxide,
thiadiazolyl N-oxide,
triazolyl N-oxide,
tetrazolyl N-oxide,
benzothiopyranyl S-oxide,
benzothiopyranyl S,S-dioxide,

where the $R_{1\text{-heteroaryl}}$ group is bonded to $-(CH_2)_{n1}-$ by any ring atom of the parent $R_{N\text{-heteroaryl}}$ group substituted by hydrogen such that the new bond to the $R_{1\text{-heteroaryl}}$ group replaces the hydrogen atom and its bond, where heteroaryl is optionally substituted with one, two, three, or four of:

(1) C_1-C_6 alkyl optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, $-NR_{1\text{-a}}R_{1\text{-b}}$ where $R_{1\text{-a}}$ and $R_{1\text{-b}}$ are as defined above, -C≡N, $-CF_3$, C_1-C_3 alkoxy,

(2) C_2-C_6 alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF_3, C_1-C_3 alkoxy, -$NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are -H or C_1-C_6 alkyl,

(3) C_2-C_6 alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF_3, C_1-C_3 alkoxy, -$NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are -H or C_1-C_6 alkyl,

(4) -F, Cl, -Br and -I,

(6) -C_1-C_6 alkoxy optionally substituted with one, two, or three
of -F,

(7) -$NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are as defined below,

(8) -OH,

(9) -C≡N,

(10) C_3-C_7 cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF_3, C_1-C_3 alkoxy, -$NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are -H or C_1-C_6 alkyl,

(11) -CO-(C_1-C_4 alkyl),

(12) -SO_2-$NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(13) -CO-$NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(14) -SO_2-(C_1-C_4 alkyl), with the proviso that when n_1 is zero $R_{1\text{-heteroaryl}}$ is not bonded to the carbon chain by nitrogen,

(VIII) -$(CH_2)_{n1}$-($R_{1\text{-heterocycle}}$) where n_1 is as defined above and $R_{1\text{-heterocycle}}$ is selected from the group consisting of:

morpholinyl,
thiomorpholinyl,
thiomorpholinyl S-oxide,
thiomorpholinyl S,S-dioxide,
piperazinyl,
homopiperazinyl,
pyrrolidinyl,
pyrrolinyl,

tetrahydropyranyl,
piperidinyl,
tetrahydrofuranyl,
tetrahydrothienyl,
homopiperidinyl,
homomorpholinyl,
homothiomorpholinyl,
homothiomorpholinyl S,S-dioxide, and
oxazolidinonyl,
dihydropyrazolyl
dihydropyrrolyl
dihydropyrazinyl
dihydropyridinyl
dihydropyrimidinyl
dihydrofuryl
dihydropyranyl
tetrahydrothienyl S-oxide
tetrahydrothienyl S,S-dioxide
homothiomorpholinyl S-oxide

where the $R_{1\text{-heterocycle}}$ group is bonded by any atom of the parent $R_{1\text{-heterocycle}}$ group substituted by hydrogen such that the new bond to the $R_{1\text{-heterocycle}}$ group replaces the hydrogen atom and its bond, where heterocycle is optionally substituted with one, two, three, or four of:

(1) C_1-C_6 alkyl optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, $-NR_{1\text{-a}}R_{1\text{-b}}$ where $R_{1\text{-a}}$ and $R_{1\text{-b}}$ are as defined above, -C≡N, $-CF_3$, C_1-C_3 alkoxy,

(2) C_2-C_6 alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, $-CF_3$, C_1-C_3 alkoxy, $-NR_{1\text{-a}}R_{1\text{-b}}$ where $R_{1\text{-a}}$ and $R_{1\text{-b}}$ are -H or C_1-C_6 alkyl,

(3) C_2-C_6 alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group

consisting of -F, -Cl, -OH, -SH, -C≡N, $-CF_3$, C_1-C_3 alkoxy, $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are -H or C_1-C_6 alkyl,

(4) -F, Cl, -Br and -I,

(5) C_1-C_6 alkoxy,

(6) -C_1-C_6 alkoxy optionally substituted with one, two, or three -F,

(7) $-NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are as defined below,

(8) -OH,

(9) -C≡N,

(10) C_3-C_7 cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, $-CF_3$, C_1-C_3 alkoxy, $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are -H or C_1-C_6 alkyl,

(11) -CO-(C_1-C_4 alkyl),

(12) $-SO_2-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(13) $-CO-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(14) $-SO_2$-(C_1-C_4 alkyl),

(15) =O, with the proviso that when n_1 is zero $R_{1\text{-heterocycle}}$ is not bonded to the carbon chain by nitrogen. It is preferred that R_1 be $-(CH_2)_{0-1}-(R_{1\text{-aryl}})$ or $-(CH_2)_{n1}-(R_{1\text{-heteroaryl}})$. It is more preferred that R_1 is $-(CH_2)-(R_{1\text{-aryl}})$ or $-(CH_2)-(R_{1\text{-heteroaryl}})$. It is further preferred that R_1 is $-(CH_2)-(R_{1\text{-aryl}})$ where $R_{1\text{-aryl}}$ is phenyl. It is even more preferred that R_1 is $-(CH_2)-(R_{1\text{-aryl}})$ where $R_{1\text{-aryl}}$ is phenyl substituted with two -F. It is additionally preferred that the -F substitution is 3,5-difluorobenzyl.

When R_1 is $R_{1\text{-heteroaryl}}$ or $R_{1\text{-heterocycle}}$ the bond from the $R_{1\text{-heteroaryl}}$ or $R_{1\text{-heterocycle}}$ group to the $-(CH_2)_{n1}-$ group can be from any ring atom which has an available valence provided that such bond does not result in formation of a charged species or unstable valence. This means that the $R_{1\text{-heteroaryl}}$ or $R_{1\text{-heterocycle}}$ group is bonded to

-$(CH_2)_{n1}$-by any ring atom of the parent $R_{1\text{-heteroaryl}}$ or $R_{1\text{-heterocycle}}$ group which was substituted by hydrogen such that the new bond to the $R_{1\text{-heteroaryl}}$ or $R_{1\text{-heterocycle}}$ group replaces the hydrogen atom and its bond.

The first step of the process is to protect the free amino group of the (S)-amino acid (I) with an amino protecting group to produce the (S)-protected amino acid (II) by methods well known to those skilled in the art. Amino protecting groups are well known to those skilled in the art. See for example, "Protecting Groups in Organic Synthesis", John Wiley and sons, New York, N.Y., 1981, Chapter 7; "Protecting Groups in Organic Chemistry", Plenum Press, New York, N.Y., 1973, Chapter 2. The function of the amino protecting group is to protect the free amino functionality
($-NH_2$) during subsequent reactions on the (S)-amino acid (I) which would not proceed well, either because the amino group would react and be functionalized in a way that is inconsistent with its need to be free for subsequent reactions, or the free amino group would interfere in the reaction. When the amino protecting group is no longer needed, it is removed by methods well known to those skilled in the art. By definition the amino protecting group must be readily removable as is known to those skilled in the art by methods well known to those skilled in the art. Suitable amino PROTECTING GROUP is selected from the group consisting of *t*-butoxycarbonyl, benzyloxycarbonyl, formyl, trityl, acetyl, trichloroacetyl, dichloroacetyl, chloroacetyl, trifluoroacetyl, difluoroacetyl, fluoroacetyl, 4-phenylbenzyloxycarbonyl, 2-methylbenzyloxycarbonyl, 4-ethoxybenzyloxycarbonyl, 4-fluorobenzyloxycarbonyl, 4-chlorobenzyloxycarbonyl, 3-chlorobenzyloxycarbonyl, 2-chlorobenzyloxycarbonyl, 2,4-dichlorobenzyloxycarbonyl, 4-bromobenzyloxycarbonyl, 3-bromobenzyloxycarbonyl, 4-nitrobenzyloxycarbonyl, 4-cyanobenzyloxycarbonyl, 2-(4-xenyl)isopropoxycarbonyl, 1,1-diphenyleth-1-yloxycarbonyl, 1,1-diphenylprop-1-yloxycarbonyl, 2-phenylprop-2-yloxycarbonyl, 2-(*p*-toluyl)prop-2-yloxycarbonyl, cyclopentanyloxycarbonyl, 1-methylcyclopentanyloxycarbonyl, cyclohexanyloxycarbonyl, 1-methylcyclohexanyloxycabonyl, 2-methylcyclohexanyloxycarbonyl, 2-(4-toluylsulfonyl)ethoxycarbonyl, 2-(methylsulfonyl)ethoxycarbonyl, 2-(triphenylphosphino)ethoxycarbonyl, fluorenylmethoxycarbonyl, 2-(trimethylsilyl)ethoxycarbonyl, allyloxycarbonyl, 1-(trimethylsilylmethyl)prop-1-enyloxycarbonyl, 5-benzisoxalylmethoxycarbonyl, 4-

acetoxybenzyloxycarbonyl, 2,2,2-trichloroethoxycarbonyl, 2-ethynyl-2-propoxycarbonyl, cyclopropylmethoxycarbonyl, 4-(decyloxyl)benzyloxycarbonyl, isobornyloxycarbonyl and 1-piperidyloxycarbonyl, 9-fluorenylmethyl carbonate, -CH-CH=CH_2 and phenyl-C(=N-)-H. It is preferred that the protecting group be *t*-butoxycarbonyl (BOC) and benzyloxycarbonyl (CBZ), it is more preferred that the protecting group be *t*-butoxycarbonyl. One skilled in the art will understand the preferred methods of introducing a *t*-butoxycarbonyl or benzyloxycarbonyl protecting group and may additionally consult T.W. Green and P.G.M. Wuts in "Protective Groups in Organic Chemistry," John Wiley and Sons, 1991 for guidance.

The (S)-protected amino acid (II) is transformed to the corresponding (S)-protected compound (III) by two different methods depending on the nature of R_2 and R_3.

R_2 and R_3 are independently selected from the group consisting of:

(I)-H,

(II) C_1-C_6 alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF_3, C_1-C_3 alkoxy, -$NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(III) -$(CH_2)_{0-4}$-R_{2-1} where R_{2-1} is R_{1-aryl} or $R_{1-heteroaryl}$ where R_{1-aryl} and $R_{1-heteroaryl}$ are as defined above;

(IV) C_2-C_6 alkenyl with one or two double bonds,

(V) C_2-C_6 alkynyl with one or two triple bonds,

(VI) -$(CH_2)_{0-4}$- C_3-C_7 cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF_3, C_1-C_3 alkoxy, -$NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are -H or C_1-C_6 alkyl,

and where R_2 and R_3 are taken together with the carbon to which they are attached to form a carbocycle of three, four, five, six, and seven carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of -O-, -S-, -SO_2-, -NR_{N-2}-, where R_{N-2} is as defined below. It is preferred that R_2 and R_3 both be -H. If R_2 and R_3 are not the same, an additional enantiomeric center is added to the molecule. If it is desired that both R_2 and R_3 are -H, then the (S)-protected amino acid (II) is reacted with diazomethane, as is well known to those skilled in the art, followed by reaction with a compound of the formula H-X_1 to produce the (S)-protected compound (III). X_1 includes -Cl, -Br, -I, -O-tosylate, -O-mesylate, -O-nosylate.; it is preferred that -X_1 be -Br or -Cl. Suitable reaction conditions include running the reaction in inert solvents, such as but not limited to ether, tetrahydrofuran and the like. The reactions from the (S)-protected amino acid (II) to the (S)-protected compound (III) are carried out for a period of time between 10 minutes and 1 day and at temperatures ranging from -78 degrees to 20-25 degrees

C. It is preferred to conduct the reactions for a period of time between 1-4 hours and at temperatures between -30 degrees to -10 degrees C. This process adds one methylene group.

Alternatively, the (S)-protected compounds of formula (III) can be prepared by first converting the (S)-protected amino acid (II) to a corresponding methyl or ethyl ester, according to methods well established in the art, followed by treatment with a reagent of formula X_1-C(R_2)(R_3)-X_1 and a strong metal base. The base serves to affect a halogen-metal exchange, where the -X_1 undergoing exchange is a halogen selected from chlorine, bromine or iodine. The nucleophilic addition to the ester derivative gives directly the (S)-protected compound (III). Suitable bases include, but are not limited to the alkyllithiums including, for example, *sec*-butyllithium, *n*-butyllithium, and *t*-butyllithium. The reactions are preferably conducted at low temperature, such as -78 degrees C. Suitable reaction conditions include running the reaction in inert solvents, such as but not limited to, ether, tetrahydrofuran and the like. Where R_2 and R_3 are both hydrogen, then examples of X_1-C(R_2)(R_3)-X_1 include dibromomethane, diiodomethane, chloroiodomethane, bromoiodomethane and bromochloromethane. One skilled in the art knows the preferred conditions required to conduct this reaction. Furthermore, if R_2 and/or R_3 are not -H, then by the addition of -C(R_2)(R_3)-X_1 to esters of the (S)-protected amino acid (II) to produce the (S)-protected compound (III), an additional chiral center will be incorporated into the product, provided that R_2 and R_3 are not the same.

The (S)-protected compound (III) is then reduced by means well known to those skilled in the art for reduction of a ketone to the corresponding secondary alcohol affording the corresponding alcohol (IV). The means and reaction conditions for reducing the (S)-protected compound (III) to the corresponding alcohol (IV) include, for example, sodium borohydride, lithium borohydride, borane, diisobutylaluminum hydride, and lithium aluminium hydride. Sodium borohydride is the preferred reducing agent. The reductions are carried out for a period of time between 1 hour and 3 days at temperatures ranging from -78 degrees C to elevated temperature up to the reflux point of the solvent employed. It is preferred to conduct the reduction between -78 degrees C and 0 degrees C. If borane is used, it may be employed as a complex, for example, borane-methyl sulfide complex, borane-piperidine complex, or borane-tetrahydrofuran complex. The preferred combination of reducing agents and reaction conditions needed are known to those skilled in the art, see for example, Larock, R.C. in Comprehensive Organic Transformations,

VCH Publishers, 1989. The reduction of the (S)-protected compound (III) to the corresponding alcohol (IV) produces the second chiral center (third chiral center if R_2 and R_3 are not the same). The reduction of the (S)-protected compound (III) produces a mixture of enantiomers at the second center, (S, R/S)-alcohol (IV). This enantiomeric mixture is then separated by means known to those skilled in the art such as selective low-temperature recrystallization or chromatographic separation, for example by HPLC, employing commercially available chiral columns. The enantiomer that is used in the remainder of the process of CHART A is the (S,S)-alcohol (IV) since this enantiomer will give the desired biologically active anti-Alzheimer (S,R)-substituted amine (X).

The (S, S)-alcohol (IV) is transformed to the corresponding epoxide (V) by means known to those skilled in the art. The stereochemistry of the (S)-(IV) center is maintained in forming the epoxide (V). A preferred means is by reaction with base, for example, but not limited to, hydroxide ion generated from sodium hydroxide, potassium hydroxide, lithium hydroxide and the like. Reaction conditions include the use of C_1-C_6 alcohol solvents; ethanol is preferred. A common co-solvent, such as for example, ethyl acetate may also be employed. Reactions are conducted at temperatures ranging from -45 degrees C up to the reflux temperature of the alcohol employed; preferred temperature ranges are between -20 degrees C and 20-25 degrees C.

The epoxide (V) is then reacted with the appropriately substituted C-terminal amine, R_C-NH_2 (VI) by means known to those skilled in the art that opens the epoxide to produce the desired corresponding enantiomerically pure (S,R)-protected alcohol (VII). The substituted C-terminal amines, R_C-NH_2 (VI) of this invention are commercially available or are known to those skilled in the art and can be readily prepared from known compounds. R_C includes:

(I)-C_1-C_{10} alkyl optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF_3, C_1-C_6 alkoxy, -O-phenyl, -$NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above, -OC=O $NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above, -$S(=O)_{0-2}$ R_{1-a} where R_{1-a} is as defined above, - NR_{1-a}C=O $NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above, -C=O $NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above, and -$S(=O)_2$ $NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(II) $-(CH_2)_{0-3}-(C_3-C_8)$ cycloalkyl where cycloalkyl can be optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, $-CF_3$, C_1-C_6 alkoxy, -O-phenyl, -CO-OH, -CO-O-(C_1-C_4 alkyl), $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(III) $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-aryl}$ where R_{C-x} and R_{C-y} are

-H,

C_1-C_4 alkyl optionally substituted with one or two -OH,

C_1-C_4 alkoxy optionally substituted with one, two, or three of -F,

$-(CH_2)_{0-4}-C_3-C_7$ cycloalkyl,

C_2-C_6 alkenyl containing one or two double bonds,

C_2-C_6 alkynyl contianing one or two triple bonds,

phenyl-,

and where R_{C-x} and R_{C-y} are taken together with the carbon to which they are attached to form a carbocycle of three, four, five, six and seven carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of

-O-, -S-, $-SO_2-$, $-NR_{N-2}-$ and R_{C-aryl} is defined as R_{N-aryl} is defined below;

(IV) $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heteroaryl}$ where $R_{C-heteroaryl}$ is defined as $R_{N-heteroaryl}$ is defined above and R_{C-x} and R_{C-y} are as defined above,

(V) $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-aryl}-R_{C-aryl}$ where R_{C-aryl}, R_{C-x} and R_{C-y} are as defined above,

(VI) $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-aryl}-R_{C-heteroaryl}$ where R_{C-aryl} , $R_{C-heteroaryl}$,R_{C-x} and R_{C-y} are as defined above,

(VII) $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heteroaryl}-R_{C-aryl}$ where $R_{C-heteroaryl}$, R_{C-aryl}, R_{C-x} and R_{C-y} are as defined above,

(VIII) $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heteroaryl}-R_{C-heteroaryl}$ where $R_{C-heteroaryl}$, R_{C-x} and R_{C-y} are as defined above,

(IX) $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-aryl}-R_{C-heterocycle}$ where R_{C-aryl}, R_{C-x} and R_{C-y} are as defined above, and $R_{C-heterocycle}$ is defined as $R_{N-heterocycle}$, is defined below,

(X) $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heteroaryl}-R_{C-heterocycle}$ where $R_{C-heteroaryl}$, $R_{C-heterocycle}$, R_{C-x} and R_{C-y} are as defined above,

(XI) $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heterocycle}-R_{C-aryl}$ where $R_{C-heterocycle}$, R_{C-aryl}, R_{C-x} and R_{C-y} are as defined above,

(XII) $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heterocycle}-R_{C-heteroaryl}$ where $R_{C-heterocycle}$, $R_{C-heteroaryl}$, R_{C-x} and R_{C-y} are as defined above,

(XIII) $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heterocycle}-R_{C-heterocycle}$ where $R_{C-heterocycle}$, R_{C-x} and R_{C-y} are as defined above,

(XIV) $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heterocycle}$ where $R_{C-heterocycle}$ is defined as $R_{1-heterocycle}$ is defined above, and R_{C-x} and R_{C-y} are as defined above,

(XV) $-[C(R_{C-1})(R_{C-2})]_{1-3}-CO-N-(R_{C-3})_2$ where R_{C-1} and R_{C-2} are the same or different and are selected from the group consisting of:

(A) -H,

(B) $-C_1-C_6$ alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, $-CF_3$, C_1-C_6 alkoxy, -O-phenyl, $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(C) C_2-C_6 alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, $-CF_3$, C_1-C_6 alkoxy, -O-phenyl, $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(D) C_2-C_6 alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, $-CF_3$, C_1-C_6 alkoxy, -O-phenyl, $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(E) $-(CH_2)_{1-2}-S(O)_{0-2}-(C_1-C_6$ alkyl),

(F) $-(CH_2)_{0-4}-C_3-C_7$ cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl,
-Br, -I, -OH, -SH, -C≡N, $-CF_3$, C_1-C_6 alkoxy, -O-phenyl, $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(G) $-(C_1-C_4$ alkyl$)-R_{C'-aryl}$ where $R_{C'-aryl}$ is as defined for R_{1-aryl},

(H) $-(C_1-C_4$ alkyl$)-R_{C-heteroaryl}$ where $R_{C-heteroaryl}$ is as defined above,

(I) -(C_1-C_4 alkyl)-$R_{C\text{-heterocycle}}$ where $R_{C\text{-heterocycle}}$ is as defined above,

(J) -$R_{C\text{-heteroaryl}}$ where $R_{C\text{-heteroaryl}}$ is as defined above,

(K) -$R_{C\text{-heterocycle}}$ where $R_{C\text{-heterocycle}}$ is as defined above,

(M) -$(CH_2)_{1\text{-}4}$-$R_{C\text{-}4}$-$(CH_2)_{0\text{-}4}$-$R_{C'\text{-aryl}}$ where $R_{C\text{-}4}$ is -O-, -S- or -$NR_{C\text{-}5}$- where $R_{C\text{-}5}$ is C_1-C_6 alkyl, and where $R_{C'\text{-aryl}}$ is defined above,

(N) -$(CH_2)_{1\text{-}4}$-$R_{C\text{-}4}$-$(CH_2)_{0\text{-}4}$-$R_{C\text{-heteroaryl}}$ where $R_{C\text{-}4}$ and $R_{C\text{-heteroaryl}}$ are as defined above,

(O) -$R_{C'\text{-aryl}}$ where $R_{C'\text{-aryl}}$ is as defined above,

and where $R_{C\text{-}3}$ is the same or different and is:

(A) -H,

(B) -C_1-C_6 alkyl optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF_3, C_1-C_6 alkoxy, -O-phenyl, -$NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are as defined above,

(C) C_2-C_6 alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF_3, C_1-C_6 alkoxy, -O-phenyl, -$NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are as defined above,

(D) C_2-C_6 alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF_3, C_1-C_6 alkoxy, -O-phenyl, -$NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are as defined above,

(E) -$(CH_2)_{0\text{-}4}$-C_3-C_7 cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl,
-Br, -I, -OH, -SH, -C≡N, -CF_3, C_1-C_6 alkoxy, -O-phenyl, -$NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are as defined above,

(F) -$R_{C'\text{-aryl}}$ where $R_{C'\text{-aryl}}$ is as defined above,

(G) -$R_{C\text{-heteroaryl}}$ where $R_{C\text{-heteroaryl}}$ is as defined above,

(H) -$R_{C\text{-heterocycle}}$ where $R_{C\text{-heterocycle}}$ is as defined above,

(I) -(C_1-C_4 alkyl)-$R_{C'\text{-aryl}}$ where $R_{C'\text{-aryl}}$ is as defined above,

(J) -(C_1-C_4 alkyl)-$R_{C\text{-heteroaryl}}$ where $R_{C\text{-heteroaryl}}$ is as defined above,

(K) -(C_1-C_4 alkyl)-$R_{C\text{-heterocycle}}$ where $R_{C\text{-heterocycle}}$ is as defined above,

(XVI) -CH($R_{C\text{-aryl}}$)$_2$ where $R_{C\text{-aryl}}$ are the same or different and are as defined above,

(XVII) -CH($R_{C\text{-heteroaryl}}$)$_2$ where $R_{C\text{-heteroaryl}}$ are the same or different and are as defined above,

(XVIII) -CH($R_{C\text{-aryl}}$)($R_{C\text{-heteroaryl}}$) where $R_{C\text{-aryl}}$ and $R_{C\text{-heteroaryl}}$ are as defined above,

(XIX) -cyclopentyl, -cyclohexyl, or -cycloheptyl ring fused to $R_{C\text{-aryl}}$ or $R_{C\text{-heteroaryl}}$ or $R_{C\text{-heterocycle}}$ where $R_{C\text{-aryl}}$ or $R_{C\text{-heteroaryl}}$ or $R_{C\text{-heterocycle}}$ are as defined above where one carbon of cyclopentyl, cyclohexyl, or -cycloheptyl is optionally replaced with NH, $NR_{N\text{-}5}$, O, S(=O)$_{0\text{-}2}$, and where cyclopentyl, cyclohexyl, or -cycloheptyl can be optionally substituted with one or two -C_1-C_3 alkyl, -F, -OH, -SH, -C≡N, -CF_3, C_1-C_6 alkoxy, =O, -$NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are as defined above,

(XX) C_2-C_{10} alkenyl containing one or two double bonds optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF_3, C_1-C_6 alkoxy, -O-phenyl, -$NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are as defined above,

(XXI) C_2-C_{10} alkynyl containing one or two triple bonds optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF_3, C_1-C_6 alkoxy, -O-phenyl, -$NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are as defined above,

(XXI) -(CH_2)$_{0\text{-}1}$-CH$R_{C\text{-}6}$-(CH_2)$_{0\text{-}1}$-$R_{C\text{-aryl}}$ where $R_{C\text{-aryl}}$ is as defined above and $R_{C\text{-}6}$ is -(CH_2)$_{0\text{-}6}$-OH,

(XXII) -(CH_2)$_{0\text{-}1}$-CH$R_{C\text{-}6}$-(CH_2)$_{0\text{-}1}$-$R_{C\text{-heteroaryl}}$ where $R_{C\text{-heteroaryl}}$ and $R_{C\text{-}6}$ is as defined above,

(XXIII) -CH(-$R_{C\text{-aryl}}$ or $R_{C\text{-heteroaryl}}$)-CO-O(C_1-C_4 alkyl) where $R_{C\text{-aryl}}$ and $R_{C\text{-heteroaryl}}$ are as defined above,

(XXIV) -CH(-CH_2-OH)-CH(-OH)-phenyl-NO_2,

(XXV) (C_1-C_6 alkyl)-O-(C_1-C_6 alkyl)-OH,

(XXVII) $-CH_2-NH-CH_2-CH(-O-CH_2-CH_3)_2$,

(XXVIII) -H,

(XXIX) $-(CH_2)_{0-6}-C(=NR_{1-a})(NR_{1-a}R_{1-b})$ where R_{1-a} and R_{1-b} are as defined above.

It is preferred that R_C is:

$-C_1-C_8$ alkyl,

$-(CH_2)_{0-3}-(C_3-C_7)$ cycloalkyl,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-aryl}$,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heteroaryl}$,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heterocycle}$,

-cyclopentyl or -cyclohexyl ring fused to R_{C-aryl} or $R_{C-heteroaryl}$ or $R_{C-heterocycle}$.

It is more preferred that R_C is:

$-(CH_2)_{0-3}-(C_3-C_7)$ cycloalkyl,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-aryl}$,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heteroaryl}$,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heterocycle}$,

-cyclopentyl or -cyclohexyl ring fused to a R_{C-aryl} or $R_{C-heteroaryl}$ or $R_{C-heterocycle}$.

It is even more preferred that R_C is:

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-aryl}$,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heteroaryl}$,

-cyclopentyl or -cyclohexyl ring fused to a R_{C-aryl} or $R_{C-heteroaryl}$ or $R_{C-heterocycle}$.

It is still more preferred that R_C is selected from the group consisting of:

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-aryl}$ where R_{C-aryl} is phenyl,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heteroaryl}$,

-cyclopentyl or -cyclohexyl ring fused to a R_{C-aryl} or $R_{C-heteroaryl}$ or $R_{C-heterocycle}$. Further, it is preferred that when R_C is phenyl, it is substituted in the 3-position or 3,5-positions.

Suitable reaction conditions for opening the epoxide (V) include running the reaction in a wide range of common and inert solvents. C_1-C_6 alcohol solvents are preferred and isopropyl alcohol most preferred. The reactions can be run at

temperatures ranging from 20-25 degrees C up to the reflux temperature of the alcohol employed. The preferred temperature range for conducting the reaction is between 50 degrees C up to the reflux temperature of the alcohol employed. When the substituted C-terminal amine (VI) is a 1-amino-3,5-cis-dimethyl cyclohexyldicarboxylate it is preferrably prepared as follows. To dimethyl-5-aminoisophthalate in acetic acid and methanol, is added rhodium in alumina in a high-pressure bottle. The bottle is saturated with hydrogen at 55 psi and shaken for one week of time. The mixture is then filtered through a layer of diatomaceous earth and rinsed with methanol three times, the solvents are removed under reduced pressure (with heat) to give a concentrate. The concentrate is triturated with ether and filtered again to give the desired C-terminal amine (VI). When the substituted C-terminal amine (VI) is 1-amino-3,5-cis-dimethoxy cyclohexane it is prepared by following the general procedure above and making non-critical variations but starting wth 3,5-dimethoxyaniline. When the substituted C-terminal amine (VI) is an aminomethyl group where the substituent on the methyl group is an aryl group, for example NH_2-CH_2-$R_{C\text{-}aryl}$, and NH_2-CH_2-$R_{C\text{-}aryl}$ is not commercially available it is preferrably prepared as follows. A suitable starting material is the (appropriately substituted) aralkyl compound. The first step is bromination of the alkyl substitutent via methods known to those skilled in the art, see for example R.C. Larock in Comprehensive Organic Transformations, VCH Publishers, 1989, p. 313. Next the alkyl halide is reacted with azide to produce the aryl-(alkyl)-azide. Last the azide is reduced to the corresponding amine by hydrogen/catalyst to give the C-terminal amine (VI) of formula NH_2-CH_2-$R_{C\text{-}aryl}$. The suitably functionalized C-terminal amines (VI) may readily be prepared by one skilled in the art via known methods in the literature, making non-significant modifications. Select literature references include 1) Calderwood, *et al.*, *Tet. Lett.*, 1997, *38*, 1241, 2) Ciganek, J. *Org. Chem.*, 1992, *57*, 4521, 3) Thurkauf, *et al.*, *J. Med. Chem.*, 1990, *33*, 1452, 4) Werner, *et al.*, *Org. Syn.*, *Coll. Vol. 5*, 273, 5) *J. Med. Chem.*, 1999, *42*, 4193, 6) *Chem. Rev.* 1995, *95*, 2457, 7) *J. Am. Chem. Soc.*, 1986, 3150, 8) Felman *et al.*, *J. Med. Chem.*, 1992, *35*, 1183, 9) *J. Am. Chem. Soc.* 1970, *92*, 3700, 10) *J. Med. Chem.*,1997, *40*, 2323.

CHART B discloses an alternative process for production of the enantiomerically pure (S,R)-protected alcohol (VII) from the (S)-protected compound (III). In the alternative process, the (S)-protected compound (III) is first reacted with the appropriately substituted C-terminal amine R_C-NH_2 (VI) using the

preferred conditions described above to produce the corresponding (S)-protected ketone (XI) which is then reduced using the preferred conditions described above to produce the corresponding (S,R)-protected alcohol (VII).

CHART C discloses another alternative process for production of enantiomerically pure (S,R)-protected alcohol (VII) but this time from the epoxide (V). In the process of CHART C, the epoxide (V) is reacted with azide to produce the corresponding enantiomerically pure (S,R)-protected azide (XII). Conditions to conduct the azide mediated epoxide opening are known to those skilled in the art, see for example, J. March, Advanced Organic Chemistry, 3rd Edition, John Wiley & Sons Publishers, 1985, p. 380. Next, the (S,R)-protected azide (XII) is reduced to the corresponding protected amine (XIII) by methods known to those skilled in the art. Preferred reducing conditions to reduce the (S,R)-protected azide (XII) in the presence of a *t*-butoxycarbonyl N-protecting group include catalytic hydrogenation, the conditions for which are known to those skilled in the art. Alternative reducing conditions which may be used to avoid N-deprotection with protecting groups other than *t*-butoxycarbonyl are known to those skilled in the art, see for example, R.C. Larock in Comprehensive Organic Transformations, VCH Publishers, 1989, p. 409.

The (S,R)-protected alcohol (VII) is deprotected to the corresponding (S,R)-amine (VIII) by means known to those skilled in the art for removal of amine protecting group. Suitable means for removal of the amine protecting group depends on the nature of the protecting group. Those skilled in the art, knowing the nature of a specific protecting group, know which reagent is preferable for its removal. For example, it is preferred to remove the preferred protecting group, BOC, by dissolving the (S,R)-protected alcohol (VII) in a trifluoroacetic acid/dichloromethane mixture. When complete, the solvents are removed under reduced pressure to give the corresponding (S,R)-amine (as the corresponding salt

Typical chemically suitable salts include trifluoroacetate, and the anion of mineral acids such as chloride, sulfate, phosphate; preferred is trifluoroacetate and chloride.

The (S,R)-amine (VIII) is then reacted with an appropriately substituted amide forming agent (IX) such as anhydride, acyl halide, or acid of the formula $(R_{N-1}\text{-}X_N)_2O$ or $R_{N-1}\text{-}X_N\text{-}X_2$ or $R_{N-1}\text{-}X_N\text{-}OH$ (IX) by nitrogen-acylation means known to those skilled in the art to produce the corresponding (S,R)-substituted amine (X). Nitrogen acylation conditions for reaction of the (S,R)-amine (VIII) with an amide forming agent (IX) to produce the corresponding (S,R)-substituted amine (X) are known to those skilled in the art and can be found in R.C. Larock in Comprehensive Organic Transformations, VCH Publishers, 1989, p. 981, 979, and 972. R_N includes:

(I) $R_{N-1}\text{-}X_N$- where X_N is selected from the group consisting of:

(A) -CO-,

(B) $-SO_2-$,

(C) $-(CR'R'')_{1-6}$ where R' and R" are the same or different and are -H and C_1-C_4 alkyl,

(D) $-CO-(CR'R'')_{1-6}-X_{N-1}$ where X_{N-1} is selected from the group consisting of -O-, -S- and -NR'- and where R' and R" are as defined above,

(E) a single bond;

where R_{N-1} is selected from the group consisting of:

(A) $R_{N\text{-}aryl}$ where $R_{N\text{-}aryl}$ is phenyl, 1-naphthyl, 2-naphthyl, tetralinyl, indanyl, dihydronaphthyl or 6,7,8,9-tetrahydro-5H-benzo[a]cycloheptenyl, optionally substituted with one, two or three of the following substituents which can be the same or different and are:

(1) C_1-C_6 alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, $-CF_3$, C_1-C_3 alkoxy, $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(2) -OH,

(3) $-NO_2$,

(4) -F, -Cl, -Br, -I,

(5) -CO-OH,

(6) -C≡N,

(7) $-(CH_2)_{0-4}-CO-NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are the same or different and are selected from the group consisting of:

(a) -H,

(b) $-C_1-C_6$ alkyl optionally substituted with one substitutent selected from the group consisting of:

(i) -OH,

(ii) $-NH_2$,

(c) $-C_1-C_6$ alkyl optionally substituted with one, two or three -F, -Cl, -Br, -I,

(d) $-C_3-C_7$ cycloalkyl,

(e) $-(C_1-C_2$ alkyl$)-(C_3-C_7$ cycloalkyl),

(f) $-(C_1-C_6$ alkyl$)-O-(C_1-C_3$ alkyl),

(g) $-C_2-C_6$ alkenyl with one or two double bonds,

(h) $-C_2-C_6$ alkynyl with one or two triple bonds,

(i) $-C_1-C_6$ alkyl chain with one double bond and one triple bond,

(j) $-R_{1\text{-aryl}}$ where $R_{1\text{-aryl}}$ is as defined above,

(k) $-R_{1\text{-heteroaryl}}$ where $R_{1\text{-heteroaryl}}$ is as defined above,

(8) $-(CH_2)_{0-4}-CO-(C_1-C_{12}$ alkyl),

(9) $-(CH_2)_{0-4}-CO-(C_2-C_{12}$ alkenyl with one, two or three double bonds),

(10) $-(CH_2)_{0-4}-CO-(C_2-C_{12}$ alkynyl with one, two or three triple bonds),

(11) $-(CH_2)_{0-4}-CO-(C_3-C_7$ cycloalkyl),

(12) $-(CH_2)_{0-4}-CO-R_{1\text{-aryl}}$ where $R_{1\text{-aryl}}$ is as defined above,

(13) $-(CH_2)_{0-4}-CO-R_{1\text{-heteroaryl}}$ where $R_{1\text{-heteroaryl}}$ is as defined above, -

(14) $-(CH_2)_{0-4}-CO-R_{1\text{-heterocycle}}$ where $R_{1\text{-heterocycle}}$ is as defined above,

(15) $-(CH_2)_{0-4}-CO-R_{N-4}$ where R_{N-4} is selected from the group consisting of morpholinyl, thiomorpholinyl, piperazinyl, piperidinyl, homomorpholinyl, homothiomorpholinyl, homothiomorpholinyl S-oxide, homothiomorpholinyl S,S-dioxide, pyrrolinyl and pyrrolidinyl where each group is optionally substituted with one, two, three, or four of C_1-C_6 alkyl,

(16) $-(CH_2)_{0-4}-CO-O-R_{N-5}$ where R_{N-5} is selected from the group consisting of:

(a) C_1-C_6 alkyl,

(b) $-(CH_2)_{0-2}-(R_{1-aryl})$ where R_{1-aryl} is as defined above,

(c) C_2-C_6 alkenyl containing one or two double bonds,

(d) C_2-C_6 alkynyl containing one or two triple bonds,

(e) C_3-C_7 cycloalkyl,

(f) $-(CH_2)_{0-2}-(R_{1-heteroaryl})$ where $R_{1-heteroaryl}$ is as defined above,

(17) $-(CH_2)_{0-4}-SO_2-NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are as defined above,

(18) $-(CH_2)_{0-4}-SO-(C_1-C_8$ alkyl),

(19) $-(CH_2)_{0-4}-SO_2-(C_1-C_{12}$ alkyl),

(20) $-(CH_2)_{0-4}-SO_2-(C_3-C_7$ cycloalkyl),

(21) $-(CH_2)_{0-4}-N(H$ or $R_{N-5})-CO-O-R_{N-5}$ where R_{N-5} can be the same or different and is as defined above,

(22) $-(CH_2)_{0-4}-N(H$ or $R_{N-5})-CO-N(R_{N-5})_2$, where R_{N-5} can be the same or different and is as defined above,

(23) $-(CH_2)_{0-4}-N-CS-N(R_{N-5})_2$, where R_{N-5} can be the same or different and is as defined above,

(24) $-(CH_2)_{0-4}-N(-H$ or $R_{N-5})-CO-R_{N-2}$ where R_{N-5} and R_{N-2} can be the same or different and are as defined above,

(25) $-(CH_2)_{0-4}-NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} can be the same or different and are as defined above,

(26) $-(CH_2)_{0-4}-R_{N-4}$ where R_{N-4} is as defined above,

(27) $-(CH_2)_{0-4}-O-CO-(C_1-C_6$ alkyl),

(28) $-(CH_2)_{0-4}-O-P(O)-(OR_{N\text{-}aryl\text{-}1})_2$ where $R_{N\text{-}aryl\text{-}1}$ is -H or C_1-C_4 alkyl,

(29) $-(CH_2)_{0-4}-O-CO-N(R_{N\text{-}5})_2$ where $R_{N\text{-}5}$ is as defined above,

(30) $-(CH_2)_{0-4}-O-CS-N(R_{N\text{-}5})_2$ where $R_{N\text{-}5}$ is as defined above,

(31) $-(CH_2)_{0-4}-O-(R_{N\text{-}5})_2$ where $R_{N\text{-}5}$ is as defined above,

(32) $-(CH_2)_{0-4}-O-(R_{N\text{-}5})_2-COOH$ where $R_{N\text{-}5}$ is as defined above,

(33) $-(CH_2)_{0-4}-S-(R_{N\text{-}5})_2$ where $R_{N\text{-}5}$ is as defined above,

(34) $-(CH_2)_{0-4}-O-(C_1$-C_6 alkyl optionally substituted with one, two, three, four or five of -F),

(35) C_3-C_7 cycloalkyl,

(36) C_2-C_6 alkenyl with one or two double bonds optionally substituted with C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, $-CF_3$, C_1-C_3 alkoxy, $-NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are as defined above,

(37) C_2-C_6 alkynyl with one or two triple bonds optionally substituted with C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, $-CF_3$, C_1-C_3 alkoxy, $-NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are as defined above,

(38) $-(CH_2)_{0-4}-N(-H$ or $R_{N\text{-}5})-SO_2-R_{N\text{-}2}$ where $R_{N\text{-}5}$ and $R_{N\text{-}2}$ can be the same of different and are as described above,

(39) $-(CH_3)_{0-4}-$ C_3-C_7 cycloalkyl,

(B) $-R_{N\text{-}heteroaryl}$ where $R_{N\text{-}heteroaryl}$ is selected from the group consisting of:

pyridinyl,
pyrimidinyl,
quinolinyl,
benzothienyl,
indolyl,
indolinyl,
pryidazinyl,

pyrazinyl,
isoindolyl,
isoquinolyl,
quinazolinyl,
quinoxalinyl,
phthalazinyl,
imidazolyl,
isoxazolyl,
pyrazolyl,
oxazolyl,
thiazolyl,
indolizinyl,
indazolyl,
benzothiazolyl,
benzimidazolyl,
benzofuranyl,
furanyl,
thienyl,
pyrrolyl,
oxadiazolyl,
thiadiazolyl,
triazolyl,
tetrazolyl,
oxazolopyridinyl,
imidazopyridinyl,
isothiazolyl,
naphthyridinyl,
cinnolinyl,
carbazolyl,
beta-carbolinyl,
isochromanyl,
chromanyl,
tetrahydroisoquinolinyl,
isoindolinyl,

isobenzotetrahydrofuranyl,
isobenzotetrahydrothienyl,
isobenzothienyl,
benzoxazolyl,
pyridopyridinyl,
benzotetrahydrofuranyl,
benzotetrahydrothienyl,
purinyl,
benzodioxolyl,
triazinyl,
phenoxazinyl,
phenothiazinyl,
pteridinyl,
benzothiazolyl,
imidazopyridinyl,
imidazothiazolyl,
dihydrobenzisoxazinyl,
benzisoxazinyl,
benzoxazinyl,
dihydrobenzisothiazinyl,
benzopyranyl,
benzothiopyranyl,
coumarinyl,
isocoumarinyl,
chromonyl,
chromanonyl,
pyridinyl-N-oxide,
tetrahydroquinolinyl
dihydroquinolinyl
dihydroquinolinonyl
dihydroisoquinolinonyl
dihydrocoumarinyl
dihydroisocoumarinyl
isoindolinonyl

benzodioxanyl
benzoxazolinonyl
pyrrolyl N-oxide,
pyrimidinyl N-oxide,
pyridazinyl N-oxide,
pyrazinyl N-oxide,
quinolinyl N-oxide,
indolyl N-oxide,
indolinyl N-oxide,
isoquinolyl N-oxide,
quinazolinyl N-oxide,
quinoxalinyl N-oxide,
phthalazinyl N-oxide,
imidazolyl N-oxide,
isoxazolyl N-oxide,
oxazolyl N-oxide,
thiazolyl N-oxide,
indolizinyl N-oxide,
indazolyl N-oxide,
benzothiazolyl N-oxide,
benzimidazolyl N-oxide,
pyrrolyl N-oxide,
oxadiazolyl N-oxide,
thiadiazolyl N-oxide,
triazolyl N-oxide,
tetrazolyl N-oxide,
benzothiopyranyl S-oxide,
benzothiopyranyl S,S-dioxide,

where the $R_{N\text{-heteroaryl}}$ group is bonded by any atom of the parent $R_{N\text{-heteroaryl}}$ group substituted by hydrogen such that the new bond to the $R_{N\text{-heteroaryl}}$ group replaces the hydrogen atom and its bond, where heteroaryl is optionally substituted with one, two three, or four of:

(1) C_1-C_6 alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I,

-OH, -SH, -C≡N, $-CF_3$, C_1-C_3 alkoxy, $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(2) -OH,

(3) $-NO_2$,

(4) -F, -Cl, -Br, -I,

(5) -CO-OH,

(6) -C≡N,

(7) $-(CH_2)_{0-4}$-CO-$NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are the same or different and are selected from the group consisting of:

(a) -H,

(b) -C_1-C_6 alkyl optionally substituted with one substitutent selected from the group consisting of:

(i) -OH,

(ii) $-NH_2$,

(c) -C_1-C_6 alkyl optionally substituted with one to three -F, -Cl, -Br, -I,

(d) -C_3-C_7 cycloalkyl,

(e) -(C_1-C_2 alkyl)-(C_3-C_7 cycloalkyl),

(f) -(C_1-C_6 alkyl)-O-(C_1-C_3 alkyl),

(g) -C_2-C_6 alkenyl with one or two double bonds,

(h) -C_2-C_6 alkynyl with one or two triple bonds,

(i) -C_1-C_6 alkyl chain with one double bond and one triple bond,

(j) $-R_{1-aryl}$ where R_{1-aryl} is as defined above,

(k) $-R_{1-heteroaryl}$ where $R_{1-heteroaryl}$ is as defined above,

(8) $-(CH_2)_{0-4}$-CO-(C_1-C_{12} alkyl),

(9) $-(CH_2)_{0-4}$-CO-(C_2-C_{12} alkenyl with one, two or three double bonds),

(10) $-(CH_2)_{0-4}$-CO-(C_2-C_{12} alkynyl with one, two or three triple bonds),

(11) $-(CH_2)_{0-4}-CO-(C_3-C_7$ cycloalkyl),

(12) $-(CH_2)_{0-4}-CO-R_{1-aryl}$ where R_{1-aryl} is as defined above,

(13) $-(CH_2)_{0-4}-CO-R_{1-heteroaryl}$ where $R_{1-heteroaryl}$ is as defined above,

(14) $-(CH_2)_{0-4}-CO-R_{1-heterocycle}$ where $R_{1-heterocycle}$ is as defined above,

(15) $-(CH_2)_{0-4}-CO-R_{N-4}$ where R_{N-4} is selected from the group consisting of morpholinyl, thiomorpholinyl, piperazinyl, piperidinyl, homomorpholinyl, homothiomorpholinyl, homothiomorpholinyl S-oxide, homothiomorpholinyl S,S-dioxide, pyrrolinyl and pyrrolidinyl where each group is optionally substituted with one, two, three, or four of C_1-C_6 alkyl,

(16) $-(CH_2)_{0-4}-CO-O-R_{N-5}$ where R_{N-5} is selected from the group consisting of:

(a) C_1-C_6 alkyl,

(b) $-(CH_2)_{0-2}-(R_{1-aryl})$ where R_{1-aryl} is as defined above,

(c) C_2-C_6 alkenyl containing one or two double bonds,

(d) C_2-C_6 alkynyl containing one or two triple bonds,

(e) C_3-C_7 cycloalkyl,

(f) $-(CH_2)_{0-2}-(R_{1-heteroaryl})$ where $R_{1-heteroaryl}$ is as defined above,

(17) $-(CH_2)_{0-4}-SO_2-NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are as defined above,

(18) $-(CH_2)_{0-4}-SO-(C_1-C_8$ alkyl),

(19) $-(CH_2)_{0-4}-SO_2-(C_1-C_{12}$ alkyl),

(20) $-(CH_2)_{0-4}-SO_2-(C_3-C_7$ cycloalkyl),

(21) $-(CH_2)_{0-4}-N(H$ or $R_{N-5})-CO-O-R_{N-5}$ where R_{N-5} can be the same or different and is as defined above,

(22) $-(CH_2)_{0-4}-N(H$ or $R_{N-5})-CO-N(R_{N-5})_2$, where R_{N-5} can be the same or different and is as defined above,

(23) $-(CH_2)_{0-4}-N-CS-N(R_{N-5})_2$, where R_{N-5} can be the same or different and is as defined above,

(24) $-(CH_2)_{0-4}-N(-H$ or $R_{N-5})-CO-R_{N-2}$ where R_{N-5} and R_{N-2} can be the same or different and are as defined above,

(25) $-(CH_2)_{0-4}-NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} can be the same or different and are as defined above,

(26) $-(CH_2)_{0-4}-R_{N-4}$ where R_{N-4} is as defined above,

(27) $-(CH_2)_{0-4}-O-CO-(C_1-C_6$ alkyl),

(28) $-(CH_2)_{0-4}-O-P(O)-(OR_{N-aryl-1})_2$ where $R_{N-aryl-1}$ is -H or C_1-C_4 alkyl,

(29) $-(CH_2)_{0-4}-O-CO-N(R_{N-5})_2$ where R_{N-5} is as defined above,

(30) $-(CH_2)_{0-4}-O-CS-N(R_{N-5})_2$ where R_{N-5} is as defined above,

(31) $-(CH_2)_{0-4}-O-(R_{N-5})_2$ where R_{N-5} is as defined above,

(32) $-(CH_2)_{0-4}-O-(R_{N-5})_2-COOH$ where R_{N-5} is as defined above,

(33) $-(CH_2)_{0-4}-S-(R_{N-5})_2$ where R_{N-5} is as defined above,

(34) $-(CH_2)_{0-4}-O-(C_1-C_6$ alkyl optionally substituted with one, two, three, four, or five of -F),

(35) C_3-C_7 cycloalkyl,

(36) C_2-C_6 alkenyl with one or two double bonds optionally substituted with C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, $-CF_3$, C_1-C_3 alkoxy, $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(37) C_2-C_6 alkynyl with one or two triple bonds optionally substituted with C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, $-CF_3$, C_1-C_3 alkoxy, $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(38) $-(CH_2)_{0-4}-N(-H$ or $R_{N-5})-SO_2-R_{N-2}$ where R_{N-5} and R_{N-2} can be the same or different and are as described above,

(39) $-(CH_2)_{0-4}-$ C_3-C_7 cycloalkyl,

(C) $R_{N-aryl}-W-R_{N-aryl}$,

(D) $R_{N\text{-aryl}}$-W-$R_{N\text{-heteroaryl}}$,

(E) $R_{N\text{-aryl}}$-W-$R_{N\text{-1-heterocycle}}$, where $R_{N\text{-heterocycle}}$ is defined as $R_{1\text{-heterocycle}}$ is defined above,

(F) $R_{N\text{-heteroaryl}}$-W-$R_{N\text{-aryl}}$,

(G) $R_{N\text{-heteroaryl}}$-W-$R_{N\text{-heteroaryl}}$,

(H) $R_{N\text{-heteroaryl}}$-W-$R_{N\text{-1-heterocycle}}$, where $R_{N\text{-1-heterocycle}}$ is defined as $R_{1\text{-heterocycle}}$ is defined above,

(I) $R_{N\text{-heterocycle}}$-W-$R_{N\text{-aryl}}$,

(J) $R_{N\text{-heterocycle}}$-W-$R_{N\text{-heteroaryl}}$,

(K) $R_{N\text{-heterocycle}}$-W-$R_{N\text{-1-heterocycle}}$,

where W is

(1) $-(CH_2)_{0\text{-}4}-$,

(2) -O-,

(3) $-S(O)_{0\text{-}2}-$,

(4) $-N(R_{N\text{-}5})-$ where $R_{N\text{-}5}$ is as defined above, or

(5) -CO-;

(II) -CO-(C_1-C_{10} alkyl) where alkyl is optionally substituted with one two, or three substitutents selected from the group consisting of:

(A) -OH,

(B) -C_1-C_6 alkoxy,

(C) -C_1-C_6 thioalkoxy,

(D) -CO-O-$R_{N\text{-}8}$ where $R_{N\text{-}8}$ is -H, C_1-C_6 alkyl or -phenyl,

(E) -CO-$NR_{N\text{-}2}R_{N\text{-}3}$ where $R_{N\text{-}2}$ and $R_{N\text{-}3}$ are the same or different and are as defined above,

(F) -CO-$R_{N\text{-}4}$ where $R_{N\text{-}4}$ is as defined above,

(G) -SO_2-(C_1-C_8 alkyl),

(H) -SO_2-$NR_{N\text{-}2}R_{N\text{-}3}$ where $R_{N\text{-}2}$ and $R_{N\text{-}3}$ are the same or different and are as defined above,

(I) -NH-CO-(C_1-C_6 alkyl),

(J) -NH-CO-O-$R_{N\text{-}8}$ where $R_{N\text{-}8}$ is as defined above,

(K) -$NR_{N\text{-}2}R_{N\text{-}3}$ where $R_{N\text{-}2}$ and $R_{N\text{-}3}$ are the same or different and are as defined above,

(L) -$R_{N\text{-}4}$ where $R_{N\text{-}4}$ is as defined above,

(M) -O-CO-(C_1-C_6 alkyl),

(N) -O-CO-$NR_{N-8}R_{N-8}$ where the R_{N-8}s are the same or different and are as defined above,

(O) -O-(C_1-C_5 alkyl)-COOH,

(P) -O-(C_1-C_6 alkyl optionally substitued with one, two, or three of: -F, -Cl, -Br, -I),

(Q) -NH-SO_2-(C_1-C_6 alkyl),

(R) -F, -Cl,

(III) -CO-(C_1-C_6 alkyl)-O-(C_1-C_6 alkyl) where alkyl is optionally substituted with one, two, or three substitutents selected from the group consisting of:

(A) -OH,

(B) -C_1-C_6 alkoxy,

(C) -C_1-C_6 thioalkoxy,

(D) -CO-O-R_{N-8} where R_{N-8} is -H, C_1-C_6 alkyl or -phenyl,

(E) -CO-$NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are the same or different and are as defined above,

(F) -CO-R_{N-4} where R_{N-4} is as defined above,

(G) -SO_2-(C_1-C_8 alkyl),

(H) -SO_2-$NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are the same or different and are as defined above,

(I) -NH-CO-(C_1-C_6 alkyl),

(J) -NH-CO-O-R_{N-8} where R_{N-8} is as defined above,

(K) -$NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are the same or different and are as defined above,

(L) -R_{N-4} where R_{N-4} is as defined above,

(M) -O-CO-(C_1-C_6 alkyl),

(N) -O-CO-$NR_{N-8}R_{N-8}$ where the R_{N-8}s are the same or different and are as defined above,

(O) -O-(C_1-C_5 alkyl)-COOH,

(P) -O-(C_1-C_6 alkyl optionally substitued with one, two, or three of: -F, -Cl, -Br, -I),

(Q) -NH-SO_2-(C_1-C_6 alkyl),

(R) -F, -Cl,

(IV) -CO-(C_1-C_6 alkyl)-S-(C_1-C_6 alkyl) where alkyl is optionally substituted with one, two, or three substitutents selected from the group consisting of:

(A) -OH,

(B) -C_1-C_6 alkoxy,

(C) -C_1-C_6 thioalkoxy,

(D) -CO-O-R_{N-8} where R_{N-8} is as defined above,

(E) -CO-$NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are the same or different and are as defined above,

(F) -CO-R_{N-4} where R_{N-4} is as defined above,

(G) -SO_2-(C_1-C_8 alkyl),

(H) -SO_2-$NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are the same or different and are as defined above,

(I) -NH-CO-(C_1-C_6 alkyl),

(J) -NH-CO-O-R_{N-8} where R_{N-8} is as defined above,

(K) -$NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are the same or different and are as defined above,

(L) -R_{N-4} where R_{N-4} is as defined above,

(M) -O-CO-(C_1-C_6 alkyl),

(N) -O-CO-$NR_{N-8}R_{N-8}$ where the R_{N-8}s are the same or different and are as defined above,

(O) -O-(C_1-C_5 alkyl)-COOH,

(P) -O-(C_1-C_6 alkyl optionally substitued with one, two, or three of: -F, -Cl, -Br, -I),

(Q) -NH-SO_2-(C_1-C_6 alkyl),

(R) -F, -Cl,

(V) -CO-CH(-$(CH_2)_{0-2}$-O-R_{N-10})-$(CH_2)_{0-2}$-R_{N-aryl}/$R_{N-heteroaryl}$) where R_{N-aryl} and $R_{N-heteroaryl}$ are as defined above, where R_{N-10} is selected from the group consisting of:

(A) -H,

(B) C_1-C_6 alkyl,

(C) C_3-C_7 cycloalkyl,

(D) C_2-C_6 alkenyl with one double bond,

(E) C_2-C_6 alkynyl with one triple bond,

(F) $R_{1\text{-aryl}}$ where $R_{1\text{-aryl}}$ is as defined above,

(G) $R_{N\text{-heteroaryl}}$ where $R_{N\text{-heteroaryl}}$ is as defined above,

(VI) -CO-(C_3-C_8 cycloalkyl) where alkyl is optionally substituted with one or two substitutents selected from the group consisting of:

(A) -$(CH_2)_{0-4}$-OH,

(B) -$(CH_2)_{0-4}$-C_1-C_6 alkoxy,

(C) -$(CH_2)_{0-4}$-C_1-C_6 thioalkoxy,

(D) -$(CH_2)_{0-4}$-CO-O-R_{N-8} where R_{N-8} is -H, C_1-C_6 alkyl or -phenyl,

(E) -$(CH_2)_{0-4}$-CO-$NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are the same or different and are as defined above,

(F) -$(CH_2)_{0-4}$-CO-R_{N-4} where R_{N-4} is as defined above,

(G) -$(CH_2)_{0-4}$-SO_2-(C_1-C_8 alkyl),

(H) -$(CH_2)_{0-4}$-SO_2-$NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are the same or different and are as defined above,

(I) -$(CH_2)_{0-4}$-NH-CO-(C_1-C_6 alkyl),

(J) -NH-CO-O-R_{N-8} where R_{N-8} is as defined above,

(K) -$(CH_2)_{0-4}$-$NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are the same or different and are as defined above,

(L) -$(CH_2)_{0-4}$-R_{N-4} where R_{N-4} is as defined above,

(M) -O-CO-(C_1-C_5 alkyl),

(N) -O-CO-$NR_{N-8}R_{N-8}$ where the R_{N-8}s are the same or different and are as defined above,

(O) -O-(C_1-C_5 alkyl)-COOH,

(P) -O-(C_1-C_6 alkyl optionally substitued with one, two, or three of: -F, -Cl, -Br, -I),

(Q) -NH-SO_2-(C_1-C_6-alkyl),

(R) -F, -Cl.

It is preferred that R_N is selected from the group consisting of:

R_{N-1}-X_N- where X_N is -CO-, where R_{N-1} is R_{N-aryl} or $R_{N-heteroaryl}$ where R_{N-aryl} is phenyl where the substitution on phenyl is 1,3-, and where R_{N-aryl} or $R_{N-heteroaryl}$ are substituted with one -CO-$NR_{N-2}R_{N-3}$,

R_{N-1}-X_N- where X_N is-CO-, where R_{N-1} is R_{N-aryl} or $R_{N-heteroaryl}$ where R_{N-aryl} is phenyl substituted with one C_1 alkyl where the substitution on the phenyl is 1,3,5-, and where R_{N-aryl} or $R_{N-heteroaryl}$ are substituted with one -CO-$NR_{N-2}R_{N-3}$,

R_{N-1}-X_N- where X_N is -CO-, where R_{N-1} is $R_{N-heteroaryl}$ where $R_{N-heteroaryl}$ is substituted with one -CO-$NR_{N-2}R_{N-3}$. It is further preferred that R_{N-2} and R_{N-3} are the same and are C_3 alkyl. It is further preferred that:

R_{N-1}-X_N- where X_N is -CO-, where R_{N-1} is R_{N-aryl} where R_{N-aryl} is phenyl substituted with one -CO-$NR_{N-2}R_{N-3}$ where the substitution on phenyl is 1,3-,

R_{N-1}-X_N- where X_N is-CO-, where R_{N-1} is R_{N-aryl} where R_{N-aryl} is phenyl substituted with one C_1 alkyl and with one -CO-$NR_{N-2}R_{N-3}$ where the substitution on the phenyl is 1,3,5-. It is preferred that X_N is (A) -CO- and (B) -SO_2-; it is more preferred that X_N be -CO-. X_2 includes -Cl, -Br; it is preferred that X_2 is -Cl.

The nitrogen-acylation of primary amines to produce secondary amides is one of the oldest known reactions. The amide forming agents, $(R_{N-1}\text{-}X_N)_2O$ or $R_{N-1}\text{-}X_N\text{-}X_2$ or $R_{N-1}\text{-}X_N\text{-}OH$ (IX) are known to those skilled in the art and are commercially available or can be readily prepared from known starting materials by methods known in the literature. It is preferred to use an isophthalic acid acylating agent (IX) of the formula $R_{N-2}R_{N-3}$N-CO-phenyl-CO- or a methylisophthalic acid acylating agent (IX) of the formula $R_{N-2}R_{N-3}$N-CO-(CH_3-)phenyl-CO- where the substitution is 5-methyl-1,3-isophthalic acid. The more preferred 5-methyl-1,3-isophthalic acid is 3-[(N,N-dipropylamino)carbonyl]-5-methylbenzoic acid (IX). These compounds are preferably prepared as follows. An ester, preferably the monomethyl ester of isophthalic acid or methyl 5-methyl-1,3-isophthalate is dissolved in a THF/DMF mixture. 1,1'-Carbonyldiimidazole is added at 20-25 degrees C. Next the desired amine (H-$NR_{N-2}R_{N-3}$) is added. After 3-24 hr of stirring at 20 degrees C to the reflux temperature of the solvent, the reaction mixture is partitioned between saturated aqueous ammonium chloride and a water immiscible organic solvent such as ethyl acetate. The aqueous layer is separated and extracted twice more with the organic solvent (ethyl acetate). The organic extracts are combined and then washed with

saturated aqueous solutions of bicarbonate and saline and dried over anhydrous sodium sulfate or magnesium sulfate. Filtration of the drying agent and removal of solvents by reduced pressure gives the methyl ester of the desired $R_{N-2}R_{N-3}N$-CO-phenyl-CO-O-CH_3 or a methylisophthalic acid acylating agent (IX) $R_{N-2}R_{N-3}N$-CO-(CH_3-)phenyl-CO-O-CH_3. Purification of the (methyl) ester can be achieved via chromatography on silica gel eluting with ethyl acetate in hexanes. The isophthalate ester or methylisophthalate ester of the mono-alkyl or di-alkyl amide is then treated with an aqueous solution of base such as lithium hydroxide in a minimum amount of THF/methanol/water and stirred 3-24 hours at 20 degrees C to the reflux temperature of the solvent. The solvents are then removed under reduced pressure and subsequently partitioned between water and a water immiscible solvent such as ethyl acetate, for example. If emulsions prohibit separation of the two phases, a small amount of saline is added to aid in separation. The aqueous phase is separated and extracted once more with a water immiscible solvent such as ethyl acetate, for example. The aqueous phase is then acidified with concentrated acid, preferably hydrochloric until pH ≤ 3. The mixture obtained is then extracted three times with a water immiscible solvent such as ethyl acetate, for example. These combined organic extracts are dried over anhydrous sodium or magnesium sulfate. The drying agent is removed by filtration and the organic solvent is removed under reduced pressure to give product. The mono- or di-alkyl amide isophthalate/methylisophthalate is used as such in the next reaction with the (S,R)-amine (VIII) to produce the (S,R)-substituted amine (X).

When it is desired to produce a primary amide, R_{N-2} and R_{N-3} are both -H, the following procedure is preferred. An ester, preferably the methyl ester of isophthalate or methyl 5-methyl-1,3-isophthalate is dissolved in a THF/DMF mixture. CDI is added at 20-25 degrees C. After five to thirty minutes, ammonia gas is bubbled into the mixture through a syringe needle for 1 hr. The mixture is cooled to 0 degrees C for the duration of the hour. The reaction is left stirring under a balloon of ammonia overnight at 20-25 degrees C, after which time the reaction mixture is partitioned between saturated aqueous ammonium chloride and a water immiscible solvent such as ethyl acetate, for example. The phases are separated and the aqueous phase is extracted twice more with ethyl acetate. The organic extracts are washed with saturated aqueous solutions of bicarbonate and saline and dried over anhydrous sodium or magnesium sulfate. Filtration of the drying agent and

removal of solvents under reduced pressure gives the ester of the desired isophthalic acid or the isophthalic acid acylating agent (IX). Purification of the (methyl) ester can be achieved via chromatography on silica gel eluting with isopropanol/chloroform. The isophthalate ester or methylisophthalate ester of the primary amide is then treated with an aqueous solution of base such as lithium hydroxide in a minimum amount of THF/methanol/water and stirred overnight at 20-25 degrees C after which time the solvents are removed under reduced pressure and subsequently partitioned between water and a water immiscible solvent such as ethyl acetate, for example. If emulsions prohibit separation of the two phases, a small amount of saline is added to aid in separation. The aqueous phase is separated and extracted once more with a water immiscible solvent such as ethyl acetate, for example. The aqueous phase is then acidified with concentrated acid, preferably hydrochloric until pH ≤ 3. The mixture obtained is then extracted three times with ethyl acetate. These combined organic extracts are dried over anhydrous sodium or magnesium sulfate. The drying agent is removed by filtration and the organic solvent removed under reduced pressure to give product. The amide isophthalic acid is used as such in the next reaction with (VIII) to produce (X).

When it is desired that the amine be cyclized to be a group such as morpholinyl, piperazinyl, piperidinyl and pyrrolidinyl, etc the following procedure is preferably followed. An ester, preferably the methyl ester of isophthalic acid or methyl 5-methyl-1,3-isophthalate is dissolved in dry methylene chloride and three drops of DMF are added. The mixture is cooled to 0 degrees C and then oxalyl chloride is added. The mixture is stirred at 0 degrees C for 30 minutes to two hours after which the solvents are removed under reduced pressure. The acid chloride is left under vacuum overnight. The crude acid chloride is dissolved in dry methylene and cooled to 0 degrees C before the addition of the cyclic amine and a tertiary amine base such as N-methyl piperidine, for example. The reaction mixture is stirred at 0 degrees C for 1 to 6 hr before the solvents are removed under reduced pressure. The residue is diluted with water and a water immiscible solvent such as ethyl acetate, for example, and the phases are separated. The aqueous phase is extracted twice more with a water immiscible solvent such as ethyl acetate, for example, and the combined organic extracts are washed with saturated aqueous bicarbonate and dried over anhydrous sodium or magnesium sulfate. Filtration of the drying agent and removal of solvents under reduced pressure gives the product

cyclic amide. The cyclic amide is then treated with an aqueous base such as lithium hydroxide in a minimum amount of THF/methanol/water and stirred overnight at 20-25 degrees C, after which time the solvents are removed under reduced pressure and the residue is subsequently partitioned between water and a water immiscible solvent such as ethyl acetate, for example. The aqueous phase is extracted once more with ethyl acetate. Removal of water from the aqueous phase under reduced pressure gives the desired cyclic amide product (IX).

When it is desired that R_{N-1} is carbocycle, for example but not limited to, cyclohexane, one may then start with a suitably functionalized dimethyl isophthalate and via methods taught in the literature (Meyers, A.I., *Org. Syn.*, 1971, *51*, 103) one may reduce the six-membered ring with reducing agents such as rhodium (5%) on alumina in the presence of acetic acid and methanol under a hydrogen atmosphere to afford the corresponding dimethyl cyclohexane dicarboxylate.

CHART D sets forth an alternative process for production of the (S,R)-substituted amine (X) from the (S,R)-protected azide (XII), which is produced from the corresponding epoxide (V) in CHART C. The amino protecting group is removed to produce the corresponding unprotected azide (XIV) by methods previously described in CHART A for the conversion of (S,R)-protected alcohol (VII) to the corresponding (S,R)-amine (VIII). The (S,R)-unprotected azide (XIV) is then acylated on nitrogen to produce the corresponding (S,R)-azide (XV). Next, the azide functionality is reduced as previously discussed for the conversion of the (S,R)-protected azide (XII) to the corresponding (S,R)-protected amine (XIII) to give the (S,R)-free amine (XVI). Last, the (S,R)-free amine (XVI) is transformed to the corresponding (S,R)-substituted amine (X) by nitrogen alkylation with a compound of the formula R_C-X_3 to give the corresponding (S,R)-substituted amine (X). X_3 is an appropriate leaving group, such as but not limited to, -Cl, -Br, -I, -O-mesylate, -O-tosylate, O-triflate, etc. X_3 may also be an aldehyde; the corresponding coupling with (XVI) via the well known reductive amination procedure gives the (S,R)-substituted amine (X).

Carbocylic amide forming agents (IX) are also provided for by the invention. For example, the carbocyclic amide forming agents of the formula

R'-CH-C(R'')(R''')-CH-X_N-OH (IX) are readily prepared from known starting materials by methods disclosed in the literature and known to those skilled in the art, for example, *J. Med. Chem.* **1998**, *41*, 1581, *J. Org. Chem.* **2000**, *65*, 1305. It is also understood that instead of the carboxylic acid, one may readily employ an acyl halide, where the halide is preferably choride, or a suitable group to produce a mixed anhydride; these methods are taught by CHART A. For additional guidance on the formation of carbocyles and preferably cyclopropanes, one may consult M.P. Doyle; M.A. McKervery; T. Ye in *Modern Catalytic Methods for Organic Synthesis with Diazo Compounds From Cyclopropanes to Ylides*, Wiley-Interscience, 1998, pp. 163-279.

CHARTs E, F, G, and H disclose various methods to produce the R_N portion of the substituted amine (X) where the phenyl ring of the R_N 1,3-disubstituted moiety,

-CO-phenyl-CO-, is further substituted in the 5-position with various groups such as amides, nitriles, halides, and amines. These compounds are prepared by methods known to those skilled in the art. The process chemistry of each reaction is known to those skilled in the art. What is novel here is the order of each process step and/or the specific reactants used. One skilled in the art knowing the desired product would know at least one method to prepare the desired product by using known starting materials. Hence, the following discussion is not necessary but is set forth to further aid those interested in preparing the compounds of the invention.

CHART E discloses alternate processes for the transformation of the aniline (XVII) or acid ester (XVIII) to the corresponding acid (IX-XXIII). One process begins with the commercially available aniline (XVII) where R_{N-a} is preferably -H, C_1-C_4 alkyl or benzyl. The aniline (XVII) is treated with a diazotizing reagent such as sodium or potassium nitrite in mineral acid, followed by a halogen source such as copper (II) halide or alkali metal halide, or by an organic diazotizing reagent such as an alkyl nitrite in a strong acid such as acetic acid or trifluoroacetic acid, followed by a halide source such as copper (II) halide or alkali metal halide to give the halo acid ester (XIX) where R_{N-b} is -Cl, -Br or -I. Alternatively, the acid ester (XVIII) is

treated with N-halosuccinimide and trifluoromethanesulfonic acid to give the halo acid ester (XIX). The halo acid ester (XIX) is then converted to the ester amide (XXI) using a primary or secondary amine of the formula H-$NR_{Nalpha}R_{Nbeta}$ (AMINE) where R_{Nalpha} and R_{Nbeta} are the same or different or can be cyclized. These groups, R_{Nalpha} and R_{Nbeta}, become part of the substituted amine (X) and are included in the definition of R_N. R_N includes R_{N-1}-X_N- where the linker, -X_N-, includes -CO- and R_{N-1} includes R_{N-aryl}. R_{N-aryl} is defined to include phenyl (-phenyl) optionally substituted with two amides:

-CO-$NR_{N-2}R_{N-3}$ and

-CO-R_{N-4}. R_{Nalpha} and R_{Nbeta} include both the non-cyclic amides,-CO-NR_{N-2}-R_{N-3} and the cyclic amides-CO-R_{N-4} where R_{N-2}, R_{N-3} and R_{N-4} are as defined in the claims. Alternatively, the halo acid ester (XIX) is converted to the dihalo ester (XX) by methods known to those skilled in the art. R_{N-c} includes -Cl and -F. The dihalo ester (XX) is treated with a primary or secondary amine of the formula H-$NR_{Nalpha}R_{Nbeta}$ (AMINE) to give the ester amide (XXI). The ester amide (XXI) is then reacted with an AMINE in a carbon monoxide atmosphere in the presence of a palladium catalyst using methods such as those reviewed by Heck, (Palladium Reagents in Organic Synthesis, 1985 pp. 342-365). to give the diamide (XXII). Hydrolysis of the ester portion of the diamide (XXII) using methods well known to those skilled in the art gives the diamide acid (XXIII).

In CHART F, an alterate route to intermediate diamide (XXII) is shown starting from commercially available phenol (XXIV). The phenol (XXIV) is treated with a trifluoromethanesulfonating reagent such as trifluoromethanesulfonic anhydride to give triflate (XXV).. The triflate (XXV) is reacted under the conditions of palladium catalysis in the presence of carbon monoxide and an amine of the formula H-$NR_{Nalpha}R_{Nbeta}$ (AMINE) as for the conversion of the ester amide (XXI) to the corresponding diamide (XXII) in CHART E to give the diester (XXVI). The diester (XXVI) is hydrolyzed using methods known to those skilled in the art to give the monoacid (XXVII). The monoacid (XXVII) is then converted to the diamide (XXII) using conditions such as for the conversion of the halo acid ester (XIX) to the ester amide (XXI) in CHART E.

CHART G discloses another route to prepare the ester amide (XXI). The reaction starts with commercially available nitro compound (XXVIII) which is condensed with an (AMINE) using coupling methods known to those skilled in the

art to give the nitro amide (XXX). The nitro amide (XXX) can also be prepared by first treating the nitro compound (XXVIII) with reagents such as thionyl chloride, or DMF and oxalyl chloride, or other methods known to those skilled in the art to give the acid chloride (XXIX), which upon treatment with the (AMINE) gives the nitro amide (XXX). Reduction of the nitro amide (XXX) using methods known to those skilled in the art (see, for example, Smith and March, Advanced Organic Chemistry, 5th ed.) gives amide aniline (XXXI). The amide aniline (XXXI) is then treated with diazotizing reagents such as sodium or potassium nitrite in mineral acid, followed by a halogen source such as copper (II) halide or alkali metal halide, or by an organic diazotizing reagent such as an alkyl nitrite in a strong acid such as acetic acid or trifluoroacetic acid, followed by a halide source such as copper (II) halide or alkali metal halide to give the ester amide (XXI).

CHART H discloses a process to prepare the diamide acid (IX-XXIII) from the ester amide (XXI), where one of the amides is unsubstituted and is -CO-NH_2. This process starts from either the ester or the acid, for example the ester amide (XXI) is treated with copper (I) cyanide (CuCN) in N-methylpyrrolidinone or DMF, preferably N-methylpyrrolidinone, to give the nitrile (XXXII). The nitrile (XXXII) is converted to the primary amide (XXXIII) using urea-hydrogen peroxide complex (see *Synth. Commun.* (1993) 3149) or the methods of Synth. Commun. (1990) 1445, *Synth. Commun.* (1997) 3119, *J. Org. Chem.* (1992) 2521, *Tet. Lett.* (1996) 6555, *Ind. J. Chem.*, Sect. B, (1999) 974, *Tet. Lett.* (1995) 3469, *Tet. Lett.* (1998) 3005, or others. When the ester amide (XXI) is in the form of an ester, an additional hydrolysis step using lithium hydroxide, sodium hydroxide, potassium hydroxide, barium hydroxide, or other hydrolysis methods known to those skilled in the art is used to convert the diamide ester (XXXIII) to the diamide acid (IX-XXIII).

CHART I discloses an alternate synthetic route from the protected alcohol (VII) to the substituted amine (X) which uses a diprotected intermediate (XXXIV) whereby the nitrogen atom attached to the R_C substitutent is protected. Using the process of CHART I, the mono protected alcohol (VII) is reacted with a new protecting group to form the orthogonally protected (XXXIV). This is a common strategy employed in traditional peptide chemistry by those skilled in the art, see M. Bodansky, Principles of Peptide Chemistry. When the mono protected alcohol (VII) is protected with CBZ one skilled in the art could react it with either $(BOC)_2O$ in

methylene chloride or similar organic solvent or FMOC-Cl in methylene chloride or similar organic solvent to prepare orthogonally protected (XXXIV). Then the CBZ group is removed by hydrogenation in the presence of a catalytic amount of palladium on carbon in an alcoholic solvent, such as methanol, or ethyl acetate, or with catalytic palladium on carbon in alcoholic solvents in the presence of ammonium formate as is known to those skilled in the art. This gives the R_C-N protected (XXXV). Similarly, when the mono protected alcohol (VII) is protected as a BOC it can be reacted with CBZ-Cl under Schotten-Bauman conditions or CBZ-OSu in THF to prepare the reversed (XXXIV). Then the BOC group can be cleaved with hydrochloric acid (4 N) in methanol, ethanol or dioxane or with trifluoroacetic acid in methylene chloride or by other methods such as those described in The Peptides, Analysis, Synthesis, Biology, Vol. 3, Ed. E. Gross and J. Meienhofer (1981) to liberate the CBZ R_C-N protected (XXXV). This functional group manipulation gives various permutations in the sequence (VII) to (XXXIV) to (XXXV) as is apparent to one skilled in the art. When the appropriately R_C-N protected compound (XXXV) is reacted with the amide forming agent (IX), in acid form, under standard peptide coupling conditions, for example, EDC/HOBt in methylene chloride or DMF or a previously activated acid, $(R_N\text{-})_2O$ gives the corresponding R_N-substituted R_C-N protected (XXXVI). Simple de-protection of the R_N-substituted R_C-N protected (XXXVI) then gives the desired substituted amine (X). Thus when the R_N-substituted R_C-N protected (XXXVI) is protected with BOC, treatment with hydrochloric acid (4N) in dioxane or the other reagents discussed above gives the substituted amine (X). When the R_N-substituted R_C-N protected (XXXVI) is protected with CBZ, treatment with hydrogen from 10 - 50 psi in alcoholic solvents, such as methanol with a catalytic amount of palladium on carbon will give, after work-up, the desired substituted amine (X). Similarly when the R_N-substituted R_C-N protected (XXXVI) is protected with FMOC, treatment with a secondary amine, preferably either piperidine (10 %) or diethylamine (10 %) in an inert solvent such as, for example, methylene chloride will give after work up the desired substituted amine (X).

CHART J discloses a process to prepare compounds where the phenyl ring of the R_N substituent of -CO-phenyl-CO- is substituted with a sulfonamide group in the 5-position. The process starts with the halo amide ester (XXI, CHART E) which

is reacted with sodium nitrite, sulfur dioxide, copper chloride (II) and acetic acid by the method disclosed in *J. Med. Chem.*, 42, 3797 (1999) to prepare the sulfonyl chloride (XXXVII). The sulfonyl chloide (XXXVII) is then reacted with AMINE, as defined above, by methods known to those skilled in the art to produce the corresponding sulfonamide (XXXVIII). Last the sulfonamide (XXXVIII) is transformed to the corresponding sulfonamide acid (XXXIX) by methods known to those skilled in the art such as using lithium hydroxide, sodium hydroxide, potassium hydroxide, barium hydroxide, or other hydrolysis methods known to those skilled in the art.

CHART K discloses how to prepare the R_N substituents where R_N is R_{N-1}-X_{N-}, where X_N is -CO- and R_{N-1} is R_{N-aryl} where R_{N-aryl} is phenyl substituted with one alkyl group and one -CO-$NR_{N-2}R_{N-3}$ or -CO-R_{N-4}. See the discussion above for CHART E regarding the amine, H-$NR_{Nalpha}R_{Nbeta}$ (AMINE), used to form the amide R_N substituents. The process starts with the halo amide ester (XXI) which is then reacted with an alkyl boronic acid having the desired alkyl group in the presence of a palladium catalyst such as $Pd(PPh_3)Cl_2$ using the general method described in *J. Med. Chem.*, 4288 (2000). The alkyl boronic acids are commercially available or can be prepared by the process described in *J. Am. Chem. Soc.*, 60, 105 (1938). It is preferred that R_{N-b} is bromo. This step produces the alkyl ester (XL) which is then hydrolyzed by means known to those skilled in the art to produce the desired alkyl acid (XLI).

CHART L discloses a process to prepare the amide forming agent (IX - XLVII) where the R_N substituent is R_{N-1}-X_{N-}, where the linker, -X_{N-} is -CO-, where R_{N-1} is R_{N-aryl} and where R_{N-aryl} is phenyl (-phenyl) substituted with groups:

C_1-C_6 alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF_3, C_1-C_3 alkoxy, -$NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above, and -N(-H and C_1-C_3 alkyl)-CO-R_{N-5}. This specific amide forming agent, (IX - XLVII) is prepared by starting with the phenyl nitro compoud (XLII) which is reduced to the corresponding phenyl nitro hydroxy compound (XLIII) using borane-methyl sulfide or borane in THF. The phenyl nitro hydroxy compound (XLIII) is reduced to the corresponding phenyl amino hydroxy compound (XLIV) using hydrogen and palladium catalyst as is known to those skilled in the art. The phenyl amino hydroxy

compound (XLIV) is reacted with an aldehyde in the presence of a reducing agent such as sodium cyanoborohydride or sodium triacetoxyborohydride to give the phenyl substituted amino hydroxy compound (XLV). The phenyl substituted amino hydroxy compound (XLV) is acylated with an acid chloride or acid anhydride by methods known to those skilled in the art to give the phenyl disubstituted amino hydroxy compound (XLVI). The phenyl disubstituted amino hydroxy compound (XLVI) is hydrolyzed using an alkali hydroxide, followed by acidification, to give the amide forming agent (IX - XLVII). The amide forming agent (XLVII) is then coupled with amine (VIII) using methods known to those skilled in the art and methods previously discussed, such as with diethyl cyanophosphonate, to give the substituted amine (X). Further treatment of the substituted amine (X) with diethyl cyanophosphonate gives the substituted amine where the hydroxyalkyl substitutent on the phenyl ring has a phosphate substitutent.

CHART M discloses a process to prepare amide forming agents (IX- L) where the R_N substituent is R_{N-1}-X_N-, where the linker, -X_N- is -CO-, where R_{N-1}:is R_{N-aryl} and where R_{N-aryl} is phenyl (-phenyl) substituted with two groups. The first substituent at what is usually identified as position "5-" can be either:

-R_{N-aryl} or

-$R_{N-heteroaryl}$. The second substituent at what is usually identified as postion "3-" can be either:

-CO-$NR_{N-2}R_{N-3}$ or

-CO-R_{N-4}. R_{Nalpha} and R_{Nbeta} include both the non-cyclic amides,-CO-$NR_{N-2}R_{N-3}$ and the cyclic amides-CO-R_{N-4} where R_{N-2}, R_{N-3} and R_{N-4} are as defined in the claims. The process starts with the trisubstituted phenyl compound (XLVIII) where R_{N-d} is -Cl, -Br, -I or -O-triflate. Treatment with an aryl or heteroaryl boronic acid or heteroaryl or aryl boronic acid ester such as (aryl or heteroaryl)-$B(OH)_2$ or (aryl or heteroaryl)-$B(OR^a)(OR^b)$ (where R^a and R^b are lower alkyl, ie. C_1-C_6, or taken together, R^a and R^b are lower alkylene, ie. C_2-C_{12}) in the presence of a metal catalyst with or without a base in an inert solvent yields (XLIX). Metal catalysts in these transformations include, but are not limited to, salts or phosphine complexes of Cu, Pd, or Ni (eg. $Cu(OAc)_2$, $PdCl_2(PPh_3)_2$, $NiCl_2(PPh_3)_2$). Bases may include, but are not limited to, alkaline earth metal carbonates, alkaline earth

metal bicarbonates, alkaline earth metal hydroxides, alkali metal carbonates, alkali metal bicarbonates, alkali metal hydroxides, alkali metal hydrides (preferably sodium hydride), alkali metal alkoxides (preferably sodium methoxide or sodium ethoxide), alkaline earth metal hydrides, alkali metal dialkylamides (preferably lithium diisopropylamide), alkali metal bis(trialkylsilyl)amides (preferably sodium bis(trimethylsilyl)amide), trialkyl amines (preferably diisopropylethylamine or triethylamine) or aromatic amines (preferably pyridine). Inert solvents may include, but are not limited to, acetonitrile, dialkyl ethers (preferably diethyl ether), cyclic ethers (preferably tetrahydrofuran or 1,4-dioxane), N,N-dialkylacetamides (preferably dimethylacetamide), N,N-dialkylformamides (preferably dimethylformamide), dialkylsulfoxides (preferably dimethylsulfoxide), aromatic hydrocarbons (preferably benzene or toluene) or haloalkanes (preferably methylene chloride). Preferred reaction temperatures range from room temperature up to the boiling point of the solvent employed. The reactions may be run in conventional glassware or in one of many commercially available parallel synthesizer units. Non-commercially available boronic acids or boronic acid esters may be obtained from the corresponding optionally substituted aryl halide as described in *Tetrahedron*, 50, 979-988 (1994). Intermediate (XLIX) is then hydrolyzed using alkali metal hydroxide, for example lithium, sodium or potassium hydroxide, followed by acidification, to give aryl or heteroaryl coupled acids (IX-L). Alternatively, as described in *Tetrahedron*, 50, 979-988 (1994), one may convert the R_{N-d} to the corresponding boronic acid or boronic acid ester $(OH)_2B$- or $(OR^a)(OR^b)B$- and obtain the same products set forth above by treating with a suitable aryl or heteroaryl halide or triflate.

CHART N discloses a process to prepare amide forming agents (IX - LII) where the R_N substituent is R_{N-1}-X_N- where the linker, -X_N- is -CO-, where R_{N-1} is R_{N-aryl} and where R_{N-aryl} is phenyl (-phenyl) substituted with two groups. The first substitutent at what is usually identified as postion "5-" is -C≡C-R. The second substituent at what is usually identified as postion "3-" can be either -CO-$NR_{N-2}R_{N-3}$ or -CO-R_{N-4}. The halo ester (XXI) is treated with a mixture of $PdCl_2(Pphenyl_3)_2$ and trimethylsilyl acetylene, using methods known to those skilled in the art, to give

acetylene ester (LI). Acetylene ester (LI) is then hydrolyzed using alkali metal hydroxide, followed by acidification, to give acetylene acid (IX - LII).

CHARTs O and O' disclose processes to prepare amide forming agents (IX - LX) and (IX - LXIII) with an extended methylene group where the R_N substituent is R_{N-1}-X_N- where the linker, -X_N- is -CO-, where R_{N-1} is R_{N-aryl} and where R_{N-aryl} is phenyl (-phenyl) substituted with two groups. The substituent at what is usually identified as postion "3-" can be either -CO-$NR_{N-2}R_{N-3}$ or -CO-R_{N-4}. In the process of CHART O, the substituent at the 5-position is -CH_2CO-NH_2 and in the process of CHART O', the substituent at the 5-position is - $CH_2C{\equiv}N$. The starting diester acid (LIII) is reduced with borane in solvents such as THF to give the corresponding diester alcohol (LIV). The diester alcohol (LIV) is converted to the corresponding diester bromo compound (LV) using a brominating agent such as PBr_3, CBr_4, or other halogenating agent such as are known to those skilled in the art. The bromine of the diester bromo compound (LV) is then displaced with cyanide to give the corresponding nitrile (LVI). In CHART O', the nitrile (LVI) is then hydrolyzed to the corresponding cyano ester (LXI). The cyano ester (LXI) is then coupled with H-$NR_{N\alpha}R_{N\beta}$ (AMINE), as previously described using methods known to those skilled in the art to give the corresponding cyano amide (LXII). The cyano amide (LXII) is then hydrolyzed to the corresponding cyano acid (IX-LXIII) which is in turn coupled with amine (VIII) to give the substituted amine (X). When the substitutent on the extended methyl group is -CO-NH_2, the process of CHART O is used. There the nitrile (LVI) is converted to the corresponding diester amine (LVII) by methods known to those skilled in the art. The next steps are the same as for CHART O' where the diester amide (LVII) is hydrolyzed to the corresponding ester amine (LVIII) which is then converted to the corresponding diamide ester (LIX) which is hydrolyzed to the corresponding diamide acid (IX - LX). The diamide acid (IX - XL) is then coupled with the appropriate amine (VIII) to produce the desired substituted amide (X).

CHART P discloses a process to prepare amide forming agents (IX - LXVII) with an extended hydroxymethylene group where the R_N substituent is R_{N-1}-X_N- where the linker, -X_N- is -CO-, where the R_{N-1} is R_{N-aryl}, where R_{N-aryl} is phenyl (-phenyl) substituted with two groups. The substituent at what is usually identified as position "3-" can be either-CO-$NR_{N-2}R_{N-3}$ or -CO-R_{N-4}. The process begins with a

halo amide (LXIV), preferably iodo, which is converted to the corresponding aldehyde (LXV) and then to the corresponding alcohol (LXVI) by the method described in *Synth. Commun.* 28, 4270 (1998), optionally with variations known to those skilled in the art. Hydrolysis of the alcohol (LXVI) using alkali hydroxides, followed by acidification, gives the desired hydroxy acid (IX - LXVII). The hydroxy acid (IX - LXVII) is then coupled with the appropriate amine (VIII) to give the desired substituted amine (X).

CHART Q discloses a process to prepare amide forming agents (IX - LXXII) with an alkyl group or a halogen atom or an amino group at the 5-position where the R_N substituent is R_{N-1}-X_N- where the linker, -X_N- is -CO-, where the R_{N-1} is R_{N-aryl}, where R_{N-aryl} is phenyl (-phenyl) substituted with two groups. The substituent at what is usually identified as position "3-" can be either -CO-$NR_{N-2}R_{N-3}$ or -CO-R_{N-4}. The process begins with an appropriately 5-substituted diacid (LXVIII) which is esterified by methods known to those skilled in the art to give the corresponding diester (LXIX). The diester (LXIX) is then hydrolyzed using alkali hydroxides, followed by acidification, to give the corresponding monoacid (LXX). Alternatively, the monoacid (LXX) can be produced directly from the diacid (LXVIII) by known methods. The monoacid (LXX) is then coupled with H-$NR_{Nalpha}R_{Nbeta}$ (AMINE) to give the corresponding amide ester (LXXI). The amide ester (LXXI) is then hydrolyzed using alkali hydroxides, followed by acidification, to give the corresponding acid amide (IX - LXXII).

CHART R discloses a general process to prepare the amide forming agents (IX - LXXVII) which, for example, have an alkyl group at what is known as the 5-position and a ketone at the 3-position. These acids (IX- LXXVII) are formed by starting with the acid (LXXIII) which is converted to the corresponding acid halide (LXXIV) using methods known to those skilled in the art. The acid halide (LXXIV) is preferrably the acid chloride. The acid halide (LXXIV) in the presence of copper (I) bromide and tetrahydrofuran and at temperatures ranging from -78 degrees C to 0 degreesC is treated with a Grignard reagent (aryl-Mg-X, or alkyl-Mg-X, where X is -Cl or -Br) to give the ketone esters (LXXVI and LXXVI'). Many Grignard reagents are available for purchase; others are prepared by methods known to those skilled in the art. An alternative method for preparing the ketone esters (LXXVI, LXXVI') is to prepare the Weinreb amide (LXXV), either from the acid (LXXIII) directly or by

way of acid halide (LXXIV) followed by treatment with N,O-dimethylhydroxylamine to give Weinreb amide (LXXV) and then treating the Weinreb amide (LXXV) with a Grignard reagent, by methods known to those skilled in the art. The ketone esters (LXXVI, LXXVI') are then hydrolyzed using alkali hydroxides, followed by acidification, to give the ketone acids (LXXVII, LXXVII').

CHART S discloses various methods to modify the R_N portion of the substituted amine (X) where the phenyl ring of the R_N moiety is further substituted in the 3-position with various groups such as aryl and heteroaryl. These compounds are prepared by methods known to those skilled in the art. The process chemistry of each reaction is known to those skilled in the art. What is novel here is the order of each process step and/or the specific reactants used. One skilled in the art knowing the desired product would know at least one method to prepare the desired product by using known starting materials. Hence, the following discussion is not necessary but is set forth to further aid those interested in preparing the compounds of the invention.

CHART S sets forth a general method used in the present invention to prepare the substitued amines (X) where $R_N = R_{N\text{-aryl}}\text{-}R_{N\text{-aryl}}\text{-}X_N$ or $R_{N\text{-heteroaryl}}\text{-}R_{N\text{-aryl}}\text{-}X_N$. Treatment of the (S,R)-amine (VIII) with amide forming agents (IX) according to the methods set forth above where for CHART S, $R_{N\text{-}1}$ is $Br\text{-}R_{N\text{-aryl}}$ generates the corresponding (S,R)-substituted amine (X) where R_N is $Br\text{-}N_{R\text{-aryl}}\text{-}X_N$. Further treatment with an aryl boronic acid or aryl boronic acid ester such as (aryl or heteroaryl)-$B(OH)_2$ or (aryl or heteroaryl)-$B(OR^a)(OR^b)$ (where R^a and R^b are lower alkyl, ie. C_1-C_6, or taken together, R^a and R^b are lower alkylene, ie. C_2-C_{12}) in the presence of a metal catalyst with or without a base in an inert solvent yields the (S,R)-substituted amine (X) where R_N is $N_{R\text{-aryl}}\text{-}N_{R\text{-aryl}}\text{-}X_N$ or $R_{N\text{-heteroaryl}}\text{-}R_{N\text{-aryl}}\text{-}X_N$. Metal catalysts in these transformations include, but are not limited to, salts or phosphine complexes of Cu, Pd, or Ni (eg. $Cu(OAc)_2$, $PdCl_2(PPh_3)_2$, $NiCl_2(PPh_3)_2$). Bases may include, but are not limited to, alkaline earth metal carbonates, alkaline earth metal bicarbonates, alkaline earth metal hydroxides, alkali metal carbonates, alkali metal bicarbonates, alkali metal hydroxides, alkali metal hydrides (preferably sodium hydride), alkali metal alkoxides (preferably sodium methoxide or sodium ethoxide), alkaline earth metal hydrides,

alkali metal dialkylamides (preferably lithium diisopropylamide), alkali metal bis(trialkylsilyl)amides (preferably sodium bis(trimethylsilyl)amide), trialkyl amines (preferably diisopropylethylamine or triethylamine) or aromatic amines (preferably pyridine). Inert solvents may include, but are not limited to, acetonitrile, dialkyl ethers (preferably diethyl ether), cyclic ethers (preferably tetrahydrofuran or 1,4-dioxane), N,N-dialkylacetamides (preferably dimethylacetamide), N,N-dialkylformamides (preferably dimethylformamide), dialkylsulfoxides (preferably dimethylsulfoxide), aromatic hydrocarbons (preferably benzene or toluene) or haloalkanes (preferably methylene chloride). Preferred reaction temperatures range from room temperature up to the boiling point of the solvent employed. The reactions may be run in conventional glassware or in one of many commercially available parallel synthesizer units. Non-commercially available boronic acids or boronic acid esters may be obtained from the corresponding optionally substituted aryl halide as described in *Tetrahedron*, 50, 979-988 (1994).

Where the above chemistry is incompatible with other functionality present in the (S,R)-substituted amine (X) where R_N is Br-$N_{R\text{-}aryl}$-X_N, then one skilled in the art will readily understand that an alternative sequence of coupling steps is required. For example, treatment of an appropriately substituted amide forming agent (IX) $R_{N\text{-}1}$-X_N-OH where $R_{N\text{-}1}$ is Br-$R_{N\text{-}aryl}$ with a boronic acid or boronic acid ester under the conditions described above will afford the appropriately substituted amide forming agent (IX) where $R_{N\text{-}1}$ is $N_{R\text{-}aryl}$-$N_{R\text{-}aryl}$ or $R_{N\text{-}heteroaryl}$-$R_{N\text{-}aryl}$. When the amide forming agent (IX) where $R_{N\text{-}1}$ is $N_{R\text{-}aryl}$-$N_{R\text{-}aryl}$ or $R_{N\text{-}heteroaryl}$-$R_{N\text{-}aryl}$ is treated with the (S,R)-amine (VIII), one then obtains the same substituted amines (X) set forth in CHART S.

The above examples for CHART S are not meant to limit the scope of the chemistry. In addition to bromine, a suitable group may include iodine or triflate. Alternatively, as described in *Tetrahedron*, 50, 979-988 (1994), one may convert the Br-$R_{N\text{-}aryl}$ to the corresponding boronic acid or boronic acid ester $(OH)_2B$-$R_{N\text{-}aryl}$ or $(OR^a)(OR^b)B$-$R_{N\text{-}aryl}$ and obtain the same products set forth above by treating with a suitable aryl or heteroaryl halide or triflate. Additionally, each -$R_{N\text{-}aryl}$ and -$R_{N\text{-}heteroaryl}$ are interchangeable at each occurrence in the chemistry described above.

CHART T discloses a process to prepare amide forming agents (IX - LXXIX) where the R_N substituent is R_{N-1}-X_N-, where the linker, -X_N- is -CO-, where R_{N-1} is R_{N-aryl} and where R_{N-aryl} is phenyl substituted with -CO-$NR_{Nalpha}R_{Nbeta}$ (AMINE) and with an amide of the formulas:

-$(CH_2)_{0-4}$-N(-H and R_{N-5})-CO-R_{N-2}

-$(CH_2)_{0-4}$-N(-H or R_{N-5})-SO_2-R_{N-2}.

The process begins with the amide aniline (XXXI) which is reacted with the corresponding acid halide or sulfonyl halide, or acid anhydride or sulfonyl anhydride to produce the corresponding amide ester (LXXVIII). Suitable solvents include THF or dichloromethane at temperatures ranging from -78 degrees to 100 degrees C. The amide ester (LXXVIII) is then hydrolyzed to the corresponding amide acid (IX - LXXIX) by methods known to those skilled in the art. When the amide forming agent (IX - LXXIX) is reacted with the appropriate amine (VIII), the desired substituted amine (X) is obtained.

CHART U discloses a general method for preparing various C-terminal amines (VI) as represented by the preparation of C-terminal amine (LXXXIV). Methods to prepare amines of this type are well understood using methods known to those skilled in the art, or one may consult the references: 1) *JACS*, 1970, *92*, 3700, and 2) US patent 4,351,842.

CHART V further discloses general methods for preparing various C-terminal amines (VI) as represented by the preparation of C-terminal amines (LXXXIX). Multiple examples of the heterocyclic carboxylic acids or acid chlorides are commercially available. Optionally, the carboxylic acid (LXXXV) may be converted to the acid chloride (LXXXVI) with reagents such as, but not limited to, thionyl chloride. Displacement with ammonia generates the common intermediate amides (LXXXVII) which are readily reduced to amines (VI - LXXXIX) using a variety of methods detailed previously. Alternatively, other heteroaryls are commecially available as the methyl halide (LXXXVIII) which are treated with ammonia to yield the title C-terminal amines (VI - LXXXVIII).

CHART W discloses general methods for preparing thiazolyl containing C-terminal amines as represented by the preparation of C-terminal amines (LXXXXI). The synthesis of the thiazoles is outlined in CHART W; these procedures are amply taught in the literature and are modified from the procedures outlined in: Mashraqui, SH; Keehn, PM. *J. Am. Chem. Soc.* 1982,

104, 4461-4465. The synthesis of substituted 5-aminomethylthiazoles (XCI) was achieved from 5-hydroxymethylthiazole (XC) by the procedure described in: Alterman et al. *J. Med. Chem.* 1998, *41*, 3782-3792. All other thiazole analogs were transformed to the hydroxymethyl derivative using CHART W, and converted to the aminomethyl derivative by the Alterman procedure without notable changes.

CHART X discloses general methods for preparing isoxazolyl containing C-terminal amines as represented by the preparation of C-terminal amines (XCII). The synthesis of isoxazole derivatives was modified from the procedure in: Felman, SW et al. *J. Med. Chem.* 1992, *35*, 1183-1190 and is readily understood by those skilled in the art making non-notable changes to achieve the title compounds. The substituted hydroxylamine precursors were synthesized using the procedure taught by Bousquet, EW. *Org. Synth. Coll. Vol II*, 313-315. Commercially available propargylamine may be protected using any number of methods known in the art (see: Greene, TW; Wuts, PGM. *Protective Groups in Organic Synthesis*, 3rd Ed. New York: John Wiley, 1999. Chapter 7.), prefered is a BOC protecting group. Substituted propargyl amines may be obtained by a number of methods commonly known in the art.

CHART Y discloses a general route to prepare hydroxyethylamines where one carbon atom of the peptide backbone, along with R_2 and R_3 form a ring. It is understood that the present invention also allows for a heteroatom to be incorporated into the ring. In summary, the synthesis of compounds where R_2 and R_3 may form a ring proceeds from a suitably protected amino acid aldehyde and cycloalkyllithium species, both of which are commercially available or where known procedures for making such compounds are known in the art. The general procedure involved is also precedent in the literature, for example, see Klumpp, *et al., J. Am. Chem. Soc.*, 1979, *101*, 7065, and it is intended that making non-critical variations, one may obtain the title compounds provided for by CHART Y. Treatment of a suitably protected amino acid aldehyde and cycloalkyllithium species affords alcohol (XCIII). These reactions are carried out in an inert solvent such as, for example, tetrahydrofuran or diethyl ether. Optimally the reactions are conducted at low temperatures, for example below 0 degrees C. Carbonylation via the Klumpp procedure yields the acid (XCIV) which when exposed to Curtius, or related

procedures well known to those skilled in the art, generates the primary amine (XCV). The primary amines (XCV) may be capped C-terminally via the conditions set forth in CHART C & D followed by nitrogen deprotection and capping N-terminally via the conditions set forth in CHART A.

The compounds of the invention may contain geometric or optical isomers as well as tautomers. Thus, the invention includes all tautomers and pure geometric isomers, such as the *E* and *Z* geometric isomers, as well as mixtures thereof. Futhermore, the invention includes pure enantiomers and diasteriomers as well as mixtures thereof, including racemic mixtures. The individual geometric isomers, enantiomers, or diasteriomers may be prepared or isolated by methods known in the art.

Compounds of the invention with the stereochemistry designated in formula X may be included in mixtures, including racemic mixtures, with other enantiomers, diasteriomers, geometric isomers or tautomers. Compounds of the invention with the stereochemistry designated in formula X are typically present in these mixtures in excess of 50 percent. Preferably, compounds of the invention with the stereochemistry designated in formula X are present in these mixtures in excess of 80 percent. Most preferably, compounds of the invention with the stereochemistry designated in formula X are present in these mixtures in excess of 90 percent.

The (S,R)-substituted amines (X) and the substituted amine with R_N cyclized (X') are amines and as such form salts when reacted with acids. Pharmaceutically acceptable salts are preferred over the corresponding (S,R)-substituted amines (X) and and the substituted amines with R_N cyclized (X') since they produce compounds which are more water soluble, stable and/or more crystalline. Pharmaceutically acceptable salts are any salt which retains the activity of the parent compound and does not impart any deleterious or undesirable effect on the subject to whom it is administered and in the context in which it is administered. Pharmaceutically acceptable salts include salts of both inorganic and organic acids. The preferred pharmaceutically acceptable salts include salts of the following acids acetic, aspartic, benzenesulfonic, benzoic, bicarbonic, bisulfuric, bitartaric, butyric, calcium edetate, camsylic, carbonic, chlorobenzoic, citric, edetic, edisylic, estolic, esyl, esylic, formic, fumaric, gluceptic, gluconic, glutamic, glycollylarsanilic, hexamic, hexylresorcinoic, hydrabamic, hydrobromic, hydrochloric, hydroiodic, hydroxynaphthoic, isethionic, lactic, lactobionic, maleic, malic, malonic, mandelic,

methanesulfonic, methylnitric, methylsulfuric, mucic, muconic, napsylic, nitric, oxalic, p-nitromethanesulfonic, pamoic, pantothenic, phosphoric, monohydrogen phosphoric, dihydrogen phosphoric, phthalic, polygalactouronic, propionic, salicylic, stearic, succinic, succinic, sulfamic, sulfanilic, sulfonic, sulfuric, tannic, tartaric, teoclic and toluenesulfonic. For other acceptable salts, see *Int. J. Pharm.*, 33, 201-217 (1986) and *J.Pharm.Sci.*, 66(1), 1, (1977).

The present invention provides compounds, compositions, kits, and methods for inhibiting beta-secretase enzyme activity and A beta peptide production. Inhibition of beta-secretase enzyme activity halts or reduces the production of A beta from APP and reduces or eliminates the formation of beta-amyloid deposits in the brain.

Methods of the Invention

The compounds of the invention, and pharmaceutically acceptable salts thereof, are useful for treating humans or animals suffering from a condition characterized by a pathological form of beta-amyloid peptide, such as beta-amyloid plaques, and for helping to prevent or delay the onset of such a condition. For example, the compounds are useful for treating Alzheimer's disease, for helping prevent or delay the onset of Alzheimer's disease, for treating patients with MCI (mild cognitive impairment) and preventing or delaying the onset of Alzheimer's disease in those who would progress from MCI to AD, for treating Down's syndrome, for treating humans who have Hereditary Cerebral Hemorrhage with Amyloidosis of the Dutch-Type, for treating cerebral amyloid angiopathy and preventing its potential consequences, i.e. single and recurrent lobal hemorrhages, for treating other degenerative dementias, including dementias of mixed vascular and degenerative origin, dementia associated with Parkinson's disease, dementia associated with progressive supranuclear palsy, dementia associated with cortical basal degeneration, and diffuse Lewy body type Alzheimer's disease. The compounds and compositions of the invention are particularly useful for treating or preventing Alzheimer's disease. When treating or preventing these diseases, the compounds of the invention can either be used individually or in combination, as is best for the patient.

As used herein, the term "treating" means that the compounds of the invention can be used in humans with at least a tentative diagnosis of disease. The

compounds of the invention will delay or slow the progression of the disease thereby giving the individual a more useful life span.

The term "preventing" means that the compounds of the present invention are useful when administered to a patient who has not been diagnosed as possibly having the disease at the time of administration, but who would normally be expected to develop the disease or be at increased risk for the disease. The compounds of the invention will slow the development of disease symptoms, delay the onset of the disease, or prevent the individual from developing the disease at all. Preventing also includes administration of the compounds of the invention to those individuals thought to be predisposed to the disease due to age, familial history, genetic or chromosomal abnormalities, and/or due to the presence of one or more biological markers for the disease, such as a known genetic mutation of APP or APP cleavage products in brain tissues or fluids.

In treating or preventing the above diseases; the compounds of the invention are administered in a therapeutically effective amount. The therapeutically effective amount will vary depending on the particular compound used and the route of administration, as is known to those skilled in the art.

In treating a patient displaying any of the diagnosed above conditions a physician may administer a compound of the invention immediately and continue administration indefinitely, as needed. In treating patients who are not diagnosed as having Alzheimer's disease, but who are believed to be at substantial risk for Alzheimer's disease, the physician should preferably start treatment when the patient first experiences early pre-Alzheimer's symptoms such as, memory or cognitive problems associated with aging. In addition, there are some patients who may be determined to be at risk for developing Alzheimer's through the detection of a genetic marker such as APOE4 or other biological indicators that are predictive for Alzheimer's disease. In these situations, even though the patient does not have symptoms of the disease, administration of the compounds of the invention may be started before symptoms appear, and treatment may be continued indefinitely to prevent or delay the outset of the disease.

Dosage forms and amounts

The compounds of the invention can be administered orally, parenternally, (IV, IM, depo-IM, SQ, and depo SQ), sublingually, intranasally (inhalation),

intrathecally, topically, or rectally. Dosage forms known to those of skill in the art are suitable for delivery of the compounds of the invention.

Compositions are provided that contain therapeutically effective amounts of the compounds of the invention. The compounds are preferably formulated into suitable pharmaceutical preparations such as tablets, capsules, or elixirs for oral administration or in sterile solutions or suspensions for parenternal administration. Typically the compounds described above are formulated into pharmaceutical compositions using techniques and procedures well known in the art.

About 1 to 500 mg of a compound or mixture of compounds of the invention or a physiologically acceptable salt or ester is compounded with a physiologically acceptable vehicle, carrier, excipient, binder, preservative, stabilizer, flavor, etc., in a unit dosage form as called for by accepted pharmaceutical practice. The amount of active substance in those compositions or preparations is such that a suitable dosage in the range indicated is obtained. The compositions are preferably formulated in a unit dosage form, each dosage containing from about 2 to about 100 mg, more preferably about 10 to about 30 mg of the active ingredient. The term "unit dosage from" refers to physically discrete units suitable as unitary dosages for human subjects and other mammals, each unit containing a predetermined quantity of active material calculated to produce the desired therapeutic effect, in association with a suitable pharmaceutical excipient.

To prepare compositions, one or more compounds of the invention are mixed with a suitable pharmaceutically acceptable carrier. Upon mixing or addition of the compound(s), the resulting mixture may be a solution, suspension, emulsion, or the like. Liposomal suspensions may also be suitable as pharmaceutically acceptable carriers. These may be prepared according to methods known to those skilled in the art. The form of the resulting mixture depends upon a number of factors, including the intended mode of administration and the solubility of the compound in the selected carrier or vehicle. The effective concentration is sufficient for lessening or ameliorating at least one symptom of the disease, disorder, or condition treated and may be empirically determined.

Pharmaceutical carriers or vehicles suitable for administration of the compounds provided herein include any such carriers known to those skilled in the art to be suitable for the particular mode of administration. In addition, the active materials can also be mixed with other active materials that do not impair the desired

action, or with materials that supplement the desired action, or have another action. The compounds may be formulated as the sole pharmaceutically active ingredient in the composition or may be combined with other active ingredients.

Where the compounds exhibit insufficient solubility, methods for solubilizing may be used. Such methods are known and include, but are not limited to, using cosolvents such as dimethylsulfoxide (DMSO), using surfactants such as Tween®, and dissolution in aqueous sodium bicarbonate. Derivatives of the compounds, such as salts or prodrugs may also be used in formulating effective pharmaceutical compositions.

The concentration of the compound is effective for delivery of an amount upon administration that lessens or ameliorates at least one symptom of the disorder for which the compound is administered. Typically, the compositions are formulated for single dosage administration.

The compounds of the invention may be prepared with carriers that protect them against rapid elimination from the body, such as time-release formulations or coatings. Such carriers include controlled release formulations, such as, but not limited to, microencapsulated delivery systems. The active compound is included in the pharmaceutically acceptable carrier in an amount sufficient to exert a therapeutically useful effect in the absence of undesirable side effects on the patient treated. The therapeutically effective concentration may be determined empirically by testing the compounds in known *in vitro* and *in vivo* model systems for the treated disorder.

The compounds and compositions of the invention can be enclosed in multiple or single dose containers. The enclosed compounds and compositions can be provided in kits, for example, including component parts that can be assembled for use. For example, a compound inhibitor in lyophilized form and a suitable diluent may be provided as separated components for combination prior to use. A kit may include a compound inhibitor and a second therapeutic agent for co-administration. The inhibitor and second therapeutic agent may be provided as separate component parts. A kit may include a plurality of containers, each container holding one or more unit dose of the compound of the invention. The containers are preferably adapted for the desired mode of administration, including, but not limited to tablets, gel capsules, sustained-release capsules, and the like for oral administration; depot products, pre-filled syringes, ampules, vials, and the like

for parenternal administration; and patches, medipads, creams, and the like for topical administration.

The concentration of active compound in the drug composition will depend on absorption, inactivation, and excretion rates of the active compound, the dosage schedule, and amount administered as well as other factors known to those of skill in the art.

The active ingredient may be administered at once, or may be divided into a number of smaller doses to be administered at intervals of time. It is understood that the precise dosage and duration of treatment is a function of the disease being treated and may be determined empirically using known testing protocols or by extrapolation from *in vivo* or *in vitro* test data. It is to be noted that concentrations and dosage values may also vary with the severity of the condition to be alleviated. It is to be further understood that for any particular subject, specific dosage regimens should be adjusted over time according to the individual need and the professional judgment of the person administering or supervising the administration of the compositions, and that the concentration ranges set forth herein are exemplary only and are not intended to limit the scope or practice of the claimed compositions.

If oral administration is desired, the compound should be provided in a composition that protects it from the acidic environment of the stomach. For example, the composition can be formulated in an enteric coating that maintains its integrity in the stomach and releases the active compound in the intestine. The composition may also be formulated in combination with an antacid or other such ingredient.

Oral compositions will generally include an inert diluent or an edible carrier and may be compressed into tablets or enclosed in gelatin capsules. For the purpose of oral therapeutic administration, the active compound or compounds can be incorporated with excipients and used in the form of tablets, capsules, or troches. Pharmaceutically compatible binding agents and adjuvant materials can be included as part of the composition.

The tablets, pills, capsules, troches, and the like can contain any of the following ingredients or compounds of a similar nature: a binder such as, but not limited to, gum tragacanth, acacia, corn starch, or gelatin; an excipient such as microcrystalline cellulose, starch, or lactose; a disintegrating agent such as, but not limited to, alginic acid and corn starch; a lubricant such as, but not limited to,

magnesium stearate; a gildant, such as, but not limited to, colloidal silicon dioxide; a sweetening agent such as sucrose or saccharin; and a flavoring agent such as peppermint, methyl salicylate, or fruit flavoring.

When the dosage unit form is a capsule, it can contain, in addition to material of the above type, a liquid carrier such as a fatty oil. In addition, dosage unit forms can contain various other materials, which modify the physical form of the dosage unit, for example, coatings of sugar and other enteric agents. The compounds can also be administered as a component of an elixir, suspension, syrup, wafer, chewing gum or the like. A syrup may contain, in addition to the active compounds, sucrose as a sweetening agent and certain preservatives, dyes and colorings, and flavors.

The active materials can also be mixed with other active materials that do not impair the desired action, or with materials that supplement the desired action.

Solutions or suspensions used for parenternal, intradermal, subcutaneous, or topical application can include any of the following components: a sterile diluent such as water for injection, saline solution, fixed oil, a naturally occurring vegetable oil such as sesame oil, coconut oil, peanut oil, cottonseed oil, and the like, or a synthetic fatty vehicle such as ethyl oleate, and the like, polyethylene glycol, glycerine, propylene glycol, or other synthetic solvent; antimicrobial agents such as benzyl alcohol and methyl parabens; antioxidants such as ascorbic acid and sodium bisulfite; chelating agents such as ethylenediaminetetraacetic acid (EDTA); buffers such as acetates, citrates, and phosphates; and agents for the adjustment of tonicity such as sodium chloride and dextrose. Parenternal preparations can be enclosed in ampoules, disposable syringes, or multiple dose vials made of glass, plastic, or other suitable material. Buffers, preservatives, antioxidants, and the like can be incorporated as required.

Where administered intravenously, suitable carriers include physiological saline, phosphate buffered saline (PBS), and solutions containing thickening and solubilizing agents such as glucose, polyethylene glycol, polypropyleneglycol, and mixtures thereof. Liposomal suspensions including tissue-targeted liposomes may also be suitable as pharmaceutically acceptable carriers. These may be prepared according to methods known for example, as described in U.S. Patent No. 4,522,811.

The active compounds may be prepared with carriers that protect the compound against rapid elimination from the body, such as time-release

formulations or coatings. Such carriers include controlled release formulations, such as, but not limited to, implants and microencapsulated delivery systems, and biodegradable, biocompatible polymers such as collagen, ethylene vinyl acetate, polyanhydrides, polyglycolic acid, polyorthoesters, polylactic acid, and the like. Methods for preparation of such formulations are known to those skilled in the art.

The compounds of the invention can be administered orally, parenternally (IV, IM, depo-IM, SQ, and depo-SQ), sublingually, intranasally (inhalation), intrathecally, topically, or rectally. Dosage forms known to those skilled in the art are suitable for delivery of the compounds of the invention.

Compounds of the invention may be administered enterally or parenterally. When administered orally, compounds of the invention can be administered in usual dosage forms for oral administration as is well known to those skilled in the art. These dosage forms include the usual solid unit dosage forms of tablets and capsules as well as liquid dosage forms such as solutions, suspensions, and elixirs. When the solid dosage forms are used, it is preferred that they be of the sustained release type so that the compounds of the invention need to be administered only once or twice daily.

The oral dosage forms are administered to the patient 1, 2, 3, or 4 times daily. It is preferred that the compounds of the invention be administered either three or fewer times, more preferably once or twice daily. Hence, it is preferred that the compounds of the invention be administered in oral dosage form. It is preferred that whatever oral dosage form is used, that it be designed so as to protect the compounds of the invention from the acidic environment of the stomach. Enteric coated tablets are well known to those skilled in the art. In addition, capsules filled with small spheres each coated to protect from the acidic stomach, are also well known to those skilled in the art.

When administered orally, an administered amount therapeutically effective to inhibit beta-secretase activity, to inhibit A beta production, to inhibit A beta deposition, or to treat or prevent AD is from about 0.1 mg/day to about 1,000 mg/day. It is preferred that the oral dosage is from about 1 mg/day to about 100 mg/day. It is more preferred that the oral dosage is from about 5 mg/day to about 50 mg/day. It is understood that while a patient may be started at one dose, that dose may be varied over time as the patient's condition changes.

Compounds of the invention may also be advantageously delivered in a nano crystal dispersion formulation. Preparation of such formulations is described, for example, in U.S. Patent 5,145,684. Nano crystalline dispersions of HIV protease inhibitors and their method of use are described in US 6,045,829. The nano crystalline formulations typically afford greater bioavailability of drug compounds.

The compounds of the invention can be administered parenterally, for example, by IV, IM, depo-IM, SC, or depo-SC. When administered parenterally, a therapeutically effective amount of about 0.5 to about 100 mg/day, preferably from about 5 to about 50 mg daily should be delivered. When a depot formulation is used for injection once a month or once every two weeks, the dose should be about 0.5 mg/day to about 50 mg/day, or a monthly dose of from about 15 mg to about 1,500 mg. In part because of the forgetfulness of the patients with Alzheimer's disease, it is preferred that the parenteral dosage form be a depo formulation.

The compounds of the invention can be administered sublingually. When given sublingually, the compounds of the invention should be given one to four times daily in the amounts described above for IM administration.

The compounds of the invention can be administered intranasally. When given by this route, the appropriate dosage forms are a nasal spray or dry powder, as is known to those skilled in the art. The dosage of the compounds of the invention for intranasal administration is the amount described above for IM administration.

The compounds of the invention can be administered intrathecally. When given by this route the appropriate dosage form can be a parenternal dosage form as is known to those skilled in the art. The dosage of the compounds of the invention for intrathecal administration is the amount described above for IM administration.

The compounds of the invention can be administered topically. When given by this route, the appropriate dosage form is a cream, ointment, or patch. Because of the amount of the compounds of the invention to be administered, the patch is preferred. When administered topically, the dosage is from about 0.5 mg/day to about 200 mg/day. Because the amount that can be delivered by a patch is limited, two or more patches may be used. The number and size of the patch is not important, what is important is that a therapeutically effective amount of the compounds of the invention be delivered as is known to those skilled in the art. The compounds of the invention can be administered rectally by suppository as is known

to those skilled in the art. When administered by suppository, the therapeutically effective amount is from about 0.5 mg to about 500 mg.

The compounds of the invention can be administered by implants as is known to those skilled in the art. When administering a compound of the invention by implant, the therapeutically effective amount is the amount described above for depot administration.

The invention here is the new compounds of the invention and new methods of using the compounds of the invention. Given a particular compound of the invention and a desired dosage form, one skilled in the art would know how to prepare and administer the appropriate dosage form.

The compounds of the invention are used in the same manner, by the same routes of administration, using the same pharmaceutical dosage forms, and at the same dosing schedule as described above, for preventing disease or treating patients with MCI (mild cognitive impairment) and preventing or delaying the onset of Alzheimer's disease in those who would progress from MCI to AD, for treating or preventing Down's syndrome, for treating humans who have Hereditary Cerebral Hemorrhage with Amyloidosis of the Dutch-Type, for treating cerebral amyloid angiopathy and preventing its potential consequences, i.e. single and recurrent lobar hemorrhages, for treating other degenerative dementias, including dementias of mixed vascular and degenerative origin, dementia associated with Parkinson's disease, dementia associated with progressive supranuclear palsy, dementia associated with cortical basal degeneration, and diffuse Lewy body type of Alzheimer's disease.

The compounds of the invention can be used in combination, with each other or with other therapeutic agents or approaches used to treat or prevent the conditions listed above. Such agents or approaches include: acetylcholine esterase inhibitors such as tacrine (tetrahydroaminoacridine, marketed as COGNEX®), donepezil hydrochloride, (marketed as Aricept® and rivastigmine (marketed as Exelon®); gamma-secretase inhibitors; anti-inflammatory agents such as cyclooxygenase II inhibitors; anti-oxidants such as Vitamin E and ginkolides; immunological approaches, such as, for example, immunization with A beta peptide or administration of anti-A beta peptide antibodies; statins; and direct or indirect neurotropic agents such as Cerebrolysin®, AIT-082 (Emilieu, 2000, *Arch. Neurol.* 57:454), and other neurotropic agents of the future.

It should be apparent to one skilled in the art that the exact dosage and frequency of administration will depend on the particular compounds of the invention administered, the particular condition being treated, the severity of the condition being treated, the age, weight, general physical condition of the particular patient, and other medication the individual may be taking as is well known to administering physicians who are skilled in this art.

Inhibition of APP Cleavage

The compounds of the invention inhibit cleavage of APP between Met595 and Asp596 numbered for the APP695 isoform, or a mutant thereof, or at a corresponding site of a different isoform, such as APP751 or APP770, or a mutant thereof (sometimes referred to as the "beta secretase site"). While not wishing to be bound by a particular theory, inhibition of beta-secretase activity is thought to inhibit production of beta amyloid peptide (A beta). Inhibitory activity is demonstrated in one of a variety of inhibition assays, whereby cleavage of an APP substrate in the presence of a beta-secretase enzyme is analyzed in the presence of the inhibitory compound, under conditions normally sufficient to result in cleavage at the beta-secretase cleavage site. Reduction of APP cleavage at the beta-secretase cleavage site compared with an untreated or inactive control is correlated with inhibitory activity. Assay systems that can be used to demonstrate efficacy of the compound inhibitors of the invention are known. Representative assay systems are described, for example, in U.S. Patents No. 5,942,400, 5,744,346, as well as in the Examples below.

The enzymatic activity of beta-secretase and the production of A beta can be analyzed *in vitro* or *in vivo*, using natural, mutated, and/or synthetic APP substrates, natural, mutated, and/or synthetic enzyme, and the test compound. The analysis may involve primary or secondary cells expressing native, mutant, and/or synthetic APP and enzyme, animal models expressing native APP and enzyme, or may utilize transgenic animal models expressing the substrate and enzyme. Detection of enzymatic activity can be by analysis of one or more of the cleavage products, for example, by immunoassay, flurometric or chromogenic assay, HPLC, or other means of detection. Inhibitory compounds are determined as those having the ability to decrease the amount of beta-secretase cleavage product produced in

comparison to a control, where beta-secretase mediated cleavage in the reaction system is observed and measured in the absence of inhibitory compounds.

Beta-secretase

Various forms of beta-secretase enzyme are known, and are available and useful for assay of enzyme activity and inhibition of enzyme activity. These include native, recombinant, and synthetic forms of the enzyme. Human beta-secretase is known as Beta Site APP Cleaving Enzyme (BACE), Asp2, and memapsin 2, and has been characterized, for example, in U.S. Patent No. 5,744,346 and published PCT patent applications WO98/22597, WO00/03819, WO01/23533, and WO00/17369, as well as in literature publications (Hussain et.al., 1999, *Mol.Cell.Neurosci.* 14:419-427; Vassar et.al., 1999, *Science* 286:735-741; Yan et.al., 1999, *Nature* 402:533-537; Sinha et.al., 1999, *Nature* 40:537-540; and Lin et.al., 2000, *PNAS USA* 97:1456-1460). Synthetic forms of the enzyme have also been described (WO98/22597 and WO00/17369). Beta-secretase can be extracted and purified from human brain tissue and can be produced in cells, for example mammalian cells expressing recombinant enzyme.

Useful inhibitory compounds are effective to inhibit 50% of beta-secretase enzymatic activity at a concentration of less than 50 micromolar, preferably at a concentration of 10 micromolar or less, more preferably 1 micromolar or less, and most preferably 10 nanomolar or less.

APP substrate

Assays that demonstrate inhibition of beta-secretase-mediated cleavage of APP can utilize any of the known forms of APP, including the 695 amino acid "normal" isotype described by Kang et.al., 1987, *Nature* 325:733-6, the 770 amino acid isotype described by Kitaguchi et. al., 1981, *Nature* 331:530-532, and variants such as the Swedish Mutation (KM670-1NL) (APP-SW), the London Mutation (V7176F), and others. See, for example, U.S. Patent No. 5,766,846 and also Hardy, 1992, *Nature Genet.* 1:233-234, for a review of known variant mutations. Additional useful substrates include the dibasic amino acid modification, APP-KK disclosed, for example, in WO 00/17369, fragments of APP, and synthetic peptides containing the beta-secretase cleavage site, wild type (WT) or mutated form, e.g., SW, as described, for example, in U.S. Patent No 5,942,400 and WO00/03819.

The APP substrate contains the beta-secretase cleavage site of APP (KM-DA or NL-DA) for example, a complete APP peptide or variant, an APP fragment, a recombinant or synthetic APP, or a fusion peptide. Preferably, the fusion peptide includes the beta-secretase cleavage site fused to a peptide having a moiety useful for enzymatic assay, for example, having isolation and/or detection properties. A useful moiety may be an antigenic epitope for antibody binding, a label or other detection moiety, a binding substrate, and the like.

Antibodies

Products characteristic of APP cleavage can be measured by immunoassay using various antibodies, as described, for example, in Pirttila et.al., 1999, *Neuro.Lett.* 249:21-4, and in U.S. Patent No. 5,612,486. Useful antibodies to detect A beta include, for example, the monoclonal antibody 6E10 (Senetek, St. Louis, MO) that specifically recognizes an epitope on amino acids 1-16 of the A beta peptide; antibodies 162 and 164 (New York State Institute for Basic Research, Staten Island, NY) that are specific for human A beta 1-40 and 1-42, respectively; and antibodies that recognize the junction region of beta-amyloid peptide, the site between residues 16 and 17, as described in U.S. Patent No. 5,593,846. Antibodies raised against a synthetic peptide of residues 591 to 596 of APP and SW192 antibody raised against 590-596 of the Swedish mutation are also useful in immunoassay of APP and its cleavage products, as described in U.S. Patent Nos. 5,604,102 and 5,721,130.

Assay Systems

Assays for determining APP cleavage at the beta-secretase cleavage site are well known in the art. Exemplary assays, are described, for example, in U.S. Patent Nos. 5,744,346 and 5,942,400, and described in the Examples below.

Cell free assays

Exemplary assays that can be used to demonstrate the inhibitory activity of the compounds of the invention are described, for example, in WO00/17369, WO 00/03819, and U.S. Patents No. 5,942,400 and 5,744,346. Such assays can be performed in cell-free incubations or in cellular incubations using cells expressing a beta-secretase and an APP substrate having a beta-secretase cleavage site.

An APP substrate containing the beat-secretase cleavage site of APP, for example, a complete APP or variant, an APP fragment, or a recombinant or synthetic APP substrate containing the amino acid sequence: KM-DA or NL-DA, is incubated in the presence of beta-secretase enzyme, a fragment thereof, or a synthetic or recombinant polypeptide variant having beta-secretase activity and effective to cleave the beta-secretase cleavage site of APP, under incubation conditions suitable for the cleavage activity of the enzyme. Suitable substrates optionally include derivatives that may be fusion proteins or peptides that contain the substrate peptide and a modification useful to facilitate the purification or detection of the peptide or its beta-secretase cleavage products. Useful modifications include the insertion of a known antigenic epitope for antibody binding; the linking of a label or detectable moiety, the linking of a binding substrate, and the like.

Suitable incubation conditions for a cell-free *in vitro* assay include, for example: approximately 200 nanomolar to 10 micromolar substrate, approximately 10 to 200 picomolar enzyme, and approximately 0.1 nanomolar to 10 micromolar inhibitor compound, in aqueous solution, at an approximate pH of 4 -7, at approximately 37 degrees C, for a time period of approximately 10 minutes to 3 hours. These incubation conditions are exemplary only, and can be varied as required for the particular assay components and/or desired measurement system. Optimization of the incubation conditions for the particular assay components should account for the specific beta-secretase enzyme used and its pH optimum, any additional enzymes and/or markers that might be used in the assay, and the like. Such optimization is routine and will not require undue experimentation.

One useful assay utilizes a fusion peptide having maltose binding protein (MBP) fused to the C-terminal 125 amino acids of APP-SW. The MBP portion is captured on an assay substrate by anti-MBP capture antibody. Incubation of the captured fusion protein in the presence of beta-secretase results in cleavage of the substrate at the beta-secretase cleavage site. Analysis of the cleavage activity can be, for example, by immunoassay of cleavage products. One such immunoassay detects a unique epitope exposed at the carboxy terminus of the cleaved fusion protein, for example, using the antibody SW192. This assay is described, for example, in U.S. Patent No 5,942,400.

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Cellular assay

Numerous cell-based assays can be used to analyze beta-secretase activity and/or processing of APP to release A beta. Contact of an APP substrate with a beta-secretase enzyme within the cell and in the presence or absence of a compound inhibitor of the invention can be used to demonstrate beta-secretase inhibitory activity of the compound. Preferably, assay in the presence of a useful inhibitory compound provides at least about 30%, most preferably at least about 50% inhibition of the enzymatic activity, as compared with a non-inhibited control.

In one embodiment, cells that naturally express beta-secretase are used. Alternatively, cells are modified to express a recombinant beta-secretase or synthetic variant enzyme as discussed above. The APP substrate may be added to the culture medium and is preferably expressed in the cells. Cells that naturally express APP, variant or mutant forms of APP, or cells transformed to express an isoform of APP, mutant or variant APP, recombinant or synthetic APP, APP fragment, or synthetic APP peptide or fusion protein containing the beta-secretase APP cleavage site can be used, provided that the expressed APP is permitted to contact the enzyme and enzymatic cleavage activity can be analyzed.

Human cell lines that normally process A beta from APP provide a useful means to assay inhibitory activities of the compounds of the invention. Production and release of A beta and/or other cleavage products into the culture medium can be measured, for example by immunoassay, such as Western blot or enzyme-linked immunoassay (EIA) such as by ELISA.

Cells expressing an APP substrate and an active beta-secretase can be incubated in the presence of a compound inhibitor to demonstrate inhibition of enzymatic activity as compared with a control. Activity of beta-secretase can be measured by analysis of one or more cleavage products of the APP substrate. For example, inhibition of beta-secretase activity against the substrate APP would be expected to decrease release of specific beta-secretase induced APP cleavage products such as A beta.

Although both neural and non-neural cells process and release A beta, levels of endogenous beta-secretase activity are low and often difficult to detect by EIA. The use of cell types known to have enhanced beta-secretase activity, enhanced processing of APP to A beta, and/or enhanced production of A beta are therefore preferred. For example, transfection of cells with the Swedish Mutant form of APP

(APP-SW); with APP-KK; or with APP-SW-KK provides cells having enhanced beta-secretase activity and producing amounts of A beta that can be readily measured.

In such assays, for example, the cells expressing APP and beta-secretase are incubated in a culture medium under conditions suitable for beta-secretase enzymatic activity at its cleavage site on the APP substrate. On exposure of the cells to the compound inhibitor, the amount of A beta released into the medium and/or the amount of CTF99 fragments of APP in the cell lysates is reduced as compared with the control. The cleavage products of APP can be analyzed, for example, by immune reactions with specific antibodies, as discussed above.

Preferred cells for analysis of beta-secretase activity include primary human neuronal cells, primary transgenic animal neuronal cells where the transgene is APP, and other cells such as those of a stable 293 cell line expressing APP, for example, APP-SW.

In vivo assays: animal models

Various animal models can be used to analyze beta-secretase activity and /or processing of APP to release A beta, as described above. For example, transgenic animals expressing APP substrate and beta-secretase enzyme can be used to demonstrate inhibitory activity of the compounds of the invention. Certain transgenic animal models have been described, for example, in U.S. Patent Nos: 5,877,399; 5,612,486; 5,387,742; 5,720,936; 5,850,003; 5,877,015,, and 5,811,633, and in Ganes et.al., 1995, *Nature* 373:523. Preferred are animals that exhibit characteristics associated with the pathophysiology of AD. Administration of the compound inhibitors of the invention to the transgenic mice described herein provides an alternative method for demonstrating the inhibitory activity of the compounds. Administration of the compounds in a pharmaceutically effective carrier and via an administrative route that reaches the target tissue in an appropriate therapeutic amount is also preferred.

Inhibition of beta-secretase mediated cleavage of APP at the beta-secretase cleavage site and of A beta release can be analyzed in these animals by measure of cleavage fragments in the animal's body fluids such as cerebral fluid or tissues. Analysis of brain tissues for A beta deposits or plaques is preferred.

On contacting an APP substrate with a beta-secretase enzyme in the presence of an inhibitory compound of the invention and under conditions sufficient to permit enzymatic mediated cleavage of APP and/or release of A beta from the substrate, the compounds of the invention are effective to reduce beta-secretase-mediated cleavage of APP at the beta-secretase cleavage site and/or effective to reduce released amounts of A beta. Where such contacting is the administration of the inhibitory compounds of the invention to an animal model, for example, as described above, the compounds are effective to reduce A beta deposition in brain tissues of the animal, and to reduce the number and/or size of beta amyloid plaques. Where such administration is to a human subject, the compounds are effective to inhibit or slow the progression of disease characterized by enhanced amounts of A beta, to slow the progression of AD in the, and/or to prevent onset or development of AD in a patient at risk for the disease.

Unless defined otherwise, all scientific and technical terms used herein have the same meaning as commonly understood by one of skill in the art to which this invention belongs. All patents and publications referred to herein are hereby incorporated by reference for all purposes.

DEFINITIONS AND CONVENTIONS

The definitions and explanations below are for the terms as used throughout this entire document including both the specification and the claims.

I. CONVENTIONS FOR FORMULAS AND DEFINITIONS OF VARIABLES

The chemical formulas representing various compounds or molecular fragments in the specification and claims may contain variable substituents in addition to expressly defined structural features. These variable substituents are identified by a letter or a letter followed by a numerical subscript, for example, "Z_1" or "R_i" where "i" is an integer. These variable substituents are either monovalent or bivalent, that is, they represent a group attached to the formula by one or two chemical bonds. For example, a group Z_1 would represent a bivalent variable if attached to the formula CH_3-C(=Z_1)H. Groups R_i and R_j would represent monovalent variable substituents if attached to the formula CH_3-CH_2-C(R_i)(R_j)H_2. When

chemical formulas are drawn in a linear fashion, such as those above, variable substituents contained in parentheses are bonded to the atom immediately to the left of the variable substituent enclosed in parentheses. When two or more consecutive variable substituents are enclosed in parentheses, each of the consecutive variable substituents is bonded to the immediately preceding atom to the left which is not enclosed in parentheses. Thus, in the formula above, both R_i and R_j are bonded to the preceding carbon atom. Also, for any molecule with an established system of carbon atom numbering, such as steroids, these carbon atoms are designated as C_i, where "i" is the integer corresponding to the carbon atom number. For example, C_6 represents the 6 position or carbon atom number in the steroid nucleus as traditionally designated by those skilled in the art of steroid chemistry. Likewise the term "R_6" represents a variable substituent (either monovalent or bivalent) at the C_6 position.

Chemical formulas or portions thereof drawn in a linear fashion represent atoms in a linear chain. The symbol "-" in general represents a bond between two atoms in the chain. Thus CH_3-O-CH_2-CH(R_i)-CH_3 represents a 2-substituted-1-methoxypropane compound. In a similar fashion, the symbol "=" represents a double bond, e.g., CH_2=C(R_i)-O-CH_3, and the symbol "≡" represents a triple bond, e.g., HC≡C-CH(R_i)-CH_2-CH_3. Carbonyl groups are represented in either one of two ways: -CO- or -C(=O)-, with the former being preferred for simplicity.

Chemical formulas of cyclic (ring) compounds or molecular fragments can be represented in a linear fashion. Thus, the compound 4-chloro-2-methylpyridine can be represented in linear fashion by N^*=C(CH_3)-CH=CCl-CH=C^*H with the convention that the atoms marked with an asterisk (*) are bonded to each other resulting in the formation of a ring. Likewise, the cyclic molecular fragment, 4-(ethyl)-1-piperazinyl can be represented by -N^*-$(CH_2)_2$-N(C_2H_5)-CH_2-C^*H_2.

A rigid cyclic (ring) structure for any compounds herein defines an orientation with respect to the plane of the ring for substituents attached to each carbon atom of the rigid cyclic compound. For saturated compounds which have two substituents attached to a carbon atom which is part of a cyclic system, -C(X_1)(X_2)- the two substituents may be in either an axial or equatorial position relative to the ring and may change between axial/equatorial. However, the position of the two substituents relative to the ring and each other remains fixed. While

either substituent at times may lie in the plane of the ring (equatorial) rather than above or below the plane (axial), one substituent is always above the other. In chemical structural formulas depicting such compounds, a substituent (X_1) which is "below" another substituent (X_2) will be identified as being in the alpha configuration and is identified by a broken, dashed or dotted line attachment to the carbon atom, i.e., by the symbol "- - -" or "...". The corresponding substituent attached "above" (X_2) the other (X_1) is identified as being in the beta configuration and is indicated by an unbroken line attachment to the carbon atom.

When a variable substituent is bivalent, the valences may be taken together or separately or both in the definition of the variable. For example, a variable R_i attached to a carbon atom as -C(=R_i)- might be bivalent and be defined as oxo or keto (thus forming a carbonyl group (-CO-) or as two separately attached monovalent variable substituents alpha-R_{i-j} and beta-R_{i-k}. When a bivalent variable, R_i, is defined to consist of two monovalent variable substituents, the convention used to define the bivalent variable is of the form "alpha-R_{i-j}:beta-R_{i-k}" or some variant thereof. In such a case both alpha-R_{i-j} and beta-R_{i-k} are attached to the carbon atom to give -C(alpha-R_{i-j})(beta-R_{i-k})-. For example, when the bivalent variable R_6, -C(=R_6)- is defined to consist of two monovalent variable substituents, the two monovalent variable substituents are alpha-R_{6-1}:beta-R_{6-2}, ... alpha-R_{6-9}:beta-R_{6-10}, etc, giving -C(alpha-R_{6-1})(beta-R_{6-2})-, ... -C(alpha-R_{6-9})(beta-R_{6-10})-, etc. Likewise, for the bivalent variable R_{11}, -C(=R_{11})-, two monovalent variable substituents are alpha-R_{11-1}:beta-R_{11-2}. For a ring substituent for which separate alpha and beta orientations do not exist (e.g. due to the presence of a carbon carbon double bond in the ring), and for a substituent bonded to a carbon atom which is not part of a ring the above convention is still used, but the alpha and beta designations are omitted.

Just as a bivalent variable may be defined as two separate monovalent variable substituents, two separate monovalent variable substituents may be defined to be taken together to form a bivalent variable. For example, in the formula -C_1(R_i)H-C_2(R_j)H- (C_1 and C_2 define arbitrarily a first and second carbon atom, respectively) R_i and R_j may be defined to be taken together to form (1) a second bond between C_1 and C_2 or (2) a bivalent group such as oxa (-O-) and the formula thereby describes an epoxide. When R_i and R_j are taken together to form a more complex entity, such as the group -X-Y-, then the orientation of the entity is such

that C_1 in the above formula is bonded to X and C_2 is bonded to Y. Thus, by convention the designation "... R_i and R_j are taken together to form $-CH_2-CH_2-O-CO-$ ..." means a lactone in which the carbonyl is bonded to C_2. However, when designated "... R_j and R_i are taken together to form $-CO-O-CH_2-CH_2-$the convention means a lactone in which the carbonyl is bonded to C_1.

The carbon atom content of variable substituents is indicated in one of two ways. The first method uses a prefix to the entire name of the variable such as "C_1-C_4", where both "1" and "4" are integers representing the minimum and maximum number of carbon atoms in the variable. The prefix is separated from the variable by a space. For example, "C_1-C_4 alkyl" represents alkyl of 1 through 4 carbon atoms, (including isomeric forms thereof unless an express indication to the contrary is given). Whenever this single prefix is given, the prefix indicates the entire carbon atom content of the variable being defined. Thus C_2-C_4 alkoxycarbonyl describes a group $CH_3-(CH_2)_n-0-CO-$ where n is zero, one or two. By the second method the carbon atom content of only each portion of the definition is indicated separately by enclosing the "C_i-C_j" designation in parentheses and placing it immediately (no intervening space) before the portion of the definition being defined. By this optional convention (C_1-C_3)alkoxycarbonyl has the same meaning as C_2-C_4 alkoxycarbonyl because the "C_1-C_3" refers only to the carbon atom content of the alkoxy group. Similarly while both C_2-C_6 alkoxyalkyl and (C_1-C_3)alkoxy(C_1-C_3)alkyl define alkoxyalkyl groups containing from 2 to 6 carbon atoms, the two definitions differ since the former definition allows either the alkoxy or alkyl portion alone to contain 4 or 5 carbon atoms while the latter definition limits either of these groups to 3 carbon atoms.

When the claims contain a fairly complex (cyclic) substituent, at the end of the phrase naming/designating that particular substituent will be a notation in (parentheses) which will correspond to the same name/designation in one of the CHARTS which will also set forth the chemical structural formula of that particular substituent.

II. DEFINITIONS

All temperatures are in degrees Celsius.

TLC refers to thin-layer chromatography.

psi refers to pounds/in^2.

HPLC refers to high pressure liquid chromatography.

THF refers to tetrahydrofuran.

DMF refers to dimethylformamide.

EDC refers to ethyl-1-(3-dimethylaminopropyl)carbodiimide or 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride.

HOBt refers to 1-hydroxy benzotriazole hydrate.

NMM refers to N-methylmorpholine.

NBS refers to N-bromosuccinimide.

TEA refers to triethylamine.

BOC refers to 1,1-dimethylethoxy carbonyl or *t*-butoxycarbonyl, -CO-O-$C(CH_3)_3$.

CBZ refers to benzyloxycarbonyl, -CO-O-CH_2-phenyl.

FMOC refers to 9-fluorenylmethyl carbonate.

TFA refers to trifluoracetic acid, CF_3-COOH.

CDI refers to 1,1'-carbonyldiimidazole.

Saline refers to an aqueous saturated sodium chloride solution.

Chromatography (column and flash chromatography) refers to purification/separation of compounds expressed as (support, eluent). It is understood that the appropriate fractions are pooled and concentrated to give the desired compound(s).

CMR refers to C-13 magnetic resonance spectroscopy, chemical shifts are reported in ppm (δ) downfield from TMS.

NMR refers to nuclear (proton) magnetic resonance spectroscopy, chemical shifts are reported in ppm (d) downfield from TMS.

IR refers to infrared spectroscopy.

-phenyl refers to phenyl (C_6H_5).

MS refers to mass spectrometry expressed as m/e, m/z or mass/charge unit. MH^+ refers to the positive ion of a parent plus a hydrogen atom. EI refers to electron impact. CI refers to chemical ionization. FAB refers to fast atom bombardment.

HRMS refers to high resolution mass spectrometry.

Ether refers to diethyl ether.

Pharmaceutically acceptable refers to those properties and/or substances which are acceptable to the patient from a pharmacological/toxicological point of view and to the manufacturing pharmaceutical chemist from a physical/chemical point of view regarding composition, formulation, stability, patient acceptance and bioavailability.

When solvent pairs are used, the ratios of solvents used are volume/volume (v/v).

When the solubility of a solid in a solvent is used the ratio of the solid to the solvent is weight/volume (wt/v).

BOP refers to benzotriazol-1-yloxy-tris(dimethylamino)phosphonium hexafluorophosphate.

TBDMSCl refers to *t*-butyldimethylsilyl chloride.

TBDMSOTf refers to *t*-butyldimethylsilyl trifluosulfonic acid ester.

Trisomy 21 refers to Down's Syndrome.

The following terms are used (in EXAMPLEs 321 and above) for the amide forming agent (IX):

"PHTH" refers to $(CH_3\text{-}CH_2\text{-}CH_2\text{-})_2N\text{-}CO\text{-phenyl-}CO\text{-}OH$ where the attachment to the - phenyl- ring is 1,3-;

"5-Me-PHTH" refers to $(CH_3\text{-}CH_2\text{-}CH_2\text{-})_2N\text{-}CO\text{-}(CH_3\text{-})$ phenyl -CO-OH where the attachment to the - phenyl - ring is 1,3- for the carbonyl groups and 5- for the methyl group;

"3,5-pyridinyl" refers to $(CH_3\text{-}CH_2\text{-}CH_2\text{-})_2N\text{-}CO\text{-(pyridinyl)-}CO\text{-}OH$ where the attachment to the -pyridinyl- ring is 3,5- for the carbonyl groups;

"$-SO_2-$" refers to $(CH_3\text{-}CH_2\text{-}CH_2\text{-})_2CH\text{-}SO_2\text{-}$ phenyl -CO-OH where the attachment to the - phenyl - ring is 1,3-;

"5-OMe-PHTH" refers to $(CH_3\text{-}CH_2\text{-}CH_2\text{-})_2N\text{-}CO\text{-}(CH_3\text{-}O\text{-})$ phenyl -CO-OH where the attachment to the - phenyl - ring is 1,3- for the carbonyl groups and 5- for the methoxy group;

"5-Cl-PHTH" refers to $(CH_3\text{-}CH_2\text{-}CH_2\text{-})_2N\text{-}CO\text{-}(Cl\text{-})\text{phenyl-}CO\text{-}OH$ where the attachment to the -phenyl- ring is 1,3- for the carbonyl groups and 5- for the chlorine atom;

"5-F-PHTH" refers to $(CH_3\text{-}CH_2\text{-}CH_2\text{-})_2N\text{-}CO\text{-}(F\text{-})\text{phenyl-}CO\text{-}OH$ where the attachment to the -phenyl- ring is 1,3- for the carbonyl groups and 5- for the fluorine atom;

"thienyl" refers to $(CH_3\text{-}CH_2\text{-}CH_2\text{-})_2N\text{-}CO\text{-thienyl-}CO\text{-}OH$ where the attachment to the thiophene ring is -2,5;

"2,4-pyridinyl" refers to $(CH_3\text{-}CH_2\text{-}CH_2\text{-})_2N\text{-}CO\text{-(pyridinyl)-}CO\text{-}OH$ where the attachment to the -pyridinyl- ring is 2,4- for the carbonyl groups;

"4,6-pyrimidinyl" refers to $(CH_3\text{-}CH_2\text{-}CH_2\text{-})_2N\text{-}CO\text{-(pyrimidinyl-)phenyl-}CO\text{-}OH$ where the attachment to the -pyrimidiny-l ring is 4,6- for the carbonyl groups;

"morpholinyl" refers to morpholinyl-CO-phenyl-CO-OH where the attachment to the -phenyl- ring is 1,3 for the carbonyl groups.

APP, amyloid precursor protein, is defined as any APP polypeptide, including APP variants, mutations, and isoforms, for example, as disclosed in U.S. Patent No. 5,766,846.

A beta, amyloid beta peptide, is defined as any peptide resulting from beta-secretase mediated cleavage of APP, including peptides of 39, 40, 41, 42, and 43 amino acids, and extending from the beta-secretase cleavage site to amino acids 39, 40, 41, 42, or 43.

Beta-secretase (BACE1, Asp2, Memapsin 2) is an aspartyl protease that mediates cleavage of APP at the amino-terminal edge of A beta. Human beta-secretase is described, for example, in WO00/17369.

"Pharmaceutically acceptable" refers to those properties and/or substances that are acceptable to the patient from a pharmacological/toxicological point of view and to the manufacturing pharmaceutical chemist from a physical/chemical point of view regarding composition, formulation, stability, patient acceptance and bioavailability.

A therapeutically effective amount is defined as an amount effective to reduce or lessen at least one symptom of the disease being treated or to reduce or delay onset of one or more clinical markers or symptoms of the disease.

The present invention provides compounds, compositions, and methods for inhibiting beta-secretase enzyme activity and A beta peptide production. Inhibition of beta-secretase enzyme activity halts or reduces the production of A beta from APP and reduces or eliminates the formation of beta-amyloid deposits in the brain.

EXAMPLES

Without further elaboration, it is believed that one skilled in the art can, using the preceding description, practice the present invention to its fullest extent. The following detailed examples describe how to prepare the various compounds and/or perform the various processes of the invention and are to be construed as merely illustrative, and not limitations of the preceding disclosure in any way whatsoever. Those skilled in the art will promptly recognize appropriate variations from the procedures both as to reactants and as to reaction conditions and techniques.

PREPARATION 1 3-Amino-5-(methoxycarbonyl)benzoic acid (XVII)

A suspension of *mono*-methyl 5-nitro-isophthalate (22.5 g, 100 mmol) and palladium on carbon (5%, 2.00 g) in methanol (100 mL) is shaken in a hydrogenation apparatus under hydrogen (50 psi) for 3 hours. The mixture is then filtered through diatomaceous earth and concentrated to give the title compound, NMR (300 MHz, $CDCl_3$) beta 7.67, 7.41, 7.40 and 3.83; MS (ESI-) for $C_9H_9NO_4$ *m/z* $(M-H)^-$ = 194.

PREPARATION 2 3-Bromo-5-(methoxycarbonyl)benzoic acid (XIX)

A mixture of copper (II) bromide (1.85 g, 8.30 mmol), n-butyl nitrite (1.07 g, 10.4 mmol), and acetonitrile (30 mL) is stirred in a round bottomed flask in a water bath to which a few chunks of ice has been added. 3-Amino-5-(methoxycarbonyl)benzoic acid (XVII, PREPARATION 1, 1.35 g, 6.92 mmol) is added as a slurry in warm acetonitrile (70 mL) over 15 min and the mixture is stirred at 20-25 degrees C for an additional 2 hour, at which time the mixture is partitioned between dichloromethane and hydrochloric acid (3N). The organic phase is separated and dried over sodium sulfate and concentrated to dryness. Chromatography (silica gel, 125 mL; methanol/dichloromethane, 15/85) and concentration of the appropriate fractions gives a solid which is crytallized from methanol to give the title compound in two crops, NMR (DMSO-d_6) delta 3.90, 8.26 and 8.65.

PREPARATION 3 Methyl 3-bromo-5-[(dipropylamino)carbonyl]benzoate (XXI)

Carbonyl diimidazole (3.0 g, 18 mmol) is added to a solution of 3-bromo-5-(methoxycarbonyl)benzoic acid (XIX, PREPARATION 2, 3.9 g, 15 mmol) in THF (30 mL). The mixture is stirred for 0.5 hours. Dipropylamine (AMINE, 4.2 mL, 30 mmol) is added to the mixture, which is then stirred for 24 hours. The solvent is then removed under reduced pressure and the mixture is partitioned between ethyl acetate and water. The organic phase is then washed with saline, dried over anhydrous magnesium sulfate, filtered, and concentrated. Column chromatography (silica gel; ethyl acetate/hexanes, 15/85) gives the title compound, IR (diffuse reflectance) 2968, 2958, 1714, 1637, 1479, 1440, 1422, 1321, 1310, 1288, 1273, 1252, 889, 772 and 718 cm^{-1}; NMR (300 MHz, $CDCl_3$) δ 8.21, 7.96, 7.70, 3.95, 3.46, 3.15, 1.69, 1.57, 1.00 and 0.78; MS (ESI+) for $C_{15}H_{20}BrNO_3$ *m/z* $(M+H)^+$ = 344.1.

PREPARATION 4 3-Bromo-5-[(dipropylamino)carbonyl]benzoic acid

To a solution of methyl 3-bromo-5-[(dipropylamino)carbonyl]benzoate (XXI, PREPARATION 3, 1.4 g, 4.1 mmol) in THF/water/methanol (4/2/2, 8 mL) is added to lithium hydroxide monohydrate (0.17 g, 4.05 mmol). The mixture is stirred at 20 degrees -25 degrees C for 1 hour and then solvent is removed under reduced pressure. The residue is dissolved in water (50 mL) and hydrochloric acid (1 N) is added to adjust the pH to about 3. The aqueous mixture is extracted with ethyl acetate and the organic phase is separated and dried over magnesium sulfate to give the title compound. Analytical calculated for $C_{14}H_{18}BrNO_3$: C, 51.23; H, 5.53; N, 4.27; Br, 24.35. Found: C, 51.37; H, 5.56; N, 4.28.

PREPARATION 5 Methyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]-benzoate (XXII)

To a mixture of methyl 3-bromo-5-[(dipropylamino)carbonyl]benzoate (XXI, PREPARATION 3, 0.5 g, 1.47 mmol) in dry N-methyl pyrrolidinone under a carbon monoxide atmosphere is added palladium (II) acetate (0.017 g, 0.074 mmol), 1,3-bis(diphenylphosphino)propane (0.045 g, 0.11 mmol), hexamethyldisilazane (1.0 mL, 4.7 mmol), and diisopropylethylamine (0.38 g, 2.94 mmol). The mixture is heated at 100 degrees C for 24 hours. The mixture is cooled to 20-25 degrees C and partitioned between water and ethyl acetate. The layers are separated and the

aqueous phase is back-washed with ethyl acetate. The organic phases are combined and washed three times with saline, dried over anhydrous magnesium sulfate, filtered and concentrated. Column chromatography (silica gel, 75 mL; methanol/methylene chloride, 2.5/97.5) gives the title compound, NMR ($CDCl_3$) delta 0.77, 1.02, 1.57, 1.71, 3.17, 3.49, 3.98, 5.78, 6.34, 8.07, 8.20 and 8.48.

PREPARATION 6 3-(Aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoic acid (XXIII)

To a mixture of methyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate (XXII, PREPARATION 5, 0.197 g, 0.64 mmol) in methanol (5.0 mL) is added sodium hydroxide (1N, 3.0 mL). The mixture is stirred at 20-25 degrees C for 24 hours. The mixture is acidified to about pH 5 with hydrochloric acid (10%). Water (50 mL) is added and the mixture is washed twice with ethyl acetate (2 x 50 mL). The organic extracts are combined and dried over anhydrous magnesium sulfate and concentrated to give the title compound, NMR (DMSO-d_6) delta 0.66, 0.930, 1.48, 1.62, 3.12, 3.35, 7.54, 7.98, 8.22 and 8.51.

PREPARATION 7 3-Cyano-5-[(dipropylamino)carbonyl]benzoic acid (IX/XXXII)

A mixture of 3-bromo-5-[(dipropylamino)carbonyl]benzoic acid (PREPARATION 4, 0.596 g, 1.82 mmol) and copper nitrile (0.325 g, 3.63 mmol) in N-methylpyrrolidinone (1.5 mL) is stirred at 175 degrees C for 2.5 hour, at which time the mixture is cooled and partitioned between ethyl acetate and hydrochloric acid (3N). The organic layer is washed twice more with hydrochloric acid (3N) and then twice more with saline which had been acidified with a small amount of hydrochloric acid (3N). The organic layer is dried over magnesium sulfate and concentrated under high vacuum to give the title compound, NMR ($CDCl_3$) delta 0.80, 1.02, 1.60, 1.73, 3.17, 3.51, 7.90, 8.31 and 8.41; an aliquot is crystallized from ethyl ether/dichloromethane/hexane - IR (diffuse reflectance) 3017, 2970, 2937, 2898, 2877, 2473, 2432, 2350, 2318, 2236, 1721, 1608, 1588, 1206 and 1196 cm^{-1}.

PREPARATION 8 3-(Aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoic acid (XXXIII)

A mixture of 3-cyano-5-[(dipropylamino)carbonyl]benzoic acid (IX/XXXII, PREPARATION 7, 0.602 g, 2.19 mmol), potassium carbonate (0.212 g, 1.53 mmol), and acetone (2.5 mL) is stirred at 20-25 degrees C. Water (2.5 mL) and urea-hydrogen peroxide adduct (0.825 g, 8.78 mmol) are added and the mixture is stirred for 15 hours at 20-25 degrees C, at which time additional urea-hydrogen peroxide adduct (0.204 g) is added; after stirring for another 3 hours, an additional 0.205 g of urea-hydrogen peroxide is added. After a total of 39 hours has elapsed, the acetone is removed under reduced pressure and the residue is acidified with hydrochloric acid (3N) to pH = 2-4. The mixture is extracted with dichloromethane, the organic layer is separated and washed with hydrochloric acid (0.5 N), and the organic phase is dried with anhydrous magnesium sulfate to a solid. The solid is crystallized from dichloromethane/hexane/methanol to give the title compound, MS (ESI+) for $C_{15}H_{20}N_2O_4$ *m/z* $(M+H)^+ = 293.2$.

PREPARATION 9 Methyl 3-[(dipropylamino)carbonyl]-5-nitrobenzoate (XXX)

Carbonyl diimidazole (3.90 g, 24.0 mmol) is added to a mixture of *mono*-methyl 5-nitro-isophthalate (XXVIII, 4.50 g, 20.0 mmol) in dry THF (50 mL). The mixture is stirred for 0.5 hours. Dipropylamine (3.28 mL, 24.0 mmol) is added slowly to the mixture. The reaction mixture is then stirred for 4 hours. The solvent is removed under reduced pressure and the mixture is partitioned between ethyl acetate and water. The organic phase is separated and washed with saline, dried over anhydrous sodium sulfate, filtered, and concentrated. Column chromatography (silica gel; ethyl acetate/hexanes, 15/85) gives the title compound, NMR (300 MHz, $CDCl_3$) delta 8.88, 8.41, 8.35, 4.00, 3.48, 3.15, 1.72, 1.57, 1.00 and 0.77; MS (ESI+) for $C_{15}H_{20}N_2O_5$ *m/z* $(M+H)^+ = 309.2$.

PREPARATION 10 Methyl 3-amino-5-[(dipropylamino)carbonyl]benzoate (XXXI)

A suspension of methyl 3-[(dipropylamino)carbonyl]-5-nitrobenzoate (XXX, PREPARATION 9, 6.00g, 20.0 mmol) and palladium on carbon (5%, 0.600 g) in methanol (40 mL) is shaken in a hydrogenation apparatus under hydrogen (45 psi) for 3 hours. The mixture is then filtered through diatomaceous earth and concentrated to give the title compound, NMR (300 MHz, $CDCl_3$) delta 7.27, 6.77, 4.10, 3.82, 3.38, 3.10, 1.62, 1.46, 0.91 and 0.68.

PREPARATION 11 Methyl 3-(chlorosulfonyl)-5-[(dipropylamino)carbonyl]-benzoate (XXXVII)

Methyl 3-amino-5-[(dipropylamino)carbonyl]benzoate (XXXI, PREPARATION 10, 1.11 g, 4 mmol) is added to a mixture of water (5 mL) and concentrated hydrochloric acid (1 mL). Sodium nitrite (0.276 g, 4 mmol) is added to the mixture slowly at 0 degrees C. The mixture is then added to an acetic acid solution (5 mL) of $CuCl_2 \cdot 2H_2O$ saturated with sulfur dioxide. The mixture is stirred for 0.5 hours and poured into ice water. The mixture is extracted with ethyl acetate. The organic phase is separated and washed with saturated sodium bicarbonate, water, and saline and dried over anhydrous sodium sulfate, filtered, and concentrated to give the title compound, NMR (300 MHz, $CDCl_3$) delta 8.69, 8.38, 8.20, 4.01, 3.49, 3.14, 1.72, 1.59, 1.01 and 0.79; MS (ESI+) for $C_{15}H_{20}ClNO_5S$ *m/z* $(M+H)^+$ = 362.2

PREPARATION 12 Methyl 3-(aminosulfonyl)-5-[(dipropylamino)carbonyl]-benzoate (XXXVIII)

To a solution of methyl 3-(chlorosulfonyl)-5-[(dipropylamino)carbonyl]benzoate (XXXVII, PREPARATION 11, 0.100 g, 0.300 mmol) in dry THF (3 mL) is added ammonia (7 N solution in methanol, 0.214 mL, 1.50 mmol). The mixture is stirred for 18 hours and solvent is then removed. The residue is partitioned between ethyl acetate and water. The organic phase is separate and washed with saline, dried over anhydrous sodium sulfate, filtered, and concentrated to give the title compound, NMR (300 MHz, $CDCl_3$) delta 8.45, 8.07, 8.01, 6.05, 3.93, 3.44, 3.09, 1.67, 1.52, 0.96 and 0.73; MS (ESI+) for $C_{12}H_{22}N_2O_5S$ *m/z* $(M+H)^+$ = 343.3.

PREPARATION 13 3-(Aminosulfonyl)-5-[(dipropylamino)carbonyl]benzoic acid (XXXVIII)

Lithium hydroxide monohydrate (0.011 g, 0.263 mmol) is added to a solution of methyl 3-(aminosulfonyl)-5-[(dipropylamino)carbonyl]benzoate (XXXVIII, PREPARATION 12, 0.090 g, 0.263 mmol) in a mixture of THF/methanol/water (2/1/1, 2 mL). The mixture is stirred at 20-25 degrees C for 3

hours. The mixture is then diluted with water and hydrochloric acid (1 N) is added to bring the pH to less than 3. The aqueous solution is extracted with ethyl acetate. The organic phase is separated and washed with saline, dried over anhydrous sodium sulfate, filtered and concentrated to give the title compound. ^{1}H NMR (300 MHz, $CDCl_3$) delta 10.36 (s, 1 H), 8.39 (s, 1 H), 8.09 (s, 2 H), 6.06 (s, 2 H), 3.48 (t, J = 7 Hz, 2 H), 3.15 (t, J = 7 Hz, 2 H), 1.71 (m, 2 H), 1.55 (m, 2 H), 0.97 (t, J = 7 Hz, 3 H), 0.74 (t, J = 7 Hz, 3 H). MS (ESI+) for $C_{11}H_{20}N_2O_5S$ *m/z* 329.2 $(M+H)^+$.

PREPARATION 14 Methyl 3-[(dipropylamino)carbonyl]-5-(1-pyrrolidinylsulfonyl)- benzoate (XXXVIII)

Following the general procedure of PREPARATION 12 and making non-critical variations but using pyrrolidine (0.347 mL, 4.16 mmol), the title compound is obtained, MS (ESI+) for $C_{19}H_{28}N_2O_5S$ *m/z* $(M+H)^+$ = 397.1.

PREPARATION 15 3-[(Dipropylamino)carbonyl]-5-(1-pyrrolidinylsulfonyl)benzoic acid (XXXIX)

Following the general procedure of PREPARATION 13 and making non-critical variations, the title compound is obtained, MS (ESI+) for $C_{18}H_{26}N_2O_5S$ *m/z* $(M+H)^+$ = 383.3.

PREPARATION 16 Methyl 3-[(dipropylamino)carbonyl]-5-[(methylamino)-sulfonyl]benzoate (XXXVIII)

Following the general procedure of PREPARATION 12 and making non-critical variations but using methyl amine (2 N solution in THF, 0.692 mL, 1.38 mmol), the title compound is obtained, MS (ESI+) for $C_{16}H_{24}N_2O_5S$ *m/z* $(M+H)^+$ = 357.1.

PREPARATION 17 3-[(Dipropylamino)carbonyl]-5-[(methylamino)-sulfonyl]benzoic acid (XXXIX)

Following the general procedure of PREPARATION 13 and making non-critical variations, the title compound is obtained, MS (ESI+) for $C_{15}H_{22}N_2O_5S$ *m/z* $(M+H)^+$ = 343.1.

PREPARATION 18 Methyl 3-[(dimethylamino)sulfonyl]-5-[(dipropylamino)-carbonyl]benzoate (XXXVIII)

Following the general procedure of PREPARATION 12 and making non-critical variations but using dimethylamine (2 N solution in THF, 0.692 mL, 1.38 mmol), the title compound is obtained, MS (ESI+) for $C_{17}H_{26}N_2O_5S$ *m/z* $(M+H)^+$ = 371.1.

PREPARATION 19 3-[(Dimethylamino)sulfonyl]-5-[(dipropylamino)carbonyl]-benzoic acid (XXXIX)

Following the general procedure of PREPARATION 13 and making non-critical variations, the title compound is obtained, MS (ESI+) for $C_{16}H_{24}N_2O_5S$ *m/z* $(M+H)^+$ = 357.1.

PREPARATION 20 Methyl 3-[(dipropylamino)carbonyl]-5-ethylbenzoate (IX)

Ethylboronic acid (0.800 g, 10.8 mmol), dichlorobis(triphenylphosphine)-palladium(II) (0.252 g, 0.360 mmol), potassium carbonate (2.50 g, 18.0 mmol) and lithium chloride (0.151 g, 3.60 mmol) are added to a mixture of methyl 3-bromo-5-[(dipropylamino)carbonyl]benzoate (1.23 g, 3.60 mmol) in dry DMF (20 mL). The mixture is heated at 100 degrees C for 18 hours. The mixture is then partitioned between ethyl acetate and water. The phases are separated and the ethyl acetate phase is washed with saline, dried over sodium sulfate and concentrated. The concentrate is column chromatographed (silica gel; ethyl acetate/hexanes, 15/85) to give the title compound, MS (ESI+) for $C_{17}H_{25}NO_3$ *m/z* $(M+H)^+$ = 292.2.

PREPARATION 21 3-[(Dipropylamino)carbonyl]-5-ethylbenzoic acid (IX)

Lithium hydroxide monohydrate (0.0680 g, 1.6 mmol) is added to a mixture of methyl 3-[(dipropylamino)carbonyl]-5-ethylbenzoate (PREPARATION 20, 0.450 g, 1.6 mmol) in a mixture of THF/methanol/water (2/1/1, 8 mL). The mixture is stirred at 20-25 degrees C for 3 hours. The mixture is then diluted with water (20 mL) and hydrochloric acid (1 N) is added to bring the pH to less than 3. The aqueous mixture is extracted with ethyl acetate. The organic phase is separated and washed with saline, dried over anhydrous magnesium sulfate, filtered and concentrated to give the title compound, MS (ESI+) for $C_{16}H_{23}NO_3$ *m/z* $(M+H)^+$ = 278.2.

EXAMPLE 1 tert-Butyl (1S)-3-bromo-1-(3,5-difluorobenzyl)-2-oxopropylcarbamate (III)

N-methyl-morpholine (5.83 Ml, 53 mmole, 1.05 eq.) is added to (2S)-2-[(tert-butoxycarbonyl)amino]-3-(3,5-difluorophenyl)propanoic acid (II, 15 g, 50 mmole) in THF (100 mL) and the reaction is cooled to –78 degrees C. Isobutyl chloroformate (6.87 mL, 53 mmole, 1.05 eq.) is added rapidly. The cold bath is then removed and the mixture stirred for 1 hour. The reaction is monitored by TLC to insure completion of the reaction and the mixture is then filtered and washed with dry THF (50 ml) and kept cold in the filtered flask at –20 degrees C.

In an ice-salt bath is placed a 500 ml graduate cylinder containing ether (200 mL) and aqueous potassium hydroxide (40%, 60 ml). 1-Methyl-3-nitro-1-nitrosoguanidine (5.6 g, 106 mmole, 2.1 eq.) is added slowly with stirring and temperature kept below 0 degrees C. The mixture turned yellow and the bubbling lasted for 10 minutes. The stirring is stopped and without mixing the layers, the top diazomethane ethereal layer is transferred with non-ground tip pipette into the stirred mixed anhydride mixture at –20 degrees C. The reaction is monitored by TLC (ethyl acetate/hexane, 50/50; $R_f = 0.69$). After 1 hour nitrogen is then bubbled into the mixture. The solvent is removed under reduced pressure (with heat) and the mixture is partitioned between ether and water. The phases are separated, the organic phase is washed with bicarbonate, saline, dried over anhydrous sodium sulfate and solvent removed under reduced pressure (with heat). The residue is dissolved in ether (100 mL) and hydrobromic acid (48%, 15 mL, 135 mmole, 2.7 eq.) is added at –20 degrees C, the cold bath is removed and the mixture is stirred for another 0.5 hours. The reaction is monitored by TLC (ethyl acetate/hexane, 50/50; $R_f = 0.88$). The mixture is partitioned between ether and water, washed with bicarbonate, saline, dried over anhydrous sodium sulfate and the solvent removed. The residue is recrystallized from ethanol to give the title compound, TLC (ethyl acetate/hexane, 50/50) $R_f = 0.88$; MS (MH^+) = 379.3.

EXAMPLE 2 tert-Butyl (1S, 2S)-3-bromo-1-(3,5-difluorobenzyl)-2-hydroxypropylcarbamate (IV)

Sodium borohydride (1.32 g, 34.9 mmole, 1.1 eq.) is added to tert-Butyl (1S)-3-bromo-1-(3,5-difluorobenzyl)-2-oxopropylcarbamate (III, EXAMPLE 1, 12

g, 31.75 mmole) dissolved in absolute alcohol (500 mL) at –78 degrees C. The reaction mixture is stirred for 0.5 hour and monitored by TLC (ethyl acetate/hexane, 20/80; $R_f = 0.2$). The mixture is quenched with water (10 mL) and the solvent removed under reduced pressure with heat (not exceeding 30 degrees C) to dryness. The solid is partitioned between dichloromethane and water, washed with saline, dried over anhydrous sodium sulfate. The solvent is removed under reduced pressure to give the title compound, TLC (ethyl acetate/hexane, 20/80) $R_f = 0.2$; MS (MH^+) = 381.2.

EXAMPLE 3 *tert*-Butyl (1S)-2-(3,5-difluorophenyl)-1-[(2S)-oxiranyl]ethylcarbamate (V)

tert-Butyl (1S, 2S)-3-bromo-1-(3,5-difluorobenzyl)-2-hydroxypropylcarbamate (IV, EXAMPLE 2) is dissolved in absolute alcohol (150 mL) and ethyl acetate (100 mL) and potassium hydroxide (2.3 g, 34.9 mmole, 1.1eq.) in ethyl alcohol (85%, 5mL) is added at –20 degrees C. The cold bath is then removed and the mixture stirred for 0.5 hour. The reaction is monitored by TLC (ethyl acetate/hexane, 20/80). When the reaction is complete, it is diluted with dichloromethane and extracted, washed with water, saline, dried over anhydrous sodium sulfate and the solvent removed under reduced pressure. The crude material is purified by flash chromatography on silica gel to give the title compound, TLC (ethyl acetate/hexane, 20/80) $R_f = 0.3$; MS (MH^+) = 300.4.

EXAMPLE 4 tert-Butyl (1S, 2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propylcarbamate (VII)

tert-Butyl (1S)-2-(3,5-difluorophenyl)-1-[(2S)-oxiranyl]ethylcarbamate (V, EXAMPLE 3, 245 mg, 0.82 mmol) is suspended in isopropyl alcohol (6 mL) and 3-methoxybenzylamine (160 microL, 1.22 mmol) is added with stirring at 20-25 degrees C. This mixture is heated to gentle reflux (bath temp 85 degrees C) under nitrogen for 2 hours, whereupon the resulting mixture is concentrated under reduced pressure to give the title compound. The title compound is purified by flash chromatography (2-5% methanol/methylene chloride; gradient elution) to give purified title compound.

EXAMPLE 5 (2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3-methoxybenzyl)amino]-2-butanol trifluoroacetate (VIII)

tert-Butyl (1S, 2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propylcarbamate (VII, EXAMPLE 4, 258 mg, 0.59 mmol) is dissolved in methylene chloride (1 mL) at 20-25 degrees C, and trifluoroacetic acid (1 mL) is added with stirring under nitrogen. The reaction mixture is stirred at 20-25 degrees C for 1 hour, whereupon the reaction mixture is concentrated under reduced pressure to give the title compound. The title compound is used in the next reaction without further purification.

EXAMPLE 6 N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide (X)

(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3-methoxybenzyl)amino]-2-butanol trifluoroacetate salt (VIII, EXAMPLE 5) is dissolved in anhydrous DMF (3 mL) and cooled to 0 degrees C. Triethylamine (500 microliter, 3.6 mmol) and 5-methyl-*N*, *N*-dipropylisophthalamic acid (156 mg, 0.59 mmol) are added with stirring. The mixture is warmed to 20-25 degrees C briefly to allow for complete dissolution of the carboxylic acid, before recooling to 0 degrees C. 1-Hydroxybenzotriazole (157 mg, 1.2 mmol) is added with stirring, followed by 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride (229 mg, 1.2 mmol). The resulting mixture is stirred at 0 degrees C for 5 minutes, then warmed to 20-25 degrees C for 15 hours. The reaction mixture is then quenched with aqueous citric acid (10%), and the mixture extracted three times with ethyl acetate. The combined organic extracts are washed with saturated sodium bicarbonate, saline, dried over sodium sulfate, filtered and concentrated under reduced pressure to give the the title compound in crude form. This material is purified by flash chromatography (2-10% methanol/methylene chloride gradient elution) to give purified title compound, MS (ES) MH^+ = 582.3.

EXAMPLEs 7-9

Following the general procedure of EXAMPLE 1 and making non critical variations but starting with the protecting group of Column A and using the acid of Column B, the protected compound (III) of Column C is obtained:

EXAMPLE	Column A	Column B	Column C
7	BOC	Hydrochloric	tert-butyl (1S)-3-chloro-1-(3,5-difluorobenzyl)-2-oxopropylcarbamate
8	CBZ	Hydrobromic	benzyl (1S)-3-bromo-1-(3,5-difluorobenzyl)-2-oxopropylcarbamate
9	CBZ	Hydrochloric	benzyl (1S)-3-chloro-1-(3,5-difluorobenzyl)-2-oxopropylcarbamate

EXAMPLEs 10-12

Following the general procedure of EXAMPLE 2 and making non critical variations but starting with the protected compound (III) of Column A, the alcohol (IV) of Column B is obtained:

EXAMPLE	Column A	Column B
10	7	Tert-butyl (1S, 2S)-3-chloro-1-(3,5-difluorobenzyl)-2-hydroxypropylcarbamate
11	8	Benzyl (1S, 2S)-3-bromo-1-(3,5-difluorobenzyl)-2-hydroxypropylcarbamate
12	9	Benzyl (1S, 2S)-3-chloro-1-(3,5-difluorobenzyl)-2-hydroxypropylcarbamate

EXAMPLE 13 Benzyl (1S)-2-(3,5-difluorophenyl)-1-[(2S)-oxiranyl]ethylcarbamate (V)

Following the general procedure of EXAMPLE 3 and making non critical variations but starting with the alcohol (IV) of EXAMPLE 12, the title compound is obtained.

EXAMPLEs 14-107

Following the general procedure of EXAMPLE 4 and making non-critical variations but reacting tert-butyl (1S,2S)-1-(2-oxiranyl)-2-phenylethylcarbamate (V, commercially available) with the C-terminal amine (VI) of Column A, the protected alcohol (VII) of Column B is obtained.

EXA	Column A C-terminal amine (VI)	Column B Protected alcohol (VII)
14	H_2N-CH_2CH_3	tert-butyl (1S,2R)-1-benzyl-3-(ethylamino)-2-hydroxypropylcarbamate
15	H_2N-CH_2-phenyl	tert-butyl (1S,2R)-1-benzyl-3-(benzylamino)-2-hydroxypropylcarbamate
16	H_2N-$CH(CH_3)_2$	tert-butyl (1S,2R)-1-benzyl-3-(isopropylamino)-2-hydroxypropylcarbamate
17	H_2N-CH_2-phenyl-4-CH_3	tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(4-methylbenzyl)amino]propylcarbamate
18	H_2N-$(CH_2)_2$-phenyl-4-OCH_3	tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[2-(4-methoxyphenyl)ethyl]amino}propylcarbamate
19	H_2N-CH_2-phenyl-3-OCH_3	tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl carbamate
20	H_2N-CH(-phenyl)-CO-OC_2H_5	ethyl ({(2R,3S)-3-[(tert-butoxycarbonyl)amino]-2-hydroxy-4-phenylbutyl}amino)(phenyl)acetate
21	H_2N-$(CH_2)_2$-phenyl	tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(2-phenylethyl)amino]propylcarbamate
22	H_2N-CH(-CH_2OH)-	tert-butyl (1S,2R)-1-benzyl-2-

EXA	Column A C-terminal amine (VI)	Column B Protected alcohol (VII)
	CH(OH)-phenyl-4-NO_2	hydroxy-3-{[(1S)-2-hydroxy-1-(hydroxymethyl)-2-(4-nitrophenyl)ethyl]amino}propylcarbamate
23	H_2N-CH_2-phenyl-2-Cl	tert-butyl (1S,2R)-1-benzyl-3-[(2-chlorobenzyl)amino]-2-hydroxypropylcarbamate
24	H_2N-CH_2-phenyl-4-Cl	tert-butyl (1S,2R)-1-benzyl-3-[(4-chlorobenzyl)amino]-2-hydroxypropylcarbamate
25	H_2N-$(CH_2)_2$-O-$(CH_2)_2$-OH	tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[2-(2-hydroxyethoxy)ethyl]amino}propylcarbamate
26	H_2N-1-indanyl	tert-butyl (1S,2R)-1-benzyl-3-(2,3-dihydro-1H-inden-1-ylamino)-2-hydroxypropylcarbamate
27	H_2N-CH_2-CH(OH)-CH_3	tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(2-hydroxypropyl)amino]propylcarbamate
28	H_2N-CH_2-tetrahydrofuranyl	tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(tetrahydro-2-furanylmethyl)amino]propylcarbamate
29	H_2N-CH_2-CH(-OCH_2CH_3)	tert-butyl (1S,2R)-1-benzyl-3-[(2,2-diethoxyethyl)amino]-2-hydroxypropylcarbamate
30	H_2N-$(CH_2)_4$-CH_3	tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-(pentylamino)

EXA	Column A C-terminal amine (VI)	Column B Protected alcohol (VII)
		propylcarbamate
31	H_2N-cyclohexyl	tert-butyl (1S,2R)-1-benzyl-3-(cyclohexylamino)-2-hydroxypropylcarbamate
32	H_2N-CH_2-pyridin-2-yl	tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(2-pyridinylmethyl)amino]propyl carbamate
33	H_2N-CH_2-phenyl-2-NH_2	tert-butyl (1S,2R)-3-[(2-aminobenzyl)amino]-1-benzyl-2-hydroxypropylcarbamate
34	H_2N-CH_2-pyridin-3-yl	tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(3-pyridinylmethyl)amino]propyl carbamate
35	H_2N-$(CH_2)_2$-pyrrolidin-1-yl	tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[2-(1-pyrrolidinyl)ethyl]amino}propylcarbamate
36	H_2N-CH_2-CH(OH)-phenyl	tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(2-hydroxy-2-phenylethyl)amino]propylcarbamate
37	H_2N-$(CH_2)_3$-O-$(CH_2)_3$-CH_3	tert-butyl (1S,2R)-1-benzyl-3-[(3-butoxypropyl)amino]-2-hydroxypropylcarbamate
38	H_2N-$(CH_2)_3$-O-CH$(CH_3)_2$	tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(3-isopropoxypropyl)amino]propylcarbamate

EXA	Column A C-terminal amine (VI)	Column B Protected alcohol (VII)
39	H_2N-$(CH_2)_2$-$CH(CH_3)_2$	tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-(isopentylamino) propylcarbamate
40	H_2N-$(CH_2)_3$-phenyl	tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(3-phenylpropyl)amino]propylcarbamate
41	H_2N-$(CH_2)_2$-OCH_3	tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(2-methoxyethyl)amino]propylcarbamate
42	H_2N-$(CH_2)_2$-O-phenyl	tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(2-phenoxyethyl)amino]propylcarbamate
43	H_2N-$(CH_2)_2$-O-$(CH_2)_2$-CH_3	tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(2-propoxyethyl)amino]propylcarbamate
44	H_2N-$(CH_2)_2$-$C(CH_3)_3$	tert-butyl (1S,2R)-1-benzyl-3-[(3,3-dimethylbutyl)amino]-2-hydroxypropylcarbamate
45	H_2N-$(CH_2)_4$-phenyl	tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(4-phenylbutyl)amino]propylcarbamate
46	H_2N-CH_2-phenyl-3-I	tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propylcarbamate
47	H_2N-CH_2-phenyl-4-NO_2	tert-butyl (1S,2R)-1-benzyl-2-

EXA	Column A C-terminal amine (VI)	Column B Protected alcohol (VII)
		hydroxy-3-[(4-nitrobenzyl)amino]propylcarbamate
48	H_2N-CH_2-phenyl-3-Cl	tert-butyl (1S,2R)-1-benzyl-3-[(3-chlorobenzyl)amino]-2-hydroxypropylcarbamate
49	H_2N-$(CH_2)_2$-phenyl-4-Cl	tert-butyl (1S,2R)-1-benzyl-3-{[2-(4-chlorophenyl)ethyl]amino}-2-hydroxypropylcarbamate
50	H_2N-$(CH_2)_2$-pyridin-2-yl	tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[2-(2-pyridinyl)ethyl]amino}propyl carbamate
51	H_2N-CH_2-pyridin-4-yl	tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(4-pyridinylmethyl)amino]propyl carbamate
52	H_2N-$(CH_2)_2$-(N-methylpyrrolidin-2-yl)	tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[2-(1-methyl-2-pyrrolidinyl)ethyl]amino}propylcarbamate
53	H_2N-CH_2-phenyl-2,3-dimethyl	tert-butyl (1S,2R)-1-benzyl-3-[(2,3-dimethylbenzyl)amino]-2-hydroxypropylcarbamate
54	H_2N-CH_2-phenyl-2-OCF_3	tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[2-(trifluoromethoxy)benzyl]amino}propylcarbamate
55	H_2N-CH_2-phenyl-2-Cl-6-O-phenyl	tert-butyl (1S,2R)-1-benzyl-3-[(2-chloro-6-

EXA	Column A C-terminal amine (VI)	Column B Protected alcohol (VII)
		phenoxybenzyl)amino]-2-hydroxypropylcarbamate
56	H_2N-CH_2-phenyl-4-CF_3	tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[4-(trifluoromethyl)benzyl]amino}propylcarbamate
57	H_2N-CH_2-phenyl-2,3-dichloro	tert-butyl (1S,2R)-1-benzyl-3-[(2,3-dichlorobenzyl)amino]-2-hydroxypropylcarbamate
58	H_2N-CH_2-phenyl-3,5-dichloro	tert-butyl (1S,2R)-1-benzyl-3-[(3,5-dichlorobenzyl)amino]-2-hydroxypropylcarbamate
59	H_2N-CH_2-phenyl-3,5-difluoro	tert-butyl (1S,2R)-1-benzyl-3-[(3,5-difluorobenzyl)amino]-2-hydroxypropylcarbamate
60	H_2N-CH_2-phenyl-4-OCF_3	tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[4-(trifluoromethoxy)benzyl]amino}propylcarbamate
61	H_2N-$(CH_2)_2$-phenyl-4-SO_2-NH_2	tert-butyl (1S,2R)-3-{[4-(aminosulfonyl)benzyl]amino}-1-benzyl-2-hydroxypropylcarbamate
62	H_2N-CH_2-phenyl-4-OCH_3	tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(4-methoxybenzyl)amino]propyl carbamate
63	H_2N-CH_2-phenyl-4-CH_3	tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(4-methylbenzyl)amino]propylcarbamate

EXA	Column A C-terminal amine (VI)	Column B Protected alcohol (VII)
64	H_2N-CH_2-Ph-(3,4,5-trimethoxy)	tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(3,4,5-trimethoxybenzyl)amino]propylcarbamate
65	H_2N-CH_2-phenyl-3-OCF_3	tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[3-(trifluoromethoxy)benzyl]amino}propylcarbamate
66	H_2N-CH_2-phenyl-3,5-dimethoxy	tert-butyl (1S,2R)-1-benzyl-3-[(3,5-dimethoxybenzyl)amino]-2-hydroxypropylcarbamate
67	H_2N-CH_2-phenyl-2,4-dimethoxy	tert-butyl (1S,2R)-1-benzyl-3-[(2,4-dimethoxybenzyl)amino]-2-hydroxypropylcarbamate
68	H_2N-CH_2-phenyl-phenyl	tert-butyl (1S,2R)-1-benzyl-3-[([1,1'-biphenyl]-3-ylmethyl)amino]-2-hydroxypropylcarbamate
69	H_2N-CH_2-phenyl-3,4-dichloro	tert-butyl (1S,2R)-1-benzyl-3-[(3,4-dichlorobenzyl)amino]-2-hydroxypropylcarbamate
70	H_2N-CH_2-phenyl-4-F	tert-butyl (1S,2R)-1-benzyl-3-[(4-fluorobenzyl)amino]-2-hydroxypropylcarbamate
71	H_2N-CH_2-phenyl-3-CF_3	tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[3-(trifluoromethyl)benzyl]amino}propylcarbamate
72	H_2N-CH_2-phenyl-2-CH_3	tert-butyl (1S,2R)-1-benzyl-2-

EXA	Column A C-terminal amine (VI)	Column B Protected alcohol (VII)
		hydroxy-3-[(2-methylbenzyl)amino]propylcarbamate
73	H_2N-CH((R)-CH_3)-phenyl	tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[(1R)-1-phenylethyl]amino}propylcarbamate
74	H_2N-CH((S)-CH_3)-phenyl	tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[(1S)-1-phenylethyl]amino}propylcarbamate
75	H_2N-CH_2-phenyl-3,5-(bis)trifluoromethyl	tert-butyl (1S,2R)-1-benzyl-3-{[3,5-bis(trifluoromethyl) benzyl]amino}-2-hydroxypropylcarbamate
76	H_2N-CH_2-phenyl-2-CF_3	tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[2-(trifluoromethyl)benzyl] amino}propylcarbamate
77	H_2N-CH((S)-CH_3)-(naphth-1-yl)	tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[(1S)-1-(1-naphthyl)ethyl]amino}propyl carbamate
78	-NH_2-CH((R)-CH_3)-(naphth-1-yl)	tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[(1R)-1-(1-naphthyl)ethyl]amino}propylcarbamate
79	H_2N-CH_2-phenyl-3-OCH_3-4-OH	tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(4-hydroxy-3-methoxybenzyl)amino]propyl carbamate

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Date: 10 jan 2002

Destination: Agent

Address:

EXA	Column A C-terminal amine (VI)	Column B Protected alcohol (VII)
80	H_2N-CH_2-phenyl-3,4-dihydroxy	tert-butyl (1S,2R)-1-benzyl-3-[(3,4-dihydroxybenzyl)amino]-2-hydroxypropylcarbamate
81	H_2N-$(CH_2)_3$-OCH_3	tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxypropyl)amino]propyl carbamate
82	H_2N-CH((S)-CH_3)-CH_2-OH	tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[(1S)-2-hydroxy-1-methylethyl]amino}propyl carbamate
83	H_2N-CH((R)-CH_3)-CH_2-OH	tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[(1R)-2-hydroxy-1-methylethyl]amino}propyl carbamate
84	H_2N-CH_2-C≡CH	tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-(2-propynylamino) propylcarbamate
85	H_2N-$(CH_2)_2$-phenyl-2-F	tert-butyl (1S,2R)-1-benzyl-3-{[2-(2-fluorophenyl)ethyl] amino}-2-hydroxypropylcarbamate
86	H_2N-$(CH_2)_2$-phenyl-3-F	tert-butyl (1S,2R)-1-benzyl-3-{[2-(3-fluorophenyl)ethyl] amino}-2-hydroxypropyl carbamate
87	H_2N-$(CH_2)_2$-phenyl-4-F	tert-butyl (1S,2R)-1-benzyl-3-{[2-(4-fluorophenyl)ethyl] amino}-2-hydroxypropyl carbamate

EXA	Column A C-terminal amine (VI)	Column B Protected alcohol (VII)
88	H_2N-$(CH_2)_2$-phenyl-4-Br	tert-butyl (1S,2R)-1-benzyl-3-{[2-(4-bromophenyl)ethyl]amino}-2-hydroxypropyl carbamate
89	H_2N-$(CH_2)_2$-phenyl-3-OCH_3	tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[2-(3-methoxyphenyl)ethyl]amino}propylcarbamate
90	H_2N-$(CH_2)_2$-phenyl-2,4-dichloro	tert-butyl (1S,2R)-1-benzyl-3-{[2-(2,4-dichlorophenyl)ethyl]amino}-2-hydroxypropylcarbamate
91	H_2N-$(CH_2)_2$-phenyl-3-Cl	tert-butyl (1S,2R)-1-benzyl-3-{[2-(3-chlorophenyl)ethyl]amino}-2-hydroxypropylcarbamate
92	H_2N-$(CH_2)_2$-phenyl-2,5-dimethoxy	tert-butyl (1S,2R)-1-benzyl-3-{[2-(2,5-dimethoxyphenyl)ethyl]amino}-2-hydroxypropylcarbamate
93	H_2N-$(CH_2)_2$-phenyl-4-CH_3	tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[2-(4-methylphenyl)ethyl]amino}propylcarbamate
94	H_2N-CH(-(R)CH_2-OH)-CH_2-phenyl	tert-butyl (1S,2R)-1-benzyl-3-{[(1R)-1-benzyl-2-hydroxyethyl]amino}-2-hydroxypropylcarbamate
95	H_2N-$(CH_2)_3$-(1-morpholinyl)	tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[3-(4-morpholinyl)propyl]amino}pr

EXA	Column A C-terminal amine (VI)	Column B Protected alcohol (VII)
		opylcarbamate
96	H_2N-CH_2-$C(CH_3)_2$	tert-butyl (1S,2R)-1-benzyl-3-[(3,3-dimethylbutyl)amino]-2-hydroxypropylcarbamate
97	H_2N-$(CH_2)_2$-(1-morpholinyl)	tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[2-(4-morpholinyl)ethyl]amino}propylcarbamate
98	H_2N-CH(OH)-CH_2-CH_3	tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(1-hydroxypropyl)amino]propylcarbamate
99	H_2N-$(CH_2)_2$-(thien-2-yl)	tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(2-thienylmethyl)amino]propylcarbamate
100	H_2N-$(CH_2)_4$-OH	tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(4-hydroxybutyl)amino]propylcarbamate
101	H_2N-CH(-(S)CH_2-OH)-phenyl	tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[(1S)-2-hydroxy-1-phenylethyl]amino}propylcarbamate
102	H_2N-CH_2-phenyl-2,4-dichloro	tert-butyl (1S,2R)-1-benzyl-3-[(2,4-dichlorobenzyl)amino]-2-hydroxypropylcarbamate
103	H_2N-CH(-(R)CH_2-OH)-phenyl	tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[(1R)-2-hydroxy-1-phenylethyl]amino}propylcarbamate

EXA	Column A C-terminal amine (VI)	Column B Protected alcohol (VII)
104	H_2N-CH_2-phenyl-4-$C(CH_3)_3$	tert-butyl (1S,2R)-1-benzyl-3-[(4-tert-butylbenzyl)amino]-2-hydroxypropylcarbamate
105	H_2N-$CH(CH_3)$-phenyl	tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(1-phenylethyl)amino]propylcarbamate
106	H_2N-(1R,2S)-2-hydroxyinden-1-yl	tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[(1R,2S)-2-hydroxy-2,3-dihydro-1H-inden-1-yl]amino}propylcarbamate
107	H_2N-CH_2-phenyl-3,4-dimethyl	tert-butyl (1S,2R)-1-benzyl-3-[(3,4-dimethylbenzyl)amino]-2-hydroxypropylcarbamate

EXAMPLEs 108-164

Following the general procedure of EXAMPLE 4 and making non-critical variations but reacting tert-butyl (1S)-2-(3,5-difluorophenyl)-1-[(2S)-oxiranyl]ethylcarbamate (V, EXAMPLE 3) with the C-terminal amine (VI) of Column A, the protected alcohol (VII) of Column B is obtained.

EXA	Column A C-terminal amine (VI)	Column B Protected alcohol (VII)
108	H_2N-$(CH_2)_6$-CO-O-CH_3	methyl 7-{[(2R,3S)-3-[(tert-butoxycarbonyl)amino]-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}heptanoate
109	H_2N-$CH(-CH_3)$-CO-NH-CH_2-$CH(CH_3)_2$ r/s	tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-

EXA	Column A C-terminal amine (VI)	Column B Protected alcohol (VII)
		{[2-(isobutylamino)-1-methyl-2-oxoethyl]amino}propylcarbamate
110	H_2N-CH((S)-CH_3)-CO-NH-CH_2-CH(CH_3)$_2$	tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S)-2-(isobutylamino)-1-methyl-2-oxoethyl]amino}propylcarbamate
111	H_2N-C(-CH_3)$_2$-CO-NH-CH_2-CH(CH_3)$_2$	tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[2-(isobutylamino)-1,1-dimethyl-2-oxoethyl]amino}propylcarbamate
112	H_2N-CH_2-CO-NH-CH_2-CH(CH_3)$_2$	tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[2-(isobutylamino)-2-oxoethyl]amino}propylcarbamate
113	H_2N-CH((S)-CH_2CH_3)-CO-NH-CH_2-CH(CH_3)$_2$	tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({(1S)-1-[(isobutylamino)carbonyl]propyl}amino)propylcarbamate
114	H_2N-CH((R)-CH_2CH_3)-CO-NH-CH_2-CH(CH_3)$_2$	tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({(1R)-1-[(isobutylamino)carbonyl]propyl}amino)propylcarbamate

EXA	Column A C-terminal amine (VI)	Column B Protected alcohol (VII)
115	H_2N-CH_2-phenyl	tert-butyl (1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropylcarbamate
116	H_2N-CH_2-CH_3	tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-3-(ethylamino)-2-ydroxypropylcarbamate
117	H_2N-CH_2-$CH(CH_3)_2$	tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(isobutylamino)propylcarbamate
118	H_2N-CH_2-$CH(CH_3)$-CONH-CH_2-$CH(CH_3)_2$	tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(isobutylamino)-2-methyl-3-oxopropyl]amino}propylcarbamate
119	H_2N-CH_2-phenyl-4-$N(CH_3)_2$	tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-3-{[4-(dimethylamino)benzyl]amino}-2-hydroxypropylcarbamate
120	H_2N-CH((S)-CH_2-phenyl)-CO-NH-CH_2-$CH(CH_3)_2$	tert-butyl (1S,2R)-3-{[(1S)-1-(3,5-difluorobenzyl)-2-(isobutylamino)-2-oxoethyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropylcarbamate
121	H_2N-CH((S)-$CH(CH_3)_2$)-CO-NH-CH_2-$CH(CH_3)_2$	tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({(1S)-1-

EXA	Column A C-terminal amine (VI)	Column B Protected alcohol (VII)
		[(isobutylamino)carbonyl]-3-methylbutyl}amino)propylcarbamate
122	H_2N-CH_2-CH_2-$N(CH_3)_2$	tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-3-{[2-(dimethylamino)ethyl]amino}-2-hydroxypropylcarbamate
123	H_2N-CH_2-(pyridin-3-yl)	tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-pyridinylmethyl)amino]propylcarbamate
124	H_2N-CH((S)-CH_2-O-CH_2-phenyl)-CO-NH-CH_2-$CH(CH_3)_2$	tert-butyl (1S,2R)-3-{[(1S)-1-[(benzyloxy)methyl]-2-(isobutylamino)-2-oxoethyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropylcarbamate
125	H_2N-C(-$CH_3)_2$-phenyl	tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propylcarbamate
126	H_2N-CH((R)-$CH(CH_3)_2$)-CO-NH-CH_2-$CH(CH_3)_2$	tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({(1R)-1-[(isobutylamino)carbonyl]-3-methylbutyl}amino)propylcarbamate
127	H_2N-CH((S)-CH_2-CH_2-CH_3)-CO-NH-CH_2-	tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-

EXA	Column A C-terminal amine (VI)	Column B Protected alcohol (VII)
	$CH(CH_3)_2$	({(1S)-1-[(isobutylamino)carbonyl]butyl}amino)propylcarbamate
128	H_2N-CH((S)-CH_2-OH)-CO-NH-CH_2-$CH(CH_3)_2$	tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S)-1-(hydroxymethyl)-2-(isobutylamino)-2-oxoethyl]amino}propylcarbamate
129	H_2N-CH_2-CH_2-phenyl	tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-phenylethyl)amino]propylcarbamate
130	H_2N-CH((S)-CH_3)-CO-NH-CH_2-phenyl	tert-butyl (1S,2R)-3-{[2-(benzylamino)-1-methyl-2-oxoethyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropylcarbamate
131	H_2N-CH((S)-CH_2-CH_3)-phenyl	tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-3-{[(1S)-2-(benzylamino)-1-methyl-2-oxoethyl]amino}-2-hydroxypropylcarbamate
132	H_2N-CH_2-phenyl-3-OCH_3	tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propylcarbamate
133	H_2N-CH((S)-phenyl)CO-NH$CH_2CH(CH_3)_2$	tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-

EXA	Column A C-terminal amine (VI)	Column B Protected alcohol (VII)
		{[(1S)-2-(isobutylamino)-2-oxo-1-phenylethyl]amino}propylcarbamate
134	H_2N-CH_2-CH_2-$CH(CH_3)_2$	tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(isopentylamino)propylcarbamate
135	H_2N-cyclohexyl	tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-3-(cyclohexylamino)-2-hydroxypropylcarbamate
136	H_2N-$(CH_2)_3$-CH_3	tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-3-(butylamino)-2-hydroxypropylcarbamate
137	H_2N-$(CH_2)_3$-O-CH_3	tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxypropyl)amino]propyl carbamate
138	H_2N-CH_2-CH(OH)-phenyl	tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-hydroxy-2-phenylethyl)amino]propylcarbamate
139	H_2N-cyclohexyl-3,5-dimethoxy	tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-3-{[(3R,5S)-3,5-dimethoxycyclohexyl]amino}-2-hydroxypropylcarbamate

EXA	Column A C-terminal amine (VI)	Column B Protected alcohol (VII)
140	H_2N-cyclohexyl-3,5-di-(-CO-OCH_3)	dimethyl (1R,3S)-5-({(2R,3S)-3-[(tert-butoxycarbonyl)amino]-2-hydroxy-4-phenylbutyl}amino)-1,3-cyclohexanedicarboxylate
141	H_2N-cyclohexyl-3,5-di-(-COOH)	(1R,3S)-5-({(2R,3S)-3-[(tert-butoxycarbonyl)amino]-2-hydroxy-4-phenylbutyl}amino)-1,3-cyclohexanedicarboxylic acid
142	H_2N-CH((R)-CH_2-CH_3)-phenyl	tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1R)-1-phenylpropyl]amino}propylcarbamate
143	H_2N-CH_2-phenyl-3-Cl	tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-chlorobenzyl)amino]-2-hydroxypropylcarbamate
144	H_2N-CH_2-phenyl-3-OCH_3	tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl carbamate
145	H_2N-CH_2-phenyl-phenyl	tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-3-[([1,1'-biphenyl]-3-ylmethyl)amino]-2-hydroxypropylcarbamate
146	H_2N-CH_2-phenyl-3-I	tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-

EXA	Column A C-terminal amine (VI)	Column B Protected alcohol (VII)
		[(3-iodobenzyl)amino]propylcarbamate
147	H_2N-CH_2-phenyl-3-CH_3	tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methylbenzyl)amino]propylcarbamate
148	H_2N-CH_2-CH(-CH_3)-phenyl	tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-phenylpropyl)amino]propylcarbamate
149	H_2N-CH_2-(thiazol-5-yl)	tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1,3-thiazol-5-ylmethyl)amino]propylcarbamate
150	H_2N-CH_2-(thien-2-yl)	tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-thienylmethyl)amino]propylcarbamate
151	H_2N-4-methoxytetralin-1-yl	tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(5-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propylcarbamate
152	H_2N-CH_2-pyrazin-2-yl	tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-

EXA	Column A C-terminal amine (VI)	Column B Protected alcohol (VII)
		[(2-pyrazinylmethyl)amino]propylcarbamate
153	H_2N-CH_2-phenyl-3,5-difluoro	tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-3-[(3,5-difluorobenzyl)amino]-2-hydroxypropylcarbamate
154	H_2N-CH_2-phenyl-3,4-methylenedioxy	tert-butyl (1S,2R)-3-[(1,3-benzodioxol-5-ylmethyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropylcarbamate
155	H_2N-CH_2-phenyl-3,5-dimethoxy	tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-3-[(3,5-dimethoxybenzyl)amino]-2-hydroxypropylcarbamate
156	H_2N-CH_2-phenyl-3-CF_3	tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(trifluoromethyl)benzyl]amino}propylcarbamate
157	H_2N-CH_2-(furan-2-yl)	tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-3-[(2-furylmethyl)amino]-2-hydroxypropylcarbamate
158	H_2N-(7-methoxytetralin-1-yl)	tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(7-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propylcarbamate

EXA	Column A C-terminal amine (VI)	Column B Protected alcohol (VII)
159	H_2N-CH_2-phenyl-3-O-CF_3	tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(trifluoromethoxy)benzyl]amino}propylcarbamate
160	H_2N-CH_2-phenyl-3-F	tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-fluorobenzyl)amino]-2-hydroxypropylcarbamate
161	H_2N-CH_2-phenyl-3-O-$CH(CH_3)_2$	tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropoxybenzyl)amino]propylcarbamate
162	H_2N-CH_2-phenyl-3-Br	tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-bromobenzyl)amino]-2-hydroxypropylcarbamate
163	H_2N-CH_2-(5-methylfuran-2-yl)	tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(5-methyl-2-furyl)methyl]amino}propylcarbamate
164	H_2N-(5-methoxytetralin-1-yl)	tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(5-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propylcarbamate

EXAMPLE 165 tert-Butyl-(1S, 2R)-3-azido-1-(3,5-difluorobenzyl)-2-hydroxypropylcarbamate (XII)

Sodium azide (0.22 g, 4 mmole) and ammonium chloride (2 eq) are added to tert-butyl (1S)-2-(3,5-difluorophenyl)-1-[(2S)-oxiranyl]ethylcarbamate (V, EXAMPLE 3, 0.6 g, 2 mmole). The reaction is heated to 75-80 degrees C and stirred for 16 hours. The reaction is monitored by TLC to insure completion. The solvent is removed under reduced pressure. The concentrate is partitioned between ethyl acetate and water, the phases are separated and the organic phase is washed with bicarbonate and saline, dried over anhydrous sodium sulfate and concentrated to give the title compound, TLC (ethyl acetate/hexane) $R_f = 0.45$; MS (MH^+) = 343.

EXAMPLE 166 (2R, 3S)-3-amino-1-azido-4-(3,5-difluorophenyl)-2-butanol (XIV)

tert-Butyl-(1S, 2R)-3-azido-1-(3,5-difluorobenzyl)-2-hydroxypropylcarbamate (XII, EXAMPLE 165, 0.48 g, 1.41 mmole) is dissolved in dichloromethane (20 ml) to which trifluoroacetic acid (5 ml) is added. The reaction is stirred at 20-25 degrees C for 16 hours and the solvent is removed under reduced pressure with heat. Ethyl acetate is added twice and evaporated twice to give the title compound as the trifluoroacetic acid salt which is used in the next reaction without further purification; MS (MH^+) = 242.

EXAMPLE 167 N^1-[(1S,2R)-3-azido-1-(3,5-difluorobenzyl)-2-hydroxypropyl]5-methyl-N^3,N^3-dipropylisophthalamide (XV)

To (2R, 3S)-3-amino-1-azido-4-(3,5-difluorophenyl)-2-buta (XIV, EXAMPLE 166, 0.34 g, 1.4 mmole) in dichloromethane (20 ml) is added N,N-dipropylamidoisophthalic acid (IX, 0.53 g, 2 mmole), *t*-butyl alcohol (0.27 g, 2 mmole) and triethylamine (0.84 ml, 6 mmole) and ethyl-1-(3-dimethylaminopropyl)carbodiimide (0.58 g, 3 mmole). The mixture is stirred at 20-25 degrees C for 16 hours. The reaction is monitored by TLC (methanol/dichloromethane, 20/80 + ethyl acetate/hexane, 50/50; $R_f = 0.76$). When the reaction is complete as measured by TLC, the reaction mixture is partitioned between dichloromethane and water, washed with hydrochloric acid (0.5 N), bicarbonate, saline, dried over anhydrous sodium sulfate and the solvent is removed under reduced pressure with heat to produce a concentrate. The concentrate is

column chouromatographed on silica gel to give the title compound; MS (MH^+) = 488.

EXAMPLE 168 N^1-[(1S,2R)-3-amino-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide acetic acid salt (XVI)

N^1-[(1S,2R)-3-azido-1-(3,5-difluorobenzyl)-2-hydroxypropyl]5-methyl-N^3,N^3-dipropylisophthalamide (XV, EXAMPLE 167, 0.3 g, 0.62 mmole) in ethyl acetate (20 ml) and acetic acid (5ml) is placed in a Parr pressure bottle. Palladium on carbon (10%, 5 g) is added and the mixture shaken under hydrogen at 50 psi for 2 hours. The mixture is filtered through a diatomaceous earth and the filtrate is concentrated to give the title compound; MS (MH^+) = 462.

EXAMPLE 169 N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(2-furylmethyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide (X)

N^1-[(1S,2R)-3-amino-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide acetic acid salt (XVI, EXAMPLE 168, 76 mg, 0.146 mmol) is dissolved in absolute ethanol (2 mL). 3-Furaldehyde (20 microL, 0.231 mmol) and triethylamine (30 microL, 0.215 mmol) are added via syringe, with stirring at 20-25 degrees C. After 10 minutes, palladium on carbon 122 mg, 5 weight %) is added and the mixture placed under a hydrogen atmosphere (50 psi) and shaken for 20 minutes. The resulting mixture is then filtered through diatomaceous earth, with ethanol washings. The filtrate is purified by flash chromatography (2-10% methanol/methylene chloride) to give purified title compound, MS (MH^+) = 542.2.

EXAMPLE 169a tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-3-{[(1S)-2-(ethylamino)-1-methyl-2-oxoethyl]amino}-2-hydroxypropylcarbamate (VII)

Following the general procedure of EXAMPLEs 4 and 14-164 and making non-critical variations and reacting tert-butyl (1S)-2-(3,5-difluorophenyl)-1-[(2S)-oxiranyl]ethylcarbamate (V, EXAMPLE 3) with (2S)-2-amino-N-ethylpropanamide (VI), the title compound is obtained.

EXAMPLEs 170-320

Following the general procedure of EXAMPLE 5 and making non-critical variations but starting with the protected alcohol (VII) of Column A, the amine (VIII) of Column B is obtained.

EXA	Column A Protected Alcohol (VII) Compound of EXAMPLE	Column B Amine (VIII)
170	14	(2R,3S)-3-amino-1-(ethylamino)-4-phenyl-2-butanol
171	15	(2R,3S)-3-amino-1-(benzylamino)-4-phenyl-2-butanol
172	16	(2R,3S)-3-amino-1-(isopropylamino)-4-phenyl-2-butanol
173	17	(2R,3S)-3-amino-1-[(4-methylbenzyl)amino]-4-phenyl-2-butanol
174	18	(2R,3S)-3-amino-1-{[2-(4-methoxyphenyl)ethyl]amino}-4-phenyl-2-butanol
175	19	(2R,3S)-3-amino-1-[(3-methoxybenzyl)amino]-4-phenyl-2-butanol
176	20	ethyl {[(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl]amino}(phenyl)acetate
177	21	(2R,3S)-3-amino-4-phenyl-1-[(2-phenylethyl)amino]-2-butanol
178	22	(2S)-2-{[(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl]amino}-1-(4-nitorphenyl)-1,3-propanediol
179	23	(2R,3S)-3-amino-1-[(2-chlorobenzyl)amino]-4-phenyl-2-butanol
180	24	(2R,3S)-3-amino-1-[(4-chlorobenzyl)amino]-4-phenyl-2-butanol

EXA	Column A Protected Alcohol (VII) Compound of EXAMPLE	Column B Amine (VIII)
181	25	(2R,3S)-3-amino-1-{[2-(2-hydroxyethoxy)ethyl]amino}-4-phenyl-2-butanol
182	26	(2R,3S)-3-amino-1-(2,3-dihydro-1H-inden-1-ylamino)-4-phenyl-2-butanol
183	27	(2R,3S)-3-amino-1-[(2-hydroxypropyl)amino]-4-phenyl-2-butanol
184	28	(2R,3S)-3-amino-4-phenyl-1-[(tetrahydro-2-furanylmethyl)amino]-2-butanol
185	29	(2R,3S)-3-amino-1-[(2,2-diethoxyethyl)amino]-4-phenyl-2-butanol
186	30	(2R,3S)-3-amino-1-(butylamino)-4-phenyl-2-butanol
187	31	(2R,3S)-3-amino-1-(cyclohexylamino)-4-phenyl-2-butanol
188	32	(2R,3S)-3-amino-4-phenyl-1-[(2-pyridinylmethyl)amino]-2-butanol
189	33	(2R,3S)-3-amino-1-[(2-aminobenzyl)amino]-4-phenyl-2-butanol
190	34	(2R,3S)-3-amino-4-phenyl-1-[(3-pyridinylmethyl)amino]-2-butanol
191	35	(2R,3S)-3-amino-4-phenyl-1-{[2-(1-pyrrolidinyl)ethyl]amino}-2-butanol
192	36	(2R,3S)-3-amino-1-[(2-hydroxy-2-phenylethyl)amino]-4-phenyl-2-butanol
193	37	(2R,3S)-3-amino-1-[(3-butoxypropyl)amino]-4-phenyl-2-butanol
194	38	(2R,3S)-3-amino-1-[(3-

EXA	Column A Protected Alcohol (VII) Compound of EXAMPLE	Column B Amine (VIII)
		isopropoxypropyl)amino]-4-phenyl-2-butanol
195	39	(2R,3S)-3-amino-1-(isopentylamino)-4-phenyl-2-butanol
196	40	(2R,3S)-3-amino-4-phenyl-1-[(3-phenylpropyl)amino]-2-butanol
197	41	(2R,3S)-3-amino-1-[(2-methoxyethyl)amino]-4-phenyl-2-butanol
198	42	(2R,3S)-3-amino-1-[(2-phenoxyethyl)amino]-4-phenyl-2-butanol
199	43	(2R,3S)-3-amino-4-phenyl-1-[(2-propoxyethyl)amino]-2-butanol
200	44	(2R,3S)-3-amino-1-[(3,3-dimethylbutyl)amino]-4-phenyl-2-butanol
201	45	(2R,3S)-3-amino-4-phenyl-1-[(4-phenylbutyl)amino]-2-butanol
202	46	(2R,3S)-3-amino-1-[(3-iodobenzyl)amino]-4-phenyl-2-butanol
203	47	(2R,3S)-3-amino-1-[(4-nitrobenzyl)amino]-4-phenyl-2-butanol
204	48	(2R,3S)-3-amino-1-[(3-chlorobenzyl)amino]-4-phenyl-2-butanol
205	49	(2R,3S)-3-amino-1-{[2-(4-chlorophenyl)ethyl]amino}-4-phenyl-2-butanol
206	50	(2R,3S)-3-amino-4-phenyl-1-{[2-(2-pyridinyl)ethyl]amino}-2-butanol
207	51	(2R,3S)-3-amino-4-phenyl-1-[(4-pyidinylmethyl)amino]-2-butanol
208	52	(2R,3S)-3-amino-1-{[2-(1-methyl-2-

EXA	Column A Protected Alcohol (VII) Compound of EXAMPLE	Column B Amine (VIII)
		pyrrolidinyl)ethyl]amino}-4-phenyl-2-butanol
209	53	(2R,3S)-3-amino-1-[(2,3-dimethylbenzyl)amino]-4-phenyl-2-butanol
210	54	(2R,3S)-3-amino-4-phenyl-1-{[2-(trifluoromethoxy)benzyl]amino}-2-butanol
211	55	(2R,3S)-3-amino-1-[(2-chloro-6-phenoxybenzyl)amino]-4-phenyl-2-butanol
212	56	(2R,3S)-3-amino-4-phenyl-1-{[4-(trifluoromethyl)benzyl]amino}-2-butanol
213	57	(2R,3S)-3-amino-1-[(2,3-dichlorobenzyl)amino]-4-phenyl-2-butanol
214	58	(2R,3S)-3-amino-1-[(3,5-dichlorobenzyl)amino]-4-phenyl-2-butanol
215	59	(2R,3S)-3-amino-1-[(3,5-difluorobenzyl)amino]-4-phenyl-2-butanol
216	60	(2R,3S)-3-amino-4-phenyl-1-{[4-(trifluoromethoxy)benzyl]amino}-2-butanol
217	61	4-({[(2R,3S)-3-amino-2-hydroxy-4-phenyl butyl]amino}methyl)benzenesulfonamide
218	62	(2R,3S)-3-amino-1-[(4-methoxybenzyl)amino]-4-phenyl-2-butanol
219	63	(2R,3S)-3-amino-1-[(4-methylbenzyl)amino]-4-phenyl-2-butanol
220	64	(2R,3S)-3-amino-4-phenyl-1-[(3,4,5-trimethoxybenzyl)amino]-2-butanol
221	65	(2R,3S)-3-amino-4-phenyl-1-{[3-(trifluoromethoxy)benzyl]amino}-2-butanol
222	66	(2R,3S)-3-amino-1-[(3,5-

EXA	Column A Protected Alcohol (VII) Compound of EXAMPLE	Column B Amine (VIII)
		dimethoxybenzyl)amino]-4-phenyl-2-butanol
223	67	(2R,3S)-3-amino-1-[(2,4-dimethoxybenzyl)amino]-4-phenyl-2-butanol
224	68	(2R,3S)-3-amino-1-[([1,1'-biphenyl]-3-ylmethyl)amino]-4-phenyl-2-butanol
225	69	(2R,3S)-3-amino-1-[(3,4-dichlorobenzyl)amino]-4-phenyl-2-butanol
226	70	(2R,3S)-3-amino-1-[(2-fluorobenzyl)amino]-4-phenyl-2-butanol
227	71	(2R,3S)-3-amino-4-phenyl-1-{[3-(trifluoromethyl)benzyl]amino}-2-butanol
228	72	(2R,3S)-3-amino-1-[(2-methylbenzyl)amino]-4-phenyl-2-butanol
229	73	(2R,3S)-3-amino-4-phenyl-1-{[(1R)-1-phenylethyl]amino}-2-butanol
230	74	(2R,3S)-3-amino-4-phenyl-1-{[(1S)-1-phenylethyl]amino}-2-butanol
231	75	(2R,3S)-3-amino-1-{[3,5-bis(trifluoromethyl)benzyl]amino}-4-phenyl-2-butanol
232	76	(2R,3S)-3-amino-4-phenyl-1-{[2-(trifluoromethyl)benzyl]amino}-2-butanol
233	77	(2R,3S)-3-amino-1-{[(1S)-1-(1-naphthyl)ethyl]amino}-4-phenyl-2-butanol
234	78	(2R,3S)-3-amino-1-{[(1R)-1-(1-naphthyl)ethyl]amino}-4-phenyl-2-butanol
235	79	4-({[(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl]amino}methyl)-2-methoxyphenol

EXA	Column A Protected Alcohol (VII) Compound of EXAMPLE	Column B Amine (VIII)
236	80	4-({[(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl]amino}methyl)-1,2-benzenediol
237	81	(2R,3S)-3-amino-1-[(3-methoxypropyl)amino]-4-phenyl-2-butanol
238	82	(2R,3S)-3-amino-1-{[(1S)-2-hydroxy-1-methylethyl]amino}-4-phenyl-2-butanol
239	83	(2R,3S)-3-amino-1-{[(1R)-2-hydroxy-1-methylethyl]amino}-4-phenyl-2-butanol
240	84	(2R,3S)-3-amino-4-phenyl-1-(2-propynylamino)-2-butanol
241	85	(2R,3S)-3-amino-1-{[2-(2-fluorophenyl)ethyl]amino}-4-phenyl-2-butanol
242	86	(2R,3S)-3-amino-1-{[2-(3-fluorophenyl)ethyl]amino}-4-phenyl-2-butanol
243	87	(2R,3S)-3-amino-1-{[2-(4-fluorophenyl)ethyl]amino}-4-phenyl-2-butanol
244	88	(2R,3S)-3-amino-1-{[2-(4-bromophenyl)ethyl]amino}-4-phenyl-2-butanol
245	89	(2R,3S)-3-amino-1-{[2-(3-methoxyphenyl)ethyl]amino}-4-phenyl-2-butanol
246	90	(2R,3S)-3-amino-1-{[2-(2,4-dichlorophenyl)ethyl]amino}-4-phenyl-2-butanol
247	91	(2R,3S)-3-amino-1-{[2-(3-chlorophenyl)ethyl]amino}-4-phenyl-2-butanol
248	92	(2R,3S)-3-amino-1-{[2-(2,5-dimethoxyphenyl)ethyl]amino}-4-phenyl-2-

EXA	Column A Protected Alcohol (VII) Compound of EXAMPLE	Column B Amine (VIII)
		butanol
249	93	(2R,3S)-3-amino-1-{[2-(4-methylphenyl)ethyl]amino}-4-phenyl-2-butanol
250	94	(2R,3S)-3-amino-1-{[(1R)-1-benzyl-2-hydroxyethyl]amino}-4-phenyl-2-butanol
251	95	(2R,3S)-3-amino-1-{[3-(4-morpholinyl)propyl]amino}-4-phenyl-2-butanol
252	96	(2R,3S)-3-amino-1-(isobutylamino)-4-phenyl-2-butanol
253	97	(2R,3S)-3-amino-1-{[2-(4-morpholinyl)ethyl]amino}-4-phenyl-2-butanol
254	98	(2R,3S)-3-amino-4-phenyl-1-[(2-hydroxybutyl)amino]-2-butanol
255	99	(2R,3S)-3-amino-4-phenyl-1-{[2-(2-thienyl)ethyl]amino}-2-butanol
256	100	4-{[(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl]amino}-1-butanol
257	101	(2R,3S)-3-amino-1-{[(1S)-2-hydroxy-1-phenylethyl]amino}-4-phenyl-2-butanol
258	102	(2R,3S)-3-amino-1-[(2,4-dichlorobenzyl)amino]-4-phenyl-2-butanol
259	103	(2R,3S)-3-amino-1-{[(1R)-2-hydroxy-1-phenylethyl]amino}-4-phenyl-2-butanol
260	104	(2R,3S)-3-amino-1-[(4-tert-butylbenzyl)amino]-4-phenyl-2-butanol
261	105	(2R,3S)-3-amino-4-phenyl-1-[(1-phenylethyl)amino]-2-butanol
262	106	(1R,2S)-1-{[(2R,3S)-3-amino-2-hydroxy-4-

EXA	Column A Protected Alcohol (VII) Compound of EXAMPLE	Column B Amine (VIII)
		phenylbutyl]amino}-2,3-dihydro-1H-inden-2-ol
263	107	(2R,3S)-3-amino-1-[(3,4-dimethylbenzyl)amino]-4-phenyl-2-butanol
264	108	methyl 7-{[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}heptanoate
265	109	2-{[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}-N-isobutylpropanamide
266	110	(2S)-2-{[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}-N-isobutylpropanamide
267	111	2-{[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}-N-isobutyl-2-methylpropanamide
268	112	2-{[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}-N-isobutylacetamide
269	113	(2S)-2-{[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}-N-isobutylbutanamide
270	114	(2R)-2-{[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}-N-isobutylbutanamide
271	115	(2R,3S)-3-amino-1-(benzylamino)-4-(3,5-difluorophenyl)-2-butanol
272	116	(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-(ethylamino)-2-butanol
273	117	(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-(isobutylamino)-2-butanol

EXA	Column A Protected Alcohol (VII) Compound of EXAMPLE	Column B Amine (VIII)
274	118	3-{[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}-N-isobutyl-2-methylpropanamide
275	119	(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-{[4-(dimethylamino)benzyl]amino}-2-butanol
276	120	(2S)-2-{[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}-N-isobutyl-3-phenylpropanamide
277	121	(2S)-2-{[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}-N-isobutyl-3-methylbutanamide
278	122	(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-{[2-(dimethylamino)ethyl]amino}-2-butanol
279	123	(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3-pyridinylmethyl)amino]-2-butanol
280	124	(2S)-2-{[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}-3-(benzyloxy)-N-isobutylpropanamide
281	125	(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(1-methyl-1-phenylethyl)amino]-2-butanol
282	126	(2R)-2-{[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}-N-isobutyl-3-methylbutanamide
283	127	(2S)-2-{[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}-N-isobutylpentanamide
284	128	(2S)-2-{[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}-3-

EXA	Column A Protected Alcohol (VII) Compound of EXAMPLE	Column B Amine (VIII)
		hydroxy-N-isobutylpropanamide
285	129	(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(2-phenylethyl)amino]-2-butanol
286	130	(2S)-2-{[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}-N-benzylpropanamide
287	131	(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-{[(1S)-1-phenylpropyl]amino}-2-butanol
287a	169a	(2S)-2-{[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}-N-ethylpropanamide
288	132	(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3-methoxybenzyl)amino]-2-butanol
289	133	(2S)-2-{[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}-N-isobutyl-2-phenylethanamide
290	134	(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-(isopentylamino)-2-butanol
291	135	(2R,3S)-3-amino-1-(cyclohexylamino)-4-(3,5-difluorophenyl)-2-butanol
292	136	(2R,3S)-3-amino-1-(butylamino)-4-(3,5-difluorophenyl)-2-butanol
293	137	(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3-methoxypropyl)amino]-2-butanol
294	138	(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(2-hydroxy-2-phenylethyl)amino]-2-butanol
295	139	(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-{[(3R,5S)-3,5-dimethoxycyclohexyl]amino}-2-

EXA	Column A Protected Alcohol (VII) Compound of EXAMPLE	Column B Amine (VIII)
		butanol
296	140	dimethyl (1R,3S)-5-{[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}-1,3-cyclohexanedicarboxylate
297	141	(1R,3S)-5-{[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}-1,3-cyclohexanedicarboxylic acid
298	142	(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-{[(1R)-1-phenylpropyl]amino}-2-butanol
299	143	(2R,3S)-3-amino-1-[(3-chlorobenzyl)amino]-4-(3,5-difluorophenyl)-2-butanol
300	144	(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3-methoxybenzyl)amino]-2-butanol
301	145	(2R,3S)-3-amino-1-[([1,1'-biphenyl]-3-ylmethyl)amino]-4-(3,5-difluorophenyl)-2-butanol
302	146	(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3-iodobenzyl)amino]-2-butanol
303	147	(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3-methylbenzyl)amino]-2-butanol
304	148	(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(2-phenylpropyl)amino]-2-butanol
305	149	(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(1,3-thiazol-5-ylmethyl)amino]-2-butanol
306	150	(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(2-thienylmethyl)amino]-2-butanol
307	151	(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(5-methoxy-1,2,3,4-tetrahydro-1-

EXA	Column A Protected Alcohol (VII) Compound of EXAMPLE	Column B Amine (VIII)
		naphthalenyl)amino]-2-butanol
308	152	(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(2-pyrazinylmethyl)amino]-2-butanol
309	153	(2R,3S)-3-amino-1-[(3,5-difluorobenzyl)amino]-4-(3,5-difluorophenyl)-2-butanol
310	154	(2R,3S)-3-amino-1-[(1,3-benzodioxol-5-ylmethyl)amino]-4-(3,5-difluorophenyl)-2-butanol
311	155	(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3,5-dimethoxybenzyl)amino]-2-butanol
312	156	(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-{[3-(trifluoromethyl)benzyl]amino}-2-butanol
313	157	(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(2-furylmethyl)amino]-2-butanol
314	158	(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(7-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]-2-butanol
315	159	(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-{[3-(trifluoromethoxy)benzyl]amino}-2-butanol
316	160	(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3-fluorobenzyl)amino]-2-butanol
317	161	(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3-isopropoxybenzyl)amino]-2-butanol
318	162	(2R,3S)-3-amino-1-[(3-bromobenzyl)amino]-4-(3,5-difluorophenyl)-2-butanol
319	163	(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(5-methyl-2-furylmethyl)amino]-2-butanol

EXA	Column A Protected Alcohol (VII) Compound of EXAMPLE	Column B, Amine (VIII)
320	164	(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(5-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]-2-butanol

EXAMPLEs 321-473

Following the general procedure of EXAMPLE 6 and making non-critical variations but starting with the amine (VIII) of Column A and reacting it with the amide forming agent (IX) of Column B, the substituted amine (X) of Column C is obtained.

EXA	Column A Amine (VIII) Compound of EXA	Column B Amide Forming Agent (IX)	Column C Substituted amine (X)	Column D Physical Data
321	170	"PHTH"	N^1-[(1S,2R)-1-benzyl-3-(ethylamino)-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide	MH+ = 440.7
322	171	"PHTH"	N^1-[(1S,2R)-1-benzyl-3-(benzylamino)-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide	MH+ = 502.7
323	172	"PHTH"	N^1-[(1S,2R)-1-benzyl-2-hydroxy-3-(isopropylamino)propyl]-N^3,N^3-dipropylisophthalamide	MH+ = 454.6
324	173	"PHTH"	N^1-[(1S,2R)-1-benzyl-2-hydroxy-3-(4-toluidino)propyl]-N^3,N^3-dipropylisophthalamide	MH+ = 502.2
325	174	"PHTH"	N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(4-methoxyphenyl)ethyl]amino}propyl)-N^3,N^3-ipropylisophthalamide	MH+ = 546
326	175	"PHTH"	N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide	MH+ = 532
327	176	"PHTH"	ethyl {[(3S)-3-({3-[(dipropylamino)	MH+ =

EXA	Column A Amine (VIII) Compound of EXA	Column B Amide Forming Agent (IX)	Column C Substitued amine (X)	Column D Physical Data
			carbonyl] benzoyl}amino)-2-hydroxy-4-phenylbutyl]amino}(phenyl)acetate	574
329	178	"PHTH"	N^1-((1S)-1-benzyl-2-hydroxy-3-{[(1S)-2-hydroxy-1-(hydroxymethyl)-2-(4-nitrophenyl)ethyl]amino}propyl) -N^3,N^3-dipropylisophthalamide	MH+ = 607
330	179	"PHTH"	N^1-{(1S,2R)-1-benzyl-3-[(2-chlorobenzyl)amino]-2-hydroxypropyl} -N^3,N^3-dipropylisophthalamide	MH+ = 537
331	180	"PHTH"	N^1-{(1S,2R)-1-benzyl-3-[(4-chlorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide	MH+ = 537
332	181	"PHTH"	N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(2-hydroxyethoxy) ethyl] amino}propyl)-N^3,N^3-dipropylisophthalamide	MH+ = 500
333	182	"PHTH"	N^1-[(1S,2R)-1-benzyl-3-(2,3-dihydro-1H-inden-1-ylamino)-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide	MH+ = 528
334	183	"PHTH"	N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-hydroxypropyl)amino]propyl}-N^3,N^3-dipropylisophthalamide	MH+ = 470
335	184	"PHTH"	N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(tetrahydro-2-furanylmethyl)amino]propyl}-N^3,N^3-dipropylisophthalamide	MH+ = 496
336	185	"PHTH"	N^1-{(1S,2R)-1-benzyl-3-[(2,2-diethoxyethyl)amino]-2-hydroxypropyl} -N^3,N^3-dipropylisophthalamide	MH+ = 528
337	186	"PHTH"	N^1-[(1S,2R)-1-benzyl-3-	MH+ =

EXA	Column A Amine (VIII) Compound of EXA	Column B Amide Forming Agent (IX)	Column C Substituted amine (X)	Column D Physical Data
			(butylamino)-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide	468
338	187	"PHTH"	N^1-[(1S,2R)-1-benzyl-3-(cyclohexylamino)-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide	MH+ = 494
339	188	"PHTH"	N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-pyridinylmethyl)amino]propyl}-N^3,N^3-dipropylisophthalamide	MH+ = 507
340	189	"PHTH"	N^1-{(1S,2R)-3-[(2-aminobenzyl)amino]-1-benzyl-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide	MH+ = 517
341	190	"PHTH"	N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-pyridinylmethyl)amino]propyl}-N^3,N^3-dipropylisophthalamide	MH+ = 503
342	191	"PHTH"	N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(1-pyrrolidinyl)ethyl] amino} propyl)-N^3,N^3-dipropylisophthalamide	MH+ = 509
343	192	"PHTH"	N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-hydroxy-2-phenylethyl)amino]propyl}-N^3,N^3-dipropylisophthalamide	MH+ = 532
344	193	"PHTH"	N^1-{(1S,2R)-1-benzyl-3-[(3-butoxypropyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide	MH+ = 526
345	194	"PHTH"	N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-isopropoxypropyl)amino]propyl}-N^3,N^3-dipropylisophthalamide	MH+ = 512
346	195	"PHTH"	N^1-[(1S,2R)-1-benzyl-2-hydroxy-3-(isopentylamino)propyl]-N^3,N^3-dipropylisophthalamide	MH+ = 482
347	196	"PHTH"	N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-	MH+ =

EXA	Column A Amine (VIII) Compound of EXA	Column B Amide Forming Agent (IX)	Column C Substitued amine (X)	Column D Physical Data
			[(3-phenylpropyl)amino]propyl}-N^3,N^3-dipropylisophthalamide	530
348	197	"PHTH"	N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-methoxyethyl)amino]propyl}-N^3,N^3-dipropylisophthalamide	MH+ = 470
349	198	"PHTH"	N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-phenoxyethyl)amino]propyl}-N^3,N^3-dipropylisophthalamide	MH+ = 532
350	199	"PHTH"	N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-propoxyethyl)amino]propyl}-N^3,N^3-dipropylisophthalamide	MH+ = 498
351	200	"PHTH"	N^1-{(1S,2R)-1-benzyl-3-[(3,3-dimethylbutyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide	MH+ = 496
352	201	"PHTH"	N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(4-phenylbutyl)amino]propyl}-N^3,N^3-dipropylisophthalamide	MH+ = 544
353	202	"PHTH"	N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide	MH+ = 628
354	203	"PHTH"	N^1-{(1S)-1-benzyl-2-hydroxy-3-[(4-nitrobenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide	MH+ = 547
355	204	"PHTH"	N^1-{(1S,2R)-1-benzyl-3-[(3-chlorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide	MH+ = 537
356	205	"PHTH"	N^1-((1S,2R)-1-benzyl-3-{[2-(4-chlorophenyl)ethyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide	MH+ = 551
357	206	"PHTH"	N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(2-pyridinyl)ethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide	MH+ = 517

EXA	Column A Amine (VIII) Compound of EXA	Column B Amide Forming Agent (IX)	Column C Substitued amine (X)	Column D Physical Data
358	207	"PHTH"	N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(4-pyridinylmethyl)amino]propyl}-N^3,N^3-dipropylisophthalamide	MH^+ = 503
359	208	"PHTH"	N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-{[2-(1-methyl-2-pyrrolidinyl)ethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide	MH+ = 523
360	209	"PHTH"	N^1-{(1S,2R)-1-benzyl-3-[(2,3-dimethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide	MH+ = 580
361	210	"PHTH"	N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-{[2-(trifluoromethoxy) benzyl] amino}propyl)-N^3,N^3-dipropylisophthalamide	MH+ = 586
362	211	"PHTH"	N^1-{(1S,2R)-1-benzyl-3-[(2-chloro-6-phenoxybenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide	MH+ = 629
363	212	"PHTH"	N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-{[4-(trifluoromethyl)benzyl]amino}propyl}-N^3,N^3-dipropylisophthalamide	MH+ = 570
364	213	"PHTH"	N^1-{(1S,2R)-1-benzyl-3-[(2,3-dichlorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide	MH+ = 571
365	214	"PHTH"	N^1-{(1S,2R)-1-benzyl-3-[(3,5-dichlorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide	MH+ = 571
366	215	"PHTH"	N^1-{(1S,2R)-1-benzyl-3-[(3,5-difluorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide	MH+ = 538
367	216	"PHTH"	N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-	MH+ =

EXA	Column A Amine (VIII) Compound of EXA	Column B Amide Forming Agent (IX)	Column C Substituted amine (X)	Column D Physical Data
			{[4-(trifluoromethoxy)benzyl]amino}propyl)-N^3,N^3-dipropylisophthalamide	586
368	217	"PHTH"	N^1-[(1S,2R)-3-({2-[4-(aminosulfonyl)phenyl]ethyl}amino)-1-benzyl-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide	MH+ = 595
369	218	"PHTH"	N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(4-methoxybenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide	MH+ = 532
370	219	"PHTH"	N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(4-methylbenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide	MH+ = 516
371	220	"PHTH"	N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3,4,5-trimethoxybenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide	MH+ = 592
372	221	"PHTH"	N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[3-(trifluoromethoxy)benzyl]amino}propyl)-N^3,N^3-dipropylisophthalamide	MH+ = 586
373	222	"PHTH"	N^1-{(1S,2R)-1-benzyl-3-[(3,5-dimethoxybenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide	MH+ = 562
374	223	"PHTH"	N^1-{(1S,2R)-1-benzyl-3-[(2,4-dimethoxybenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide	MH+ = 562
375	224	"PHTH"	N^1-{(1S,2R)-1-benzyl-3-[([1,1'-biphenyl]-3-ylmethyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide	MH+ = 578
376	225	"PHTH"	N^1-{(1S,2R)-1-benzyl-3-[(3,4-dichlorobenzyl)amino]-2-	MH+ = 571

EXA	Column A Amine (VIII) Compound of EXA	Column B Amide Forming Agent (IX)	Column C Substituted amine (X)	Column D Physical Data
			hydroxypropyl}-N^3,N^3-dipropylisophthalamide	
377	226	"PHTH"	N^1-{(1S,2R)-1-benzyl-3-[(2-fluorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide	MH+ = 520
378	227	"PHTH"	N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[3-(trifluoromethyl)benzyl]amino} propyl)-N^3,N^3-dipropylisophthalamide	MH+ = 570
379	228	"PHTH"	N^1-((1S,2R)-1-benzyl-2-hydroxy-3-[(2-methylbenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide	MH+ = 516
380	229	"PHTH"	N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[(1R)-1-phenylethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide	MH+ = 516
381	230	"PHTH"	N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[(1S)-1-phenylethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide	MH+ = 516
382	231	"PHTH"	N^1-((1S,2R)-1-benzyl-3-{[3,5-bis(trifluoromethyl)benzyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide	MH+ = 638
383	232	"PHTH"	N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(trifluoromethyl)benzyl]amino} propyl)-N^3,N^3-dipropylisophthalamide	MH+ = 570
384	233	"PHTH"	N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[(1S)-1-(1-naphthyl)ethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide	MH+ = 566
385	234	"PHTH"	N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[(1R)-1-(1-naphthyl)ethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide	MH+ = 566

EXA	Column A Amine (VIII) Compound of EXA	Column B Amide Forming Agent (IX)	Column C Substitued amine (X)	Column D Physical Data
386	235	"PHTH"	N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(4-hydroxy-3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide	MH+ = 548
387	236	"PHTH"	N^1-{(1S,2R)-1-benzyl-3-[(3,4-dihydroxybenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide	MH+ = 534
388	237	"PHTH"	N^1-{(1S)-1-benzyl-2-hydroxy-3-[(3-methoxypropyl)amino]propyl}-N^3,N^3-dipropylisophthalamide	MH+ = 484
389	238	"PHTH"	N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[(1S)-2-hydroxy-1-methylethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide	MH+ = 470
390	239	"PHTH"	N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[(1R)-2-hydroxy-1-methylethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide	MH+ = 470
391	240	"PHTH"	N^1-[(1S,2R)-1-benzyl-2-hydroxy-3-(2-propynylamino)propyl]-N^3,N^3-dipropylisophthalamide	MH+ = 450
392	241	"PHTH"	N^1-((1S,2R)-1-benzyl-3-{[2-(2-fluorophenyl)ethyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide	MH+ = 534
393	242	"PHTH"	N^1-((1S,2R)-1-benzyl-3-{[2-(3-fluorophenyl)ethyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide	MH+ = 534
394	243	"PHTH"	N^1-((1S,2R)-1-benzyl-3-{[2-(4-fluorophenyl)ethyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide	MH+ = 534
395	244	"PHTH"	N^1-((1S,2R)-1-benzyl-3-{[2-(4-bromophenyl)ethyl]amino}-2-	MH+ = 595

EXA	Column A Amine (VIII) Compound of EXA	Column B Amide Forming Agent (IX)	Column C Substitued amine (X)	Column D Physical Data
			hydroxypropyl)-N^3,N^3-dipropylisophthalamide	
396	245	"PHTH"	N^1-((1S)-1-benzyl-2-hydroxy-3-{[2-(3-methoxyphenyl)ethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide	MH+ = 546
397	246	"PHTH"	N^1-((1S,2R)-1-benzyl-3-{[2-(2,4-dichlorophenyl)ethyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide	MH+ = 585
398	247	"PHTH"	N^1-((1S,2R)-1-benzyl-3-{[2-(3-chlorophenyl)ethyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide	MH+ = 551
399	248	"PHTH"	N^1-((1S)-1-benzyl-3-{[2-(2,5-dimethoxyphenyl)ethyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide	MH+ = 576
400	249	"PHTH"	N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(4-methylphenyl)ethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide	MH+ = 530
401	250	"PHTH"	N^1-((1S,2R)-1-benzyl-3-{[(1R)-1-benzyl-2-hydroxyethyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide	MH+ = 546
402	251	"PHTH"	N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[3-(4-morpholinyl)propyl]amino}propyl)-N^3,N^3-dipropylisophthalamide	MH+ = 539
403	252	"PHTH"	N^1-[(1S,2R)-1-benzyl-2-hydroxy-3-(isobutylamino)propyl]-N^3,N^3-dipropylisophthalamide	MH+ = 468
404	253	"PHTH"	N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(4-morpholinyl)ethyl]amino}propyl)-	MH+ = 525

EXA	Column A Amine (VIII) Compound of EXA	Column B Amide Forming Agent (IX)	Column C Substitued amine (X)	Column D Physical Data
			N^3,N^3-dipropylisophthalamide	
405	254	"PHTH"	N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-hydroxybutyl)amino]propyl}-N^3,N^3-dipropylisophthalamide	MH+ = 484
406	255	"PHTH"	N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(2-thienyl)ethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide	MH+ = 522
407	256	"PHTH"	N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(4-hydroxybutyl)amino]propyl}-N^3,N^3-dipropylisophthalamide	MH+ = 484
408	257	"PHTH"	N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[(1S)-2-hydroxy-1-phenylethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide	MH+ = 532
409	258	"PHTH"	N^1-{(1S,2R)-1-benzyl-3-[(2,4-dichlorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide	MH+ = 571
410	259	"PHTH"	N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[(1R)-2-hydroxy-1-phenylethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide	MH+ = 532
411	260	"PHTH"	N^1-{(1S,2R)-1-benzyl-3-[(4-tert-butylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide	MH^- = 558
412	261	"PHTH"	N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-{(1-phenylethyl)amino]propyl}-N^3,N^3- dipropylisophthalamide	MH^- = 516
413	262	"PHTH"	N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[(1R,2S)-2-hydroxy-2,3-dihydro-1H-inden-1-yl]amino}propyl)-N^3,N^3-dipropylisophthalamide	MH^- = 544
414	263	"PHTH"	N^1-{(1S,2R)-1-benzyl-3-[(3,4-dimethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3-	MH^- = 530

EXA	Column A Amine (VIII) Compound of EXA	Column B Amide Forming Agent (IX)	Column C Substitued amine (X)	Column D Physical Data
			dipropylisophthalamide	
416	265	"PHTH"	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[2-(isobutylamino)-1-methyl-2-oxoethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide	MH+ = 575
417	266	"PHTH"	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S)-2-(isobutylamino)-1-methyl-2-oxoethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide	MH+ = 575
418	266	"3,5-pyridinyl"	N^3-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S)-2-(isobutylamino)-1-methyl-2-oxoethyl]amino}propyl)-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide	MH+ = 576
419	267	"5-Me-PHTH"	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[2-(isobutylamino)-1,1-dimethyl-2-oxoethyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide	MH+ = 603
420	268	"5-Me-PH"	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[2-(isobutylamino)-2-oxoethyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide	MH+ = 575.3
421	269	"5-Me-PHTH"	N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({(1S)-1-[(isobutylamino)carbonyl]propyl}amino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide	MH+ = 603.8
422	270	"5-Me-PHTH"	N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({(1R)-1-[(isobutylamino)carbonyl]propyl}amino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide	MH+ = 603.8
423	271	"5-Me-PHTH"	N^1-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-	MH+ = 552.3

EXA	Column A Amine (VIII) Compound of EXA	Column B Amide Forming Agent (IX)	Column C Substitued amine (X)	Column D Physical Data
			methyl-N^3,N^3-dipropylisophthalamide	
424	272	"5-Me-PHTH"	N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-3-(ethylamino)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide	MH+ = 490.7
425	273	"5-Me-PHTH"	N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(isobutylamino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide	MH+ = 518.0
426	274	"5-Me-PHTH"	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(isobutylamino)-2-methyl-3-oxopropyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide	MH+ = 603
427	275	"5-Me-PHTH"	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[4-(dimethylamino)benzyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide	MH+ = 595.8
428	276	"5-Me-PHTH"	N^1-[(1S,2R)-3-{[(1S)-1-benzyl-2-(isobutylamino)-2-oxoethyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide	MH+ = 665.9
429	277	"5-Me-PHTH"	N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({(1S)-1-[(isobutylamino)carbonyl]-2-methylpropyl}amino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide	MH+ = 617.9
430	278	"5-Me-PHTH"	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[2-(dimethylamino)ethyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide	MH+ = 533.3
431	279	"5-Me-PHTH"	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-	MH^+ = 553

EXA	Column A Amine (VIII) Compound of EXA	Column B Amide Forming Agent (IX)	Column C Substitued amine (X)	Column D Physical Data
			2-hydroxy-3-[(3-pyridinylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide	
432	280	"5-Me-PHTH"	N^1-[(1S,2R)-3-{[(1S)-1-[(benzyloxy)methyl]-2-(isobutylamino)-2-oxoethyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide	MH+ = 695.9
433	281	"5-Me-PHTH"	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide	MH+ = 580.8
434	282	"5-Me-PHTH"	N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({(1R)-1-[(isobutylamino)carbonyl]-2-methylpropyl}amino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide	MH+ = 617.9
435	283	"5-Me-PHTH"	N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({(1S)-1-[(isobutylamino)carbonyl]butyl}amino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide	MH+ = 617.9
436	284	"5-Me-PHTH"	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S)-1-(hydroxymethyl)-2-(isobutylamino)-2-oxoethyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide	MH+ = 605.5
437	285	"5-Me-PHTH"	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-phenylethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide	MH+ = 566.8

EXA	Column A Amine (VIII) Compound of EXA	Column B Amide Forming Agent (IX)	Column C Substitued amine (X)	Column D Physical Data
438	286	"5-Me-PHTH"	N^1-[(1S,2R)-3-{[(1S)-2-(benzylamino)-1-methyl-2-oxoethyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide	MH+ = 623.2
439	287	"5-Me-PHTH"	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S)-1-phenylpropyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide	MH+ = 580.8
440	287a	"5-Me-PHTH"	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(1S)-2-(ethylamino)-1-methyl-2-oxoethyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide	MH+ = 561.2
441	289	"5-Me-PHTH"	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S)-2-(isobutylamino)-2-oxo-1-phenylethyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide	MH+ = 651.9
442	290	"5-Me-PHTH"	N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(isopentylamino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide	MH+ = 531.69
443	291	"5-Me-PHTH"	N^1-[(1S,2R)-3-(cyclohexylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide	MH+ = 544.2
444	292	"5-Me-PHTH"	N^1-[(1S,2R)-3-(butylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide	MH+ = 518.2
445	293	"5-Me-PHTH"	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-	MH+ = 534.2

EXA	Column A Amine (VIII) Compound of EXA	Column B Amide Forming Agent (IX)	Column C Substitued amine (X)	Column D Physical Data
			methoxypropyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide	
446	294	"5-Me-PHTH"	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-hydroxy-2-phenylethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide	MH+ = 582
447	295	"5-Me-PHTH"	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(3R,5S)-3,5-dimethoxycyclohexyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide	MH+ = 604.2
448	296	"5-Me-PHTH"	dimethyl (1R,3S)-5-{[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}-1,3-cyclohexanedicarboxylate	MH+ = 660.2
449	297	"5-Me-PHTH"	(1R,3S)-5-{[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}-1,3-cyclohexanedicarboxylic acid	MH+ = 632.2
450	298	"5-Me-PHTH"	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1R)-1-phenylpropyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide	MH+ = 580.8
451	299	"5-Me-PHTH"	N^1-[(1S,2R)-3-[(3-chlorobenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide	MH+ = 586.3
452	300	"-SO_2-"	N-{(1S,2R)-1-(3,5-difluorobenzyl)-	MH+ =

EXA	Column A Amine (VIII) Compound of EXA	Column B Amide Forming Agent (IX)	Column C Substitued amine (X)	Column D Physical Data
			2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[(2-propylpentyl)sulfonyl]benzamide	603.2
453	301	"5-Me-PHTH"	N'-[(1S,2R)-3-[([1,1'-biphenyl]-3-ylmethyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide	MH+ = 628.8
454	302	"5-Me-PHTH"	N'-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide	MH+ = 678
455	303	"5-Me-PHTH"	N'-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methylbenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide	MH+ = 566.8
456	304	"5-Me-PHTH"	N'-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-phenylpropyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide	MH+ = 694
457	305	"5-Me-PHTH"	N'-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1,3-thiazol-5-ylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide	MH+ = 559.5
458	306	"5-Me-PHTH"	N'-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-thienylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide	MH+ = 558.5
459	307	"5-Me-PHTH"	N'-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(5-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl-N^3,N^3-	MH+ = 814

EXA	Column A Amine (VIII) Compound of EXA	Column B Amide Forming Agent (IX)	Column C Substitued amine (X)	Column D Physical Data
			dipropylisophthalamide	
460	308	"5-Me-PHTH"	N¹-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-pyrazinylmethyl)amino]propyl}-5-methyl-N³,N³-dipropylisophthalamide	MH+ = 554.3
461	309	"5-Me-PHTH"	N¹-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3,5-difluorobenzyl)amino]-2-hydroxypropyl}-5-methyl-N³,N³-dipropylisophthalamide	MH+ = 588.7
462	310	"PHTH"	N¹-{(1S,2R)-3-[(1,3-benzodioxol-5-ylmethyl)amino]-1-benzyl-2-hydroxypropyl}-N³,N³-dipropylisophthalamide	MH+ = 546
463	311	"5-Me-PHTH"	N¹-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3,5-dimethoxybenzyl)amino]-2-hydroxypropyl}-5-methyl-N³,N³-dipropylisophthalamide	MH+ = 612.4
464	312	"5-Me-PHTH"	N¹-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(trifluoromethyl)benzyl]amino}propyl)-5-methyl-N³,N³-dipropylisophthalamide	MH+ = 620.3
466	314	"5-Me-PHTH"	Racemic N¹-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(7-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl-N³,N³-dipropylisophthalamide	MH+ = 814
467	315	"5-Me-PHTH"	N¹-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(trifluoromethoxy)benzyl]amino}propyl)-5-methyl-N³,N³-dipropylisophthalamide	MH+ = 636.3
468	316	"5-Me-PHTH"	N¹-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-fluorobenzyl)amino]-2-	MH+ = 570.3

EXA	Column A Amine (VIII) Compound of EXA	Column B Amide Forming Agent (IX)	Column C Substitued amine (X)	Column D Physical Data
			hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide	
469	317	"5-Me-PHTH"	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide	MH+ = 610.4
470	318	"5-Me-PHTH"	N^1-[(1S,2R)-3-[(3-bromobenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide	MH+ = 631.6
471	319	"5-Me-PHTH"	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(5-methyl-2-furyl)methyl]amino}propyl}-5-methyl-N^3,N^3-dipropylisophthalamide	MH+ = 556
472	320	"5-Me-PHTH"	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(5-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide "isomer A"	MH+ = 622
473	320	"5-Me-PHTH"	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(5-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide "isomer B"	MH+ = 622

EXAMPLE 474 N^1-[(1S,2R)-1-benzyl-2-hydroxy-3-(1,2,3,4-tetrahydro-1-naphthalenylamino)propyl]-N^3,N^3-dipropylisophthalamide (X)

Following the general procedures of EXAMPLEs 6 and 321-473 and making non-critical variations but starting with (2R,3S)-3-amino-4-phenyl-1-(1,2,3,4-tetrahydro-1-naphthalenylamino-2-butanol (VIII) and using "PHTH", the title compound is obtained, $MH^+ = 542.3$.

EXAMPLEs 475-483

Following the general procedure of EXAMPLE 6 and making non-critical variations but starting with the amine (VIII) of Column A and reacting it with the amide forming agent (IX) of Column B, the substitute amine (X) of Column C is obtained.

EXA	Column A Amine (VIII) Compound of EXA	Column B Amide Forming Agent (IX)	Column C Substitued amine (X)	Column D Physical Data
475	271	"5-OMe-PHTH"	N^1-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methoxy-N^3,N^3-dipropylisophthalamide	MH^+ = 568.2
476	271	"PHTH"	N^1-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide	MH^+ = 538.2
477	271	"5-Cl-PHTH"	N^1-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-chloro-N^3,N^3-dipropylisophthalamide	MH^+ = 572.1
478	271	"3,5-pyridinyl"	N^3-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide	MH^+ = 539.5
479	271	"5-F-PHTH"	N^1-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-fluoro-N^3,N^3-dipropylisophthalamide	MH^+ = 556.3
480	271	"thienyl"	N^2-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N^5,N^5-dipropyl-2,5-thiophenedicarboxamide	MH^+ = 544.2
481	271	"2,4-pyridinyl"	N^4-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N^2,N^2-dipropyl-2,4-pyridinedicarboxamide	MH^+ = 539.3

EXA	Column A Amine (VIII) Compound of EXA	Column B Amide Forming Agent (IX)	Column C Substitued amine (X)	Column D Physical Data
482	271	"4,6-pyrimidinyl"	N'-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N^4,N^6dipropyl-4,6-pyrimidinedicarboxamide	MH+ = 540
483	271	"morpholinyl"	N-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-3-(4-morpholinylcarbonyl)benzamide	MH+ = 552

EXAMPLE 484 N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methylbenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide (X)

Following the general procedures of EXAMPLEs 4 and 14-107 and making non-critical variations but starting with tert-butyl 1-(2-oxiranyl)-2-phenylethylcarbamate (V, commercially available) and NH_2-CH_2-phenyl-(3-CH_3) (VI), the corresponding protected alcohol (VII) is obtained.

Following the general procedure of EXAMPLEs 5 and 170-320 and making non-critical variations, the corresponding amine (VIII) is obtained.

Following the general procedure of EXAMPLEs 6 and 321-483 and making non-critical variations but using "PHTH" (IX), the title compound is obtained, MH^+ = 516.

EXAMPLE 485 N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^5,N^5-dipropylpentanediamide (X)

Following the general procedure of EXAMPLEs 6 and making non-critical variations and using (2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3-methoxybenzyl)amino]-2-butanol (VIII, EXAMPLE 5) with 5-(dipropylamino)-5-oxopentanoic acid (IX), the title compound is obtained, MH^+ = 534.2.

EXAMPLE 486 N^1-[(1S,2R)-3-{[(1R)-1-[(benzyloxy)methyl]-2-(isobutylamino)-2-oxoethyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide (X)

Following the general procedures of EXAMPLEs 124, 280 and 432 and making non-critical variations but using the "R" C-terminal amine (VI), the title compound is obtained, $MH^+ = 695$.

EXAMPLE 487 N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1R)-1-(hydroxymethyl)-2-(isobutylamino)-2-oxoethyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide (X)

Following the general procedures of EXAMPLEs 128, 284 and 436 and making non-critical variations but using the "R" C-terminal amine (VI), the title compound is obtained, $MH^+ = 719$.

EXAMPLE 488 N^1-[(1S,2R)-1-benzyl-2-hydroxy-3-(pentylamino)propyl]-N^3,N^3-dipropylisophthalamide (X)

Following the general procedures of EXAMPLEs 96, 252 and 403 and making non-critical variations but using NH_2-$(CH_2)_4$-CH_3 as the C-terminal amine (VI), the title compound is obtained, $MH^+ = 481$.

EXAMPLE 489 N^1-[(1S)-3-({2-[4-(aminosulfonyl)phenyl]ethyl}amino)-1-benzyl-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide (X)

Following the general procedures of EXAMPLEs 61, 217 and 368 and making non-critical variations but using racemic C-terminal amine (VI), the title compound is obtained, $MH^+ = 595$.

EXAMPLE 491 N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1,3-thiazol-5-ylmethyl)amino]propyl}-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide (X)

Following the general procedure of EXAMPLE 457 and making non-critical variations and using (2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(1,3-thiazol-5-ylmethyl)amino]-2-butanol (VIII, EXAMPLE 305) with "3,5-pyridinyl" as the amide forming agent (IX), the title compound is obtained.

EXAMPLE 492 3-Benzoyl-N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}benzamide (X)

Following the general procedure of EXAMPLE 452 and making non-critical variations and using (2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3-methoxybenzyl)amino]-2-butanol trifluoroacetate (VIII, EXAMPLE 5) and reacting it with phenyl-CO-phenyl-CO-OH (IX) where the attachment to the –phenyl- ring is 1,3- for the carbonyl groups, the title compound is obtained, MH^+ = 545.2

EXAMPLE 493 N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}[1,1'-biphenyl]-3-carboxamide (X)

Following the general procedure of EXAMPLE 452 and making non-critical variations and using (2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3-methoxybenzyl)amino]-2-butanol trifluoroacetate (VIII, EXAMPLE 5) and reacting it with phenyl-phenyl-CO-OH (IX) where the attachment to the middle –phenyl- ring is 1,3- for the carbonyl group and other –phenyl , the title compound is obtained, MH^+ = 517.2.

EXAMPLE 494 N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methylbenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide (X)

Following the general procedure of EXAMPLE 452 and making non-critical variations and using (2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3-methoxybenzyl)amino]-2-butanol trifluoroacetate (VIII, EXAMPLE 5) and reacting it with $(CH_3\text{-}O\text{-}CH_2\text{-}CH_2\text{-})_2N\text{-}CO\text{--}CO\text{-}OH$ (IX) where the attachment to the -phenyl - ring is 1,3- for the carbonyl groups, the title compound is obtained, MH^+ = 570.3.

EXAMPLE 495 N^1-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N^3-(2-methoxyethyl)-N^3-propylisophthalamide (X)

Following the general procedure of EXAMPLE 452 and making non-critical variations and using (2R,3S)-3-amino-1-(benzylamino)-4-(3,5-difluorophenyl)-2-butanol (VIII, EXAMPLE 271) and reacting it with $(CH_3\text{-}CH_2\text{-}CH_2\text{-})(CH_3\text{-}O\text{-}CH_2\text{-}$

CH_3-)N-CO-phenyl-CO-OH (IX) where the attachment to the –phenyl- ring is 1,3- for the carbonyl groups, the title compound is obtained, MH^+ = 554.5.

EXAMPLE 496 N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-ethoxybenzamide (X)

Following the general procedure of EXAMPLE 452 and making non-critical variations and using (2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3-methoxybenzyl)amino]-2-butanol trifluoroacetate (VIII, EXAMPLE 5) and reacting it with CH_3-CH_2-O-phenyl-CO-OH (IX) where the attachment to the –phenyl- ring is 1,3- for the carbonyl and ethoxy group, the title compound is obtained, MH+ = 485

EXAMPLE 497 N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-naphthamide (X)

Following the general procedure of EXAMPLE 452 and making non-critical variations and using (2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3-methoxybenzyl)amino]-2-butanol trifluoroacetate (VIII, EXAMPLE 5) and reactin*ortho* position, the title compound is obtained, MH+ = 491.

EXAMPLE 498 N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1R)-1,2,3,4-tetrahydro-1-naphthalenylamino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl (1S)-2-(3,5-difluorophenyl)-1-[(2S)-oxiranyl]ethylcarbamate (V, EXAMPLE 3), 1,2,3,4-tetrahydro-1-naphthalenylamine (VI) and "5-Me-PHTH" (IX), the title compound is obtained, MH^+ = 588.

EXAMPLE 499 N^1-[(1R)-3-{[3,5-bis(trifluoromethyl)benzyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl (1S)-2-(3,5-difluorophenyl)-1-[(2S)-

oxiranyl]ethylcarbamate (V, EXAMPLE 3), H_2N-CH_2-phenyl-(3,5-ditrifluoromethyl) (VI) and "5-Me-PHTH" (IX), the title compound is obtained, $MH^+ = 688$.

EXAMPLE 500 N^1-((1S,2R)-1-benzyl-3-{[2-fluoro-5-(trifluoromethyl)benzyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl 1-(2-oxiranyl)-2-phenylethylcarbamate (V), H_2N-CH_2-phenyl-(2-fluoro-5-trifluoromethyl) (VI) and "PHTH" (IX), the title compound is obtained, $MH^+ = 588$.

EXAMPLE 501 N^1-{(1S,2R)-1-benzyl-3-[(2,3-difluorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl 1-(2-oxiranyl)-2-phenylethylcarbamate (V), H_2N-CH_2-phenyl-(2,3-difluoro) (VI) and "PHTH" (IX), the title compound is obtained, $MH^+ = 538$.

EXAMPLE 502 N^1-((1S,2R)-1-benzyl-3-{[3-fluoro-4-(trifluoromethyl)benzyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl 1-(2-oxiranyl)-2-phenylethylcarbamate (V), H_2N-CH_2-phenyl-(3-fluoro-4-trifluoromethyl) (VI) and "PHTH" (IX), the title compound is obtained, $MH^+ = 588$.

EXAMPLE 503 N^1-{(1S,2R)-1-benzyl-3-[(2,5-difluorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl 1-(2-oxiranyl)-2-phenylethylcarbamate (V), H_2N-CH_2-phenyl-(2,5-difluoro) (VI) and "PHTH" (IX), the title compound is obtained, $MH^+ = 538$.

EXAMPLE 504 N^1-((1S,2R)-1-benzyl-3-{[3-fluoro-5-(trifluoromethyl)benzyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl 1-(2-oxiranyl)-2-phenylethylcarbamate (V), H_2N-CH_2-phenyl-(3-fluoro-5-trifluoromethyl) (VI) and "PHTH" (IX), the title compound is obtained, $MH^+ = 588$.

EXAMPLE 505 N^1-{(1S,2R)-1-benzyl-3-[(3,4-difluorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl 1-(2-oxiranyl)-2-phenylethylcarbamate (V), H_2N-CH_2-phenyl-(3,4-difluoro) (VI) and "PHTH" (IX), the title compound is obtained, $MH^+ = 538$.

EXAMPLE 506 N^1-((1S,2R)-1-benzyl-3-{[4-fluoro-3-(trifluoromethyl)benzyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl 1-(2-oxiranyl)-2-phenylethylcarbamate (V), H_2N-CH_2-phenyl-(3-trifluoromethyl-4-fluoro) (VI) and "PHTH" (IX), the title compound is obtained, $MH^+ = 588$.

EXAMPLE 507 N^1-((1S,2R)-1-benzyl-3-{[2-chloro-5-(trifluoromethyl)benzyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl 1-(2-oxiranyl)-2-phenylethylcarbamate (V), H_2N-CH_2-phenyl-(2-chloro-5-trifluoromethyl) (VI) and "PHTH" (IX), the title compound is obtained, $MH^+ = 605$.

EXAMPLE 508 N^1-((1S,2R)-1-benzyl-3-{[4-chloro-3-(trifluoromethyl)benzyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl 1-(2-oxiranyl)-2-phenylethylcarbamate (V), H_2N-CH_2-phenyl-(3-trifluoromethyl-4-chloro) (VI) and "PHTH" (IX), the title compound is obtained, $MH^+ = 605$.

EXAMPLE 509 N^1-[(1S,2R)-1-benzyl-3-(2,3-dihydro-1H-inden-2-ylamino)-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl 1-(2-oxiranyl)-2-phenylethylcarbamate (V), H_2N-(2,3-dihydro-1H-inden-2-yl) and "PHTH" (IX), the title compound is obtained, $MH^+ = 528$.

EXAMPLE 510 N^1-{(1S)-1-benzyl-2-hydroxy-3-[(3-nitrobenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl 1-(2-oxiranyl)-2-phenylethylcarbamate (V), H_2N-CH_2-phenyl-3-nitro (VI) and "PHTH" (IX), the title compound is obtained, $MH^+ = 547$.

EXAMPLE 511 N^1-((1S,2R)-1-benzyl-3-{[3-(difluoromethoxy)benzyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl 1-(2-oxiranyl)-2-phenylethylcarbamate (V), H_2N-CH_2-phenyl-3(-O-CHF_2) (VI) and "PHTH" (IX), the title compound is obtained, $MH^+ = 538$.

EXAMPLE 512 N^1-{(1S,2R)-1-benzyl-3-[(3-ethoxybenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl 1-(2-oxiranyl)-2-phenylethylcarbamate (V), H_2N-CH_2-phenyl-(3-O-CH_2-CH_3) (VI) and "PHTH" (IX), the title compound is obtained, $MH^+ = 546$.

EXAMPLE 513 N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[(5-methyl-2-pyrazinyl)methyl]amino}propyl)-N^3,N^3-dipropylisophthalamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl 1-(2-oxiranyl)-2-phenylethylcarbamate (V), 5-methyl-2-methylaminoprazine (VI) and "PHTH" (IX), the title compound is obtained, $MH^+ = 518$.

EXAMPLE 514 N^1-{(1S,2R)-1-benzyl-3-[(3-bromo-4-fluorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl 1-(2-oxiranyl)-2-phenylethylcarbamate (V), H_2N-CH_2-phenyl-(3-bromo-4-fluoro) (VI) and "PHTH" (IX), the title compound is obtained, $MH^+ = 599$.

EXAMPLE 515 N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3,5-dimethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl (1S)-2-(3,5-difluorophenyl)-1-[(2S)-oxiranyl]ethylcarbamate (V), H_2N-CH_2-phenyl-(3,5-dimethyl) (VI) and "5-Me-PHTH" (IX), the title compound is obtained, $MH^+ = 580$.

EXAMPLE 516 N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethoxybenzyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl (1S)-2-(3,5-difluorophenyl)-1-[(2S)-oxiranyl]ethylcarbamate (V), H_2N-CH_2-phenyl−(3-ethoxy) (VI) and "5-Me-PHTH" (IX), the title compound is obtained, $MH^+ = 596$.

EXAMPLE 517 N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-phenoxyethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl (1S)-2-(3,5-difluorophenyl)-1-[(2S)-oxiranyl]ethylcarbamate (V), H_2N-CH_2-CH_2-O-phenyl (VI) and "5-Me-PHTH" (IX), the title compound is obtained, MH^+ = 582.

EXAMPLE 518 N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isobutoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl (1S)-2-(3,5-difluorophenyl)-1-[(2S)-oxiranyl]ethylcarbamate (V), H_2N-CH_2-phenyl-O-*i*-butyl (VI) and "5-Me-PHTH" (IX), the title compound is obtained, MH^+ = 624.

EXAMPLE 519 N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(4-methyl-1,3-thiazol-2-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl (1S)-2-(3,5-difluorophenyl)-1-[(2S)-oxiranyl]ethylcarbamate (V), H_2N-CH_2-4-methyl-1,3-thiazol-2-yl (VI) and "5-Me-PHTH" (IX), the title compound is obtained, MH^+ = 573.

EXAMPLE 520 N^1-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N^3-methyl-N^3-propylisophthalamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl (1S)-2-(3,5-difluorophenyl)-1-[(2S)-oxiranyl]ethylcarbamate (V), H_2N-CH_2-phenyl (VI) and (CH_3-CH_2-CH_2-)(CH_3-)N-CO-(CH_3-)phenyl-CO-OH (IX) where the attachment to the –phenyl- ring for the carbonyls is 1-,3- and 5- for the methyl group, the title compound is obtained, MH^+ = 510.

EXAMPLE 521 N^2-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N^5,N^5-dipropyl-2,5-furandicarboxamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl (1S)-2-(3,5-difluorophenyl)-1-[(2S)-

oxiranyl]ethylcarbamate (V), H_2N-CH_2-phenyl (VI) and $(CH_3$-CH_2-CH_2-$)_2N$-CO-(2,5-furanyl)-CO- (IX), the title compound is obtained, $MH^+ = 528$.

EXAMPLE 522 N^3-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(trifluoromethyl)benzyl]amino}propyl)-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl (1S)-2-(3,5-difluorophenyl)-1-[(2S)-oxiranyl]ethylcarbamate (V), H_2N-CH_2-phenyl-3-trifluoromethyl (VI) and "3,5-pyridinyl" (IX), the title compound is obtained, $MH^+ = 607$.

EXAMPLE 523 N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl}-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl (1S)-2-(3,5-difluorophenyl)-1-[(2S)-oxiranyl]ethylcarbamate (V), H_2N-$C(-CH_3)_2$-phenyl (VI) and "3,5-pyridinyl" (IX), the title compound is obtained, $MH^+ = 567$.

EXAMPLE 524 N^1-[(1S,2R)-3-amino-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide (X)

Following the general procedure of CHARTs A, C and D and EXAMPLEs 165-168 and making non-critical variations, but using tert-butyl (1S)-2-(3,5-difluorophenyl)-1-[(2S)-oxiranyl]ethylcarbamate (V) and "5-Me-PHTH" (IX), the title compound is obtained, $MH^+ = 462$.

EXAMPLE 525 N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(1,2-diphenylethyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl (1S)-2-(3,5-difluorophenyl)-1-[(2S)-oxiranyl]ethylcarbamate (V), NH_2-CH()-CH_2-phenyl (VI) and "5-Me-PHTH" (IX), the title compound is obtained, $MH^+ = 642$.

EXAMPLE 526 N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(7-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide, isomer A (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl (1S)-2-(3,5-difluorophenyl)-1-[(2S)-oxiranyl]ethylcarbamate (V), 7-methoxy-1,2,3,4-tetrahydro-1-naphthalenylamine (VI) and "5-Me-PHTH" (IX), the title compound is obtained, $MH^+ = 622$.

EXAMPLE 527 N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(7-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide, isomer B (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl (1S)-2-(3,5-difluorophenyl)-1-[(2S)-oxiranyl]ethylcarbamate (V), 7-methoxy-1,2,3,4-tetrahydro-1-naphthalenylamine (VI)
and "5-Me-PHTH" (IX), the title compound is obtained, $MH^+ = 622$.

EXAMPLE 528 Benzyl-(1S, 2R)-3-azido-1-(3,5-difluorobenzyl)-2-hydroxypropylcarbamate (XII)

Following the general procedure of EXAMPLE 165 and making non-critical variations but starting with benzyl (1S)-2-(3,5-difluorophenyl)-1-[(2S)-oxiranyl]ethylcarbamate (V, EXAMPLE 13), the title compound is obtained.

EXAMPLE 529 N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-(dimethylamino)benzamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl 1-(2-oxiranyl)-2-phenylethylcarbamate (V), 3-methoxybenzylamine (VI) and 3-dimethylaminobenzoic acid (IX), the title compound is obtained, $MH^+ = 448$.

EXAMPLE 530 N-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-2-methyl-1H-benzimidazole-5-carboxamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl 1-(2-oxiranyl)-2-phenylethylcarbamate (V), 3-methoxybenzylamine (VI) and 2-methyl-1H-benzimidazole-5-carboxylic acid (IX), the title compound is obtained, $MH^+ = 459$.

EXAMPLE 531 3-(aminosulfonyl)-N-{(1S)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-chlorobenzamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl 1-(2-oxiranyl)-2-phenylethylcarbamate (V), 3-methoxybenzylamine (VI) and 3-(aminosulfonyl)-4-chlorobenzoic acid (IX), the title compound is obtained, $MH^+ = 519$.

EXAMPLE 532 N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-cyanobenzamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl 1-(2-oxiranyl)-2-phenylethylcarbamate (V), 3-methoxybenzylamine (VI) and 3-cyanobenzoic acid (IX), the title compound is obtained, $MH^+ = 430$.

EXAMPLE 533 N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]- propyl}-4-chloro-3-nitrobenzamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl 1-(2-oxiranyl)-2-phenylethylcarbamate (V), 3-methoxybenzylamine (VI) and 4-chloro-3-nitrobenzoic acid (IX), the title compound is obtained, $MH^+ = 484$.

EXAMPLE 534 Methyl 3-[({(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}amino)carbonyl]-5-nitrobenzoate (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl 1-(2-oxiranyl)-2-phenylethylcarbamate (V), 3-

methoxybenzylamine (VI) and 3-nitro-5-(methyl-O-CO-)-phenyl-CO-OH (IX), the title compound is obtained, $MH^+ = 508$.

EXAMPLE 535 tert-butyl 3-[({(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}amino)carbonyl]-phenylcarbamate (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl 1-(2-oxiranyl)-2-phenylethylcarbamate (V), 3-methoxybenzylamine (VI) and 3-(*t*-butyl-O-CO-NH)-phenyl-CO-OH (IX), the title compound is obtained, $MH^+ = 520$.

EXAMPLE 536 N-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-9,10-dioxo-9,10-dihydro-2-anthourancenylcarboxamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl 1-(2-oxiranyl)-2-phenylethylcarbamate (V), 3-methoxybenzylamine (VI) and 9,10-dioxo-9,10-dihydro-2-anthourancenylcarboxylic acid (IX), the title compound is obtained, $MH^+ = 535$.

EXAMPLE 537 N-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-1H-1,2,3-benzotriazole-6-carboxamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl 1-(2-oxiranyl)-2-phenylethylcarbamate (V), 3-methoxybenzylamine (VI) and 1H-1,2,3-benzotriazolyl-6-carboxylic acid (IX), the title compound is obtained, $MH^+ = 446$.

EXAMPLE 538 N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-(3-methyl-5-oxo-4,5-dihydro-1H-pyrazol-1-yl)benzamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl 1-(2-oxiranyl)-2-phenylethylcarbamate (V), 3-methoxybenzylamine (VI) and 4-(3-methyl-5-oxo-4,5-dihydro-1H-pyrazol-1-yl)benzoic acid (IX), the title compound is obtained, $MH^+ = 501$.

EXAMPLE 539 N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]- propyl}-1H-indole-5-carboxamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl 1-(2-oxiranyl)-2-phenylethylcarbamate (V), 3-methoxybenzylamine (VI) and indole-5-carboxylic acid (IX), the title compound is obtained, $MH^+ = 444$.

EXAMPLE 540 N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-fluoro-5-(trifluoromethyl)benzamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl 1-(2-oxiranyl)-2-phenylethylcarbamate (V), 3-methoxybenzylamine (VI) and 3-fluoro-5-(trifluoromethyl)benzoic acid (IX), the title compound is obtained, $MH^+ = 491$.

EXAMPLE 541 N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]- propyl}-3-(trifluoromethyl)benzamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl 1-(2-oxiranyl)-2-phenylethylcarbamate (V), 3-methoxybenzylamine (VI) and 3-(trifluoromethyl)benzoic acid (IX), the title compound is obtained, $MH^+ = 473$.

EXAMPLE 542 N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]- propyl}-4-(butylamino)benzamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl 1-(2-oxiranyl)-2-phenylethylcarbamate (V), 3-methoxybenzylamine (VI) and 4-(butylamino)benzoic acid (IX), the title compound is

obtained, $MH^+ = 476$.

EXAMPLE 543 N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]- propyl}-3-(trifluoromethoxy)benzamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl 1-(2-oxiranyl)-2-phenylethylcarbamate (V), 3-methoxybenzylamine (VI) and 3-(trifluoromethoxy)benzoic acid (IX), the title compound is obtained, $MH^+ = 489$.

EXAMPLE 544 N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]- propyl}-3,5-dimethoxybenzamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl 1-(2-oxiranyl)-2-phenylethylcarbamate (V), 3-methoxybenzylamine (VI) and 3,5-dimethoxybenzoic acid (IX), the title compound is obtained, $MH^+ = 465$.

EXAMPLE 545 N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]- propyl}-3,5-dimethylbenzamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl 1-(2-oxiranyl)-2-phenylethylcarbamate (V), 3-methoxybenzylamine (VI) and 3,5-dimethylbenzoic acid (IX), the title compound is obtained, $MH^+ = 433$.

EXAMPLE 546 N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]- propyl}-3,5-difluorobenzamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl 1-(2-oxiranyl)-2-phenylethylcarbamate (V), 3-methoxybenzylamine (VI) and 3,5-difluorobenzoic acid (IX), the title compound is obtained, $MH^+ = 441$.

EXAMPLE 547 N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]- propyl}-3,5-dichlorobenzamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl 1-(2-oxiranyl)-2-phenylethylcarbamate (V), 3-methoxybenzylamine (VI) and 3,5-dichlorobenzoic acid (IX), the title compound is obtained, $MH^+ = 474$.

EXAMPLE 548 N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]- propyl}-4-(benzyloxy)benzamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl 1-(2-oxiranyl)-2-phenylethylcarbamate (V), 3-methoxybenzylamine (VI) and 4-(benzyloxy)benzoic acid (IX), the title compound is obtained, $MH^+ = 511$.

EXAMPLE 549 N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]- propyl}-1,3-benzodioxole-5-carboxamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl 1-(2-oxiranyl)-2-phenylethylcarbamate (V), 3-methoxybenzylamine (VI) and 1,3-benzodioxole-5-carboxylic acid (IX), the title compound is obtained, $MH^+ = 449$.

EXAMPLE 550 3-(acetylamino)-N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}benzamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl 1-(2-oxiranyl)-2-phenylethylcarbamate (V), 3-methoxybenzylamine (VI) and 3-(acetylamino)benzoic acid (IX), the title compound is obtained, $MH^+ = 462$.

EXAMPLE 551 4-(acetylamino)-N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}benzamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl 1-(2-oxiranyl)-2-phenylethylcarbamate (V), 3-methoxybenzylamine (VI) and 4-(acetylamino)benzoic acid (IX), the title compound is obtained, $MH^+ = 462$.

EXAMPLE 552 N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(3,5-dimethyl-4-isoxazolyl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl (1S)-2-(3,5-difluorophenyl)-1-[(2S)-oxiranyl]ethylcarbamate (V, EXAMPLE 3), 3,5-dimethyl-4-isoxazolylmethylamine (VI) and "5-Me-PHTH" (IX), the title compound is obtained, $MH^+ = 571.3$.

EXAMPLE 553 N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-phenylpropyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl (1S)-2-(3,5-difluorophenyl)-1-[(2S)-oxiranyl]ethylcarbamate (V, EXAMPLE 3), 3-phenylpropyl)amine (VI) and "5-Me-PHTH" (IX), the title compound is obtained, $MH^+ = 580$.

EXAMPLE 554 N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-furylmethyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl (1S)-2-(3,5-difluorophenyl)-1-[(2S)-oxiranyl]ethylcarbamate (V, EXAMPLE 3), 3-furylmethylamine (VI) and "5-Me-PHTH" (IX), the title compound is obtained, $MH^+ = 542$.

EXAMPLE 555 N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(tetrahydro-3-furanylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl (1S)-2-(3,5-difluorophenyl)-1-[(2S)-

oxiranyl]ethylcarbamate (V, EXAMPLE 3), (tetrahydro-3-furanylmethyl)amine (VI) and "5-Me-PHTH" (IX), the title compound is obtained, $MH^+ = 546$.

EXAMPLE 556 N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-propoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl (1S)-2-(3,5-difluorophenyl)-1-[(2S)-oxiranyl]ethylcarbamate (V, EXAMPLE 3), (3-propoxybenzyl)amine (VI) and "5-Me-PHTH" (IX), the title compound is obtained, $MH^+ = 610$.

EXAMPLE 557 N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-pyridinylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl (1S)-2-(3,5-difluorophenyl)-1-[(2S)-oxiranyl]ethylcarbamate (V, EXAMPLE 3), (2-pyridinylmethyl)amine (VI) and "5-Me-PHTH" (IX), the title compound is obtained, $MH^+ = 553$.

EXAMPLE 558 N^1-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-hydroxy-N^3,N^3-dipropylisophthalamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl (1S)-2-(3,5-difluorophenyl)-1-[(2S)-oxiranyl]ethylcarbamate (V, EXAMPLE 3), benzylamine (VI) and 5-hydroxy-N,N-dipropylisophthalic acid (IX), the title compound is obtained, $MH^+ = 554$.

EXAMPLE 559 N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[1-methyl-1-(3-methylphenyl)ethyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl (1S)-2-(3,5-difluorophenyl)-1-[(2S)-oxiranyl]ethylcarbamate (V, EXAMPLE 3), [1-methyl-1-(3-

methylphenyl)ethyl]amine (VI) and "5-Me-PHTH" (IX), the title compound is obtained, $MH^+ = 594$.

EXAMPLE 560 N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1S)-1,2,3,4-tetrahydro-1-naphthalenylamino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl (1S)-2-(3,5-difluorophenyl)-1-[(2S)-oxiranyl]ethylcarbamate (V, EXAMPLE 3), (1S)-1,2,3,4-tetrahydro-1-naphthalenylamine (VI) and "5-Me-PHTH" (IX), the title compound is obtained, $MH^+ = 592$.

EXAMPLE 561 N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(2,5-dimethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl (1S)-2-(3,5-difluorophenyl)-1-[(2S)-oxiranyl]ethylcarbamate (V, EXAMPLE 3), 2,5-dimethylbenzylamine (VI) and "5-Me-PHTH" (IX), the title compound is obtained, $MH^+ = 580$.

EXAMPLE 562 N^1-[(1S,2R)-3-{[2-chloro-5-(trifluoromethyl)benzyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl (1S)-2-(3,5-difluorophenyl)-1-[(2S)-oxiranyl]ethylcarbamate (V, EXAMPLE 3), 2-chloro-5-trifluorobenzylamine (VI) and "5-Me-PHTH" (IX), the title compound is obtained, $MH^+ = 654$.

EXAMPLE 563 N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-hydroxy-5-methylbenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl (1S)-2-(3,5-difluorophenyl)-1-[(2S)-

oxiranyl]ethylcarbamate (V, EXAMPLE 3), 2-hydroxy-5-methylbenzylamine (VI) and "5-Me-PHTH" (IX), the title compound is obtained, $MH^+ = 582$.

EXAMPLE 564 N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S,2R)-2-hydroxy-2,3-dihydro-1H-inden-1-yl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl (1S)-2-(3,5-difluorophenyl)-1-[(2S)-oxiranyl]ethylcarbamate (V, EXAMPLE 3), [(1S,2R)-2-hydroxy-2,3-dihydro-1H-inden-1-yl]amine (VI) and "5-Me-PHTH" (IX), the title compound is obtained, $MH^+ = 594$.

EXAMPLE 565 N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(1R)-2,3-dihydro-1H-inden-1-ylamino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl (1S)-2-(3,5-difluorophenyl)-1-[(2S)-oxiranyl]ethylcarbamate (V, EXAMPLE 3), (1R)-2,3-dihydro-1H-inden-1-ylamine (VI) and "5-Me-PHTH" (IX), the title compound is obtained, $MH^+ = 578$.

EXAMPLE 566 5-chloro-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl}-N^3,N^3-dipropylisophthalamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl (1S)-2-(3,5-difluorophenyl)-1-[(2S)-oxiranyl]ethylcarbamate (V, EXAMPLE 3), (1-methyl-1-phenylethyl)amine (VI) and "5-Cl-PHTH" (IX), the title compound is obtained, $MH^+ = 601$.

EXAMPLE 567 N^1-[(1S,2R)-3-[(1-benzofuran-2-ylmethyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl (1S)-2-(3,5-difluorophenyl)-1-[(2S)-

oxiranyl]ethylcarbamate (V, EXAMPLE 3), (1-benzofuran-2-ylmethyl)amine (VI) and "5-Me-PHTH" (IX), the title compound is obtained, $MH^+ = 592$.

EXAMPLE 568 N^1-[(1S,2R)-3-{[(1R)-1-(3-bromophenyl)ethyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl (1S)-2-(3,5-difluorophenyl)-1-[(2S)-oxiranyl]ethylcarbamate (V, EXAMPLE 3), (1R)-1-(3-bromophenyl)ethyl]amine (VI) and "5-Me-PHTH" (IX), the title compound is obtained, $MH^+ = 645$.

EXAMPLE 569 N^1-{(1S,2R)-1-(4-fluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl (1S)-2-(4-fluorophenyl)-1-[(2S)-oxiranyl]ethylcarbamate (V), 3-iodobenzylamine (VI) and "5-Me-PHTH" (IX), the title compound is obtained, $MH^+ = 660$.

EXAMPLE 570 N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[butyl(butyryl)amino]-5-methylbenzamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl 1-(2-oxiranyl)-2-phenylethylcarbamate (V), 3-methoxybenzylamine (VI) and 3-[butyl(butyryl)amino]-5-methylbenzoic acid (IX), the title compound is obtained, $MH^+ = 560$.

EXAMPLE 571 N^1-{1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-methyl-N^3,N^3-dipropylisophthalamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl 1-(2-oxiranyl)-2-phenylethylcarbamate (V), 3-methoxybenzylamine (VI) and 4-methyl-N,N-dipropylisophthalic acid (IX), the title compound is obtained, $MH^+ = 546$.

EXAMPLE 572 N^3-{1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-methyl-N^1,N^1-dipropylisophthalamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl 1-(2-oxiranyl)-2-phenylethylcarbamate (V), 3-methoxybenzylamine (VI) and 4-methyl-N,N-dipropylisophthalic acid (IX), the title compound is obtained, $MH^+ = 546$.

EXAMPLE 573 N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-methyl-N^3,N^3-dipropylisophthalamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl (1S)-2-(3,5-difluorophenyl)-1-[(2S)-oxiranyl]ethylcarbamate (V), 3-methoxybenzylamine (VI) and 4-methyl-N,N-dipropylisophthalic acid (IX), the title compound is obtained, $MH^+ = 582$.

EXAMPLE 574 N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-1-butyl-1H-indole-6-carboxamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl 1-(2-oxiranyl)-2-phenylethylcarbamate (V), 3-methoxybenzylamine (VI) and 1-butyl-1H-indole-6-carboxylic acid (IX), the title compound is obtained, $MH^+ = 500$.

EXAMPLE 575 N^1-[(1S,2R)-3-anilino-1-(3,5-difluorobenzyl)-2-hydroxypropyl] -5-methyl-N^3,N^3-dipropylisophthalamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl (1S)-2-(3,5-difluorophenyl)-1-[(2S)-oxiranyl]ethylcarbamate (V), aniline (VI) and and "5-Me-PHTH" (IX), the title compound is obtained, $MH^+ = 538$.

EXAMPLE 576 5-bromo-N^1-[(1S,2R)-3-[(3-bromobenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl (1S)-2-(3,5-difluorophenyl)-1-[(2S)-oxiranyl]ethylcarbamate (V), 3-bromobenzylamine (VI) and 5-bromo-N,N-dipropylisophthalic acid (IX), the title compound is obtained, $MH^+ = 696$.

EXAMPLE 577 N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-methylpentanamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl (1S)-2-(3,5-difluorophenyl)-1-[(2S)-oxiranyl]ethylcarbamate (V), 3-iodobenzylamine (VI) and 4-methylpentanoic acid (IX), the title compound is obtained, $MH^+ = 531$.

EXAMPLE 578 N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-3-methylpentanamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl (1S)-2-(3,5-difluorophenyl)-1-[(2S)-oxiranyl]ethylcarbamate (V), 3-iodobenzylamine (VI) and CH_3-CH_2-$CH(CH_3$-)-CH_2-CO-OH (IX), the title compound is obtained, $MH^+ = 531$.

EXAMPLE 579 N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-hydroxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl (1S)-2-(3,5-difluorophenyl)-1-[(2S)-oxiranyl]ethylcarbamate (V), 3-hydroxybenzylamine (VI) and "5-Me-PHTH" (IX), the title compound is obtained, $MH^+ = 568$.

EXAMPLE 580 *tert*-butyl (1S)-1-(3,5-difluorobenzyl)-3-[(3-methoxybenzyl)amino]-2-oxopropylcarbamate (XI)

tert-butyl (1S)-3-bromo-1-(3,5-difluorobenzyl)-2-oxopropylcarbamate (III, EXAMPLE 1, 1 equivalent) is dissolved in isopropanol and treated with 3-methoxybenzylamine (VI, 5 equivalents). The reaction is heated at reflux for 2 hours and monitored by TLC for disappearance of the ketone (III). Upon completion, the reaction is concentrated to dryness under reduced pressure and partitioned between equal parts water and ethyl acetate. The organic phase is extracted, washed two additional times with water, then saline, then dried over anhydrous sodium sulfate, filtered and concentrated under reduced pressure. The crude material is purified by column chromatography on silica gel to give the title compound.

EXAMPLE 581 *tert*-butyl (1S,2R)-3-amino-1-(3,5-difluorobenzyl)-2-hydroxypropylcarbamate (XIII)

tert-butyl-(1S, 2R)-3-azido-1-(3,5-difluorobenzyl)-2-hydroxypropylcarbamate (XII, EXAMPLE 165, 1 equivalent) is dissolved in methanol and treated with 10% palladium on carbon under hydrogen (50 psi). The reaction is shaken at 20-25 degrees C for 2 hours, filtered through a diatomaceous earthpad, and concentrated under reduced pressure to dryness. The crude material is purified by column chromatography on silica gel to give the title compound.

EXAMPLE 582 Benzyl (1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propylcarbamate (VII)

A mixture of benzyl (1S)-1-[(2S)-oxiranyl]-2-phenylethylcarbamate (V, 0.22 g, 0.74 mmol), 3-methoxybenzylamine (VI, 0.13 g, 0.92 mmol), and ethanol (2 mL) is stirred at reflux for 2.3 hours and then cooled and concentrated under reduced pressure. The residue is chouromatographed (silica gel; methanol/dichloromethane/ammonium hydroxide, 4/96/trace) to give the title compound, MS m/z at $(m + H)^+ = 435.2$.

EXAMPLE 583 Benzyl (1S,2R)-1-benzyl-2-hydroxy-3-(tert-butylcarbamoyl)-3-[(3-methoxybenzyl)amino]propyl-carbamate (XXXIV)

A mixture of benzyl (1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl-carbamate (VII, EXAMPLE 582, 9.00 g, 21.0 mmol), di-*tert*-butyl dicarbonate (5.00 g, 23 mmol), sodium carbonate monohydrate (3.12 g,

25 mmol), THF (200 mL), and water (100 mL) is stirred at 20-25 degrees C for 2 hours. THF is removed under reduced pressure and the residue is partitioned between ethyl acetate and water. The organic layer is then washed with saline, dried over anhydrous sodium sulfate, filtered, and concentrated. Column chromatography (silica gel; methanol/dichloromethane, 1/99) gives the title compound, NMR (300 MHz, $CDCl_3$) delta7.26, 6.79, 5.00, 4.42, 3.89, 3.78, 3.44, 3.28, 2.91, 1.49; MS (ESI+) for $C_{31}H_{38}N_2O_6$ *m/z* $(M+H)^+ = 535.3$.

EXAMPLE 584 *tert*-Butyl (2R,3S)-3-amino-2-hydroxy-4-phenylbutyl(3-methoxybenzyl)carbamate (XXXV)

A suspension of benzyl (1S,2R)-1-benzyl-2-hydroxy-3-(tert-butylcarbamoyl)-3-[(3-methoxybenzyl)amino]propyl-carbamate (XXXIV, EXAMPLE 583, 10.2 g, 18.6 mmol) and palladium on carbon (5%, 1.00 g) in ethanol (100 mL) is shaken in a hydrogenation apparatus under hydrogen (50 psi) for 2 hours. Then the mixture is filtered through diatomaceous earth and concentrated. The concentrate is chromatographed (silica gel; methanol/dichloromethane, 5/95) to give the title compound, NMR ($CDCl_3$) δ 1.50, 2.46, 2.92, 3.04, 3.42, 3.52, 3.72, 3.82, 4.49, 6.83, 7.19 and 7.28; MS (ESI+) for $C_{23}H_{32}N_2O_4$ *m/z* $(M+H)^+ = 401.3$.

EXAMPLE 585 *tert*-butyl (2R,3S)-3-({3-cyano-5-[(dipropylamino)carbonyl]benzoyl}amino)-2-hydroxy-4-phenylbutyl(3-methoxybenzyl)carbamate (XXXVI)

To a mixture of 3-cyano-5-[(dipropylamino)carbonyl]benzoic acid (IX/XXXII, PREPARATION 7, 0.086 g, 0.314 mmol) and *tert*-butyl (2R,3S)-3-amino-2-hydroxy-4-phenylbutyl(3-methoxybenzyl)carbamate (XXXV, EXAMPLE 584, 0.126 g, 0.314 mmol) in dichloromethane (0.3 mL) is added diethylcyanophosphonate (0.062 g, 0.330 mmol) and triethylamine (0.032 g, 0.316 mmol). The mixture is stirred at 20-25 degrees for 65 hours and then partitioned between dichloromethane and saturated aqueous sodium bicarbonate. The organic phase is separated and dried over sodium sulfate and concentrated. The residue is chromatographed (silica gel, 20 mL; methanol/dichloromethane, 5/95) to give several mixed fractions, which are combined and rechromatographed (silica gel;

acetone/hexane, 20/80) to give the title compound, MS (ESI+) for $C_{38}H_{48}N_4O_6$ *m/z* 657.6 $(M+H)^+$ = 657.6; (M+Na) = 679.5 and $(M-C_4H_9O_2)$ = 557.5.

EXAMPLE 586 N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-cyano-N^3,N^3-dipropylisophthalamide hydrochloride (X)

A mixture of *tert*-butyl (2R,3S)-3-({3-cyano-5-[(dipropylamino)carbonyl]benzoyl}amino)-2-hydroxy-4-phenylbutyl(3-methoxybenzyl)carbamate (XXXVI, EXAMPLE 585, 0.080 g, 0.122 mmol), dichloromethane (1 mL), and methanol saturated with hydrochloric acid (1 mL) is stirred for 8 hours, after which time the solvents are removed under reduced pressure. A few drops of methanol, followed by ether, gives the title compound, MS (ESI+) for $C_{33}H_{40}N_4O_4$ *m/z* $(M+H)^+$ = 557.5

EXAMPLE 587 N^1-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide (X)

To a mixture of 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoic acid (IX, PREPARATION 6, 0.18 g, 0.616 mmol) in dry DMF (16 mL) is added EDC (0.182 g, 0.9 mmol), HOBT (0.127 g, 0.9 mmol), triethylamine (0.062 g, 0.616 mol), and (2R,3S)-3-amino-1-[(3-methoxybenzyl)amino]-4-phenyl-2-butanol (VIII, EXAMPLE 175, 0.185 g, 0.616 mmol). The mixture is stirred at 20-25 degrees C for 3 days. The mixture is partitioned between water and ethyl acetate. The phases are separated and the organic phase is washed three times with water. The organic phase is dried over anhydrous magnesium sulfate, filtered and concentrated. Column chromatography (silica gel, 75 mL; methanol/methylene chloride, 10/90) gives the title compound, IR (diffuse reflectance) 3306, 3301, 3270, 2962, 1676, 1667, 1663, 1645, 1638, 1627, 1615, 1550, 1537, 1450 and 1439 cm^{-1}; NMR ($CDCl_3$) δ 0.645, 0.968, 1.20, 1.43, 1.67, 2.8, 2.97, 3.38, 3.47, 3.73, 3.87, 4.31, 6.78, 6.91, 7.23, 7.72, 7.87, 8.22 and 8.43.

EXAMPLE 588 1- *tert*-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propylcarbamate (VII)

tert-Butyl (1S)-2-(3,5-difluorophenyl)-1-[(2S)-oxiranyl]ethylcarbamate (V, EXAMPLE 3, 1.75 g, 5.8 mmole) is mixed with isopropanol (30 ml). The reaction flask is charged with 3-iodobenzylamine (VI). The reaction mixture is heated to reflux for 45 minutes, HPLC analysis indicates complete disappearance of the epoxide (V). The reaction mixture is concentrated under reduced pressure and the residue is partitioned between ethyl acetate (150 ml) and aqueous hydrochloric acid (3%, 35 ml). The organic phase is separated and washed with aqueous hydrochloric acid (3%, 20 ml), bicarbonate, saline and dried over sodium sulfate. Concentration under reduced pressure gives the title compound, M + H = 535.

EXAMPLE 589 1-9H-fluoren-9-ylmethyl (2R,3S)-3-(3-t-butyloxycarbonyl)amino-4-(3,5-difluorophenyl)-2-hydroxybutyl(3'-iodobenzyl)carbamate hydrochloride (XXXIV)

1- *tert*-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propylcarbamate (VII, EXAMPLE 588, 2.5 g, 4.7 mmole) and triethylamine (0.72 ml, 5.1 mmole) in THF (10 ml) are mixed. The reaction is cooled to 0 degrees and treated with FMOC-Cl (1.2 g, 4.7 mmole) in THF (2 ml) via addition funnel. After 15 minutes HPLC indicates complete disappearance of starting material. The reaction is diluted with ethyl acetate and washed with aqueous potassium bisulfate, saturated aqueous bicarbonate, saline and dried over sodium sulfate. Concentration under reduced pressure gives crude product which is purified by flash chromatography, eluting with ethyl acetate/hexane (20/80) followed by ethyl acetate to give the title compound, M + H = 757.

EXAMPLE 590 1-9H-fluoren-9-ylmethyl (2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl(3-iodobenzyl)carbamate hydrochloride (XXXV)

1-9H-fluoren-9-ylmethyl (2R,3S)-3-(3-t-butyloxycarbonyl)amino-4-(3,5-difluorophenyl)-2-hydroxybutyl(3'-iodobenzyl)carbamate hydrochloride (XXXIV, EXAMPLE 589, 2.9 g) in hydrochloric acid/dioxane (4N, 10 ml). The mixture is stirred 1 hour then slowly poured into rapidly stirring ether (200 ml). The product is filtered and dried to give the title compound, M + H = 657.

EXAMPLE 591 1-9H-fluoren-9-ylmethyl (2R,3S)-4-(3,5-difluorophenyl)-2-hydroxy-3-{[5-oxo-5-(1-piperidinyl)pentanoyl]amino}butyl(3-iodobenzyl)carbamate (XXXVI)

HOBt (81 mg, 0.6 mmole) and EDC (105 mg, 0.55 mmole) are added to 1-carboxy-5-piperdinylglutaramide (IX, 100 mg, 0.5 mmole) in DMF (2 ml). The acid is activated 60 minutes then treated with 1-9H-fluoren-9-ylmethyl (2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl(3-iodobenzyl)carbamate hydrochloride (XXXV, EXAMPLE 590, 300 mg, 0.43 mmole) and NMM (0.19 ml, 1.72 mmole). The reaction is stirred 3 hours then concentrated under reduced pressure. The residue is partitioned between ethyl acetate and saturated aqueous bicarbonate. The organic phases are washed with aqueous potassium bisulfate, saline, dried over sodium sulfate and finally concentrated under reduced pressure to give crude product. Purification via flash chromatography with ethyl acetate/hexane (50/50) then methanol/ethyl acetate (10/90) gives the title compound, M + H = 838.

EXAMPLE 592 1- N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-oxo-5-(1-piperidinyl)pentanamide trifluroacetate (X)

1- N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-oxo-5-(1-piperidinyl)pentanamide trifluroacetate (XXXVI, EXAMPLE 591, 240 mg, 0.29 mmole is dissolved in diethylamine (10%, 9 ml) in methylene chloride. The reaction is stirred at 20-25 degrees overnight. The next morning the reaction is concentrated under reduced pressure and the residue is redissolved in methylene chloride and purified by preparative reverse phase HPLC. The appropriate fractions are pooled and concentrated under reduced pressure and partitioned between ethyl acetate and saline. The organic phase is separated and dried over sodium sulfate and concentrated to give the title compound, M + H = 614.

EXAMPLE 593 5-(Aminosulfonyl)-N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N-dipropylisophthalamide (X)

O-(7-Azabenzotriazol-1-yl)-*N,N,N',N'*-tetramethyluronium hexafluorophosphate (HATU, 0.0928 g, 0.244 mmol) is added to a mixture of, 3-(aminosulfonyl)-5-[(dipropylamino)-carbonyl]benzoic acid (XXXIX, PREPARATION 13, 0.0800 g, 0.244 mmol) and (2R,3S)-3-amino-1-[(3-methoxybenzyl)-amino]-4-phenyl-2-butanol (VIII, EXAMPLE 175, 0.0732 g, 0.244 mmol) in dry DMF (3 mL). The mixture is stirred for 18 hours at 20-25 degrees, and then partitioned between ethyl acetate and water. The organic phase is separated and washed with saline, dried over anhydrous sodium sulfate, filtered and concentrated. The concentrate is column chouromatographed (silica gel; methanol/dichloromethane, 5/95) to give the title compound, MS (ESI+) for $C_{32}H_{42}N_4O_6S$ *m/z* $(M+H)^+ = 611.5$; HRMS (FAB) calculated for $C_{32}H_{42}N_4O_6S + H_1 = 611.2903$, found = 611.2904.

EXAMPLE 594 N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-5-(1-pyrrolidinylsulfonyl)isophthalamide (X)

Following the general procedure of EXAMPLE 593 and making non-critical variations but using 3-[(dipropylamino)carbonyl]-5-(1-pyrrolidinylsulfonyl)benzoic acid (XXXIX, PREPARATION 15, the title compound is obtained, ES (ESI+) for $C_{36}H_{48}N_4O_6S$ *m/z* $(M+H)^+ = 665.6$; HRMS (FAB) calculated for $C_{36}H_{48}N_4O_6S + H_1 = 665.3372$, found = 665.3393.

EXAMPLE 595 N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(methylamino)sulfonyl]-N^3,N^3-dipropylisophthalamide (X)

Following the general procedure of EXAMPLE 593 and making non-critical variations but using 3-[(dipropylamino)carbonyl]-5-[(methylamino)-sulfonyl]benzoic acid (XXXIX, PREPARATION 17, the title compound is obtained, MS (ESI+) for $C_{33}H_{44}N_4O_6S$ *m/z* $(M+H)^+ = 625.5$.

EXAMPLE 596 N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(dimethylamino)sulfonyl]-N^3,N^3-dipropylisophthalamide (X)

Following the general procedure of EXAMPLE 593 and making non-critical variations but using 3-[(dimethylamino)sulfonyl]-5-[(dipropylamino)carbonyl]-benzoic acid (XXXIX, PREPARATION 19), the title compound is obtained, MS (ESI+) for $C_{34}H_{46}N_4O_6S$ *m/z* $(M+H)^+ = 639.5$

EXAMPLES 597-619

Following the general procedure of EXAMPLEs 589 through 592 but starting with (2R,3S)-3-amino-1-[(3-methoxybenzyl)amino]-4-phenyl-2-butanol (VIII, EXAMPLE 175) and using the amide forming agent (IX) of Column A, the Substituted Amine (X) of Column B is obtained.

EX #	Column A Amide Forming Agent (IX)	Column B Substituted Amine (X)	MS Data M − H
597	2-methyl-3-(methylsulfonyl) propanoic acid	N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-methyl-3-(methylsulfonyl) propanamide hydrochloride	449
598	3-(methylsulfonyl)propanoic acid	N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl) amino]propyl}-3-(methylsulfonyl)propanamide hydrochloride	435
599	2-amino-1,3-thiazole-4-carboxylic acid hydrochloride	2-amino-N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-1,3-thiazole-4-carboxamide dihydrochloride	427
600	5-(methylsulfonyl)pentanoic acid	N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(methylsulfonyl)pentanamide hydrochloride	463

EX #	Column A Amide Forming Agent (IX)	Column B Substituted Amine (X)	MS Data M + H
601	4-anilino-4-oxobutanoic acid	N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl) amino]propyl}-N^4-phenylsuccinamide hydrochloride	476
602	(2R)-4-amino-2,3,3-trimethyl-4-oxobutanoic acid	(3R)-N^4-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2,2,3-trimethylbutanediamide hydrochloride	442
603	3-[(dipropylamino)sulfonyl] propanoic acid	N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[(dipropylamino)sulfonyl]-propanamide hydrochloride	520
604	5-(dipropylamino)-5-oxopentanoic acid	N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl) amino]propyl}-N^5,N^5-dipropylpentanediamide hydrochloride	498
605	4-oxo-4-(1-piperidinyl) butanoic acid	N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl) amino]propyl}-4-oxo-4-(1-piperidinyl)butanamide hydrochloride	468
606	4-(dipropylamino)-4-oxobutanoic acid	N~1~-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl) amino]propyl}-N^4,N^4-dipropylsuccinamide hydrochloride	484
607	5-oxo-5-(1-piperidinyl)	N-{(1S,2R)-1-benzyl-2-	482

EX #	Column A Amide Forming Agent (IX)	Column B Substituted Amine (X)	MS Data M + H
	pentanoic acid	hydroxy-3-[(3-methoxybenzyl) amino]propyl}-5-oxo-5-(1-piperidinyl)pentanamide hydrochloride	
608	5-anilino-5-oxopentanoic acid	N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl) amino]propyl}-N^5-phenylpentanediamide hydrochloride	490
609	3,3-dimethyl-4-oxo-4-(1-piperidinyl)butanoic acid	N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl) amino]propyl}-3,3-dimethyl-4-oxo-4-(1-piperidinyl) butanamide hydrochloride	496
610	4-(isopentylsulfonyl) butanoic acid	N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl) amino]propyl}-4-(isopentylsulfonyl) butanamide hydrochloride	505
611	4-(dipropylamino)-2,2-dimethyl-4-oxobutanoic acid	N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl) amino]propyl}-2,2-dimethyl-N^4,N^4-dipropylsuccinamide hydrochloride	512
612	4-[(dipropylamino)sulfonyl] butanoic acid	N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl) amino]propyl}-4-[(dipropylamino)sulfonyl] butanamide hydrochloride	534
613	4-[(methylanilino)sulfonyl] butanoic acid	N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)	540

EX #	Column A Amide Forming Agent (IX)	Column B Substituted Amine (X)	MS Data M + H
		amino]propyl}-4-[(methylanilino)sulfonyl]butanamide hydrochloride	
614	3-[(methylanilino) sulfonyl]propanoic acid	N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl) amino]propyl}-3-[(methylanilino)sulfonyl]propanamide	526
615	Acetic acid	N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl) amino]propyl} acetamide hydrochloride	343
616	3-(isopentylsulfonyl) propanoic acid	N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl) amino]propyl}-3-(isopentylsulfonyl) propanamide hydrochloride	491
617	5-oxo-5-(1-piperidinyl) pentanoic acid	N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-oxo-5-(1-piperidinyl) pentanamide trifluoroacetate	614
618	5-oxo-5-(1-piperidinyl) pentanoic acid	N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl) amino]propyl}-5-oxo-5-(1-piperidinyl)pentanamide trifluoroacetate	578
619	3-[(dipropylamino)sulfonyl] propanoic acid	N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-3-[(dipropylamino)sulfonyl]	652

EX #	Column A Amide Forming Agent (IX)	Column B Substituted Amine (X)	MS Data M + H
		propanamide	

EXAMPLE 620 N^1-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-ethyl-N^3,N^3-dipropylisophthalamide (X)

Diethyl cyanophosphonate (0.132 mL, 0.870 mmol) is added to a mixture of 3-[(dipropylamino)carbonyl]-5-ethylbenzoic acid (IX, PREPARATION 21, 0.200 g, 0.720 mmol), (2R,3S)-3-amino-1-[(3-methoxybenzyl)amino]-4-phenyl-2-butanol (VIII, EXAMPLE 175, 0.216 mg, 0.720 mmol), and triethylamine (0.121 mL, 0.870 mmol) in dichloromethane (3 mL). The mixture was stirred for 1 hour at 20-25 degrees C. Dichloromethane is then removed under reduced pressure. The residue is partitioned between ethyl acetate and water. The organic phase is separated and is washed with saline, dried over anhydrous sodium sulfate, filtered and concentrated. The concentrate is column chouromatographed (silica gel; methanol/dichloromethane, 5/95) to give the title compound, MS (ESI+) for $C_{34}H_{45}N_3O_4$ *m/z* $(M+H)^+$ = 560.4; HOURMS (FAB) calculated for $C_{34}H_{45}N_3O_4$+H = 560.3488, found = 560.3487.

EXAMPLE 621 N^1-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-isobutyl-N^3,N^3-dipropylisophthalamide

Following the general procedure of PREPARATIONS 20 and 21 and making non-critical variations but using isobutylboronic acid, and following the general procedure of EXAMPLE 620 but using the 5-isobutylisophthalic acid (IX), the title compound is obtained, MS (ESI+) for $C_{36}H_{49}N_3O_4$ *m/z* $(M+H)^+$ = 588.6; HRMS (FAB) calculated for $C_{36}H_{49}N_3O_4$ +H_1 = 588.3801, found = 588.3810.

EXAMPLE 622 N^1-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-tert-butyl-N^3,N^3-dipropylisophthalamide

Following the general procedure of PREPARATIONS 20 and 21 and making non-critical variations but using tert-butylboronic acid, and following the general procedure of EXAMPLE 620 but using the tert-butylphthalic acid (IX), the title compound is obtained, MS (ESI+) for $C_{36}H_{49}N_3O_4$ *m/z* $(M+H)^+ = 588.5$; HRMS (FAB) calculated for $C_{36}H_{49}N_3O_4 + H_1 = 588.3801$, found = 588.3791.

EXAMPLE 623 N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-cyano-N^3-propylisophthalamide (X)

Following the general procedure of EXAMPLE 586 and making non-critical variations, but using *tert*-butyl (2R,3S)-3-({3-cyano-5-[(propylamino)carbonyl]benzoyl}amino)-2-hydroxy-4-phenylbutyl(3-methoxybenzyl)carbamate (XXXVI) the title compound is obtained, M + H = 515.1.

EXAMPLES 624-628

Following the general procedure of EXAMPLE 587 and making non-critical variations, but using the appropriate amines (VIII) and amide forming agents (IX), for example PREPARATIONS 6 and 19, the titled compounds are obtained.

EXAMPLE	Substituted Amine (X)	M + H =
624	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide	611.0
625	N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dimethyl-N^5,N^5-dipropyl-1,3,5-benzenetricarboxamide	603.0
626	N^1-[(1S,2R)-3-amino-1-benzyl-2-hydroxypropyl]-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide	455.1
627	N^1-[(1S,2R)-1-benzyl-2-hydroxy-3-(isopentylamino)propyl]-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide	525.6
628	N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3-propyl-1,3,5-benzenetricarboxamide	533.1

EXAMPLE 629 *N*-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[butyryl(propyl)amino]-5-methylbenzamide (X)

Following the procedure of EXAMPLE 570 and making non-critical variations, diethyl cyanophosphonate (0.0760 mL, 0.550 mmol) is added to a mixture of 3-[butyryl(propyl)amino]-5-methylbenzoic acid (IX, 0.120 g, 0.460 mmol), (2R,3S)-3-amino-1-[(3-methoxybenzyl)amino]-4-phenyl-2-butanol (VIII, 0.137 g, 0.460 mmol), and triethylamine (0.0760 mL, 0.550 mmol) in dichloromethane (5 mL). The mixture is stirred for 1 hour at 20-25 degrees C. Dichloromethane is then removed under reduced pressure. The residue is partitioned between ethyl acetate and water. The organic is separated, is washed with saline, dried over anhydrous sodium sulfate, filtered and concentrated. The concentrate is column chromatographed (silica gel; methanol/dichloromethane, 5/95) to give the title compound, NMR (400 MHz, $CDCl_3$) δ 7.09, 4.15, 3.80, 3.79, 3.60, 3.02, 2.84, 2.36, 1.94, 1.56, 1.49, 0.87 and 0.81;. MS (ESI+) for $C_{33}H_{43}N_3O_4$ *m/z* $(M+H)^+$ = 546.3; HRMS (FAB) calculated for $C_{33}H_{43}N_3O_4$+H = 546.3331, found = 546.3331.

EXAMPLE 630 N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-1-propyl-1H-indole-6-carboxamide (X)

Following the general procedure of EXAMPLE 539 and making non-critical variations, the title compound is obtained, IR (diffuse reflectance) 3330, 3314, 2960, 2952, 2931, 2873, 1621, 1599, 1525, 1499, 1467, 1353, 1283, 1253 and 786 cm^{-1}.

EXAMPLE 631 N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-1-propyl-1H-indole-6-carboxamide (X)

Following the general procedure of EXAMPLE 539 and making non-critical variations, the title compound is obtained, IR (diffuse reflectance) 3289, 1627, 1621, 1595, 1531, 1525, 1520, 1507, 1466, 1458, 1450, 1349, 1317, 1252 and 1117 cm^{-1}.

EXAMPLEs 633-708

Following the general procedures of CHART A as well as PREPARATIONs 1-13, EXAMPLEs 1-13, 321-48-579, 597-622 and 624-631 and making non-critical variations and using the appropriate reagents, the substituted amines (X) of EXAMPLES 633-708 are obtained.

EXAMPLE	Substituted Amine (X)	MH^+ =
633	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3,4-dimethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide	580
634	N^1-[(1S,2R)-3-[(3-aminobenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide	567
635	N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}octanamide	559
636	N^3-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({1-methyl-1-[3-(trifluoromethyl)phenyl]ethyl}amino)propyl]-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide	635
637	N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({1-methyl-1-[3-(trifluoromethyl)phenyl]ethyl}amino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide	648
638	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1R,2S)-2-hydroxy-2,3-dihydro-1H-inden-1-yl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide	594
639	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(1R)-2,3-dihydro-1H-inden-1-ylamino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide	578
640	N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-3-methylbenzamide	551
641	N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(1H-isoindol-3-ylamino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide	577
642	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1R,2S,5R)-2-isopropyl-5-	600

EXAMPLE	Substituted Amine (X)	MH^+ =
	methylcyclohexyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide	
643	N^1,N^1-diallyl-5-chloro-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl}isophthalamide	597
644	5-chloro-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl}-N^3,N^3-bis(2-methoxyethyl)isophthalamide	633
645	N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-phenylcyclopentyl)amino]propyl}-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide	593
646	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide	580
647	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[3-(dimethylamino)benzyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide	595
648	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(4,5-dimethyl-2-furyl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide	570
649	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-phenylcyclopentyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide	606
650	N^1-[(1S,2R)-3-(cyclopropylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide	502
651	N^1-[(1S,2R)-3-[(cyclopropylmethyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide	516
652	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-N^5,N^5-dipropylpentanediamide	630
653	N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(2-furylmethyl)amino]-2-hydroxypropyl}-N^5,N^5-dipropyl-3,5-	529

EXAMPLE	Substituted Amine (X)	MH^+ =
	pyridinedicarboxamide	
654	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(tetrahydro-2-furanylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide	546
655	N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-phenylcyclopropyl)amino]propyl}-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide	565
656	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-oxo-3-azepanyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide	573
657	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(3-methyl-2-furyl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide	556
658	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2S)-tetrahydro-2-furanylmethyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide	546
659	5-chloro-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl}-N^3,N^3-di(2-propynyl)isophthalamide	593
660	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropenylbenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide	592
661	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-propoxyethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide	548
662	N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-3-(hexylamino)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide	546
663	N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-(3-methyl-5-oxo-4,5-dihydro-1H-pyrazol-1-yl)benzamide	633
664	methyl 4-({[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-	610

EXAMPLE	Substituted Amine (X)	MH^+ =
	hydroxybutyl]amino}methyl)benzoate	
665	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-methoxyethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide	520
666	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(5-isoxazolylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide	543
667	(1R,2R)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-N^2,N^2-dipropyl-1,2-cyclopropanedicarboxamide	628
668	N^3-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2S)-tetrahydro-2-furanylmethyl]amino}propyl)-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide	533
669	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide	582
670	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropylbenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide	594
671	4-(butyrylamino)-N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}benzamide	622
672	N^1-[(1S,2R)-3-[(3-amino-3-oxopropyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide	533
673	N^3-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide 1-oxide	555
674	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-ethynyl-N^3,N^3-dipropylisophthalamide	688
675	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(7-oxabicyclo[2.2.1]hept-2-ylmethyl)amino]propyl}-5-	572

EXAMPLE	Substituted Amine (X)	MH^+ =
	methyl-N^3,N^3-dipropylisophthalamide	
676	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethynylbenzyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide	576
677	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2-methyl-1,3-thiazol-5-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide	573
678	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(2-ethyl-1,3-thiazol-5-yl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide	587
679	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(3R)-2-oxoazepanyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide	573
680	N^1-[(1S,2R)-3-(cyclobutylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide	516
681	N^1-[(1S,2R)-3-(butylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-ethynyl-N^3,N^3-dipropylisophthalamide	528
682	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-ethynyl-N^3,N^3-dipropylisophthalamide	590
683	N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-3-(5-hexynylamino)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide	542
684	N^5-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(5-methyl-2-furyl)methyl]amino}propyl)-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide	543
685	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl}-N^5,N^5-dipropylpentanediamide	532
686	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[1-(2-furyl)-1-methylethyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide	570
687	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(3-	599

EXAMPLE	Substituted Amine (X)	MH^+ =
	isobutyl-5-isoxazolyl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide	
688	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2-isobutyl-1,3-thiazol-5-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide	615
689	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(dipropylamino)sulfonyl]propanamide	554
690	N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-phenylethyl)amino]propyl}-N^3,N^3-dipropylisophthalamide	516
691	N^1-((1S,2R)-1-benzyl-3-{[2-(2-chlorophenyl)ethyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide	551
692	N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[3-(2-oxo-1-pyrrolidinyl)propyl]amino}propyl)-N^3,N^3-dipropylisophthalamide	537
693	N^1-{(1S,2R)-1-benzyl-3-[(cyclohexylmethyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide	508
694	N^1-[(1S,2R)-1-benzyl-3-(cyclopropylamino)-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide	452
695	N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-oxo-3-azepanyl)amino]propyl}-N^3,N^3-dipropylisophthalamide	523
696	N-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-3-(butylsulfonyl)benzamide	531
697	N^1-[(1S,2R)-1-benzyl-3-({2-[(2-ethylhexyl)oxy]ethyl}amino)-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide	568
698	N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[(1S,2R)-2-hydroxy-2,3-dihydro-1H-inden-1-yl]amino}propyl)-N^3,N^3-dipropylisophthalamide	544
699	N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[1-(4-hydroxyphenyl)ethyl]amino}propyl)-N^3,N^3-	532

EXAMPLE	Substituted Amine (X)	MH^+ =
	dipropylisophthalamide	
700	N^1-[(1S,2R)-1-benzyl-3-(cycloheptylamino)-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide	508
701	N^1-{(1S,2R)-1-benzyl-3-[([1,1'-biphenyl]-2-ylmethyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide	578
702	N^1-{(1S,2R)-1-benzyl-3-[(2-fluorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide	520
703	N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-(dimethylamino)benzamide	484
704	N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-1-naphthamide	491
705	N^1-[(1S,2R)-1-benzyl-3-({2-[({5-[(dimethylamino)methyl]-2-furyl}methyl)sulfanyl]ethyl}amino)-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide	609
706	N^1-[(1S,2R)-1-benzyl-3-({2-[(2-chloro-6-fluorobenzyl)sulfanyl]ethyl}amino)-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide	615
707	N^1-[(1S,2R)-3-[([1,1'-biphenyl]-4-ylmethyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide	628
708	N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(1-naphthylamino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide	588

EXAMPLEs 709-737

Following the general procedure of CHART D and EXAMPLEs 165-169 and making non-critical variations and using the appropriate reagents, the substituted amines (X) of EXAMPLES 709-737 are obtained.

EXAMPLE	Substituted Amine (X)	MH^+
709	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1H-imidazol-5-ylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide	542
710	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2-phenyl-1H-imidazol-5-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide	618
711	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1-methyl-1H-imidazol-2-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide	556
712	N^1-[(1S,2R)-3-{[(2-butyl-4-chloro-1H-imidazol-5-yl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide	633
713	N^1-[(1S,2R)-3-{[(6-chloroimidazo[2,1-b][1,3]thiazol-5-yl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide	633
714	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1-methyl-1H-benzimidazol-2-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide	606
715	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2-hydroxy-1-naphthyl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide	618
716	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(4-oxo-4H-chouromen-3-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide	620
717	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(1,5-dimethyl-3-oxo-2-phenyl-2,3-dihydro-1H-pyrazol-4-yl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide	662
718	N^1-[(1S,2R)-3-({[5-cyano-6-(methylsulfanyl)-2-pyridinyl]methyl}amino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide	624
719	[5-({[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-	614

EXAMPLE	Substituted Amine (X)	MH^+
	hydroxybutyl]amino}methyl)-2-furyl]methyl acetate	
720	N^1-[(1S,2R)-3-[(1-benzofuran-3-ylmethyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide	592
721	methyl 4-({[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}methyl)-1-methyl-1H-pyrrole-2-carboxylate	613
722	N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({[1-(phenylsulfonyl)-1H-pyrrol-2-yl]methyl}amino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide	681
723	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1-methyl-1H-pyrrol-2-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide	555
724	N^1-[(1S,2R)-3-{[(4-chloro-1-methyl-1H-pyrazol-3-yl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide	591
725	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(3,5-dimethyl-1-phenyl-1H-pyrazol-4-yl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide	646
726	N^1-[(1S,2R)-3-{[(5-chloro-3-methyl-1-phenyl-1H-pyrazol-4-yl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide	667
727	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(3-phenyl-1H-pyrazol-4-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide	618
728	N^1-[(1S,2R)-3-{[(5-chloro-2-thienyl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide	593
729	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(3-phenoxy-2-thienyl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide	650

EXAMPLE	Substituted Amine (X)	MH^+
730	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-quinolinylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide	603
731	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-quinolinylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide	603
732	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1-methyl-1H-indol-2-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide	605
733	N^1-[(1S,2R)-3-{[(1-benzyl-1H-indol-3-yl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide	681
734	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1-methyl-1H-indol-3-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide	605
735	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[({1-[(4-methylphenyl)sulfonyl]-1H-indol-3-yl}methyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide	745
736	N^1-[(1S,2R)-3-{[(2-butyl-1H-imidazol-5-yl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide	598
737	methyl 3-({[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}methyl)-1H-indole-6-carboxylate	649

EXAMPLE 738 3-[({(1S,2R)-1-benzyl-2-hydroxy-3-{(3-methoxybenzyl)amino]propyl}amino)carbonyl]-5-[butyl(butyryl)amino]benzyl diethyl phosphate (X)

Following the general procedure of CHART L and EXAMPLE 620 and making non-critical variations, the title compound is obained, HRMS (FAB) = 712.3749.

EXAMPLE 739 N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(cyanomethyl)-N^3,N^3-dipropylisophthalamide (X)

Step 1. A mixture of diethyl 1,3,5-benzenetricarboxylate (5.2 g) and borane methylsulfide complex (6.1 g) is stirred in THF (150 mL) at 20-25 degrees C overnight. The mixture is then treated with methanol, concentrated to dryness, and chouromatographed (silica gel) to give diethyl 5-(hydroxymethyl)isophthalate. Diethyl 5-(hydroxymethyl)isophthalate (3.4 g) is hydroyzed in ethanol and water with lithium hydroxide monohydrate (0.57 g) at 20-25 degrees C for 3.5 hours at which time the solvents are removed under reduced pressure. Water (100 mL) is added and the mixture is acidified to pH = 4 with concentrated hydrochloric acid. The mixture is extracted with ethyl acetate and dried over magnesium sulfate, filtered, and concentrated to give 3-(ethoxycarbonyl)-5-(hydroxymethyl)benzoic acid, high resolution MS MH+ = 225.0769. 3-(Ethoxycarbonyl)-5-(hydroxymethyl)benzoic acid (2.3 g), EDC (3.0 g), 1-HOBT (2.1 g), diisopropylethylamine (2.7 mL), dipropyl amine (2.8 mL), and DMF (50 mL) are stirred at 20-25 degrees C overnight. The mixture is then partitioned between ethyl acetate, water, and saline. The organic phase is separated and dried over magnesium sulfate, filtered, and concentrated. Chromatography (silica gel) gives ethyl 3-[(dipropylamino)carbonyl]-5-(hydroxymethyl)benzoate, NMR ($CDCl_3$) δ 0.77, 1.0, 1.4, 1.6, 1.7, 3.2, 3.5, 4.4, 4.8, 7.6, 8.0 and 8.1.

Step 2. A mixture of ethyl 3-[(dipropylamino)carbonyl]-5-(hydroxymethyl)benzoate (1.5 g) and phosphorous tribromide (0.95 mL) is stirred in dichloromethane (10 mL) and heated at 50 degrees C for 4 hours and then cooled and partitioned between dichloromethane and water. The organic phase is separated and washed with aqueous sodium bicarbonate and then dried over magnesium sulfate and taken to dryness to give ethyl 3-(bromomethyl)-5-[(dipropylamino)carbonyl]benzoate, high resolution MS MH+ = 370.1020. Ethyl 3-(bromomethyl)-5-[(dipropylamino)carbonyl]benzoate (1.4 g) and sodium cyanide (0.2 g) are stirred in dry DMSO (25 mL) at 20-25 degrees C for 3.5 hours and the mixture is then partitioned between ethyl acetate, water and saline. The organic layer is separated and dried over magnesium sulfate and taken to dryness under

reduced pressure to give ethyl 3-(cyanomethyl)-5-[(dipropylamino)carbonyl]benzoate. Ethyl 3-(cyanomethyl)-5-[(dipropylamino)carbonyl]benzoate (0.6 g) is hydrolyzed with lithium hydroxide monohydrate (0.1 g) in ethanol and water at 20-25 degrees C overnight and then added to water (50 mL). The pH is adjusted to 4 using concentrated hydrochloric acid and the mixture is partitioned between ethyl acetate, water, and saline. The organic phase is separated and dried over magnesium sulfate and taken to dryness under reduced pressure to give 3-(cyanomethyl)-5-[(dipropylamino)carbonyl]benzoic acid, MS M+H = 287.2.

Step 3. A mixture of 3-(cyanomethyl)-5-[(dipropylamino)carbonyl]benzoic acid (IX, 0.13 g), (2R,3S)-3-amino-1-[(3-methoxybenzyl)amino]-4-phenyl-2-butanol (VIII, 0.14 g), HATU (0.17 g), and dichloromethane (10 mL) is stirred at 40 degrees C overnight. After cooling, the mixture is washed with water and the organic phase is separated and dried over magnesium sulfate and taken to dryness under reduced pressure. Chromatography (silica gel) gives the title compound, M + H = 571.2

EXAMPLE 740 N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(hydroxymethyl)-N^3,N^3-dipropylisophthalamide (X)

Following the procedure of CHART P and EXAMPLE 739 and making non-critical variations but using 3-[(dipropylamino)carbonyl]-5-(hydroxymethyl)benzoic acid (IX) and (2R,3S)-3-amino-1-[(3-methoxybenzyl)amino]-4-phenyl-2-butanol (VIII), the title compound is obtained, HRMS (FAB) = 615.3571.

EXAMPLE 741 N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-ethynyl-N^3,N^3-dipropylisophthalamide (X)

Step 1: A mixture of methyl 3-bromo-5-[(dipropylamino)carbonyl]benzoate (XXI, 200 mg, 0.58 mmol), $PdCl_2(Ph_3P)_2$ (16 mg, 0.03 mol %) and copper (I) iodide (6 mg, 0.05 mol %) in triethylamine (1.2 mL) is heated to reflux. (Trimethylsilyl) acetylene (100 microliter, 0.7 mmol) is added, and the mixture stirred for 3 hours, cooled to 20-25 degrees, diluted with water (20 mL), and extracted with chloroform (3 x 15 mL). The combined organic extracts are washed with saline (20 mL), dried

over sodium sulfate and concentrated under reduced pressure to give methyl 3-[(dipropylamino)carbonyl]-5-ethynylbenzoate (XXXII, 185.5 mg), NMR (300 MHz, $CDCl_3$): δ 7.95, 7.75, 7.43, 3.74, 3.25, 2.95, 1.49, 1.34, 0.79, 0.56 and 0.06.

Step 2: To a stirred mixture of the protected methyl 3-[(dipropylamino)carbonyl]-5-ethynylbenzoate (XXXII, Step 1, 185.3 mg, 0.49.. mmol) in methanol (2.5 mL) is added a mixture of potassium hydroxide (2.9 mL of a 1 M mixture in water, 2.9 mmol). The reaction mixture is stirred for 4 hours diluted with chloroform (40 mL), the phases are separated and the organic phase is concentrated under reduced pressure to give 3-[(dipropylamino)carbonyl]-5-ethynylbenzoic acid, NMR (300 MHz, $CDCl_3$): δ 8.22, 8.05, 7.71, 3.48, 3.17, 3.16, 1.71, 1.55, 1.00 and 0.78.

Step 3: To a stirred mixture of 3-[(dipropylamino)carbonyl]-5-ethynylbenzoic acid (70 mg, 0.24 mmol) in DMF (2.5 mL) is added (2R,3S)-3-amino-1-[(3-methoxybenzyl)amino]-4-phenyl-2-butanol dihydrochloride (VIII, 81 mg, 0.24 mmol), HOBt (36 mg, 0.26 mmol) and diisopropylethylamine (170 microliter, 0.96 mmol). To this reaction mixture is added EDC (51mg, 0.26 mmol) and the reaction mixture is stirred overnight. The reaction mixture is diluted with ethyl acetate (30 mL), washed with water (3 x 50 mL), hydrochloric acid (1 N, 30 mL), saturated sodium bicarbonate (30 mL), saline (30 mL), dried over sodium sulfate and concentrated under reduced pressure. Purification by flash chromatography (silica, ethyl acetate to methanol/chloroform, 1/10) gives the title compound, IR (KBr): 3276, 2956, 2921, 1610, 1450 and 1264 cm^{-1}; ESI-MS (*m/z*) $[M + H]^+ = 556$.

EXAMPLE 742 N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-N^3,N^3-dipropyl-5-prop-1-ynylisophthalamide (X)

Following the general procedure of EXAMPLE 741 and making non-critical variations but using propyne in place of (trimethylsilyl) acetylene and using (2R,3S)-3-amino-1-[(3-iodobenzyl)amino]-4-phenyl-2-butanol dihydrochloride (VIII) in place of (2R,3S)-3-amino-1-[(3-methoxybenzyl)amino]-4-phenyl-2-butanol dihydrochloride (VIII), the title compound is obtained, IR (ATR): 3305, 2930, 2872, 1613 and 1537 cm^{-1}; ESI-MS (*m/z*) $[M+H]^+ = 666$.

EXAMPLE 743 N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[3-(trifluoromethyl)benzyl]amino}propyl)-5-ethynyl-N^3,N^3-dipropylisophthalamide (X)

Step 1: A mixture of tert-butyl (1S)-1-[(2S)-oxiranyl]-2-phenylethylcarbamate (V, 2.3 g, 8.7 mmol) and 3-(trifluoromethyl)benzylamine (VI, 1.9 mL, 13.1 mmol) in 2-propanol (70 mL) is heated at reflux for 4 hours. The reaction mixture is cooled to 20-25 degrees and concentrated under reduced pressure to give tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[3-(trifluoromethyl)benzyl]amino}propylcarbamate (VII, 3.1 g) as a solid, ESI-MS (*m/z*) $[M + H]^+ = 439$.

Step 2: A mixture of tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[3-(trifluoromethyl)benzyl]amino}propylcarbamate (VII, step 1, 2.5 g, 5.7 mmol) and hydrochloric acid (29 mL of a 4.0 M mixture in dioxane, 114 mmol) is stirred at 20-25 degrees. A precipitate forms and is collected by filtration, washed with ether, and dried under reduced pressure to give (2R,3S)-3-amino-4-phenyl-1-{[3-(trifluoromethyl)benzyl]amino}-2-butanol dihydrochloride (VIII, 2.13 g), ESI-MS (*m/z*) $[M +]^+ = 339$.

Step 3: A mixture of 3-[(dipropylamino)carbonyl]-5-ethynylbenzoic acid (IX, 231 mg, 0.8 mmol), (2R,3S)-3-amino-4-phenyl-1-{[3-(trifluoromethyl)benzyl]amino}-2-butanol dihydrochloride (VIII, Step 2, 493.5 mg, 1.2 mmol) HOBt (162 mg, 1.2 mmol), and diisopropylethylamine (832 Micro Liter, 4.8 mmol) is stirred in methylene chloride (4 mL) for 15 minutes EDC (206 mg, 1.2 mmol) is added and the reaction mixture is stirred overnight. The reaction mixture is diluted with water, and extracted with methylene chloride (3 x 25 mL). The organic phase is washed with hydrochloric acid (1N, 25 mL), saturated sodium bicarbonate (25 mL), saline dried over sodium sulfate and concentrated under reduced pressure. Purification by flash column chromatography (silica, 100% ethyl acetate to methanol/chloroform, 1/9) gives title compound, IR (ATR): 3302, 2963, 2932 and 1615 cm^{-1}; MS (*m/z*) $[M + H]^+ = 549$.

EXAMPLE 744 N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-ethynyl-N^3,N^3-dipropylisophthalamide (X)

Following the general procedure of EXAMPLE 744 and making non-critical variations but using 3-iodobenzylamine hydrochloride salt (VI), the title compound is obtained, IR (ATR) 3295, 2960, 2927 and 1616 cm^{-1}, APCI-MS (*m/z*) $[M + H]^+$ = 652.

EXAMPLE 745 N^1-{(1S,2R)-1-benzyl-3-[(3-fluorobenzyl)amino]-2-hydroxypropyl}-5-ethynyl-N^3,N^3-dipropylisophthalamide (X)

Following the general procedure of EXAMPLE 744 and making non-critical variations but using 3-fluorobenzylamine (VI), the title compound is obtained, IR (ATR): 3217, 2961, 2918 and 1615 cm^{-1}; APCI-MS (*m/z*) $[M + H]^+$ = 544.

EXAMPLE 746 N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-5-(8-quinolinyl)isophthalamide (X)

Step 1: A mixture of methyl-3-bromo-5-[(dipropylamino)carbonyl]benzoate (XLVIII, 200 mg, 0.58 mmol), 8-quinolineboronic acid (200.6 mg, 1.2 mmol), sodium carbonate (870 Micro Liter of a 2 M mixture in water, 1.74 mmol) in toluene (6 mL) is degassed under reduced pressure for 15 minutes and purged with argon. Palladium tetrakis(triphenylphosphine) (139 mg, 0.12 mmol) is added and the reaction mixture is degassed under reduced pressure for 15 minutes and purged with argon. The reaction mixture is heated at reflux overnight, cooled to 20-25 degrees C and diluted with chloroform. The organic phase is separated and washed with water (3 x 50 mL), and saline, dried over sodium sulfate and concentrated under reduced pressure. Purification by flash column chromatography (silica, ethyl acetate/hexanes, 1.3/1) gives methyl 3-[(dipropylamino)carbonyl]-5-(8-quinolinyl)benzoate (XLIX, 176 mg), NMR (300 MHz, $CDCl_3$): delta 8.91, 8.42, 8.21, 8.09, 7.95, 7.86, 7.77, 7.64, 3.94, 3.49, 3.34, 1.64, 0.99 and 0.84.

Step 2: To a mixture of methyl 3-[(dipropylamino)carbonyl]-5-(8-quinolinyl)benzoate (XLIX, step 1, 175.5 mg, 0.45 mmol) in methanol (2 mL) is added lithium hydroxide (32.3 mg, 1.4 mmol) and water (500 microliter). After stirring overnight, the reaction mixture is partitioned between ethyl acetate (10 mL) and water (10 mL). The aqueous phase is separated and acidified with hydrochloric acid (1N), and extracted with chloroform (3 x 40 mL). The organic phase is washed with saline, dried (sodium sulfate) and concentrated under reduced pressure to give

3-[(dipropylamino)carbonyl]-5-(8-quinolinyl)benzoic acid (IX – L, 130 mg), NMR (300 MHz, CD_3OD) δ 8.84, 8.39, 8.35, 8.05, 7.96, 7.90, 7.87, 7.79, 7.68, 3.50, 3.37, 1.76-1.61, 0.99 and 0.84.

Step 3: A mixture of 3-[(dipropylamino)carbonyl]-5-(8-quinolinyl)benzoic acid (IX – L, Step 2, 130 mg, 0.35 mmol), (2R,3S)-3-amino-1-[(3-methoxybenzyl)amino]-4-phenyl-2-butanol dihydrochloride (VIII, 117 mg, 0.35 mmol), HOBt (70 mg, 0.52 mmol) and diisopropylethylamine (241 microliter, 1.4 mmol) in methylene chloride (2 mL) is stirred for 15 minutes EDC (89 mg, 0.52 mmol) is added and the reaction mixture is stirred overnight. The reaction mixture is diluted with water and extracted with methylene chloride (3 x 25 mL). The organic phase is washed with hydrochloric acid (1N, 25 mL), saturated sodium bicarbonate (25 mL), saline, dried (sodium sulfate), and concentrated under reduced pressure. Purification by flash column chromatography (silica; methanol/chloroform, 1/9) gives the title compound, IR (NaCl): 3301, 2916, 2365 and 1613 cm^{-1}; APCI-MS (*m/z*) $[M+H]^+ = 659$.

EXAMPLE 747 N^3-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4'-methoxy-N^5,N^5-dipropyl[1,1'-biphenyl]-3,5-dicarboxamide hydrochloride (X)

Step 1: A mixture of 4-methoxyphenyl boronic acid (463 mg, 3.05 mmol), 3-bromo-5-[(dipropylamino)carbonyl]benzoic acid (XLVIII, 1.02 g, 3.05 mmol), and potassium phosphate (1.29 g, 6.10 mmol) in 1,2-dimethoxyethane (10 mL) and water (5 mL) is degassed with argon for 15 minutes Bis(triphenylphosphine)palladium (II) chloride (21 mg, 0.03 mmol) is added, the reaction mixture is degassed again with argon, and heated at 85 degrees C overnight. The reaction mixture is cooled to 20-25 degrees C, and passed through a plug of diatomaceous earth.

The filtrate is acidified to pH = 4 with hydrochloric acid (1N) and extracted with ethyl acetate. The organic phase is washed with water and saline and dried (magnesium sulfate). The product is purified by flash column chromatography (silica gel; ethyl acetate/acetic acid, 99/1) to give 5-[(dipropylamino)carbonyl]-4'-methoxy[1,1'-biphenyl]-3-carboxylic acid (IX - L, 667 mg), ESI-MS (*m/z*) $[M+H]^+ = 356$.

Step 2: A mixture of 5-[(dipropylamino)carbonyl]-4'-methoxy[1,1'-biphenyl]-3-carboxylic acid (IX - L, step 1, 316 mg, 0.89 mmol), (2R,3S)-3-amino-1-[(3-methoxybenzyl)amino]-4-phenyl-2-butanol dihydrochloride (VIII, 332 mg, 0.89 mmol), HOBt (181 mg, 1.34 mmol), and *N*-methylmorpholine (0.37 g, 3.56 mmol) in methylene chloride (8 mL) and dimethylformamide (2 mL) is stirred at 20-25 degrees for 15 minutes EDC (257 mg, 1.34 mmol) is added and the reaction mixture is stirred for 4.5 hours. The reaction mixture is partitioned between methylene chloride and water. The organic phase is washed with hydrochloric acid (1N) , water, and saline, dried (magnesium sulfate), and concentrated. The concentrate is dissolved in a minimum of methanol, treated with hydrochloric acid (3 mL of a 1.0 M mixture in ether, 3 mmol), and stirred for 10 minutes. More ether is added to precipitate the rest of the product. The precipitate is collected by filtration and dried in the vacuum oven at 50 degrees C to give the title compound, mp = 205-209 degrees C; IR (ATR): 2964 and 1649 cm^{-1}; APCI-MS (*m/z*) $[M + H]^+$ = 638.

EXAMPLE 748 N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^5,N^5-dipropyl[1,1'-biphenyl]-3,5-dicarboxamide hydrochloride (X)

Step 1: A mixture of tert-butyl (1S)-2-(3,5-difluorophenyl)-1-[(2S)-oxiranyl]ethylcarbamate (V, 500 mg, 1.67 mmol) and 3-methoxybenzylamine (VI, 0.34g, 2.51 mmol) in 2-propanol (3 mL) is heated at reflux overnight, allowed to cool to 20-25 degrees C, and concentrated under reduced pressure. The residue is crystallized from ethyl acetate/hexanes and collected by filtration to afford tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propylcarbamate (VII, 575 mg) as a solid: ESI-MS (*m/z*): 437 $[M + H]^+$.

Step 2: A mixture of tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propylcarbamate (VII, Step 1, 535 mg, 1.23 mmol) in methanol (2 mL) is treated with hydrochloric acid (3.2 mL of a 1.0 M mixture in ether, 3.2 mmol), and stirred at 20-25 degrees C for 30 minutes Ether is added until a precipitate formed. The precipitate is collected by filtration is (2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3-methoxybenzyl)amino]-2-butanol dihydrochloride (VIII).

Step 3: A mixture of 5-[(dipropylamino)carbonyl][1,1'-biphenyl]-3-carboxylic acid (IX, 188 mg, 0.56 mmol), (2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3-methoxybenzyl)amino]-2-butanol dihydrochloride (VIII, Step 2, 230 mg, 0.56 mmol), HOBt (114 mg, 0.84 mmol), and *N*-methylmorpholine (0.23 g, 2.24 mmol) in methylene chloride (6 mL) and dimethylformamide (1 mL) is stirred at 20-25 degrees C for 15 minutes EDC (161 mg, 0.84 mmol) is added and the reaction mixture is stirred at 20-25 degrees C overnight. The reaction mixture is washed with water, 1 N hydrochloric acid, water, and saline, dried (sodium sulfate), and concentrated under reduced pressure to give the title compound, mp 230-233degrees C; IR (ATR): 2965, 1651, 1596 and 1267 cm^{1}; ESI-MS (*m/z*) $[M + H]^+ = 644$.

EXAMPLE 749 N^3-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^5,N^5-dipropyl[1,1'-biphenyl]-3,5-dicarboxamide hydrochloride (X)

Following the general procedure of EXAMPLE 748 and making non-critical variations but using (2R,3S)-3-amino-1-[(3-methoxybenzyl)amino]-4-phenyl-2-butanol dihydrochloride (VIII) in place of (2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3-methoxybenzyl)amino]-2-butanol dihydrochloride (VIII), the title compound is obtained, mp = 214-219 degrees C; IR (KBr): 3227, 2961, 1632 and 1605 cm^{-1}; ESI-MS (*m/z*) $[M + H]^+ = 608$.

EXAMPLE 750 N^3-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4'-[(dimethylamino)sulfonyl]-N^5,N^5-dipropyl-1,1'-biphenyl-3,5-dicarboxamide (X)

Step 1: A flask is charged with 1,1'-bis(diphenylphosphino)ferrocene-dichloropalladium 1:1 complex (37 mg, 0.05 mmol), potassium acetate (492 mg, 4.5 mmol) and bis(pinacolato)diboron (408 mg, 1.6 mmol) and is degassed under reduced pressure for 15 min and purged with argon. To this mixture is added a mixture of methyl-3-bromo-5-[(dipropylamino)carbonyl]benzoate (XXI, 500 mg, 1.5 mmol) in anhydrous dimethyl sulfoxide (9 mL) and the reaction mixture is stirred at 80 degrees C for 4 hours. The reaction mixture is cooled to 20-25 degrees C, diluted with toluene (50 mL), washed with water (3 x 150 mL), saline, dried (magnesium sulfate), and concentrated under reduced pressure to give methyl 3-[(dipropylamino)carbonyl]-5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)benzoate, ESI-MS (*m/z*) $[M + H]^+ = 390$.

at 20-25 degrees C overnight. The reaction mixture is diluted with ether, washed with saline, dried over magnesium sulfate and concentrated under reduced pressure to give methyl 3-[(dipropylamino)carbonyl]-5-(3-thienyl)benzoate (XLIX) which is purified by flash chromatography (silica; ethyl acetate/hexanes, 1/1), ESI-MS (*m/z*) $[M + H]^+ = 346$.

Step 4: A mixture of methyl 3-[(dipropylamino)carbonyl]-5-(3-thienyl)benzoate (XLIX, step 3, 0.31 g, 0.88 mmol) in THF/methanol/sodium hydroxide (3/1/1, 5 mL) is stirred at 40 degrees C for 2 hours. The reaction is cooled to 20-25 degrees C, diluted with water and extracted with ethyl acetate. The aqueous phase is acidified to pH = 4 and extracted with ethyl acetate. The organic phase is washed with water and saline, dried over magnesium sulfate and concentrated under reduced pressure to give 3-[(dipropylamino)carbonyl]-5-(3-thienyl)benzoic acid (IX – L), ESI-MS (*m/z*) $[M + H]^+ = 332$.

Step 5: A mixture of 3-[(dipropylamino)carbonyl]-5-(3-thienyl)benzoic acid (IX – L, step 4, 0.26 g, 0.79 mmol), (2R,3S)-3-amino-1-[(3-methoxybenzyl)amino]-4-phenyl-2-butanol dihydrochloride (VIII, 0.26 g, 0.71 mmol), HOBt (0.16 g, 1.18 mmol), and triethylamine (0.44 mL, 3.15 mmol) in DMF (4 mL) is stirred at 20-25 degrees C for 10 minutes EDC (0.23 g, 1.18 mmol) is added and the reaction mixture is stirred for 4 hours. The reaction mixture is diluted with water and extracted with ethyl acetate. The organic phase is washed with hydrochloric acid (1 N), water, and saline, dried over magnesium sulfate and concentrated under reduced pressure. Recrystallization (methylene chloride/hexanes, 1/1) gives the title compound, mp = 199-201 degrees C; IR (KBr): 3278, 2961, 2874 and 2837 cm^{-1}; ESI-MS (*m/z*) $[M + H]^+ = 614$.

EXAMPLE 753 N-{(1R,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-methyl-5-pentanoylbenzamide (X)

Step 1: To an ice-cold, stirred mixture of oxalyl chloride (733 mg, 5.77 mmol) in methylene chlor0ide (5 mL) is added 3 drops of dimethylformamide. After 10 minutes 3-(methoxycarbonyl)-5-methylbenzoic acid (LXXIII, 560 mg, 2.89 mmol) is added. The reaction mixture is stirred for 1 hour and concentrated under reduced pressure to provide an acid chloride (LXXIV), which is used without further purification.

Step 2: To a -78 degrees C, stirred mixture of acid halide (LXXIV, step 1, 612 mg, 2.89 mmol) and copper (I) bromide (415 mg, 2.89 mmol) in tetrahydrofuran (5 mL) is added butyl magnesium chloride (1.44 mL of a 2.0 M mixture in tetrahydrofuran, 2.89 mmol). The reaction mixture is warmed to 20-25 degrees C, quenched by addition of saturated ammonium chloride, and diluted with ether. The organic phase is separated, washed with saline, dried (sodium sulfate), filtered, and concentrated under reduced pressure. Purification by flash column chromatography (silica; hexanes/ethyl acetate, 6.5/1) gives methyl 3-methyl-5-pentanoylbenzoate (LXXVI), NMR (300 MHz, CD_3OD): δ 8.43, 8.05, 3.96, 3.01, 1.77, 1.55 and 1.22.

Step 3: A mixture of methyl 3-methyl-5-pentanoylbenzoate (LXXVI, step 2. 133 mg, 0.605 mmol) in methanol (1 mL) is stirred with tetrahydrofuran/methanol/sodium hydroxide (2 N) (3/1/1, 3 mL) for 3 days. The reaction mixture is diluted with ethyl acetate and washed with water. The aqueous phase is separated and acidified with hydrochloric acid (1 N) and extracted with methylene chloride. The organic phase is dried (sodium sulfate), filtered, and concentrated under reduced pressure to give 3-methyl-5-pentanoylbenzoic acid (IX – LXXVII), NMR (300 MHz, CD_3OD): δ 8.44, 8.03, 3.10, 2.33, 1.78, 1.64 and 1.34.

Step 4: To a mixture of 3-methyl-5-pentanoylbenzoic acid (IX - LXXVII, 112 mg, 0.589 mmol), (2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3-methoxybenzyl)amino]-2-butanol dihydrochloride (VIII, 239 mg, 0.589 mmol), HOBt (80 mg, 0.589 mmol), and *N*-methylmorpholine (250 mg, 2.47 mmol) in methylene chloride (3 mL) is added EDC (203 mg, 1.06 mmol). The reaction mixture is stirred overnight and then partitioned between ethyl acetate and water. The organic phase is washed with hydrochloric acid (1 N), saturated sodium bicarbonate, saline, dried (sodium sulfate), filtered, and concentrated under reduced pressure. Purification by flash column chromatography (silica; methylene chloride/methanol, 12/1) gives the title compound, IR (ATR): 3297, 2957, 1687 and 1628 cm^{-1}; APCI-MS (*m/z*) $[M + H]^+ = 539$.

EXAMPLE 754 N^1-(4-hydroxybutyl)-N^3-{(1S)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^1-propylisophthalamide (X)

Step 1: To a mixture of methyl (2S)-3-[4-(benzyloxy)phenyl]-2-(tert-butoxycarbonyl)aminopropanoate (1.79 g, 4.65 mmol) in a THF/methanol/water (1/2/1, 16 ml) is added lithium hydroxide (340 mg, 13.9 mmol) and the mixture stirred at 20-25 degrees C for 12 hours. The mixture is quenched with citric acid (10%). The resulting mixture is extracted with ethyl acetate (3 x 15 ml). The combined organic extracts are washed three times with water, dried over sodium sulfate, filtered, and concentrated under reduced pressure to give (2S)-3-[4-(benzyloxy)phenyl]-2-[(tert-butoxycarbonyl)amino]propanoic acid which is carried on without purification. To a -78 degrees C, stirred mixture of (2S)-3-[4-(benzyloxy)phenyl]-2-[(tert-butoxycarbonyl)amino]propanoic acid (10.0 g, 27.0 mmol) in THF (200 mL) is added NMM (3.20 mL, 29.0 mmol) and isobutyl chloroformate (3.8 mL, 29.0 mmol). The cold bath is removed, the reaction mixture is stirred for 1 hour, and then filtered. The filtrate is kept cold and used in the next step. To an ice-cold, stirred mixture of ether (110 mL) and potassium hydroxide (40%, 35 mL) is slowly added 1-methyl-3-nitro-1-nitrosoguanidine (8.40 g, 57.0 mmol). The reaction mixture is stirred until gas evolution ends. The organic phase is separated and slowly added to an ice-cold, stirred mixture of the mixed anhydride filtrate from step 2. After the reaction mixture is stirred for 1 hour, nitrogen is bubbled into the mixture for 10 minutes The resulting mixture is concentrated under reduced pressure, diluted with ethyl acetate (200 mL), and washed with water (100 mL). The organic phase is washed with saturated sodium bicarbonate and saline, dried over sodium sulfate, filtered, and concentrated under reduced pressure to give the diazoketone, which is carried on without purification or characterization. To an ice-cold, stirred mixture of diazoketone in ether (100 mL) is added hydrobromous acid (48%, 4 mL, 73 mmol). The cold bath is removed, the reaction mixture stirred for 30 minutes, and partitioned between ether and water. The organic phase separated and washed with saturated sodium bicarbonate and saline, dried over sodium sulfate, filtered, and concentrated under reduced pressure to give tert-butyl (1S)-1-[4-(benzyloxy)benzyl]-3-bromo-2-oxopropylcarbamate (IV) which is used without further purification or characterization. To a -78 degrees C, stirred mixture of tert-butyl (1S)-1-[4-(benzyloxy)benzyl]-3-bromo-2-oxopropylcarbamate (IV) in a isopropanol/THF (2/1, 150 mL) is slowly added sodium borohydride (1.15 g, 30.0 mmol). The reaction mixture is stirred for 30 minutes followed by the addition of water (30 mL). The resulting mixture is

warmed to 20-25 degrees C and concentrated under reduced pressure in a water bath not exceeding 30 degrees C. The crude residue is dissolved in ethyl acetate and washed with water and saline. The organic phase is dried over magnesium sulfate, filtered and concentrated under reduced pressure to give the bromohydrin as a solid. To an ice-cold, stirred mixture of bromohydrin in ethanol (150 mL) and ethyl acetate (100 ml) is added a potassium hydroxyde (1 N) ethanol mixture (36 mL, 36 mmol). The cold bath is removed and the reaction mixture is stirred for 30 minutes. The resulting mixture is partitioned between ethyl acetate and water. The organic phase is separated and washed with saline, dried over magnesium sulfate, filtered, and concentrated under reduced pressure. Purification by flash chromatography (silica; hexanes/ethyl acetate, 5/1) gives tert-butyl (1S)-2-[4-(benzyloxy)phenyl]-1-[(2S)-oxiranyl]ethylcarbamate (V, as a 8/1 mixture of diastereomers), NMR (500 MHz, $CDCl_3$) δ 7.44-7.32, 7.14, 6.93, 5.07, 4.45, 3.61, 3.00-2.60 and 1.39.

Step 2: A mixture of 4-benzyloxybutyric acid (2.69 g, 13.8 mmol), propylamine (0.82 g, 13.8 mmol), HOBt (2.05 g, 15.2 mmol), *N*-methylmorpholine (1.68 g, 16.6 mmol) and EDC (2.91 g, 15.2 mmol) in DMF (6 mL) is stirred at 20-25 degrees C for 18 hours. The mixture is diluted with ethyl acetate (40 mL) and washed with water (10 mL), hydrochloric acid (1 N, 10 mL), saturated sodium bicarbonate (10 mL), and saline (10 mL). The organic phase is separated, dried over magnesium sulfate, filtered, and concentrated under reduced pressure to provide 4-(benzyloxy)-N-propylbutanamide (2.59 g), APCI-MS (*m/z*) $[M + H]^+ = 236$.

Step 3: To an ice-cold, stirred mixture of 4-(benzyloxy)-N-propylbutanamide (2.59 g, 11.0 mmol) in THF (8 mL) is added lithium aluminum hydride (0.54 g, 14.3 mmol). The reaction mixture is heated to 40-50 degrees C for 5 hours. The cooled reaction mixture is quenched with water (0.5 mL), sodium hydroxide (2 N, 1.0 mL), and saline (0.5 mL) then diluted with ether (30 mL). The precipitate that formed is filtered off, and the ether phase dried over magnesium sulfate, filtered, and concentrated under reduced pressure to give N-[4-(benzyloxy)butyl]-N-propylamine (2.41 g), APCI-MS (*m/z*): 222 $[M + H]^+$.

Step 4: A mixture of N-[4-(benzyloxy)butyl]-N-propylamine (2.31 g, 10.44 mmol), 3-(ethoxycarbonyl)-5-methylbenzoic acid (2.18 g, 10.44 mmol), HOBt (1.56 g, 11.49 mmol), *N*-methylmorpholine (1.37 mL, 12.52 mmol), and EDC (2.20 g, 11.49 mmol) in DMF (12 mL) is stirred at 20-25 degrees C for 18 hours. The

reaction mixture is diluted with ethyl acetate (80 mL) and washed with water (2 x 20 mL), hydrochloric acid (1 N, 20 mL), saturated sodium bicarbonate (20 mL) and saline (20 mL), dried over magnesium sulfate, filtered, and concentrated under reduced pressure. Purification by flash chromatography (silica; hexanes/ethyl acetate,1/1) gives ethyl 3-{[[4-(benzyloxy)butyl](propyl)amino]carbonyl}-5-methylbenzoate (1.79 g), NMR (500 MHz, DMSO-d_6): delta7.80, 7.64, 7.40, 7.38-7.16, 4.50-4.43, 4.34-4.29, 3.53-3.30, 3.20-3.06, 2.41-2.36, 1.70-1.40, 1.36-1.29, 0.94-0.84 and 0.82-0.72; APCI-MS (*m/z*) $[M+H]^+ = 412$.

Step 5: To a mixture of ethyl 3-{[[4-(benzyloxy)butyl](propyl)-amino]carbonyl}-5-methylbenzoate (1.75 g, 4.25 mmol) in THF/ethanol/water (1/2/1, 30 mL) is added lithium hydroxide (0.31 g, 12.76 mmol). The reaction mixture is stirred for 2 h and then acidified to pH = 3 with concentrated hydrochloric acid (0.5 mL). The reaction mixture is extracted with ethyl acetate (2 x 30 mL), dried over magnesium sulfate, filtered, and concentrated under reduced pressure to give 3-{[[4-(benzyloxy)butyl](propyl)amino]carbonyl}-5-methylbenzoic acid (IX, 1.63 g), ESI-MS (*m/z*) $[M+H]^+ = 384$.

Step 6: A mixture of tert-butyl (1S)-2-[4-(benzyloxy)phenyl]-1-[(2S)-oxiranyl]ethylcarbamate (V, 1.58 g, 4.28 mmol) and 3-methoxybenzylamine (VI, 825 microliter, 6.42 mmol) in isopropanol (45 mL) is heated to 90 degrees C for 4 hours. Upon cooling to 20-25 degrees C, the reaction mixture is concentrated under reduced pressure. Purification by flash chromatography (silica; methylene chloride/methanol/ammonium hydroxide 98/1/1 to 95/:4/1) gives tert-butyl (1S,2R)-1-[4-(benzyloxy)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propylcarbamate (VII, 1.97 g), NMR (300 MHz, MeOH-d_4): δ 7.41-6.79, 5.05, 4.33-3.33, 3.74, 3.54, 3.03-2.46 and 1.29; ESI-MS (*m/z*) $[M+H]^+ = 507$.

Step 7: tert-Butyl (1S,2R)-1-[4-(benzyloxy)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propylcarbamate (VII, step 6, 2.34 g, 4.62 mmol) in dioxane (10 mL) is treated with hydrochloric acid (12 mL of a 4.0 M mixture in dioxane, 48 mmol) for 2 hours. The precipitate that forms is collected by filtration, washed with ether, and dried under reduced pressure overnight to give (2R,3S)-3-amino-4-[4-(benzyloxy)phenyl]-1-[(3-methoxybenzyl)amino]-2-butanol hydrochloride (VIII), NMR (300 MHz, MeOH-d_4): δ 7.44-6.96, 5.05, 4.21, 3.83, 3.65) and 3.21-2.77; ESI-MS (*m/z* $[M+H]^+ = 407$.

Step 8: To an ice-cold, stirred mixture of 3-{[[4-(benzyloxy)butyl](propyl)amino]carbonyl}-5-methylbenzoic acid (IX, 310 mg, 0.809 mmol), (2R,3S)-3-amino-4-[4-(benzyloxy)phenyl]-1-[(3-methoxybenzyl)amino]-2-butanol hydrochloride (VIII, 359 mg, 0.809 mmol), and bromotripyrrolidinophosphonium hexafluorophosphate (415 mg, 0.890 mmol) in methylene chloride (10 mL) is added diisopropylethylamine (285 microL, 1.62 mmol) dropwise. The resulting mixture is stirred at 0 degrees C for 30 minutes and then warmed to 20-25 degrees C. After 4 hours, the reaction is concentrated under reduced pressure and is partitioned between ethyl acetate and water. The aqueous phase is separated and extracted with ethyl acetate (3 x 15 mL), the combined organic phases are dried over magnesium sulfate, and concentrated under reduced pressure. The concentrate is purified by flash chromatography (silica; methylene chloride/methanol/ammonium hydroxide 96/3/0.5) to give N^1-{(1S,2R)-1-[4-(benzyloxy)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3-[4-(benzyloxy)butyl]-5-methyl-N^3-propylisophthalamide (X) NMR (300 MHz, Acetone-d_6): delta7.99-6.74), 5.01 4.51-4.29, 4.36, 4.01, 3.80, 3.55-3.16, 2.98-2.82, 2.65-2.62, 2.36, 1.85-1.29, 1.01 and 0.68; ESI-MS (*m/z*) $[M + H]^+ = 772$.

Step 9. A mixture of N^1-{(1S,2R)-1-[4-(benzyloxy)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3-[4-(benzyloxy)butyl]-5-methyl-N^3-propylisophthalamide (X, 100 mg, 0.130 mmol) and palladium on carbon (10%, 100 mg) in absolute glacial acetic acid (5 mL) is shaken under an atmosphere of hydrogen at 35 psi for 5 hours. The resulting mixture is filtered through diatomaceous earth and washed with methanol. The combined filtrates are concentrated under reduced pressure. The concentrate is purified by flash column chromatography (silica; gradent of dichloromethane/methanol/ammonium hydroxide 97/3/0.05 to 93/7/0.05) to give the title compound: NMR (300 MHz, CD_3OD): δ 7.55-6.64, 4.19, 3.99-3.72, 3.63-3.36, 3.21-3.09, 2.79-2.69, 2.39, 1.90-1.40, 1.29 and 1.02-0.6; ESI-MS (*m/z*) $[M + H]^+ = 592$.

EXAMPLE 755 N^1-{(1S,2R)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}-N^3-(3-hydroxypropyl)-5-methyl-N^3-propylisophthalamide (X)

Following the general procedure of EXAMPLE 754 and making non-critical variations, but using 3-{[[3-(benzyloxy)propyl](propyl)amino]carbonyl}-5-

methylbenzoic acid (IX) in place of 3-{[[4-(benzyloxy)butyl](propyl)amino]-carbonyl}-5-methylbenzoic acid (IX), the title compound is obtained, ESI-MS (*m/z*) $[M + H]^+ = 578$.

EXAMPLE 756 N^1-{(1S,2R)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide (X)

Step 1. To a stirred mixture of 3-[(dipropylamino)carbonyl]-5-methylbenzoic acid (IX, 150 mg, 0.570 mmol), (2R,3S)-3-amino-4-[4-(benzyloxy)phenyl]-1-[(3-methoxybenzyl)amino]-2-butanol hydrochloride (VIII, 274 mg, 0.571 mmol), *N*, *N*-diisopropylethylamine (400 microliter, 2.28 mmol), and HOBt (116 mg, 0.857 mmol) in dichloromethane (10 mL) is added EDC (165 mg, 0.857 mmol). The resulting mixture is stirred at 20-25 degrees C for 16 hours. The reaction mixture is partitioned between dichloromethane and water. The aqueous phase is separated and extracted with dichloromethane (3 x 15 mL). The combined organic phases are washed with water, dried (magnesium sulfate), and concentrated under reduced pressure. Purification by flash column chromatography (silica; dichloromethane/methanol/ammonium hydroxide, 97/3/0.05) gives N^1-{(1S,2R)-1-[4-(benzyloxy)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide, ESI-MS (*m/z*) $[M + H]^+ = 652$.

Step 2. A mixture of N^1-{(1S,2R)-1-[4-(benzyloxy)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide (140 mg, 0.215 mmol) and palladium on carbon (10%, 140 mg) in absolute glacial acetic acid (5 mL) is shaken under an atmosphere of hydrogen at 35 psi for 5 hours The resulting mixture is filtered through diatomaceous earth and washed with methanol. The combined filtrates are concentrated under reduced pressure. The concentrate is purified by flash column chromatography (silica; methylene chloride/methanol/ammonium hydroxide gradient from 97/3/0.05 to 93/7/0.05) to give the title compound, IR (KBr) 2962, 2931, 1611, 1594 and 1263 cm^{-1}; ESI-MS (*m/z*) $[M + H]^+ = 562$.

EXAMPLE 757 N^1-((1S,2R)-1-benzyl-3-{[3-(2,4-dimethylphenyl)propyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide (X)

Step 1: A stirred mixture of tert-butyl (1S)-1-[(2S)-oxiranyl]-2-phenylethylcarbamate (V, 247 mg, 0.939 mmol), sodium carbonate (299 mg, 2.82 mmol), and 3-(2,4-dimethylphenyl)propylamine (VI, 628 mg, 2.82 mmol) is heated at reflux overnight. The reaction mixture is cooled to 20-25 degrees C and concentrated under reduced pressure. Purification by flash column chromatography (silica; methylene chloride/methanol/ammonium hydroxide, 98/2/1) gives tert-butyl (1S,2R)-1-benzyl-3-{[3-(2,4-dimethylphenyl)propyl]amino}-2-hydroxypropylcarbamate (VII), NMR (300 MHz, CD_3OD): δ 7.22-7.16, 3.81, 3.18, 2.77, 2.54, 2.15, 2.13, 1.89 and 1.23.

Step 2: To a stirred mixture of tert-butyl (1S,2R)-1-benzyl-3-{[3-(2,4-dimethylphenyl)propyl]amino}-2-hydroxypropylcarbamate (VII, 180 mg, 0.423 mmol) in dioxane (2 mL) is added hydrochloric acid (0.32 mL of a 4 N mixture in dioxane, 1.27 mmol). The reaction mixture is stirred overnight and concentrated under reduced pressure to give (2R,3S)-3-amino-1-{[3-(2,4-dimethylphenyl)propyl]amino}-4-phenyl-2-butanol hydrochloride (VIII), NMR (300 MHz, $CDCl_3$): δ 7.14, 3.73, 2.70, 2.32 and 1.86.

Step 3: To a stirred mixture of (2R,3S)-3-amino-1-{[3-(2,4-dimethylphenyl)propyl]amino}-4-phenyl-2-butanol hydrochloride (VIII, 163 mg, 0.411 mmol), 3-[(dipropylamino)carbonyl]-5-methylbenzoic acid (IX, 108 mg, 0.411 mmol), HOBt (55 mg, 0.411 mmol), and *N*-methylmorpholine (133 mg, 1.32 mmol) in methylene chloride (5 mL) is added EDC (142 mg, 0.740 mmol). The reaction mixture is stirred overnight and then partitioned between ethyl acetate and water. The organic phase is washed with hydrochloric acid (1 N), saturated sodium bicarbonate, saline, dried (sodium sulfate), filtered, and concentrated under reduced pressure. Purification by flash column chromatography (silica; methylene chloride/methanol/ammonium hydroxide, 95/5/1) gives the title compound, IR (ATR): 3299, 2930 and 1614 cm^{-1}; APCI-MS (*m/z*) $[M + H]^+ = 572$.

EXAMPLE 758 N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[3-(4-methylphenyl)propyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide hydrochloride (X)

Following the general procedure of EXAMPLE 757 and making non-critical variations, but using 3-(4-methylphenyl)propylamine in place of 3-(2,4-

dimethylphenyl)propylamine, the title compound is obtained, IR (ATR): 3282, 2929 and 1594 cm^{-1}; APCI-MS (*m/z*) $[M + H]^+ = 558$.

EXAMPLE 759 N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide (X)

Following the general procedure of EXAMPLEs 4, 5, and 6 and making non-critical variations but using tert-butyl (1S)-1-[(2S)-oxiranyl]-2-phenylethylcarbamate (V) and 3-methoxybenzylamine (VI) and "5-Me-PHTH" (IX), the title compound is obtained, MS $[M+H]^+ = 546.3$.

EXAMPLE 760 N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-1,3-dioxo-2-propyl-5-isoindolinecarboxamide (X)

Following the general procedure of EXAMPLEs 4, 5, and 6 and making non-critical variations but using tert-butyl (1S)-1-[(2S)-oxiranyl]-2-phenylethylcarbamate (V) and 3-methoxybenzylamine (VI) and 1,3-dioxo-2-propylisoindoline-5-carboxylic acid (IX), the title compound is obtained, MS $[M+H]^+ = 516.2$.

EXAMPLE 761 N-{(1R,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-bromo-5-methylbenzamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using benzyl (1S)-1-[(2S)-oxiranyl]-2-phenylethylcarbamate (V) and 3-methoxybenzylamine (VI) and 3-bromo-5-methylbenzoic acid (IX), the title compound is obtained, MS $[M+H]^+ = 497.1, 499.1$.

EXAMPLE 762 3-bromo-N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methylbenzamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl (1S)-2-(3,5-difluorophenyl)-1-[(2S)-oxiranyl]

ethylcarbamate (V) and 3-methoxybenzylamine (VI) and 3-bromo-5-methylbenzoic acid (IX), the title compound is obtained, MS $[M+H]^+$ = 533.3, 535.3.

EXAMPLE 763 N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-methyl-N^3,N^3-dipropylisophthalamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using benzyl (1S)-1-[(2S)-oxiranyl]-2-phenylethylcarbamate (V) and 3-methoxybenzylamine (VI) and 3-[(dipropylamino)carbonyl]-4-methylbenzoic acid (IX), the title compound is obtained, MS $[M+H]^+$ = 546.4.

EXAMPLE 764 N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-methyl- N^3,N^3-dipropylisophthalamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl (1S)-2-(3,5-difluorophenyl)-1-[(2S)-oxiranyl] ethylcarbamate (V) and 3-methoxybenzylamine (VI) and 3-[(dipropylamino)carbonyl]-4-methylbenzoic acid (IX), the title compound is obtained, MS $[M+H]^+$ = 582.3.

EXAMPLE 765 N^3-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-methyl-N^1,N^1-dipropylisophthalamide (X)

3-Bromo-4-methylbenzoic acid (10.94 g, 43.25 mmol), copper(I)cyanide (7.75 g, 86.5 mmol) and 1-methyl-2-pyrrolidinone (75 ml) are heated to 160 degrees C overnight. The mixture is cooled and vacuum distilled to give a residue which is stirred in hydrochloric acid (6N, 60 ml) for 10 minutes. The resulting solid is collected by filtration, washed with water, ether, and dried. The solid is heated to 90 degrees C in sodium hydroxide (2N, 250 ml) for 3 hours and the mixture is then cooled and stirred overnight at 20-25 degrees C. The reaction is acidified to about pH 3 with concentrated hydrochloric acid which gives a precipitate. The solids are collected by filtration and washed with water, then triturated in boiling water,

filtered and dried in a vacuum oven at 60 degrees C. The solid is dissolved in methanol (75 ml) and concentrated hydrochloric acid (5 ml) is added and the mixture is refluxed overnight. The mixture then is cooled and concentrated under reduced pressure. Chromatography (silica gel; methanol/methylene chloride, 8/92) gives 5-(methoxycarbonyl)-2-methylbenzoic acid.

To 5-(methoxycarbonyl)-2-methylbenzoic acid (250 mg, 1.3 mmol) and triethylamine (0.72 ml, 5.2 mmol) in methylene chloride (14 ml) is added diethylcyanopyrocarbonate (90%, 0.24 ml, 1.4 mmol) with stirring. After 1 minute, (2R,3S)-3-amino-1-[(3-methoxybenzyl)amino]-4-phenyl-2-butanol dihydrochloride (VIII, 485 mg, 1.3 mmol) is added and the reaction is stirred overnight. The mixture is concentrated followed by chromatography (silica gel; methanol/methylene chloride 8/92) to afford 3-[({(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}amino)carbonyl]-4-methylbenzoate.

3-[({(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}amino) carbonyl]-4-methylbenzoate (200 mg, 0.42 mmol) is treated with lithium hydroxide (39 mg, 0.96 mmol) in tetrahydrofuran/methanol/water (2/1/1, 2 ml), and the mixture stirred overnight at 20-25 degrees C. The mixture is decanted and the supernatant concentrated to give 3-[({(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl} amino)carbonyl]-4-methylbenzoic acid.

3-[({(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}amino) carbonyl]-4-methylbenzoic acid (124 mg, 0.27 mmol) is dissolved in triethylamine (0.07 ml, 0.54 mmol) and methylene chloride (3 ml) and treated with diethylcyanopyrocarbonate (90%, 0.06 ml, 0.32 mmol) with stirring for 2 minutes. Dipropylamine (0.04 ml, 0.32 mmol) is added and stirring continued overnight. The organic phase is diluted with methylene chloride and washed with saturated sodium bicarbonate (2 X 50 ml) and saline (50 ml) then dried over anhydrous sodium sulfate, filtered and concentrated. Chromatography (silica gel; methanol/methylene chloride, 8/92) gives the title compound, MS $[M+H]^+ = 546.3$.

EXAMPLE 766 N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-(2-furyl)-5-methylbenzamide (X)

N-{(1R,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-bromo-5-methylbenzamide (X, EXAMLE 761, 295 mg, 0.59 mmol), 2-furanylboronic acid (133 mg, 1.19 mmol) and sodium carbonate (366 mg, 2.95 mmol) are combined in dimethylformamide (5 ml) and sparged under a flow of nitrogen for 15 minutes. Tetrakis(triphenylphosphino)palladium (136 mg, 0.12 mmol) is added and the mixture heated to 100 degrees C overnight. The mixture is cooled to 20-25 degrees C, diluted with chloroform (50 ml) and extracted with water (3 x 100 ml). The organic phase is separated and washed with saturated sodium bicarbonate (2 x 100 ml) and saline (100 ml), dried over anhydrous sodium sulfate, filtered, and concentrated under reduced pressue. The residue is chouromatographed (silica gel; methanol/methylene chloride, 8/92) to give the title compound, MS $[M+H]^+ = 485.3$.

EXAMPLE 767 N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3',5,5'-trimethyl-1,1'-biphenyl-3-carboxamide (X)

Following the general procedure of EXAMPLE 766 and making non-critical variations but using 3,5-dimethylphenylboronic acid, the title compound is obtained, MS $[M+H]^+ = 523.3$.

EXAMPLE 768 3'-Acetyl-N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl[1,1'-biphenyl]-3-carboxamide (X)

Following the general procedure of EXAMPLE 766 and making non-critical variations but using 3-acetylphenylboronic acid, the title compound is obtained, MS $[M+H]^+ = 537.3$.

EXAMPLE 769 N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3'-methoxy-5-methyl[1,1'-biphenyl]-3-carboxamide (X)

Following the general procedure of EXAMPLE 766 and making non-critical variations but using 3-methoxyphenylboronic acid, the title compound is obtained, MS $[M+H]^+ = 525.3$.

EXAMPLE 770 N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl[1,1'-biphenyl]-3-carboxamide (X)

Following the general procedure of EXAMPLE 766 and making non-critical variations but using phenylboronic acid, the title compound is obtained, MS $[M+H]^+ = 495.3$.

EXAMPLE 771 3-Methyl-5-(3-thienyl)benzoic acid (IX)

Following the general procedure of EXAMPLE 766 and making non-critical variations but using 2-thiopheneboronic acid and methyl 3-bromo-5-methylbenzoate, methyl 3-methyl-5-(3-thienyl)benzoate is obtained.

Methyl 3-methyl-5-(3-thienyl)benzoate (257 mg, 1.1 mmol) is treated with lithium hydroxide (186 mg, 4.4 mmol) in tetrahydrofuran/methanol/water (8 ml, 2:1:1) and the mixture is stirred for 2 hours at 20-25 degrees C. The mixture is acidified and concentrated to give the title compound, MS $[M+H]^+ = 217.0$.

EXAMPLE 772 N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-methyl-5-(3-thienyl)benzamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using benzyl (1S)-1-[(2S)-oxiranyl]-2-phenylethylcarbamate (V) and 3-methoxybenzylamine (VI) and 3-methyl-5-(3-thienyl)benzoic acid (IX), the title compound is obtained, MS $[M+H]^+ = 501.2$.

EXAMPLE 773 N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-methyl-5-(2-thienyl)benzamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using benzyl (1S)-1-[(2S)-oxiranyl]-2-phenylethylcarbamate

(V) and 3-methoxybenzylamine (VI) and 3-methyl-5-(2-thienyl)benzoic acid, the title compound is obtained, MS $[M+H]^+ = 501.2$.

EXAMPLE 774 N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl) amino]propyl}-3-methyl-5-(3-thienyl)benzamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl (1S)-2-(3,5-difluorophenyl)-1-[(2S)-oxiranyl] ethylcarbamate (V) and 3-methoxybenzylamine (VI) and 3-methyl-5-(3-thienyl)benzoic acid (IX), the title compound is obtained, MS $[M+H]^+ = 537.2$.

EXAMPLE 775 N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino] propyl}-3-methyl-5-(3-thienyl)benzamide (X)

Following the general procedure of EXAMPLEs 4, 5 and 6 and making non-critical variations but using tert-butyl (1S)-2-(3,5-difluorophenyl)-1-[(2S)-oxiranyl] ethylcarbamate (V) and 3-iodobenzylamine (VI) and 3-methyl-5-(3-thienyl)benzoic acid (IX), the title compound is obtained, MS $[M+H]^+ = 633.1$.

EXAMPLE 776 N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-methyl-3-(3-thienyl)benzamide (X)

Following the general procedure of EXAMPLEs 4, 5, 6 and 766 and making non-critical variations but using benzyl (1S)-1-[(2S)-oxiranyl]-2-phenylethylcarbamate (V) and 3-methoxybenzylamine (VI) and 3-bromo-4-methylbenzoic acid (IX) and 3-thiopheneboronic acid, the title compound is obtained, MS $[M+H]^+ = 501.2$.

EXAMPLE 777 N^1-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3,N^5,N^5-tetrapropylbenzene-1,3,5-tricarboxamide hydrochloride (X)

Following the general procedures of CHART A as well as PREPARATIONs 1-13, EXAMPLEs 1-13, 321-48-579, 597-622 and 624-631 and making non-critical

variations and using the appropriate reagents, the title compound is obtained, HRMS (FAB) = 659.4155.

EXAMPLE 778 N^1-{(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylbenzene-1,3,5-tricarboxamide (X)

Following the general procedures of CHART A as well as PREPARATIONs 1-13, EXAMPLEs 1-13, 321-48-579, 597-622 and 624-631 and making non-critical variations and using the appropriate reagents, the title compound is obtained, MS $(M+H)^+$ = 609.2.

EXAMPLE 779 Ethyl 3-[({(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}amino)carbonyl]-5-[(dipropylamino)carbonyl]benzoate hydrochloride (X)

Following the general procedures of CHART A as well as PREPARATIONs 1-13, EXAMPLEs 1-13, 321-48-579, 597-622 and 624-631 and making non-critical variations and using the appropriate reagents, the title compound is obtained, HRMS (FAB) = 604.3392.

EXAMPLE 780 N^1-{(1S,2R)-2-Hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropylbenzene-1,3,5-tricarboxamide (X)

Following the general procedures of CHART A as well as PREPARATIONs 1-13, EXAMPLEs 1-13, 321-48-579, 597-622 and 624-631 and making non-critical variations and using the appropriate reagents, the title compound is obtained, MS $(M+H)^+$ = 591.0.

EXAMPLE 781 N^1-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-5-{[(trifluoromethyl)sulfonyl]amino}isophthalamide (X)

Following the general procedures of CHART A and CHART T as well as PREPARATIONs 1-13, EXAMPLEs 1-13, 321-48-579, 597-622 and 624-631 and

making non-critical variations and using the appropriate reagents, the title compound is obtained, MS $(M+H)^+ = 679.2$.

EXAMPLE 782 5-Amino-N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide (X)

Following the general procedures of CHART A and CHART T as well as PREPARATIONs 1-13, EXAMPLEs 1-13, 321-48-579, 597-622 and 624-631 and making non-critical variations and using the appropriate reagents, the title compound is obtained, MS $(M+H)^+ = 547.3$.

EXAMPLE 783 N^1-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-5-[(trifluoroacetyl)amino]isophthalamide (X)

Following the general procedures of CHART A and CHART T as well as PREPARATIONs 1-13, EXAMPLEs 1-13, 321-48-579, 597-622 and 624-631 and making non-critical variations and using the appropriate reagents, the title compound is obtained, MS $(M+H)^+ = 643.2$

EXAMPLE 784 N^1-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(methylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide hydrochloride (X)

Following the general procedures of CHART A and CHART T as well as PREPARATIONs 1-13, EXAMPLEs 1-13, 321-48-579, 597-622 and 624-631 and making non-critical variations and using the appropriate reagents, the title compound is obtained, MS $(M+H)^+ = 625.0$

EXAMPLE 785 N^1-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-5-[(thien-2-ylsulfonyl)amino]isophthalamide hydrochloride (X)

Following the general procedures of CHART A and CHART T as well as PREPARATIONs 1-13, EXAMPLEs 1-13, 321-48-579, 597-622 and 624-631 and making non-critical variations and using the appropriate reagents, the title compound is obtained, MS $(M+H)^+ = 693.1$

EXAMPLE 786 N^1-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-5-[(thien-2-ylcarbonyl)amino]isophthalamide (X)

Following the general procedures of CHART A and CHART T as well as PREPARATIONs 1-13, EXAMPLEs 1-13, 321-48-579, 597-622 and 624-631 and making non-critical variations and using the appropriate reagents, the title compound is obtained, MS $(M+H)^+ = 657.2$.

EXAMPLE 787 N^1-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(methacryloylamino)-N^3,N^3-dipropylisophthalamide (X)

Following the general procedures of CHART A and CHART T as well as PREPARATIONs 1-13, EXAMPLEs 1-13, 321-48-579, 597-622 and 624-631 and making non-critical variations and using the appropriate reagents, the title compound is obtained, MS $(M+H)^+ = 615.1$.

EXAMPLE 788 N^1-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(2,2-dimethylpropanoyl)amino]-N^3,N^3-dipropylisophthalamide (X)

Following the general procedures of CHART A and CHART T as well as PREPARATIONs 1-13, EXAMPLEs 1-13, 321-48-579, 597-622 and 624-631 and making non-critical variations and using the appropriate reagents, the title compound is obtained, MS $(M+H)^+ = 631.3$.

EXAMPLE 789 N^1-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(phenylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide (X)

Following the general procedures of CHART A and CHART T as well as PREPARATIONs 1-13, EXAMPLEs 1-13, 321-48-579, 597-622 and 624-631 and making non-critical variations and using the appropriate reagents, the title compound is obtained, MS $(M+H)^+ = 687.2$.

EXAMPLE 790 N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(methylthio)pentanamide

Following the general procedure of EXAMPLEs 588-592 and 597-619 and using the appropriate starting materials the title compound is obtained, HRMS m/z calculated for $C_{24}H_{35}N_2O_3S$ (M+H) = 431.2363, found = 431.2397.

EXAMPLE 791 tert-butyl (2R,3S)-3-({3-[(dipropylamino)sulfonyl]-propanoyl}amino)-2-hydroxy-4-phenylbutyl(3-methoxybenzyl)carbamate

Following the general procedure of EXAMPLEs 588-592 and 597-619 and using the appropriate starting materials the title compound is obtained, HRMS calculated for M+H is 620.3369, observed = 620.3379.

EXAMPLE 792 2-Butylcyclopropylamine hydrochloride (VI)

A solution of triethylphosphonoacetate (22.4 g, 0.1 mol) in 13 mL of diglyme is added to a mixture of 13 mL of diglyme and sodium hydride (60%, 5.7 g, 0.12 mol) in mineral oil. When hydrogen evolution ceased, 1,2-epoxyhexane (12 g, 0.12 mol) in diglyme (12 mL) is added. The mixture is stirred for 1 day at 25 degrees C and 3 hours at 140 degrees C. A mixture of sodium hydroxide (15 g in 25 mL of water) is added in the cold. The mixture is refluxed 15 hours, diluted with cold water (100 mL), and washed with ether (3 x 50 mL). Acidification to pH = 2 with sulfuric acid (25%), extraction with ether (5 x 25 mL), drying the ether over anhydrous sodium sulfate, filtration and concentration gives 2-butylcyclopropanecarboxylic acid. The acid (5.0 g, 0.035 mmol) in dichloromethane (15 mL) is heated with thionyl chloride (5.1 g, 3.1 mL) for 15 hours at 60 degrees C. The reaction mixture is distilled (76 degrees C- 80 degrees C) to give the acid chloride which is dissolved in acetone (15 mL), cooled to -10 degrees C and treated with sodium azide (2.2 g, 33.8 mmol) in water (5 mL). The reaction mixture is stirred at -10 degrees C for another 1 hour and then poured onto ice/water, extracted with ether (3x10 mL), dried, and cautiously evaporated to dryness at 20-25 degrees C under reduced pressure. The residue is dissolved in toluene (15 mL) and carefully warmed to 100 degrees C while vigorously stirring for 1 hour. Concentrated hydrochloric acid (7 mL) is

added and the reaction mixture is refluxed for 15 minutes. The acidic layer is evaporated to dryness to give the title compound, MH^+ = 114.2.

EXAMPLE 793 2-Aminomethyl-3-methylfuran (VI)

3-Methylfuroic acid (4.0 g, 32 mmol) is dissolved in DMF (10 mL) at 20-25 degrees C, and 1,1-carbonyldiimidazole (5.7 g, 35 mmol) is added. After 15 minutes, ammonia is bubbled into the mixture for approximately 2 minutes. This mixture is stirred at 20-25 degrees C for 2 hours then the mixture is concentrated under reduced pressure. The residue is partitioned between ethyl acetate and 10% aqueous citric acid. The layers are separated, and the aqueous layer extracted with additional ethyl acetate (2 x). The combined organic phases are washed with saturated sodium bicarbonate, then saline and dried over magnesium sulfate, filtered and concentrated. Crystals formed upon standing, which are isolated by filtration and washing with a small amount of ethyl acetate/hexanes (80/20), MS(ESI): MH+: 126.1. 3-Methylfuroic amide (317 mg, 2.5 mmol) is dissolved in dry THF (5 mL). Lithium aluminum hydride (230 mg, 6.0 mmol) is added in one portion, and the mixture heated to reflux overnight. The mixture is cooled to 0 degrees C, and quenched by addition of THF/water (50/50). The mixture is then diluted with THF, and filtered through diatomaceous earth. The filtrate is concentrated to give the title compound, MS(ESI): (M-H)+: 109.1.

EXAMPLE 794 4-Aminomethyl-3,5-dimethylisoxazole (VI)

4-Chloromethyl-3,5-dimethylisoxazole (700 mg, 4.8 mmol) is suspended in concentrated aqueous ammonia at 20-25 degrees C, and vigorously stirred overnight. The reaction mixture is extracted with isopropyl alcohol/chloroform (10/90, 2 x). The combined organic phases are concentrated under nitrogen flow. The residue is purified by flash chromatography methanol/methylene chloride (5-20%, 1% triethylamine) to give the title compound, MR ($CDCl_3$, 300 MHz) delta3.62, 2.37, 2.29, and 1.44.

EXAMPLE 795 5-Hydroxymethyl-2-(2-methylpropyl) thiazole (VI)

Isovalerothioamide is synthesized according to the procedure in *J. Med. Chem.* 41, 602-617 (1998). Isovaleramide (10 g, 9.9 mmol) is suspended in dry

ether (400 mL), then phosphorous(V) sulfide (4.4 g, 0.99 mmol) is added in portions. This is vigorously stirred at 20-25 degrees C for 2 hours, then filtered. The filtrate is concentrated under reduced pessure and the residue used without further purification: MS(ESI): MH+: 118.1.

Isovalerothioamide (6.0 g, 51 mmol) and ethyl formylchloroacetate (*Heterocycles* 32 (4), 693-701, (1991), 5.0 g, 33 mmol) are dissolved in dry DMF (20 mL), and heated to 95 degrees C for 4 hours. The reaction is subsequently cooled to 0 degrees C, and cold water (50 mL) is added. The mixture is basified to pH = 8 with solid sodium bicarbonate, then extracted with ether (3 x 35 mL). The combined organic extracts are washed with water, then saline and dried over magnesium sulfate, filtered, and concentrated. The residue is purified by flash chromatography (ethyl acetate/hexanes 4-10% elution) to give the desired product. NMR ($CDCl_3$, 300 MHz) δ 8.27, 4.45-4.30, 3.70-3.50, 3.00-2.80, 2.30-2.10, 1.40-1.20, and 1.10-0.90.

A solution of ethyl 2-(2-methylpropyl)thiazole-5-carboxylate (2.05 g, 9.6 mmol) in THF (10 mL) is added dropwise with stirring to a suspension of lithium aluminum hydride (730 mg, 19 mmol) in dry THF (50 mL) at 0 degrees C. Upon complete addition, the reaction mixture is allowed to stir at 20-25 degrees C. The reaction mixture is cooled to 0 degrees C, and water (0.75 mL), aqueous sodium hydroxide (15%, 0.75 mL), and water (2.25 mL) is added in succession. This mixture is stirred at 0 degrees C for 1 hour, then filtered through diatomaceous earth, (THF and chloroform). The filtrate is concentrated to give 5-hydroxymethyl-2-(2-methylpropyl)thiazole, MS(ESI): MH+: 172.1.

EXAMPLE 796 3-(2-Methylpropyl)-5-aminomethylisoxazole (VI)

Isovaleraldehyde (5.4 mL, 50 mmol) and hydroxylamine hydrochloride (3.5 g, 50.4 mmol) are vigorously stirred in water (6 mL). To this is added a solution of sodium carbonate (2.65 g, 25 mmol) in water (15 mL). This is vigorously stirred overnight. The mixture is extracted with ether. The organic layer is washed with water, then dried over sodium sulfate, filtered and concentrated. This is used in subsequent reactions without further purification: MS(ESI): MH+: 102.1.

Propargylamine (8.0 mL, 117 mmol) is dissolved in methylene chloride (60 mL), and di-*tert*-butyl dicarbonate (25 g, 114 mmol) is added. This is stirred

overnight, and concentrated to provide the BOC-protected propargylamine, which is used without further purification: MS(ESI): MNa+: 178.0.

BOC-propargylamine (6.2 g, 39.7 mmol) and isovaleroxime (3.97 g, 39.3 mmol) is dissolved in methylene chloride (60 mL), and triethylamine (0.55 mL, 3.95 mmol) is added. This is cooled to 0 degrees C, and bleach (5% aqueous solution, 59.1 g) is added dropwise with vigorous stirring. After addition is complete, the mixture is allowed to warm to 20-25 degrees C over 22 hours. The layers are separated, and the aqueous layer is extracted with methylene chloride (2 x). The combined organic extracts are washed with saline, dried over magnesium sulfate, filtered and concentrated. The residue is purified by chromatography (silica gel, ethyl acetate/hexanes 5-10%) to give the BOC-protected title compound, MS(ESI): MH+: 255.3.

BOC-protected 3-(2-methylpropyl)-5-aminomethylisoxazole (2.4 g, 9.3 mmol) is dissolved in methylene chloride (10 mL) and treated with trifluoroacetic acid (10 mL) at 20-25 degrees C. This is stirred at 20-25 degrees C for 70 minutes, then concentrated. The product is dissolved in methylene chloride, and washed with aqueous potassium carbonate (1 M) until basic (pH = 11). The organic layer is isolated, dried over sodium sulfate, filtered and concentrated to give the title compound: MS(ESI): MH+: 155.2.

EXAMPLE 797 tert-butyl (3R)-2-oxo-1-propylazepanylcarbamate (VI)

To N-t-Boc-D-Lys-OH (10 g, 41.4mmole) in DMF (4 liters) is added benzotriazol-1yloxytripyrrolidino-phosphonium hexafluorophosphate (BOP, 18.3 g, 41.4mmole) and sodium bicarbonate (17.4 g, 206.8mmole); the reaction is stirred at 20-25 degrees C for 12 hours. The reaction is then concentrated to 50 ml volume and diluted with ethyl acetate and washed with sodium bicarbonate 3x, water, 1M potassium bisulfate and brine, dried and concentrated. Purification by chromatography on silica gel afforded 5.05 g of the tert-butyl (3R)-2-oxoazepanylcarbamate as a solid; the procedure employed is similar to that described in *J.Med.Chem.* 1999, 4193. M+H-(t-Boc) (m/e=129.2), M+Na (m/e=251.1).

To the above lactam (2 g, 8.77mmole) in dry THF (20 ml) is added n-butyllithium /hexane (2.5 M, 5.3 ml, 13.2 mmole) at –78 degrees C, the reaction is stirred for 1 hour and 1-bromopropane (3.2 ml, 35.1 mmole) is added. The reaction

is stirred for 1 hour and the cold bath removed and stirring continued for another 16 hours. Tetrabutylammonium iodide (0.49 g, 2.63mmole) is added and the reaction stirred for another 16 hours. The reaction is partitioned between ethyl acetate/hydrochloric acid + ice + water, the mixture is washed with water and saline and concentrated. Purification by chromatography on silica gel afforded the title compound, MS (M+Na+) 293.3.

EXAMPLE 798 N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethynylbenzyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide (X)

Following the procedure described in *J. Am. Chem. Soc.* 1986, 3150, the trifluoroacetic acid salt of N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide (92.9 mg, 0.117 mmol) is dissolved in triethylamine (0.2 M, 0.6 mL) before the addition of $PdCl_2(PPh_3)_2$ (3.3 mg, 0.005 mmol), and copper (I) iodide (1.1 mg, 0.006 mmol). The reaction is heated to reflux. While the reaction is refluxing, trimethylsilylacetylene (0.02 ml, 0.14 mmol) is added via syringe. The reaction is refluxed for 3 hour under N_2 (g), and the reaction cooled to 20-25 degrees C before partitioning between aqueous sodium bicarbonate and ethyl acetate. The product is extracted with ethyl acetate (3 x), washed with saline, dried over sodium sulfate, and filtered before the removal of solvent under reduced pressure.

The TMS protected acetylene (0.117 mmol) is dissolved in methanol (0.2 M, 0.5 mL) before the addition of potassium hydroxide (1M, 0.7 mL, 0.7 mmol). The reaction is stirred at 20-25 degrees C for 6 hours, at which point the mixture is partitioned between sodium bicarbonate and ethyl acetate. The product is extracted with ethyl acetate (3 x), washed with saline, dried over sodium sulfate, and filtered before the removal of solvent under reduced pressure. Column chromatography (silica gel; 1.5-2 % isopropanol/chloroform under basic conditions; a few drops of ammonium hydroxide per 100 mL of elution solvent) gives the title compound, MS *m/z* $(M+H)^+ = 576.3$.

EXAMPLE 799 1-phenylcyclopropylamine (VI)

Following the procedure described in N.W. Werner *et.al., J. Org. Syn.* Coll. Vol. 5, 273-276, sodium azide (0.915g, 14.1 mmol) is slowly added to a solution of 1-phenyl-cyclopropanecarboxlic acid (1.0 g, 6.1 mmol) in concentrated sulfuric acid (5 ml) and dichloromethane (10 ml). The sodium sulfate precipitated out of solution. The reaction mixture is heated to 50 degrees C for 17 hours and then cooled to 0 degrees C. The mixture is basified to pH = 11 with sodium hydroxide (1N) and extracted with dichloromethane (2 x). The organic layers are combined, dried over sodium sulfate, filtered and concentrated. The residue is purified by chromatography (silica gel; isopropyl alcohol/chloroform/ammonium hydroxide 4/95/1) to give the title compound, MS (ESI+) for $C_9H_{11}N$ *m/z* $(M+H)^+$ = 134.

EXAMPLE 800 7-methoxy-1,2,3,4-tetrahydro-1-naphthalenamine (VI)

7-Methoxy-1-tetralone (2.0 g, 11.3 mmol), hydroxylamine hydrochloride (1.56 g, 22.6 mmol) and sodium acetate (1.8g, 22.6 mmol) are suspended in ethanol/water (3/1, 40 mL). The mixture is heated for 45 min. at 100 degrees C. The mixture is allowed to cool overnight and the precipitate obtained is filtered and washed with water to yield an intermediate oxime, MS (ES) (M+H): 192.1. The oxime is dissolved in glacial acetic acid (25 ml) and palladium/carbon (500 mg) is added and the mixture hydrogenated under 50 psi at 20-25 degrees C overnight. The catalyst is filtered over diatomaceous earth and washed with methanol. The combined filtrates are concentrated. The concentrate is triturated with ether to give the title compound, MS (CI) (MH+): 178.2.

EXAMPLE 801 3-Amino-N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-methylbutanamide dihydrochloride

Following the general procedure of EXAMPLE 6 and making non-critical variations but starting with (2R,3S)-3-amino-1-[(3-methoxybenzyl)amino]-4-phenyl-2-butanol (VIII) and reacting it with 3-[(tert-butoxycarbonyl)amino]-2-methylbutanoic acid (IX), and then treatment with HCl, the title compound is obtained, HRMS calculated = 400.2600, observed = 400.2596.

EXAMPLE 802 N-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-ethylhexanamide hydrochloride

Following the general procedure of EXAMPLE 6 and making non-critical variations but starting with (2R,3S)-3-amino-1-[(3-methoxybenzyl)amino]-4-phenyl-2-butanol (VIII) and reacting it with 2-ethylhexanoic acid (IX), the title compound is obtained, HRMS calculated = 427.2961, observed = 427.2961.

EXAMPLE 803 N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-3-[(isobutylsulfonyl)amino]propanamide trifluoroacetate

Following the general procedure of CHART I and making non-critical variations but starting with (2R,3S)-3-amino-1-[(3-iodobenzyl)amino]-4-phenyl-2-butanol (VIII) and reacting it with N-(isobutylsulfonyl)-beta-alanine (IX), the title compound is obtained, HRMS calculated = 588.1393, observed = 588.1379.

EXAMPLE 804 N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-N^3-(isobutylsulfonyl)-beta-alaninamide trifluoroacetate

Following the general procedure of CHART I and making non-critical variations but starting with (2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3-iodobenzyl)amino]-2-butanol (VIII) and reacting it with N-(isobutylsulfonyl)-beta-alanine (IX), the title compound is obtained, M + H = 624.

EXAMPLE 805 5-bromo-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide hydrochloride

Following the general procedure of EXAMPLE 6 and making non-critical variations but starting with (2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3-iodobenzyl)amino]-2-butanol (VIII) and reacting it with 3-bromo-5-[(dipropylamino)carbonyl]benzoic acid (IX) , the title compound is obtained, M + H = 745.

EXAMPLE 806 N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-phenylcyclopropyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide

Following the general procedure of EXAMPLE 6 and making non-critical variations but starting with (2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(1-phenylcyclopropyl)amino]-2-butanol (VIII) and reacting it with 3-[(dipropylamino)carbonyl]-5-methylbenzoic acid (IX) , the substituted amine (X) is obtained. MH+ = 578.

EXAMPLE 806.1 5-bromo-N^1-[(1S,2R)-3-[(3-bromobenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide

Following the general procedure of EXAMPLE 6 and making non-critical variations but starting with (2R,3S)-3-amino-1-[(3-bromobenzyl)amino]-4-(3,5-difluorophenyl)-2-butanol hydrochloride (VIII) and reacting it with 3-bromo-5-[(dipropylamino)carbonyl]benzoic acid (IX), the title compound is obtained, NMR (500 MHz, CD_3OD): δ 7.85, 7.72, 7.69, 7.67, 7.52, 7.51, 6.88, 6.86, 6.74, 4.39-4.13, 3.95-3.88, 3.53-2.96, 2.82, 1.70, 1.50, 0.99 and 0.71.

EXAMPLES 807-1,064

Following the general procedure of CHART A and EXAMPLES 4-6, 321-327, 329-464, 466-527, 529-579, 597-619 and 633-708 and making non-critical variations, and using the appropriate amines (VIII) and amide forming agents (IX),. the titled compounds are obtained.

EXAMPLE	Substituted Amine (X)
807	N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-methyl-5-(2-propylpentanoyl)benzamide
808	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-(2-ethylpentanoyl)-5-methylbenzamide
809	N-{(1S,2R)-1-benzyl-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-methyl-5-(2-propylpentanoyl)benzamide
810	N-{(1S,2R)-1-benzyl-3-[(3-ethynylbenzyl)amino]-2-

EXAMPLE	Substituted Amine (X)
	hydroxypropyl}-3-methyl-5-(2-propylpentanoyl)benzamide
811	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-(2-ethylbutanoyl)-5-methylbenzamide
812	N^1-{(1S,2R)-1-benzyl-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-(2-propylpentanoyl)isophthalamide
813	N-{(1S,2R)-1-benzyl-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-(2-ethylpentanoyl)-5-methylbenzamide
814	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-(2-propylpentanoyl)isophthalamide
815	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(2-propylpentanoyl)isophthalamide
816	N-[(1S,2R)-3-[(3-ethylbenzyl)amino]-2-hydroxy-1-(4-hydroxybenzyl)propyl]-3-methyl-5-(2-propylpentanoyl)benzamide
817	N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-methyl-5-(2-propylpentanoyl)benzamide
818	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-methyl-5-(2-propylpentanoyl)benzamide
819	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(3-pyridinyl)benzyl]amino}propyl)-5-methyl- N^3,N^3-dipropylisophthalamide
820	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(4-pyridinyl)benzyl]amino}propyl)-5-methyl- N^3,N^3-dipropylisophthalamide
821	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-5-(1-propynyl)isophthalamide

EXAMPLE	Substituted Amine (X)
822	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- N^3,N^3-dipropyl-5-(1-propynyl)isophthalamide
823	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- N^3,N^3-dipropyl-5-(2-propynyl)isophthalamide
824	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-5-(2-propynyl)isophthalamide
825	N^1-{(1S,2R)-1-(cyclohexylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- N^3,N^3-dipropylisophthalamide
826	N^1-[(1S,2R)-3-(benzylamino)-2-hydroxy-1-(3-thienylmethyl)propyl]-5-methyl- N^3,N^3-dipropylisophthalamide
827	N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-thienylmethyl)propyl]-5-methyl- N^3,N^3-dipropylisophthalamide
828	N^1-{(1S)-1-[(1R)-2-(benzylamino)-1-hydroxyethyl]-3-butynyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide
829	N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(3-thienylmethyl)propyl]-5-methyl- N^3,N^3-dipropylisophthalamide
830	N^1-[(1S,2R)-3-(benzylamino)-2-hydroxy-1-(2-thienylmethyl)propyl]-5-methyl- N^3,N^3-dipropylisophthalamide
831	N^1-{(1S,2R)-1-(3-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- N^3,N^3-dipropylisophthalamide

EXAMPLE	Substituted Amine (X)
832	N^1-{(1S,2R)-3-(benzylamino)-1-[4-(benzyloxy)benzyl]-2-hydroxypropyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide
833	N^1-{(1S,2R)-1-(2-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- N^3,N^3-dipropylisophthalamide
834	N^1-[(1S,2R)-3-(benzylamino)-1-(cyclohexylmethyl)-2-hydroxypropyl]-5-methyl- N^3,N^3-dipropylisophthalamide
835	N^1-{(1S,2R)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- N^3,N^3-dipropylisophthalamide
836	N^1-[(1S,2R)-3-(benzylamino)-2-hydroxy-1-(1-naphthylmethyl)propyl]- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide
837	2,3,5-trideoxy-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-5-[(3-methoxybenzyl)amino]-1-S-phenyl-1-thio-D-erythouro-pentitol
838	N^1-[(1S,2R)-3-(benzylamino)-1-(3-furylmethyl)-2-hydroxypropyl]-5-methyl- N^3,N^3-dipropylisophthalamide
839	N^1-((1S)-1-{(1R)-1-hydroxy-2-[(3-methoxybenzyl)amino]ethyl}-3-methylbutyl)-5-methyl-N^3,N^3-dipropylisophthalamide
840	N^1-[(1S,2R)-3-(benzylamino)-1-(4-fluorobenzyl)-2-hydroxypropyl]- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide
841	N^1-{(1S,2R)-1-(4-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- N^3,N^3-dipropylisophthalamide
842	N^1-[(1S,2R)-3-(benzylamino)-1-(2-furylmethyl)-2-

EXAMPLE	Substituted Amine (X)
	hydroxypropyl]-5-methyl- N^3,N^3-dipropylisophthalamide
843	N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(1-naphthylmethyl)propyl]-5-methyl- N^3,N^3-dipropylisophthalamide
844	N^1-{(1S)-1-[(1R)-2-(benzylamino)-1-hydroxyethyl]-3-methylbutyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide
845	N^1-{(1S,2R)-1-[4-(benzyloxy)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- N^3,N^3-dipropylisophthalamide
846	N^1-[(1S,2R)-3-(benzylamino)-2-hydroxy-1-(4-hydroxybenzyl)propyl]-5-methyl- N^3,N^3-dipropylisophthalamide
847	N^1-((1S)-1-{(1R)-1-hydroxy-2-[(3-methoxybenzyl)amino]ethyl}-3-butynyl)-5-methyl- N^3,N^3-dipropylisophthalamide
848	N^1-((1S)-1-{(1R)-1-hydroxy-2-[(3-methoxybenzyl)amino]ethyl}-3-butynyl)- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide
849	5-(benzylamino)-2,3,5-trideoxy-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-1-S-phenyl-1-thio-D-erythouro-pentitol
850	N^1-{(1S,2R)-1-[4-(benzyloxy)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide
851	N^1-[(1S,2R)-3-(benzylamino)-2-hydroxy-1-(4-hydroxybenzyl)propyl]-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide
852	N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(1-naphthylmethyl)propyl]- N^3,N^3-dipropyl-1,3,5-

EXAMPLE	Substituted Amine (X)
	benzenetricarboxamide
853	N^1-{(1S)-1-[(1R)-2-(benzylamino)-1-hydroxyethyl]-3-methylbutyl}-5-methyl- N^3,N^3-dipropylisophthalamide
854	N^1-{(1S,2R)-1-(4-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide
855	*N^1-[(1S,2R)-3-(benzylamino)-1-(3-furylmethyl)-2-hydroxypropyl]-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide
856	N^1-((1S)-1-{(1R)-1-hydroxy-2-[(3-methoxybenzyl) amino]ethyl}-3-methylbutyl)- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide
857	N^1-[(1S,2R)-3-(benzylamino)-1-(4-fluorobenzyl)-2-hydroxypropyl]-5-methyl- N^3,N^3-dipropylisophthalamide
858	N^1-[(1S,2R)-3-(benzylamino)-1-(2-furylmethyl)-2-hydroxypropyl]- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide
859	N^1-{(1S,2R)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide
860	N^1-[(1S,2R)-3-(benzylamino)-2-hydroxy-1-(1-naphthylmethyl)propyl]-5-methyl- N^3,N^3-dipropylisophthalamide
861	N^1-{(1S,2R)-1-(cyclohexylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide
862	N^1-[(1S,2R)-3-(benzylamino)-2-hydroxy-1-(2-thienylmethyl)propyl]-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide

EXAMPLE	Substituted Amine (X)
863	N^1-{(1S,2R)-1-(3-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide
864	N^1-{(1S,2R)-3-(benzylamino)-1-[4-(benzyloxy)benzyl]-2-hydroxypropyl}-5-methyl- N^3,N^3-dipropylisophthalamide
865	N^1-{(1S,2R)-1-(2-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide
866	N^1-[(1S,2R)-3-(benzylamino)-2-hydroxy-1-(3-thienylmethyl)propyl]-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide
867	N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-thienylmethyl)propyl]- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide
868	N^1-{(1S)-1-[(1R)-2-(benzylamino)-1-hydroxyethyl]-3-butynyl}-5-methyl-N^3,N^3-dipropylisophthalamide
869	N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(3-thienylmethyl)propyl]- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide
870	N^1-{(1S,2R)-1-(cyclohexylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide
871	N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(3-thienylmethyl)propyl]- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide
872	N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-thienylmethyl)propyl]- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide
873	N^1-{(1S,2R)-1-(2-furylmethyl)-2-hydroxy-3-[(3-

EXAMPLE	Substituted Amine (X)
	methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide
874	N^1-{(1S,2R)-1-(3-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide
875	N^1-{(1S,2R)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide
876	N^1-((1S)-1-{(1R)-1-hydroxy-2-[(3-methoxybenzyl)amino]ethyl}-3-methylbutyl)- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide
877	N^1-{(1S,2R)-1-(4-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide
878	N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(1-naphthylmethyl)propyl]- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide
879	N^1-{(1S,2R)-1-[4-(benzyloxy)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide
880	N^1-{(1S,2R)-2-hydroxy-1-[3-(hydroxymethyl)benzyl]-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide
881	N^1-{(1S,2R)-3-[(3-ethylbenzyl)amino]-2-hydroxy-1-[3-(hydroxymethyl)benzyl]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide
882	N^1-{(1S,2R)-2-hydroxy-1-[3-(hydroxymethyl)benzyl]-3-[(3-iodobenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide

EXAMPLE	Substituted Amine (X)
883	N^1-{(1S,2R)-2-hydroxy-1-[4-(hydroxymethyl)benzyl]-3-[(3-iodobenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide
884	N^1-{(1S,2R)-3-[(3-ethylbenzyl)amino]-2-hydroxy-1-[4-(hydroxymethyl)benzyl]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide
885	N^1-{(1S,2R)-2-hydroxy-1-[4-(hydroxymethyl)benzyl]-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide
886	N^1-{(1S,2R)-1-(3-fluoro-5-hydroxybenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide
887	N^1-[(1S,2R)-3-[(3-ethylbenzyl)amino]-1-(3-fluoro-5-hydroxybenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide
888	N^1-{(1S,2R)-1-(3-fluoro-5-hydroxybenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide
889	N^1-{(1S,2R)-1-[3-(benzyloxy)-5-fluorobenzyl]-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide
890	N^1-{(1S,2R)-1-[3-(benzyloxy)-5-fluorobenzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide
891	N-{(1S,2R)-1-[4-(benzyloxy)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[(dipropylamino)sulfonyl]propanamide
892	N^1-{(1S,2R)-1-[4-(benzyloxy)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^5,N^5-

EXAMPLE	Substituted Amine (X)
	dipropylpentanediamide
893	3-[(dipropylamino)sulfonyl]-N-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(1-naphthylmethyl)propyl]propanamide
894	N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(1-naphthylmethyl)propyl]- N^5,N^5-dipropylpentanediamide
895	3-[(dipropylamino)sulfonyl]-N-{(1S,2R)-1-(4-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}propanamide
896	N^1-{(1S,2R)-1-(4-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^5,N^5-dipropylpentanediamide
897	3-[(dipropylamino)sulfonyl]-N-{(1S,2R)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}propanamide
898	N^1-{(1S,2R)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}- N^5,N^5-dipropylpentanediamide
899	3-[(dipropylamino)sulfonyl]-N-{(1S,2R)-1-(3-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}propanamide
900	N^1-{(1S,2R)-1-(2-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^5,N^5-dipropylpentanediamide
901	3-[(dipropylamino)sulfonyl]-N-{(1S,2R)-1-(2-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}propanamide
902	N^1-{(1S,2R)-1-(3-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^5,N^5-dipropylpentanediamide
903	3-[(dipropylamino)sulfonyl]-N-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-thienylmethyl)propyl]propanamide

EXAMPLE	Substituted Amine (X)
904	N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(3-thienylmethyl)propyl]- N^5,N^5-dipropylpentanediamide
905	3-[(dipropylamino)sulfonyl]-N-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(3-thienylmethyl)propyl]propanamide
906	N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-thienylmethyl)propyl]- N^5,N^5-dipropylpentanediamide
907	N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-{[(2R)-1-ethylpyrrolidinyl]carbonyl}-5-methylbenzamide
908	N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-{[(2S)-1-ethylpyrrolidinyl]carbonyl}-5-methylbenzamide
909	N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[(1-ethyl-1H-imidazol-2-yl)carbonyl]-5-methylbenzamide
910	N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[(1-ethyl-4-methyl-1H-imidazol-5-yl)carbonyl]-5-methylbenzamide
911	N^1-((1S,2S)-1-(3,5-difluorobenzyl)-2-hydroxy-2-{1-[(3-methoxybenzyl)amino]cyclopropyl}ethyl)-5-methyl- N^3,N^3-dipropylisophthalamide
912	N^1-((1S,2S)-1-(3,5-difluorobenzyl)-2-{1-[(3-ethylbenzyl)amino]cyclopropyl}-2-hydroxyethyl)-5-methyl-N^3,N^3-dipropylisophthalamide
913	(1R,2R,3R)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^2,N^2-dipropyl-1,2,3-cyclopropanetricarboxamide
914	(1R,2R,3R)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-phenyl- N^2,N^2-

EXAMPLE	Substituted Amine (X)
	dipropyl-1,2-cyclopropanedicarboxamide
915	(1R,2R,3R)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-methyl- N^2,N^2-dipropyl-1,2-cyclopropanedicarboxamide
916	(1R,2R,3S)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-methyl- N^2,N^2-dipropyl-1,2-cyclopropanedicarboxamide
917	(1R,2R,3S)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-phenyl- N^2,N^2-dipropyl-1,2-cyclopropanedicarboxamide
918	(1R,2R,3S)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^2,N^2-dipropyl-1,2,3-cyclopropanetricarboxamide
919	(1R,2R,3S)-3-(2-amino-2-oxoethyl)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl) amino] propyl}- N^2,N^2-dipropyl-1,2-cyclopropanedicarboxamide
920	(1R,2R,3R)-3-(2-amino-2-oxoethyl)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]-propyl}-N^2,N^2-dipropyl-1,2-cyclopropanedicarboxamide
921	(1R,2R,3S)-N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-[2-(dipropylamino)-2-oxoethyl]-3-methylcyclopropanecarboxamide
922	(1R,2R,3R)-N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-[2-(dipropylamino)-2-oxoethyl]-3-methylcyclopropanecarboxamide
923	(1S,2R,3R)-N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-[2-(dipropylamino)-2-oxoethyl]-3-phenylcyclopropanecarboxamide
924	(1S,2R,3S)-N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-[2-(dipropylamino)-2-

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EXAMPLE	Substituted Amine (X)
	oxoethyl]-3-phenylcyclopropanecarboxamide
925	(1S,2R,3R)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[2-(dipropylamino)-2-oxoethyl]-1,2-cyclopropanedicarboxamide
926	(1S,2R,3S)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[2-(dipropylamino)-2-oxoethyl]-1,2-cyclopropanedicarboxamide
927	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-5-{[(trifluoromethyl)sulfonyl]amino}isophthalamide
928	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- N^3,N^3-dipropyl-5-{[(trifluoromethyl)sulfonyl]amino}isophthalamide
929	N^1-{(1S,2R)-1-benzyl-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- N^3,N^3-dipropyl-5-{[(trifluoromethyl)sulfonyl]amino}isophthalamide
930	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-{methyl[(trifluoromethyl)sulfonyl]amino}- N^3,N^3-dipropylisophthalamide
931	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-{methyl[(trifluoromethyl)sulfonyl]amino}- N^3,N^3-dipropylisophthalamide
932	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-5-{propyl[(trifluoromethyl)sulfonyl]amino}isophthalamide
933	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(methylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide

EXAMPLE	Substituted Amine (X)
934	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(phenylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide
935	N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropylbenzyl)amino]propyl}-3-[(dipropylamino)sulfonyl]propanamide
936	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethynylbenzyl)amino]-2-hydroxypropyl}-3-[(dipropylamino)sulfonyl]propanamide
937	N-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[3-(dimethylamino)benzyl]amino}-2-hydroxypropyl)-3-[(dipropylamino)sulfonyl]propanamide
938	N-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(2-ethyl-1,3-thiazol-5-yl)methyl]amino}-2-hydroxypropyl)-3-[(dipropylamino)sulfonyl]propanamide
939	N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2-isobutyl-1,3-thiazol-5-yl)methyl]amino}propyl)-3-[(dipropylamino)sulfonyl]propanamide
940	N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(3-isobutyl-5-isoxazolyl)methyl]amino}propyl)-3-[(dipropylamino)sulfonyl]propanamide
941	N-[(1S,2R)-3-[(3-cyclopropylbenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-3-[(dipropylamino)sulfonyl]propanamide
942	N^1-[(1S,2R)-3-[(3-cyclopropylbenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide
943	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(1,3-thiazol-2-yl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide
944	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(1,3-

EXAMPLE	Substituted Amine (X)
	oxazol-2-yl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide
945	N^1-[(1S,2R)-3-[(3-acetylbenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- N^3,N^3-dipropylisophthalamide
946	N^1-[(1S,2R)-3-[(3-acetylbenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide
947	N^1-[(1S,2R)-3-[(3-acetylbenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-(aminosulfonyl)- N^3,N^3-dipropylisophthalamide
948	N^1-[(1S,2R)-3-[(3-acetylbenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-(methylsulfonyl)-N^3,N^3-dipropylisophthalamide
949	N^1-[(1S,2R)-3-{[3-(diethylamino)benzyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide
950	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(4-morpholinyl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide
951	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(1-piperazinyl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide
952	N^1-[(1S,2R)-3-{[3-(aminosulfonyl)benzyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide
953	N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-3-({3-[(dimethylamino)sulfonyl]benzyl}amino)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide

EXAMPLE	Substituted Amine (X)
954	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(1-piperidinylsulfonyl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide
955	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(methylsulfonyl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide
956	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(isopropylsulfonyl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide
957	N^1-[(1S,2R)-3-{[3-(aminocarbonyl)benzyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide
958	N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-3-({3-[(dimethylamino)carbonyl]benzyl}amino)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide
959	N^1-[(1S,2R)-3-[(3-cyanobenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide
960	3-({[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}methyl)phenylcarbamate
961	3-({[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}methyl)phenyl dimethylcarbamate
962	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(1-propynyl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide
963	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(3-methyl-1-butynyl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide

EXAMPLE	Substituted Amine (X)
964	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(2-propynyl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide
965	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(5-isobutyl-1,3,4-oxadiazol-2-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide
966	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[3-(5-ethyl-1,3,4-oxadiazol-2-yl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide
967	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[3-(5-ethyl-1,3,4-thiadiazol-2-yl) methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide
968	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(5-isobutyl-1,3,4-thiadiazol-2-yl) methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide
969	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[3-(3-ethyl-1,2,4-thiadiazol-5-yl) methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide
970	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(3-isobutyl-1,2,4-thiadiazol-5-yl) methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide
971	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(3-isobutyl-1,2,4-oxadiazol-5-yl) methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide
972	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[3-(3-ethyl-1,2,4-oxadiazol-5-yl) methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide
973	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(2-ethyl-1,3-oxazol-5-yl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-

EXAMPLE	Substituted Amine (X)
	dipropylisophthalamide
974	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2-isobutyl-1,3-oxazol-5-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide
975	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(5-isobutyl-1,3,4-oxadiazol-2-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide
976	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(5-isobutyl-1,3,4-thiadiazol-2-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide
977	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(5-ethyl-1,3,4-thiadiazol-2-yl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide
978	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(5-ethyl-1,3,4-oxadiazol-2-yl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide
979	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(3-ethyl-1,2,4-oxadiazol-5-yl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide
980	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(3-ethyl-1,2,4-thiadiazol-5-yl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide
981	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(3-isobutyl-1,2,4-thiadiazol-5-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide
982	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(3-isobutyl-1,2,4-oxadiazol-5-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide
983	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(2-ethyl-2H-

EXAMPLE	Substituted Amine (X)
	tetraazol-5-yl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide
984	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2-isobutyl-2H-tetraazol-5-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide
985	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(2-ethyl-4-pyrimidinyl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide
986	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2-isopropyl-4-pyrimidinyl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide
987	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(2-ethynyl-4-pyrimidinyl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide
988	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(6-isopropyl-4-pyrimidinyl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide
989	N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-3-({[6-(dimethylamino)-4-pyrimidinyl]methyl}amino)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide
990	N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-3-({[2-(dimethylamino)-4-pyrimidinyl]methyl}amino)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide
991	N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-3-({[4-(dimethylamino)-2-pyrimidinyl]methyl}amino)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide
992	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(4-isopropyl-2-pyrimidinyl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide

EXAMPLE	Substituted Amine (X)
993	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(4-ethyl-2-pyrimidinyl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide
994	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(5-ethyl-3-pyridazinyl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide
995	N^3-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[3-(dimethylamino)benzyl]amino}-2-hydroxypropyl)-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide
996	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(5-isopropyl-3-pyridazinyl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide
997	N^3-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(1-propynyl)benzyl]amino}propyl)-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide
998	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(6-isopropyl-4-pyridazinyl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide
999	N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethynylbenzyl)amino]-2-hydroxypropyl}- N^5,N^5-dipropyl-3,5-pyridinedicarboxamide
1000	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(6-ethyl-4-pyridazinyl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide
1001	N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropylbenzyl)amino]propyl}-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide
1002	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(6-ethyl-2-pyrazinyl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-

EXAMPLE	Substituted Amine (X)
	dipropylisophthalamide
1003	N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide
1004	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(6-isopropyl-2-pyrazinyl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide
1005	N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(3,4,5-trifluorobenzyl)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide
1006	N^1-((1S,2R)-2-hydroxy-1-(3,4,5-trifluorobenzyl)-3-{[3-(trifluoromethyl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide
1007	N^1-((1S,2R)-2-hydroxy-1-(2,3,5,6-tetrafluorobenzyl)-3-{[3-(trifluoromethyl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide
1008	N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2,3,5,6-tetrafluorobenzyl)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide
1009	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1R,2S)-2-hydroxy-6-methoxy-2,3-dihydro-1H-inden-1-yl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide
1010	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1R,2S)-2-hydroxy-6-methoxy-2,3-dihydro-1H-inden-1-yl]amino}propyl)-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide
1011	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(1R,2S)-6-ethyl-2-hydroxy-2,3-dihydro-1H-inden-1-yl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide

EXAMPLE	Substituted Amine (X)
1012	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(1R,2S)-6-ethyl-2-hydroxy-2,3-dihydro-1H-inden-1-yl]amino}-2-hydroxypropyl)-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide
1013	N^1-{(1S,2R)-2-hydroxy-1-(1H-indol-5-ylmethyl)-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide
1014	N^1-[(1S,2R)-3-[(3-ethylbenzyl)amino]-2-hydroxy-1-(1H-indol-5-ylmethyl)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide
1015	N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(3-methylbenzyl)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide
1016	N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(3-methylbenzyl)propyl]- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide
1017	N^1-{(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-[3-(trifluoromethyl)benzyl]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide
1018	N^1-{(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-[3-(trifluoromethyl)benzyl]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide
1019	N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-pyridinylmethyl)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide
1020	N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-pyridinylmethyl)propyl]- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide
1021	N^1-{(1S,2R)-1-[3-fluoro-5-(trifluoromethyl)benzyl]-2-

EXAMPLE	Substituted Amine (X)
	hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide
1022	N^1-{(1S,2R)-1-[3-fluoro-5-(trifluoromethyl)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide
1023	N^1-{(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-[3-(trifluoromethoxy)benzyl]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide
1024	N^1-{(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-[3-(trifluoromethoxy)benzyl]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide
1025	N^1-{(1S,2R)-2-hydroxy-1-(3-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide
1026	N^1-{(1S,2R)-2-hydroxy-1-(3-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide
1027	N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(4-methylbenzyl)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide
1028	N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(4-methylbenzyl)propyl]- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide
1029	N^1-{(1S,2R)-1-(4-fluoro-3-methylbenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide
1030	N^1-{(1S,2R)-1-(4-fluoro-3-methylbenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide

EXAMPLE	Substituted Amine (X)
1031	N^1-{(1S,2R)-1-(4-chlorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide
1032	N^1-{(1S,2R)-1-(4-chlorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide
1033	N^1-{(1S,2R)-2-hydroxy-1-(3-methoxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide
1034	N^1-{(1S,2R)-2-hydroxy-1-(3-methoxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide
1035	N^1-{(1S,2R)-2-hydroxy-1-(4-methoxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide
1036	N^1-{(1S,2R)-2-hydroxy-1-(4-methoxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide
1037	N^1-{(1S,2R)-1-(3-chloro-5-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide
1038	N^1-{(1S,2R)-1-(3-chloro-5-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide
1039	N^1-{(1S,2R)-1-(4-chloro-3-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide
1040	N^1-{(1S,2R)-1-(4-chloro-3-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-1,3,5-

EXAMPLE	Substituted Amine (X)
	benzenetricarboxamide
1041	N^1-{(1S,2R)-1-(3,5-dichlorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide
1042	N^1-{(1S,2R)-1-(3,5-dichlorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide
1043	N^1-{(1S,2R)-1-[4-(dimethylamino)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide
1044	N^1-{(1S,2R)-1-[4-(dimethylamino)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide
1045	N^1-{(1S,2R)-1-(3-chlorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide
1046	N^1-{(1S,2R)-1-(3-chlorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide
1047	N^1-{(1S,2R)-1-(3-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide
1048	N^1-{(1S,2R)-1-(3-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide
1049	N^1-{(1S,2R)-2-hydroxy-1-(4-isopropylbenzyl)-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide
1050	N^1-{(1S,2R)-2-hydroxy-1-(4-isopropylbenzyl)-3-[(3-

EXAMPLE	Substituted Amine (X)
	methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide
1051	N^1-{(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-[(6-methoxy-2-pyridinyl)methyl]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide
1052	N^1-{(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-[(6-methoxy-2-pyridinyl)methyl]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide
1053	N^1-{(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-[(5-methyl-2-pyridinyl)methyl]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide
1054	N^1-{(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-[(5-methyl-2-pyridinyl)methyl]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide
1055	N^1-{(1S,2R)-1-(3-fluoro-4-methylbenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide
1056	N^1-{(1S,2R)-1-(3-fluoro-4-methylbenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide
1057	N^1-{(1S,2R)-1-(3-fluoro-4-methoxybenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide
1058	N^1-{(1S,2R)-1-(3-fluoro-4-methoxybenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide
1059	N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-methoxy-5-methylbenzyl)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide

EXAMPLE	Substituted Amine (X)
1060	N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-methoxy-5-methylbenzyl)propyl]-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide
1061	N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(1,3-thiazol-2-ylmethyl)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide
1062	N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(1,3-thiazol-2-ylmethyl)propyl]-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide
1063	N^1-{(1S,2R)-1-[(5-chloro-2-thienyl)methyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide
1064	N^1-{(1S,2R)-1-[(5-chloro-2-thienyl)methyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide

EXAMPLES 1,065-1,155

Following the general procedure of CHART A and EXAMPLES 4-6, 321-327, 329-464, 466-527, 529-579, 597-619 and 633-708 and making non-critical variations, and using the appropriate amines (VIII) and amide forming agents (IX), the titled compounds are obtained.

EXAMPLE	Substituted Amine (X)
1,065	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy-3-(1-pyrrolidinylcarbonyl)benzamide
1,066	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-2-[(methylsulfonyl)amino]-1,3-thiazole-4-carboxamide
1,067	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide

EXAMPLE	Substituted Amine (X)
1,068	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(propylsulfonyl)amino]-1,3-thiazole-4-carboxamide
1,069	N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-hydroxy-3-(1-pyrrolidinylcarbonyl)benzamide
1,070	N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-[(propylsulfonyl)amino]-1,3-thiazole-4-carboxamide
1,071	N-{(1S,2R)-1-benzyl-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide
1,072	N-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[1-(3-ethylphenyl)cyclopropyl]amino}-2-hydroxypropyl)-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide
1,073	N-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[1-(3-ethylphenyl)-1-methylethyl]amino}-2-hydroxypropyl)-4-hydroxy-3-(1-pyrrolidinylcarbonyl)benzamide
1,074	N-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[1-(3-ethylphenyl)-1-methylethyl]amino}-2-hydroxypropyl)-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide
1,075	N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide
1,076	N-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[1-(3-ethylphenyl)-1-methylethyl]amino}-2-hydroxypropyl)-5-methyl-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide
1,077	N-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[1-(3-ethylphenyl)cyclopropyl]amino}-2-hydroxypropyl)-4-hydroxy-3-(1-pyrrolidinylcarbonyl)benzamide
1,078	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethynylbenzyl)amino]-2-hydroxypropyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide

EXAMPLE	Substituted Amine (X)
1,079	N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide
1,080	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethynylbenzyl)amino]-2-hydroxypropyl}-5-methyl-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide
1,081	N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-hydroxy-3-(1-piperidinylcarbonyl)benzamide
1,082	N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-[(methylsulfonyl)amino]-1,3-oxazole-2-carboxamide
1,083	N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide
1,084	N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-methyl-4-[(methylsulfonyl)amino]-1,3-oxazole-2-carboxamide
1,085	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy-3-(1-piperidinylcarbonyl)benzamide
1,086	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-[(methylsulfonyl)amino]-1,3-oxazole-2-carboxamide
1,087	N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-methyl-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide
1,088	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-4-[(methylsulfonyl)amino]-1,3-oxazole-2-carboxamide
1,089	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy-3-(4-morpholinylcarbonyl)benzamide
1,090	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-[(ethylsulfonyl)amino]-1,3-oxazole-2-carboxamide

EXAMPLE	Substituted Amine (X)
1,091	N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-methyl-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide
1,092	N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-[(ethylsulfonyl)amino]-1,3-oxazole-2-carboxamide
1,093	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy-3-(4-morpholinylcarbonyl)benzamide
1,094	N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-[(propylsulfonyl)amino]-1,3-oxazole-2-carboxamide
1,095	N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide
1,096	N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-[(methylsulfonyl)amino]-1,3-thiazole-2-carboxamide
1,097	N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-hydroxy-3-(1-piperazinylcarbonyl)benzamide
1,098	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-[(methylsulfonyl)amino]-1,3-thiazole-2-carboxamide
1,099	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide
1,100	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-5-carboxamide
1,101	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy-3-(1-piperazinylcarbonyl)benzamide
1,102	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-

EXAMPLE	Substituted Amine (X)
	hydroxypropyl}-4-methyl-2-[(methylsulfonyl)amino]-1,3-oxazole-5-carboxamide
1,103	N^4-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-4,5-dicarboxamide
1,104	N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-5-carboxamide
1,105	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy-N^3-methylisophthalamide
1,106	N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-methyl-2-[(methylsulfonyl)amino]-1,3-oxazole-5-carboxamide
1,107	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(ethylsulfonyl)amino]-1,3-oxazole-4-carboxamide
1,108	N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-[(methylsulfonyl)amino]-1,3-oxazole-2-carboxamide
1,109	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-hydroxy-N^3-methylisophthalamide
1,110	N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-methyl-5-[(methylsulfonyl)amino]-1,3-oxazole-2-carboxamide
1,111	N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-[(ethylsulfonyl)amino]-1,3-oxazole-4-carboxamide
1,112	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-methyl-5-[(methylsulfonyl)amino]-1,3-oxazole-2-carboxamide
1,113	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-

EXAMPLE	Substituted Amine (X)
	methoxybenzyl)amino]propyl}-N^3-ethyl-4-hydroxyisophthalamide
1,114	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(methylsulfonyl)amino]-1,3-oxazole-2-carboxamide
1,115	N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[(ethylsulfonyl)amino]-1,3-oxazole-4-carboxamide
1,116	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(methylsulfonyl)amino]-3-isoxazolecarboxamide
1,117	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3-ethyl-4-hydroxyisophthalamide
1,118	N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-[(methylsulfonyl)amino]-3-isoxazolecarboxamide
1,119	N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[(propylsulfonyl)amino]-1,3-oxazole-4-carboxamide
1,120	N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-3-[(methylsulfonyl)amino]-5-isoxazolecarboxamide
1,121	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-N^3-ethyl-4-hydroxyisophthalamide
1,122	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(methylsulfonyl)amino]-5-isoxazolecarboxamide
1,123	N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-[(propylsulfonyl)amino]-1,3-oxazole-4-carboxamide
1,124	N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-(hydroxymethyl)-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide
1,125	N^3-(cyclopropylmethyl)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-

EXAMPLE	Substituted Amine (X)
	hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-hydroxyisophthalamide
1,126	5-cyclopropyl-N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide
1,127	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(propylsulfonyl)amino]-1,3-oxazole-4-carboxamide
1,128	N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-isopropyl-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide
1,129	N^3-(cyclopropylmethyl)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxyisophthalamide
1,130	N-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(isopentylamino)propyl]-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide
1,131	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-2-[(propylsulfonyl)amino]-1,3-oxazole-4-carboxamide
1,132	N-[(1S,2R)-3-(cyclopropylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide
1,133	N-[(1S,2R)-3-[(3-ethylbenzyl)amino]-2-hydroxy-1-(4-hydroxybenzyl)propyl]-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide
1,134	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy-N^3-isobutylisophthalamide
1,135	2-{[(cyclopropylmethyl)sulfonyl]amino}-N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-1,3-oxazole-4-carboxamide
1,136	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy- N^3-isobutyl-N^3-methylisophthalamide

EXAMPLE	Substituted Amine (X)
1,137	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(isobutylsulfonyl)amino]-1,3-oxazole-4-carboxamide
1,138	N3-(cyclopropylmethyl)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy-N^3-methylisophthalamide
1,139	N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-[(isobutylsulfonyl)amino]-1,3-oxazole-4-carboxamide
1,140	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy-N^3-methyl-N^3-propylisophthalamide
1,141	N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[(isobutylsulfonyl)amino]-1,3-oxazole-4-carboxamide
1,142	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-hydroxy-N^3-methyl-N^3-propylisophthalamide
1,143	N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[(phenylsulfonyl)amino]-1,3-oxazole-4-carboxamide
1,144	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N3-ethyl-4-hydroxy-N^3-propylisophthalamide
1,145	N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-{[(4-methylphenyl)sulfonyl]amino}-1,3-oxazole-4-carboxamide
1,146	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N3-ethyl-4-hydroxy-N^3-propylisophthalamide
1,147	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-{[(4-methylphenyl)sulfonyl]amino}-1,3-oxazole-

EXAMPLE	Substituted Amine (X)
	4-carboxamide
1,148	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(phenylsulfonyl)amino]-1,3-oxazole-4-carboxamide
1,149	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy-N^3, N^3-dipropylisophthalamide
1,150	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[methyl(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide
1,151	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-hydroxy-N^3, N^3-dipropylisophthalamide
1,152	N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[methyl(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide
1,153	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-hydroxy-N^3, N^3-dipropylisophthalamide
1,154	N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[(methylsulfonyl)amino]-1,3-thiazole-4-carboxamide
1,155	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(methylsulfonyl)amino]-1,3-thiazole-4-carboxamide

EXAMPLES 1,156-1,214

Following the general procedure of CHART A and EXAMPLES 4-6, 321-327, 329-464, 466-527, 529-579, 597-619 and 633-708 and making non-critical variations, and using the appropriate amines (VIII) and amide forming agents (IX), the titled compounds are obtained.

EXAMPLE	Substituted Amine (X)	MH+

EXAMPLE	Substituted Amine (X)	MH+
1,156	N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-methyl-5-[propionyl(propyl)amino]benzamide	532.5
1,157	N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-1-butyl-1H-indole-5-carboxamide	Anal. Found: C = 73.58; H = 7.44; N = 8.24.
1,159	N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[butyl(propionyl)amino]-5-methylbenzamide	546.3
1,160	N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-methyl-1-propyl-1H-indole-6-carboxamide	500.3
1,161	N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-1-(1-propylbutyl)-1H-indole-6-carboxamide	542.2
1,162	N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[(2-oxo-2,3-dihydro-1,3-benzoxazol-6-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide	573.3
1,163	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropyl-5-{[(trifluoromethyl)sulfonyl]amino}isophthalamide	713.0
1,164	3-[({(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}amino)carbonyl]-5-[(dipropylamino)carbonyl]benzoic acid	576.1
1,165	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropyl-5-prop-1-ynylisophthalamide	604.4

EXAMPLE	Substituted Amine (X)	MH+
1,166	N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-(dipropylamino)isonicotinamide	HRMS = 505.3176
1,167	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(methylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide	
1,168	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(ethylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide	
1,169	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropyl-5-[(propylsulfonyl)amino]isophthalamide	
1,170	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(isopropylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide	
1,171	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(isobutylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide	
1,172	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropyl-5-[(thien-2-ylsulfonyl)amino]isophthalamide	
1,173	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(2-furylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide	
1,174	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropyl-5-[(1,3-thiazol-5-ylsulfonyl)amino]isophthalamide	
1,175	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(1,3-oxazol-5-ylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide	
1,176	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-	

EXAMPLE	Substituted Amine (X)	MH+
	ethylbenzyl)amino]-2-hydroxypropyl}-5-[(1,3-oxazol-4-ylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide	
1,177	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropyl-5-[(1,3-thiazol-4-ylsulfonyl)amino]isophthalamide	
1,178	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-{[(1-methyl-1H-imidazol-4-yl)sulfonyl]amino}-N^3,N^3-dipropylisophthalamide	
1,179	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(phenylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide	
1,180	5-{[(5-cyanopyridin-2-yl)sulfonyl]amino}-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide	
1,181	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropyl-5-({[5-(trifluoromethyl)pyridin-2-yl]sulfonyl}amino)isophthalamide	
1,182	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-{[(1-methyl-1H-imidazol-4-yl)sulfonyl]amino}benzamide	
1,183	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-({[5-(trifluoromethyl)pyridin-2-yl]sulfonyl}amino)benzamide	
1,184	3-{[(5-cyanopyridin-2-yl)sulfonyl]amino}-N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}benzamide	
1,185	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(phenylsulfonyl)amino]benzamide	
1,186	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-	

EXAMPLE	Substituted Amine (X)	MH+
	ethylbenzyl)amino]-2-hydroxypropyl}-3-[(methylsulfonyl)amino]benzamide	
1,187	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(ethylsulfonyl)amino]benzamide	
1,188	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(propylsulfonyl)amino]benzamide	
1,189	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(isobutylsulfonyl)amino]benzamide	
1,190	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(isopropylsulfonyl)amino]benzamide	
1,191	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-{[(1-ethylpropyl)sulfonyl]amino}benzamide	
1,192	3-[(cyclohexylsulfonyl)amino]-N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}benzamide	
1,193	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-{[(1-propylbutyl)sulfonyl]amino}benzamide	
1,194	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(thien-2-ylsulfonyl)amino]benzamide	

EXAMPLE	Substituted Amine (X)	MH+
1,195	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(2-furylsulfonyl)amino]benzamide	
1,196	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(isoxazol-5-ylsulfonyl)amino]benzamide	
1,197	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(isoxazol-3-ylsulfonyl)amino]benzamide	
1,198	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(3-furylsulfonyl)amino]benzamide	
1,199	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(thien-3-ylsulfonyl)amino]benzamide	
1,200	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(1,3-thiazol-4-ylsulfonyl)amino]benzamide	
1,201	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(1,3-thiazol-5-ylsulfonyl)amino]benzamide	
1,202	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(1,3-thiazol-2-ylsulfonyl)amino]benzamide	
1,203	N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(isopentylamino)propyl]-N^3,N^3-dipropyl-5-{[(trifluoromethyl)sulfonyl]amino}isophthalamide	

EXAMPLE	Substituted Amine (X)	MH+
1,204	N^1-[(1S,2R)-3-amino-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N^3,N^3-dipropyl-5-{[(trifluoromethyl)sulfonyl]amino}isophthalamide	
1,205	N^1-[(1S,2R)-3-amino-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-[(methylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide	
1,206	N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(isopentylamino)propyl]-5-[(methylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide	
1,207	N^1-(tert-butyl)-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}isophthalamide	
1,208	N^1-(tert-butyl)-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylisophthalamide	
1,209	5-bromo-N^1-(tert-butyl)-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}isophthalamide	
1,210	3-tert-butoxy-N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}benzamide	
1,211	3-tert-butoxy-N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylbenzamide	
1,212	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-{[(trifluoromethyl)sulfonyl]amino}benzamide	

EXAMPLE	Substituted Amine (X)	MH+
1,213	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-(trifluoromethoxy)benzamide	
1,214	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-methyl-5-(trifluoromethoxy)benzamide	

EXAMPLES 1,215-1,259

Following the general procedure of CHART A and EXAMPLES 4-6, 321-327, 329-464, 466-527, 529-579, 597-619 and 633-708 and making non-critical variations, and using the appropriate amines (VIII) and amide forming agents (IX), the titled compounds are obtained.

EXAMPLE	Substituted Amine (X)	[M+H]+
1,215	N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-hydroxy-2-(4-methylphenyl)acetamide	581.4
1,216	N1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-hydroxy-N3-methylisophthalamide	610.4
1,217	N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-hydroxy-2-(4-methoxy-3-nitrophenyl)acetamide	642.4
1,218	5-(aminosulfonyl)-N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-methoxybenzamide	646.5
1,219	N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-hydroxy-3-(pyrrolidin-1-ylcarbonyl)benzamide	650.5
1,220	N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide	621.4

EXAMPLE	Substituted Amine (X)	[M+H]+
1,221	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(3,5-dimethylisoxazol-4-yl)-N^3,N^3-dipropylisophthalamide	663.4
1,222	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-5-(1,3-thiazol-2-yl)isophthalamide	651.4
1,223	3-(cyclohexylcarbonyl)-N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methylbenzamide	565.4
1,224	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3-propylisophthalamide	540.4
1,225	3-[cyclohexyl(hydroxy)methyl]-N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methylbenzamide	567.4
1,226	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-(4-methyl-1,3-oxazol-2-yl)-N^3,N^3-dipropylisophthalamide	647.5
1,227	N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^5,N^5-dipropylpyridine-3,5-dicarboxamide	567
1,228	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(3-isobutyl-1,2,4-oxadiazol--5-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide	600
1,229	N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethynylbenzyl)amino]-2-hydroxypropyl}-N^5,N^5-dipropylpyridine-3,5-dicarboxamide	563
1,230	N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropylbenzyl)amino]propyl}-N^5,N^5-dipropylpyridine-3,5-dicarboxamide	581
1,231	N^1-[(1S,2R)-3-[(1-acetylpiperidin-4-yl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-	587

EXAMPLE	Substituted Amine (X)	[M+H]+
	dipropylisophthalamide	
1,232	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-pent-1-ynylbenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide	618
1,233	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(4-hydroxybut-1-ynyl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide	620
1,234	1-{3-[({(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}amino)carbonyl]-5-methylbenzoyl}-L-prolinamide	593
1,235	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3-isopropyl-5-methylisophthalamide	538
1,236	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3-ethyl-N^3,5-dimethylisophthalamide	538
1,237	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3,5-dimethyl-N^3-prop-2-ynylisophthalamide	548
1,238	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3-isobutyl-5-methylisophthalamide	552
1,239	N^1-(sec-butyl)-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylisophthalamide	552
1,240	N^1-butyl-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylisophthalamide	552
1,241	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3-diethyl-5-methylisophthalamide	552
1,242	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-	552

EXAMPLE	Substituted Amine (X)	[M+H]+
	ethylbenzyl)amino]-2-hydroxypropyl}-N^3,5-dimethyl-N^3-propylisophthalamide	
1,243	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3-isopropyl-N^3,5-dimethylisophthalamide	552
1,244	N^1-butyl-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^1,5-dimethylisophthalamide	566
1,245	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3-isobutyl-N^3,5-dimethylisophthalamide	566
1,246	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3-ethyl-5-methyl-N^3-propylisophthalamide	566
1,247	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3-ethyl-N^3-isopropyl-5-methylisophthalamide	566
1,248	N^1,N^1-diallyl-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylisophthalamide	576
1,249	3-(azepan-1-ylcarbonyl)-N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylbenzamide	578
1,250	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3- [(4-hydroxypiperidin-1-yl)carbonyl]-5-methylbenzamide	580
1,251	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(3-hydroxypiperidin-1-yl)carbonyl]-5-methylbenzamide	580
1,252	N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3-diisopropyl-5-methylisophthalamide	580

EXAMPLE	Substituted Amine (X)	[M+H]+
1,253	N^1-butyl-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^1-ethyl-5-methylisophthalamide	580
1,254	N^1-(cyclopropylmethyl)-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-N^1-propylisophthalamide	592
1,255	1-{3-[({(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}amino)carbonyl]-5-methylbenzoyl}-D-prolinamide	593
1,256	N^1-cyclohexyl-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^1,5-dimethylisophthalamide	592
1,257	N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[1-(3-methylphenyl)cyclopropyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide	592
1,258	N^3-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(1,2,3,4-tetrahydronaphthalen-1-ylamino)propyl]-N^5,N^5-diisopropylpyridine-3,5-dicarboxamide	579
1,259	N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-{[(trifluoromethyl)sulfonyl]amino}benzamide	586.1

CHART A

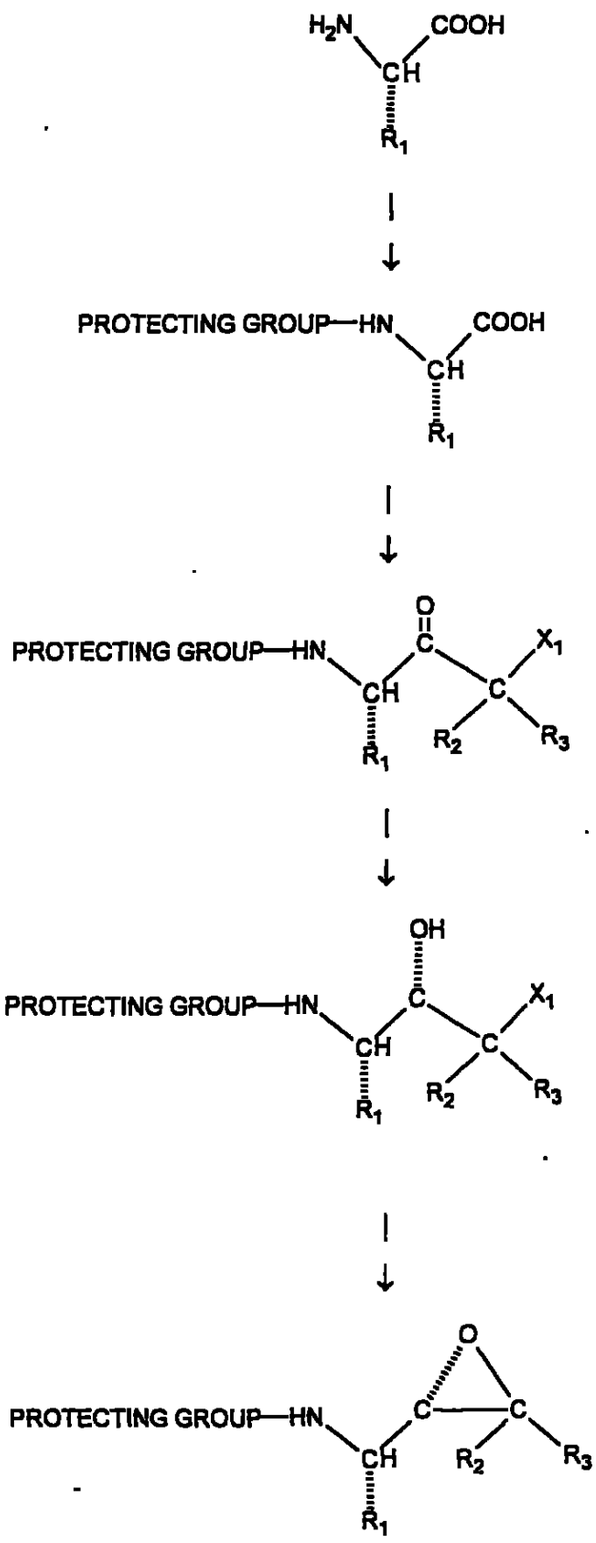
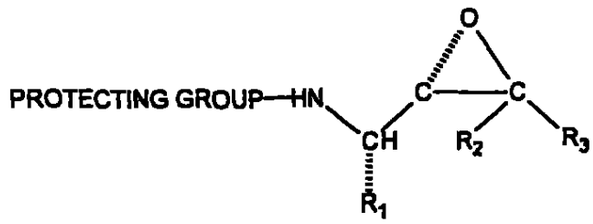

H2N
COOH
CH
R1
(I)
PROTECTING GROUP—HN
COOH
CH
R1
(II)
PROTECTING GROUP—HN
O
C
X1
CH
C
R1
R2
R3
(III)
PROTECTING GROUP—HN
OH
C
X1
CH
C
R1
R2
R3
(IV)
PROTECTING GROUP—HN
O
C
C
CH
R2
R3
R1
(V)

CHART A - Continued

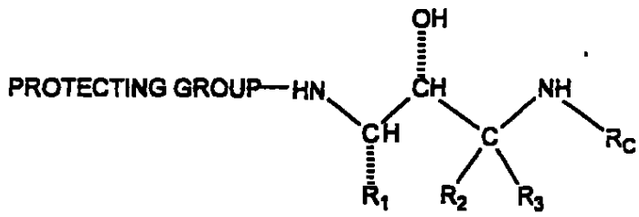


(V)

+

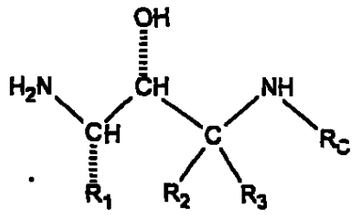
R_C-NH_2 (VI)

↓



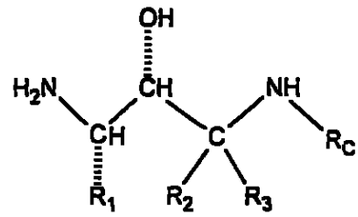
(VII)

↓



(VIII)

CHART A - Continued

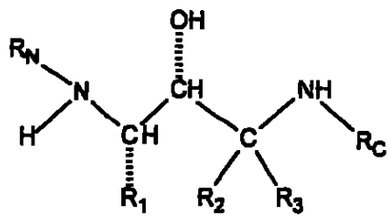


(VIII)

+

$(R_{N-1}\text{-}X_N\text{-})_2O$ or $R_{N-1}\text{-}X_N\text{-}X_2$ or $R_{N-1}\text{-}X_N\text{-}OH$ (IX)

↓



(X)

CHART B

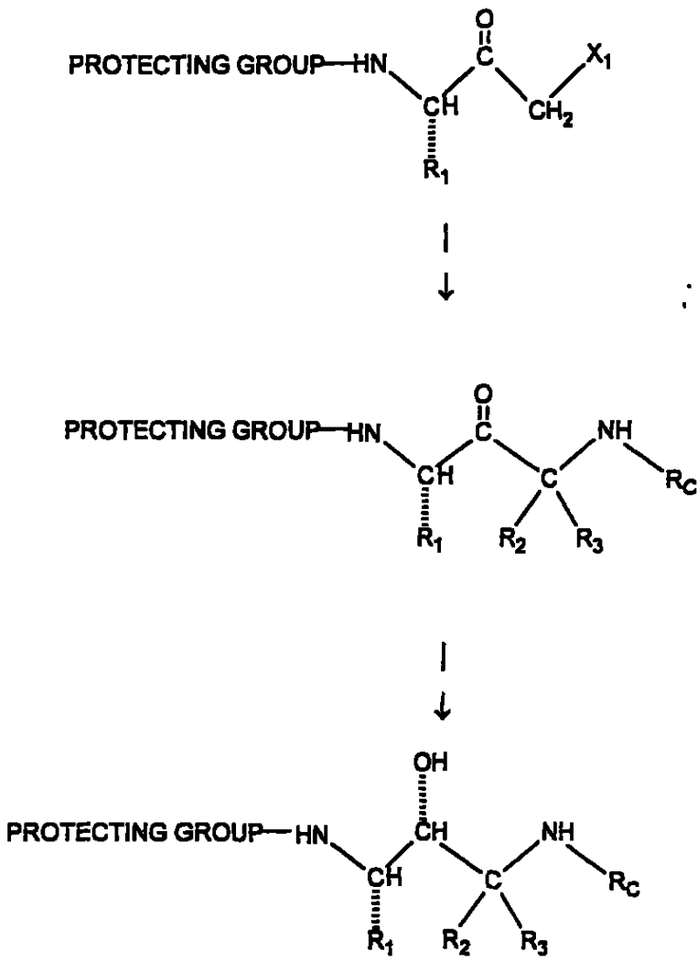
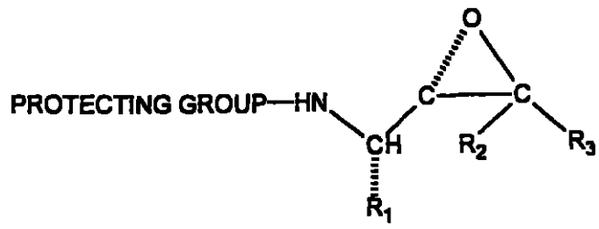
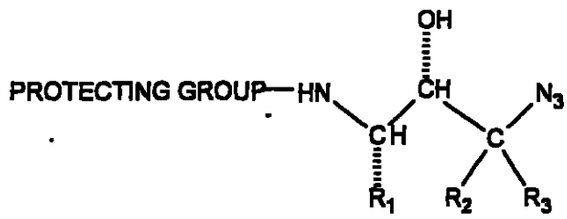

PROTECTING GROUP
HN
O
C
X1
CH
CH2
R1
(III)
PROTECTING GROUP
HN
O
C
NH
CH
C
RC
R1
R2
R3
(XI)
OH
PROTECTING GROUP
HN
CH
NH
CH
C
RC
R1
R2
R3
(VII)

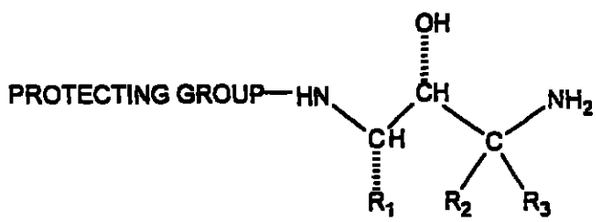
CHART C



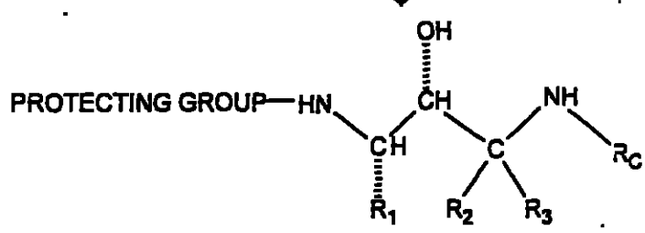
(V)



(XII)



(XIII)



(VII)

CHART D

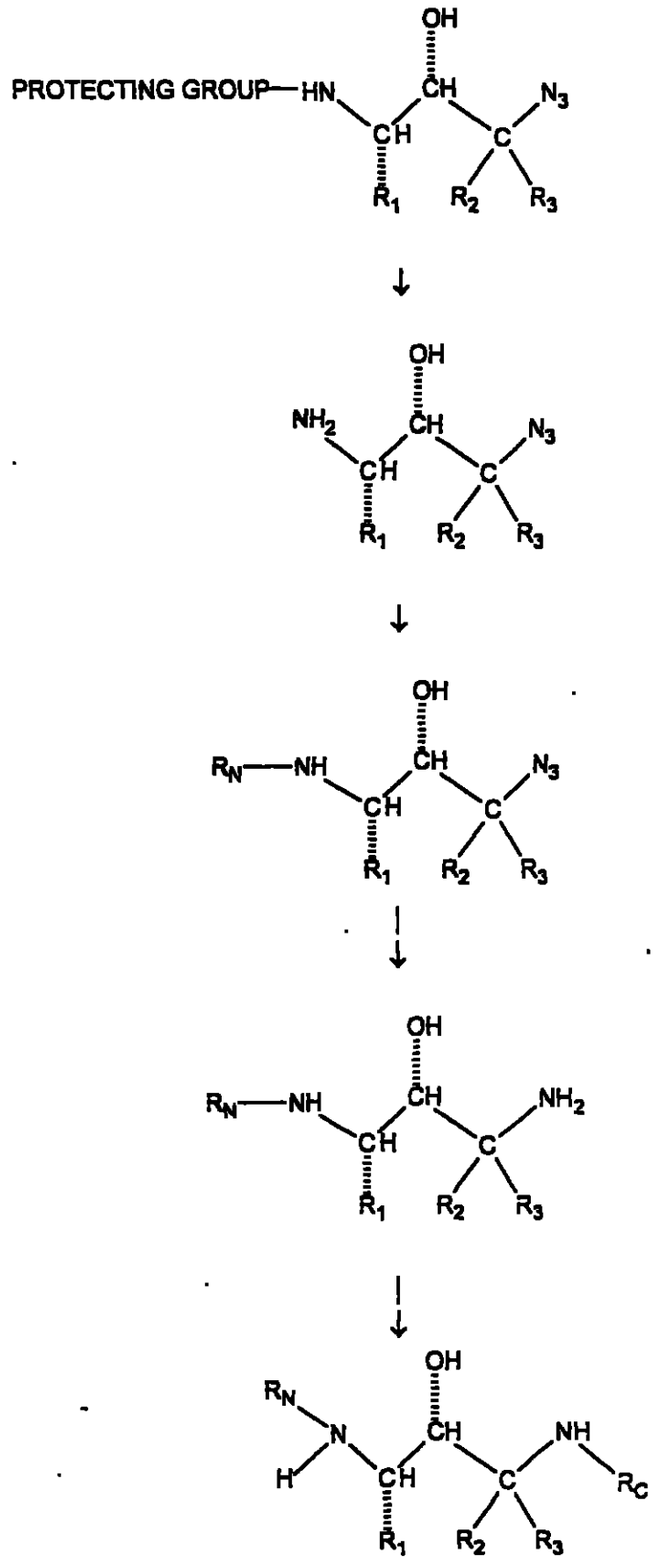

PROTECTING GROUP—HN
OH
CH
N3
CH
C
R1
R2
R3
(XII)
NH2
(XIV)
RN—NH
(XV)
NH2
(XVI)
RN
N
H
NH
RC
(X)

CHART E

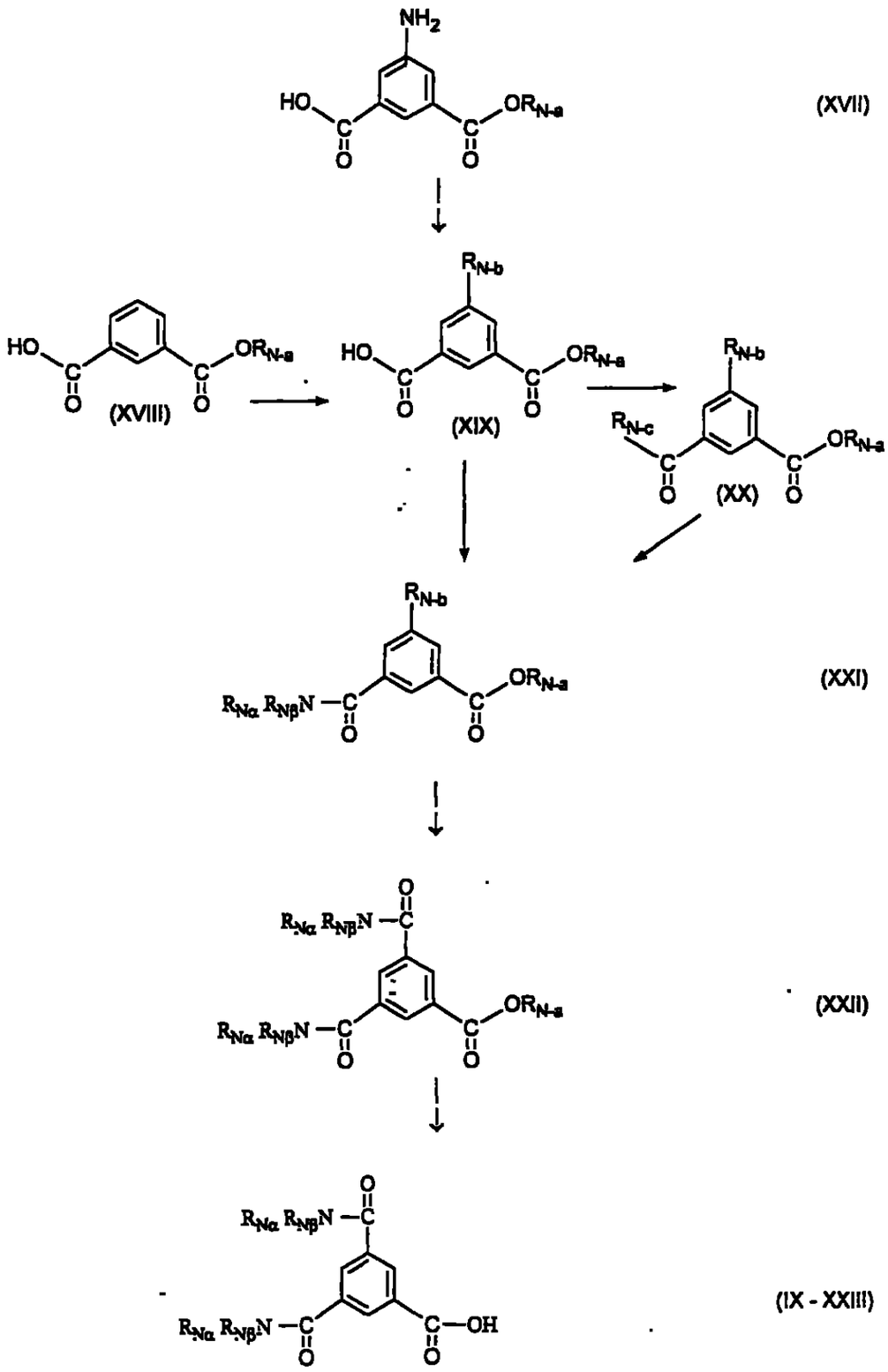
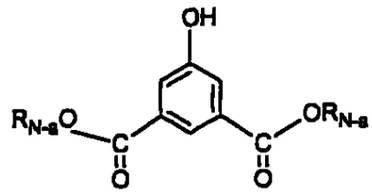
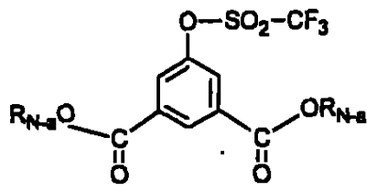

NH2
HO
OR N-a
(XVII)
(XVIII)
R N-b
(XIX)
R N-c
(XX)
(XXI)
R Nα R Nβ N
(XXII)
(IX - XXIII)
OH

CHART F



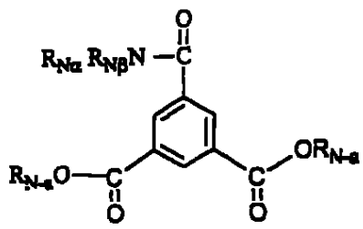
(XXIV)

↓



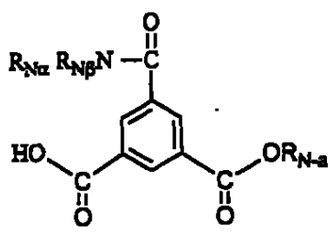
(XXV)

↓



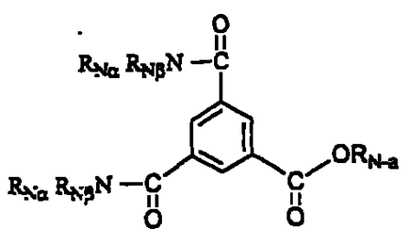
(XXVI)

↓



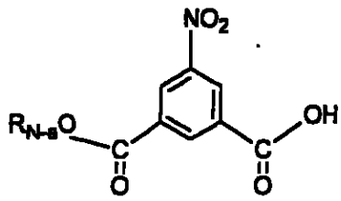
(XXVII)

↓

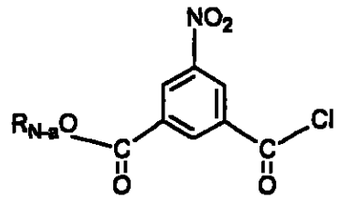


(XXII)

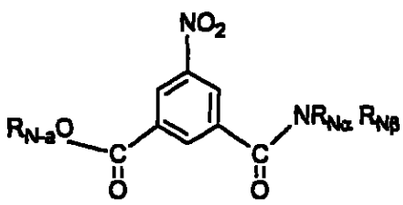
CHART G



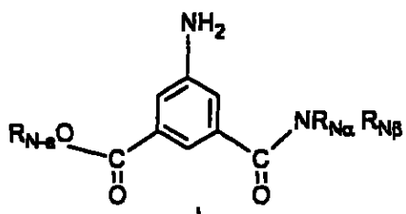
(XXVIII)



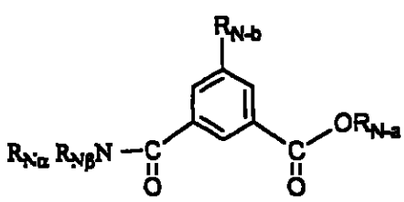
(XXIX)



(XXX)

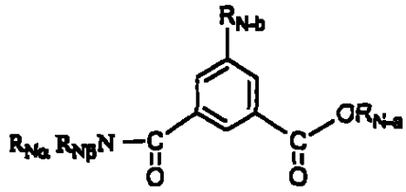


(XXXI)

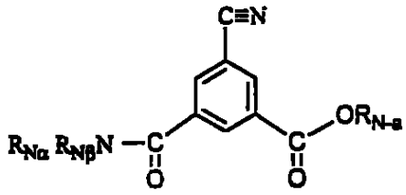


(XXI)

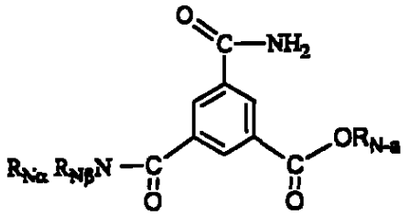
CHART H



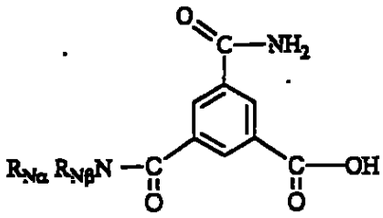
(XXI)



(XXXII)

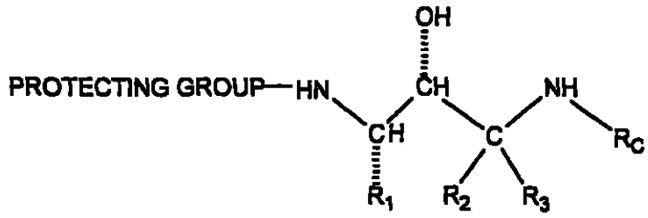


(XXXIII)



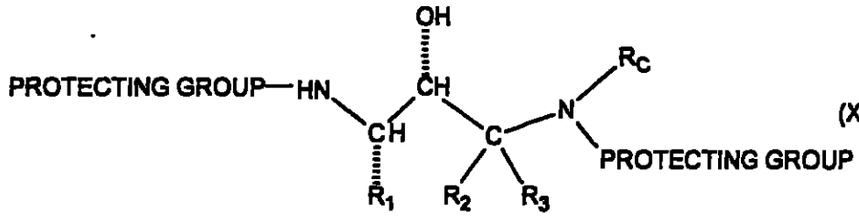
(IX - XXIII)

CHART I



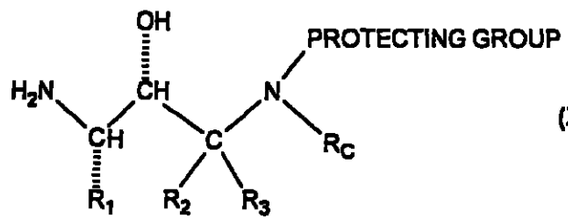
(VII)

↓



(XXXIV)

↓

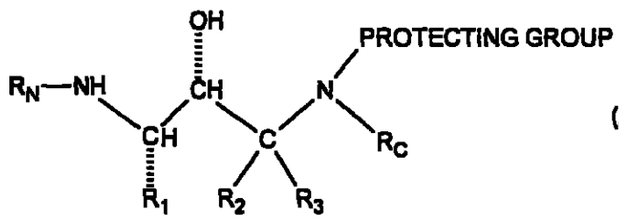


(XXXV)

+

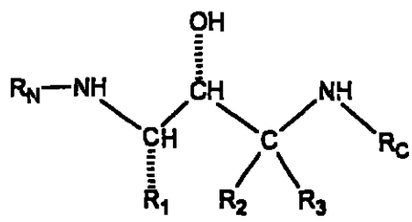
$(R_N\text{-})_2O$ or $R_N\text{-}X_2$ or $R_N\text{-}OH$ (IX)

↓



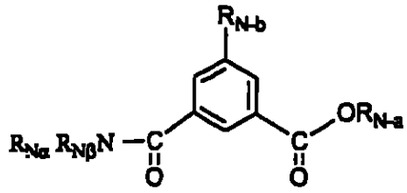
(XXXVI)

↓

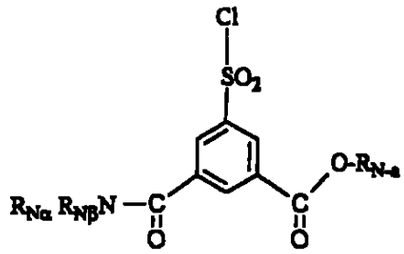


(X)

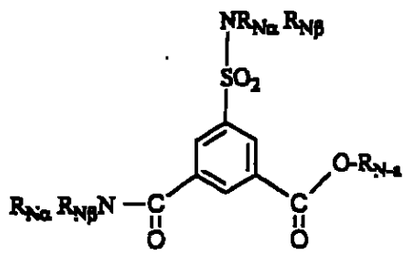
CHART J



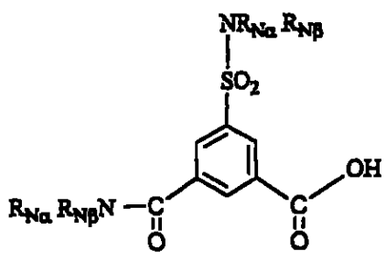
(XXI)



(XXXVII)

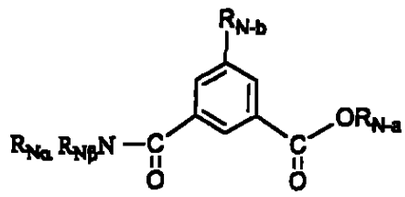


(XXXVIII)

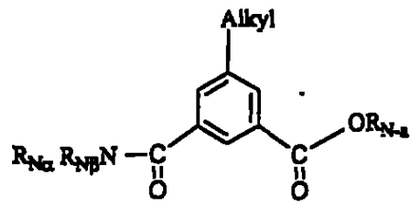


(IX - XXXIX)

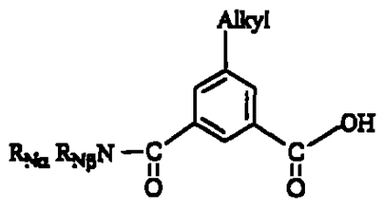
CHART K



(XXI)

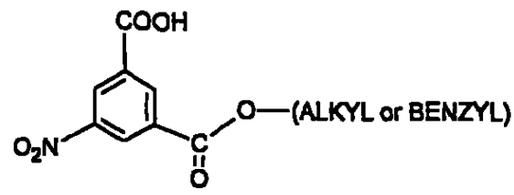


(XL)

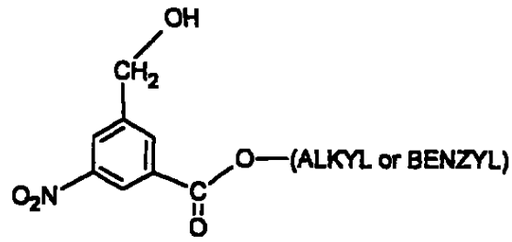


(IX - XLI)

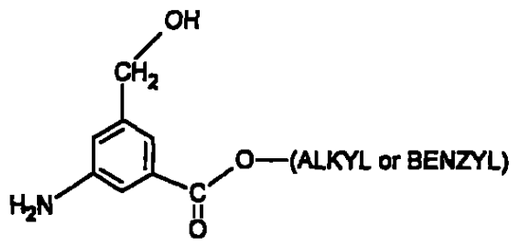
CHART L



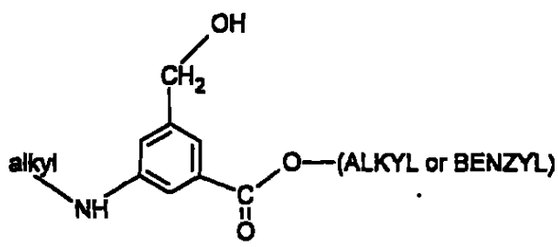
(XLII)



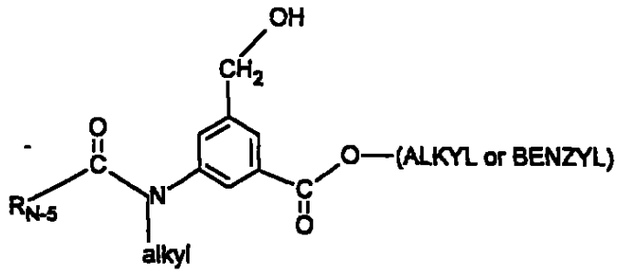
(XLIII)



(XLIV)

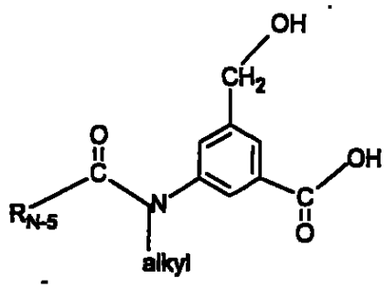


(XLV)

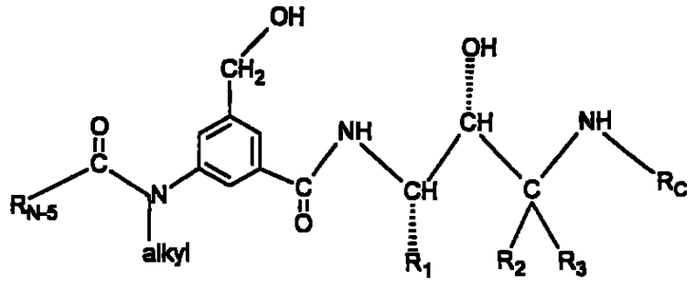


(XLVI)

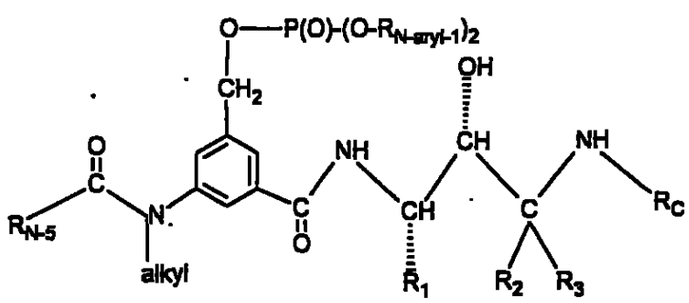
CHART L - Continued



(IX - XLVII)

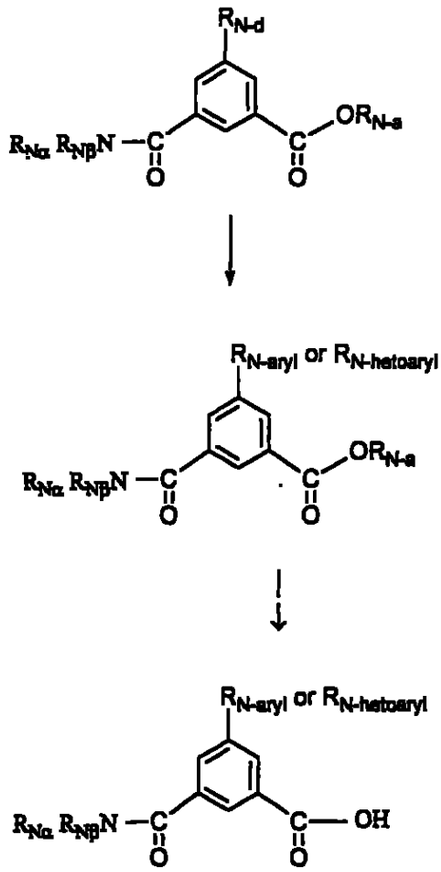


(X)



(X)

CHART M

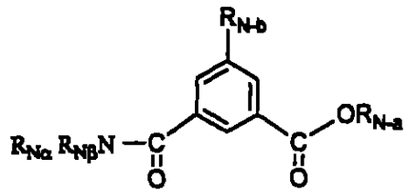


(XLVIII)

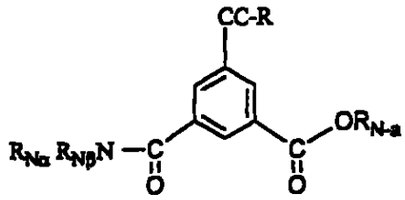
(XLIX)

(IX - L)

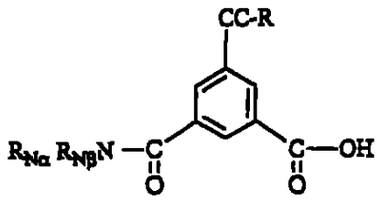
CHART N



(XXI)

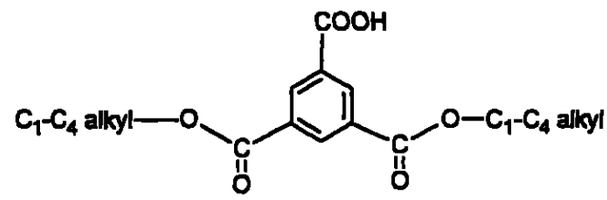


(LI)

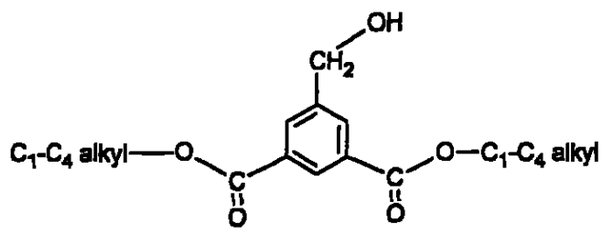


(IX - LII)

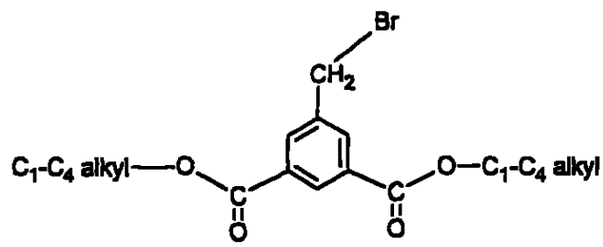
CHART O



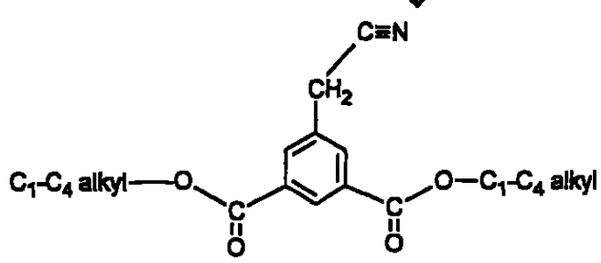
(LIII)



(LIV)



(LV)



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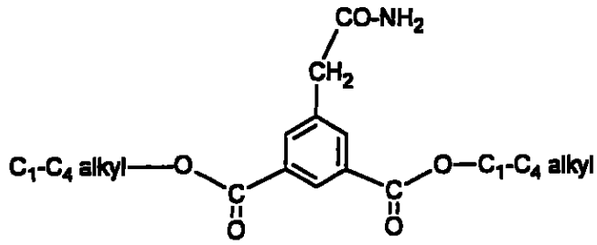
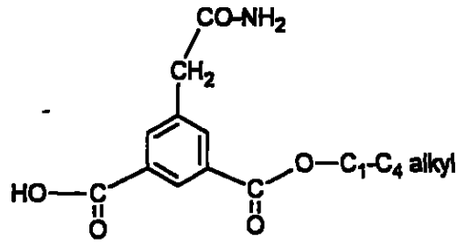


(LVII)

CHART O – Continued



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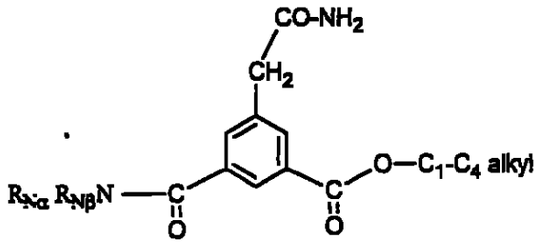

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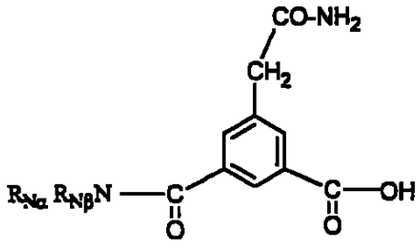
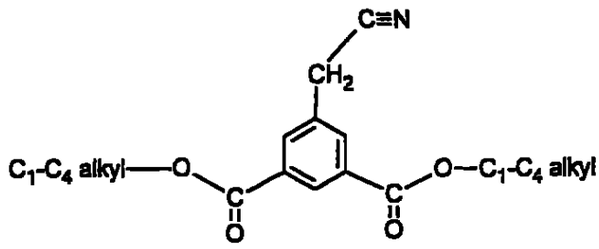


(IX - LX)

CHART O - continued



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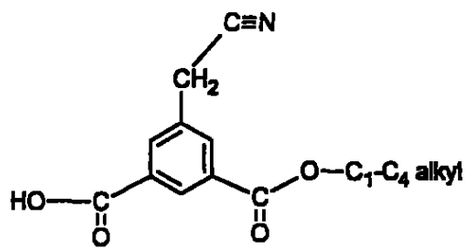

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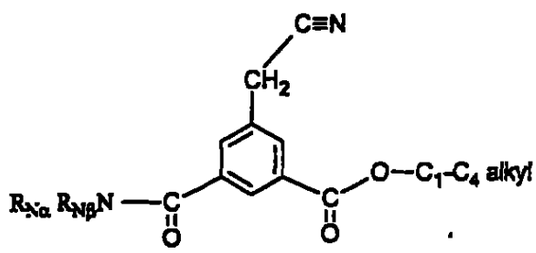
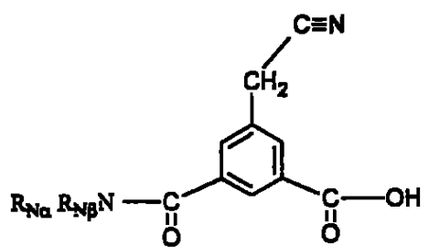


(LXII)



(IX - LXIII)

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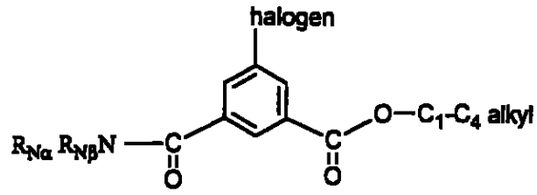

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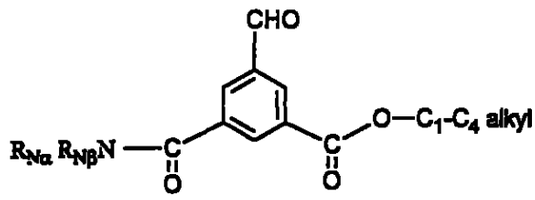

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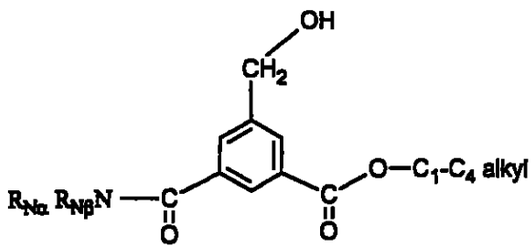

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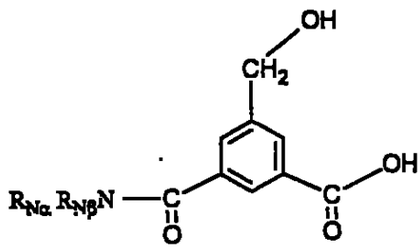


(IX - LXVII)

CHART Q

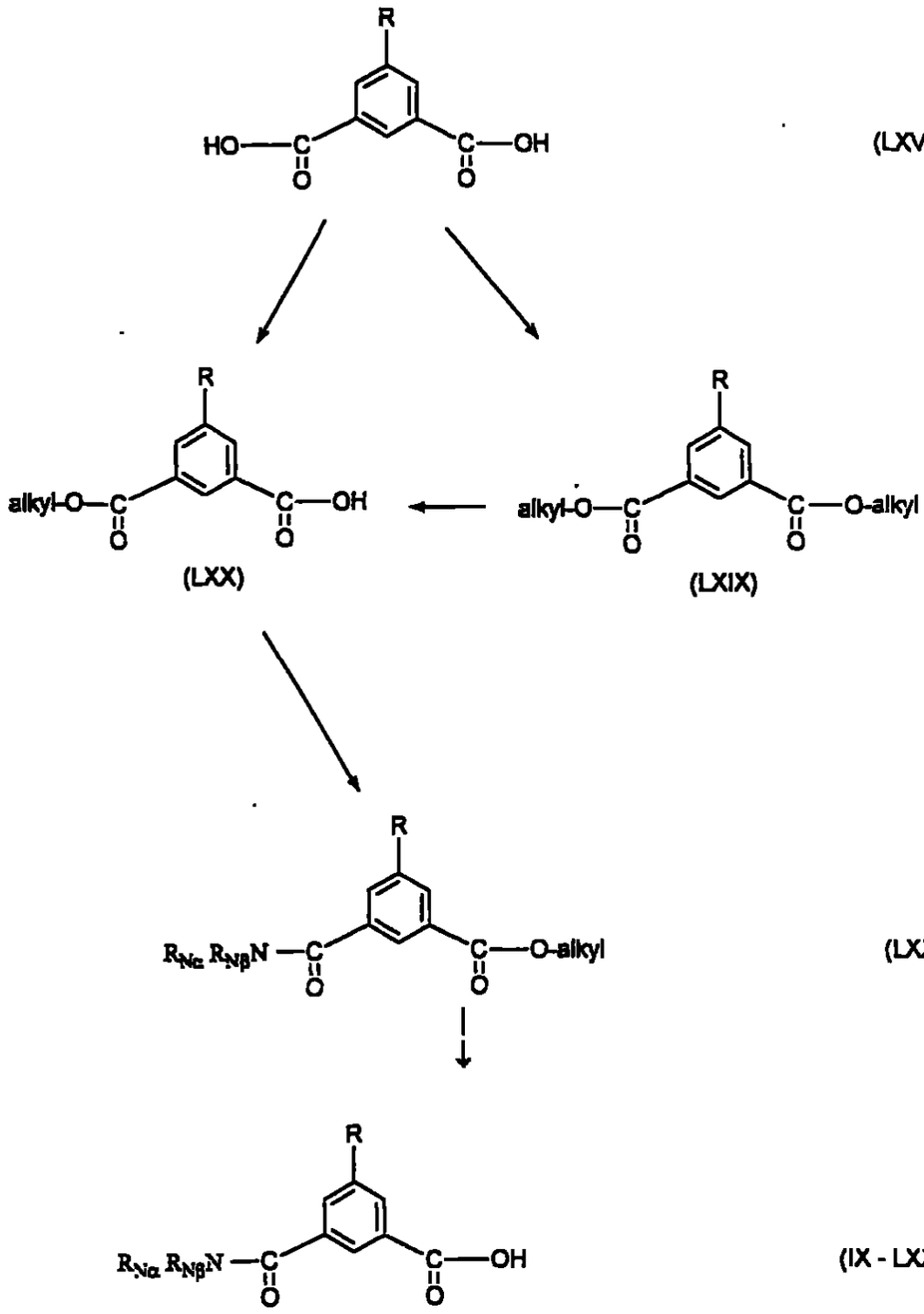
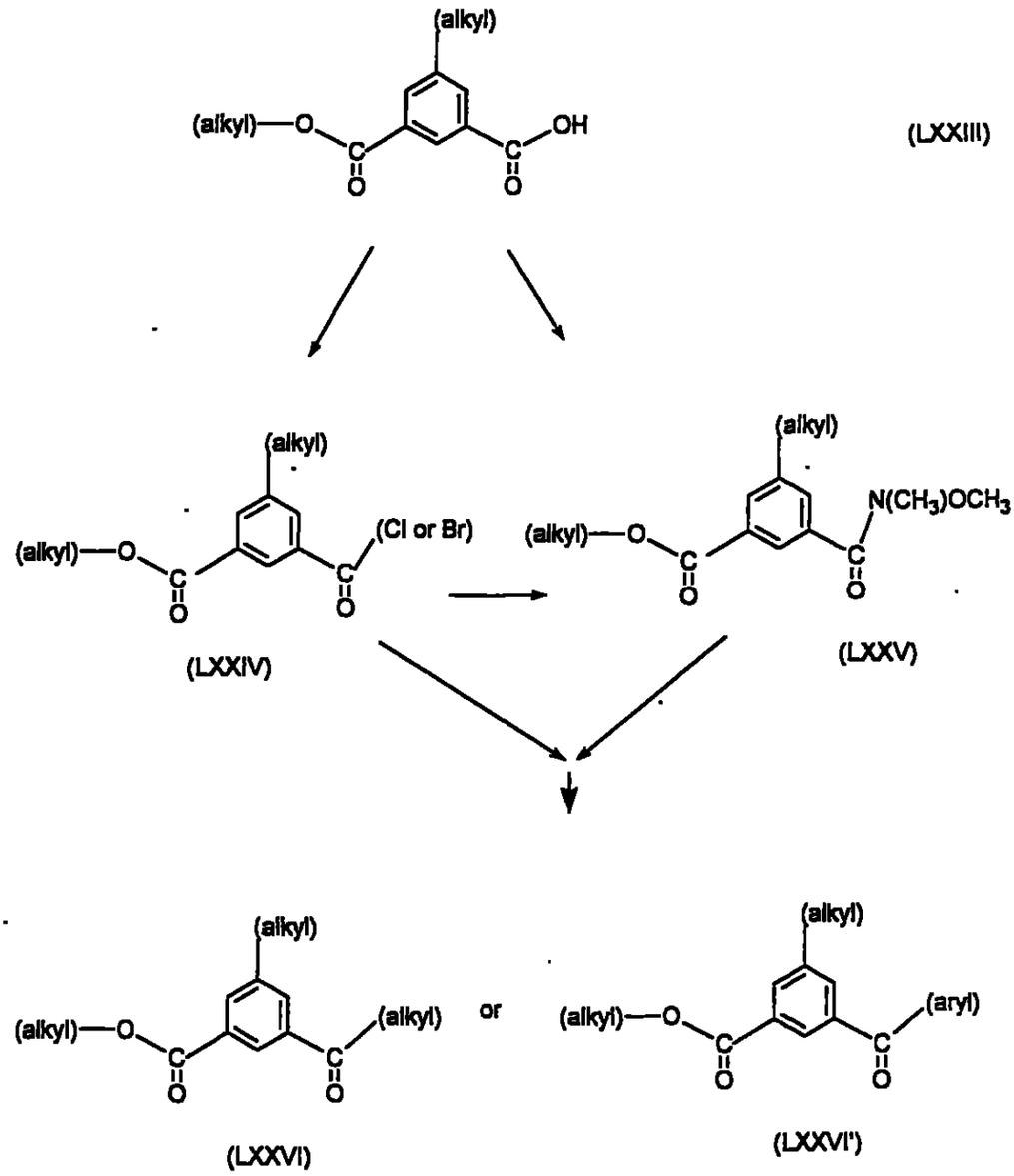
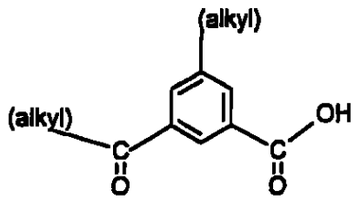
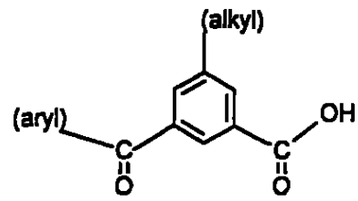

R
HO
C
O
C
OH
(LXVIII)
alkyl-O
(LXX)
(LXIX)
O-alkyl
$R_{N\alpha}R_{N\beta}N$
(LXXI)
(IX - LXXII)

CHART R


(alkyl)
(alkyl)—O
OH
(LXXIII)
(alkyl)
(alkyl)—O
(Cl or Br)
(LXXIV)
(alkyl)
(alkyl)—O
N(CH3)OCH3
(LXXV)
(alkyl)
(alkyl)—O
(alkyl)
(LXXVI)
or
(alkyl)
(alkyl)—O
(aryl)
(LXXVI')



(IX - LXXVII)



(IX - LXXVII')

CHART S

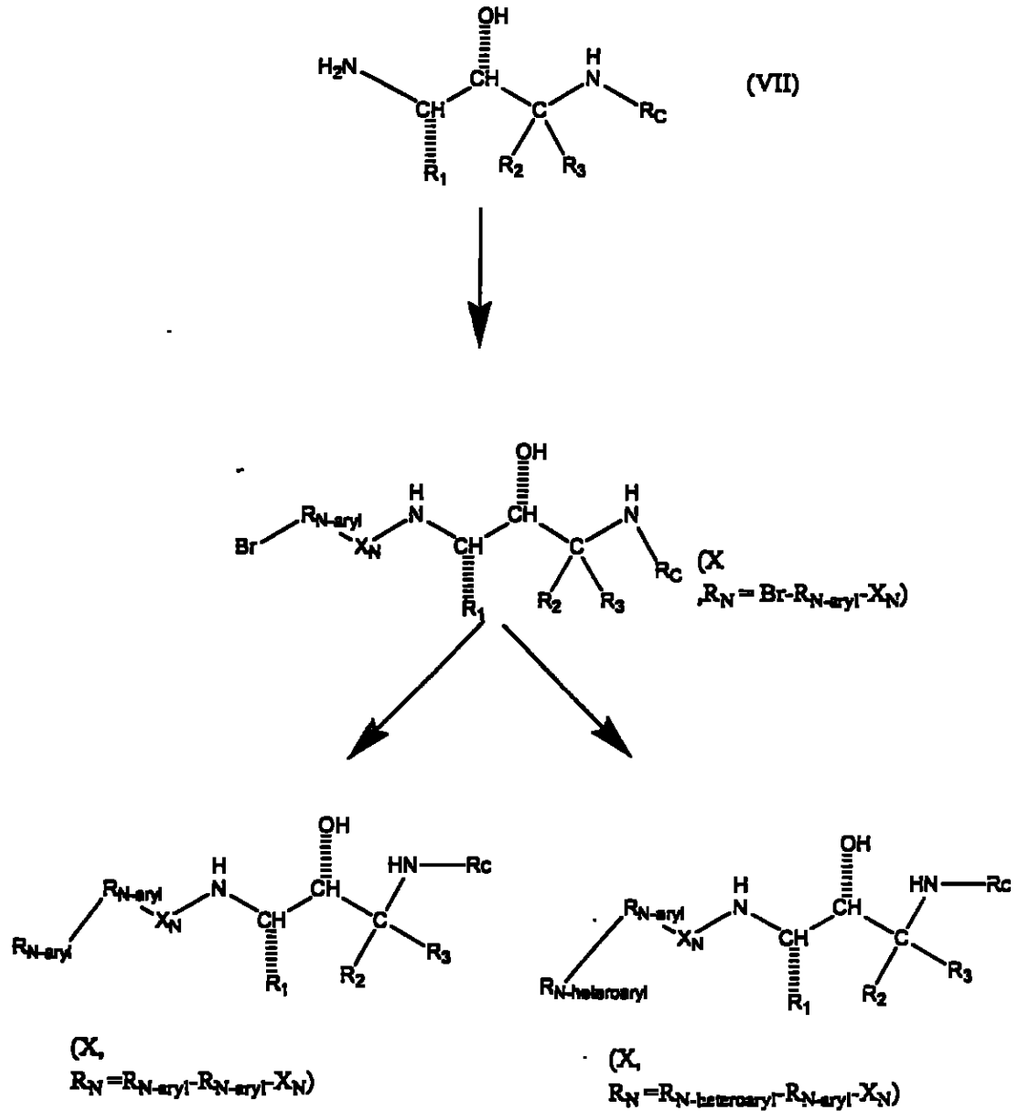
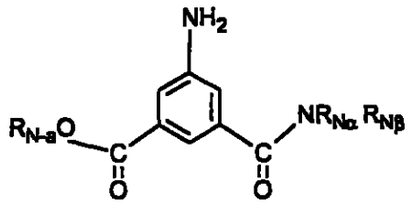
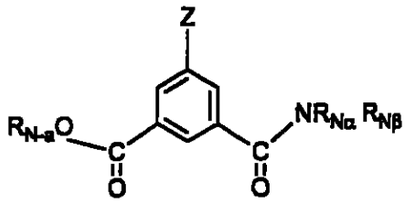

(VII)
(X, R_N = Br-$R_{N\text{-aryl}}$-X_N)
(X, R_N = $R_{N\text{-aryl}}$-$R_{N\text{-aryl}}$-X_N)
(X, R_N = $R_{N\text{-heteroaryl}}$-$R_{N\text{-aryl}}$-X_N)

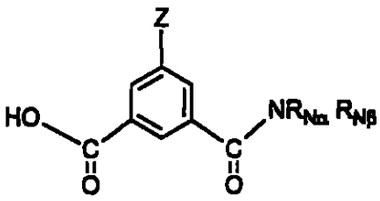
CHART T



(XXXI)



(LXXVIII)



(IX - LXXIX)

CHART U

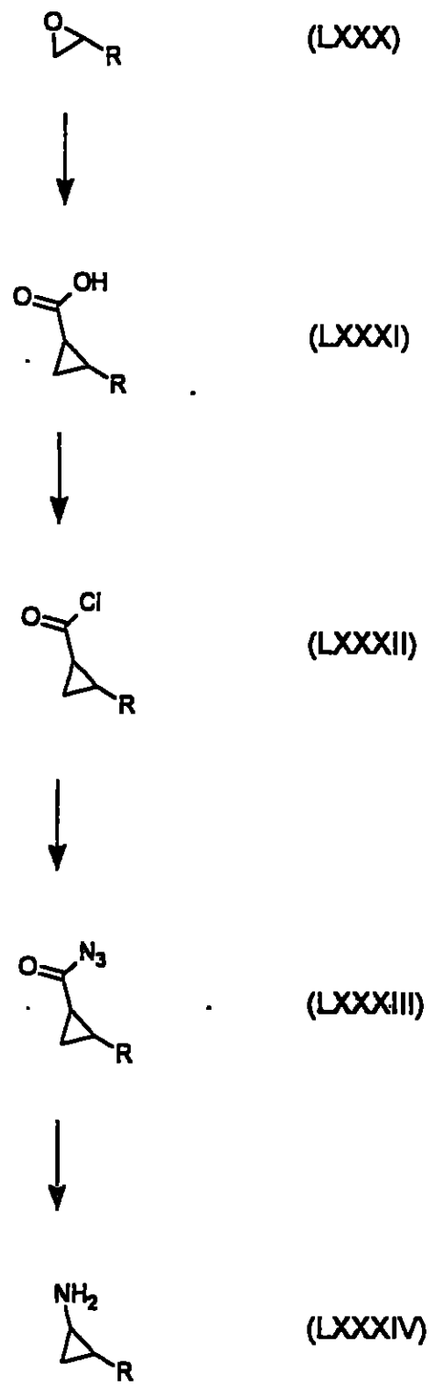


CHART V

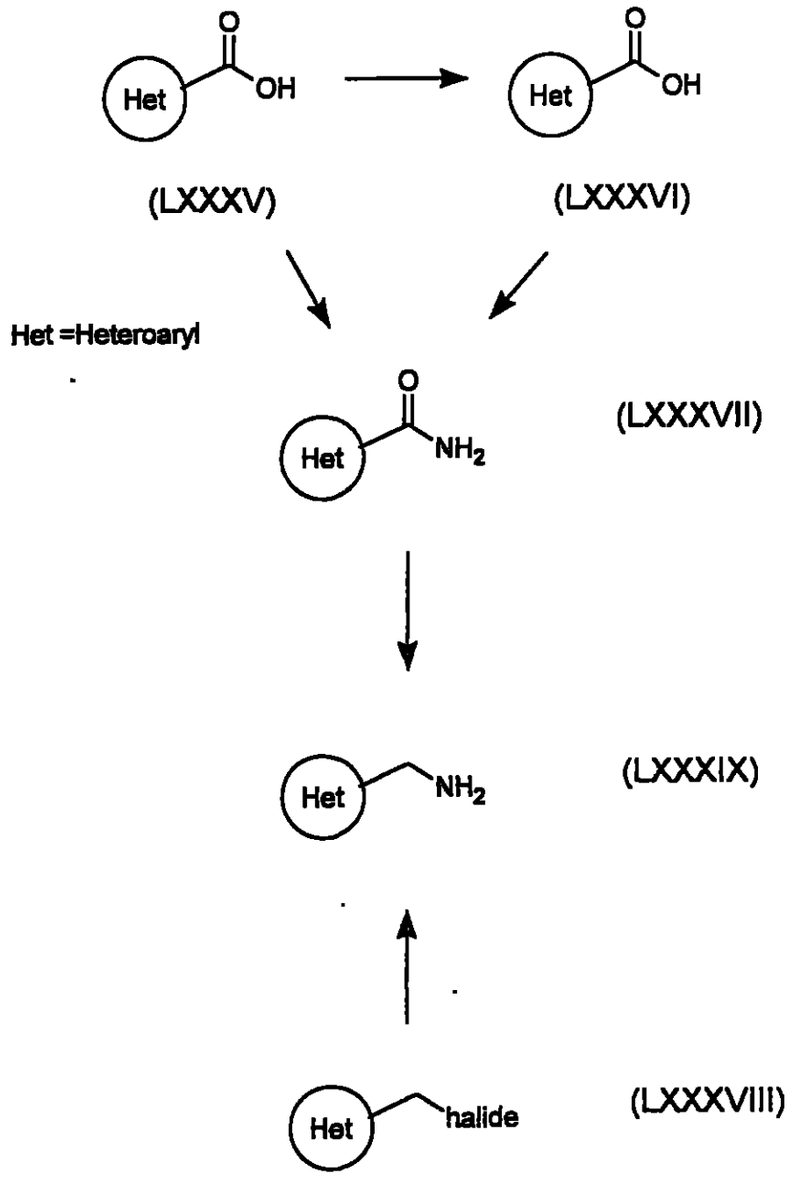

Het
OH
(LXXXV)
Het
OH
(LXXXVI)
Het =Heteroaryl
Het
NH2
(LXXXVII)
Het
NH2
(LXXXIX)
Het
halide
(LXXXVIII)

CHART W

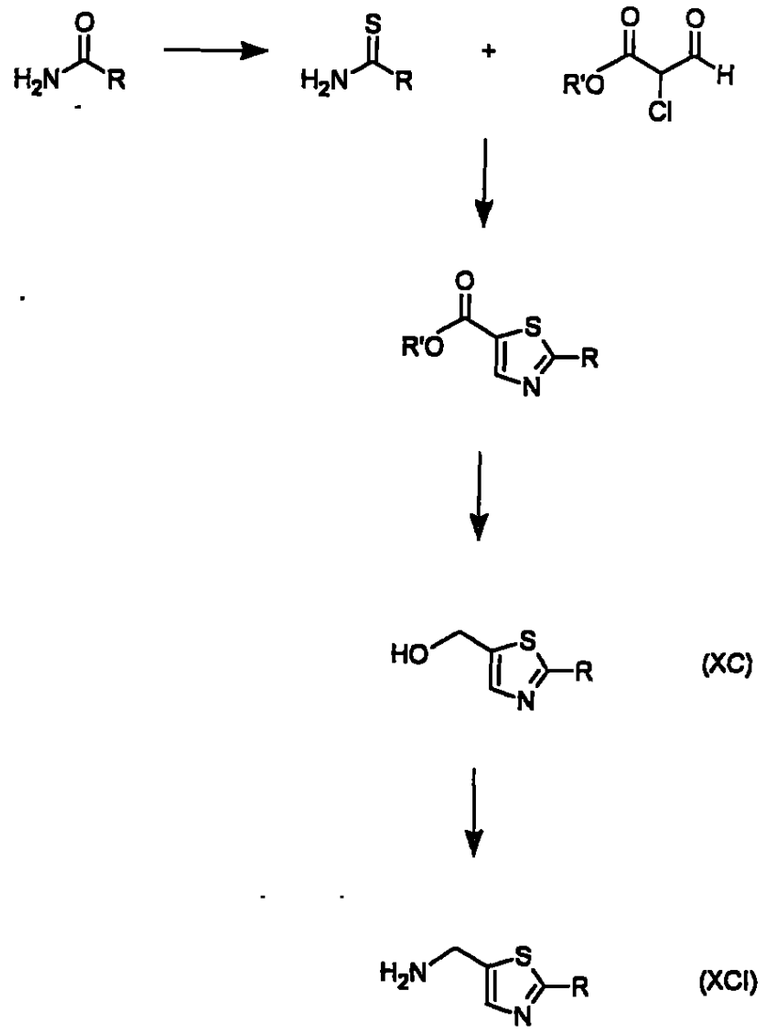
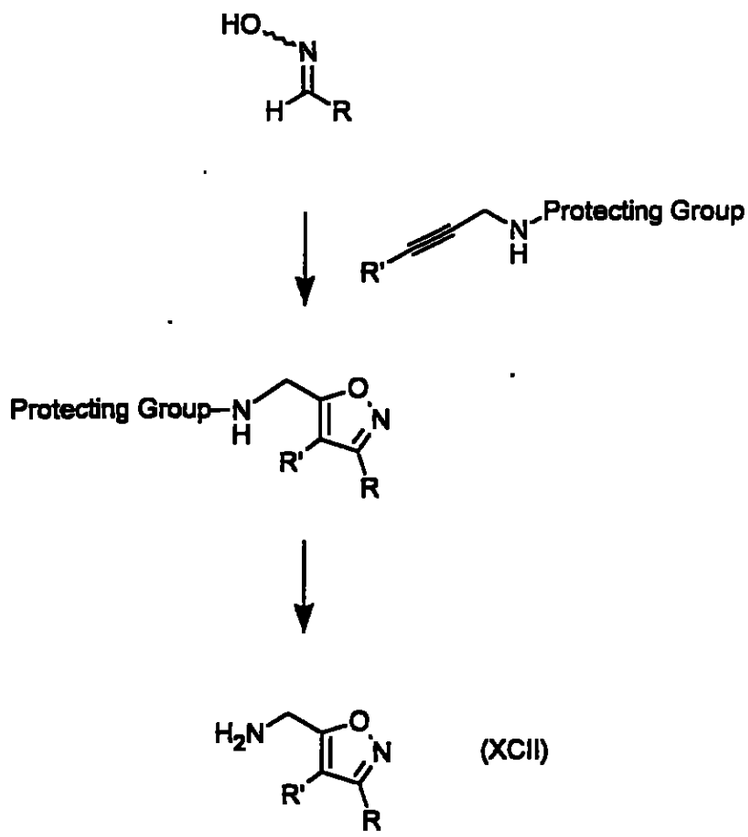
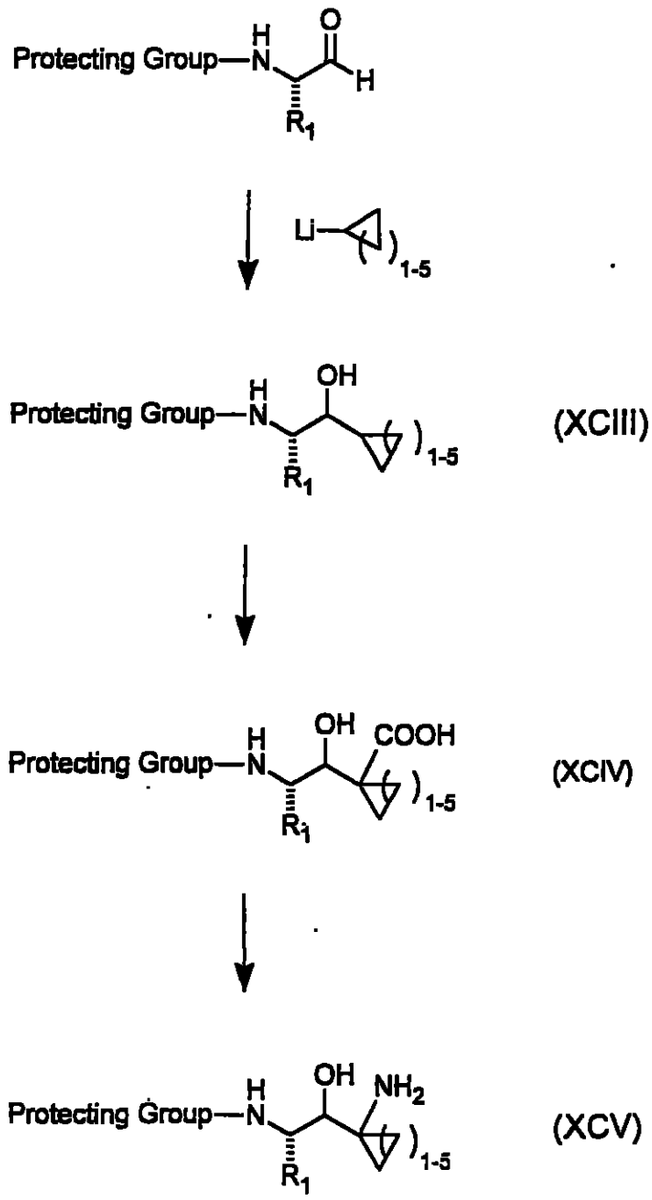


CHART X


HO
N
H
R
R'
N
H
Protecting Group
Protecting Group
N
H
O
N
R'
R
H2N
O
N
R'
R

(XCII)

CHART Y


Protecting Group—N
H
O
H
R1
Li
1-5
Protecting Group—N
OH
(XCIII)
COOH
(XCIV)
NH2
(XCV)

BIOLOGICAL EXAMPLES

Example A

Enzyme Inhibition Assay

The compounds of the invention are analyzed for inhibitory activity by use of the MBP-C125 assay. This assay determines the relative inhibition of beta-secretase cleavage of a model APP substrate, MBP-C125SW, by the compounds assayed as compared with an untreated control. A detailed description of the assay parameters can be found, for example, in U.S. Patent No. 5,942,400. Briefly, the substrate is a fusion peptide formed of maltose binding protein (MBP) and the carboxy terminal 125 amino acids of APP-SW, the Swedish mutation. The beta-secretase enzyme is derived from human brain tissue as described in Sinha et.al, 1999, *Nature* 40:537-540) or recombinantly produced as the full-length enzyme (amino acids 1-501), and can be prepared, for example, from 293 cells expressing the recombinant cDNA, as described in WO00/47618.

Inhibition of the enzyme is analyzed, for example, by immunoassay of the enzyme's cleavage products. One exemplary ELISA uses an anti-MBP capture antibody that is deposited on precoated and blocked 96-well high binding plates, followed by incubation with diluted enzyme reaction supernatant, incubation with a specific reporter antibody, for example, biotinylated anti-SW192 reporter antibody, and further incubation with streptavidin/alkaline phosphatase. In the assay, cleavage of the intact MBP-C125SW fusion protein results in the generation of a truncated amino-terminal fragment, exposing a new SW-192 antibody-positive epitope at the carboxy terminus. Detection is effected by a fluorescent substrate signal on cleavage by the phosphatase. ELISA only detects cleavage following Leu 596 at the substrate's APP-SW 751 mutation site.

Specific Assay Procedure:

Compounds are diluted in a 1:1 dilution series to a six-point concentration curve (two wells per concentration) in one 96-plate row per compound tested. Each of the test compounds is prepared in DMSO to make up a 10 millimolar stock solution. The stock solution is serially diluted in DMSO to obtain a final compound

inhibitory compounds, a substrate concentration of about 1.0 micromolar is preferred. Test compounds diluted in DMSO are added to the reaction mixture, with a final DMSO concentration of 5%. Controls also contain a final DMSO concentration of 5%. The concentration of beta secretase enzyme in the reaction is varied, to give product concentrations with the linear range of the ELISA assay, about 125 to 2000 picomolar, after dilution.

The reaction mixture also includes 20 millimolar sodium acetate, pH 4.5, 0.06% Triton X100, and is incubated at 37 degrees C for about 1 to 3 hours. Samples are then diluted in assay buffer (for example, 145.4 nanomolar sodium chloride, 9.51 millimolar sodium phosphate, 7.7 millimolar sodium azide, 0.05% Triton X405, 6g/liter bovine serum albumin, pH 7.4) to quench the reaction, then diluted further for immunoassay of the cleavage products.

Cleavage products can be assayed by ELISA. Diluted samples and standards are incubated in assay plates coated with capture antibody, for example, SW192, for about 24 hours at 4 degrees C. After washing in TTBS buffer (150 millimolar sodium chloride, 25 millimolar Tris, 0.05% Tween 20, pH 7.5), the samples are incubated with strepavidin-AP according to the manufacturer's instructions. After a one hour incubation at room temperature, the samples are washed in TTBS and incubated with fluorescent substrate solution A (31.2 g/liter 2-amino-2-methyl-1-propanol, 30 mg/liter, pH 9.5). Reaction with streptavidin-alkaline phosphate permits detection by fluorescence. Compounds that are effective inhibitors of beta-secretase activity demonstrate reduced cleavage of the substrate as compared to a control.

Example D

Assays using Synthetic Oligopeptide-Substrates

Synthetic oligopeptides are prepared that incorporate the known cleavage site of beta-secretase, and optionally detectable tags, such as fluorescent or chouromogenic moieties. Examples of such peptides, as well as their production and detection methods are described in U.S. Patent No: 5,942,400, herein incorporated by reference. Cleavage products can be detected using high performance liquid chromatography, or fluorescent or chromogenic detection

methods appropriate to the peptide to be detected, according to methods well known in the art.

By way of example, one such peptide has the sequence SEVNL-DAEF [SEQ ID NO: 8], and the cleavage site is between residues 5 and 6. Another preferred substrate has the sequence ADRGLTTRPGSGLTNIKTEEISEVNL-DAEF [SEQ ID NO: 9], and the cleavage site is between residues 26 and 27.

These synthetic APP substrates are incubated in the presence of beta-secretase under conditions sufficient to result in beta-secretase mediated cleavage of the substrate. Comparison of the cleavage results in the presence of the compound inhibitor to control results provides a measure of the compound's inhibitory activity.

Example E

Inhibition of beta-secretase activity - cellular assay

An exemplary assay for the analysis of inhibition of beta-secretase activity utilizes the human embryonic kidney cell line HEKp293 (ATCC Accession No. CRL-1573) transfected with APP751 containing the naturally occurring double mutation Lys651Met52 to Asn651Leu652 (numbered for APP751), commonly called the Swedish mutation and shown to overproduce A beta (Citron et.al., 1992, *Nature* 360:672-674), as described in USPN 5,604,102.

The cells are incubated in the presence/absence of the inhibitory compound (diluted in DMSO) at the desired concentration, generally up to 10 micrograms/ml. At the end of the treatment period, conditioned media is analyzed for beta-secretase activity, for example, by analysis of cleavage fragments. A beta can be analyzed by immunoassay, using specific detection antibodies. The enzymatic activity is measured in the presence and absence of the compound inhibitors to demonstrate specific inhibition of beta-secretase mediated cleavage of APP substrate.

Example F

Inhibition of Beta-Secretase in Animal Models of AD

Various animal models can be used to screen for inhibition of beta-secretase activity. Examples of animal models useful in the invention include, but are not limited to, mouse, guinea pig, dog, and the like. The animals used can be wild type,

transgenic, or knockout models. In addition, mammalian models can express mutations in APP, such as APP695-SW and the like described herein. Examples of transgenic non-human mammalian models are described in U.S. Patent Nos. 5,604,102, 5,912,410 and 5,811,633.

PDAPP mice, prepared as described in Games et.al., 1995, *Nature* 373:523-527 are useful to analyze *in vivo* suppression of A beta release in the presence of putative inhibitory compounds. As described in USPN 6,191,166, 4 month old PDAPP mice are administered compound formulated in vehicle, such as corn oil. The mice are dosed with compound (1-30 mg/ml; preferably 1-10 mg/ml). After time, e.g., 3-10 hours, the animals are sacrificed, and brains removed for analysis.

Transgenic animals are administered an amount of the compound inhibitor formulated in a carrier suitable for the chosen mode of administration. Control animals are untreated, treated with vehicle, or treated with an inactive compound. Administration can be acute, i.e., single dose or multiple doses in one day, or can be chronic, i.e., dosing is repeated daily for a period of days. Beginning at time 0; brain tissue or cerebral fluid is obtained from selected animals and analyzed for the presence of APP cleavage peptides, including A beta, for example, by immunoassay using specific antibodies for A beta detection. At the end of the test period, animals are sacrificed and brain tissue or cerebral fluid is analyzed for the presence of A beta and/or beta-amyloid plaques. The tissue is also analyzed for necrosis.

Animals administered the compound inhibitors of the invention are expected to demonstrate reduced A beta in brain tissues or cerebral fluids and reduced beta amyloid plaques in brain tissue, as compared with non-treated controls.

Example G

<u>Inhibition of A beta production in human patients</u>

Patients suffering from Alzheimer's Disease (AD) demonstrate an increased amount of A beta in the brain. AD patients are administered an amount of the compound inhibitor formulated in a carrier suitable for the chosen mode of administration. Administration is repeated daily for the duration of the test period. Beginning on day 0, cognitive and memory tests are performed, for example, once per month.

Patients administered the compound inhibitors are expected to demonstrate slowing or stabilization of disease progression as analyzed by changes in one or more of the following disease parameters: A beta present in CSF or plasma; brain or hippocampal volume; A beta deposits in the brain; amyloid plaque in the brain; and scores for cognitive and memory function, as compared with control, non-treated patients.

Example H

Prevention of A beta production in patients at risk for AD

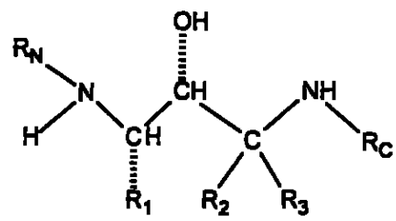
Patients predisposed or at risk for developing AD are identified either by recognition of a familial inheritance pattern, for example, presence of the Swedish Mutation, and/or by monitoring diagnostic parameters. Patients identified as predisposed or at risk for developing AD are administered an amount of the compound inhibitor formulated in a carrier suitable for the chosen mode of administration. Administration is repeated daily for the duration of the test period. Beginning on day 0, cognitive and memory tests are performed, for exmple, once per month.

Patients administered the compound inhibitors are expected to demonstrate slowing or stabilization of disease progression as analyzed by changes in one or more of the following disease parameters: A beta present in CSF or plasma; brain or hippocampal volume; amyloid plaque in the brain; and scores for cognitive and memory function, as compared with control, non-treated patients.

While this invention has been described with respect to various specific examples and embodiments, it is to be understood that the invention is not limited thereby and should only be construed by interpretation of the scope of the appended claims.

WE CLAIM:

1. A substituted amine of formula (X)



(X)

where R_1 is:

(I) C_1-C_6 alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, C_1-C_7 alkyl (optionally substituted with C_1-C_3 alkyl and C_1-C_3 alkoxy), -F, -Cl, -Br, -I, -OH, -SH, -C≡N, $-CF_3$, C_1-C_3 alkoxy, $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are -H or C_1-C_6-alkyl, and -OC=O $NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(II) $-CH_2-S(O)_{0-2}-(C_1-C_6$ alkyl),

(III) $-CH_2-CH_2-S(O)_{0-2}-(C_1-C_6$ alkyl),

(IV) C_2-C_6 alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, $-CF_3$, C_1-C_3 alkoxy, and $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are -H or C_1-C_6 alkyl,

(V) C_2-C_6 alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, $-CF_3$, C_1-C_3 alkoxy, and $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are -H or C_1-C_6 alkyl,

(VI) $-(CH_2)_{n1}-(R_{1-aryl})$ where n_1 is zero or one and where R_{1-aryl} is phenyl, 1-naphthyl, 2-naphthyl and indanyl, indenyl, dihydronaphthalyl, or tetralinyl optionally substituted with one, two, three, or four of the following substituents on the aryl ring:

(A) C_1-C_6 alkyl optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, and $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above, -C≡N, $-CF_3$, C_1-C_3 alkoxy,

(B) C_2-C_6 alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF_3, C_1-C_3 alkoxy, and -$NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are -H or C_1-C_6 alkyl,

(C) C_2-C_6 alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF_3, C_1-C_3 alkoxy, and -$NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are -H or C_1-C_6 alkyl,

(D) -F, Cl, -Br or -I,

(F) -C_1-C_6 alkoxy optionally substituted with one, two, or three of: -F,

(G) -$NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are as defined below,

(H) -OH,

(I) -C≡N,

(J) C_3-C_7 cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF_3, C_1-C_3 alkoxy, and -$NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are -H or C_1-C_6 alkyl,

(K) -CO-(C_1-C_4 alkyl),

(L) -SO_2-$NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(M) -CO-$NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above, or

(N) -SO_2-(C_1-C_4 alkyl),

(VII) -$(CH_2)_{n1}$-($R_{1\text{-heteroaryl}}$) where n_1 is as defined above and where $R_{1\text{-heteroaryl}}$ is selected from the group consisting of:

pyridinyl,
pyrimidinyl,
quinolinyl,
benzothienyl,
indolyl,
indolinyl,
pryidazinyl,
pyrazinyl,
isoindolyl,

isoquinolyl,
quinazolinyl,
quinoxalinyl,
phthalazinyl,
imidazolyl,
isoxazolyl,
pyrazolyl,
oxazolyl,
thiazolyl,
indolizinyl,
indazolyl,
benzothiazolyl,
benzimidazolyl,
benzofuranyl,
furanyl,
thienyl,
pyrrolyl,
oxadiazolyl,
thiadiazolyl,
triazolyl,
tetrazolyl,
oxazolopyridinyl,
imidazopyridinyl,
isothiazolyl,
naphthyridinyl,
cinnolinyl,
carbazolyl,
beta-carbolinyl,
isochromanyl,
chromanyl,
tetrahydroisoquinolinyl,
isoindolinyl,
isobenzotetrahydrofuranyl,
isobenzotetrahydrothienyl,

isobenzothienyl,
benzoxazolyl,
pyridopyridinyl,
benzotetrahydrofuranyl,
benzotetrahydrothienyl,
purinyl,
benzodioxolyl,
triazinyl,
phenoxazinyl,
phenothiazinyl,
pteridinyl,
benzothiazolyl,
imidazopyridinyl,
imidazothiazolyl,
dihydrobenzisoxazinyl,
benzisoxazinyl,
benzoxazinyl,
dihydrobenzisothiazinyl,
benzopyranyl,
benzothiopyranyl,
coumarinyl,
isocoumarinyl,
chromonyl,
chromanonyl, and
pyridinyl-N-oxide
tetrahydroquinolinyl
dihydroquinolinyl
dihydroquinolinonyl
dihydroisoquinolinonyl
dihydrocoumarinyl
dihydroisocoumarinyl
isoindolinonyl
benzodioxanyl
benzoxazolinonyl

pyrrolyl N-oxide,
pyrimidinyl N-oxide,
pyridazinyl N-oxide,
pyrazinyl N-oxide,
quinolinyl N-oxide,
indolyl N-oxide,
indolinyl N-oxide,
isoquinolyl N-oxide,
quinazolinyl N-oxide,
quinoxalinyl N-oxide,
phthalazinyl N-oxide,
imidazolyl N-oxide,
isoxazolyl N-oxide,
oxazolyl N-oxide,
thiazolyl N-oxide,
indolizinyl N-oxide,
indazolyl N-oxide,
benzothiazolyl N-oxide,
benzimidazolyl N-oxide,
pyrrolyl N-oxide,
oxadiazolyl N-oxide,
thiadiazolyl N-oxide,
triazolyl N-oxide,
tetrazolyl N-oxide,
benzothiopyranyl S-oxide,
benzothiopyranyl S,S-dioxide,

where the $R_{1\text{-heteroaryl}}$ group is bonded to $-(CH_2)_{n1}-$ by any ring atom of the parent $R_{1\text{-heteroaryl}}$ group substituted by hydrogen such that the new bond to the $R_{1\text{-heteroaryl}}$ group replaces the hydrogen atom and its bond, where heteroaryl is optionally substituted with one, two, three, or four:

(1) C_1-C_6 alkyl optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH,

-SH, -C≡N, $-CF_3$, C_1-C_3 alkoxy, and $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(2) C_2-C_6 alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, $-CF_3$, C_1-C_3 alkoxy, and $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are -H or C_1-C_6 alkyl,

(3) C_2-C_6 alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, $-CF_3$, C_1-C_3 alkoxy, and $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are -H or C_1-C_6 alkyl,

(4) -F, Cl, -Br or -I,

(6) -C_1-C_6 alkoxy optionally substituted with one, two, or three of: -F,

(7) $-NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are as defined below,

(8) -OH,

(9) -C≡N,

(10) C_3-C_7 cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, $-CF_3$, C_1-C_3 alkoxy, $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are -H or C_1-C_6 alkyl,

(11) -CO-(C_1-C_4 alkyl),

(12) $-SO_2-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(13) $-CO-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above, or

(14) $-SO_2$-(C_1-C_4 alkyl), with the proviso that when n_1 is zero $R_{1\text{-heteroaryl}}$ is not bonded to the carbon chain by nitrogen, or

(VIII) $-(CH_2)_{n1}-(R_{1\text{-heterocycle}})$ where n_1 is as defined above and $R_{1\text{-heterocycle}}$ is selected from the group consisting of:

morpholinyl,

thiomorpholinyl,

thiomorpholinyl S-oxide,

thiomorpholinyl S,S-dioxide,

piperazinyl,

homopiperazinyl,

-F, -Cl, -OH, -SH, -C≡N, $-CF_3$, C_1-C_3 alkoxy, and $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are -H or C_1-C_6 alkyl, -F, -Cl, -OH, -SH, -C≡N, $-CF_3$, C_1-C_3 alkoxy, and $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are -H or C_1-C_6 alkyl,

(V) C_2-C_6 alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, $-CF_3$, C_1-C_3 alkoxy, and $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are -H or C_1-C_6 alkyl, or

(VI) $-(CH_2)_{0-4}$- C_3-C_7 cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, $-CF_3$, C_1-C_3 alkoxy, and $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are -H or C_1-C_6 alkyl;

where R_3 is:

(I)-H,

(II) C_1-C_6 alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, $-CF_3$, C_1-C_3 alkoxy, and $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(III) $-(CH_2)_{0-4}$-R_{2-1} where R_{2-1} is R_{1-aryl} or $R_{1-heteroaryl}$ where R_{1-aryl} and $R_{1-heteroaryl}$ are as defined above;

(IV) C_2-C_6 alkenyl with one or two double bonds,

(V) C_2-C_6 alkynyl with one or two triple bonds, or

(VI) $-(CH_2)_{0-4}$- C_3-C_7 cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, $-CF_3$, C_1-C_3 alkoxy, and $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are -H or C_1-C_6 alkyl,

and where R_2 and R_3 are taken together with the carbon to which they are attached to form a carbocycle of three, four, five, six or seven carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of -O-,

-S-, $-SO_2$-, and $-NR_{N-2}$-, where R_{N-2} is as defined below;

where R_N is:

(I) R_{N-1}-X_N- where X_N is selected from the group consisting of:

(A) -CO-,

(B) $-SO_2$-,

(C) $-(CR'R'')_{1-6}$ where R' and R" are the same or different and are -H or C_1-C_4 alkyl,

(D) $-CO-(CR'R'')_{1-6}-X_{N-1}$ where X_{N-1} is selected from the group consisting of -O-, -S- and -NR'- and where R' and R" are as defined above, and

(E) a single bond;

where R_{N-1} is selected from the group consisting of:

(A) R_{N-aryl} where R_{N-aryl} is phenyl, 1-naphthyl, 2-naphthyl, tetralinyl, indanyl, dihydronaphthyl or 6,7,8,9-tetrahydro-5H-benzo[a]cycloheptenyl, optionally substituted with one, two or three of the following substituents which can be the same or different and are:

(1) C_1-C_6 alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, $-CF_3$, C_1-C_3 alkoxy, and $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(2) -OH,

(3) $-NO_2$,

(4) -F, -Cl, -Br, or -I,

(5) -CO-OH,

(6) -C≡N,

(7) $-(CH_2)_{0-4}-CO-NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are the same or different and are selected from the group consisting of:

(a) -H,

(b) $-C_1$-C_6 alkyl optionally substituted with one substitutent selected from the group consisting of:

(i) -OH, and

(ii) $-NH_2$,

(c) $-C_1$-C_6 alkyl optionally substituted with one to three -F, -Cl, -Br, or -I,

(d) $-C_3$-C_7 cycloalkyl,

(e) $-(C_1$-C_2 alkyl)-(C_3-C_7 cycloalkyl),

(f) $-(C_1$-C_6 alkyl)-O-(C_1-C_3 alkyl),

(g) -C_2-C_6 alkenyl with one or two double bonds,

(h) -C_2-C_6 alkynyl with one or two triple bonds,

(i) -C_1-C_6 alkyl chain with one double bond and one triple bond,

(j) -$R_{1\text{-aryl}}$ where $R_{1\text{-aryl}}$ is as defined above, and

(k) -$R_{1\text{-heteroaryl}}$ where $R_{1\text{-heteroaryl}}$ is as defined above,

(8) -$(CH_2)_{0\text{-}4}$-CO-(C_1-C_{12} alkyl),

(9) -$(CH_2)_{0\text{-}4}$-CO-(C_2-C_{12} alkenyl with one, two or three double bonds),

(10) -$(CH_2)_{0\text{-}4}$-CO-(C_2-C_{12} alkynyl with one, two or three triple bonds),

(11) -$(CH_2)_{0\text{-}4}$-CO-(C_3-C_7 cycloalkyl),

(12) -$(CH_2)_{0\text{-}4}$-CO-$R_{1\text{-aryl}}$ where $R_{1\text{-aryl}}$ is as defined above,

(13) -$(CH_2)_{0\text{-}4}$-CO-$R_{1\text{-heteroaryl}}$ where $R_{1\text{-heteroaryl}}$ is as defined above,

(14) -$(CH_2)_{0\text{-}4}$-CO-$R_{1\text{-heterocycle}}$ where $R_{1\text{-heterocycle}}$ is as defined above,

(15) -$(CH_2)_{0\text{-}4}$-CO-$R_{N\text{-}4}$ where $R_{N\text{-}4}$ is selected from the group consisting of morpholinyl, thiomorpholinyl, piperazinyl, piperidinyl, homomorpholinyl, homothiomorpholinyl, homothiomorpholinyl S-oxide, homothiomorpholinyl S,S-dioxide, pyrrolinyl and pyrrolidinyl where each group is optionally substituted with one, two, three, or four of: C_1-C_5 alkyl,

(16) -$(CH_2)_{0\text{-}4}$-CO-O-$R_{N\text{-}5}$ where $R_{N\text{-}5}$ is selected from the group consisting of:

(a) C_1-C_6 alkyl,

(b) -$(CH_2)_{0\text{-}2}$-($R_{1\text{-aryl}}$) where $R_{1\text{-aryl}}$ is as defined above,

(c) C_2-C_6 alkenyl containing one or two double bonds,

(d) C_2-C_6 alkynyl containing one or two triple bonds,

(e) C_3-C_7 cycloalkyl, and

(f) $-(CH_2)_{0-2}-(R_{1\text{-heteroaryl}})$ where $R_{1\text{-heteroaryl}}$ is as defined above,

(17) $-(CH_2)_{0-4}-SO_2-NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are as defined above,

(18) $-(CH_2)_{0-4}-SO-(C_1-C_8$ alkyl),

(19) $-(CH_2)_{0-4}-SO_2-(C_1-C_{12}$ alkyl),

(20) $-(CH_2)_{0-4}-SO_2-(C_3-C_7$ cycloalkyl),

(21) $-(CH_2)_{0-4}-N(H$ or $R_{N-5})-CO-O-R_{N-5}$ where R_{N-5} can be the same or different and is as defined above,

(22) $-(CH_2)_{0-4}-N(H$ or $R_{N-5})-CO-N(R_{N-5})_2$, where R_{N-5} can be the same or different and is as defined above,

(23) $-(CH_2)_{0-4}-N-CS-N(R_{N-5})_2$, where R_{N-5} can be the same or different and is as defined above,

(24) $-(CH_2)_{0-4}-N(-H$ or $R_{N-5})-CO-R_{N-2}$ where R_{N-5} and R_{N-2} can be the same or different and are as defined above,

(25) $-(CH_2)_{0-4}-NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} can be the same or different and are as defined above,

(26) $-(CH_2)_{0-4}-R_{N-4}$ where R_{N-4} is as defined above,

(27) $-(CH_2)_{0-4}-O-CO-(C_1-C_6$ alkyl),

(28) $-(CH_2)_{0-4}-O-P(O)-(OR_{N\text{-aryl-1}})_2$ where $R_{N\text{-aryl-1}}$ is -H or C_1-C_4 alkyl,

(29) $-(CH_2)_{0-4}-O-CO-N(R_{N-5})_2$ where R_{N-5} is as defined above,

(30) $-(CH_2)_{0-4}-O-CS-N(R_{N-5})_2$ where R_{N-5} is as defined above,

(31) $-(CH_2)_{0-4}-O-(R_{N-5})_2$ where R_{N-5} is as defined above,

(32) $-(CH_2)_{0-4}-O-(R_{N-5})_2-COOH$ where R_{N-5} is as defined above,

(33) $-(CH_2)_{0-4}-S-(R_{N-5})_2$ where R_{N-5} is as defined above,

(34) $-(CH_2)_{0-4}-O-(C_1-C_6$ alkyl optionally substituted with one, two, three, four, or five -F),

(35) C_3-C_7 cycloalkyl,

(36) C_2-C_6 alkenyl with one or two double bonds optionally substituted with C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, $-CF_3$, C_1-C_3 alkoxy, or $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(37) C_2-C_6 alkynyl with one or two triple bonds optionally substituted with C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, $-CF_3$, C_1-C_3 alkoxy, or $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(38) $-(CH_2)_{0-4}-N(-H$ or $R_{N-5})-SO_2-R_{N-2}$ where R_{N-5} and R_{N-2} can be the same or different and are as described above, or

(39) $-(CH_2)_{0-4}-C_3-C_7$ cycloalkyl,

(B) $-R_{N-heteroaryl}$ where $R_{N-heteroaryl}$ is selected from the group consisting of:

pyridinyl,
pyrimidinyl,
quinolinyl,
benzothienyl,
indolyl,
indolinyl,
pryidazinyl,
pyrazinyl,
isoindolyl,
isoquinolyl,
quinazolinyl,
quinoxalinyl,
phthalazinyl,
imidazolyl,
isoxazolyl,
pyrazolyl,
oxazolyl,
thiazolyl,
indolizinyl,

indazolyl,
benzothiazolyl,
benzimidazolyl,
benzofuranyl,
furanyl,
thienyl,
pyrrolyl,
oxadiazolyl,
thiadiazolyl,
triazolyl,
tetrazolyl,
oxazolopyridinyl,
imidazopyridinyl,
isothiazolyl,
naphthyridinyl,
cinnolinyl,
carbazolyl,
beta-carbolinyl,
isochromanyl,
chromanyl,
tetrahydroisoquinolinyl,
isoindolinyl,
isobenzotetrahydrofuranyl,
isobenzotetrahydrothienyl,
isobenzothienyl,
benzoxazolyl,
pyridopyridinyl,
benzotetrahydrofuranyl,
benzotetrahydrothienyl,
purinyl,
benzodioxolyl,
triazinyl,
phenoxazinyl,
phenothiazinyl,

pteridinyl,
benzothiazolyl,
imidazopyridinyl,
imidazothiazolyl,
dihydrobenzisoxazinyl,
benzisoxazinyl,
benzoxazinyl,
dihydrobenzisothiazinyl,
benzopyranyl,
benzothiopyranyl,
coumarinyl,
isocoumarinyl,
chromonyl,
chromanonyl, and
pyridinyl-N-oxide,
tetrahydroquinolinyl
dihydroquinolinyl
dihydroquinolinonyl
dihydroisoquinolinonyl
dihydrocoumarinyl
dihydroisocoumarinyl
isoindolinonyl
benzodioxanyl
benzoxazolinonyl
pyrrolyl N-oxide,
pyrimidinyl N-oxide,
pyridazinyl N-oxide,
pyrazinyl N-oxide,
quinolinyl N-oxide,
indolyl N-oxide,
indolinyl N-oxide,
isoquinolyl N-oxide,
quinazolinyl N-oxide,
quinoxalinyl N-oxide,

phthalazinyl N-oxide,

imidazolyl N-oxide,

isoxazolyl N-oxide,

oxazolyl N-oxide,

thiazolyl N-oxide,

indolizinyl N-oxide,

indazolyl N-oxide,

benzothiazolyl N-oxide,

benzimidazolyl N-oxide,

pyrrolyl N-oxide,

oxadiazolyl N-oxide,

thiadiazolyl N-oxide,

triazolyl N-oxide,

tetrazolyl N-oxide,

benzothiopyranyl S-oxide,

benzothiopyranyl S,S-dioxide,

where the $R_{N\text{-heteroaryl}}$ group is bonded by any atom of the parent $R_{N\text{-heteroaryl}}$ group substituted by hydrogen such that the new bond to the $R_{N\text{-heteroaryl}}$ group replaces the hydrogen atom and its bond, where heteroaryl is optionally substituted with one, two, three, or four of:

(1) C_1-C_6 alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, $-CF_3$, C_1-C_3 alkoxy, $-NR_{1\text{-a}}R_{1\text{-b}}$ where $R_{1\text{-a}}$ and $R_{1\text{-b}}$ are as defined above,

(2) -OH,

(3) $-NO_2$,

(4) -F, -Cl, -Br, or -I

(5) -CO-OH,

(6) -C≡N,

(7) $-(CH_2)_{0\text{-}4}$-CO-$NR_{N\text{-}2}R_{N\text{-}3}$ where $R_{N\text{-}2}$ and $R_{N\text{-}3}$ are the same or different and are selected from the group consisting of:

(a) -H,

(b) -C_1-C_6 alkyl optionally substituted with one substitutent selected from the group consisting of:

(i) -OH, and

(ii) -NH_2,

(c) -C_1-C_6 alkyl optionally substituted with one to three -F, -Cl, -Br, or -I,

(d) -C_3-C_7 cycloalkyl,

(e) -(C_1-C_2 alkyl)-(C_3-C_7 cycloalkyl),

(f) -(C_1-C_6 alkyl)-O-(C_1-C_3 alkyl),

(g) -C_2-C_6 alkenyl with one or two double bonds,

(h) -C_2-C_6 alkynyl with one or two triple bonds,

(i) -C_1-C_6 alkyl chain with one double bond and one triple bond,

(j) -$R_{1\text{-aryl}}$ where $R_{1\text{-aryl}}$ is as defined above, and

(k) -$R_{1\text{-heteroaryl}}$ where $R_{1\text{-heteroaryl}}$ is as defined above,

(8) -$(CH_2)_{0-4}$-CO-(C_1-C_{12} alkyl),

(9) -$(CH_2)_{0-4}$-CO-(C_2-C_{12} alkenyl with one, two or three double bonds),

(10) -$(CH_2)_{0-4}$-CO-(C_2-C_{12} alkynyl with one, two or three triple bonds),

(11) -$(CH_2)_{0-4}$-CO-(C_3-C_7 cycloalkyl),

(12) -$(CH_2)_{0-4}$-CO-$R_{1\text{-aryl}}$ where $R_{1\text{-aryl}}$ is as defined above,

(13) -$(CH_2)_{0-4}$-CO-$R_{1\text{-heteroaryl}}$ where $R_{1\text{-heteroaryl}}$ is as defined above,

(14) -$(CH_2)_{0-4}$-CO-$R_{1\text{-heterocycle}}$ where $R_{1\text{-heterocycle}}$ is as defined above,

(15) -$(CH_2)_{0-4}$-CO-R_{N-4} where R_{N-4} is selected from the group consisting of morpholinyl, thiomorpholinyl, piperazinyl, piperidinyl, homomorpholinyl, homothiomorpholinyl, homomorpholinyl S-oxide, homothiomorpholinyl S,S-dioxide, pyrrolinyl and pyrrolidinyl where each group is

optionally substituted with one, two, three, or four of: C_1-C_6 alkyl,

(16) $-(CH_2)_{0-4}-CO-O-R_{N-5}$ where R_{N-5} is selected from the group consisting of:

(a) C_1-C_6 alkyl,

(b) $-(CH_2)_{0-2}-(R_{1-aryl})$ where R_{1-aryl} is as defined above,

(c) C_2-C_6 alkenyl containing one or two double bonds,

(d) C_2-C_6 alkynyl containing one or two triple bonds,

(e) C_3-C_7 cycloalkyl,

(f) $-(CH_2)_{0-2}-(R_{1-heteroaryl})$ where $R_{1-heteroaryl}$ is as defined above,

(17) $-(CH_2)_{0-4}-SO_2-NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are as defined above,

(18) $-(CH_2)_{0-4}-SO-(C_1-C_8$ alkyl),

(19) $-(CH_2)_{0-4}-SO_2-(C_1-C_{12}$ alkyl),

(20) $-(CH_2)_{0-4}-SO_2-(C_3-C_7$ cycloalkyl),

(21) $-(CH_2)_{0-4}-N(H$ or $R_{N-5})-CO-O-R_{N-5}$ where R_{N-5} can be the same or different and is as defined above,

(22) $-(CH_2)_{0-4}-N(H$ or $R_{N-5})-CO-N(R_{N-5})_2$, where R_{N-5} can be the same or different and is as defined above,

(23) $-(CH_2)_{0-4}-N-CS-N(R_{N-5})_2$, where R_{N-5} can be the same or different and is as defined above,

(24) $-(CH_2)_{0-4}-N(-H$ or $R_{N-5})-CO-R_{N-2}$ where R_{N-5} and R_{N-2} can be the same or different and are as defined above,

(25) $-(CH_2)_{0-4}-NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} can be the same or different and are as defined above,

(26) $-(CH_2)_{0-4}-R_{N-4}$ where R_{N-4} is as defined above,

(27) $-(CH_2)_{0-4}-O-CO-(C_1-C_6$ alkyl),

(28) $-(CH_2)_{0-4}-O-P(O)-(OR_{N-aryl-1})_2$ where $R_{N-aryl-1}$ is -H or C_1-C_4 alkyl,

(29) $-(CH_2)_{0-4}-O-CO-N(R_{N-5})_2$ where R_{N-5} is as defined above,

(30) $-(CH_2)_{0-4}-O-CS-N(R_{N-5})_2$ where R_{N-5} is as defined above,

(31) $-(CH_2)_{0-4}-O-(R_{N-5})_2$ where R_{N-5} is as defined above,

(32) $-(CH_2)_{0-4}-O-(R_{N-5})_2-COOH$ where R_{N-5} is as defined above,

(33) $-(CH_2)_{0-4}-S-(R_{N-5})_2$ where R_{N-5} is as defined above,

(34) $-(CH_2)_{0-4}-O-(C_1-C_6$ alkyl optionally substituted with one, two, three, four, or five of: -F),

(35) C_3-C_7 cycloalkyl,

(36) C_2-C_6 alkenyl with one or two double bonds optionally substituted with C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, $-CF_3$, C_1-C_3 alkoxy, or $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(37) C_2-C_6 alkynyl with one or two triple bonds optionally substituted with C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, $-CF_3$, C_1-C_3 alkoxy, or $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above, or

(38) $-(CH_2)_{0-4}-N(-H$ or $R_{N-5})-SO_2-R_{N-2}$ where R_{N-5} and R_{N-2} can be the same or different and are as described above, or

(39) $-(CH_2)_{0-4}-C_3-C_7$ cycloalkyl,

(C) $R_{N-aryl}-W-R_{N-aryl}$, where R_{N-aryl} is defined as above,

(D) $R_{N-aryl}-W-R_{N-heteroaryl}$, where R_{N-aryl} and $R_{N-heteroaryl}$ are as defined above,

(E) $R_{N-aryl}-W-R_{N-1-heterocycle}$, where $R_{N-heterocycle}$ is defined as $R_{1-heterocycle}$, is defined above,

(F) $R_{N-heteroaryl}-W-R_{N-aryl}$, where R_{N-aryl} and $R_{n-heteroaryl}$ are as defined above,

(G) $R_{N-heteroaryl}-W-R_{N-heteroaryl}$, where $R_{N-heteroaryl}$ is as defined above,

(H) $R_{N-heteroaryl}-W-R_{N-1-heterocycle}$, where $R_{N-1-heterocycle}$ is as defined as $R_{1-heterocycle}$ is as defined above, and where $R_{N-heteroaryl}$ is as defined above,

(I) $R_{N-heterocycle}-W-R_{N-aryl}$, where $R_{N-heterocycle}$ is as defined as $R_{1-heterocycle}$ is defined and where R_{N-aryl} are as defined above,

(J) $R_{N\text{-heterocycle}}$-W-$R_{N\text{-heteroaryl}}$, where $R_{N\text{-heterocycle}}$ is as defined as $R_{1\text{-heterocycle}}$ as defined above and $R_{N\text{-heteroaryl}}$ are as defined above, and

(K) $R_{N\text{-heterocycle}}$-W-$R_{N\text{-1-heterocycle}}$, where $R_{N\text{-heterocycle}}$ and $R_{N\text{-heteroaryl}}$ are as defined above,

where W is

(1) $-(CH_2)_{0\text{-}4}-$,

(2) -O-,

(3) $-S(O)_{0\text{-}2}-$,

(4) $-N(R_{N\text{-}5})-$ where $R_{N\text{-}5}$ is as defined above, or

(5) $-CO-_1$

(II) -CO-(C_1-C_{10} alkyl) where alkyl is optionally substituted with one, two, or three substitutents selected from the group consisting of:

(A) -OH,

(B) -C_1-C_6 alkoxy,

(C) -C_1-C_6 thioalkoxy,

(D) -CO-O-$R_{N\text{-}8}$ where $R_{N\text{-}8}$ is -H, C_1-C_6 alkyl or -phenyl,

(E) -CO-$NR_{N\text{-}2}R_{N\text{-}3}$ where $R_{N\text{-}2}$ and $R_{N\text{-}3}$ are the same or different and are as defined above,

(F) -CO-$R_{N\text{-}4}$ where $R_{N\text{-}4}$ is as defined above,

(G) -SO_2-(C_1-C_8 alkyl),

(H) -SO_2-$NR_{N\text{-}2}R_{N\text{-}3}$ where $R_{N\text{-}2}$ and $R_{N\text{-}3}$ are the same or different and are as defined above,

(I) -NH-CO-(C_1-C_6 alkyl),

(J) -NH-CO-O-$R_{N\text{-}8}$ where $R_{N\text{-}8}$ is as defined above,

(K) -$NR_{N\text{-}2}R_{N\text{-}3}$ where $R_{N\text{-}2}$ and $R_{N\text{-}3}$ are the same or different and are as defined above,

(L) -$R_{N\text{-}4}$ where $R_{N\text{-}4}$ is as defined above,

(M) -O-CO-(C_1-C_6 alkyl),

(N) -O-CO-$NR_{N\text{-}8}R_{N\text{-}8}$ where $R_{N\text{-}8}$ are the same or different and are as defined above,

(O) -O-(C_1-C_5 alkyl)-COOH,

(P) -O-(C_1-C_6 alkyl optionally substitued with one, two, or three of: -F, -Cl, -Br, or -I),

(Q) -NH-SO_2-(C_1-C_6 alkyl), and

(R) -F, or -Cl

(III) -CO-(C_1-C_6 alkyl)-O-(C_1-C_6 alkyl) where alkyl isoptionally substituted with one, two, or three substitutents selected from the group consisting of:

(A) -OH,

(B) -C_1-C_6 alkoxy,

(C) -C_1-C_6 thioalkoxy,

(D) -CO-O-R_{N-8} where R_{N-8} is -H, C_1-C_6 alkyl or -φ,

(E) -CO-$NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are the same or different and are as defined above,

(F) -CO-R_{N-4} where R_{N-4} is as defined above,

(G) -SO_2-(C_1-C_8 alkyl),

(H) -SO_2-$NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are the same or different and are as defined above,

(I) -NH-CO-(C_1-C_6 alkyl),

(J) -NH-CO-O-R_{N-8} where R_{N-8} is as defined above,

(K) -$NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are the same or different and are as defined above,

(L) -R_{N-4} where R_{N-4} is as defined above,

(M) -O-CO-(C_1-C_6 alkyl),

(N) -O-CO-$NR_{N-8}R_{N-8}$ where the R_{N-8}s are the same or different and are as defined above,

(O) -O-(C_1-C_5 alkyl)-COOH,

(P) -O-(C_1-C_6 alkyl optionally substitued with one, two, or three of: -F, -Cl, -Br, or -I),

(Q) -NH-SO_2-(C_1-C_6 alkyl),

(R) -F, -Cl,

(IV) -CO-(C_1-C_6 alkyl)-S-(C_1-C_6 alkyl) where alkyl is optionally substituted with one, two, or three substitutents selected from the group consisting of:

(A) -OH,

(B) -C_1-C_6 alkoxy,

(C) -C_1-C_6 thioalkoxy,

(D) -CO-O-R_{N-8} where R_{N-8} is as defined above,

(E) -CO-$NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are the same or different and are as defined above,

(F) -CO-R_{N-4} where R_{N-4} is as defined above,

(G) -SO_2-(C_1-C_8 alkyl),

(H) -SO_2-$NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are the same or different and are as defined above,

(I) -NH-CO-(C_1-C_6 alkyl),

(J) -NH-CO-O-R_{N-8} where R_{N-8} is as defined above,

(K) -$NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are the same or different and are as defined above,

(L) -R_{N-4} where R_{N-4} is as defined above,

(M) -O-CO-(C_1-C_6 alkyl),

(N) -O-CO-$NR_{N-8}R_{N-8}$ where R_{N-8} are the same or different and are as defined above,

(O) -O-(C_1-C_5 alkyl)-COOH,

(P) -O-(C_1-C_6 alkyl optionally substitued with one, two, or three of: -F, -Cl, -Br, -I),

(Q) -NH-SO_2-(C_1-C_6 alkyl),

(R) -F, or -Cl,

(V) -CO-CH(-$(CH_2)_{0-2}$-O-R_{N-10})-$(CH_2)_{0-2}$-R_{N-aryl}/$R_{N-heteroaryl}$) where R_{N-aryl} and $R_{N-heteroaryl}$ are as defined above, where R_{N-10} is selected from the group consisting of:

(A) -H,

(B) C_1-C_6 alkyl,

(C) C_3-C_7 cycloalkyl,

(D) C_2-C_6 alkenyl with one double bond,

(E) C_2-C_6 alkynyl with one triple bond,

(F) R_{1-aryl} where R_{1-aryl} is as defined above, and

(G) $R_{N-heteroaryl}$ where $R_{N-heteroaryl}$ is as defined above, or

(VI) -CO-(C_3-C_8 cycloalkyl) where alkyl is optionally substituted with one or two substitutents selected from the group consisting of:

(A) -$(CH_2)_{0-4}$-OH,

(B) -$(CH_2)_{0-4}$-C_1-C_6 alkoxy,

(C) -$(CH_2)_{0-4}$-C_1-C_6 thioalkoxy,

(D) -$(CH_2)_{0-4}$-CO-O-R_{N-8} where R_{N-8} is -H, C_1-C_6 alkyl or -phenyl,

(E) -$(CH_2)_{0-4}$-CO-$NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are the same or different and are as defined above,

(F) -$(CH_2)_{0-4}$-CO-R_{N-4} where R_{N-4} is as defined above,

(G) -$(CH_2)_{0-4}$-SO_2-(C_1-C_8 alkyl),

(H) -$(CH_2)_{0-4}$-SO_2-$NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are the same or different and are as defined above,

(I) -$(CH_2)_{0-4}$-NH-CO-(C_1-C_6 alkyl),

(J) -NH-CO-O-R_{N-8} where R_{N-8} is as defined above,

(K) -$(CH_2)_{0-4}$-$NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are the same or different and are as defined above,

(L) -$(CH_2)_{0-4}$-R_{N-4} where R_{N-4} is as defined above,

(M) -O-CO-(C_1-C_6 alkyl),

(N) -O-CO-$NR_{N-8}R_{N-8}$ where R_{N-8} are the same or different and are as defined above,

(O) -O-(C_1-C_5 alkyl)-COOH,

(P) -O-(C_1-C_6 alkyl optionally substitued with one, two, or three of: -F, -Cl, -Br, or -I),

(Q) -NH-SO_2-(C_1-C_6 alkyl), and

(R) -F, or -Cl,

where R_C is:

(I)-C_1-C_{10} alkyl optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF_3, C_1-C_6 alkoxy, -O-phenyl, -$NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above, -OC=O $NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above, -$S(=O)_{0-2}$ R_{1-a} where R_{1-a} is as defined above, - NR_{1-a}C=O $NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as

defined above, -C=O $NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above, and -$S(=O)_2$ $NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(II) -$(CH_2)_{0-3}$-(C_3-C_8) cycloalkyl where cycloalkyl can be optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF_3, C_1-C_6 alkoxy, -O-phenyl, -CO-OH, -CO-O-(C_1-C_4 alkyl), and -$NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(III) -$(CR_{C-x}R_{C-y})_{0-4}$-R_{C-aryl} where R_{C-x} and R_{C-y} are

-H,

C_1-C_4 alkyl optionally substituted with one or two -OH,,

C_1-C_4 alkoxy optionally substituted with one, two, or three of: -F,

-$(CH_2)_{0-4}$-C_3-C_7 cycloalkyl,

C_2-C_6 alkenyl containing one or two double bonds,

C_2-C_6 alkynyl contianing one or two triple bonds,

phenyl-,

and where R_{C-x} and R_{C-y} are taken together with the carbon to which they are attached to form a carbocycle of three, four, five, six, or seven carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of -O-, -S-, -SO_2-, and -NR_{N-2}- and R_{C-aryl} is the same as R_{N-aryl};

(IV) -$(CR_{C-x}R_{C-y})_{0-4}$-$R_{C-heteroaryl}$ where $R_{C-heteroaryl}$ is the same as $R_{N-heteroaryl}$ and R_{C-x} and R_{C-y} are as defined above,

(V) -$(CR_{C-x}R_{C-y})_{0-4}$-R_{C-aryl}-R_{C-aryl} where R_{C-aryl}, R_{C-x} and R_{C-y} are as defined above,

(VI) -$(CR_{C-x}R_{C-y})_{0-4}$-R_{C-aryl}-$R_{C-heteroaryl}$ where R_{C-aryl} , $R_{C-heteroaryl}$, R_{C-x} and R_{C-y} are as defined above,

(VII) -$(CR_{C-x}R_{C-y})_{0-4}$-$R_{C-heteroaryl}$-R_{C-aryl} where $R_{C-heteroaryl}$, R_{C-aryl}, R_{C-x} and R_{C-y} are as defined above,

(VIII) -$(CR_{C-x}R_{C-y})_{0-4}$-$R_{C-heteroaryl}$-$R_{C-heteroaryl}$ where $R_{C-heteroaryl}$, R_{C-x} and R_{C-y} are as defined above,

(IX) -$(CR_{C-x}R_{C-y})_{0-4}$-R_{C-aryl}-$R_{C-heterocycle}$ where R_{C-aryl}, R_{C-x} and R_{C-y} are as defined above, and $R_{C-heterocycle}$ is the same as $R_{N-heterocycle}$,

(X) -$(CR_{C-x}R_{C-y})_{0-4}$-$R_{C-heteroaryl}$-$R_{C-heterocycle}$ where $R_{C-heteroaryl}$, $R_{C-heterocycle}$, R_{C-x} and R_{C-y} are as defined above,

(XI) $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heterocycle}-R_{C-aryl}$ where $R_{C-heterocycle}$, R_{C-aryl}, R_{C-x} and R_{C-y} are as defined above,

(XII) $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heterocycle}-R_{C-heteroaryl}$ where $R_{C-heterocycle}$, $R_{C-heteroaryl}$, R_{C-x} and R_{C-y} are as defined above,

(XIII) $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heterocycle}-R_{C-heterocycle}$ where $R_{C-heterocycle}$, R_{C-x} and R_{C-y} are as defined above,

(XIV) $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heterocycle}$ where $R_{C-heterocycle}$, R_{C-x} and R_{C-y} are as defined above,

(XV) $-[C(R_{C-1})(R_{C-2})]_{1-3}-CO-N-(R_{C-3})_2$ where R_{C-1} and R_{C-2} are the same or different and are selected from the group consisting of:

(A) -H,

(B) $-C_1-C_6$ alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, $-CF_3$, C_1-C_6 alkoxy, -O- phenyl, and $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(C) C_2-C_6 alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, $-CF_3$, C_1-C_6 alkoxy, -O- phenyl, and $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(D) C_2-C_6 alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, $-CF_3$, C_1-C_6 alkoxy, -O- phenyl, and $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(E) $-(CH_2)_{1-2}-S(O)_{0-2}-(C_1-C_6$ alkyl),

(F) $-(CH_2)_{0-4}-C_3-C_7$ cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl,
-Br, -I, -OH, -SH, -C≡N, $-CF_3$, C_1-C_6 alkoxy, -O- phenyl, $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(G) $-(C_1-C_4$ alkyl$)-R_{C'-aryl}$ where $R_{C'-aryl}$ is as defined for R_{1-aryl},

(H) $-(C_1-C_4$ alkyl$)-R_{C-heteroaryl}$ where $R_{C-heteroaryl}$ is as defined above,

(I) -(C_1-C_4 alkyl)-$R_{C\text{-heterocycle}}$ where $R_{C\text{-heterocycle}}$ is as defined above,

(J) -$R_{C\text{-heteroaryl}}$ where $R_{C\text{-heteroaryl}}$ is as defined above,

(K) -$R_{C\text{-heterocycle}}$ where $R_{C\text{-heterocycle}}$ is as defined above,

(M) -$(CH_2)_{1\text{-}4}$-$R_{C\text{-}4}$-$(CH_2)_{0\text{-}4}$-$R_{C'\text{-aryl}}$ where $R_{C\text{-}4}$ is -O-, -S- or -$NR_{C\text{-}5}$- where $R_{C\text{-}5}$ is C_1-C_6 alkyl, and where $R_{C'\text{-aryl}}$ is as defined above,

(N) -$(CH_2)_{1\text{-}4}$-$R_{C\text{-}4}$-$(CH_2)_{0\text{-}4}$-$R_{C\text{-heteroaryl}}$ where $R_{C\text{-}4}$ and $R_{C\text{-heteroaryl}}$ are as defined above, and

(O) -$R_{C'\text{-aryl}}$ where $R_{C'\text{-aryl}}$ is as defined above,

and where $R_{C\text{-}3}$ is the same or different and is:

(A) -H,

(B) -C_1-C_6 alkyl optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF_3, C_1-C_6 alkoxy, -O- phenyl, and -$NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are as defined above,

(C) C_2-C_6 alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF_3, C_1-C_6 alkoxy, -O- phenyl, and -$NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are as defined above,

(D) C_2-C_6 alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF_3, C_1-C_6 alkoxy, -O- phenyl, and -$NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are as defined above,

(E) -$(CH_2)_{0\text{-}4}$-C_3-C_7 cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl,
-Br, -I, -OH, -SH, -C≡N, -CF_3, C_1-C_6 alkoxy, -O- phenyl, and -$NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are as defined above,

(F) -$R_{C'\text{-aryl}}$ where $R_{C'\text{-aryl}}$ is as defined above,

(G) -$R_{C\text{-heteroaryl}}$ where $R_{C\text{-heteroaryl}}$ is as defined above,

(H) -$R_{C\text{-heterocycle}}$ where $R_{C\text{-heterocycle}}$ is as defined above,

(I) -(C_1-C_4 alkyl)-$R_{C'\text{-aryl}}$ where $R_{C'\text{-aryl}}$ is as defined above,

(J) -(C_1-C_4 alkyl)-$R_{C\text{-heteroaryl}}$ where $R_{C\text{-heteroaryl}}$ is as defined above, or

(K) -(C_1-C_4 alkyl)-$R_{C\text{-heterocycle}}$ where $R_{C\text{-heterocycle}}$ is as defined above,

(XVI) -CH($R_{C\text{-aryl}}$)$_2$ where $R_{C\text{-aryl}}$ are the same or different and are as defined above,

(XVII) -CH($R_{C\text{-heteroaryl}}$)$_2$ where $R_{C\text{-heteroaryl}}$ are the same or different and are as defined above,

(XVIII) -CH($R_{C\text{-aryl}}$)($R_{C\text{-heteroaryl}}$) where $R_{C\text{-aryl}}$ and $R_{C\text{-heteroaryl}}$ are as defined above,

(XIX) -cyclopentyl, -cyclohexyl, or -cycloheptyl ring fused to $R_{C\text{-aryl}}$ or $R_{C\text{-heteroaryl}}$ or $R_{C\text{-heterocycle}}$ where $R_{C\text{-aryl}}$ or $R_{C\text{-heteroaryl}}$ or $R_{C\text{-heterocycle}}$ are as defined above where one carbon of cyclopentyl, cyclohexyl, or -cycloheptyl is optionally replaced with NH, $NR_{N\text{-}5}$, O, or $S(=O)_{0\text{-}2}$, and where cyclopentyl, cyclohexyl, or -cycloheptyl can be optionally substituted with one or two -C_1-C_3 alkyl, -F, -OH, -SH, -C≡N, -CF_3, C_1-C_6 alkoxy, =O, or -$NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are as defined above,

(XX) C_2-C_{10} alkenyl containing one or two double bonds optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF_3, C_1-C_6 alkoxy, -O- phenyl, and -$NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are as defined above,

(XXI) C_2-C_{10} alkynyl containing one or two triple bonds optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF_3, C_1-C_6 alkoxy, -O- phenyl, and -$NR_{1\text{-}a}R_{1\text{-}b}$ where $R_{1\text{-}a}$ and $R_{1\text{-}b}$ are as defined above,

(XXI) -$(CH_2)_{0\text{-}1}$-$CHR_{C\text{-}6}$-$(CH_2)_{0\text{-}1}$-$R_{C\text{-aryl}}$ where $R_{C\text{-aryl}}$ is as defined above and $R_{C\text{-}6}$ is -$(CH_2)_{0\text{-}6}$-OH,

(XXII) -$(CH_2)_{0\text{-}1}$-$CHR_{C\text{-}6}$-$(CH_2)_{0\text{-}1}$-$R_{C\text{-heteroaryl}}$ where $R_{C\text{-heteroaryl}}$ and $R_{C\text{-}6}$ is as defined above,

(XXIII) -CH(-$R_{C\text{-aryl}}$ or $R_{C\text{-heteroaryl}}$)-CO-O(C_1-C_4 alkyl) where $R_{C\text{-aryl}}$ and $R_{C\text{-heteroaryl}}$ are as defined above,

(XXIV) -CH(-CH_2-OH)-CH(-OH)-phenyl-NO_2,

(XXV) (C_1-C_6 alkyl)-O-(C_1-C_6 alkyl)-OH,

(XXVII) $-CH_2-NH-CH_2-CH(-O-CH_2-CH_3)_2$,

(XXVIII) -H, or

(XXIX) $-(CH_2)_{0-6}-C(=NR_{1-a})(NR_{1-a}R_{1-b})$ where R_{1-a} and R_{1-b} are as defined above;

or a pharmaceutically acceptable salt thereof.

2. A substituted amine of formula (X) according to claim 1

where R_1 is:

$-(CH_2)_{0-1}-(R_{1-aryl})$

$-(CH_2)_{n1}-(R_{1-heteroaryl})$

where R_N is:

$R_{N-1}-X_N-$ where X_N is selected from the group consisting of:

-CO-, and

$-SO_2-$,

where R_{N-1} is selected from the group consisting of:

$-R_{N-aryl}$, and

$-R_{N-heteroaryl}$, or

$-CO-CH(-(CH_2)_{0-2}-O-R_{N-10})-(CH_2)_{0-2}-R_{N-aryl}/R_{N-heteroaryl})$;

where R_C is:

$-C_1-C_8$ alkyl,

$-(CH_2)_{0-3}-(C_3-C_7)$ cycloalkyl,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-aryl}$,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heteroaryl}$,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heterocycle}$, or

-cyclopentyl or -cyclohexyl ring fused to R_{C-aryl} or $R_{C-heteroaryl}$ or $R_{C-heterocycle}$.

3. A substituted amine of formula (X) according to claim 2

where R_1 is:

$-(CH_2)-(R_{1-aryl})$, or

$-(CH_2)-(R_{1-heteroaryl})$;

where R_2 is -H;

where R_3 is -H;

where R_N is:

R_{N-1}-X_N- where X_N is:

-CO-,

where R_{N-1} is selected from the group consisting of:

-$R_{N\text{-aryl}}$,

-$R_{N\text{-heteroaryl}}$,

where R_C is:

-$(CH_2)_{0-3}$-(C_3-C_7) cycloalkyl,

-$(CR_{C-x}R_{C-y})_{0-4}$-$R_{C\text{-aryl}}$,

-$(CR_{C-x}R_{C-y})_{0-4}$-$R_{C\text{-heteroaryl}}$,

-$(CR_{C-x}R_{C-y})_{0-4}$-$R_{C\text{-heterocycle}}$, or

-cyclopentyl or -cyclohexyl ring fused to a $R_{C\text{-aryl}}$ or $R_{C\text{-heteroaryl}}$ or $R_{C\text{-heterocycle}}$.

4. A substituted amine of formula (X) according to claim 3 where R_C is:

-$(CR_{C-x}R_{C-y})_{0-4}$-$R_{C\text{-aryl}}$,

-$(CR_{C-x}R_{C-y})_{0-4}$-$R_{C\text{-heteroaryl}}$,

-cyclopentyl or -cyclohexyl ring fused to a $R_{C\text{-aryl}}$ or $R_{C\text{-heteroaryl}}$ or $R_{C\text{-heterocycle}}$.

5. A substituted amine of formula (X) according to claim 1 where R_1 is -(CH_2)-($R_{1\text{-aryl}}$) where $R_{1\text{-aryl}}$ is phenyl.

6. A substituted amine of formula (X) according to claim 1 where R_1 is -(CH_2)-($R_{1\text{-aryl}}$) where $R_{1\text{-aryl}}$ is phenyl substituted with two -F.

7. A substituted amine of formula (X) according to claim 6 where the -F substitution is 3,5-difluorobenzyl.

8. A substituted amine of formula (X) according to claim 1 where R_2 is -H.

9. A substituted amine of formula (X) according to claim 1 where R_3 is -H.

10. A substituted amine of formula (X) according to claim 1 where R_N is

R_{N-1}-X_N- where X_N is -CO-, where R_{N-1} is R_{N-aryl} where R_{N-aryl} is phenyl substituted with one -CO-$NR_{N-2}R_{N-3}$ where the substitution on phenyl is 1,3-.

11. A substituted amine of formula (X) according to claim 10 where R_{N-2} and R_{N-3} are the same and are C_3 alkyl.

12. A substituted amine of formula (X) according to claim 1 where R_N is

R_{N-1}-X_N- where X_N is-CO-, where R_{N-1} is R_{N-aryl} where R_{N-aryl} is phenyl substituted with one C_1 alkyl and with one -CO-$NR_{N-2}R_{N-3}$ where the substitution on the phenyl is 1,3,5-.

13. A substituted amine of formula (X) according to claim 12 where R_{N-2} and R_{N-3} are the same and are C_3 alkyl.

14. A substituted amine of formula (X) according to claim 1 where R_N is

R_{N-1}-X_N- where X_N is -CO-, where R_{N-1} is $R_{N-heteroaryl}$ where $R_{N-heteroaryl}$ is substituted with one -CO-$NR_{N-2}R_{N-3}$.

15. A substituted amine of formula (X) according to claim 14 where R_{N-2} and R_{N-3} are the same and are -C_3 alkyl.

16. A substituted amine of formula (X) according to claim 1 where R_C is:

-$(CR_{C-x}R_{C-y})_{0-4}$-R_{C-aryl} where R_{C-aryl} is phenyl,

-$(CR_{C-x}R_{C-y})_{0-4}$-$R_{C-heteroaryl}$,

-cyclopentyl or -cyclohexyl ring fused to a R_{C-aryl} or $R_{C-heteroaryl}$ or $R_{C-heterocycle}$.

17. A substituted amine of formula (X) according to claim 16 where R_C is:

-$(CR_{C-x}R_{C-y})_{0-4}$-R_{C-aryl} where R_{C-aryl} is phenyl.

18. A substituted amine of formula (X) according to claim 17 where phenyl is substituted in the 3-position or 3,5-positions.

19. A substituted amine of formula (X) according to claim 16 where R_C is:

$-(CH_2)-R_{C\text{-heteroaryl}}$.

20. A substituted amine of formula (X) according to claim 16 where R_C is:

$-(CH_2)-R_{C\text{-heterocycle}}$.

21. A substituted amine of formula (X) according to claim 16 where R_C is:

-cyclohexyl ring fused to a phenyl ring.

22. A substituted amine of formula (X) according to claim 1 where the pharmaceutically acceptable salt is selected from the group consisting of salts of the following acids acetic, aspartic, benzenesulfonic, benzoic, bicarbonic, bisulfuric, bitartaric, butyric, calcium edetate, camsylic, carbonic, chlorobenzoic, citric, edetic, edisylic, estolic, esyl, esylic, formic, fumaric, gluceptic, gluconic, glutamic, glycollylarsanilic, hexamic, hexylresorcinoic, hydrabamic, hydrobromic, hydrochloric, hydroiodic, hydroxynaphthoic, isethionic, lactic, lactobionic, maleic, malic, malonic, mandelic, methanesulfonic, methylnitric, methylsulfuric, mucic, muconic, napsylic, nitric, oxalic, p-nitromethanesulfonic, pamoic, pantothenic, phosphoric, monohydrogen phosphoric, dihydrogen phosphoric, phthalic, polygalactouronic, propionic, salicylic, stearic, succinic, sulfamic, sulfanilic, sulfonic, sulfuric, tannic, tartaric, teoclic and toluenesulfonic.

23. A substituted amine of formula (X) according to claim 1 which is selected from the group consisting of:

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(2-furylmethyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-benzyl-3-(ethylamino)-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-benzyl-3-(benzylamino)-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-benzyl-2-hydroxy-3-(isopropylamino)propyl]-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-benzyl-2-hydroxy-3-(4-toluidino)propyl]-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(4-methoxyphenyl)ethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

ethyl {[(3S)-3-({3-[(dipropylamino)carbonyl]benzoyl}amino)-2-hydroxy-4-phenylbutyl]amino}(phenyl)acetate,

N^1-((1S)-1-benzyl-2-hydroxy-3-{[(1S)-2-hydroxy-1-(hydroxymethyl)-2-(4-nitrophenyl)ethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(2-chlorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(4-chlorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(2-hydroxyethoxy)ethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-benzyl-3-(2,3-dihydro-1H-inden-1-ylamino)-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-hydroxypropyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(tetrahydro-2-furanylmethyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(2,2-diethoxyethyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-benzyl-3-(butylamino)-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-benzyl-3-(cyclohexylamino)-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-pyridinylmethyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-3-[(2-aminobenzyl)amino]-1-benzyl-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-pyridinylmethyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(1-pyrrolidinyl)ethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-hydroxy-2-phenylethyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3-butoxypropyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-isopropoxypropyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-benzyl-2-hydroxy-3-(isopentylamino)propyl]-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-phenylpropyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-methoxyethyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-phenoxyethyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-propoxyethyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3,3-dimethylbutyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(4-phenylbutyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S)-1-benzyl-2-hydroxy-3-[(4-nitrobenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3-chlorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[2-(4-chlorophenyl)ethyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(2-pyridinyl)ethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(4-pyridinylmethyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(1-methyl-2-pyrrolidinyl)ethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(2,3-dimethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(trifluoromethoxy)benzyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(2-chloro-6-phenoxybenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[4-(trifluoromethyl)benzyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(2,3-dichlorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3,5-dichlorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3,5-difluorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[4-(trifluoromethoxy)benzyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-({2-[4-(aminosulfonyl)phenyl]ethyl}amino)-1-benzyl-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(4-methoxybenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(4-methylbenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3,4,5-trimethoxybenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[3-(trifluoromethoxy)benzyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3,5-dimethoxybenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(2,4-dimethoxybenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[([1,1'-biphenyl]-3-ylmethyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3,4-dichlorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(2-fluorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[3-(trifluoromethyl)benzyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-methylbenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[(1R)-1-phenylethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[(1S)-1-phenylethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[3,5-bis(trifluoromethyl)benzyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(trifluoromethyl)benzyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[(1S)-1-(1-naphthyl)ethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[(1R)-1-(1-naphthyl)ethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(4-hydroxy-3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3,4-dihydroxybenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S)-1-benzyl-2-hydroxy-3-[(3-methoxypropyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[(1S)-2-hydroxy-1-methylethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[(1R)-2-hydroxy-1-methylethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-benzyl-2-hydroxy-3-(2-propynylamino)propyl]-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[2-(2-fluorophenyl)ethyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[2-(3-fluorophenyl)ethyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[2-(4-fluorophenyl)ethyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[2-(4-bromophenyl)ethyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S)-1-benzyl-2-hydroxy-3-{[2-(3-methoxyphenyl)ethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[2-(2,4-dichlorophenyl)ethyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[2-(3-chlorophenyl)ethyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S)-1-benzyl-3-{[2-(2,5-dimethoxyphenyl)ethyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(4-methylphenyl)ethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[(1R)-1-benzyl-2-hydroxyethyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[3-(4-morpholinyl)propyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-benzyl-2-hydroxy-3-(isobutylamino)propyl]-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(4-morpholinyl)ethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-hydroxybutyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(2-thienyl)ethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(4-hydroxybutyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[(1S)-2-hydroxy-1-phenylethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(2,4-dichlorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[(1R)-2-hydroxy-1-phenylethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(4-tert-butylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3- dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(1-phenylethyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[(1R,2S)-2-hydroxy-2,3-dihydro-1H-inden-1-yl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3,4-dimethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3- dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[2-(isobutylamino)-1-methyl-2-oxoethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S)-2-(isobutylamino)-1-methyl-2-oxoethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^3-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S)-2-(isobutylamino)-1-methyl-2-oxoethyl]amino}propyl)-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[2-(isobutylamino)-1,1-dimethyl-2-oxoethyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[2-(isobutylamino)-2-oxoethyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({(1S)-1-[(isobutylamino)carbonyl]propyl}amino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({(1R)-1-[(isobutylamino)carbonyl]propyl}amino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-3-(ethylamino)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(isobutylamino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(isobutylamino)-2-methyl-3-oxopropyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[4-(dimethylamino)benzyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[(1S)-1-benzyl-2-(isobutylamino)-2-oxoethyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({(1S)-1-[(isobutylamino)carbonyl]-2-methylpropyl}amino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[2-(dimethylamino)ethyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-pyridinylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[(1S)-1-[(benzyloxy)methyl]-2-(isobutylamino)-2-oxoethyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({(1R)-1-[(isobutylamino)carbonyl]-2-methylpropyl}amino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({(1S)-1-[(isobutylamino)carbonyl]butyl}amino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S)-1-(hydroxymethyl)-2-(isobutylamino)-2-oxoethyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-phenylethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[(1S)-2-(benzylamino)-1-methyl-2-oxoethyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S)-1-phenylpropyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(1S)-2-(ethylamino)-1-methyl-2-oxoethyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S)-2-(isobutylamino)-2-oxo-1-phenylethyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(isopentylamino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(cyclohexylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(butylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxypropyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-hydroxy-2-phenylethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(3R,5S)-3,5-dimethoxycyclohexyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

dimethyl (1R,3S)-5-{[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}-1,3-cyclohexanedicarboxylate,

(1R,3S)-5-{[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}-1,3-cyclohexanedicarboxylic acid,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1R)-1-phenylpropyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-[(3-chlorobenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[(2-propylpentyl)sulfonyl]benzamide,

N^1-[(1S,2R)-3-[([1,1'-biphenyl]-3-ylmethyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methylbenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-phenylpropyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1,3-thiazol-5-ylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-thienylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(5-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-pyrazinylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3,5-difluorobenzyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-3-[(1,3-benzodioxol-5-ylmethyl)amino]-1-benzyl-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3,5-dimethoxybenzyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(trifluoromethyl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(7-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(trifluoromethoxy)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-fluorobenzyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-[(3-bromobenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S;2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(5-methyl-2-furyl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(5-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(5-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(1,2,3,4-tetrahydro-1-naphthalenylamino)propyl]-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methoxy-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-chloro-N^3,N^3-dipropylisophthalamide,

N^3-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-fluoro-N^3,N^3-dipropylisophthalamide,

N^2-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N^5,N^5-dipropyl-2,5-thiophenedicarboxamide,

N^4-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N^2,N^2-dipropyl-2,4-pyridinedicarboxamide,

N^4-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N^6,N^6dipropyl-4,6- pyrimidinedicarboxamide,

N-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-3-(4-morpholinylcarbonyl)benzamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methylbenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^5,N^5-dipropylpentanediamide,

N^1-[(1S,2R)-3-{[(1R)-1-[(benzyloxy)methyl]-2-(isobutylamino)-2-oxoethyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1R)-1-(hydroxymethyl)-2-(isobutylamino)-2-oxoethyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-benzyl-2-hydroxy-3-(pentylamino)propyl]-N^3,N^3-dipropylisophthalamide,

N^1-[(1S)-3-({2-[4-(aminosulfonyl)phenyl]ethyl}amino)-1-benzyl-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide,

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1,3-thiazol-5-ylmethyl)amino]propyl}-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

3-benzoyl-N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}[1,1'-biphenyl]-3-carboxamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N^3-(2-methoxyethyl)-N^3-propylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-ethoxybenzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-naphthamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1R)-1,2,3,4-tetrahydro-1-naphthalenylamino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1R)-3-{[3,5-bis(trifluoromethyl)benzyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[2-fluoro-5-(trifluoromethyl)benzyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(2,3-difluorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[3-fluoro-4-(trifluoromethyl)benzyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(2,5-difluorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[3-fluoro-5-(trifluoromethyl)benzyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3,4-difluorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[4-fluoro-3-(trifluoromethyl)benzyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[2-chloro-5-(trifluoromethyl)benzyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[4-chloro-3-(trifluoromethyl)benzyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-benzyl-3-(2,3-dihydro-1H-inden-2-ylamino)-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide,

N^1-{(1S)-1-benzyl-2-hydroxy-3-[(3-nitrobenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[3-(difluoromethoxy)benzyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3-ethoxybenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[(5-methyl-2-pyrazinyl)methyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3-bromo-4-fluorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3,5-dimethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethoxybenzyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-phenoxyethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isobutoxybenzyl)amino]propyl} -5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(4-methyl-1,3-thiazol-2-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N^3-methyl-N^3-propylisophthalamide,

N^2-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N^5,N^5-dipropyl-2,5-furandicarboxamide,

N^3-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(trifluoromethyl)benzyl]amino}propyl)-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl}-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-[(1S,2R)-3-amino-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(1,2-diphenylethyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(7-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide, isomer A,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(7-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide, isomer B,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-(dimethylamino)benzamide,

N-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-2-methyl-1H-benzimidazole-5-carboxamide,

3-(aminosulfonyl)-N-{(1S)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-chlorobenzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-cyanobenzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-chloro-3-nitrobenzamide,

methyl 3-[({(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}amino)carbonyl]-5-nitrobenzoate,

tert-butyl 3-[({(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}amino)carbonyl]phenylcarbamate,

N-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-9,10-dioxo-9,10-dihydro-2-anthrancenylcarboxamide,

N-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-1H-1,2,3-benzotriazole-6-carboxamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-(3-methyl-5-oxo-4,5-dihydro-1H-pyrazol-1-yl)benzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-1H-indole-5-carboxamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-fluoro-5-(trifluoromethyl)benzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-(trifluoromethyl)benzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-(butylamino)benzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-(trifluoromethoxy)benzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3,5-dimethoxybenzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3,5-dimethylbenzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3,5-difluorobenzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3,5-dichlorobenzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-(benzyloxy)benzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-1,3-benzodioxole-5-carboxamide,

3-(acetylamino)-N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}benzamide,

4-(acetylamino)-N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}benzamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(3,5-dimethyl-4-isoxazolyl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-phenylpropyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-furylmethyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(tetrahydro-3-furanylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-propoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-pyridinylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-hydroxy-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[1-methyl-1-(3-methylphenyl)ethyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1S)-1,2,3,4-tetrahydro-1-naphthalenylamino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(2,5-dimethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[2-chloro-5-(trifluoromethyl)benzyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-hydroxy-5-methylbenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S,2R)-2-hydroxy-2,3-dihydro-1H-inden-1-yl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(1R)-2,3-dihydro-1H-inden-1-ylamino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

5-chloro-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-[(1-benzofuran-2-ylmethyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[(1R)-1-(3-bromophenyl)ethyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(4-fluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[butyl(butyryl)amino]-5-methylbenzamide,

N^1-{1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-methyl-N^3,N^3-dipropylisophthalamide,

N^3-{1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-methyl-N^1,N^1-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-methyl-N^3,N^3-dipropylisophthalamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-1-butyl-1H-indole-6-carboxamide,

N^1-[(1S,2R)-3-anilino-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

5-bromo-N^1-[(1S,2R)-3-[(3-bromobenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-methylpentanamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-3-methylpentanamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-hydroxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-cyano-N^3,N^3-dipropylisophthalamide hydrochloride,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

1- N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-oxo-5-(1-piperidinyl)pentanamide trifluroacetate,

5-(aminosulfonyl)-N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-5-(1-pyrrolidinylsulfonyl)isophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(methylamino)sulfonyl]-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(dimethylamino)sulfonyl]-N^3,N^3-dipropylisophthalamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-methyl-3-(methylsulfonyl)propanamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-(methylsulfonyl)propanamide,

2-amino-N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-1,3-thiazole-4-carboxamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(methylsulfonyl)pentanamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^4-phenylsuccinamide,

(3R)-N^4-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2,2,3-trimethylbutanediamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[(dipropylamino)sulfonyl]propanamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^5,N^5-dipropylpentanediamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-oxo-4-(1-piperidinyl)butanamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^4,N^4-dipropylsuccinamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-oxo-5-(1-piperidinyl)pentanamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^5-phenylpentanediamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3,3-dimethyl-4-oxo-4-(1-piperidinyl)butanamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-(isopentylsulfonyl)butanamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2,2-dimethyl-N^4,N^4-dipropylsuccinamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-[(dipropylamino)sulfonyl]butanamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-[(methylanilino)sulfonyl]butanamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[(methylanilino)sulfonyl]propanamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}acetamide, N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-(isopentylsulfonyl)propanamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-oxo-5-(1-piperidinyl)pentanamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-oxo-5-(1-piperidinyl)pentanamide and

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-3-[(dipropylamino)sulfonyl]propanamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-ethyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-isobutyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-tert-butyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-cyano-N^3-propylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dimethyl-N^5,N^5-dipropyl-1,3,5-benzenetricarboxamide,

N^1-[(1S,2R)-3-amino-1-benzyl-2-hydroxypropyl]-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-[(1S,2R)-1-benzyl-2-hydroxy-3-(isopentylamino)propyl]-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3-propyl-1,3,5-benzenetricarboxamide,

N-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[butyryl(propyl)amino]-5-methylbenzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-1-propyl-1H-indole-6-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-1-propyl-1H-indole-6-carboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3,4-dimethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-[(3-aminobenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}octanamide,

N^3-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({1-methyl-1-[3-(trifluoromethyl)phenyl]ethyl}amino)propyl]-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({1-methyl-1-[3-(trifluoromethyl)phenyl]ethyl}amino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1R,2S)-2-hydroxy-2,3-dihydro-1H-inden-1-yl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(1R)-2,3-dihydro-1H-inden-1-ylamino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-3-methylbenzamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(1H-isoindol-3-ylamino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1R,2S,5R)-2-isopropyl-5-methylcyclohexyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1,N^1-diallyl-5-chloro-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl}isophthalamide,

N^1,N^1-diallyl-5-chloro-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl}isophthalamide,

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-phenylcyclopentyl)amino]propyl}-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[3-(dimethylamino)benzyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(4,5-dimethyl-2-furyl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-phenylcyclopentyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(cyclopropylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-[(cyclopropylmethyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-N^5,N^5-dipropylpentanediamide,

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(2-furylmethyl)amino]-2-hydroxypropyl}-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(tetrahydro-2-furanylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-phenylcyclopropyl)amino]propyl}-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-oxo-3-azepanyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(3-methyl-2-furyl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2S)-tetrahydro-2-furanylmethyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

5-chloro-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl}-N^3,N^3-di(2-propynyl)isophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropenylbenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-propoxyethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-3-(hexylamino)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-(3-methyl-5-oxo-4,5-dihydro-1H-pyrazol-1-yl)benzamide,

methyl 4-({[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}methyl)benzoate,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-methoxyethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(5-isoxazolylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

(1R,2R)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-N^2,N^2-dipropyl-1,2-cyclopropanedicarboxamide,

N^3-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2S)-tetrahydro-2-furanylmethyl]amino}propyl)-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropylbenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

4-(butyrylamino)-N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}benzamide,

N^1-[(1S,2R)-3-[(3-amino-3-oxopropyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^3-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide 1-oxide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-ethynyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(7-oxabicyclo[2.2.1]hept-2-ylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethynylbenzyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2-methyl-1,3-thiazol-5-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(2-ethyl-1,3-thiazol-5-yl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(3R)-2-oxoazepanyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(cyclobutylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(butylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-ethynyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-ethynyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-3-(5-hexynylamino)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^3-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(5-methyl-2-furyl)methyl]amino}propyl)-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl}-N^5,N^5-dipropylpentanediamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[1-(2-furyl)-1-methylethyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(3-isobutyl-5-isoxazolyl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2-isobutyl-1,3-thiazol-5-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(dipropylamino)sulfonyl]propanamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-phenylethyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[2-(2-chlorophenyl)ethyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[3-(2-oxo-1-pyrrolidinyl)propyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(cyclohexylmethyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-benzyl-3-(cyclopropylamino)-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-oxo-3-azepanyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-3-(butylsulfonyl)benzamide,

N^1-[(1S,2R)-1-benzyl-3-({2-[(2-ethylhexyl)oxy]ethyl}amino)-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[(1S,2R)-2-hydroxy-2,3-dihydro-1H-inden-1-yl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[1-(4-hydroxyphenyl)ethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-benzyl-3-(cycloheptylamino)-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[([1,1'-biphenyl]-2-ylmethyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(2-fluorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-(dimethylamino)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-1-naphthamide,

N^1-[(1S,2R)-1-benzyl-3-({2-[({5-[(dimethylamino)methyl]-2-furyl}methyl)sulfanyl]ethyl}amino)-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-benzyl-3-({2-[(2-chloro-6-fluorobenzyl)sulfanyl]ethyl}amino)-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-[([1,1'-biphenyl]-4-ylmethyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(1-naphthylamino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1H-imidazol-5-ylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2-phenyl-1H-imidazol-5-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1-methyl-1H-imidazol-2-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[(2-butyl-4-chloro-1H-imidazol-5-yl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[(6-chloroimidazo[2,1-b][1,3]thiazol-5-yl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1-methyl-1H-benzimidazol-2-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2-hydroxy-1-naphthyl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(4-oxo-4H-chromen-3-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(1,5-dimethyl-3-oxo-2-phenyl-2,3-dihydro-1H-pyrazol-4-yl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-({[5-cyano-6-(methylsulfanyl)-2-pyridinyl]methyl}amino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

[5-({[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}methyl)-2-furyl]methyl acetate,

N^1-[(1S,2R)-3-[(1-benzofuran-3-ylmethyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

methyl 4-({[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}methyl)-1-methyl-1H-pyrrole-2-carboxylate,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({[1-(phenylsulfonyl)-1H-pyrrol-2-yl]methyl}amino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1-methyl-1H-pyrrol-2-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[(4-chloro-1-methyl-1H-pyrazol-3-yl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(3,5-dimethyl-1-phenyl-1H-pyrazol-4-yl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[(5-chloro-3-methyl-1-phenyl-1H-pyrazol-4-yl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(3-phenyl-1H-pyrazol-4-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[(5-chloro-2-thienyl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(3-phenoxy-2-thienyl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-quinolinylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-quinolinylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1-methyl-1H-indol-2-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[(1-benzyl-1H-indol-3-yl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1-methyl-1H-indol-3-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[({1-[(4-methylphenyl)sulfonyl]-1H-indol-3-yl}methyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[(2-butyl-1H-imidazol-5-yl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

methyl 3-({[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}methyl)-1H-indole-6-carboxylate,

3-[({(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-amino)carbonyl]-5-[butyl(butyryl)amino]benzyl diethyl phosphate,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(cyanomethyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(hydroxymethyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-ethynyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-N^3,N^3-dipropyl-5-prop-1-ynylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[3-(trifluoromethyl)benzyl]amino}propyl)-5-ethynyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-ethynyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3-fluorobenzyl)amino]-2-hydroxypropyl}-5-ethynyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-5-(8-quinolinyl)isophthalamide,

N^3-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4'-methoxy-N^5,N^5-dipropyl[1,1'-biphenyl]-3,5-dicarboxamide,

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^5,N^5-dipropyl[1,1'-biphenyl]-3,5-dicarboxamide,

N^3-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^5,N^5-dipropyl[1,1'-biphenyl]-3,5-dicarboxamide,

N^3-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4'-[(dimethylamino)sulfonyl]-N^5,N^5-dipropyl-1,1'-biphenyl-3,5-dicarboxamide,

N^3-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4'-[(dimethylamino)sulfonyl]-N^5,N^5-dipropyl-1,1'-biphenyl-3,5-dicarboxamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-5-(3-thienyl)isophthalamide,

N-{(1R,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-methyl-5-pentanoylbenzamide,

N^1-(4-hydroxybutyl)-N^3-{(1S)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^1-propylisophthalamide,

N^1-{(1S,2R)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}-N^3-(3-hydroxypropyl)-5-methyl-N^3-propylisophthalamide,

N^1-{(1S,2R)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[3-(2,4-dimethylphenyl)propyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[3-(4-methylphenyl)propyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-1,3-dioxo-2-propyl-5-isoindolinecarboxamide,

N-{(1R,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-bromo-5-methylbenzamide,

3-bromo-N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methylbenzamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-methyl- N^3,N^3-dipropylisophthalamide,

N^3-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-methyl-N^1,N^1-dipropylisophthalamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-(2-furyl)-5-methylbenzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3',5,5'-trimethyl-1,1'-biphenyl-3-carboxamide,

3'-Acetyl-N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl[1,1'-biphenyl]-3-carboxamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3'-methoxy-5-methyl[1,1'-biphenyl]-3-carboxamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl[1,1'-biphenyl]-3-carboxamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-methyl-5-(2-thienyl)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl) amino]propyl}-3-methyl-5-(3-thienyl)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino] propyl}-3-methyl-5-(3-thienyl)benzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-methyl-3-(3-thienyl)benzamide,

N^1-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3,N^5,N^5-tetrapropylbenzene-1,3,5-tricarboxamide,

N^1-{(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylbenzene-1,3,5-tricarboxamide,

Ethyl 3-[({(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}amino)carbonyl]-5-[(dipropylamino)carbonyl]benzoate,

N^1-{(1S,2R)-2-Hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropylbenzene-1,3,5-tricarboxamide,

N^1-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-5-{[(trifluoromethyl)sulfonyl]amino}isophthalamide,

5-Amino-N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-5-[(trifluoroacetyl)amino]isophthalamide,

N^1-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(methylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-5-[(thien-2-ylsulfonyl)amino]isophthalamide,

N^1-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-5-[(thien-2-ylcarbonyl)amino]isophthalamide,

N^1-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(methacryloylamino)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(2,2-dimethylpropanoyl)amino]-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(phenylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide.

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(methylthio)pentanamide,

tert-butyl (2R,3S)-3-({3-[(dipropylamino)sulfonyl]- propanoyl}amino)-2-hydroxy-4-phenylbutyl(3-methoxybenzyl)carbamate

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-methyl-5-[propionyl(propyl)amino]benzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-1-butyl-1H-indole-5-carboxamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-bromo-5-methylbenzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[butyl(propionyl)amino]-5-methylbenzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-methyl-1-propyl-1H-indole-6-carboxamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-1-(1-propylbutyl)-1H-indole-6-carboxamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[(2-oxo-2,3-dihydro-1,3-benzoxazol-6-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropyl-5-{[(trifluoromethyl)sulfonyl]amino}isophthalamide,

3-[({(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}amino)carbonyl]-5-[(dipropylamino)carbonyl]benzoic acid,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropyl-5-prop-1-ynylisophthalamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-(dipropylamino)isonicotinamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-hydroxy-2-(4-methylphenyl)acetamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-hydroxy-N3-methylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-hydroxy-2-(4-methoxy-3-nitrophenyl)acetamide,

5-(aminosulfonyl)-N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-methoxybenzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-hydroxy-3-(pyrrolidin-1-ylcarbonyl)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(3,5-dimethylisoxazol-4-yl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-5-(1,3-thiazol-2-yl)isophthalamide,

3-(cyclohexylcarbonyl)-N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methylbenzamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3-propylisophthalamide,

3-[cyclohexyl(hydroxy)methyl]-N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methylbenzamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-(4-methyl-1,3-oxazol-2-yl)-N^3,N^3-dipropylisophthalamide

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^5,N^5-dipropylpyridine-3,5-dicarboxamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(3-isobutyl-1,2,4-oxadiazol -5-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethynylbenzyl)amino]-2-hydroxypropy l}-N^5,N^5-dipropylpyridine-3,5-dicarboxamide,

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropylbenzyl)amino]propyl}-N^5,N^5-dipropylpyridine-3,5-dicarboxamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(4-hydroxybut-1-ynyl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

1-{3-[({(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropy l}amino)carbonyl]-5-methylbenzoyl}-L-prolinamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3-isopropyl-5-methylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3-ethyl-N^3,5-dimethylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3,5-dimethyl-N^3-prop-2-ynylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3-isobutyl-5-methylisophthalamide,

N^1-(sec-butyl)-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylisophthalamide,

N^1-butyl-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl} -N^3,N^3-diethyl-5-methylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl} -N^3,5-dimethyl-N^3-propylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl} -N^3-isopropyl-N^3,5-dimethylisophthalamide,

N^1-butyl-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^1,5-dimethylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl} -N^3-isobutyl-N^3,5-dimethylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl} -N^3-ethyl-5-methyl-N^3-propylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl} -N^3-ethyl-N^3-isopropyl-5-methylisophthalamide,

N^1,N^1-diallyl-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylisophthalamide,

3-(azepan-1-ylcarbonyl)-N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)am ino]-2-hydroxypropyl}-5-methylbenzamide

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3- [(4-hydroxypiperidin-1-yl)carbonyl]-5-methylbenzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3- [(3-hydroxypiperidin-1-yl)carbonyl]-5-methylbenzamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl} -N^3,N^3-diisopropyl-5-methylisophthalamide,

N^1-butyl-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^1-ethyl-5-methylisophthalamide,

N^1-(cyclopropylmethyl)-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-N^1-propylisophthalamide,

1-{3-[({(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropy l}amino)carbonyl]-5-methylbenzoyl}-D-prolinamide,

N^1-cyclohexyl-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]- 2-hydroxypropyl}-N^1,5-dimethylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[1-(3-methylphenyl)cycloprop yl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^3-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(1,2,3,4-tetrahydronaphthalen-1-ylamino)propyl]-N^5,N^5-diisopropylpyridine-3,5-dicarboxamide, and

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-{[(trifluoromethyl)sulfonyl]amino}benzamide.

24. A substituted amine of formula (X) according to claim 23 which is selected from the group consisting of:

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(2-furylmethyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(2-hydroxyethoxy)ethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-3-[(2-aminobenzyl)amino]-1-benzyl-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(trifluoromethoxy)benzyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3,5-dichlorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[3-(trifluoromethoxy)benzyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3,5-dimethoxybenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[([1,1'-biphenyl]-3-ylmethyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3,4-dichlorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[3-(trifluoromethyl)benzyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S)-1-benzyl-2-hydroxy-3-[(3-methoxypropyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3,4-dimethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[2-(isobutylamino)-1-methyl-2-oxoethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S)-2-(isobutylamino)-1-methyl-2-oxoethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S)-2-(isobutylamino)-1-methyl-2-oxoethyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^3-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S)-2-(isobutylamino)-1-methyl-2-oxoethyl]amino}propyl)-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[2-(isobutylamino)-1,1-dimethyl-2-oxoethyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[2-(isobutylamino)-2-oxoethyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({(1S)-1-[(isobutylamino)carbonyl]propyl}amino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({(1R)-1-[(isobutylamino)carbonyl]propyl}amino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(isobutylamino)-2-methyl-3-oxopropyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[(1S)-1-benzyl-2-(isobutylamino)-2-oxoethyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({(1S)-1-[(isobutylamino)carbonyl]-2-methylpropyl}amino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-pyridinylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[(1S)-1-[(benzyloxy)methyl]-2-(isobutylamino)-2-oxoethyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({(1S)-1-[(isobutylamino)carbonyl]butyl}amino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S)-1-(hydroxymethyl)-2-(isobutylamino)-2-oxoethyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-phenylethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(isopentylamino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(cyclohexylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(butylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxypropyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

(1R,3S)-5-{[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}-1,3-cyclohexanedicarboxylic acid,

N^1-[(1S,2R)-3-[([1,1'-biphenyl]-3-ylmethyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methylbenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-phenylpropyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1,3-thiazol-5-ylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-thienylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(5-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-pyrazinylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3,5-dimethoxybenzyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(trifluoromethyl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(7-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(trifluoromethoxy)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-fluorobenzyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-[(3-bromobenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(5-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methoxy-N^3,N^3-dipropylisophthalamide

N^1-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-chloro-N^3,N^3-dipropylisophthalamide,

N^3-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-fluoro-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methylbenzyl)amino]propyl}-N3,N3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^5,N^5-dipropylpentanediamide,

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1,3-thiazol-5-ylmethyl)amino]propyl}-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}[1,1'-biphenyl]-3-carboxamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N^3-(2-methoxyethyl)-N^3-propylisophthalamide,

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N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1R)-1,2,3,4-tetrahydro-1-naphthalenylamino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1R)-3-{[3,5-bis(trifluoromethyl)benzyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[2-fluoro-5-(trifluoromethyl)benzyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[3-fluoro-5-(trifluoromethyl)benzyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[4-fluoro-3-(trifluoromethyl)benzyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[4-chloro-3-(trifluoromethyl)benzyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S)-1-benzyl-2-hydroxy-3-[(3-nitrobenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[3-(difluoromethoxy)benzyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3-ethoxybenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3-bromo-4-fluorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3,5-dimethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethoxybenzyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-phenoxyethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(4-methyl-1,3-thiazol-2-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N^3-methyl-N^3-propylisophthalamide,

N^3-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(trifluoromethyl)benzyl]amino}propyl)-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl}-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(7-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide, isomer B,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-furylmethyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(tetrahydro-3-furanylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-propoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-pyridinylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-hydroxy-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[1-methyl-1-(3-methylphenyl)ethyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1S)-1,2,3,4-tetrahydro-1-naphthalenylamino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(2,5-dimethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[2-chloro-5-(trifluoromethyl)benzyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-hydroxy-5-methylbenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

5-chloro-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[(1R)-1-(3-bromophenyl)ethyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-hydroxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-cyano-N^3,N^3-dipropylisophthalamide hydrochloride,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

5-(aminosulfonyl)-N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-5-(1-pyrrolidinylsulfonyl)isophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(methylamino)sulfonyl]-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(dimethylamino)sulfonyl]-N^3,N^3-dipropylisophthalamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[(dipropylamino)sulfonyl]propanamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-oxo-5-(1-piperidinyl)pentanamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-3-[(dipropylamino)sulfonyl]propanamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-ethyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-tert-butyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-cyano-N^3-propylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-1-propyl-1H-indole-6-carboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3,4-dimethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-[(3-aminobenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^3-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({1-methyl-1-[3-(trifluoromethyl)phenyl]ethyl}amino)propyl]-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1R,2S)-2-hydroxy-2,3-dihydro-1H-inden-1-yl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(1R)-2,3-dihydro-1H-inden-1-ylamino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

5-chloro-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl}-N^3,N^3-bis(2-methoxyethyl)isophthalamide,

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-phenylcyclopentyl)amino]propyl}-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[3-(dimethylamino)benzyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(4,5-dimethyl-2-furyl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-phenylcyclopentyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-N^5,N^5-dipropylpentanediamide,

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-phenylcyclopropyl)amino]propyl}-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2S)-tetrahydro-2-furanylmethyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropenylbenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-propoxyethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-3-(hexylamino)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-(3-methyl-5-oxo-4,5-dihydro-1H-pyrazol-1-yl)benzamide,

methyl 4-({[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}methyl)benzoate,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-methoxyethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(5-isoxazolylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

(1R,2R)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-N^2,N^2-dipropyl-1,2-cyclopropanedicarboxamide,

N^3-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2S)-tetrahydro-2-furanylmethyl]amino}propyl)-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropylbenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^3-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide 1-oxide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-ethynyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(7-oxabicyclo[2.2.1]hept-2-ylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethynylbenzyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2-methyl-1,3-thiazol-5-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(2-ethyl-1,3-thiazol-5-yl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(butylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-ethynyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-ethynyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-3-(5-hexynylamino)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^3-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(5-methyl-2-furyl)methyl]amino}propyl)-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl}-N^5,N^5-dipropylpentanediamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[1-(2-furyl)-1-methylethyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(3-isobutyl-5-isoxazolyl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2-isobutyl-1,3-thiazol-5-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(dipropylamino)sulfonyl]propanamide,

N^1-[(1S,2R)-3-[([1,1'-biphenyl]-4-ylmethyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1H-imidazol-5-ylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2-phenyl-1H-imidazol-5-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[(2-butyl-4-chloro-1H-imidazol-5-yl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-({[5-cyano-6-(methylsulfanyl)-2-pyridinyl]methyl}amino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

[5-({[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}methyl)-2-furyl]methyl acetate,

N^1-[(1S,2R)-3-[(1-benzofuran-3-ylmethyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

methyl 4-({[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}methyl)-1-methyl-1H-pyrrole-2-carboxylate,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1-methyl-1H-pyrrol-2-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[(5-chloro-2-thienyl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1-methyl-1H-indol-2-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[(1-benzyl-1H-indol-3-yl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1-methyl-1H-indol-3-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[(2-butyl-1H-imidazol-5-yl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

methyl 3-({[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}methyl)-1H-indole-6-carboxylate,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(cyanomethyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(hydroxymethyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-ethynyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-N^3,N^3-dipropyl-5-prop-1-ynylisophthalamide,

N^3-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4'-methoxy-N^5,N^5-dipropyl[1,1'-biphenyl]-3,5-dicarboxamide hydrochloride,

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^5,N^5-dipropyl[1,1'-biphenyl]-3,5-dicarboxamide,

N^3-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^5,N^5-dipropyl[1,1'-biphenyl]-3,5-dicarboxamide,

N^3-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4'-[(dimethylamino)sulfonyl]-N^5,N^5-dipropyl-1,1'-biphenyl-3,5-dicarboxamide,

N^3-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4'-[(dimethylamino)sulfonyl]-N^5,N^5-dipropyl-1,1'-biphenyl-3,5-dicarboxamide,

N-{(1R,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-methyl-5-pentanoylbenzamide,

N^1-{(1S,2R)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}-N^3-(3-hydroxypropyl)-5-methyl-N^3-propylisophthalamide,

N^1-{(1S,2R)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-methyl- N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3,N^5,N^5-tetrapropylbenzene-1,3,5-tricarboxamide,

N^1-{(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylbenzene-1,3,5-tricarboxamide,

ethyl 3-[({(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}amino)carbonyl]-5-[(dipropylamino)carbonyl]benzoate,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-5-{[(trifluoromethyl)sulfonyl]amino}isophthalamide,

5-amino-N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(methylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-5-[(thien-2-ylsulfonyl)amino]isophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-5-[(thien-2-ylcarbonyl)amino]isophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(methacryloylamino)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(phenylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(methylthio)pentanamide,

3-amino-N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-methylbutanamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-ethylhexanamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-3-[(isobutylsulfonyl)amino]propanamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-N^3-(isobutylsulfonyl)-beta-alaninamide,

5-bromo-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide, and

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-phenylcyclopropyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[(2-oxo-2,3-dihydro-1,3-benzoxazol-6-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropyl-5-{[(trifluoromethyl)sulfonyl]amino}isophthalamide,

3-[({(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}amino)carbonyl]-5-[(dipropylamino)carbonyl]benzoic acid,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropyl-5-prop-1-ynylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-hydroxy-3-(pyrrolidin-1-ylcarbonyl)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-5-(1,3-thiazol-2-yl)isophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3-propylisophthalamide,

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^5,N^5-dipropylpyridine-3,5-dicarboxamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(3-isobutyl-1,2,4-oxadiazol -5-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethynylbenzyl)amino]-2-hydroxypropy l}-N^5,N^5-dipropylpyridine-3,5-dicarboxamide,

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropylbenzyl)amino]propyl}-N^5,N^5-dipropylpyridine-3,5-dicarboxamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(4-hydroxybut-1-ynyl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

1-{3-[({(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropy l}amino)carbonyl]-5-methylbenzoyl}-L-prolinamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3-isopropyl-5-methylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3-ethyl-N^3,5-dimethylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3,5-dimethyl-N^3-prop-2-ynylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3-isobutyl-5-methylisophthalamide,

N^1-(sec-butyl)-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylisophthalamide,

N^1-butyl-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl} -N^3,N^3-diethyl-5-methylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl} -N^3,5-dimethyl-N^3-propylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl} -N^3-isopropyl-N^3,5-dimethylisophthalamide,

N^1-butyl-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^1,5-dimethylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl} -N^3-isobutyl-N^3,5-dimethylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl} -N^3-ethyl-5-methyl-N^3-propylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl} -N^3-ethyl-N^3-isopropyl-5-methylisophthalamide,

N^1,N^1-diallyl-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylisophthalamide,

3-(azepan-1-ylcarbonyl)-N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylbenzamide

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3- [(4-hydroxypiperidin-1-yl)carbonyl]-5-methylbenzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3- [(3-hydroxypiperidin-1-yl)carbonyl]-5-methylbenzamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl} -N^3,N^3-diisopropyl-5-methylisophthalamide,

N^1-butyl-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^1-ethyl-5-methylisophthalamide,

N^1-(cyclopropylmethyl)-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-N^1-propylisophthalamide,

N^1-cyclohexyl-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]- 2-hydroxypropyl}-N^1,5-dimethylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[1-(3-methylphenyl)cycloprop yl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide, and

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-{[(trifluoromethyl)sulfonyl]amino}benzamide.

25. A substituted amine of formula (X) according to claim 1 which is selected from the group consisting of:

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-methyl-5-(2-propylpentanoyl)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-(2-ethylpentanoyl)-5-methylbenzamide,

N-{(1S,2R)-1-benzyl-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-methyl-5-(2-propylpentanoyl)benzamide,

N-{(1S,2R)-1-benzyl-3-[(3-ethynylbenzyl)amino]-2-hydroxypropyl}-3-methyl-5-(2-propylpentanoyl)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-(2-ethylbutanoyl)-5-methylbenzamide,

N^1-{(1S,2R)-1-benzyl-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-(2-propylpentanoyl)isophthalamide,

N-{(1S,2R)-1-benzyl-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-(2-ethylpentanoyl)-5-methylbenzamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-(2-propylpentanoyl)isophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(2-propylpentanoyl)isophthalamide,

N-[(1S,2R)-3-[(3-ethylbenzyl)amino]-2-hydroxy-1-(4-hydroxybenzyl)propyl]-3-methyl-5-(2-propylpentanoyl)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-methyl-5-(2-propylpentanoyl)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-methyl-5-(2-propylpentanoyl)benzamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(3-pyridinyl)benzyl]amino}propyl)-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(4-pyridinyl)benzyl]amino}propyl)-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-5-(1-propynyl)isophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- N^3,N^3-dipropyl-5-(1-propynyl)isophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- N^3,N^3-dipropyl-5-(2-propynyl)isophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-5-(2-propynyl)isophthalamide,

N^1-{(1S,2R)-1-(cyclohexylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(benzylamino)-2-hydroxy-1-(3-thienylmethyl)propyl]-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-thienylmethyl)propyl]-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-{(1S)-1-[(1R)-2-(benzylamino)-1-hydroxyethyl]-3-butynyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(3-thienylmethyl)propyl]-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(benzylamino)-2-hydroxy-1-(2-thienylmethyl)propyl]-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-3-(benzylamino)-1-[4-(benzyloxy)benzyl]-2-hydroxypropyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-(2-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(cyclohexylmethyl)-2-hydroxypropyl]-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(benzylamino)-2-hydroxy-1-(1-naphthylmethyl)propyl]-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

2,3,5-trideoxy-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-5-[(3-methoxybenzyl)amino]-1-S-phenyl-1-thio-D-erythro-pentitol,

N^1-[(1S,2R)-3-(benzylamino)-1-(3-furylmethyl)-2-hydroxypropyl]-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-((1S)-1-{(1R)-1-hydroxy-2-[(3-methoxybenzyl)amino]ethyl}-3-methylbutyl)-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(4-fluorobenzyl)-2-hydroxypropyl]- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-(4-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(2-furylmethyl)-2-hydroxypropyl]-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(1-naphthylmethyl)propyl]-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-{(1S)-1-[(1R)-2-(benzylamino)-1-hydroxyethyl]-3-methylbutyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-[4-(benzyloxy)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(benzylamino)-2-hydroxy-1-(4-hydroxybenzyl)propyl]-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-((1S)-1-{(1R)-1-hydroxy-2-[(3-methoxybenzyl)amino]ethyl}-3-butynyl)-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-((1S)-1-{(1R)-1-hydroxy-2-[(3-methoxybenzyl)amino]ethyl}-3-butynyl)-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

5-(benzylamino)-2,3,5-trideoxy-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-1-S-phenyl-1-thio-D-erythro-pentitol,

N^1-{(1S,2R)-1-[4-(benzyloxy)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-[(1S,2R)-3-(benzylamino)-2-hydroxy-1-(4-hydroxybenzyl)propyl]-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(1-naphthylmethyl)propyl]- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S)-1-[(1R)-2-(benzylamino)-1-hydroxyethyl]-3-methylbutyl}-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(4-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(3-furylmethyl)-2-hydroxypropyl]-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-((1S)-1-{(1R)-1-hydroxy-2-[(3-methoxybenzyl)amino]ethyl}-3-methylbutyl)- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(4-fluorobenzyl)-2-hydroxypropyl]-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(2-furylmethyl)-2-hydroxypropyl]- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-[(1S,2R)-3-(benzylamino)-2-hydroxy-1-(1-naphthylmethyl)propyl]-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(cyclohexylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-[(1S,2R)-3-(benzylamino)-2-hydroxy-1-(2-thienylmethyl)propyl]-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-(3-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-3-(benzylamino)-1-[4-(benzyloxy)benzyl]-2-hydroxypropyl}-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(2-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-[(1S,2R)-3-(benzylamino)-2-hydroxy-1-(3-thienylmethyl)propyl]-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-thienylmethyl)propyl]- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S)-1-[(1R)-2-(benzylamino)-1-hydroxyethyl]-3-butynyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(3-thienylmethyl)propyl]- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-(cyclohexylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(3-thienylmethyl)propyl]- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-thienylmethyl)propyl]- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-(2-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-(3-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-((1S)-1-{(1R)-1-hydroxy-2-[(3-methoxybenzyl)amino]ethyl}-3-methylbutyl)- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-(4-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(1-naphthylmethyl)propyl]- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-[4-(benzyloxy)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-2-hydroxy-1-[3-(hydroxymethyl)benzyl]-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-3-[(3-ethylbenzyl)amino]-2-hydroxy-1-[3-(hydroxymethyl)benzyl]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-2-hydroxy-1-[3-(hydroxymethyl)benzyl]-3-[(3-iodobenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-2-hydroxy-1-[4-(hydroxymethyl)benzyl]-3-[(3-iodobenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-3-[(3-ethylbenzyl)amino]-2-hydroxy-1-[4-(hydroxymethyl)benzyl]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-2-hydroxy-1-[4-(hydroxymethyl)benzyl]-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3-fluoro-5-hydroxybenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-[(3-ethylbenzyl)amino]-1-(3-fluoro-5-hydroxybenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3-fluoro-5-hydroxybenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-[3-(benzyloxy)-5-fluorobenzyl]-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-[3-(benzyloxy)-5-fluorobenzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N-{(1S,2R)-1-[4-(benzyloxy)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[(dipropylamino)sulfonyl]propanamide,

N^1-{(1S,2R)-1-[4-(benzyloxy)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^5,N^5-dipropylpentanediamide,

3-[(dipropylamino)sulfonyl]-N-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(1-naphthylmethyl)propyl]propanamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(1-naphthylmethyl)propyl]- N^5,N^5-dipropylpentanediamide,

3-[(dipropylamino)sulfonyl]-N-{(1S,2R)-1-(4-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}propanamide,

N^1-{(1S,2R)-1-(4-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^5,N^5-dipropylpentanediamide,

3-[(dipropylamino)sulfonyl]-N-{(1S,2R)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}propanamide,

N^1-{(1S,2R)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}- N^5,N^5-dipropylpentanediamide,

3-[(dipropylamino)sulfonyl]-N-{(1S,2R)-1-(3-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}propanamide,

N^1-{(1S,2R)-1-(2-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^5,N^5-dipropylpentanediamide,

3-[(dipropylamino)sulfonyl]-N-{(1S,2R)-1-(2-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}propanamide,

N^1-{(1S,2R)-1-(3-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^5,N^5-dipropylpentanediamide,

3-[(dipropylamino)sulfonyl]-N-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-thienylmethyl)propyl]propanamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(3-thienylmethyl)propyl]- N^5,N^5-dipropylpentanediamide,

3-[(dipropylamino)sulfonyl]-N-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(3-thienylmethyl)propyl]propanamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-thienylmethyl)propyl]- N^5,N^5-dipropylpentanediamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-{[(2R)-1-ethylpyrrolidinyl]carbonyl}-5-methylbenzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-{[(2S)-1-ethylpyrrolidinyl]carbonyl}-5-methylbenzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-{(1-ethyl-1H-imidazol-2-yl)carbonyl]-5-methylbenzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[(1-ethyl-4-methyl-1H-imidazol-5-yl)carbonyl]-5-methylbenzamide,

N^1-((1S,2S)-1-(3,5-difluorobenzyl)-2-hydroxy-2-{1-[(3-methoxybenzyl)amino]cyclopropyl}ethyl)-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-((1S,2S)-1-(3,5-difluorobenzyl)-2-{1-[(3-ethylbenzyl)amino]cyclopropyl}-2-hydroxyethyl)-5-methyl- N^3,N^3-dipropylisophthalamide,

(1R,2R,3R)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^2,N^2-dipropyl-1,2,3-cyclopropanetricarboxamide,

(1R,2R,3R)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-phenyl- N^2,N^2-dipropyl-1,2-cyclopropanedicarboxamide,

(1R,2R,3R)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-

methoxybenzyl)amino]propyl}-3-methyl- N^2,N^2-dipropyl-1,2-cyclopropanedicarboxamide,

(1R,2R,3S)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-methyl- N^2,N^2-dipropyl-1,2-cyclopropanedicarboxamide,

(1R,2R,3S)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-phenyl- N^2,N^2-dipropyl-1,2-cyclopropanedicarboxamide,

(1R,2R,3S)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^2,N^2-dipropyl-1,2,3-cyclopropanetricarboxamide,

(1R,2R,3S)-3-(2-amino-2-oxoethyl)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^2,N^2-dipropyl-1,2-cyclopropanedicarboxamide,

(1R,2R,3R)-3-(2-amino-2-oxoethyl)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^2,N^2-dipropyl-1,2-cyclopropanedicarboxamide,

(1R,2R,3S)-N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-[2-(dipropylamino)-2-oxoethyl]-3-methylcyclopropanecarboxamide,

(1R,2R,3R)-N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-[2-(dipropylamino)-2-oxoethyl]-3-methylcyclopropanecarboxamide,

(1S,2R,3R)-N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-[2-(dipropylamino)-2-oxoethyl]-3-phenylcyclopropanecarboxamide,

(1S,2R,3S)-N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-[2-(dipropylamino)-2-oxoethyl]-3-phenylcyclopropanecarboxamide,

(1S,2R,3R)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[2-(dipropylamino)-2-oxoethyl]-1,2-cyclopropanedicarboxamide,

(1S,2R,3S)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[2-(dipropylamino)-2-oxoethyl]-1,2-cyclopropanedicarboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-5-{[(trifluoromethyl)sulfonyl]amino}isophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- N^3,N^3-dipropyl-5-{[(trifluoromethyl)sulfonyl]amino}isophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- N^3,N^3-dipropyl-5-{[(trifluoromethyl)sulfonyl]amino}isophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-{methyl[(trifluoromethyl)sulfonyl]amino}- N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-{methyl[(trifluoromethyl)sulfonyl]amino}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-5-{propyl[(trifluoromethyl)sulfonyl]amino}isophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(methylsulfonyl)amino]- N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(phenylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropylbenzyl)amino]propyl}-3-[(dipropylamino)sulfonyl]propanamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethynylbenzyl)amino]-2-hydroxypropyl}-3-[(dipropylamino)sulfonyl]propanamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[3-(dimethylamino)benzyl]amino}-2-hydroxypropyl)-3-[(dipropylamino)sulfonyl]propanamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(2-ethyl-1,3-thiazol-5-yl)methyl]amino}-2-hydroxypropyl)-3-[(dipropylamino)sulfonyl]propanamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2-isobutyl-1,3-thiazol-5-yl)methyl]amino}propyl)-3-[(dipropylamino)sulfonyl]propanamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(3-isobutyl-5-isoxazolyl)methyl]amino}propyl)-3-[(dipropylamino)sulfonyl]propanamide,

N-[(1S,2R)-3-[(3-cyclopropylbenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-3-[(dipropylamino)sulfonyl]propanamide,

N^1-[(1S,2R)-3-[(3-cyclopropylbenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(1,3-thiazol-2-yl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(1,3-oxazol-2-yl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-[(3-acetylbenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-[(3-acetylbenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-[(1S,2R)-3-[(3-acetylbenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-(aminosulfonyl)- N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-[(3-acetylbenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-(methylsulfonyl)- N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[3-(diethylamino)benzyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(4-morpholinyl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(1-piperazinyl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[3-(aminosulfonyl)benzyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-3-({3-[(dimethylamino)sulfonyl]benzyl}amino)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(1-piperidinylsulfonyl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(methylsulfonyl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(isopropylsulfonyl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[3-(aminocarbonyl)benzyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-3-({3-[(dimethylamino)carbonyl]benzyl}amino)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-[(3-cyanobenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

3-({[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}methyl)phenylcarbamate,

3-({[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}methyl)phenyl dimethylcarbamate,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(1-propynyl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(3-methyl-1-butynyl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(2-propynyl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(5-isobutyl-1,3,4-oxadiazol-2-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[3-(5-ethyl-1,3,4-oxadiazol-2-yl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[3-(5-ethyl-1,3,4-thiadiazol-2-yl) methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(5-isobutyl-1,3,4-thiadiazol-2-yl) methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[3-(3-ethyl-1,2,4-thiadiazol-5-yl) methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(3-isobutyl-1,2,4-thiadiazol-5-yl) methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(3-isobutyl-1,2,4-oxadiazol-5-yl) methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[3-(3-ethyl-1,2,4-oxadiazol-5-yl) methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(2-ethyl-1,3-oxazol-5-yl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2-isobutyl-1,3-oxazol-5-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(5-isobutyl-1,3,4-oxadiazol-2-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(5-isobutyl-1,3,4-thiadiazol-2-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(5-ethyl-1,3,4-thiadiazol-2-yl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(5-ethyl-1,3,4-oxadiazol-2-yl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(3-ethyl-1,2,4-oxadiazol-5-yl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(3-ethyl-1,2,4-thiadiazol-5-yl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(3-isobutyl-1,2,4-thiadiazol-5-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(3-isobutyl-1,2,4-oxadiazol-5-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(2-ethyl-2H-tetraazol-5-yl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2-isobutyl-2H-tetraazol-5-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(2-ethyl-4-pyrimidinyl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2-isopropyl-4-pyrimidinyl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(2-ethynyl-4-pyrimidinyl)methyl]amino}-2-hydroxypropyl)-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(6-isopropyl-4-pyrimidinyl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-3-({[6-(dimethylamino)-4-pyrimidinyl]methyl}amino)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-3-({[2-(dimethylamino)-4-pyrimidinyl]methyl}amino)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-3-({[4-(dimethylamino)-2-pyrimidinyl]methyl}amino)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(4-isopropyl-2-pyrimidinyl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(4-ethyl-2-pyrimidinyl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(5-ethyl-3-pyridazinyl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^3-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[3-(dimethylamino)benzyl]amino}-2-hydroxypropyl)-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(5-isopropyl-3-pyridazinyl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^3-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(1-propynyl)benzyl]amino}propyl)-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(6-isopropyl-4-pyridazinyl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethynylbenzyl)amino]-2-hydroxypropyl}- N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(6-ethyl-4-pyridazinyl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropylbenzyl)amino]propyl}-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(6-ethyl-2-pyrazinyl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(6-isopropyl-2-pyrazinyl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(3,4,5-trifluorobenzyl)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-2-hydroxy-1-(3,4,5-trifluorobenzyl)-3-{[3-(trifluoromethyl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-2-hydroxy-1-(2,3,5,6-tetrafluorobenzyl)-3-{[3-(trifluoromethyl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2,3,5,6-tetrafluorobenzyl)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1R,2S)-2-hydroxy-6-methoxy-2,3-dihydro-1H-inden-1-yl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1R,2S)-2-hydroxy-6-methoxy-2,3-dihydro-1H-inden-1-yl]amino}propyl)-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(1R,2S)-6-ethyl-2-hydroxy-2,3-dihydro-1H-inden-1-yl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(1R,2S)-6-ethyl-2-hydroxy-2,3-dihydro-1H-inden-1-yl]amino}-2-hydroxypropyl)-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-2-hydroxy-1-(1H-indol-5-ylmethyl)-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-[(3-ethylbenzyl)amino]-2-hydroxy-1-(1H-indol-5-ylmethyl)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(3-methylbenzyl)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(3-methylbenzyl)propyl]- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-[3-(trifluoromethyl)benzyl]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-[3-(trifluoromethyl)benzyl]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-pyridinylmethyl)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-pyridinylmethyl)propyl]- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-[3-fluoro-5-(trifluoromethyl)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-[3-fluoro-5-(trifluoromethyl)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-[3-(trifluoromethoxy)benzyl]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-[3-(trifluoromethoxy)benzyl]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-2-hydroxy-1-(3-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-2-hydroxy-1-(3-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(4-methylbenzyl)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(4-methylbenzyl)propyl]- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-(4-fluoro-3-methylbenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(4-fluoro-3-methylbenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-(4-chlorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(4-chlorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-2-hydroxy-1-(3-methoxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-2-hydroxy-1-(3-methoxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-2-hydroxy-1-(4-methoxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-2-hydroxy-1-(4-methoxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-(3-chloro-5-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3-chloro-5-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-(4-chloro-3-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(4-chloro-3-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-(3,5-dichlorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-dichlorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-[4-(dimethylamino)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-[4-(dimethylamino)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-(3-chlorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3-chlorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-2-hydroxy-1-(4-isopropylbenzyl)-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-2-hydroxy-1-(4-isopropylbenzyl)-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-[(6-methoxy-2-pyridinyl)methyl]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-[(6-methoxy-2-pyridinyl)methyl]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-[(5-methyl-2-pyridinyl)methyl]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-[(5-methyl-2-pyridinyl)methyl]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-(3-fluoro-4-methylbenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3-fluoro-4-methylbenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-(3-fluoro-4-methoxybenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3-fluoro-4-methoxybenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-methoxy-5-methylbenzyl)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-methoxy-5-methylbenzyl)propyl]-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(1,3-thiazol-2-ylmethyl)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(1,3-thiazol-2-ylmethyl)propyl]-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-[(5-chloro-2-thienyl)methyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-[(5-chloro-2-thienyl)methyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy-3-(1-pyrrolidinylcarbonyl)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-2-[(methylsulfonyl)amino]-1,3-thiazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(propylsulfonyl)amino]-1,3-thiazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-hydroxy-3-(1-pyrrolidinylcarbonyl)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-[(propylsulfonyl)amino]-1,3-thiazole-4-carboxamide,

N-{(1S,2R)-1-benzyl-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[1-(3-ethylphenyl)cyclopropyl]amino}-2-hydroxypropyl)-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[1-(3-ethylphenyl)-1-methylethyl]amino}-2-hydroxypropyl)-4-hydroxy-3-(1-pyrrolidinylcarbonyl)benzamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[1-(3-ethylphenyl)-1-methylethyl]amino}-2-hydroxypropyl)-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[1-(3-ethylphenyl)-1-methylethyl]amino}-2-hydroxypropyl)-5-methyl-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[1-(3-ethylphenyl)cyclopropyl]amino}-2-hydroxypropyl)-4-hydroxy-3-(1-pyrrolidinylcarbonyl)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethynylbenzyl)amino]-2-hydroxypropyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethynylbenzyl)amino]-2-hydroxypropyl}-5-methyl-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-hydroxy-3-(1-piperidinylcarbonyl)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-[(methylsulfonyl)amino]-1,3-oxazole-2-carboxamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-methyl-4-[(methylsulfonyl)amino]-1,3-oxazole-2-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy-3-(1-piperidinylcarbonyl)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-[(methylsulfonyl)amino]-1,3-oxazole-2-carboxamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-methyl-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-4-[(methylsulfonyl)amino]-1,3-oxazole-2-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy-3-(4-morpholinylcarbonyl)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-[(ethylsulfonyl)amino]-1,3-oxazole-2-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-methyl-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-[(ethylsulfonyl)amino]-1,3-oxazole-2-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy-3-(4-morpholinylcarbonyl)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-[(propylsulfonyl)amino]-1,3-oxazole-2-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-[(methylsulfonyl)amino]-1,3-thiazole-2-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-hydroxy-3-(1-piperazinylcarbonyl)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-[(methylsulfonyl)amino]-1,3-thiazole-2-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-5-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy-3-(1-piperazinylcarbonyl)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-methyl-2-[(methylsulfonyl)amino]-1,3-oxazole-5-carboxamide,

N^4-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-4,5-dicarboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-5-carboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy-N^3-methylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-methyl-2-[(methylsulfonyl)amino]-1,3-oxazole-5-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(ethylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-[(methylsulfonyl)amino]-1,3-oxazole-2-carboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-hydroxy-N^3-methylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-methyl-5-[(methylsulfonyl)amino]-1,3-oxazole-2-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-[(ethylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-methyl-5-[(methylsulfonyl)amino]-1,3-oxazole-2-carboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3-ethyl-4-hydroxyisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(methylsulfonyl)amino]-1,3-oxazole-2-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[(ethylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(methylsulfonyl)amino]-3-isoxazolecarboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3-ethyl-4-hydroxyisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-[(methylsulfonyl)amino]-3-isoxazolecarboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[(propylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-3-[(methylsulfonyl)amino]-5-isoxazolecarboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-N^3-ethyl-4-hydroxyisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(methylsulfonyl)amino]-5-isoxazolecarboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-[(propylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-(hydroxymethyl)-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N^3-(cyclopropylmethyl)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-hydroxyisophthalamide,

5-cyclopropyl-N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(propylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-isopropyl-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N^3-(cyclopropylmethyl)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxyisophthalamide,

N-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(isopentylamino)propyl]-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-2-[(propylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-[(1S,2R)-3-(cyclopropylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-[(1S,2R)-3-[(3-ethylbenzyl)amino]-2-hydroxy-1-(4-hydroxybenzyl)propyl]-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy-N^3-isobutylisophthalamide,

2-{[(cyclopropylmethyl)sulfonyl]amino}-N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-1,3-oxazole-4-carboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy- N^3-isobutyl-N^3-methylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(isobutylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N^3-(cyclopropylmethyl)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy-N^3-methylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-[(isobutylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy-N^3-methyl-N^3-propylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[(isobutylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-hydroxy-N^3-methyl-N^3-propylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[(phenylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N3-ethyl-4-hydroxy-N^3-propylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-{[(4-methylphenyl)sulfonyl]amino}-1,3-oxazole-4-carboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N3-ethyl-4-hydroxy-N^3-propylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-{[(4-methylphenyl)sulfonyl]amino}-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(phenylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy-N^3, N^3-dipropylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[methyl(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-hydroxy-N^3, N^3-dipropylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[methyl(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-hydroxy-N^3, N^3-dipropylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[(methylsulfonyl)amino]-1,3-thiazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(methylsulfonyl)amino]-1,3-thiazole-4-carboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(methylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(ethylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropyl-5-[(propylsulfonyl)amino]isophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(isopropylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(isobutylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropyl-5-[(thien-2-ylsulfonyl)amino]isophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(2-furylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropyl-5-[(1,3-thiazol-5-ylsulfonyl)amino]isophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(1,3-oxazol-5-ylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(1,3-oxazol-4-ylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropyl-5-[(1,3-thiazol-4-ylsulfonyl)amino]isophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-{[(1-methyl-1H-imidazol-4-yl)sulfonyl]amino}-N^3,N^3-dipropylisophthalamide,.

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(phenylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide,

5-{[(5-cyanopyridin-2-yl)sulfonyl]amino}-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropyl-5-({[5-(trifluoromethyl)pyridin-2-yl]sulfonyl}amino)isophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-{[(1-methyl-1H-imidazol-4-yl)sulfonyl]amino}benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-({[5-(trifluoromethyl)pyridin-2-yl]sulfonyl}amino)benzamide,

3-{[(5-cyanopyridin-2-yl)sulfonyl]amino}-N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(phenylsulfonyl)amino]benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(methylsulfonyl)amino]benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(ethylsulfonyl)amino]benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(propylsulfonyl)amino]benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(isobutylsulfonyl)amino]benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(isopropylsulfonyl)amino]benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-{[(1-ethylpropyl)sulfonyl]amino}benzamide,

3-[(cyclohexylsulfonyl)amino]-N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-{[(1-propylbutyl)sulfonyl]amino}benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(thien-2-ylsulfonyl)amino]benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(2-furylsulfonyl)amino]benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(isoxazol-5-ylsulfonyl)amino]benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(isoxazol-3-ylsulfonyl)amino]benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(3-furylsulfonyl)amino]benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(thien-3-ylsulfonyl)amino]benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(1,3-thiazol-4-ylsulfonyl)amino]benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(1,3-thiazol-5-ylsulfonyl)amino]benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(1,3-thiazol-2-ylsulfonyl)amino]benzamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(isopentylamino)propyl]-N^3,N^3-dipropyl-5-{[(trifluoromethyl)sulfonyl]amino}isophthalamide,

N^1-[(1S,2R)-3-amino-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N^3,N^3-dipropyl-5-{[(trifluoromethyl)sulfonyl]amino}isophthalamide,

N^1-[(1S,2R)-3-amino-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-[(methylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(isopentylamino)propyl]-5-[(methylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide,

N^1-(tert-butyl)-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}isophthalamide,

N^1-(tert-butyl)-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylisophthalamide,

5-bromo-N^1-(tert-butyl)-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}isophthalamide,

3-tert-butoxy-N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}benzamide,

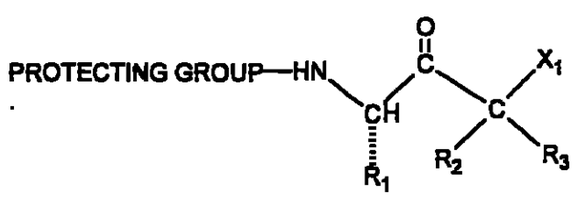
3-tert-butoxy-N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylbenzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-{[(trifluoromethyl)sulfonyl]amino}benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-(trifluoromethoxy)benzamide, and

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-methyl-5-(trifluoromethoxy)benzamide.

26. A protected compound of the formula (III)



(III)

where R_1, R_2 and R_3 are as defined in claim 1;

where X_1 is -Cl, -Br, -I, -O-tosylate, -O-mesylate, or -O-nosylate;

where PROTECTING GROUP is selected from the group consisting of *t*-butoxycarbonyl, benzyloxycarbonyl, formyl, trityl, acetyl, trichloroacetyl, dichloroacetyl, chloroacetyl, trifluoroacetyl, difluoroacetyl, fluoroacetyl, 4-

phenylbenzyloxycarbonyl, 2-methylbenzyloxycarbonyl, 4-ethoxybenzyloxycarbonyl, 4-fluorobenzyloxycarbonyl, 4-chlorobenzyloxycarbonyl, 3-chlorobenzyloxycarbonyl, 2-chlorobenzyloxycarbonyl, 2,4-dichlorobenzyloxycarbonyl, 4-bromobenzyloxycarbonyl, 3-bromobenzyloxycarbonyl, 4-nitrobenzyloxycarbonyl, 4-cyanobenzyloxycarbonyl, 2-(4-xenyl)isopropoxycarbonyl, 1,1-diphenyleth-1-yloxycarbonyl, 1,1-diphenylprop-1-yloxycarbonyl, 2-phenylprop-2-yloxycarbonyl, 2-(*p*-toluyl)prop-2-yloxycarbonyl, cyclopentanyloxycarbonyl, 1-methylcyclopentanyloxycarbonyl, cyclohexanyloxycarbonyl, 1-methylcyclohexanyloxycabonyl, 2-methylcyclohexanyloxycarbonyl, 2-(4-toluylsulfonyl)ethoxycarbonyl, 2-(methylsulfonyl)ethoxycarbonyl, 2-(triphenylphosphino)ethoxycarbonyl, fluorenylmethoxycarbonyl, 2-(trimethylsilyl)ethoxycarbonyl, allyloxycarbonyl, 1-(trimethylsilylmethyl)prop-1-enyloxycarbonyl, 5-benzisoxalylmethoxycarbonyl, 4-acetoxybenzyloxycarbonyl, 2,2,2-trichloroethoxycarbonyl, 2-ethynyl-2-propoxycarbonyl, cyclopropylmethoxycarbonyl, 4-(decyloxyl)benzyloxycarbonyl, isobornyloxycarbonyl and 1-piperidyloxycarbonyl, 9-fluorenylmethyl carbonate, -CH-CH=CH_2 and phenyl-C(=N-)-H.

27. A protected compound of formula (III) according to claim 26 where R_1 is:

$-CH_2-(R_{1\text{-aryl}})$, or

$-CH_2-(R_{1\text{-heteroaryl}})$.

28. A protected compound of formula (III) according to claim 27 where $R_{1\text{-aryl}}$ is phenyl.

29. A protected compound of formula (III) according to claim 28 where phenyl is substituted with one, two or three -F, -Cl, -Br or -I.

30. A protected compound of formula (III) according to claim 29 where phenyl is substituted with one or two -F.

31. A protected compound of formula (III) according to claim 30 where phenyl is substituted with two -F in the 3- and 5- positions giving 3,5-difluorophenyl.

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32. A protected compound of formula (III) according to claim 26 where R_2 and R_3 are both -H.

33. A protected compound of formula (III) according to claim 26 where PROTECTING GROUP is *t*-butoxycarbonyl.

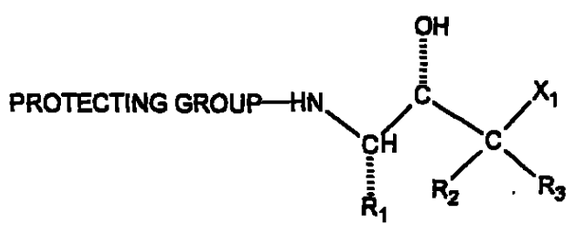
34. A protected compound of formula (III) according to claim 26 where PROTECTING GROUP is benzyloxycarbonyl.

35. A protected compound of formula (III) according to claim 26 where X_1 is -Cl or -Br.

36. A protected compound of formula (III) according to claim 26 which is selected from the group consisting of:

tert-butyl (1S)-3-bromo-1-(3,5-difluorobenzyl)-2-oxopropylcarbamate,
tert-butyl (1S)-3-chloro-1-(3,5-difluorobenzyl)-2-oxopropylcarbamate,
benzyl (1S)-3-bromo-1-(3,5-difluorobenzyl)-2-oxopropylcarbamate and
benzyl (1S)-3-chloro-1-(3,5-difluorobenzyl)-2-oxopropylcarbamate.

37. An alcohol of the formula (IV)



(IV)

where R_1, R_2 and R_3 are as defined in claim 1;
where X_1 and PROTECTING GROUP are as defined in claim 26.

38. An alcohol of formula (IV) according to claim 37 where R_1 is:

$-CH_2-(R_{1\text{-aryl}})$, or
$-CH_2-(R_{1\text{-heteroaryl}})$.

39. An alcohol of formula (IV) according to claim 38 where $R_{1\text{-aryl}}$ is phenyl.

40. An alcohol of formula (IV) according to claim 39 where phenyl is substituted with one, two or three -F, -Cl, -Br or -I.

41. An alcohol of formula (IV) according to claim 40 where phenyl is substituted with one or two -F.

42. An alcohol of formula (IV) according to claim 41 where phenyl is substituted with two -F in the 3- and 5- positions giving 3,5-difluorophenyl.

43. An alcohol of formula (IV) according to claim 37 where R_2 and R_3 are both -H.

44. An alcohol of formula (IV) according to claim 37 where PROTECTING GROUP is t-butoxycarbonyl.

45. An alcohol of formula (IV) according to claim 37 where PROTECTING GROUP is benzyloxycarbonyl.

46. An alcohol of formula (IV) according to claim 37 where X_1 is -Cl or -Br.

47. An alcohol of formula (IV) according to claim 37 which is selected from the group consisting of:

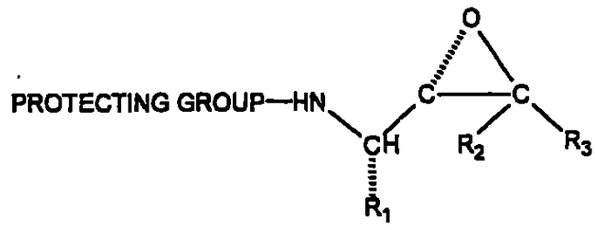
tert-butyl (1S, 2S)-3-bromo-1-(3,5-difluorobenzyl)-2-hydroxypropylcarbamate,

tert-butyl (1S, 2S)-3-chloro-1-(3,5-difluorobenzyl)-2-hydroxypropylcarbamate,

benzyl (1S, 2S)-3-bromo-1-(3,5-difluorobenzyl)-2-hydroxypropylcarbamate and

benzyl (1S, 2S)-3-chloro-1-(3,5-difluorobenzyl)-2-hydroxypropylcarbamate.

48. An epoxide of the formula (V)



(V)

where R_2 and R_3 are as defined in claim 1;

where PROTECTING GROUP is as defined in claim 26 and

where R_1 is:

- $-CH_2$-phenyl where -phenyl is substituted with two -F,
- $-(CH_2)_{n1}-R_{1\text{-heteroaryl}}$ where $R_{1\text{-heteroaryl}}$ is as defined in claim 1 or
- $-(CH_2)_{n1}-R_{1\text{-heterocycle}}$ where $R_{1\text{-heterocycle}}$ is as defined in claim 1.

49. An epoxide of formula (V) according to claim 48 where R_1 is:

$-(CH_2)_{n1}-(R_{1\text{-heteroaryl}})$.

50. An epoxide of formula (V) according to claim 48 where n_1 is 1.

51. An epoxide of formula (V) according to claim 48 where R_1 is:

$-(CH_2)_{n1}-(R_{1\text{-heterocycle}})$.

52. An epoxide of formula (V) according to claim 51 where n_1 is 1.

53. An epoxide of formula (V) according to claim 48 where phenyl is substituted in the 3- and 5- positions giving 3,5-difluorophenyl.

54. An epoxide of formula (V) according to claim 48 where R_2 and R_3 are both -H.

55. An epoxide of formula (V) according to claim 48 where PROTECTING GROUP is *t*-butoxycarbonyl.

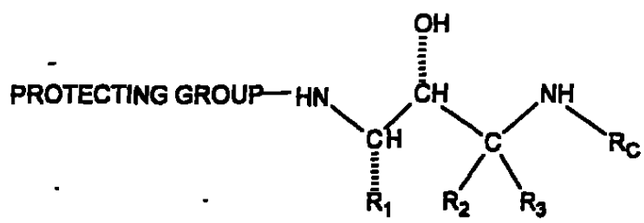
56. An epoxide of formula (V) according to claim 48 where PROTECTING GROUP is benzyloxycarbonyl.

57. An epoxide of formula (V) according to claim 48 which is selected from the group consisting of:

tert-butyl (1S)-2-(3,5-difluorophenyl)-1-[(2S)-oxiranyl]ethylcarbamate, and

benzyl (1S)-2-(3,5-difluorophenyl)-1-[(2S)-oxiranyl]ethylcarbamate.

58. A protected alcohol of the formula (VII)



(VII)

where R_2, R_3 and R_C are as defined in claim 1,

where PROTECTING GROUP is as defined in claim 26 and

where R_1 is:

$-CH_2$-phenyl where -phenyl is substituted with two -F,

$-(CH_2)_{n1}-R_{1\text{-heteroaryl}}$ where $R_{1\text{-heteroaryl}}$ is as defined in claim 1 and

$-(CH_2)_{n1}-R_{1\text{-heterocycle}}$ where $R_{1\text{-heterocycle}}$ is as defined in claim 1,

chemically acceptable salts thereof.

59. A protected alcohol of formula (VII) according to claim 58 where R_1 is:

$-(CH_2)_{n1}-(R_{1\text{-heteroaryl}})$.

60. A protected alcohol of formula (VII) according to claim 59 where n_1 is 1.

61. A protected alcohol of formula (VII) according to claim 58 where R_1 is:

$-(CH_2)_{n1}-(R_{1\text{-heterocycle}})$.

62. A protected alcohol of formula (VII) according to claim 61 where n_1 is 1.

63. A protected alcohol of formula (VII) according to claim 58 where phenyl is substituted in the 3- and 5- positions giving 3,5-difluorophenyl.

64. A protected alcohol of formula (VII) according to claim 58 where R_2 and R_3 are both -H.

65. A protected alcohol of formula (VII) according to claim 58 where PROTECTING GROUP is *t*-butoxycarbonyl.

66. A protected alcohol of formula (VII) according to claim 58 where PROTECTING GROUP is benzyloxycarbonyl.

67. A protected alcohol of formula (VII) according to claim 58 where R_C is:

-H,

-C_1-C_8 alkyl,

-$(CH_2)_{0-3}$-(C_3-C_7) cycloalkyl,

-$(CR_{C-x}R_{C-y})_{0-4}$-R_{C-aryl},

-$(CR_{C-x}R_{C-y})_{0-4}$-$R_{C-heteroaryl}$,

-$(CR_{C-x}R_{C-y})_{0-4}$-$R_{C-heterocycle}$, or

-cyclopentyl, -cyclohexyl, or -cycloheptyl ring fused to R_{C-aryl} or $R_{C-heteroaryl}$ or $R_{C-heterocycle}$ where R_{C-aryl} or $R_{C-heteroaryl}$ or $R_{C-heterocycle}$ are as defined in claim 1.

68. A protected alcohol of formula (VII) according to claim 67 where R_C is:

-C_1-C_8 alkyl,

-$(CH_2)_{0-3}$-(C_3-C_7) cycloalkyl,

-$(CR_{C-x}R_{C-y})_{0-4}$-R_{C-aryl},

-$(CR_{C-x}R_{C-y})_{0-}$4-RC$_{-heteroaryl}$,

-$(CR_{C-x}R_{C-y})_{0-4}$-$R_{C-heterocycle}$, or

- cyclopentyl, -cyclohexyl, or -cycloheptyl ring fused to R_{C-aryl} or $R_{C-heteroaryl}$ or $R_{C-heterocycle}$ where R_{C-aryl} or $R_{C-heteroaryl}$ or $R_{C-heterocycle}$ are as defined in claim 1.

69. A protected alcohol of formula (VII) according to claim 68 where R_C is:

-C_1-C_8 alkyl,

-$(CR_{C-x}R_{C-y})_{0-4}$-R_{C-aryl},

-$(CR_{C-x}R_{C-y})_{0-4}$-$R_{C-heteroaryl}$,

- cyclopentyl, -cyclohexyl, or -cycloheptyl ring fused to $R_{C\text{-aryl}}$ or $R_{C\text{-heteroaryl}}$ or $R_{C\text{-heterocycle}}$ where $R_{C\text{-aryl}}$ or $R_{C\text{-heteroaryl}}$ or $R_{C\text{-heterocycle}}$ are as defined in claim 1.

70. A protected alcohol of formula (VII) according to claim 58 which is selected from the group consisting of:

tert-butyl (1S, 2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-(ethylamino)-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-(benzylamino)-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-(tert-butylamino)-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(4-methylbenzyl)amino]propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[2-(4-methoxyphenyl)ethyl]amino}propylcarbamate

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propylcarbamate,

ethyl ({(2R,3S)-3-[(tert-butoxycarbonyl)amino]-2-hydroxy-4-phenylbutyl}amino)(phenyl)acetate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(2-phenylethyl)amino]propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[(1S)-2-hydroxy-1-(hydroxymethyl)-2-phenylethyl]amino}propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-[(2-chlorobenzyl)amino]-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-[(4-chlorobenzyl)amino]-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[2-(2-hydroxyethoxy)ethyl]amino}propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-(2,3-dihydro-1H-inden-1-ylamino)-2-hydroxypropylcarbamate

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(2-hydroxypropyl)amino]propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(tetrahydro-2-furanylmethyl)amino]propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-[(2,2-diethoxyethyl)amino]-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-(pentylamino)propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-(cyclohexylamino)-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(2-pyridinylmethyl)amino]propylcarbamate,

tert-butyl (1S,2R)-3-[(2-aminobenzyl)amino]-1-benzyl-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(3-pyridinylmethyl)amino]propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[2-(1-pyrrolidinyl)ethyl]amino}propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(2-hydroxy-2-phenylethyl)amino]propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-[(3-butoxypropyl)amino]-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(3-isopropoxypropyl)amino]propylcarbamate

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-(isopentylamino)propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(3-phenylpropyl)amino]propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(2-methoxyethyl)amino]propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(2-phenoxyethyl)amino]propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(2-propoxyethyl)amino]propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-[(3,3-dimethylbutyl)amino]-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(4-phenylbutyl)amino]propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(4-nitrobenzyl)amino]propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-[(3-chlorobenzyl)amino]-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-[(4-chlorobenzyl)amino]-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[2-(2-pyridinyl)ethyl]amino}propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(4-pyridinylmethyl)amino]propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[2-(1-methyl-2-pyrrolidinyl)ethyl]amino}propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-[(2,3-dimethylbenzyl)amino]-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[2-(trifluoromethoxy)benzyl]amino}propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-[(2-chloro-6-phenoxybenzyl)amino]-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[4-(trifluoromethyl)benzyl]amino}propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-[(2,3-dichlorobenzyl)amino]-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-[(3,5-dichlorobenzyl)amino]-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-[(3,5-difluorobenzyl)amino]-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[4-(trifluoromethoxy)benzyl]amino}propylcarbamate,

tert-butyl (1S,2R)-3-{[4-(aminosulfonyl)benzyl]amino}-1-benzyl-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(4-methoxybenzyl)amino]propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(4-methylbenzyl)amino]propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(3,4,5-trimethoxybenzyl)amino]propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[3-(trifluoromethoxy)benzyl]amino}propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-[(3,5-dimethoxybenzyl)amino]-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-[(2,4-dimethoxybenzyl)amino]-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-[([1,1'-biphenyl]-3-ylmethyl)amino]-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-[(3,4-dichlorobenzyl)amino]-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-[(4-fluorobenzyl)amino]-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[3-(trifluoromethyl)benzyl]amino}propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(2-methylbenzyl)amino]propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[(1R)-1-phenylethyl]amino}propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[(1S)-1-phenylethyl]amino}propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-{[3,5-bis(trifluoromethyl)benzyl]amino}-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[2-(trifluoromethyl)benzyl]amino}propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[(1S)-1-(1-naphthyl)ethyl]amino}propyl carbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[(1R)-1-(1-naphthyl)ethyl]amino}propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(4-hydroxy-3-methoxybenzyl)amino]propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-[(3,4-dihydroxybenzyl)amino]-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxypropyl)amino]propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[(1R)-2-hydroxy-1-methylethyl]amino}propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[(1S)-2-hydroxy-1-methylethyl]amino}propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-(2-propynylamino)propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-{[2-(2-fluorophenyl)ethyl]amino}-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-{[2-(3-fluorophenyl)ethyl]amino}-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-{[2-(4-fluorophenyl)ethyl]amino}-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-{[2-(4-bromophenyl)ethyl]amino}-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[2-(3-methoxyphenyl)ethyl]amino}propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-{[2-(2,4-dichlorophenyl)ethyl]amino}-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-{[2-(3-chlorophenyl)ethyl]amino}-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-{[2-(2,6-dimethoxyphenyl)ethyl]amino}-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[2-(4-methylphenyl)ethyl]amino}propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-{[(1R)-1-benzyl-2-hydroxyethyl]amino}-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[3-(4-morpholinyl)propyl]amino}propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-[(3,3-dimethylbutyl)amino]-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[2-(4-morpholinyl)ethyl]amino}propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(1-hydroxypropyl)amino]propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(2-thienylmethyl)amino]propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(4-hydroxybutyl)amino]propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[(1S)-2-hydroxy-1-phenylethyl]amino} propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-[(2,4-dichlorobenzyl)amino]-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[(1R)-2-hydroxy-1-phenylethyl]amino} propylcarbamate

tert-butyl (1S,2R)-1-benzyl-3-[(3-tert-butylbenzyl)amino]-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(1-phenylethyl)amino]propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[(1R,2S)-2-hydroxy-2,3-dihydro-1H-inden-1-yl]amino}propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-[(3,4-dimethylbenzyl)amino]-2-hydroxypropylcarbamate,

methyl 7-{[(2R,3S)-3-[(tert-butoxycarbonyl)amino]-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}heptanoate,

tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[2-(isobutylamino)-1-methyl-2-oxoethyl]amino}propylcarbamate,

tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S)-2-(isobutylamino)-1-methyl-2-oxoethyl]amino}propylcarbamate,

tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[2-(isobutylamino)-1,1-dimethyl-2-oxoethyl]amino}propylcarbamate,

tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[2-(isobutylamino)-2-oxoethyl]amino}propylcarbamate,

tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({(1S)-1-[(isobutylamino)carbonyl]propyl}amino)propylcarbamate,

tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({(1R)-1-[(isobutylamino)carbonyl]propyl}amino)propylcarbamate,

tert-butyl (1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-3-(ethylamino)-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(isobutylamino)propylcarbamate,

tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(isobutylamino)-2-methyl-3-oxopropyl]amino}propylcarbamate,

tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-3-{[4-(dimethylamino)benzyl]amino}-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-3-{[(1S)-1-benzyl-2-(isobutylamino)-2-oxoethyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({(1S)-1-[(isobutylamino)carbonyl]-3-methylbutyl}amino)propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-{[2-(dimethylamino)ethyl]amino}-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(3-pyridinylmethyl)amino]propylcarbamate,

tert-butyl (1S,2R)-3-{[(1S)-1-[(benzyloxy)methyl]-2-(isobutylamino)-2-oxoethyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propylcarbamate,

tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({(1R)-1-[(isobutylamino)carbonyl]-3-methylbutyl}amino)propylcarbamate,

tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({(1S)-1-[(isobutylamino)carbonyl]butyl}amino)propylcarbamate,

tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S)-1-(hydroxymethyl)-2-(isobutylamino)-2-oxoethyl]amino}propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(2-phenylethyl)amino]propylcarbamate,

tert-butyl (1S,2R)-3-{[2-(benzylamino)-1-methyl-2-oxoethyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-{[(1S)-2-(benzylamino)-1-methyl-2-oxoethyl]amino}-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-3-{[(1S)-2-(ethylamino)-1-methyl-2-oxoethyl]amino}-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[(1S)-2-(isobutylamino)-2-oxo-1-phenylethyl]amino}propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-(isopentylamino)propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-(cyclohexylamino)-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-(butylamino)-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxypropyl)amino]propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(2-hydroxy-2-phenylethyl)amino]propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-{[(3R,5S)-3,5-dimethoxycyclohexyl]amino}-2-hydroxypropylcarbamate,

dimethyl (1R,3S)-5-({(2R,3S)-3-[(tert-butoxycarbonyl)amino]-2-hydroxy-4-phenylbutyl}amino)-1,3-cyclohexanedicarboxylate,

(1R,3S)-5-({(2R,3S)-3-[(tert-butoxycarbonyl)amino]-2-hydroxy-4-phenylbutyl}amino)-1,3-cyclohexanedicarboxylic acid,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[(1R)-1-phenylpropyl]amino}propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-[(3-chlorobenzyl)amino]-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-[([1,1'-biphenyl]-3-ylmethyl)amino]-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(3-methylbenzyl)amino]propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(2-phenylpropyl)amino]propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(1,3-thiazol-5-ylmethyl)amino]propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(2-thienylmethyl)amino]propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(5-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(2-pyrazinylmethyl)amino]propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-[(3,5-difluorobenzyl)amino]-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-3-[(1,3-benzodioxol-5-ylmethyl)amino]-1-benzyl-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-[(3,5-dimethoxybenzyl)amino]-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[3-(trifluoromethyl)benzyl]amino}propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-[(2-furylmethyl)amino]-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(7-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[3-(trifluoromethoxy)benzyl]amino}propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-3-[(3-fluorobenzyl)amino]-2-hydroxypropylcarbamate,

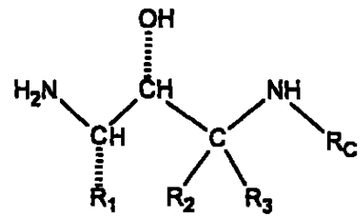
tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(3-isopropoxybenzyl)amino]propylcarbamate,

tert-buryl (1S,2R)-1-benzyl-3-[(3-bromobenzyl)amino]-2-hydroxypropylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[(5-methyl-2-furyl)methyl]amino}propylcarbamate, and

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(5-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propylcarbamate.

71. An amine of the formula (VIII)



(VIII)

where R_2, R_3 and R_C are as defined in claim 1, and

where R_1 is:

$-CH_2$-phenyl where -phenyl is substituted with two -F,

$-(CH_2)_{n1}-R_{1\text{-heteroaryl}}$ where $R_{1\text{-heteroaryl}}$ is as defined in claim 1 or

$-(CH_2)_{n1}-R_{1\text{-heterocycle}}$ where $R_{1\text{-heterocycle}}$ is as defined in claim 1, and chemically acceptable salts thereof.

72. An amine of formula (VIII) according to claim 71 where R_1 is:

$-(CH_2)_{n1}-(R_{1\text{-heteroaryl}})$.

73. An amine of formula (VIII) according to claim 72 where n_1 is 1.

74. An amine of formula (VIII) according to claim 71 where R_1 is:

$-(CH_2)_{n1}-(R_{1\text{-heterocycle}})$.

75. An amine of formula (VIII) according to claim 74 where n_1 is 1.

76. An amine of formula (VIII) according to claim 71 where phenyl is substituted in the 3- and 5- positions giving 3,5-difluorophenyl.

77. An amine of formula (VIII) according to claim 71 where R_2 and R_3 are both -H.

78. An amine of formula (VIII) according to claim 71 where R_C is:

-H,

$-C_1-C_8$ alkyl,

$-(CH_2)_{0-3}-(C_3-C_7)$ cycloalkyl,

-$(CR_{C-x}R_{C-y})_{0-4}$-R_{C-aryl},

-$(CR_{C-x}R_{C-y})_{0-4}$-$R_{C-heteroaryl}$,

-$(CR_{C-x}R_{C-y})_{0-4}$-$R_{C-heterocycle}$, or

-cyclopentyl, -cyclohexyl, or -cycloheptyl ring fused to R_{C-aryl} or $R_{C-heteroaryl}$ or $R_{C-heterocycle}$ where R_{C-aryl} or $R_{C-heteroaryl}$ or $R_{C-heterocycle}$ are as defined in claim 1.

79. An amine of formula (VIII) according to claim 78 where R_C is:

-C_1-C_8 alkyl,

-$(CH_2)_{0-3}$-(C_3-C_7) cycloalkyl,

-$(CR_{C-x}R_{C-y})_{0-4}$-R_{C-aryl},

-$(CR_{C-x}R_{C-y})_{0-4}$-$R_{C-heteroaryl}$,

-$(CR_{C-x}R_{C-y})_{0-4}$-$R_{C-heterocycle}$, or

- cyclopentyl, -cyclohexyl, or -cycloheptyl ring fused to R_{C-aryl} or $R_{C-heteroaryl}$ or $R_{C-heterocycle}$ where R_{C-aryl} or $R_{C-heteroaryl}$ or $R_{C-heterocycle}$ are as defined in claim 1.

80. An amine of formula (VIII) according to claim 79 where R_C is:

-C_1-C_8 alkyl,

-$(CR_{C-x}R_{C-y})_{0-4}$-R_{C-aryl},

-$(CR_{C-x}R_{C-y})_{0-4}$-$R_{C-heteroaryl}$, or

- cyclopentyl, -cyclohexyl, or -cycloheptyl ring fused to R_{C-aryl} or $R_{C-heteroaryl}$ or $R_{C-heterocycle}$ where R_{C-aryl} or $R_{C-heteroaryl}$ or $R_{C-heterocycle}$ are as defined above.

81. An amine of formula (VIII) according to claim 71 which is selected from the group consisting of:

(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3-methoxybenzyl)amino]-2-butanol,

(2R,3S)-3-amino-1-(ethylamino)-4-phenyl-2-butanol,

(2R,3S)-3-amino-1-(benzylamino)-4-phenyl-2-butanol,

(2R,3S)-3-amino-1-(isopropylamino)-4-phenyl-2-butanol,

(2R,3S)-3-amino-1-[(4-methylbenzyl)amino]-4-phenyl-2-butanol,

(2R,3S)-3-amino-1-{[2-(4-methoxyphenyl)ethyl]amino}-4-phenyl-2-butanol,

(2R,3S)-3-amino-1-[(3-methoxybenzyl)amino]-4-phenyl-2-butanol,

ethyl {[(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl]amino}(phenyl)acetate,

(2R,3S)-3-amino-4-phenyl-1-{(2-phenylethyl)amino]-2-butanol,

(2S)-2-{[(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl]amino}-1-(4-nitrophenyl)-1,3-propanediol,

(2R,3S)-3-amino-1-[(2-chlorobenzyl)amino]-4-phenyl-2-butanol,

(2R,3S)-3-amino-1-[(4-chlorobenzyl)amino]-4-phenyl-2-butanol,

(2R,3S)-3-amino-1-{[2-(2-hydroxyethoxy)ethyl]amino}-4-phenyl-2-butanol,

(2R,3S)-3-amino-1-(2,3-dihydro-1H-inden-1-ylamino)-4-phenyl-2-butanol,

(2R,3S)-3-amino-1-[(2-hydroxypropyl)amino]-4-phenyl-2-butanol,

(2R,3S)-3-amino-4-phenyl-1-[(tetrahydro-2-furanylmethyl)amino]-2-butanol,

(2R,3S)-3-amino-1-[(2,2-diethoxyethyl)amino]-4-phenyl-2-butanol,

(2R,3S)-3-amino-1-(butylamino)-4-phenyl-2-butanol,

(2R,3S)-3-amino-1-(cyclohexylamino)-4-phenyl-2-butanol,

(2R,3S)-3-amino-4-phenyl-1-[(2-pyridinylmethyl)amino]-2-butanol,

(2R,3S)-3-amino-1-[(2-aminobenzyl)amino]-4-phenyl-2-butanol,

(2R,3S)-3-amino-4-phenyl-1-[(3-pyridinylmethyl)amino]-2-butanol,

(2R,3S)-3-amino-4-phenyl-1-{[2-(1-pyrrolidinyl)ethyl]amino}-2-butanol,

(2R,3S)-3-amino-1-[(2-hydroxy-2-phenylethyl)amino]-4-phenyl-2-butanol,

(2R,3S)-3-amino-1-[(3-butoxypropyl)amino]-4-phenyl-2-butanol,

(2R,3S)-3-amino-1-[(3-isopropoxypropyl)amino]-4-phenyl-2-butanol,

(2R,3S)-3-amino-1-(isopentylamino)-4-phenyl-2-butanol,

(2R,3S)-3-amino-4-phenyl-1-[(3-phenylpropyl)amino]-2-butanol,

(2R,3S)-3-amino-1-[(2-methoxyethyl)amino]-4-phenyl-2-butanol,

(2R,3S)-3-amino-1-[(2-phenoxyethyl)amino]-4-phenyl-2-butanol,

(2R,3S)-3-amino-4-phenyl-1-[(2-propoxyethyl)amino]-2-butanol,

(2R,3S)-3-amino-1-[(3,3-dimethylbutyl)amino]-4-phenyl-2-butanol,

(2R,3S)-3-amino-4-phenyl-1-[(4-phenylbutyl)amino]-2-butanol,

(2R,3S)-3-amino-1-[(3-iodobenzyl)amino]-4-phenyl-2-butanol,

(2R,3S)-3-amino-1-[(4-nitrobenzyl)amino]-4-phenyl-2-butanol,

(2R,3S)-3-amino-1-[(3-chlorobenzyl)amino]-4-phenyl-2-butanol,

(2R,3S)-3-amino-1-{[2-(4-chlorophenyl)ethyl]amino}-4-phenyl-2-butanol,

(2R,3S)-3-amino-4-phenyl-1-{[2-(2-pyridinyl)ethyl]amino}-2-butanol,

(2R,3S)-3-amino-4-phenyl-1- [(4-pyridinylmethyl)amino]-2-butanol,

(2R,3S)-3-amino-1-{[2-(1-methyl-2-pyrrolidinyl)ethyl]amino}-4-phenyl-2-butanol,

(2R,3S)-3-amino-1-[(2,3-dimethylbenzyl)amino]-4-phenyl-2-butanol,

(2R,3S)-3-amino-4-phenyl-1-{[2-(trifluoromethoxy)benzyl]amino}-2-butanol,

(2R,3S)-3-amino-1-[(2-chloro-6-phenoxybenzyl)amino]-4-phenyl-2-butanol,

(2R,3S)-3-amino-4-phenyl-1-{[4-(trifluoromethyl)benzyl]amino}-2-butanol,

(2R,3S)-3-amino-1-[(2,3-dichlorobenzyl)amino]-4-phenyl-2-butanol,

(2R,3S)-3-amino-1-[(3,5-dichlorobenzyl)amino]-4-phenyl-2-butanol,

(2R,3S)-3-amino-1-[(3,5-difluorobenzyl)amino]-4-phenyl-2-butanol,

(2R,3S)-3-amino-4-phenyl-1-{[4-(trifluoromethoxy)benzyl]amino}-2-butanol,

4-({[(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl]amino}methyl)benzenesulfonamide,

(2R,3S)-3-amino-1-[(4-methoxybenzyl)amino]-4-phenyl-2-butanol,

(2R,3S)-3-amino-1-[(4-methylbenzyl)amino]-4-phenyl-2-butanol,

(2R,3S)-3-amino-4-phenyl-1-[(3,4,5-trimethoxybenzyl)amino]-2-butanol,

(2R,3S)-3-amino-4-phenyl-1-{[3-(trifluoromethoxy)benzyl]amino}-2-butanol,

(2R,3S)-3-amino-1-[(3,5-dimethoxybenzyl)amino]-4-phenyl-2-butanol,

(2R,3S)-3-amino-1-[(2,4-dimethoxybenzyl)amino]-4-phenyl-2-butanol,

(2R,3S)-3-amino-1-[([1,1'-biphenyl]-3-ylmethyl)amino]-4-phenyl-2-butanol,

(2R,3S)-3-amino-1-[(3,4-dichlorobenzyl)amino]-4-phenyl-2-butanol,

(2R,3S)-3-amino-1-[(2-fluorobenzyl)amino]-4-phenyl-2-butanol,

(2R,3S)-3-amino-4-phenyl-1-{[3-(trifluoromethyl)benzyl]amino}-2-butanol,

(2R,3S)-3-amino-1-[(2-methylbenzyl)amino]-4-phenyl-2-butanol,

(2R,3S)-3-amino-4-phenyl-1-{[(1R)-1-phenylethyl]amino}-2-butanol,

(2R,3S)-3-amino-4-phenyl-1-{[(1S)-1-phenylethyl]amino}-2-butanol,

(2R,3S)-3-amino-1-{[3,5-bis(trifluoromethyl)benzyl]amino}-4-phenyl-2-butanol,

(2R,3S)-3-amino-4-phenyl-1-{[2-(trifluoromethyl)benzyl]amino}-2-butanol,

(2R,3S)-3-amino-1-{[(1S)-1-(1-naphthyl)ethyl]amino}-4-phenyl-2-butanol,

(2R,3S)-3-amino-1-{[(1R)-1-(1-naphthyl)ethyl]amino}-4-phenyl-2-butanol,

4-({[(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl]amino}methyl)-2-methoxyphenol,

4-({[(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl]amino}methyl)-1,2-benzenediol,

(2R,3S)-3-amino-1-[(3-methoxypropyl)amino]-4-phenyl-2-butanol,

(2R,3S)-3-amino-1-{[(1R)-2-hydroxy-1-methylethyl]amino}-4-phenyl-2-butanol,

(2R,3S)-3-amino-1-{[(1S)-2-hydroxy-1-methylethyl]amino}-4-phenyl-2-butanol,

(2R,3S)-3-amino-4-phenyl-1-(2-propynylamino)-2-butanol,

(2R,3S)-3-amino-1-{[2-(2-fluorophenyl)ethyl]amino}-4-phenyl-2-butanol,

(2R,3S)-3-amino-1-{[2-(3-fluorophenyl)ethyl]amino}-4-phenyl-2-butanol,

(2R,3S)-3-amino-1-{[2-(4-fluorophenyl)ethyl]amino}-4-phenyl-2-butanol,

(2R,3S)-3-amino-1-{[2-(4-bromophenyl)ethyl]amino}-4-phenyl-2-butanol,

(2R,3S)-3-amino-1-{[2-(3-methoxyphenyl)ethyl]amino}-4-phenyl-2-butanol,

(2R,3S)-3-amino-1-{[2-(2,4-dichlorophenyl)ethyl]amino}-4-phenyl-2-butanol,

(2R,3S)-3-amino-1-{[2-(3-chlorophenyl)ethyl]amino}-4-phenyl-2-butanol,

(2R,3S)-3-amino-1-{[2-(2,5-dimethoxyphenyl)ethyl]amino}-4-phenyl-2-butanol,

(2R,3S)-3-amino-1-{[2-(4-methylphenyl)ethyl]amino}-4-phenyl-2-butanol,

(2R,3S)-3-amino-1-{[(1R)-1-benzyl-2-hydroxyethyl]amino}-4-phenyl-2-butanol,

(2R,3S)-3-amino-1-{[3-(4-morpholinyl)propyl]amino}-4-phenyl-2-butanol,

(2R,3S)-3-amino-1-(isobutylamino)-4-phenyl-2-butanol,

(2R,3S)-3-amino-1-{[2-(4-morpholinyl)ethyl]amino}-4-phenyl-2-butanol,

(2R,3S)-3-amino-4-phenyl-1-[(2-hydroxybutyl)amino]-2-butanol,

(2R,3S)-3-amino-4-phenyl-1-{[2-(2-thienyl)ethyl]amino}-2-butanol,

4-{[(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl]amino}-1-butanol,

(2R,3S)-3-amino-1-{[(1S)-2-hydroxy-1-phenylethyl]amino}-4-phenyl-2-butanol,

(2R,3S)-3-amino-1-[(2,4-dichlorobenzyl)amino]-4-phenyl-2-butanol,

(2R,3S)-3-amino-1-{[(1R)-2-hydroxy-1-phenylethyl]amino}-4-phenyl-2-butanol,

(2R,3S)-3-amino-1-[(4-tert-butylbenzyl)amino]-4-phenyl-2-butanol,

(2R,3S)-3-amino-4-phenyl-1-[(1-phenylethyl)amino]-2-butanol,

(1R,2S)-1-{[(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl]amino}-2,3-dihydro-1H-inden-2-ol,

(2R,3S)-3-amino-1-[(3,4-dimethylbenzyl)amino]-4-phenyl-2-butanol,

methyl 7-{[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}heptanoate,

2-{[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}-N-isobutylpropanamide,

(2S)-2-{[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}-N-isobutylpropanamide,

2-{[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}-N-isobutyl-2-methylpropanamide,

2-{[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}-N-isobutylacetamide,

(2S)-2-{[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}-N-isobutylbutanamide,

(2R)-2-{[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}-N-isobutylbutanamide,

(2R,3S)-3-amino-1-(benzylamino)-4-(3,5-difluorophenyl)-2-butanol,

(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-(ethylamino)-2-butanol,

(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-(isobutylamino)-2-butanol,

3-{[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}-N-isobutyl-2-methylpropanamide,

(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-{[4-(dimethylamino)benzyl]amino}-2-butanol ,

(2S)-2-{[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}-N-isobutyl-3-phenylpropanamide,

(2S)-2-{[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}-N-isobutyl-3-methylbutanamide,

(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-{[2-(dimethylamino)ethyl]amino}-2-butanol,

(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3-pyridinylmethyl)amino]-2-butanol,

(2S)-2-{[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}-3-(benzyloxy)-N-isobutylpropanamide,

(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(1-methyl-1-phenylethyl)amino]-2-butanol,

(2R)-2-{[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}-N-isobutyl-3-methylbutanamide,

(2S)-2-{[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}-N-isobutylpentanamide,

(2S)-2-{[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}-3-hydroxy-N-isobutylpropanamide,

(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(2-phenylethyl)amino]-2-butanol,

(2S)-2-{[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}-N-benzylpropanamide,

(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-{[(1S)-1-phenylpropyl]amino}-2-butanol,

(2S)-2-{[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}-N-ethylpropanamide,

(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3-methoxybenzyl)amino]-2-butanol,

(2S)-2-{[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}-N-isobutyl-2-phenylethanamide,

(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-(isopentylamino)-2-butanol,

(2R,3S)-3-amino-1-(cyclohexylamino)-4-(3,5-difluorophenyl)-2-butanol,

(2R,3S)-3-amino-1-(butylamino)-4-(3,5-difluorophenyl)-2-butanol,

(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3-methoxypropyl)amino]-2-butanol,

(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(2-hydroxy-2-phenylethyl)amino]-2-butanol,

(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-{[(3R,5S)-3,5-dimethoxycyclohexyl]amino}-2-butanol,

dimethyl (1R,3S)-5-{[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}-1,3-cyclohexanedicarboxylate,

(1R,3S)-5-{[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}-1,3-cyclohexanedicarboxylic acid,

(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-{[(1R)-1-phenylpropyl]amino}-2-butanol,

(2R,3S)-3-amino-1-[(3-chlorobenzyl)amino]-4-(3,5-difluorophenyl)-2-butanol,

(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3-methoxybenzyl)amino]-2-butanol,

(2R,3S)-3-amino-1-[([1,1'-biphenyl]-3-ylmethyl)amino]-4-(3,5-difluorophenyl)-2-butanol,

(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3-iodobenzyl)amino]-2-butanol,

(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3-methylbenzyl)amino]-2-butanol,

(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(2-phenylpropyl)amino]-2-butanol,

(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(1,3-thiazol-5-ylmethyl)amino]-2-butanol,

(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(2-thienylmethyl)amino]-2-butanol,

(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(5-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]-2-butanol,

(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(2-pyrazinylmethyl)amino]-2-butanol,

(2R,3S)-3-amino-1-[(3,5-difluorobenzyl)amino]-4-(3,5-difluorophenyl)-2-butanol,

(2R,3S)-3-amino-1-[(1,3-benzodioxol-5-ylmethyl)amino]-4-(3,5-difluorophenyl)-2-butanol,

(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3,5-dimethoxybenzyl)amino]-2-butanol,

(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-{[3-(trifluoromethyl)benzyl]amino}-2-butanol,

(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(2-furylmethyl)amino]-2-butanol,

(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(7-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]-2-butanol,

(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-{[3-(trifluoromethoxy)benzyl]amino}-2-butanol ,

(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3-fluorobenzyl)amino]-2-butanol,

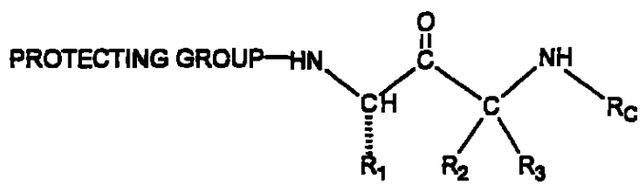
(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3-isopropoxybenzyl)amino]-2-butanol,

(2R,3S)-3-amino-1-[(3-bromobenzyl)amino]-4-(3,5-difluorophenyl)-2-butanol,

(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(5-methyl-2-furylmethyl)amino]-2-butanol, and

(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(5-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]-2-butanol.

82. A protected ketone of formula (XI)



(XI)

where R_2, R_3 and R_C are as defined in claim 1;

where PROTECTING GROUP is as defined in claim 26 and

where R_1 is:

$-CH_2$-phenyl where -phenyl is substituted with two -F,

$-(CH_2)_{n1}-R_{1\text{-heteroaryl}}$ where $R_{1\text{-heteroaryl}}$ is as defined in claim 1 or

$-(CH_2)_{n1}-R_{1\text{-heterocycle}}$ where $R_{1\text{-heterocycle}}$ is as defined in claim 1.

83. A protected ketone of formula (XI) according to claim 82 where R_1 is:

$-(CH_2)_{n1}-(R_{1\text{-heteroaryl}})$.

84. A protected ketone of formula (XI) according to claim 83 where n_1 is 1.

85. A protected ketone of formula (XI) according to claim 82 where R_1 is:

$-(CH_2)_{n1}-(R_{1\text{-heterocycle}})$.

86. A protected ketone of formula (XI) according to claim 85 where n_1 is 1.

87. A protected ketone of formula (XI) according to claim 82 where phenyl is substituted in the 3- and 5- positions giving 3,5-difluorophenyl.

88. A protected ketone of formula (XI) according to claim 82 where R_2 and R_3 are both -H.

89. A protected ketone of formula (XI) according to claim 82 where PROTECTING GROUP is *t*-butoxycarbonyl.

90. A protected ketone of formula (XI) according to claim 82 where PROTECTING GROUP is benzyloxycarbonyl.

91. A protected ketone of formula (XI) according to claim 82 where R_C is:

-H,

$-C_1-C_8$ alkyl,

$-(CH_2)_{0-3}-(C_3-C_7)$ cycloalkyl,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C\text{-aryl}}$,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C\text{-heteroaryl}}$,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C\text{-heterocycle}}$, or

-cyclopentyl, -cyclohexyl, or -cycloheptyl ring fused to $R_{C\text{-aryl}}$ or $R_{C\text{-heteroaryl}}$ or $R_{C\text{-heterocycle}}$ where $R_{C\text{-aryl}}$ or $R_{C\text{-heteroaryl}}$ or $R_{C\text{-heterocycle}}$ are as defined above.

92. A protected ketone of formula (XI) according to claim 91 where R_C is:

$-C_1-C_8$ alkyl,

$-(CH_2)_{0-3}-(C_3-C_7)$ cycloalkyl,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C\text{-aryl}}$,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C\text{-heteroaryl}}$,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C\text{-heterocycle}}$, or

- cyclopentyl, -cyclohexyl, or -cycloheptyl ring fused to $R_{C\text{-aryl}}$ or $R_{C\text{-heteroaryl}}$ or $R_{C\text{-heterocycle}}$ where $R_{C\text{-aryl}}$ or $R_{C\text{-heteroaryl}}$ or $R_{C\text{-heterocycle}}$ are as defined in claim 1.

93. A protected ketone of formula (XI) according to claim 92 where R_C is:

$-C_1-C_8$ alkyl,

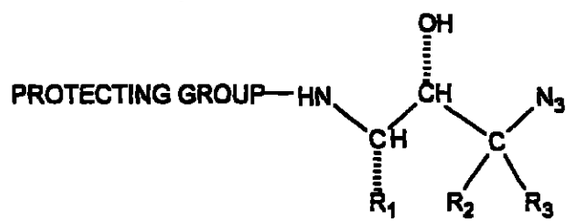
$-(CR_{C\text{-}x}R_{C\text{-}y})_{0\text{-}4}-R_{C\text{-aryl}}$,

$-(CR_{C\text{-}x}R_{C\text{-}y})_{0\text{-}4}-R_{C\text{-heteroaryl}}$,

- cyclopentyl, -cyclohexyl, or -cycloheptyl ring fused to $R_{C\text{-aryl}}$ or $R_{C\text{-heteroaryl}}$ or $R_{C\text{-heterocycle}}$ where $R_{C\text{-aryl}}$ or $R_{C\text{-heteroaryl}}$ or $R_{C\text{-heterocycle}}$ are as defined in claim 1.

94. A protected ketone of formula (XI) according to claim 82 which is *tert*-butyl (1S)-1-(3,5-difluorobenzyl)-3-[(3-methoxybenzyl)amino]-2-oxopropylcarbamate.

95. A protected azide of formula (XII)



(XII)

where R_1, R_2 and R_3 are as defined in claim 1;
where PROTECTING GROUP is as defined in claim 26.

96. A protected azide of formula (XII) according to claim 95 where R_1 is:

$-CH_2-(R_{1\text{-aryl}})$, or

$-CH_2-(R_{1\text{-heteroaryl}})$.

97. A protected azide of formula (XII) according to claim 96 where $R_{1\text{-aryl}}$ is phenyl.

98. A protected azide of formula (XII) according to claim 97 where phenyl is substituted with one, two or three -F, -Cl, -Br or -I.

99. A protected azide of formula (XII) according to claim 98 where phenyl is substituted with one or two -F.

100. A protected azide of formula (XII) according to claim 99 where phenyl is substituted with two -F in the 3- and 5- positions giving 3,5-difluorophenyl.

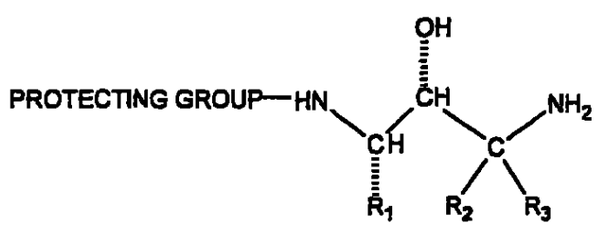
101. A protected azide of formula (XII) according to claim 95 where R_2 and R_3 are both -H.

102. A protected azide of formula (XII) according to claim 95 where PROTECTING GROUP is *t*-butoxycarbonyl.

103. A protected azide of formula (XII) according to claim 95 where PROTECTING GROUP is benzyloxycarbonyl.

104. A protected azide of formula (XII) according to claim 95 which is:
tert-Butyl-(1S, 2R)-3-azido-1-(3,5-difluorobenzyl)-2-hydroxypropylcarbamate, or
benzyl-(1S, 2R)-3-azido-1-(3,5-difluorobenzyl)-2-hydroxypropylcarbamate

105. A protected amine of formula (XIII)



(XIII)

where R_2 and R_3 are as defined in claim 1;
where PROTECTING GROUP is as defined in claim 26; and
where R_1 is:
-CH_2-phenyl where -phenyl is substituted with two -F,
-$(CH_2)_{n1}$-$R_{1\text{-heteroaryl}}$ where $R_{1\text{-heteroaryl}}$ is as defined in claim 1 or
-$(CH_2)_{n1}$-$R_{1\text{-heterocycle}}$ where $R_{1\text{-heterocycle}}$ is as defined in claim 1.

106. A protected amine of formula (XIII) according to claim 105 where R_1 is:

$-(CH_2)_{n1}-(R_{1\text{-heteroaryl}})$.

107. A protected amine of formula (XIII) according to claim 106 where n_1 is 1.

108. A protected amine of formula (XIII) according to claim 105 where R_1 is:

$-(CH_2)_{n1}-(R_{1\text{-heterocycle}})$.

109. A protected amine of formula (XIII) according to claim 108 where n_1 is 1.

110. A protected amine of formula (XIII) according to claim 105 where phenyl is substituted in the 3- and 5- positions giving 3,5-difluorophenyl.

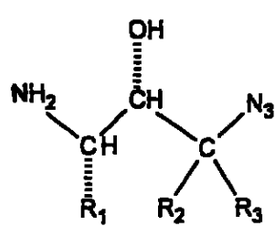
111. A protected amine of formula (XIII) according to claim 105 where R_2 and R_3 are both -H.

112. A protected amine of formula (XIII) according to claim 105 where PROTECTING GROUP is *t*-butoxycarbonyl.

113. A protected amine of formula (XIII) according to claim 105 where PROTECTING GROUP is benzyloxycarbonyl.

114. A protected amine of formula (XIII) according to claim 105 which is *tert*-butyl (1S,2R)-3-amino-1-(3,5-difluorobenzyl)-2-hydroxypropylcarbamate.

115. An unprotected azide of formula (XIV)



(XIV)

where R_1, R_2 and R_3 are as defined in claim 1; and

where PROTECTING GROUP is as defined in claim 26.

116. An unprotected azide of formula (XIV) according to claim 115 where R_1 is:

$-CH_2-(R_{1\text{-aryl}})$, or

$-CH_2-(R_{1\text{-heteroaryl}})$.

117. An unprotected azide of formula (XIV) according to claim 116 where $R_{1\text{-aryl}}$ is phenyl.

118. An unprotected azide of formula (XIV) according to claim 117 where phenyl is substituted with one, two or three -F, -Cl, -Br or -I.

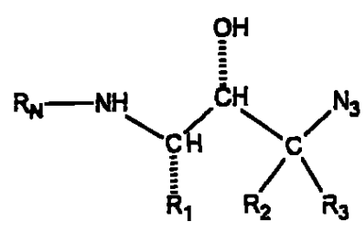
119. An unprotected azide of formula (XIV) according to claim 118 where phenyl is substituted with one or two -F.

120. An unprotected azide of formula (XIV) according to claim 119 where phenyl is substituted with two -F in the 3- and 5- positions giving 3,5-difluorophenyl.

121. An unprotected azide of formula (XIV) according to claim 1115 where R_2 and R_3 are both -H.

122. An unprotected azide of formula (XIV) according to claim 115 which is (2R, 3S)-3-amino-1-azido-4-(3,5-difluorophenyl)-2-butanol.

123. An azide of formula (XV)



(XV)

where R_1, R_2, R_3 and R_N are as defined in claim 1.

124. An azide of formula (XV) according to claim 123 where R_1 is:

$-CH_2-(R_{1\text{-aryl}})$, or

$-CH_2-(R_{1\text{-heteroaryl}})$.

125. An azide of formula (XV) according to claim 124 where $R_{1\text{-aryl}}$ is phenyl.

126. An azide of formula (XV) according to claim 125 where phenyl is substituted with one, two or three -F, -Cl, -Br or -I.

127. An azide of formula (XV) according to claim 126 where phenyl is substituted with one or two -F.

128. An azide of formula (XV) according to claim 127 where phenyl is substituted with two -F in the 3- and 5- positions giving 3,5-difluorophenyl.

129. An azide of formula (XV) according to claim 123 where R_2 and R_3 are both -H.

130. An azide of formula (XV) according to claim 123 where R_N is:

$R_{N-1}-X_N-$ where X_N is selected from the group consisting of:

-CO-, and

$-SO_2-$,

where R_{N-1} is selected from the group consisting of:

$R_{N\text{-aryl}}$, and

$-R_{N\text{-heteroaryl}}$.

131. An azide of formula (XV) according to claim 130 where R_N is:

$R_{N-1}-X_N-$ where X_N is selected from the group consisting of:

-CO-,

where R_{N-1} is selected from the group consisting of:

$R_{N\text{-aryl}}$

$-R_{N\text{-heteroaryl}}$.

132. An azide of formula (XV) according to claim 131 where R_N is:

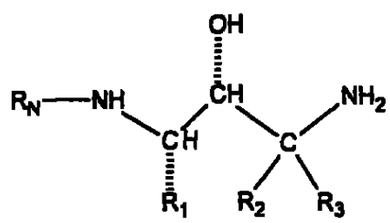
(a) R_{N-1}-X_N,- where X_N is -CO-, where R_{N-1} is R_{N-aryl} where R_{N-aryl} is phenyl substituted with one -CO-$NR_{N-2}R_{N-3}$ where the substitution on phenyl is 1,3- and where R_{N-2} and R_{N-3} are the same and are C_3 alkyl, or

(b) R_{N-1}-X_N- where X_N is-CO-, where R_{N-1} is R_{N-aryl} where R_{N-aryl} is phenyl substituted with one C_1 alkyl and with one -CO-$NR_{N-2}R_{N-3}$ where the substitution on the phenyl is 1,3,5- and where R_{N-2} and R_{N-3} are the same and are C_3 alkyl.

133. An azide of formula (XV) according to claim 123 which is

N^1-[(1S,2R)-3-azido-1-(3,5-difluorobenzyl)-2-hydroxypropyl]5-methyl-N^3,N^3-dipropylisophthalamide.

134. A free amine of formula (XVI)



(XVI)

where R_2, R_3 and R_N are as defined in claim 1; and

where R_1 is:

-CH_2-phenyl where -phenyl is substituted with two -F,

-$(CH_2)_{n1}$-$R_{1\text{-heteroaryl}}$ where $R_{1\text{-heteroaryl}}$ is as defined in claim 1 or

-$(CH_2)_{n1}$-$R_{1\text{-heterocycle}}$ where $R_{1\text{-heterocycle}}$ is as defined in claim 1.

135. A free amine of formula (XVI) according to claim 134 where R_1 is:

-$(CH_2)_{n1}$-($R_{1\text{-heteroaryl}}$).

136. A free amine of formula (XVI) according to claim 135 where n_1 is 1.

137. A free amine of formula (XVI) according to claim 134 where R_1 is:

-$(CH_2)_{n1}$-($R_{1\text{-heterocycle}}$).

138. A free amine of formula (XVI) according to claim 137 where n_1 is 1.

139. A free amine of formula (XVI) according to claim 134 where phenyl is substituted in the 3- and 5- positions giving 3,5-difluorophenyl.

140. A free amine of formula (XVI) according to claim 134 where R_2 and R_3 are both -H.

141. A free amine of formula (XVI) according to claim 134 where R_N is:

R_{N-1}-X_N- where X_N is selected from the group consisting of:

-CO-, and

-SO_2-,

where R_{N-1} is selected from the group consisting of:

$R_{N\text{-aryl}}$, and

-$R_{N\text{-heteroaryl}}$-

142. A free amine of formula (XVI) according to claim 141 where R_N is:

R_{N-1}-X_N- where X_N is:

-CO-,

where R_{N-1} is selected from the group consisting of:

$R_{N\text{-aryl}}$, and

-$R_{N\text{-heteroaryl}}$.

143. A free amine of formula (XVI) according to claim 142 where R_N is:

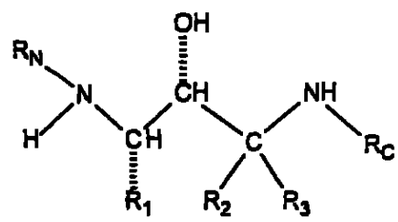
(a) R_{N-1}-X_N- where X_N is -CO-, where R_{N-1} is $R_{N\text{-aryl}}$ where $R_{N\text{-aryl}}$ is phenyl substituted with one -CO-$NR_{N-2}R_{N-3}$ where the substitution on phenyl is 1,3- and where R_{N-2} and R_{N-3} are the same and are C_3 alkyl, or

(b) R_{N-1}-X_N- where X_N is-CO-, where R_{N-1} is $R_{N\text{-aryl}}$ where $R_{N\text{-aryl}}$ is phenyl substituted with one C_1 alkyl and with one -CO-$NR_{N-2}R_{N-3}$ where the substitution on the phenyl is 1,3,5- and where R_{N-2} and R_{N-3} are the same and are C_3 alkyl.

144. A free amine of formula (XVI) according to claim 134 which is

N^1-[(1S,2R)-3-amino-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide.

145. A method of treating a patient who has, or in preventing a patient from getting, a disease or condition selected from the group consisting of Alzheimer's disease, for helping prevent or delay the onset of Alzheimer's disease, for treating patients with mild cognitive impairment (MCI) and preventing or delaying the onset of Alzheimer's disease in those who would progress from MCI to AD, for treating Down's syndrome, for treating humans who have Hereditary Cerebral Hemorrhage with Amyloidosis of the Dutch-Type, for treating cerebral amyloid angiopathy and preventing its potential consequences, i.e. single and recurrent lobar hemorrhages, for treating other degenerative dementias, including dementias of mixed vascular and degenerative origin, dementia associated with Parkinson's disease, dementia associated with progressive supranuclear palsy, dementia associated with cortical basal degeneration, diffuse Lewy body type of Alzheimer's disease and who is in need of such treatment which comprises administration of a therapeutically effective amount of a compound selected from the group consisting of a substituted amine of formula (X)



(X)

where R_1, R_2, R_3, R_N and R_C are as defined in claim 1, and pharmaceutically acceptable salts thereof.

146. A method of treatment according to claim 145, wherein the disease is Alzheimer's disease.

147. A method of treatment according to claim 145, wherein the method is helping prevent or delay the onset of Alzheimer's disease.

148. A method of treatment according to claim 145, wherein the disease is mild cognitive impairment.

149. A method of treatment according to claim 145, wherein the disease is Down's syndrome.

150. A method of treatment according to claim 145, wherein the disease is Hereditary Cerebral Hemorrhage with Amyloidosis of the Dutch-Type.

151. A method of treatment according to claim 145, wherein the disease is cerebral amyloid angiopathy.

152. A method of treatment according to claim 145, wherein the disease is degenerative dementias.

153. A method of treatment according to claim 145, wherein the disease is diffuse Lewy body type of Alzheimer's disease.

154. A method of treatment according to claim 145, wherein the method is treating an existing disease.

155. A method of treatment according to claim 145, wherein the method is preventing a disease from developing.

156. A method of treatment according to claim 145, wherein the therapeutically effective amount for oral administration is from about 0.1 mg/day to about 1,000 mg/day; for parenteral, sublingual, intranasal, intrathecal administration is from about 0.5 to about 100 mg/day; for depo administration and implants is from about 0.5 mg/day to about 50 mg/day; for topical administration is from about 0.5 mg/day to about 200 mg/day; for rectal administration is from about 0.5 mg to about 500 mg.

157. A method of treatment according to claim 156, wherein the therapeutically effective amount for oral administration is from about 1 mg/day to about 100 mg/day and for parenteral administration is from about 5 to about 50 mg daily.

158. A method of treatment according to claim 157 where the therapeutically effective amount for oral administration is from about 5 mg/day to about 50 mg/day.

159. A method of treatment according to claim 145 where:

where R_1 is:

$-(CH_2)_{0-1}-(R_{1\text{-aryl}})$, or

$-(CH_2)_{n1}-(R_{1\text{-heteroaryl}})$

where R_N is:

$R_{N-1}-X_N$- where X_N is selected from the group consisting of:

-CO-, and

$-SO_2-$,

where R_{N-1} is selected from the group consisting of:

$-R_{N\text{-aryl}}$, and

$-R_{N\text{-heteroaryl}}$,

$-CO-CH(-(CH_2)_{0-2}-O-R_{N-10})-(CH_2)_{0-2}-R_{N\text{-aryl}}/R_{N\text{-heteroaryl}})$, and

where R_C is:

$-C_1-C_8$ alkyl,

$-(CH_2)_{0-3}-(C_3-C_7)$ cycloalkyl,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C\text{-aryl}}$,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C\text{-heteroaryl}}$,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C\text{-heterocycle}}$, or

-cyclopentyl or -cyclohexyl ring fused to $R_{C\text{-aryl}}$ or $R_{C\text{-heteroaryl}}$ or $R_{C\text{-heterocycle}}$.

160. A method of treatment according to claim 159 where:

where R_1 is:

$-(CH_2)-(R_{1\text{-aryl}})$, or

$-(CH_2)-(R_{1\text{-heteroaryl}})$;

where R_2 is -H;

where R_3 is -H;

where R_N is:

$R_{N-1}-X_N$- where X_N is:

-CO-,

where R_{N-1} is selected from the group consisting of:

$-R_{N\text{-aryl}}$, and

$-R_{N\text{-heteroaryl}}$,

where R_C is:

$-(CH_2)_{0\text{-}3}-(C_3\text{-}C_7)$ cycloalkyl,

$-(CR_{C\text{-}x}R_{C\text{-}y})_{0\text{-}4}-R_{C\text{-aryl}}$,

$-(CR_{C\text{-}x}R_{C\text{-}y})_{0\text{-}4}-R_{C\text{-heteroaryl}}$,

$-(CR_{C\text{-}x}R_{C\text{-}y})_{0\text{-}4}-R_{C\text{-heterocycle}}$, or

-cyclopentyl or -cyclohexyl ring fused to a $R_{C\text{-aryl}}$ or $R_{C\text{-heteroaryl}}$ or $R_{C\text{-heterocycle}}$.

161. A method of treatment according to claim 160 where R_C is:

$-(CR_{C\text{-}x}R_{C\text{-}y})_{0\text{-}4}-R_{C\text{-aryl}}$,

$-(CR_{C\text{-}x}R_{C\text{-}y})_{0\text{-}4}-R_{C\text{-heteroaryl}}$, or

-cyclopentyl or -cyclohexyl ring fused to a $R_{C\text{-aryl}}$ or $R_{C\text{-heteroaryl}}$ or $R_{C\text{-heterocycle}}$.

162. A method of treatment according to claim 145 where R_1 is:

$-(CH_2)-(R_{1\text{-aryl}})$ where $R_{1\text{-aryl}}$ is phenyl.

163. A method of treatment according to claim 162 where R_1 is:

$-(CH_2)-(R_{1\text{-aryl}})$ where $R_{1\text{-aryl}}$ is phenyl substituted with two -F.

164. A method of treatment according to claim 163 where the -F substitution is 3,5-difluorobenzyl.

165. A method of treatment according to claim 145 where R_2 is -H.

166. A method of treatment according to claim 145 where R_3 is -H.

167. A method of treatment according to claim 145 where R_N is

$R_{N\text{-}1}-X_N-$ where X_N is -CO-, where $R_{N\text{-}1}$ is $R_{N\text{-aryl}}$ where $R_{N\text{-aryl}}$ is phenyl substituted with one $-CO-NR_{N\text{-}2}R_{N\text{-}3}$ where the substitution on phenyl is 1,3-.

168. A method of treatment according to claim 167 where R_{N-2} and R_{N-3} are the same and are C_3 alkyl.

169. A method of treatment according to claim 145 where R_N is

R_{N-1}-X_N- where X_N is-CO-, where R_{N-1} is R_{N-aryl} where R_{N-aryl} is phenyl substituted with one C_1 alkyl and with one -CO-$NR_{N-2}R_{N-3}$ where the substitution on the phenyl is 1,3,5-.

170. A method of treatment according to claim 169 where R_{N-2} and R_{N-3} are the same and are C_3 alkyl.

171. A method of treatment according to claim 145 where R_N is

R_{N-1}-X_N- where X_N is -CO-, where R_{N-1} is $R_{N-heteroaryl}$ where $R_{N-heteroaryl}$ is substituted with one -CO-$NR_{N-2}R_{N-3}$.

172. A method of treatment according to claim 171 where R_{N-2} and R_{N-3} are the same and are -C_3 alkyl.

173. A method of treatment according to claim 145 where R_C is:

-$(CR_{C-x}R_{C-y})_{0-4}$-R_{C-aryl} where R_{C-aryl} is phenyl,

-$(CR_{C-x}R_{C-y})_{0-4}$-$R_{C-heteroaryl}$, or

-cyclopentyl or -cyclohexyl ring fused to a R_{C-aryl} or $R_{C-heteroaryl}$ or $R_{C-heterocycle}$.

174. A method of treatment according to claim 173where R_C is:

-$(CR_{C-x}R_{C-y})_{0-4}$-R_{C-aryl} where R_{C-aryl} is phenyl.

175. A method of treatment according to claim 174 where phenyl is substituted in the 3-position or 3,5-positions.

176. A method-of treatment according to claim 173 where R_C is:

-(CH_2)-$R_{C-heteroaryl}$.

177. A method of treatment according to claim 173 where R_C is:

-(CH_2)-$R_{C\text{-heterocycle}}$.

178. A method of treatment according to claim 173 where R_C is:

-cyclohexyl ring fused to a phenyl ring.

179. A method of treatment according to claim 145 where the pharmaceutically acceptable salt is selected from the group consisting of salts of the following acids acetic, aspartic, benzenesulfonic, benzoic, bicarbonic, bisulfuric, bitartaric, butyric, calcium edetate, camsylic, carbonic, chlorobenzoic, citric, edetic, edisylic, estolic, esyl, esylic, formic, fumaric, gluceptic, gluconic, glutamic, glycollylarsanilic, hexamic, hexylresorcinoic, hydrabamic, hydrobromic, hydrochloric, hydroiodic, hydroxynaphthoic, isethionic, lactic, lactobionic, maleic, malic, malonic, mandelic, methanesulfonic, methylnitric, methylsulfuric, mucic, muconic, napsylic, nitric, oxalic, p-nitromethanesulfonic, pamoic, pantothenic, phosphoric, monohydrogen phosphoric, dihydrogen phosphoric, phthalic, polygalactouronic, propionic, salicylic, stearic, succinic, succinic, sulfamic, sulfanilic, sulfonic, sulfuric, tannic, tartaric, teoclic and toluenesulfonic.

180. A method of treatment according to claim 145 where the substituted amine (X) is selected from the group consisting of:

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(2-furylmethyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-benzyl-3-(ethylamino)-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-benzyl-3-(benzylamino)-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-benzyl-2-hydroxy-3-(isopropylamino)propyl]-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-benzyl-2-hydroxy-3-(4-toluidino)propyl]-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(4-methoxyphenyl)ethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

ethyl {[(3S)-3-({3-[(dipropylamino)carbonyl]benzoyl}amino)-2-hydroxy-4-phenylbutyl]amino}(phenyl)acetate,

N^1-((1S)-1-benzyl-2-hydroxy-3-{[(1S)-2-hydroxy-1-(hydroxymethyl)-2-(4-nitrophenyl)ethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(2-chlorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(4-chlorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(2-hydroxyethoxy)ethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-benzyl-3-(2,3-dihydro-1H-inden-1-ylamino)-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-hydroxypropyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(tetrahydro-2-furanylmethyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(2,2-diethoxyethyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-benzyl-3-(butylamino)-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-benzyl-3-(cyclohexylamino)-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-pyridinylmethyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-3-[(2-aminobenzyl)amino]-1-benzyl-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-pyridinylmethyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(1-pyrrolidinyl)ethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-hydroxy-2-phenylethyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3-butoxypropyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-isopropoxypropyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-benzyl-2-hydroxy-3-(isopentylamino)propyl]-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-phenylpropyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-methoxyethyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-phenoxyethyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-propoxyethyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3,3-dimethylbutyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(4-phenylbutyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S)-1-benzyl-2-hydroxy-3-[(4-nitrobenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3-chlorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[2-(4-chlorophenyl)ethyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(2-pyridinyl)ethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(4-pyridinylmethyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(1-methyl-2-pyrrolidinyl)ethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(2,3-dimethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(trifluoromethoxy)benzyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(2-chloro-6-phenoxybenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[4-(trifluoromethyl)benzyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(2,3-dichlorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3,5-dichlorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3,5-difluorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[4-(trifluoromethoxy)benzyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-({2-[4-(aminosulfonyl)phenyl]ethyl}amino)-1-benzyl-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(4-methoxybenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(4-methylbenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3,4,5-trimethoxybenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[3-(trifluoromethoxy)benzyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3,5-dimethoxybenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(2,4-dimethoxybenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[([1,1'-biphenyl]-3-ylmethyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3,4-dichlorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(2-fluorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[3-(trifluoromethyl)benzyl]amino} propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-methylbenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[(1R)-1-phenylethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[(1S)-1-phenylethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[3,5-bis(trifluoromethyl)benzyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(trifluoromethyl)benzyl]amino} propyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[(1S)-1-(1-naphthyl)ethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[(1R)-1-(1-naphthyl)ethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(4-hydroxy-3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3,4-dihydroxybenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S)-1-benzyl-2-hydroxy-3-[(3-methoxypropyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[(1S)-2-hydroxy-1-methylethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[(1R)-2-hydroxy-1-methylethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-benzyl-2-hydroxy-3-(2-propynylamino)propyl]-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[2-(2-fluorophenyl)ethyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[2-(3-fluorophenyl)ethyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[2-(4-fluorophenyl)ethyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[2-(4-bromophenyl)ethyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S)-1-benzyl-2-hydroxy-3-{[2-(3-methoxyphenyl)ethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[2-(2,4-dichlorophenyl)ethyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[2-(3-chlorophenyl)ethyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S)-1-benzyl-3-{[2-(2,5-dimethoxyphenyl)ethyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(4-methylphenyl)ethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[(1R)-1-benzyl-2-hydroxyethyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[3-(4-morpholinyl)propyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-benzyl-2-hydroxy-3-(isobutylamino)propyl]-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(4-morpholinyl)ethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-hydroxybutyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(2-thienyl)ethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(4-hydroxybutyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[(1S)-2-hydroxy-1-phenylethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(2,4-dichlorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[(1R)-2-hydroxy-1-phenylethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(4-tert-butylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3- dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(1-phenylethyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[(1R,2S)-2-hydroxy-2,3-dihydro-1H-inden-1-yl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3,4-dimethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3- dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[2-(isobutylamino)-1-methyl-2-oxoethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S)-2-(isobutylamino)-1-methyl-2-oxoethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^3-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S)-2-(isobutylamino)-1-methyl-2-oxoethyl]amino}propyl)-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[2-(isobutylamino)-1,1-dimethyl-2-oxoethyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[2-(isobutylamino)-2-oxoethyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({(1S)-1-[(isobutylamino)carbonyl]propyl}amino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({(1R)-1-[(isobutylamino)carbonyl]propyl}amino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-3-(ethylamino)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(isobutylamino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(isobutylamino)-2-methyl-3-oxopropyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[4-(dimethylamino)benzyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[(1S)-1-benzyl-2-(isobutylamino)-2-oxoethyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({(1S)-1-[(isobutylamino)carbonyl]-2-methylpropyl}amino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[2-(dimethylamino)ethyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-pyridinylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[(1S)-1-[(benzyloxy)methyl]-2-(isobutylamino)-2-oxoethyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({(1R)-1-[(isobutylamino)carbonyl]-2-methylpropyl}amino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({(1S)-1-[(isobutylamino)carbonyl]butyl}amino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S)-1-(hydroxymethyl)-2-(isobutylamino)-2-oxoethyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-phenylethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[(1S)-2-(benzylamino)-1-methyl-2-oxoethyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S)-1-phenylpropyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(1S)-2-(ethylamino)-1-methyl-2-oxoethyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S)-2-(isobutylamino)-2-oxo-1-phenylethyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(isopentylamino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(cyclohexylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(butylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxypropyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-hydroxy-2-phenylethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(3R,5S)-3,5-dimethoxycyclohexyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

dimethyl (1R,3S)-5-{[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}-1,3-cyclohexanedicarboxylate,

(1R,3S)-5-{[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}-1,3-cyclohexanedicarboxylic acid,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1R)-1-phenylpropyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-[(3-chlorobenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[(2-propylpentyl)sulfonyl]benzamide,

N^1-[(1S,2R)-3-[([1,1'-biphenyl]-3-ylmethyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methylbenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-phenylpropyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1,3-thiazol-5-ylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-thienylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(5-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-pyrazinylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3,5-difluorobenzyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-3-[(1,3-benzodioxol-5-ylmethyl)amino]-1-benzyl-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3,5-dimethoxybenzyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(trifluoromethyl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(7-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(trifluoromethoxy)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-fluorobenzyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-[(3-bromobenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(5-methyl-2-furyl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(5-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(5-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(1,2,3,4-tetrahydro-1-naphthalenylamino)propyl]-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methoxy-N^3,N^3-dipropylisophthalamide,

N^1-{(1S)-1-benzyl-2-hydroxy-3-[(3-nitrobenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[3-(difluoromethoxy)benzyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3-ethoxybenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[(5-methyl-2-pyrazinyl)methyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3-bromo-4-fluorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3,5-dimethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethoxybenzyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-phenoxyethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isobutoxybenzyl)amino]propyl} -5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(4-methyl-1,3-thiazol-2-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N^3-methyl-N^3-propylisophthalamide,

N^2-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N^5,N^5-dipropyl-2,5-furandicarboxamide,

N^3-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(trifluoromethyl)benzyl]amino}propyl)-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl}-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-[(1S,2R)-3-amino-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(1,2-diphenylethyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(7-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide, isomer A,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(7-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide, isomer B,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-(dimethylamino)benzamide,

N-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-2-methyl-1H-benzimidazole-5-carboxamide,

3-(aminosulfonyl)-N-{(1S)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-chlorobenzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-cyanobenzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-chloro-3-nitrobenzamide,

methyl 3-[({(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}amino)carbonyl]-5-nitrobenzoate,

tert-butyl 3-[({(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}amino)carbonyl]phenylcarbamate,

N-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-9,10-dioxo-9,10-dihydro-2-anthrancenylcarboxamide,

N-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-1H-1,2,3-benzotriazole-6-carboxamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-(3-methyl-5-oxo-4,5-dihydro-1H-pyrazol-1-yl)benzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-1H-indole-5-carboxamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-fluoro-5-(trifluoromethyl)benzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-(trifluoromethyl)benzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-(butylamino)benzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-(trifluoromethoxy)benzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3,5-dimethoxybenzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3,5-dimethylbenzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3,5-difluorobenzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3,5-dichlorobenzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-(benzyloxy)benzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-1,3-benzodioxole-5-carboxamide,

3-(acetylamino)-N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}benzamide,

4-(acetylamino)-N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}benzamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(3,5-dimethyl-4-isoxazolyl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-phenylpropyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-furylmethyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(tetrahydro-3-furanylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-propoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-pyridinylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-hydroxy-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[1-methyl-1-(3-methylphenyl)ethyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1S)-1,2,3,4-tetrahydro-1-naphthalenylamino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(2,5-dimethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[2-chloro-5-(trifluoromethyl)benzyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-hydroxy-5-methylbenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S,2R)-2-hydroxy-2,3-dihydro-1H-inden-1-yl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(1R)-2,3-dihydro-1H-inden-1-ylamino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

5-chloro-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-[(1-benzofuran-2-ylmethyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[(1R)-1-(3-bromophenyl)ethyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(4-fluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[butyl(butyryl)amino]-5-methylbenzamide,

N^1-{1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-methyl-N^3,N^3-dipropylisophthalamide,

N^3-{1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-methyl-N^1,N^1-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-methyl-N^3,N^3-dipropylisophthalamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-1-butyl-1H-indole-6-carboxamide,

N^1-[(1S,2R)-3-anilino-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

5-bromo-N^1-[(1S,2R)-3-[(3-bromobenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-methylpentanamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-3-methylpentanamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-hydroxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-cyano-N^3,N^3-dipropylisophthalamide hydrochloride,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

1- N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-oxo-5-(1-piperidinyl)pentanamide trifluroacetate,

5-(aminosulfonyl)-N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-5-(1-pyrrolidinylsulfonyl)isophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(methylamino)sulfonyl]-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(dimethylamino)sulfonyl]-N^3,N^3-dipropylisophthalamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-methyl-3-(methylsulfonyl)propanamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-(methylsulfonyl)propanamide,

2-amino-N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-1,3-thiazole-4-carboxamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(methylsulfonyl)pentanamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^2-phenylsuccinamide,

(3R)-N^4-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2,2,3-trimethylbutanediamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[(dipropylamino)sulfonyl]propanamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^5,N^5-dipropylpentanediamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-oxo-4-(1-piperidinyl)butanamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^4,N^4-dipropylsuccinamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-oxo-5-(1-piperidinyl)pentanamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^5-phenylpentanediamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3,3-dimethyl-4-oxo-4-(1-piperidinyl)butanamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-(isopentylsulfonyl)butanamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2,2-dimethyl-N^4,N^4-dipropylsuccinamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-[(dipropylamino)sulfonyl]butanamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-[(methylanilino)sulfonyl]butanamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[(methylanilino)sulfonyl]propanamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}acetamide, N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-(isopentylsulfonyl)propanamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-oxo-5-(1-piperidinyl)pentanamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-oxo-5-(1-piperidinyl)pentanamide and

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-3-[(dipropylamino)sulfonyl]propanamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-ethyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-isobutyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-tert-butyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-cyano-N^3-propylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dimethyl-N^5,N^5-dipropyl-1,3,5-benzenetricarboxamide,

N^1-[(1S,2R)-3-amino-1-benzyl-2-hydroxypropyl]-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-[(1S,2R)-1-benzyl-2-hydroxy-3-(isopentylamino)propyl]-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3-propyl-1,3,5-benzenetricarboxamide,

N-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[butyryl(propyl)amino]-5-methylbenzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-1-propyl-1H-indole-6-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-1-propyl-1H-indole-6-carboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3,4-dimethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-[(3-aminobenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}octanamide,

N^3-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({1-methyl-1-[3-(trifluoromethyl)phenyl]ethyl}amino)propyl]-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({1-methyl-1-[3-(trifluoromethyl)phenyl]ethyl}amino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1R,2S)-2-hydroxy-2,3-dihydro-1H-inden-1-yl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(1R)-2,3-dihydro-1H-inden-1-ylamino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-3-methylbenzamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(1H-isoindol-3-ylamino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1R,2S,5R)-2-isopropyl-5-methylcyclohexyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1,N^1-diallyl-5-chloro-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl}isophthalamide,

N^1,N^1-diallyl-5-chloro-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl}isophthalamide,

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-phenylcyclopentyl)amino]propyl}-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[3-(dimethylamino)benzyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(4,5-dimethyl-2-furyl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-phenylcyclopentyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(cyclopropylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-[(cyclopropylmethyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-N^3,N^5-dipropylpentanediamide,

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(2-furylmethyl)amino]-2-hydroxypropyl}-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(tetrahydro-2-furanylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-phenylcyclopropyl)amino]propyl}-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-oxo-3-azepanyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(3-methyl-2-furyl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2S)-tetrahydro-2-furanylmethyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

5-chloro-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl}-N^3,N^3-di(2-propynyl)isophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropenylbenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-propoxyethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-3-(hexylamino)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-(3-methyl-5-oxo-4,5-dihydro-1H-pyrazol-1-yl)benzamide,

methyl 4-({[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}methyl)benzoate,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-methoxyethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(5-isoxazolylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

(1R,2R)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-N^2,N^2-dipropyl-1,2-cyclopropanedicarboxamide,

N^3-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2S)-tetrahydro-2-furanylmethyl]amino}propyl)-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropylbenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

4-(butyrylamino)-N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}benzamide,

N^1-[(1S,2R)-3-[(3-amino-3-oxopropyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^3-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide 1-oxide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-ethynyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(7-oxabicyclo[2.2.1]hept-2-ylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethynylbenzyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2-methyl-1,3-thiazol-5-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(2-ethyl-1,3-thiazol-5-yl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(3R)-2-oxoazepanyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(cyclobutylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(butylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-ethynyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-ethynyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-3-(5-hexynylamino)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^3-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(5-methyl-2-furyl)methyl]amino}propyl)-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl}-N^5,N^5-dipropylpentanediamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[1-(2-furyl)-1-methylethyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(3-isobutyl-5-isoxazolyl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2-isobutyl-1,3-thiazol-5-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(dipropylamino)sulfonyl]propanamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-phenylethyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[2-(2-chlorophenyl)ethyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[3-(2-oxo-1-pyrrolidinyl)propyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(cyclohexylmethyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-benzyl-3-(cyclopropylamino)-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-oxo-3-azepanyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-3-(butylsulfonyl)benzamide,

N^1-[(1S,2R)-1-benzyl-3-({2-[(2-ethylhexyl)oxy]ethyl}amino)-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[(1S,2R)-2-hydroxy-2,3-dihydro-1H-inden-1-yl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[1-(4-hydroxyphenyl)ethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-benzyl-3-(cycloheptylamino)-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[([1,1'-biphenyl]-2-ylmethyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(2-fluorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-(dimethylamino)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-1-naphthamide,

N^1-[(1S,2R)-1-benzyl-3-({2-[({5-[(dimethylamino)methyl]-2-furyl}methyl)sulfanyl]ethyl}amino)-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-benzyl-3-({2-[(2-chloro-6-fluorobenzyl)sulfanyl]ethyl}amino)-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-[([1,1'-biphenyl]-4-ylmethyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(1-naphthylamino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1H-imidazol-5-ylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2-phenyl-1H-imidazol-5-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1-methyl-1H-imidazol-2-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[(2-butyl-4-chloro-1H-imidazol-5-yl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[(6-chloroimidazo[2,1-b][1,3]thiazol-5-yl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1-methyl-1H-benzimidazol-2-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2-hydroxy-1-naphthyl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(4-oxo-4H-chromen-3-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(1,5-dimethyl-3-oxo-2-phenyl-2,3-dihydro-1H-pyrazol-4-yl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-({[5-cyano-6-(methylsulfanyl)-2-pyridinyl]methyl}amino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

[5-({[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}methyl)-2-furyl]methyl acetate,

N^1-[(1S,2R)-3-[(1-benzofuran-3-ylmethyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

methyl 4-({[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}methyl)-1-methyl-1H-pyrrole-2-carboxylate,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({[1-(phenylsulfonyl)-1H-pyrrol-2-yl]methyl}amino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1-methyl-1H-pyrrol-2-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[(4-chloro-1-methyl-1H-pyrazol-3-yl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(3,5-dimethyl-1-phenyl-1H-pyrazol-4-yl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[(5-chloro-3-methyl-1-phenyl-1H-pyrazol-4-yl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(3-phenyl-1H-pyrazol-4-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[(5-chloro-2-thienyl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(3-phenoxy-2-thienyl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-quinolinylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-quinolinylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1-methyl-1H-indol-2-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[(1-benzyl-1H-indol-3-yl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1-methyl-1H-indol-3-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[({1-[(4-methylphenyl)sulfonyl]-1H-indol-3-yl}methyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[(2-butyl-1H-imidazol-5-yl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

methyl 3-({[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}methyl)-1H-indole-6-carboxylate,

3-[({(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-amino)carbonyl]-5-[butyl(butyryl)amino]benzyl diethyl phosphate,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(cyanomethyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(hydroxymethyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-ethynyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-N^3,N^3-dipropyl-5-prop-1-ynylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[3-(trifluoromethyl)benzyl]amino}propyl)-5-ethynyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-ethynyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3-fluorobenzyl)amino]-2-hydroxypropyl}-5-ethynyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-5-(8-quinolinyl)isophthalamide,

N^3-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4'-methoxy-N^5,N^5-dipropyl[1,1'-biphenyl]-3,5-dicarboxamide,

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^5,N^5-dipropyl[1,1'-biphenyl]-3,5-dicarboxamide,

N^3-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^5,N^5-dipropyl[1,1'-biphenyl]-3,5-dicarboxamide,

N^3-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4'-[(dimethylamino)sulfonyl]-N^5,N^5-dipropyl-1,1'-biphenyl-3,5-dicarboxamide,

N^3-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4'-[(dimethylamino)sulfonyl]-N^5,N^5-dipropyl-1,1'-biphenyl-3,5-dicarboxamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-5-(3-thienyl)isophthalamide,

N-{(1R,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-methyl-5-pentanoylbenzamide,

N^1-(4-hydroxybutyl)-N^3-{(1S)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^1-propylisophthalamide,

N^1-{(1S,2R)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}-N^3-(3-hydroxypropyl)-5-methyl-N^3-propylisophthalamide,

N^1-{(1S,2R)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[3-(2,4-dimethylphenyl)propyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[3-(4-methylphenyl)propyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-1,3-dioxo-2-propyl-5-isoindolinecarboxamide,

N-{(1R,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-bromo-5-methylbenzamide,

3-bromo-N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methylbenzamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-methyl- N^3,N^3-dipropylisophthalamide,

N^3-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-methyl-N^1,N^1-dipropylisophthalamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-(2-furyl)-5-methylbenzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3',5,5'-trimethyl-1,1'-biphenyl-3-carboxamide,

3'-Acetyl-N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl[1,1'-biphenyl]-3-carboxamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3'-methoxy-5-methyl[1,1'-biphenyl]-3-carboxamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl[1,1'-biphenyl]-3-carboxamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-methyl-5-(2-thienyl)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl) amino]propyl}-3-methyl-5-(3-thienyl)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino] propyl}-3-methyl-5-(3-thienyl)benzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-methyl-3-(3-thienyl)benzamide,

N^1-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3,N^5,N^5-tetrapropylbenzene-1,3,5-tricarboxamide,

N^1-{(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylbenzene-1,3,5-tricarboxamide,

Ethyl 3-[({(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}amino)carbonyl]-5-[(dipropylamino)carbonyl]benzoate,

N^1-{(1S,2R)-2-Hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropylbenzene-1,3,5-tricarboxamide,

N^1-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-5-{[(trifluoromethyl)sulfonyl]amino}isophthalamide,

5-Amino-N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-5-[(trifluoroacetyl)amino]isophthalamide,

N^1-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(methylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-5-[(thien-2-ylsulfonyl)amino]isophthalamide,

N^1-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-5-[(thien-2-ylcarbonyl)amino]isophthalamide,

N^1-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(methacryloylamino)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(2,2-dimethylpropanoyl)amino]-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(phenylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide.

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(methylthio)pentanamide,

tert-butyl (2R,3S)-3-({3-[(dipropylamino)sulfonyl]- propanoyl}amino)-2-hydroxy-4-phenylbutyl(3-methoxybenzyl)carbamate

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-methyl-5-[propionyl(propyl)amino]benzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-1-butyl-1H-indole-5-carboxamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-bromo-5-methylbenzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[butyl(propionyl)amino]-5-methylbenzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-methyl-1-propyl-1H-indole-6-carboxamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-1-(1-propylbutyl)-1H-indole-6-carboxamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[(2-oxo-2,3-dihydro-1,3-benzoxazol-6-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropyl-5-{[(trifluoromethyl)sulfonyl]amino}isophthalamide,

3-[({(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}amino)carbonyl]-5-[(dipropylamino)carbonyl]benzoic acid,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropyl-5-prop-1-ynylisophthalamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-(dipropylamino)isonicotinamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-hydroxy-2-(4-methylphenyl)acetamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-hydroxy-N3-methylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-hydroxy-2-(4-methoxy-3-nitrophenyl)acetamide,

5-(aminosulfonyl)-N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-methoxybenzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-hydroxy-3-(pyrrolidin-1-ylcarbonyl)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(3,5-dimethylisoxazol-4-yl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-5-(1,3-thiazol-2-yl)isophthalamide,

3-(cyclohexylcarbonyl)-N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methylbenzamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3-propylisophthalamide,

3-[cyclohexyl(hydroxy)methyl]-N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methylbenzamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-(4-methyl-1,3-oxazol-2-yl)-N^3,N^3-dipropylisophthalamide

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^5,N^5-dipropylpyridine-3,5-dicarboxamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(3-isobutyl-1,2,4-oxadiazol -5-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethynylbenzyl)amino]-2-hydroxypropy l}-N^5,N^5-dipropylpyridine-3,5-dicarboxamide,

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropylbenzyl)amino]propyl}-N^5,N^5-dipropylpyridine-3,5-dicarboxamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(4-hydroxybut-1-ynyl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

1-{3-[({(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropy l}amino)carbonyl]-5-methylbenzoyl}-L-prolinamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3-isopropyl-5-methylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3-ethyl-N^3,5-dimethylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3,5-dimethyl-N^3-prop-2-ynylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3-isobutyl-5-methylisophthalamide,

N^1-(sec-butyl)-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylisophthalamide,

N^1-butyl-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl} -N^3,N^3-diethyl-5-methylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl} -N^3,5-dimethyl-N^3-propylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl} -N^3-isopropyl-N^3,5-dimethylisophthalamide,

N^1-butyl-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^1,5-dimethylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl} -N^3-isobutyl-N^3,5-dimethylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl} -N^3-ethyl-5-methyl-N^3-propylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl} -N^3-ethyl-N^3-isopropyl-5-methylisophthalamide,

N^1,N^1-diallyl-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylisophthalamide,

3-(azepan-1-ylcarbonyl)-N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)am ino]-2-hydroxypropyl}-5-methylbenzamide

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3- [(4-hydroxypiperidin-1-yl)carbonyl]-5-methylbenzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3- [(3-hydroxypiperidin-1-yl)carbonyl]-5-methylbenzamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl} -N^3,N^3-diisopropyl-5-methylisophthalamide,

N^1-butyl-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^1-ethyl-5-methylisophthalamide,

N^1-(cyclopropylmethyl)-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-N^1-propylisophthalamide,

1-{3-[({(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropy l}amino)carbonyl]-5-methylbenzoyl}-D-prolinamide,

N^1-cyclohexyl-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]- 2-hydroxypropyl}-N^1,5-dimethylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[1-(3-methylphenyl)cycloprop yl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^3-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(1,2,3,4-tetrahydronaphthalen-1-ylamino)propyl]-N^5,N^5-diisopropylpyridine-3,5-dicarboxamide, and

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-{[(trifluoromethyl)sulfonyl]amino}benzamide.

181. A method of treatment according to claim 180 where the substituted amine (X) is selected from the group consisting of:

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(2-furylmethyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(2-hydroxyethoxy)ethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-3-[(2-aminobenzyl)amino]-1-benzyl-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(trifluoromethoxy)benzyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3,5-dichlorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[3-(trifluoromethoxy)benzyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3,5-dimethoxybenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[([1,1'-biphenyl]-3-ylmethyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3,4-dichlorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[3-(trifluoromethyl)benzyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S)-1-benzyl-2-hydroxy-3-[(3-methoxypropyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3,4-dimethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[2-(isobutylamino)-1-methyl-2-oxoethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S)-2-(isobutylamino)-1-methyl-2-oxoethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S)-2-(isobutylamino)-1-methyl-2-oxoethyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^3-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S)-2-(isobutylamino)-1-methyl-2-oxoethyl]amino}propyl)-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[2-(isobutylamino)-1,1-dimethyl-2-oxoethyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[2-(isobutylamino)-2-oxoethyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({(1S)-1-[(isobutylamino)carbonyl]propyl}amino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({(1R)-1-[(isobutylamino)carbonyl]propyl}amino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(isobutylamino)-2-methyl-3-oxopropyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[(1S)-1-benzyl-2-(isobutylamino)-2-oxoethyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({(1S)-1-[(isobutylamino)carbonyl]-2-methylpropyl}amino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-pyridinylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[(1S)-1-[(benzyloxy)methyl]-2-(isobutylamino)-2-oxoethyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({(1S)-1-[(isobutylamino)carbonyl]butyl}amino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S)-1-(hydroxymethyl)-2-(isobutylamino)-2-oxoethyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-phenylethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(isopentylamino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(cyclohexylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(butylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxypropyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

(1R,3S)-5-{[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}-1,3-cyclohexanedicarboxylic acid,

N^1-[(1S,2R)-3-[([1,1'-biphenyl]-3-ylmethyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methylbenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-phenylpropyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1,3-thiazol-5-ylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-thienylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(5-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-pyrazinylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3,5-dimethoxybenzyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(trifluoromethyl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(7-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(trifluoromethoxy)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-fluorobenzyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-[(3-bromobenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(5-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methoxy-N^3,N^3-dipropylisophthalamide

N^1-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-chloro-N^3,N^3-dipropylisophthalamide,

N^3-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-fluoro-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methylbenzyl)amino]propyl}-N3,N3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^5,N^5-dipropylpentanediamide,

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1,3-thiazol-5-ylmethyl)amino]propyl}-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}[1,1'-biphenyl]-3-carboxamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N^3-(2-methoxyethyl)-N^3-propylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1R)-1,2,3,4-tetrahydro-1-naphthalenylamino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1R)-3-{[3,5-bis(trifluoromethyl)benzyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[2-fluoro-5-(trifluoromethyl)benzyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[3-fluoro-5-(trifluoromethyl)benzyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[4-fluoro-3-(trifluoromethyl)benzyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[4-chloro-3-(trifluoromethyl)benzyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S)-1-benzyl-2-hydroxy-3-[(3-nitrobenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[3-(difluoromethoxy)benzyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3-ethoxybenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3-bromo-4-fluorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3,5-dimethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethoxybenzyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-phenoxyethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(4-methyl-1,3-thiazol-2-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N^3-methyl-N^3-propylisophthalamide,

N^3-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(trifluoromethyl)benzyl]amino}propyl)-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl}-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(7-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide, isomer B,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-furylmethyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(tetrahydro-3-furanylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-propoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-pyridinylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-hydroxy-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[1-methyl-1-(3-methylphenyl)ethyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1S)-1,2,3,4-tetrahydro-1-naphthalenylamino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(2,5-dimethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[2-chloro-5-(trifluoromethyl)benzyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-hydroxy-5-methylbenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

5-chloro-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[(1R)-1-(3-bromophenyl)ethyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-hydroxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-cyano-N^3,N^3-dipropylisophthalamide hydrochloride,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

5-(aminosulfonyl)-N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-5-(1-pyrrolidinylsulfonyl)isophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(methylamino)sulfonyl]-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(dimethylamino)sulfonyl]-N^3,N^3-dipropylisophthalamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[(dipropylamino)sulfonyl]propanamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-oxo-5-(1-piperidinyl)pentanamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-3-[(dipropylamino)sulfonyl]propanamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-ethyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-tert-butyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-cyano-N^3-propylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-1-propyl-1H-indole-6-carboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3,4-dimethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-[(3-aminobenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^3-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({1-methyl-1-[3-(trifluoromethyl)phenyl]ethyl}amino)propyl]-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1R,2S)-2-hydroxy-2,3-dihydro-1H-inden-1-yl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(1R)-2,3-dihydro-1H-inden-1-ylamino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

5-chloro-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl}-N^3,N^3-bis(2-methoxyethyl)isophthalamide,

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-phenylcyclopentyl)amino]propyl}-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[3-(dimethylamino)benzyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(4,5-dimethyl-2-furyl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-phenylcyclopentyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-N^5,N^5-dipropylpentanediamide,

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-phenylcyclopropyl)amino]propyl}-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2S)-tetrahydro-2-furanylmethyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropenylbenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-propoxyethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-3-(hexylamino)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-(3-methyl-5-oxo-4,5-dihydro-1H-pyrazol-1-yl)benzamide,

methyl 4-({[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}methyl)benzoate,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-methoxyethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(5-isoxazolylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

(1R,2R)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-N^2,N^2-dipropyl-1,2-cyclopropanedicarboxamide,

N^3-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2S)-tetrahydro-2-furanylmethyl]amino}propyl)-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropylbenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^3-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide 1-oxide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-ethynyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(7-oxabicyclo[2.2.1]hept-2-ylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethynylbenzyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2-methyl-1,3-thiazol-5-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(2-ethyl-1,3-thiazol-5-yl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(butylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-ethynyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-ethynyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-3-(5-hexynylamino)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^3-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(5-methyl-2-furyl)methyl]amino}propyl)-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl}-N^5,N^5-dipropylpentanediamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[1-(2-furyl)-1-methylethyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(3-isobutyl-5-isoxazolyl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2-isobutyl-1,3-thiazol-5-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(dipropylamino)sulfonyl]propanamide,

N^1-[(1S,2R)-3-[([1,1'-biphenyl]-4-ylmethyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1H-imidazol-5-ylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2-phenyl-1H-imidazol-5-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[(2-butyl-4-chloro-1H-imidazol-5-yl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-({[5-cyano-6-(methylsulfanyl)-2-pyridinyl]methyl}amino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

[5-({[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}methyl)-2-furyl]methyl acetate,

N^1-[(1S,2R)-3-[(1-benzofuran-3-ylmethyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

methyl 4-({[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}methyl)-1-methyl-1H-pyrrole-2-carboxylate,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1-methyl-1H-pyrrol-2-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[(5-chloro-2-thienyl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1-methyl-1H-indol-2-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[(1-benzyl-1H-indol-3-yl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1-methyl-1H-indol-3-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

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N^1-[(1S,2R)-3-{[(2-butyl-1H-imidazol-5-yl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

methyl 3-({[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}methyl)-1H-indole-6-carboxylate,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(cyanomethyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(hydroxymethyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-ethynyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-N^3,N^3-dipropyl-5-prop-1-ynylisophthalamide,

N^3-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4'-methoxy-N^5,N^5-dipropyl[1,1'-biphenyl]-3,5-dicarboxamide hydrochloride,

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^5,N^5-dipropyl[1,1'-biphenyl]-3,5-dicarboxamide,

N^3-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^5,N^5-dipropyl[1,1'-biphenyl]-3,5-dicarboxamide,

N^3-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4'-[(dimethylamino)sulfonyl]-N^5,N^5-dipropyl-1,1'-biphenyl-3,5-dicarboxamide,

N^3-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4'-[(dimethylamino)sulfonyl]-N^5,N^5-dipropyl-1,1'-biphenyl-3,5-dicarboxamide,

N-{(1R,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-methyl-5-pentanoylbenzamide,

N^1-{(1S,2R)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}-N^3-(3-hydroxypropyl)-5-methyl-N^3-propylisophthalamide,

N^1-{(1S,2R)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-methyl- N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3,N^5,N^5-tetrapropylbenzene-1,3,5-tricarboxamide,

N^1-{(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylbenzene-1,3,5-tricarboxamide,

ethyl 3-[({(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}amino)carbonyl]-5-[(dipropylamino)carbonyl]benzoate,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-5-{[(trifluoromethyl)sulfonyl]amino}isophthalamide,

5-amino-N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(methylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-5-[(thien-2-ylsulfonyl)amino]isophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-5-[(thien-2-ylcarbonyl)amino]isophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(methacryloylamino)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(phenylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(methylthio)pentanamide,

3-amino-N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-methylbutanamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-ethylhexanamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-3-[(isobutylsulfonyl)amino]propanamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-N^3-(isobutylsulfonyl)-beta-alaninamide,

5-bromo-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide, and

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-phenylcyclopropyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[(2-oxo-2,3-dihydro-1,3-benzoxazol-6-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropyl-5-{[(trifluoromethyl)sulfonyl]amino}isophthalamide,

3-[({(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}amino)carbonyl]-5-[(dipropylamino)carbonyl]benzoic acid,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropyl-5-prop-1-ynylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-hydroxy-3-(pyrrolidin-1-ylcarbonyl)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-5-(1,3-thiazol-2-yl)isophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3-propylisophthalamide,

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^5,N^5-dipropylpyridine-3,5-dicarboxamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(3-isobutyl-1,2,4-oxadiazol -5-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethynylbenzyl)amino]-2-hydroxypropy l}-N^5,N^5-dipropylpyridine-3,5-dicarboxamide,

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropylbenzyl)amino]propyl}-N^5,N^5-dipropylpyridine-3,5-dicarboxamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(4-hydroxybut-1-ynyl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

1-{3-[({(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropy l}amino)carbonyl]-5-methylbenzoyl}-L-prolinamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3-isopropyl-5-methylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3-ethyl-N^3,5-dimethylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3,5-dimethyl-N^3-prop-2-ynylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3-isobutyl-5-methylisophthalamide,

N^1-(sec-butyl)-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylisophthalamide,

N^1-butyl-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl} -N^3,N^3-diethyl-5-methylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl} -N^3,5-dimethyl-N^3-propylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl} -N^3-isopropyl-N^3,5-dimethylisophthalamide,

N^1-butyl-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^1,5-dimethylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl} -N^3-isobutyl-N^3,5-dimethylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl} -N^3-ethyl-5-methyl-N^3-propylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl} -N^3-ethyl-N^3-isopropyl-5-methylisophthalamide,

N^1,N^1-diallyl-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylisophthalamide,

3-(azepan-1-ylcarbonyl)-N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylbenzamide

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3- [(4-hydroxypiperidin-1-yl)carbonyl]-5-methylbenzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3- [(3-hydroxypiperidin-1-yl)carbonyl]-5-methylbenzamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl} -N^3,N^3-diisopropyl-5-methylisophthalamide,

N^1-butyl-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^1-ethyl-5-methylisophthalamide,

N^1-(cyclopropylmethyl)-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-N^1-propylisophthalamide,

N^1-cyclohexyl-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]- 2-hydroxypropyl}-N^1,5-dimethylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[1-(3-methylphenyl)cycloprop yl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide, and

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-{[(trifluoromethyl)sulfonyl]amino}benzamide.

182. A method of treatment according to claim 145 where the substituted amine (X) is selected from the group consisting of:

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-methyl-5-(2-propylpentanoyl)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-(2-ethylpentanoyl)-5-methylbenzamide,

N-{(1S,2R)-1-benzyl-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-methyl-5-(2-propylpentanoyl)benzamide,

N-{(1S,2R)-1-benzyl-3-[(3-ethynylbenzyl)amino]-2-hydroxypropyl}-3-methyl-5-(2-propylpentanoyl)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-(2-ethylbutanoyl)-5-methylbenzamide,

N^1-{(1S,2R)-1-benzyl-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-(2-propylpentanoyl)isophthalamide,

N-{(1S,2R)-1-benzyl-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-(2-ethylpentanoyl)-5-methylbenzamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-(2-propylpentanoyl)isophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(2-propylpentanoyl)isophthalamide,

N-[(1S,2R)-3-[(3-ethylbenzyl)amino]-2-hydroxy-1-(4-hydroxybenzyl)propyl]-3-methyl-5-(2-propylpentanoyl)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-methyl-5-(2-propylpentanoyl)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-methyl-5-(2-propylpentanoyl)benzamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(3-pyridinyl)benzyl]amino}propyl)-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(4-pyridinyl)benzyl]amino}propyl)-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-5-(1-propynyl)isophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- N^3,N^3-dipropyl-5-(1-propynyl)isophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- N^3,N^3-dipropyl-5-(2-propynyl)isophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-5-(2-propynyl)isophthalamide,

N^1-{(1S,2R)-1-(cyclohexylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(benzylamino)-2-hydroxy-1-(3-thienylmethyl)propyl]-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-thienylmethyl)propyl]-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-{(1S)-1-[(1R)-2-(benzylamino)-1-hydroxyethyl]-3-butynyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(3-thienylmethyl)propyl]-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(benzylamino)-2-hydroxy-1-(2-thienylmethyl)propyl]-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-3-(benzylamino)-1-[4-(benzyloxy)benzyl]-2-hydroxypropyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-(2-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(cyclohexylmethyl)-2-hydroxypropyl]-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(benzylamino)-2-hydroxy-1-(1-naphthylmethyl)propyl]-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

2,3,5-trideoxy-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-5-[(3-methoxybenzyl)amino]-1-S-phenyl-1-thio-D-erythro-pentitol,

N^1-[(1S,2R)-3-(benzylamino)-1-(3-furylmethyl)-2-hydroxypropyl]-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-((1S)-1-{(1R)-1-hydroxy-2-[(3-methoxybenzyl)amino]ethyl}-3-methylbutyl)-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(4-fluorobenzyl)-2-hydroxypropyl]- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-(4-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(2-furylmethyl)-2-hydroxypropyl]-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(1-naphthylmethyl)propyl]-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-{(1S)-1-[(1R)-2-(benzylamino)-1-hydroxyethyl]-3-methylbutyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-[4-(benzyloxy)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(benzylamino)-2-hydroxy-1-(4-hydroxybenzyl)propyl]-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-((1S)-1-{(1R)-1-hydroxy-2-[(3-methoxybenzyl)amino]ethyl}-3-butynyl)-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-((1S)-1-{(1R)-1-hydroxy-2-[(3-methoxybenzyl)amino]ethyl}-3-butynyl)-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

5-(benzylamino)-2,3,5-trideoxy-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-1-S-phenyl-1-thio-D-erythro-pentitol,

N^1-{(1S,2R)-1-[4-(benzyloxy)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-[(1S,2R)-3-(benzylamino)-2-hydroxy-1-(4-hydroxybenzyl)propyl]-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(1-naphthylmethyl)propyl]- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S)-1-[(1R)-2-(benzylamino)-1-hydroxyethyl]-3-methylbutyl}-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(4-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(3-furylmethyl)-2-hydroxypropyl]-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-((1S)-1-{(1R)-1-hydroxy-2-[(3-methoxybenzyl)amino]ethyl}-3-methylbutyl)- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(4-fluorobenzyl)-2-hydroxypropyl]-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(2-furylmethyl)-2-hydroxypropyl]- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-[(1S,2R)-3-(benzylamino)-2-hydroxy-1-(1-naphthylmethyl)propyl]-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(cyclohexylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-[(1S,2R)-3-(benzylamino)-2-hydroxy-1-(2-thienylmethyl)propyl]-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-(3-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-3-(benzylamino)-1-[4-(benzyloxy)benzyl]-2-hydroxypropyl}-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(2-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-[(1S,2R)-3-(benzylamino)-2-hydroxy-1-(3-thienylmethyl)propyl]-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-thienylmethyl)propyl]- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S)-1-[(1R)-2-(benzylamino)-1-hydroxyethyl]-3-butynyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(3-thienylmethyl)propyl]- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-(cyclohexylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(3-thienylmethyl)propyl]- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-thienylmethyl)propyl]- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-(2-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-(3-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-((1S)-1-{(1R)-1-hydroxy-2-[(3-methoxybenzyl)amino]ethyl}-3-methylbutyl)- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-(4-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(1-naphthylmethyl)propyl]- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-[4-(benzyloxy)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-2-hydroxy-1-[3-(hydroxymethyl)benzyl]-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-3-[(3-ethylbenzyl)amino]-2-hydroxy-1-[3-(hydroxymethyl)benzyl]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-2-hydroxy-1-[3-(hydroxymethyl)benzyl]-3-[(3-iodobenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-2-hydroxy-1-[4-(hydroxymethyl)benzyl]-3-[(3-iodobenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-3-[(3-ethylbenzyl)amino]-2-hydroxy-1-[4-(hydroxymethyl)benzyl]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-2-hydroxy-1-[4-(hydroxymethyl)benzyl]-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3-fluoro-5-hydroxybenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-[(3-ethylbenzyl)amino]-1-(3-fluoro-5-hydroxybenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3-fluoro-5-hydroxybenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-[3-(benzyloxy)-5-fluorobenzyl]-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-[3-(benzyloxy)-5-fluorobenzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N-{(1S,2R)-1-[4-(benzyloxy)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[(dipropylamino)sulfonyl]propanamide,

N^1-{(1S,2R)-1-[4-(benzyloxy)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^5,N^5-dipropylpentanediamide,

3-[(dipropylamino)sulfonyl]-N-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(1-naphthylmethyl)propyl]propanamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(1-naphthylmethyl)propyl]- N^5,N^5-dipropylpentanediamide,

3-[(dipropylamino)sulfonyl]-N-{(1S,2R)-1-(4-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}propanamide,

N^1-{(1S,2R)-1-(4-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^5,N^5-dipropylpentanediamide,

3-[(dipropylamino)sulfonyl]-N-{(1S,2R)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}propanamide,

N^1-{(1S,2R)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}- N^5,N^5-dipropylpentanediamide,

3-[(dipropylamino)sulfonyl]-N-{(1S,2R)-1-(3-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}propanamide,

N^1-{(1S,2R)-1-(2-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^5,N^5-dipropylpentanediamide,

3-[(dipropylamino)sulfonyl]-N-{(1S,2R)-1-(2-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}propanamide,

N^1-{(1S,2R)-1-(3-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^5,N^5-dipropylpentanediamide,

3-[(dipropylamino)sulfonyl]-N-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-thienylmethyl)propyl]propanamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(3-thienylmethyl)propyl]- N^5,N^5-dipropylpentanediamide,

3-[(dipropylamino)sulfonyl]-N-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(3-thienylmethyl)propyl]propanamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-thienylmethyl)propyl]- N^5,N^5-dipropylpentanediamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-{[(2R)-1-ethylpyrrolidinyl]carbonyl}-5-methylbenzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-{[(2S)-1-ethylpyrrolidinyl]carbonyl}-5-methylbenzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[(1-ethyl-1H-imidazol-2-yl)carbonyl]-5-methylbenzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[(1-ethyl-4-methyl-1H-imidazol-5-yl)carbonyl]-5-methylbenzamide,

N^1-((1S,2S)-1-(3,5-difluorobenzyl)-2-hydroxy-2-{1-[(3-methoxybenzyl)amino]cyclopropyl}ethyl)-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-((1S,2S)-1-(3,5-difluorobenzyl)-2-{1-[(3-ethylbenzyl)amino]cyclopropyl}-2-hydroxyethyl)-5-methyl- N^3,N^3-dipropylisophthalamide,

(1R,2R,3R)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^2,N^2-dipropyl-1,2,3-cyclopropanetricarboxamide,

(1R,2R,3R)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-phenyl- N^2,N^2-dipropyl-1,2-cyclopropanedicarboxamide,

(1R,2R,3R)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-

methoxybenzyl)amino]propyl}-3-methyl- N^2,N^2-dipropyl-1,2-cyclopropanedicarboxamide,

(1R,2R,3S)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-methyl- N^2,N^2-dipropyl-1,2-cyclopropanedicarboxamide,

(1R,2R,3S)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-phenyl- N^2,N^2-dipropyl-1,2-cyclopropanedicarboxamide,

(1R,2R,3S)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^2,N^2-dipropyl-1,2,3-cyclopropanetricarboxamide,

(1R,2R,3S)-3-(2-amino-2-oxoethyl)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^2,N^2-dipropyl-1,2-cyclopropanedicarboxamide,

(1R,2R,3R)-3-(2-amino-2-oxoethyl)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^2,N^2-dipropyl-1,2-cyclopropanedicarboxamide,

(1R,2R,3S)-N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-[2-(dipropylamino)-2-oxoethyl]-3-methylcyclopropanecarboxamide,

(1R,2R,3R)-N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-[2-(dipropylamino)-2-oxoethyl]-3-methylcyclopropanecarboxamide,

(1S,2R,3R)-N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-[2-(dipropylamino)-2-oxoethyl]-3-phenylcyclopropanecarboxamide,

(1S,2R,3S)-N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-[2-(dipropylamino)-2-oxoethyl]-3-phenylcyclopropanecarboxamide,

(1S,2R,3R)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[2-(dipropylamino)-2-oxoethyl]-1,2-cyclopropanedicarboxamide,

(1S,2R,3S)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[2-(dipropylamino)-2-oxoethyl]-1,2-cyclopropanedicarboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-5-{[(trifluoromethyl)sulfonyl]amino}isophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- N^3,N^3-dipropyl-5-{[(trifluoromethyl)sulfonyl]amino}isophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- N^3,N^3-dipropyl-5-{[(trifluoromethyl)sulfonyl]amino}isophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-{methyl[(trifluoromethyl)sulfonyl]amino}- N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-{methyl[(trifluoromethyl)sulfonyl]amino}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-5-{propyl[(trifluoromethyl)sulfonyl]amino}isophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(methylsulfonyl)amino]- N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(phenylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropylbenzyl)amino]propyl}-3-[(dipropylamino)sulfonyl]propanamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethynylbenzyl)amino]-2-hydroxypropyl}-3-[(dipropylamino)sulfonyl]propanamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[3-(dimethylamino)benzyl]amino}-2-hydroxypropyl)-3-[(dipropylamino)sulfonyl]propanamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(2-ethyl-1,3-thiazol-5-yl)methyl]amino}-2-hydroxypropyl)-3-[(dipropylamino)sulfonyl]propanamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2-isobutyl-1,3-thiazol-5-yl)methyl]amino}propyl)-3-[(dipropylamino)sulfonyl]propanamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(3-isobutyl-5-isoxazolyl)methyl]amino}propyl)-3-[(dipropylamino)sulfonyl]propanamide,

N-[(1S,2R)-3-[(3-cyclopropylbenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-3-[(dipropylamino)sulfonyl]propanamide,

N^1-[(1S,2R)-3-[(3-cyclopropylbenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(1,3-thiazol-2-yl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(1,3-oxazol-2-yl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-[(3-acetylbenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-[(3-acetylbenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-[(1S,2R)-3-[(3-acetylbenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-(aminosulfonyl)- N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-[(3-acetylbenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-(methylsulfonyl)- N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[3-(diethylamino)benzyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(4-morpholinyl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(1-piperazinyl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[3-(aminosulfonyl)benzyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-3-({3-[(dimethylamino)sulfonyl]benzyl}amino)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(1-piperidinylsulfonyl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(methylsulfonyl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(isopropylsulfonyl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[3-(aminocarbonyl)benzyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-3-({3-[(dimethylamino)carbonyl]benzyl}amino)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-[(3-cyanobenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

3-({[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}methyl)phenylcarbamate,

3-({[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}methyl)phenyl dimethylcarbamate,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(1-propynyl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(3-methyl-1-butynyl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(2-propynyl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(5-isobutyl-1,3,4-oxadiazol-2-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[3-(5-ethyl-1,3,4-oxadiazol-2-yl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[3-(5-ethyl-1,3,4-thiadiazol-2-yl) methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(5-isobutyl-1,3,4-thiadiazol-2-yl) methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[3-(3-ethyl-1,2,4-thiadiazol-5-yl) methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(3-isobutyl-1,2,4-thiadiazol-5-yl) methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(3-isobutyl-1,2,4-oxadiazol-5-yl) methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[3-(3-ethyl-1,2,4-oxadiazol-5-yl) methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(2-ethyl-1,3-oxazol-5-yl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2-isobutyl-1,3-oxazol-5-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(5-isobutyl-1,3,4-oxadiazol-2-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(5-isobutyl-1,3,4-thiadiazol-2-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(5-ethyl-1,3,4-thiadiazol-2-yl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(5-ethyl-1,3,4-oxadiazol-2-yl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(3-ethyl-1,2,4-oxadiazol-5-yl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(3-ethyl-1,2,4-thiadiazol-5-yl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(3-isobutyl-1,2,4-thiadiazol-5-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(3-isobutyl-1,2,4-oxadiazol-5-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(2-ethyl-2H-tetraazol-5-yl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2-isobutyl-2H-tetraazol-5-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(2-ethyl-4-pyrimidinyl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2-isopropyl-4-pyrimidinyl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(2-ethynyl-4-pyrimidinyl)methyl]amino}-2-hydroxypropyl)-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(6-isopropyl-4-pyrimidinyl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-3-({[6-(dimethylamino)-4-pyrimidinyl]methyl}amino)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-3-({[2-(dimethylamino)-4-pyrimidinyl]methyl}amino)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-3-({[4-(dimethylamino)-2-pyrimidinyl]methyl}amino)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(4-isopropyl-2-pyrimidinyl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(4-ethyl-2-pyrimidinyl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(5-ethyl-3-pyridazinyl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^3-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[3-(dimethylamino)benzyl]amino}-2-hydroxypropyl)-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(5-isopropyl-3-pyridazinyl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^3-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(1-propynyl)benzyl]amino}propyl)-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(6-isopropyl-4-pyridazinyl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethynylbenzyl)amino]-2-hydroxypropyl}- N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(6-ethyl-4-pyridazinyl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropylbenzyl)amino]propyl}-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(6-ethyl-2-pyrazinyl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(6-isopropyl-2-pyrazinyl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(3,4,5-trifluorobenzyl)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-2-hydroxy-1-(3,4,5-trifluorobenzyl)-3-{[3-(trifluoromethyl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-2-hydroxy-1-(2,3,5,6-tetrafluorobenzyl)-3-{[3-(trifluoromethyl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2,3,5,6-tetrafluorobenzyl)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1R,2S)-2-hydroxy-6-methoxy-2,3-dihydro-1H-inden-1-yl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1R,2S)-2-hydroxy-6-methoxy-2,3-dihydro-1H-inden-1-yl]amino}propyl)-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(1R,2S)-6-ethyl-2-hydroxy-2,3-dihydro-1H-inden-1-yl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(1R,2S)-6-ethyl-2-hydroxy-2,3-dihydro-1H-inden-1-yl]amino}-2-hydroxypropyl)-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-2-hydroxy-1-(1H-indol-5-ylmethyl)-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-[(3-ethylbenzyl)amino]-2-hydroxy-1-(1H-indol-5-ylmethyl)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(3-methylbenzyl)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(3-methylbenzyl)propyl]- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-[3-(trifluoromethyl)benzyl]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-[3-(trifluoromethyl)benzyl]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-pyridinylmethyl)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-pyridinylmethyl)propyl]- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-[3-fluoro-5-(trifluoromethyl)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-[3-fluoro-5-(trifluoromethyl)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-[3-(trifluoromethoxy)benzyl]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-[3-(trifluoromethoxy)benzyl]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-2-hydroxy-1-(3-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-2-hydroxy-1-(3-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(4-methylbenzyl)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(4-methylbenzyl)propyl]- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-(4-fluoro-3-methylbenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(4-fluoro-3-methylbenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-(4-chlorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(4-chlorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-2-hydroxy-1-(3-methoxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-2-hydroxy-1-(3-methoxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-2-hydroxy-1-(4-methoxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-2-hydroxy-1-(4-methoxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-(3-chloro-5-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3-chloro-5-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-(4-chloro-3-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(4-chloro-3-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-(3,5-dichlorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-dichlorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-[4-(dimethylamino)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-[4-(dimethylamino)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-(3-chlorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3-chlorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-2-hydroxy-1-(4-isopropylbenzyl)-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-2-hydroxy-1-(4-isopropylbenzyl)-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-[(6-methoxy-2-pyridinyl)methyl]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-[(6-methoxy-2-pyridinyl)methyl]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-[(5-methyl-2-pyridinyl)methyl]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-[(5-methyl-2-pyridinyl)methyl]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-(3-fluoro-4-methylbenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3-fluoro-4-methylbenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-(3-fluoro-4-methoxybenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3-fluoro-4-methoxybenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-methoxy-5-methylbenzyl)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-methoxy-5-methylbenzyl)propyl]-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(1,3-thiazol-2-ylmethyl)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(1,3-thiazol-2-ylmethyl)propyl]-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-[(5-chloro-2-thienyl)methyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-[(5-chloro-2-thienyl)methyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy-3-(1-pyrrolidinylcarbonyl)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-2-[(methylsulfonyl)amino]-1,3-thiazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(propylsulfonyl)amino]-1,3-thiazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-hydroxy-3-(1-pyrrolidinylcarbonyl)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-[(propylsulfonyl)amino]-1,3-thiazole-4-carboxamide,

N-{(1S,2R)-1-benzyl-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[1-(3-ethylphenyl)cyclopropyl]amino}-2-hydroxypropyl)-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[1-(3-ethylphenyl)-1-methylethyl]amino}-2-hydroxypropyl)-4-hydroxy-3-(1-pyrrolidinylcarbonyl)benzamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[1-(3-ethylphenyl)-1-methylethyl]amino}-2-hydroxypropyl)-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[1-(3-ethylphenyl)-1-methylethyl]amino}-2-hydroxypropyl)-5-methyl-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[1-(3-ethylphenyl)cyclopropyl]amino}-2-hydroxypropyl)-4-hydroxy-3-(1-pyrrolidinylcarbonyl)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethynylbenzyl)amino]-2-hydroxypropyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethynylbenzyl)amino]-2-hydroxypropyl}-5-methyl-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-hydroxy-3-(1-piperidinylcarbonyl)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-[(methylsulfonyl)amino]-1,3-oxazole-2-carboxamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-methyl-4-[(methylsulfonyl)amino]-1,3-oxazole-2-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy-3-(1-piperidinylcarbonyl)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-[(methylsulfonyl)amino]-1,3-oxazole-2-carboxamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-methyl-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-4-[(methylsulfonyl)amino]-1,3-oxazole-2-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy-3-(4-morpholinylcarbonyl)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-[(ethylsulfonyl)amino]-1,3-oxazole-2-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-methyl-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-[(ethylsulfonyl)amino]-1,3-oxazole-2-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy-3-(4-morpholinylcarbonyl)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-[(propylsulfonyl)amino]-1,3-oxazole-2-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-[(methylsulfonyl)amino]-1,3-thiazole-2-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-hydroxy-3-(1-piperazinylcarbonyl)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-[(methylsulfonyl)amino]-1,3-thiazole-2-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-5-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy-3-(1-piperazinylcarbonyl)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-methyl-2-[(methylsulfonyl)amino]-1,3-oxazole-5-carboxamide,

N^4-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-4,5-dicarboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-5-carboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy-N^3-methylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-methyl-2-[(methylsulfonyl)amino]-1,3-oxazole-5-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(ethylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-[(methylsulfonyl)amino]-1,3-oxazole-2-carboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-hydroxy-N^3-methylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-methyl-5-[(methylsulfonyl)amino]-1,3-oxazole-2-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-[(ethylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-methyl-5-[(methylsulfonyl)amino]-1,3-oxazole-2-carboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3-ethyl-4-hydroxyisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(methylsulfonyl)amino]-1,3-oxazole-2-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[(ethylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(methylsulfonyl)amino]-3-isoxazolecarboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3-ethyl-4-hydroxyisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-[(methylsulfonyl)amino]-3-isoxazolecarboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[(propylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-3-[(methylsulfonyl)amino]-5-isoxazolecarboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-N^3-ethyl-4-hydroxyisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(methylsulfonyl)amino]-5-isoxazolecarboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-[(propylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-(hydroxymethyl)-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N^3-(cyclopropylmethyl)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-hydroxyisophthalamide,

5-cyclopropyl-N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(propylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-isopropyl-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N^3-(cyclopropylmethyl)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxyisophthalamide,

N-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(isopentylamino)propyl]-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-2-[(propylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-[(1S,2R)-3-(cyclopropylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-[(1S,2R)-3-[(3-ethylbenzyl)amino]-2-hydroxy-1-(4-hydroxybenzyl)propyl]-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy-N^3-isobutylisophthalamide,

2-{[(cyclopropylmethyl)sulfonyl]amino}-N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-1,3-oxazole-4-carboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy- N^3-isobutyl-N^3-methylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(isobutylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N^3-(cyclopropylmethyl)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy-N^3-methylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-[(isobutylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy-N^3-methyl-N^3-propylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[(isobutylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-hydroxy-N^3-methyl-N^3-propylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[(phenylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N3-ethyl-4-hydroxy-N^3-propylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-{[(4-methylphenyl)sulfonyl]amino}-1,3-oxazole-4-carboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N3-ethyl-4-hydroxy-N^3-propylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-{[(4-methylphenyl)sulfonyl]amino}-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(phenylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy-N^3, N^3-dipropylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[methyl(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-hydroxy-N^3, N^3-dipropylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[methyl(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-hydroxy-N^3, N^3-dipropylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[(methylsulfonyl)amino]-1,3-thiazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(methylsulfonyl)amino]-1,3-thiazole-4-carboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(methylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(ethylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropyl-5-[(propylsulfonyl)amino]isophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(isopropylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(isobutylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropyl-5-[(thien-2-ylsulfonyl)amino]isophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(2-furylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropyl-5-[(1,3-thiazol-5-ylsulfonyl)amino]isophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(1,3-oxazol-5-ylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(1,3-oxazol-4-ylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropyl-5-[(1,3-thiazol-4-ylsulfonyl)amino]isophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-{[(1-methyl-1H-imidazol-4-yl)sulfonyl]amino}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(phenylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide,

5-{[(5-cyanopyridin-2-yl)sulfonyl]amino}-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropyl-5-({[5-(trifluoromethyl)pyridin-2-yl]sulfonyl}amino)isophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-{[(1-methyl-1H-imidazol-4-yl)sulfonyl]amino}benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-({[5-(trifluoromethyl)pyridin-2-yl]sulfonyl}amino)benzamide,

3-{[(5-cyanopyridin-2-yl)sulfonyl]amino}-N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(phenylsulfonyl)amino]benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(methylsulfonyl)amino]benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(ethylsulfonyl)amino]benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(propylsulfonyl)amino]benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(isobutylsulfonyl)amino]benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(isopropylsulfonyl)amino]benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-{[(1-ethylpropyl)sulfonyl]amino}benzamide,

3-[(cyclohexylsulfonyl)amino]-N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-{[(1-propylbutyl)sulfonyl]amino}benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(thien-2-ylsulfonyl)amino]benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(2-furylsulfonyl)amino]benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(isoxazol-5-ylsulfonyl)amino]benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(isoxazol-3-ylsulfonyl)amino]benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(3-furylsulfonyl)amino]benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(thien-3-ylsulfonyl)amino]benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(1,3-thiazol-4-ylsulfonyl)amino]benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(1,3-thiazol-5-ylsulfonyl)amino]benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(1,3-thiazol-2-ylsulfonyl)amino]benzamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(isopentylamino)propyl]-N^3,N^3-dipropyl-5-{[(trifluoromethyl)sulfonyl]amino}isophthalamide,

N^1-[(1S,2R)-3-amino-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N^3,N^3-dipropyl-5-{[(trifluoromethyl)sulfonyl]amino}isophthalamide,

N^1-[(1S,2R)-3-amino-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-[(methylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(isopentylamino)propyl]-5-[(methylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide,

N^1-(tert-butyl)-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}isophthalamide,

N^1-(tert-butyl)-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylisophthalamide,

5-bromo-N^1-(tert-butyl)-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}isophthalamide,

3-tert-butoxy-N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}benzamide,

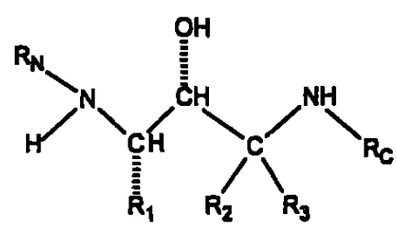
3-tert-butoxy-N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylbenzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-{[(trifluoromethyl)sulfonyl]amino}benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-(trifluoromethoxy)benzamide, and

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-methyl-5-(trifluoromethoxy)benzamide.

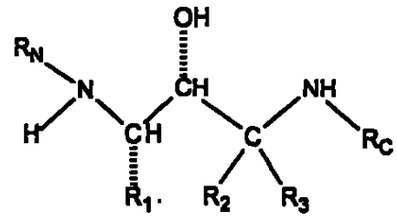
183 . A pharmaceutical composition which comprises a substituted amine of formula (X)



(X)

where R_1, R_2, R_3, R_N and R_C are as defined in claim 1, or a pharmaceutically acceptable salt thereof, and one or more pharmaceutically acceptable inert carriers.

184. Use of a substituted amine of formula (X)



(X)

where R_1, R_2, R_3, R_N and R_C are as defined in claim 1;
and pharmaceutically acceptable salts thereof for the manufacture of a medicament for use in treating a patient who has, or in preventing a patient from getting, a disease or condition selected from the group consisting of Alzheimer's disease, for helping prevent or delay the onset of Alzheimer's disease, for treating patients with mild cognitive impairment (MCI) and preventing or delaying the onset of Alzheimer's disease in those who would progress from MCI to AD, for treating Down's syndrome, for treating humans who have Hereditary Cerebral Hemorrhage with Amyloidosis of the Dutch-Type, for treating cerebral amyloid angiopathy and preventing its potential consequences, i.e. single and recurrent lobar hemorrhages, for treating other degenerative dementias, including dementias of mixed vascular and degenerative origin, dementia associated with Parkinson's disease, dementia associated with progressive supranuclear palsy, dementia associated with cortical basal degeneration, or diffuse Lewy body type of Alzheimer's disease.

185. Use of a substituted amine of formula (X) according to claim 184, wherein the disease is Alzheimer's disease.

186. Use of a substituted amine of formula (X) according to claim 184, wherein the method is helping prevent or delay the onset of Alzheimer's disease,

187. Use of a substituted amine of formula (X) according to claim 184, wherein the disease is mild cognitive impairment.

188. Use of a substituted amine of formula (X) according to claim 184, wherein the disease is Down's syndrome.

189. Use of a substituted amine of formula (X) according to claim 184, wherein the disease is Hereditary Cerebral Hemorrhage with Amyloidosis of the Dutch-Type.

190. Use of a substituted amine of formula (X) according to claim 184, wherein the disease is cerebral amyloid angiopathy.

191. Use of a substituted amine of formula (X) according to claim 184, wherein the disease is degenerative dementias.

192. Use of a substituted amine of formula (X) according to claim 184, wherein the disease is diffuse Lewy body type of Alzheimer's disease.

193. Use of a substituted amine of formula (X) according to claim 184, wherein the compound is a substituted amine of formula (X).

194. Use of a substituted amine of formula (X) according to claim 184, wherein the compound is a substituted amine with R_N cyclized of formula (X').

195. Use of a substituted amine of formula (X) according to claim 184, :

where R_1 is:

$-(CH_2)_{0-1}-(R_{1\text{-aryl}})$, or

$-(CH_2)_{n1}-(R_{1\text{-heteroaryl}})$

where R_N is:

$R_{N-1}-X_N-$ where X_N is selected from the group consisting of:

-CO-, and

$-SO_2-$,

where R_{N-1} is selected from the group consisting of:

$-R_{N\text{-aryl}}$, and

$-R_{N\text{-heteroaryl}}$, or

$-CO-CH(-(CH_2)_{0-2}-O-R_{N-10})-(CH_2)_{0-2}-R_{N\text{-aryl}}/R_{N\text{-heteroaryl}})$

where R_C is:

$-C_1-C_8$ alkyl,

$-(CH_2)_{0-3}-(C_3-C_7)$ cycloalkyl,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-aryl}$,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heteroaryl}$,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heterocycle}$, or

-cyclopentyl or -cyclohexyl ring fused to R_{C-aryl} or $R_{C-heteroaryl}$ or $R_{C-heterocycle}$.

196. Use of a substituted amine of formula (X) according to claim 184 where:

where R_1 is:

$-(CH_2)-(R_{1-aryl})$, or

$-(CH_2)-(R_{1-heteroaryl})$;

where R_2 is -H;

where R_3 is -H;

where R_N is:

$R_{N-1}-X_N-$ where X_N is selected from the group consisting of:

-CO-,

where R_{N-1} is selected from the group consisting of:

R_{N-aryl}, and

$-R_{N-heteroaryl}$,

where R_C is:

$-(CH_2)_{0-3}-(C_3-C_7)$ cycloalkyl,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-aryl}$,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heteroaryl}$,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heterocycle}$, or

-cyclopentyl or -cyclohexyl ring fused to a R_{C-aryl} or $R_{C-heteroaryl}$ or $R_{C-heterocycle}$.

197. Use of a substituted amine of formula (X) according to claim 195 where R_C is:

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-aryl}$,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heteroaryl}$, or

-cyclopentyl or -cyclohexyl ring fused to a R_{C-aryl} or $R_{C-heteroaryl}$ or $R_{C-heterocycle}$.

198. Use of a substituted amine of formula (X) according to claim 184 where R_1 is:

$-(CH_2)-(R_{1-aryl})$ where R_{1-aryl} is phenyl.

199. Use of a substituted amine of formula (X) according to claim 198 where R_1 is: $-(CH_2)-(R_{1\text{-aryl}})$ where $R_{1\text{-aryl}}$ is phenyl substituted with two -F.

200. Use of a substituted amine of formula (X) according to claim 199 where the -F substitution is 3,5-difluorobenzyl.

201. Use of a substituted amine of formula (X) according to claim 184 where R_2 is -H.

202. Use of a substituted amine of formula (X) according to claim 184 where R_3 is -H.

203. Use of a substituted amine of formula (X) according to claim 184 where R_N is $R_{N\text{-}1}\text{-}X_N\text{-}$ where X_N is -CO-, and where $R_{N\text{-}1}$ is $R_{N\text{-aryl}}$ where $R_{N\text{-aryl}}$ is phenyl substituted with one $-CO-NR_{N\text{-}2}R_{N\text{-}3}$ where the substitution on phenyl is 1,3-.

204. Use of a substituted amine of formula (X) according to claim 203 where $R_{N\text{-}2}$ and $R_{N\text{-}3}$ are the same and are C_3 alkyl.

205. Use of a substituted amine of formula (X) according to claim 184 where R_N is $R_{N\text{-}1}\text{-}X_N\text{-}$ where X_N is-CO-, where $R_{N\text{-}1}$ is $R_{N\text{-aryl}}$ where $R_{N\text{-aryl}}$ is phenyl substituted with one C_1 alkyl and with one $-CO-NR_{N\text{-}2}R_{N\text{-}3}$ where the substitution on the phenyl is 1,3,5-.

206. Use of a substituted amine of formula (X) according to claim 205 where $R_{N\text{-}2}$ and $R_{N\text{-}3}$ are the same and are C_3 alkyl.

207. Use of a substituted amine of formula (X) according to claim 184 where R_N is $R_{N\text{-}1}\text{-}X_N\text{-}$ where X_N is -CO-, where $R_{N\text{-}1}$ is $R_{N\text{-heteroaryl}}$ where $R_{N\text{-heteroaryl}}$ is substituted with one $-CO-NR_{N\text{-}2}R_{N\text{-}3}$.

208. Use of a substituted amine of formula (X) according to claim 207 where $R_{N\text{-}2}$ and $R_{N\text{-}3}$ are the same and are $-C_3$ alkyl.

209. Use of a substituted amine of formula (X) according to claim 184 where R_C is:
-$(CR_{C\text{-}x}R_{C\text{-}y})_{0\text{-}4}$-$R_{C\text{-}aryl}$ where $R_{C\text{-}aryl}$ is phenyl,
-$(CR_{C\text{-}x}R_{C\text{-}y})_{0\text{-}4}$-$R_{C\text{-}heteroaryl}$, or
-cyclopentyl or -cyclohexyl ring fused to a $R_{C\text{-}aryl}$ or $R_{C\text{-}heteroaryl}$ or $R_{C\text{-}heterocycle}$.

210. Use of a substituted amine of formula (X) according to claim 209 where R_C is:
-$(CR_{C\text{-}x}R_{C\text{-}y})_{0\text{-}4}$-$R_{C\text{-}aryl}$ where $R_{C\text{-}aryl}$ is phenyl.

211. Use of a substituted amine of formula (X) according to claim 210 where phenyl is substituted in the 3-position or 3,5-positions.

212. Use of a substituted amine of formula (X) according to claim 209 where R_C is:
-(CH_2)-$R_{C\text{-}heteroaryl}$.

213. Use of a substituted amine of formula (X) according to claim 209 where R_C is:
-(CH_2)-$R_{C\text{-}heterocycle}$.

214. Use of a substituted amine of formula (X) according to claim 209 where R_C is:
-cyclohexyl ring fused to a phenyl ring.

215. Use of a substituted amine of formula (X) according to claim 184 where the pharmaceutically acceptable salt is selected from the group consisting of salts of the following acids acetic, aspartic, benzenesulfonic, benzoic, bicarbonic, bisulfuric, bitartaric, butyric, calcium edetate, camsylic, carbonic, chlorobenzoic, citric, edetic, edisylic, estolic, esyl, esylic, formic, fumaric, gluceptic, gluconic, glutamic, glycollylarsanilic, hexamic, hexylresorcinoic, hydrabamic, hydrobromic, hydrochloric, hydroiodic, hydroxynaphthoic, isethionic, lactic, lactobionic, maleic, malic, malonic, mandelic, methanesulfonic, methylnitric, methylsulfuric, mucic, muconic, napsylic, nitric, oxalic, p-nitromethanesulfonic, pamoic, pantothenic, phosphoric, monohydrogen phosphoric, dihydrogen phosphoric, phthalic, polygalactouronic, propionic, salicylic, stearic, succinic, sulfamic, sulfanilic, sulfonic, sulfuric, tannic, tartaric, teoclic and toluenesulfonic.

216. Use of a substituted amine of formula (X) according to claim 184 where the substituted amine (X) is

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(2-furylmethyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-benzyl-3-(ethylamino)-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-benzyl-3-(benzylamino)-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-benzyl-2-hydroxy-3-(isopropylamino)propyl]-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-benzyl-2-hydroxy-3-(4-toluidino)propyl]-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(4-methoxyphenyl)ethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

ethyl {[(3S)-3-({3-[(dipropylamino)carbonyl]benzoyl}amino)-2-hydroxy-4-phenylbutyl]amino}(phenyl)acetate,

N^1-((1S)-1-benzyl-2-hydroxy-3-{[(1S)-2-hydroxy-1-(hydroxymethyl)-2-(4-nitrophenyl)ethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(2-chlorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(4-chlorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(2-hydroxyethoxy)ethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-benzyl-3-(2,3-dihydro-1H-inden-1-ylamino)-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-hydroxypropyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(tetrahydro-2-furanylmethyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(2,2-diethoxyethyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-benzyl-3-(butylamino)-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-benzyl-3-(cyclohexylamino)-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-pyridinylmethyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-3-[(2-aminobenzyl)amino]-1-benzy. 2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-pyridinylmethyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(1-pyrrolidinyl)ethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-hydroxy-2-phenylethyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3-butoxypropyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-isopropoxypropyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-benzyl-2-hydroxy-3-(isopentylamino)propyl]-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-phenylpropyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-methoxyethyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-phenoxyethyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-propoxyethyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3,3-dimethylbutyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(4-phenylbutyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S)-1-benzyl-2-hydroxy-3-[(4-nitrobenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3-chlorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[2-(4-chlorophenyl)ethyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(2-pyridinyl)ethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(4-pyridinylmethyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(1-methyl-2-pyrrolidinyl)ethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(2,3-dimethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(trifluoromethoxy)benzyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(2-chloro-6-phenoxybenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[4-(trifluoromethyl)benzyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(2,3-dichlorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3,5-dichlorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3,5-difluorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[4-(trifluoromethoxy)benzyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-({2-[4-(aminosulfonyl)phenyl]ethyl}amino)-1-benzyl-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(4-methoxybenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(4-methylbenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3,4,5-trimethoxybenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[3-(trifluoromethoxy)benzyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3,5-dimethoxybenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(2,4-dimethoxybenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[([1,1'-biphenyl]-3-ylmethyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3,4-dichlorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(2-fluorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[3-(trifluoromethyl)benzyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-methylbenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[(1R)-1-phenylethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[(1S)-1-phenylethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[3,5-bis(trifluoromethyl)benzyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(trifluoromethyl)benzyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[(1S)-1-(1-naphthyl)ethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[(1R)-1-(1-naphthyl)ethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(4-hydroxy-3-methioxybenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3,4-dihydroxybenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S)-1-benzyl-2-hydroxy-3-[(3-methoxypropyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[(1S)-2-hydroxy-1-methylethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[(1R)-2-hydroxy-1-methylethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-benzyl-2-hydroxy-3-(2-propynylamino)propyl]-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[2-(2-fluorophenyl)ethyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[2-(3-fluorophenyl)ethyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[2-(4-fluorophenyl)ethyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[2-(4-bromophenyl)ethyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S)-1-benzyl-2-hydroxy-3-{[2-(3-methoxyphenyl)ethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[2-(2,4-dichlorophenyl)ethyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[2-(3-chlorophenyl)ethyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S)-1-benzyl-3-{[2-(2,5-dimethoxyphenyl)ethyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(4-methylphenyl)ethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[(1R)-1-benzyl-2-hydroxyethyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[3-(4-morpholinyl)propyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-benzyl-2-hydroxy-3-(isobutylamino)propyl]-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(4-morpholinyl)ethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-hydroxybutyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(2-thienyl)ethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(4-hydroxybutyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[(1S)-2-hydroxy-1-phenylethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(2,4-dichlorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[(1R)-2-hydroxy-1-phenylethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(4-tert-butylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3- dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(1-phenylethyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[(1R,2S)-2-hydroxy-2,3-dihydro-1H-inden-1-yl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3,4-dimethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3- dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[2-(isobutylamino)-1-methyl-2-oxoethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S)-2-(isobutylamino)-1-methyl-2-oxoethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^3-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S)-2-(isobutylamino)-1-methyl-2-oxoethyl]amino}propyl)-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[2-(isobutylamino)-1,1-dimethyl-2-oxoethyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[2-(isobutylamino)-2-oxoethyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({(1S)-1-[(isobutylamino)carbonyl]propyl}amino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({(1R)-1-[(isobutylamino)carbonyl]propyl}amino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-3-(ethylamino)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(isobutylamino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(isobutylamino)-2-methyl-3-oxopropyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[4-(dimethylamino)benzyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[(1S)-1-benzyl-2-(isobutylamino)-2-oxoethyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({(1S)-1-[(isobutylamino)carbonyl]-2-methylpropyl}amino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[2-(dimethylamino)ethyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-pyridinylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[(1S)-1-[(benzyloxy)methyl]-2-(isobutylamino)-2-oxoethyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({(1R)-1-[(isobutylamino)carbonyl]-2-methylpropyl}amino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({(1S)-1-[(isobutylamino)carbonyl]butyl}amino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S)-1-(hydroxymethyl)-2-(isobutylamino)-2-oxoethyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-phenylethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[(1S)-2-(benzylamino)-1-methyl-2-oxoethyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S)-1-phenylpropyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(1S)-2-(ethylamino)-1-methyl-2-oxoethyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S)-2-(isobutylamino)-2-oxo-1-phenylethyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(isopentylamino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(cyclohexylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(butylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxypropyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-hydroxy-2-phenylethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(3R,5S)-3,5-dimethoxycyclohexyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

dimethyl (1R,3S)-5-{[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}-1,3-cyclohexanedicarboxylate,

(1R,3S)-5-{[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}-1,3-cyclohexanedicarboxylic acid,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1R)-1-phenylpropyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-[(3-chlorobenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[(2-propylpentyl)sulfonyl]benzamide,

N^1-[(1S,2R)-3-[([1,1'-biphenyl]-3-ylmethyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methylbenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-phenylpropyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1,3-thiazol-5-ylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-thienylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(5-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-pyrazinylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3,5-difluorobenzyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-3-[(1,3-benzodioxol-5-ylmethyl)amino]-1-benzyl-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3,5-dimethoxybenzyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(trifluoromethyl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(7-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(trifluoromethoxy)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-fluorobenzyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-[(3-bromobenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(5-methyl-2-furyl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(5-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(5-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(1,2,3,4-tetrahydro-1-naphthalenylamino)propyl]-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methoxy-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-chloro-N^3,N^3-dipropylisophthalamide,

N^3-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-fluoro-N^3,N^3-dipropylisophthalamide,

N^2-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N^5,N^5-dipropyl-2,5-thiophenedicarboxamide,

N^4-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N^2,N^2-dipropyl-2,4-pyridinedicarboxamide,

N^4-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N^6,N^6dipropyl-4,6- pyrimidinedicarboxamide,

N-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-3-(4-morpholinylcarbonyl)benzamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methylbenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^5,N^5-dipropylpentanediamide,

N^1-[(1S,2R)-3-{[(1R)-1-[(benzyloxy)methyl]-2-(isobutylamino)-2-oxoethyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1R)-1-(hydroxymethyl)-2-(isobutylamino)-2-oxoethyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-benzyl-2-hydroxy-3-(pentylamino)propyl]-N^3,N^3-dipropylisophthalamide,

N^1-[(1S)-3-({2-[4-(aminosulfonyl)phenyl]ethyl}amino)-1-benzyl-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide,

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1,3-thiazol-5-ylmethyl)amino]propyl}-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

3-benzoyl-N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}[1,1'-biphenyl]-3-carboxamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N^3-(2-methoxyethyl)-N^3-propylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-ethoxybenzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-naphthamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1R)-1,2,3,4-tetrahydro-1-naphthalenylamino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1R)-3-{[3,5-bis(trifluoromethyl)benzyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[2-fluoro-5-(trifluoromethyl)benzyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(2,3-difluorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[3-fluoro-4-(trifluoromethyl)benzyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(2,5-difluorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[3-fluoro-5-(trifluoromethyl)benzyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3,4-difluorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[4-fluoro-3-(trifluoromethyl)benzyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[2-chloro-5-(trifluoromethyl)benzyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[4-chloro-3-(trifluoromethyl)benzyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-benzyl-3-(2,3-dihydro-1H-inden-2-ylamino)-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide,

N^1-{(1S)-1-benzyl-2-hydroxy-3-[(3-nitrobenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[3-(difluoromethoxy)benzyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3-ethoxybenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[(5-methyl-2-pyrazinyl)methyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3-bromo-4-fluorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3,5-dimethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethoxybenzyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-phenoxyethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isobutoxybenzyl)amino]propyl} -5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(4-methyl-1,3-thiazol-2-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N^3-methyl-N^3-propylisophthalamide,

N^2-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N^5,N^5-dipropyl-2,5-furandicarboxamide,

N^3-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(trifluoromethyl)benzyl]amino}propyl)-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl}-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-[(1S,2R)-3-amino-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(1,2-diphenylethyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(7-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide, isomer A,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(7-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide, isomer B,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-(dimethylamino)benzamide,

N-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-2-methyl-1H-benzimidazole-5-carboxamide,

3-(aminosulfonyl)-N-{(1S)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-chlorobenzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-cyanobenzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-chloro-3-nitrobenzamide,

methyl 3-[({(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}amino)carbonyl]-5-nitrobenzoate,

tert-butyl 3-[({(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}amino)carbonyl]phenylcarbamate,

N-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-9,10-dioxo-9,10-dihydro-2-anthrancenylcarboxamide,

N-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-1H-1,2,3-benzotriazole-6-carboxamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-(3-methyl-5-oxo-4,5-dihydro-1H-pyrazol-1-yl)benzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-1H-indole-5-carboxamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-fluoro-5-(trifluoromethyl)benzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-(trifluoromethyl)benzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-(butylamino)benzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-(trifluoromethoxy)benzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3,5-dimethoxybenzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3,5-dimethylbenzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3,5-difluorobenzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3,5-dichlorobenzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-(benzyloxy)benzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-1,3-benzodioxole-5-carboxamide,

3-(acetylamino)-N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}benzamide,

4-(acetylamino)-N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}benzamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(3,5-dimethyl-4-isoxazolyl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-phenylpropyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-furylmethyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(tetrahydro-3-furanylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-propoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-pyridinylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-hydroxy-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[1-methyl-1-(3-methylphenyl)ethyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1S)-1,2,3,4-tetrahydro-1-naphthalenylamino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(2,5-dimethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[2-chloro-5-(trifluoromethyl)benzyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-hydroxy-5-methylbenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S,2R)-2-hydroxy-2,3-dihydro-1H-inden-1-yl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(1R)-2,3-dihydro-1H-inden-1-ylamino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

5-chloro-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-[(1-benzofuran-2-ylmethyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[(1R)-1-(3-bromophenyl)ethyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(4-fluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[butyl(butyryl)amino]-5-methylbenzamide,

N^1-{1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-methyl-N^3,N^3-dipropylisophthalamide,

N^3-{1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-methyl-N^1,N^1-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-methyl-N^3,N^3-dipropylisophthalamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-1-butyl-1H-indole-6-carboxamide,

N^1-[(1S,2R)-3-anilino-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

5-bromo-N^1-[(1S,2R)-3-[(3-bromobenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-methylpentanamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-3-methylpentanamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-hydroxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-cyano-N^3,N^3-dipropylisophthalamide hydrochloride,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

1- N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-oxo-5-(1-piperidinyl)pentanamide trifluroacetate,

5-(aminosulfonyl)-N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-5-(1-pyrrolidinylsulfonyl)isophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(methylamino)sulfonyl]-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(dimethylamino)sulfonyl]-N^3,N^3-dipropylisophthalamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-methyl-3-(methylsulfonyl)propanamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-(methylsulfonyl)propanamide,

2-amino-N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-1,3-thiazole-4-carboxamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(methylsulfonyl)pentanamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^4-phenylsuccinamide,

(3R)-N^4-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2,2,3-trimethylbutanediamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[(dipropylamino)sulfonyl]propanamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^5,N^5-dipropylpentanediamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-oxo-4-(1-piperidinyl)butanamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^4,N^4-dipropylsuccinamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-oxo-5-(1-piperidinyl)pentanamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^5-phenylpentanediamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3,3-dimethyl-4-oxo-4-(1-piperidinyl)butanamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-(isopentylsulfonyl)butanamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2,2-dimethyl-N^4,N^4-dipropylsuccinamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-[(dipropylamino)sulfonyl]butanamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-[(methylanilino)sulfonyl]butanamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[(methylanilino)sulfonyl]propanamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}acetamide, N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-(isopentylsulfonyl)propanamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-oxo-5-(1-piperidinyl)pentanamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-oxo-5-(1-piperidinyl)pentanamide and

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-3-[(dipropylamino)sulfonyl]propanamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-ethyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-isobutyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-tert-butyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-cyano-N^3-propylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dimethyl-N^5,N^5-dipropyl-1,3,5-benzenetricarboxamide,

N^1-[(1S,2R)-3-amino-1-benzyl-2-hydroxypropyl]-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-[(1S,2R)-1-benzyl-2-hydroxy-3-(isopentylamino)propyl]-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3-propyl-1,3,5-benzenetricarboxamide,

N-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[butyryl(propyl)amino]-5-methylbenzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-1-propyl-1H-indole-6-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-1-propyl-1H-indole-6-carboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3,4-dimethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-[(3-aminobenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}octanamide,

N^3-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({1-methyl-1-[3-(trifluoromethyl)phenyl]ethyl}amino)propyl]-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({1-methyl-1-[3-(trifluoromethyl)phenyl]ethyl}amino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1R,2S)-2-hydroxy-2,3-dihydro-1H-inden-1-yl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(1R)-2,3-dihydro-1H-inden-1-ylamino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-3-methylbenzamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(1H-isoindol-3-ylamino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1R,2S,5R)-2-isopropyl-5-methylcyclohexyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1,N^1-diallyl-5-chloro-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl}isophthalamide,

N^1,N^1-diallyl-5-chloro-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl}isophthalamide,

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-phenylcyclopentyl)amino]propyl}-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[3-(dimethylamino)benzyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(4,5-dimethyl-2-furyl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-phenylcyclopentyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(cyclopropylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-[(cyclopropylmethyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-N^5,N^5-dipropylpentanediamide,

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(2-furylmethyl)amino]-2-hydroxypropyl}-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(tetrahydro-2-furanylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-phenylcyclopropyl)amino]propyl}-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-oxo-3-azepanyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(3-methyl-2-furyl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2S)-tetrahydro-2-furanylmethyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

5-chloro-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl}-N^3,N^3-di(2-propynyl)isophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropenylbenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-propoxyethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-3-(hexylamino)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-(3-methyl-5-oxo-4,5-dihydro-1H-pyrazol-1-yl)benzamide,

methyl 4-({[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}methyl)benzoate,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-methoxyethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(5-isoxazolylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

(1R,2R)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-N^2,N^2-dipropyl-1,2-cyclopropanedicarboxamide,

N^3-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2S)-tetrahydro-2-furanylmethyl]amino}propyl)-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropylbenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

4-(butyrylamino)-N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}benzamide,

N^1-[(1S,2R)-3-[(3-amino-3-oxopropyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^3-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide 1-oxide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-ethynyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(7-oxabicyclo[2.2.1]hept-2-ylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethynylbenzyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2-methyl-1,3-thiazol-5-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(2-ethyl-1,3-thiazol-5-yl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(3R)-2-oxoazepanyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(cyclobutylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(butylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-ethynyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-ethynyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-3-(5-hexynylamino)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^3-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(5-methyl-2-furyl)methyl]amino}propyl)-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl}-N^5,N^5-dipropylpentanediamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[1-(2-furyl)-1-methylethyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(3-isobutyl-5-isoxazolyl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2-isobutyl-1,3-thiazol-5-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(dipropylamino)sulfonyl]propanamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-phenylethyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[2-(2-chlorophenyl)ethyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[3-(2-oxo-1-pyrrolidinyl)propyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(cyclohexylmethyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-benzyl-3-(cyclopropylamino)-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-oxo-3-azepanyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-3-(butylsulfonyl)benzamide,

N^1-[(1S,2R)-1-benzyl-3-({2-[(2-ethylhexyl)oxy]ethyl}amino)-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[(1S,2R)-2-hydroxy-2,3-dihydro-1H-inden-1-yl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[1-(4-hydroxyphenyl)ethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-benzyl-3-(cycloheptylamino)-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[([1,1'-biphenyl]-2-ylmethyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(2-fluorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-(dimethylamino)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-1-naphthamide,

N^1-[(1S,2R)-1-benzyl-3-({2-[({5-[(dimethylamino)methyl]-2-furyl}methyl)sulfanyl]ethyl}amino)-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-benzyl-3-({2-[(2-chloro-6-fluorobenzyl)sulfanyl]ethyl}amino)-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-[([1,1'-biphenyl]-4-ylmethyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(1-naphthylamino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1H-imidazol-5-ylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2-phenyl-1H-imidazol-5-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1-methyl-1H-imidazol-2-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[(2-butyl-4-chloro-1H-imidazol-5-yl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[(6-chloroimidazo[2,1-b][1,3]thiazol-5-yl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1-methyl-1H-benzimidazol-2-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2-hydroxy-1-naphthyl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(4-oxo-4H-chromen-3-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(1,5-dimethyl-3-oxo-2-phenyl-2,3-dihydro-1H-pyrazol-4-yl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-({[5-cyano-6-(methylsulfanyl)-2-pyridinyl]methyl}amino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

[5-({[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}methyl)-2-furyl]methyl acetate,

N^1-[(1S,2R)-3-[(1-benzofuran-3-ylmethyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

methyl 4-({[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}methyl)-1-methyl-1H-pyrrole-2-carboxylate,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({[1-(phenylsulfonyl)-1H-pyrrol-2-yl]methyl}amino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1-methyl-1H-pyrrol-2-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[(4-chloro-1-methyl-1H-pyrazol-3-yl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(3,5-dimethyl-1-phenyl-1H-pyrazol-4-yl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[(5-chloro-3-methyl-1-phenyl-1H-pyrazol-4-yl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(3-phenyl-1H-pyrazol-4-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[(5-chloro-2-thienyl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(3-phenoxy-2-thienyl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-quinolinylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-quinolinylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1-methyl-1H-indol-2-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[(1-benzyl-1H-indol-3-yl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1-methyl-1H-indol-3-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[({1-[(4-methylphenyl)sulfonyl]-1H-indol-3-yl}methyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[(2-butyl-1H-imidazol-5-yl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

methyl 3-({[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}methyl)-1H-indole-6-carboxylate,

3-[({(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-amino)carbonyl]-5-[butyl(butyryl)amino]benzyl diethyl phosphate,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(cyanomethyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(hydroxymethyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-ethynyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-N^3,N^3-dipropyl-5-prop-1-ynylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[3-(trifluoromethyl)benzyl]amino}propyl)-5-ethynyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-ethynyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3-fluorobenzyl)amino]-2-hydroxypropyl}-5-ethynyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-5-(8-quinolinyl)isophthalamide,

N^3-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4'-methoxy-N^5,N^5-dipropyl[1,1'-biphenyl]-3,5-dicarboxamide,

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^5,N^5-dipropyl[1,1'-biphenyl]-3,5-dicarboxamide,

N^3-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^5,N^5-dipropyl[1,1'-biphenyl]-3,5-dicarboxamide,

N^3-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4'-[(dimethylamino)sulfonyl]-N^5,N^5-dipropyl-1,1'-biphenyl-3,5-dicarboxamide,

N^3-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4'-[(dimethylamino)sulfonyl]-N^5,N^5-dipropyl-1,1'-biphenyl-3,5-dicarboxamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-5-(3-thienyl)isophthalamide,

N-{(1R,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-methyl-5-pentanoylbenzamide,

N^1-(4-hydroxybutyl)-N^3-{(1S)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^1-propylisophthalamide,

N^1-{(1S,2R)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}-N^3-(3-hydroxypropyl)-5-methyl-N^3-propylisophthalamide,

N^1-{(1S,2R)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[3-(2,4-dimethylphenyl)propyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[3-(4-methylphenyl)propyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-1,3-dioxo-2-propyl-5-isoindolinecarboxamide,

N-{(1R,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-bromo-5-methylbenzamide,

3-bromo-N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methylbenzamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-methyl- N^3,N^3-dipropylisophthalamide,

N^3-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-methyl-N^1,N^1-dipropylisophthalamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-(2-furyl)-5-methylbenzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3',5,5'-trimethyl-1,1'-biphenyl-3-carboxamide,

3'-Acetyl-N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl[1,1'-biphenyl]-3-carboxamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3'-methoxy-5-methyl[1,1'-biphenyl]-3-carboxamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl[1,1'-biphenyl]-3-carboxamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-methyl-5-(2-thienyl)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl) amino]propyl}-3-methyl-5-(3-thienyl)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino] propyl}-3-methyl-5-(3-thienyl)benzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-methyl-3-(3-thienyl)benzamide,

N^1-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3,N^5,N^5-tetrapropylbenzene-1,3,5-tricarboxamide,

N^1-{(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylbenzene-1,3,5-tricarboxamide,

Ethyl 3-[({(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}amino)carbonyl]-5-[(dipropylamino)carbonyl]benzoate,

N^1-{(1S,2R)-2-Hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropylbenzene-1,3,5-tricarboxamide,

N^1-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-5-{[(trifluoromethyl)sulfonyl]amino}isophthalamide,

5-Amino-N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-5-[(trifluoroacetyl)amino]isophthalamide,

N^1-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(methylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-5-[(thien-2-ylsulfonyl)amino]isophthalamide,

N^1-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-5-[(thien-2-ylcarbonyl)amino]isophthalamide,

N^1-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(methacryloylamino)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(2,2-dimethylpropanoyl)amino]-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(phenylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide.

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(methylthio)pentanamide,

tert-butyl (2R,3S)-3-({3-[(dipropylamino)sulfonyl]- propanoyl}amino)-2-hydroxy-4-phenylbutyl(3-methoxybenzyl)carbamate

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-methyl-5-[propionyl(propyl)amino]benzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-1-butyl-1H-indole-5-carboxamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-bromo-5-methylbenzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[butyl(propionyl)amino]-5-methylbenzamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-methyl-1-propyl-1H-indole-6-carboxamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-1-(1-propylbutyl)-1H-indole-6-carboxamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[(2-oxo-2,3-dihydro-1,3-benzoxazol-6-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropyl-5-{[(trifluoromethyl)sulfonyl]amino}isophthalamide,

3-[({(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}amino)carbonyl]-5-[(dipropylamino)carbonyl]benzoic acid,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropyl-5-prop-1-ynylisophthalamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-(dipropylamino)isonicotinamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-hydroxy-2-(4-methylphenyl)acetamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-hydroxy-N3-methylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-hydroxy-2-(4-methoxy-3-nitrophenyl)acetamide,

5-(aminosulfonyl)-N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-methoxybenzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-hydroxy-3-(pyrrolidin-1-ylcarbonyl)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(3,5-dimethylisoxazol-4-yl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-5-(1,3-thiazol-2-yl)isophthalamide,

3-(cyclohexylcarbonyl)-N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methylbenzamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3-propylisophthalamide,

3-[cyclohexyl(hydroxy)methyl]-N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methylbenzamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-(4-methyl-1,3-oxazol-2-yl)-N^3,N^3-dipropylisophthalamide

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^5,N^5-dipropylpyridine-3,5-dicarboxamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(3-isobutyl-1,2,4-oxadiazol -5-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethynylbenzyl)amino]-2-hydroxypropy l}-N^5,N^5-dipropylpyridine-3,5-dicarboxamide,

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropylbenzyl)amino]propyl}-N^5,N^5-dipropylpyridine-3,5-dicarboxamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(4-hydroxybut-1-ynyl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

1-{3-[({(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropy l}amino)carbonyl]-5-methylbenzoyl}-L-prolinamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3-isopropyl-5-methylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3-ethyl-N^3,5-dimethylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3,5-dimethyl-N^3-prop-2-ynylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3-isobutyl-5-methylisophthalamide,

N^1-(sec-butyl)-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylisophthalamide,

N^1-butyl-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl} -N^3,N^3-diethyl-5-methylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl} -N^3,5-dimethyl-N^3-propylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl} -N^3-isopropyl-N^3,5-dimethylisophthalamide,

N^1-butyl-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^1,5-dimethylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl} -N^3-isobutyl-N^3,5-dimethylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl} -N^3-ethyl-5-methyl-N^3-propylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl} -N^3-ethyl-N^3-isopropyl-5-methylisophthalamide,

N^1,N^1-diallyl-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylisophthalamide,

3-(azepan-1-ylcarbonyl)-N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)am ino]-2-hydroxypropyl}-5-methylbenzamide

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3- [(4-hydroxypiperidin-1-yl)carbonyl]-5-methylbenzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3- [(3-hydroxypiperidin-1-yl)carbonyl]-5-methylbenzamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl} -N^3,N^3-diisopropyl-5-methylisophthalamide,

N^1-butyl-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^1-ethyl-5-methylisophthalamide,

N^1-(cyclopropylmethyl)-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-N^1-propylisophthalamide,

1-{3-[({(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropy l}amino)carbonyl]-5-methylbenzoyl}-D-prolinamide,

N^1-cyclohexyl-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]- 2-hydroxypropyl}-N^1,5-dimethylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[1-(3-methylphenyl)cycloprop yl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^3-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(1,2,3,4-tetrahydronaphthalen-1-ylamino)propyl]-N^5,N^5-diisopropylpyridine-3,5-dicarboxamide, and

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-{[(trifluoromethyl)sulfonyl]amino}benzamide.

217. Use of a a substituted amine of formula (X) according to claim 216 where the substituted amine (X) is selected from the group consisting of:

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(2-furylmethyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(2-hydroxyethoxy)ethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-3-[(2-aminobenzyl)amino]-1-benzyl-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(trifluoromethoxy)benzyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3,5-dichlorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[3-(trifluoromethoxy)benzyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3,5-dimethoxybenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[([1,1'-biphenyl]-3-ylmethyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3,4-dichlorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[3-(trifluoromethyl)benzyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S)-1-benzyl-2-hydroxy-3-[(3-methoxypropyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3,4-dimethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[2-(isobutylamino)-1-methyl-2-oxoethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S)-2-(isobutylamino)-1-methyl-2-oxoethyl]amino}propyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S)-2-(isobutylamino)-1-methyl-2-oxoethyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^3-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S)-2-(isobutylamino)-1-methyl-2-oxoethyl]amino}propyl)-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[2-(isobutylamino)-1,1-dimethyl-2-oxoethyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[2-(isobutylamino)-2-oxoethyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({(1S)-1-[(isobutylamino)carbonyl]propyl}amino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({(1R)-1-[(isobutylamino)carbonyl]propyl}amino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(isobutylamino)-2-methyl-3-oxopropyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[(1S)-1-benzyl-2-(isobutylamino)-2-oxoethyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({(1S)-1-[(isobutylamino)carbonyl]-2-methylpropyl}amino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-pyridinylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[(1S)-1-[(benzyloxy)methyl]-2-(isobutylamino)-2-oxoethyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({(1S)-1-[(isobutylamino)carbonyl]butyl}amino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S)-1-(hydroxymethyl)-2-(isobutylamino)-2-oxoethyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-phenylethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(isopentylamino)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(cyclohexylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(butylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxypropyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

(1R,3S)-5-{[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}-1,3-cyclohexanedicarboxylic acid,

N^1-[(1S,2R)-3-[([1,1'-biphenyl]-3-ylmethyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methylbenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-phenylpropyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1,3-thiazol-5-ylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-thienylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(5-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-pyrazinylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3,5-dimethoxybenzyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(trifluoromethyl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(7-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(trifluoromethoxy)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-fluorobenzyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-[(3-bromobenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(5-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methoxy-N^3,N^3-dipropylisophthalamide

N^1-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-chloro-N^3,N^3-dipropylisophthalamide,

N^3-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-fluoro-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methylbenzyl)amino]propyl}-N3,N3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^5,N^5-dipropylpentanediamide,

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1,3-thiazol-5-ylmethyl)amino]propyl}-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}[1,1'-biphenyl]-3-carboxamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N^3-(2-methoxyethyl)-N^3-propylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1R)-1,2,3,4-tetrahydro-1-naphthalenylamino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1R)-3-{[3,5-bis(trifluoromethyl)benzyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[2-fluoro-5-(trifluoromethyl)benzyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[3-fluoro-5-(trifluoromethyl)benzyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[4-fluoro-3-(trifluoromethyl)benzyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[4-chloro-3-(trifluoromethyl)benzyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S)-1-benzyl-2-hydroxy-3-[(3-nitrobenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-3-{[3-(difluoromethoxy)benzyl]amino}-2-hydroxypropyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3-ethoxybenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3-bromo-4-fluorobenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3,5-dimethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethoxybenzyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-phenoxyethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(4-methyl-1,3-thiazol-2-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N^3-methyl-N^3-propylisophthalamide,

N^3-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(trifluoromethyl)benzyl]amino}propyl)-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl}-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(7-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide, isomer B,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-furylmethyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(tetrahydro-3-furanylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-propoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-pyridinylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-hydroxy-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[1-methyl-1-(3-methylphenyl)ethyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1S)-1,2,3,4-tetrahydro-1-naphthalenylamino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(2,5-dimethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[2-chloro-5-(trifluoromethyl)benzyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-hydroxy-5-methylbenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

5-chloro-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[(1R)-1-(3-bromophenyl)ethyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-hydroxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-cyano-N^3,N^3-dipropylisophthalamide hydrochloride,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

5-(aminosulfonyl)-N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-5-(1-pyrrolidinylsulfonyl)isophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(methylamino)sulfonyl]-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(dimethylamino)sulfonyl]-N^3,N^3-dipropylisophthalamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[(dipropylamino)sulfonyl]propanamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-oxo-5-(1-piperidinyl)pentanamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-3-[(dipropylamino)sulfonyl]propanamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-ethyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-tert-butyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-cyano-N^3-propylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-1-propyl-1H-indole-6-carboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3,4-dimethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-[(3-aminobenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^3-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({1-methyl-1-[3-(trifluoromethyl)phenyl]ethyl}amino)propyl]-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1R,2S)-2-hydroxy-2,3-dihydro-1H-inden-1-yl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(1R)-2,3-dihydro-1H-inden-1-ylamino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

5-chloro-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl}-N^3,N^3-bis(2-methoxyethyl)isophthalamide,

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-phenylcyclopentyl)amino]propyl}-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[3-(dimethylamino)benzyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(4,5-dimethyl-2-furyl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-phenylcyclopentyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-N^5,N^5-dipropylpentanediamide,

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-phenylcyclopropyl)amino]propyl}-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2S)-tetrahydro-2-furanylmethyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropenylbenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-propoxyethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-3-(hexylamino)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-(3-methyl-5-oxo-4,5-dihydro-1H-pyrazol-1-yl)benzamide,

methyl 4-({[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}methyl)benzoate,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-methoxyethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(5-isoxazolylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

(1R,2R)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-N^2,N^2-dipropyl-1,2-cyclopropanedicarboxamide,

N^3-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2S)-tetrahydro-2-furanylmethyl]amino}propyl)-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropylbenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^3-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide 1-oxide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-ethynyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(7-oxabicyclo[2.2.1]hept-2-ylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethynylbenzyl)amino]-2-hydroxypropyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2-methyl-1,3-thiazol-5-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(2-ethyl-1,3-thiazol-5-yl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(butylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-ethynyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-ethynyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-3-(5-hexynylamino)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^3-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(5-methyl-2-furyl)methyl]amino}propyl)-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl}-N^5,N^5-dipropylpentanediamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[1-(2-furyl)-1-methylethyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(3-isobutyl-5-isoxazolyl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2-isobutyl-1,3-thiazol-5-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(dipropylamino)sulfonyl]propanamide,

N^1-[(1S,2R)-3-[([1,1'-biphenyl]-4-ylmethyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1H-imidazol-5-ylmethyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2-phenyl-1H-imidazol-5-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[(2-butyl-4-chloro-1H-imidazol-5-yl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-({[5-cyano-6-(methylsulfanyl)-2-pyridinyl]methyl}amino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

[5-({[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}methyl)-2-furyl]methyl acetate,

N^1-[(1S,2R)-3-[(1-benzofuran-3-ylmethyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

methyl 4-({[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}methyl)-1-methyl-1H-pyrrole-2-carboxylate,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1-methyl-1H-pyrrol-2-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[(5-chloro-2-thienyl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1-methyl-1H-indol-2-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[(1-benzyl-1H-indol-3-yl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1-methyl-1H-indol-3-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[(2-butyl-1H-imidazol-5-yl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

methyl 3-({[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}methyl)-1H-indole-6-carboxylate,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(cyanomethyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(hydroxymethyl)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-ethynyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-N^3,N^3-dipropyl-5-prop-1-ynylisophthalamide,

N^3-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4'-methoxy-N^5,N^5-dipropyl[1,1'-biphenyl]-3,5-dicarboxamide hydrochloride,

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^5,N^5-dipropyl[1,1'-biphenyl]-3,5-dicarboxamide,

N^3-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^5,N^5-dipropyl[1,1'-biphenyl]-3,5-dicarboxamide,

N^3-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4'-[(dimethylamino)sulfonyl]-N^5,N^5-dipropyl-1,1'-biphenyl-3,5-dicarboxamide,

N^3-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4'-[(dimethylamino)sulfonyl]-N^5,N^5-dipropyl-1,1'-biphenyl-3,5-dicarboxamide,

N-{(1R,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-methyl-5-pentanoylbenzamide,

N^1-{(1S,2R)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}-N^3-(3-hydroxypropyl)-5-methyl-N^3-propylisophthalamide,

N^1-{(1S,2R)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-methyl- N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3,N^5,N^5-tetrapropylbenzene-1,3,5-tricarboxamide,

N^1-{(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylbenzene-1,3,5-tricarboxamide,

ethyl 3-[({(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}amino)carbonyl]-5-[(dipropylamino)carbonyl]benzoate;

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-5-{[(trifluoromethyl)sulfonyl]amino}isophthalamide,

5-amino-N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(methylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-5-[(thien-2-ylsulfonyl)amino]isophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-5-[(thien-2-ylcarbonyl)amino]isophthalamide,

N^1-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(methacryloylamino)-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(phenylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(methylthio)pentanamide,

3-amino-N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-methylbutanamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-ethylhexanamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-3-[(isobutylsulfonyl)amino]propanamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-N^3-(isobutylsulfonyl)-beta-alaninamide,

5-bromo-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-N^3,N^3-dipropylisophthalamide, and

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-phenylcyclopropyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-benzyl-2-hydroxy-3-{[(2-oxo-2,3-dihydro-1,3-benzoxazol-6-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropyl-5-{[(trifluoromethyl)sulfonyl]amino}isophthalamide,

3-[({(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}amino)carbonyl]-5-[(dipropylamino)carbonyl]benzoic acid,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropyl-5-prop-1-ynylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-hydroxy-3-(pyrrolidin-1-ylcarbonyl)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-5-(1,3-thiazol-2-yl)isophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3-propylisophthalamide,

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^5,N^5-dipropylpyridine-3,5-dicarboxamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(3-isobutyl-1,2,4-oxadiazol -5-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethynylbenzyl)amino]-2-hydroxypropy l}-N^5,N^5-dipropylpyridine-3,5-dicarboxamide,

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropylbenzyl)amino]propyl}-N^5,N^5-dipropylpyridine-3,5-dicarboxamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(4-hydroxybut-1-ynyl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

1-{3-[({(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropy l}amino)carbonyl]-5-methylbenzoyl}-L-prolinamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3-isopropyl-5-methylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3-ethyl-N^3,5-dimethylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3,5-dimethyl-N^3-prop-2-ynylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3-isobutyl-5-methylisophthalamide,

N^1-(sec-butyl)-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylisophthalamide,

N^1-butyl-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl} -N^3,N^3-diethyl-5-methylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl} -N^3,5-dimethyl-N^3-propylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl} -N^3-isopropyl-N^3,5-dimethylisophthalamide,

N^1-butyl-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^1,5-dimethylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl} -N^3-isobutyl-N^3,5-dimethylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl} -N^3-ethyl-5-methyl-N^3-propylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl} -N^3-ethyl-N^3-isopropyl-5-methylisophthalamide,

N^1,N^1-diallyl-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylisophthalamide,

3-(azepan-1-ylcarbonyl)-N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylbenzamide

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3- [(4-hydroxypiperidin-1-yl)carbonyl]-5-methylbenzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3- [(3-hydroxypiperidin-1-yl)carbonyl]-5-methylbenzamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl} -N^3,N^3-diisopropyl-5-methylisophthalamide,

N^1-butyl-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^1-ethyl-5-methylisophthalamide,

N^1-(cyclopropylmethyl)-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-N^1-propylisophthalamide,

N^1-cyclohexyl-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]- 2-hydroxypropyl}-N^1,5-dimethylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[1-(3-methylphenyl)cycloprop yl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide, and

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-{[(trifluoromethyl)sulfonyl]amino}benzamide.

218. Use of a a substituted amine of formula (X) according to claim 217 where the substituted amine (X) is selected from the group consisting of:

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-methyl-5-(2-propylpentanoyl)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-(2-ethylpentanoyl)-5-methylbenzamide,

N-{(1S,2R)-1-benzyl-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-methyl-5-(2-propylpentanoyl)benzamide,

N-{(1S,2R)-1-benzyl-3-[(3-ethynylbenzyl)amino]-2-hydroxypropyl}-3-methyl-5-(2-propylpentanoyl)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-(2-ethylbutanoyl)-5-methylbenzamide,

N^1-{(1S,2R)-1-benzyl-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-(2-propylpentanoyl)isophthalamide,

N-{(1S,2R)-1-benzyl-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-(2-ethylpentanoyl)-5-methylbenzamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-(2-propylpentanoyl)isophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(2-propylpentanoyl)isophthalamide,

N-[(1S,2R)-3-[(3-ethylbenzyl)amino]-2-hydroxy-1-(4-hydroxybenzyl)propyl]-3-methyl-5-(2-propylpentanoyl)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-methyl-5-(2-propylpentanoyl)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-methyl-5-(2-propylpentanoyl)benzamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(3-pyridinyl)benzyl]amino}propyl)-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(4-pyridinyl)benzyl]amino}propyl)-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-5-(1-propynyl)isophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- N^3,N^3-dipropyl-5-(1-propynyl)isophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- N^3,N^3-dipropyl-5-(2-propynyl)isophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-5-(2-propynyl)isophthalamide,

N^1-{(1S,2R)-1-(cyclohexylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(benzylamino)-2-hydroxy-1-(3-thienylmethyl)propyl]-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-thienylmethyl)propyl]-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-{(1S)-1-[(1R)-2-(benzylamino)-1-hydroxyethyl]-3-butynyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(3-thienylmethyl)propyl]-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(benzylamino)-2-hydroxy-1-(2-thienylmethyl)propyl]-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-3-(benzylamino)-1-[4-(benzyloxy)benzyl]-2-hydroxypropyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-(2-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(cyclohexylmethyl)-2-hydroxypropyl]-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(benzylamino)-2-hydroxy-1-(1-naphthylmethyl)propyl]-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

2,3,5-trideoxy-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-5-[(3-methoxybenzyl)amino]-1-S-phenyl-1-thio-D-erythro-pentitol,

N^1-[(1S,2R)-3-(benzylamino)-1-(3-furylmethyl)-2-hydroxypropyl]-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-((1S)-1-{(1R)-1-hydroxy-2-[(3-methoxybenzyl)amino]ethyl}-3-methylbutyl)-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(4-fluorobenzyl)-2-hydroxypropyl]- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-(4-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(2-furylmethyl)-2-hydroxypropyl]-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(1-naphthylmethyl)propyl]-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-{(1S)-1-[(1R)-2-(benzylamino)-1-hydroxyethyl]-3-methylbutyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-[4-(benzyloxy)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(benzylamino)-2-hydroxy-1-(4-hydroxybenzyl)propyl]-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-((1S)-1-{(1R)-1-hydroxy-2-[(3-methoxybenzyl)amino]ethyl}-3-butynyl)-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-((1S)-1-{(1R)-1-hydroxy-2-[(3-methoxybenzyl)amino]ethyl}-3-butynyl)-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

5-(benzylamino)-2,3,5-trideoxy-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-1-S-phenyl-1-thio-D-erythro-pentitol,

N^1-{(1S,2R)-1-[4-(benzyloxy)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-[(1S,2R)-3-(benzylamino)-2-hydroxy-1-(4-hydroxybenzyl)propyl]-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(1-naphthylmethyl)propyl]- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S)-1-[(1R)-2-(benzylamino)-1-hydroxyethyl]-3-methylbutyl}-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(4-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(3-furylmethyl)-2-hydroxypropyl]-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-((1S)-1-{(1R)-1-hydroxy-2-[(3-methoxybenzyl)amino]ethyl}-3-methylbutyl)- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(4-fluorobenzyl)-2-hydroxypropyl]-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-(benzylamino)-1-(2-furylmethyl)-2-hydroxypropyl]- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-[(1S,2R)-3-(benzylamino)-2-hydroxy-1-(1-naphthylmethyl)propyl]-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(cyclohexylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-[(1S,2R)-3-(benzylamino)-2-hydroxy-1-(2-thienylmethyl)propyl]-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-(3-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-3-(benzylamino)-1-[4-(benzyloxy)benzyl]-2-hydroxypropyl}-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(2-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-[(1S,2R)-3-(benzylamino)-2-hydroxy-1-(3-thienylmethyl)propyl]-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-thienylmethyl)propyl]- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S)-1-[(1R)-2-(benzylamino)-1-hydroxyethyl]-3-butynyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(3-thienylmethyl)propyl]- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-(cyclohexylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(3-thienylmethyl)propyl]- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-thienylmethyl)propyl]- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-(2-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-(3-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-((1S)-1-{(1R)-1-hydroxy-2-[(3-methoxybenzyl)amino]ethyl}-3-methylbutyl)- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-(4-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(1-naphthylmethyl)propyl]- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-[4-(benzyloxy)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-2-hydroxy-1-[3-(hydroxymethyl)benzyl]-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-3-[(3-ethylbenzyl)amino]-2-hydroxy-1-[3-(hydroxymethyl)benzyl]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-2-hydroxy-1-[3-(hydroxymethyl)benzyl]-3-[(3-iodobenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-2-hydroxy-1-[4-(hydroxymethyl)benzyl]-3-[(3-iodobenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-3-[(3-ethylbenzyl)amino]-2-hydroxy-1-[4-(hydroxymethyl)benzyl]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-2-hydroxy-1-[4-(hydroxymethyl)benzyl]-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3-fluoro-5-hydroxybenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{(3-ethylbenzyl)amino]-1-(3-fluoro-5-hydroxybenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3-fluoro-5-hydroxybenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-[3-(benzyloxy)-5-fluorobenzyl]-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-[3-(benzyloxy)-5-fluorobenzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N-{(1S,2R)-1-[4-(benzyloxy)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[(dipropylamino)sulfonyl]propanamide,

N^1-{(1S,2R)-1-[4-(benzyloxy)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^5,N^5-dipropylpentanediamide,

3-[(dipropylamino)sulfonyl]-N-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(1-naphthylmethyl)propyl]propanamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(1-naphthylmethyl)propyl]- N^5,N^5-dipropylpentanediamide,

3-[(dipropylamino)sulfonyl]-N-{(1S,2R)-1-(4-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}propanamide,

N^1-{(1S,2R)-1-(4-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^5,N^5-dipropylpentanediamide,

3-[(dipropylamino)sulfonyl]-N-{(1S,2R)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}propanamide,

N^1-{(1S,2R)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}- N^5,N^5-dipropylpentanediamide,

3-[(dipropylamino)sulfonyl]-N-{(1S,2R)-1-(3-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}propanamide,

N^1-{(1S,2R)-1-(2-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^5,N^5-dipropylpentanediamide,

3-[(dipropylamino)sulfonyl]-N-{(1S,2R)-1-(2-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}propanamide,

N^1-{(1S,2R)-1-(3-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^5,N^5-dipropylpentanediamide,

3-[(dipropylamino)sulfonyl]-N-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-thienylmethyl)propyl]propanamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(3-thienylmethyl)propyl]- N^5,N^5-dipropylpentanediamide,

3-[(dipropylamino)sulfonyl]-N-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(3-thienylmethyl)propyl]propanamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-thienylmethyl)propyl]- N^5,N^5-dipropylpentanediamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-{[(2R)-1-ethylpyrrolidinyl]carbonyl}-5-methylbenzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-{[(2S)-1-ethylpyrrolidinyl]carbonyl}-5-methylbenzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[(1-ethyl-1H-imidazol-2-yl)carbonyl]-5-methylbenzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[(1-ethyl-4-methyl-1H-imidazol-5-yl)carbonyl]-5-methylbenzamide,

N^1-((1S,2S)-1-(3,5-difluorobenzyl)-2-hydroxy-2-{1-[(3-methoxybenzyl)amino]cyclopropyl}ethyl)-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-((1S,2S)-1-(3,5-difluorobenzyl)-2-{1-[(3-ethylbenzyl)amino]cyclopropyl}-2-hydroxyethyl)-5-methyl- N^3,N^3-dipropylisophthalamide,

(1R,2R,3R)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^2,N^2-dipropyl-1,2,3-cyclopropanetricarboxamide,

(1R,2R,3R)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-phenyl- N^2,N^2-dipropyl-1,2-cyclopropanedicarboxamide,

(1R,2R,3R)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-methyl- N^2,N^2-dipropyl-1,2-cyclopropanedicarboxamide,

(1R,2R,3S)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-methyl- N^2,N^2-dipropyl-1,2-cyclopropanedicarboxamide,

(1R,2R,3S)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-phenyl- N^2,N^2-dipropyl-1,2-cyclopropanedicarboxamide,

(1R,2R,3S)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^2,N^2-dipropyl-1,2,3-cyclopropanetricarboxamide,

(1R,2R,3S)-3-(2-amino-2-oxoethyl)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^2,N^2-dipropyl-1,2-cyclopropanedicarboxamide,

(1R,2R,3R)-3-(2-amino-2-oxoethyl)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^2,N^2-dipropyl-1,2-cyclopropanedicarboxamide,

(1R,2R,3S)-N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-[2-(dipropylamino)-2-oxoethyl]-3-methylcyclopropanecarboxamide,

(1R,2R,3R)-N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-[2-(dipropylamino)-2-oxoethyl]-3-methylcyclopropanecarboxamide,

(1S,2R,3R)-N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-[2-(dipropylamino)-2-oxoethyl]-3-phenylcyclopropanecarboxamide,

(1S,2R,3S)-N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-[2-(dipropylamino)-2-oxoethyl]-3-phenylcyclopropanecarboxamide,

(1S,2R,3R)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[2-(dipropylamino)-2-oxoethyl]-1,2-cyclopropanedicarboxamide,

(1S,2R,3S)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[2-(dipropylamino)-2-oxoethyl]-1,2-cyclopropanedicarboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-5-{[(trifluoromethyl)sulfonyl]amino}isophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- N^3,N^3-dipropyl-5-{[(trifluoromethyl)sulfonyl]amino}isophthalamide,

N^1-{(1S,2R)-1-benzyl-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- N^3,N^3-dipropyl-5-{[(trifluoromethyl)sulfonyl]amino}isophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-{methyl[(trifluoromethyl)sulfonyl]amino}- N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-{methyl[(trifluoromethyl)sulfonyl]amino}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-5-{propyl[(trifluoromethyl)sulfonyl]amino}isophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(methylsulfonyl)amino]- N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(phenylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropylbenzyl)amino]propyl}-3-[(dipropylamino)sulfonyl]propanamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethynylbenzyl)amino]-2-hydroxypropyl}-3-[(dipropylamino)sulfonyl]propanamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[3-(dimethylamino)benzyl]amino}-2-hydroxypropyl)-3-[(dipropylamino)sulfonyl]propanamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(2-ethyl-1,3-thiazol-5-yl)methyl]amino}-2-hydroxypropyl)-3-[(dipropylamino)sulfonyl]propanamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2-isobutyl-1,3-thiazol-5-yl)methyl]amino}propyl)-3-[(dipropylamino)sulfonyl]propanamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(3-isobutyl-5-isoxazolyl)methyl]amino}propyl)-3-[(dipropylamino)sulfonyl]propanamide,

N-[(1S,2R)-3-[(3-cyclopropylbenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-3-[(dipropylamino)sulfonyl]propanamide,

N^1-[(1S,2R)-3-[(3-cyclopropylbenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(1,3-thiazol-2-yl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(1,3-oxazol-2-yl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-[(3-acetylbenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-[(3-acetylbenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-[(1S,2R)-3-[(3-acetylbenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-(aminosulfonyl)- N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-[(3-acetylbenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-(methylsulfonyl)- N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[3-(diethylamino)benzyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(4-morpholinyl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(1-piperazinyl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[3-(aminosulfonyl)benzyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-3-({3-[(dimethylamino)sulfonyl]benzyl}amino)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(1-piperidinylsulfonyl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(methylsulfonyl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(isopropylsulfonyl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-{[3-(aminocarbonyl)benzyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-3-({3-[(dimethylamino)carbonyl]benzyl}amino)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-[(3-cyanobenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

3-({[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}methyl)phenylcarbamate,

3-({[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}methyl)phenyl dimethylcarbamate,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(1-propynyl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(3-methyl-1-butynyl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(2-propynyl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(5-isobutyl-1,3,4-oxadiazol-2-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[3-(5-ethyl-1,3,4-oxadiazol-2-yl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[3-(5-ethyl-1,3,4-thiadiazol-2-yl) methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(5-isobutyl-1,3,4-thiadiazol-2-yl) methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[3-(3-ethyl-1,2,4-thiadiazol-5-yl) methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(3-isobutyl-1,2,4-thiadiazol-5-yl) methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(3-isobutyl-1,2,4-oxadiazol-5-yl) methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[3-(3-ethyl-1,2,4-oxadiazol-5-yl) methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(2-ethyl-1,3-oxazol-5-yl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2-isobutyl-1,3-oxazol-5-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(5-isobutyl-1,3,4-oxadiazol-2-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(5-isobutyl-1,3,4-thiadiazol-2-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(5-ethyl-1,3,4-thiadiazol-2-yl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(5-ethyl-1,3,4-oxadiazol-2-yl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(3-ethyl-1,2,4-oxadiazol-5-yl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(3-ethyl-1,2,4-thiadiazol-5-yl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(3-isobutyl-1,2,4-thiadiazol-5-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(3-isobutyl-1,2,4-oxadiazol-5-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(2-ethyl-2H-tetraazol-5-yl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2-isobutyl-2H-tetraazol-5-yl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(2-ethyl-4-pyrimidinyl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2-isopropyl-4-pyrimidinyl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(2-ethynyl-4-pyrimidinyl)methyl]amino}-2-hydroxypropyl)-5-methyl- N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(6-isopropyl-4-pyrimidinyl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-3-({[6-(dimethylamino)-4-pyrimidinyl]methyl}amino)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-3-({[2-(dimethylamino)-4-pyrimidinyl]methyl}amino)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-3-({[4-(dimethylamino)-2-pyrimidinyl]methyl}amino)-2-hydroxypropyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(4-isopropyl-2-pyrimidinyl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(4-ethyl-2-pyrimidinyl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(5-ethyl-3-pyridazinyl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^3-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[3-(dimethylamino)benzyl]amino}-2-hydroxypropyl)-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(5-isopropyl-3-pyridazinyl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^3-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(1-propynyl)benzyl]amino}propyl)-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(6-isopropyl-4-pyridazinyl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethynylbenzyl)amino]-2-hydroxypropyl}- N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(6-ethyl-4-

pyridazinyl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropylbenzyl)amino]propyl}-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(6-ethyl-2-pyrazinyl)methyl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^5,N^5-dipropyl-3,5-pyridinedicarboxamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(6-isopropyl-2-pyrazinyl)methyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(3,4,5-trifluorobenzyl)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-2-hydroxy-1-(3,4,5-trifluorobenzyl)-3-{[3-(trifluoromethyl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-2-hydroxy-1-(2,3,5,6-tetrafluorobenzyl)-3-{[3-(trifluoromethyl)benzyl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2,3,5,6-tetrafluorobenzyl)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1R,2S)-2-hydroxy-6-methoxy-2,3-dihydro-1H-inden-1-yl]amino}propyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1R,2S)-2-hydroxy-6-methoxy-2,3-dihydro-1H-inden-1-yl]amino}propyl)-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(1R,2S)-6-ethyl-2-hydroxy-2,3-dihydro-1H-inden-1-yl]amino}-2-hydroxypropyl)-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(1R,2S)-6-ethyl-2-hydroxy-2,3-dihydro-1H-inden-1-yl]amino}-2-hydroxypropyl)-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-2-hydroxy-1-(1H-indol-5-ylmethyl)-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-3-[(3-ethylbenzyl)amino]-2-hydroxy-1-(1H-indol-5-ylmethyl)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(3-methylbenzyl)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(3-methylbenzyl)propyl]- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-[3-(trifluoromethyl)benzyl]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-[3-(trifluoromethyl)benzyl]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-pyridinylmethyl)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-pyridinylmethyl)propyl]- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-[3-fluoro-5-(trifluoromethyl)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-[3-fluoro-5-(trifluoromethyl)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-[3-(trifluoromethoxy)benzyl]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-[3-(trifluoromethoxy)benzyl]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-2-hydroxy-1-(3-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-2-hydroxy-1-(3-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(4-methylbenzyl)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(4-methylbenzyl)propyl]- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-(4-fluoro-3-methylbenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(4-fluoro-3-methylbenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-(4-chlorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(4-chlorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-2-hydroxy-1-(3-methoxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-2-hydroxy-1-(3-methoxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-2-hydroxy-1-(4-methoxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-2-hydroxy-1-(4-methoxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-(3-chloro-5-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3-chloro-5-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-(4-chloro-3-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(4-chloro-3-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-(3,5-dichlorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-dichlorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-[4-(dimethylamino)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-[4-(dimethylamino)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-(3-chlorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3-chlorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-2-hydroxy-1-(4-isopropylbenzyl)-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-2-hydroxy-1-(4-isopropylbenzyl)-3-[(3-methoxybenzyl)amino]propyl}- N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-[(6-methoxy-2-pyridinyl)methyl]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-[(6-methoxy-2-pyridinyl)methyl]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-[(5-methyl-2-pyridinyl)methyl]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-[(5-methyl-2-pyridinyl)methyl]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-(3-fluoro-4-methylbenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3-fluoro-4-methylbenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-(3-fluoro-4-methoxybenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3-fluoro-4-methoxybenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-methoxy-5-methylbenzyl)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-methoxy-5-methylbenzyl)propyl]-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(1,3-thiazol-2-ylmethyl)propyl]-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(1,3-thiazol-2-ylmethyl)propyl]-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N^1-{(1S,2R)-1-[(5-chloro-2-thienyl)methyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-[(5-chloro-2-thienyl)methyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3,N^3-dipropyl-1,3,5-benzenetricarboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy-3-(1-pyrrolidinylcarbonyl)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-2-[(methylsulfonyl)amino]-1,3-thiazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(propylsulfonyl)amino]-1,3-thiazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-hydroxy-3-(1-pyrrolidinylcarbonyl)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-[(propylsulfonyl)amino]-1,3-thiazole-4-carboxamide,

N-{(1S,2R)-1-benzyl-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[1-(3-ethylphenyl)cyclopropyl]amino}-2-hydroxypropyl)-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[1-(3-ethylphenyl)-1-methylethyl]amino}-2-hydroxypropyl)-4-hydroxy-3-(1-pyrrolidinylcarbonyl)benzamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[1-(3-ethylphenyl)-1-methylethyl]amino}-2-hydroxypropyl)-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[1-(3-ethylphenyl)-1-methylethyl]amino}-2-hydroxypropyl)-5-methyl-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[1-(3-ethylphenyl)cyclopropyl]amino}-2-hydroxypropyl)-4-hydroxy-3-(1-pyrrolidinylcarbonyl)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethynylbenzyl)amino]-2-hydroxypropyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethynylbenzyl)amino]-2-hydroxypropyl}-5-methyl-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-hydroxy-3-(1-piperidinylcarbonyl)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-[(methylsulfonyl)amino]-1,3-oxazole-2-carboxamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-methyl-4-[(methylsulfonyl)amino]-1,3-oxazole-2-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy-3-(1-piperidinylcarbonyl)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-[(methylsulfonyl)amino]-1,3-oxazole-2-carboxamide,

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-methyl-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-4-[(methylsulfonyl)amino]-1,3-oxazole-2-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy-3-(4-morpholinylcarbonyl)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-[(ethylsulfonyl)amino]-1,3-oxazole-2-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-methyl-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-[(ethylsulfonyl)amino]-1,3-oxazole-2-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy-3-(4-morpholinylcarbonyl)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-[(propylsulfonyl)amino]-1,3-oxazole-2-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-[(methylsulfonyl)amino]-1,3-thiazole-2-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-hydroxy-3-(1-piperazinylcarbonyl)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-[(methylsulfonyl)amino]-1,3-thiazole-2-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-5-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy-3-(1-piperazinylcarbonyl)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-methyl-2-[(methylsulfonyl)amino]-1,3-oxazole-5-carboxamide,

N^4-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-4,5-dicarboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-5-carboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy-N^3-methylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-methyl-2-[(methylsulfonyl)amino]-1,3-oxazole-5-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(ethylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-[(methylsulfonyl)amino]-1,3-oxazole-2-carboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-hydroxy-N^3-methylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-methyl-5-[(methylsulfonyl)amino]-1,3-oxazole-2-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-[(ethylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-methyl-5-[(methylsulfonyl)amino]-1,3-oxazole-2-carboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N^3-ethyl-4-hydroxyisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(methylsulfonyl)amino]-1,3-oxazole-2-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[(ethylsulfonyl)amino]-1,3-oxazole-4-carboxamide;

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(methylsulfonyl)amino]-3-isoxazolecarboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3-ethyl-4-hydroxyisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-[(methylsulfonyl)amino]-3-isoxazolecarboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[(propylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-3-[(methylsulfonyl)amino]-5-isoxazolecarboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-N^3-ethyl-4-hydroxyisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(methylsulfonyl)amino]-5-isoxazolecarboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-[(propylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-(hydroxymethyl)-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N^3-(cyclopropylmethyl)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-hydroxyisophthalamide,

5-cyclopropyl-N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(propylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-isopropyl-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N^3-(cyclopropylmethyl)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxyisophthalamide,

N-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(isopentylamino)propyl]-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-2-[(propylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-[(1S,2R)-3-(cyclopropylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-[(1S,2R)-3-[(3-ethylbenzyl)amino]-2-hydroxy-1-(4-hydroxybenzyl)propyl]-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy-N^3-isobutylisophthalamide,

2-{[(cyclopropylmethyl)sulfonyl]amino}-N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-1,3-oxazole-4-carboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy- N^3-isobutyl-N^3-methylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(isobutylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N^3-(cyclopropylmethyl)-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy-N^3-methylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-[(isobutylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy-N^3-methyl-N^3-propylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[(isobutylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-hydroxy-N^3-methyl-N^3-propylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[(phenylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N3-ethyl-4-hydroxy-N^3-propylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-{[(4-methylphenyl)sulfonyl]amino}-1,3-oxazole-4-carboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N3-ethyl-4-hydroxy-N^3-propylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-{[(4-methylphenyl)sulfonyl]amino}-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(phenylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy-N^3, N^3-dipropylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[methyl(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-hydroxy-N^3, N^3-dipropylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[methyl(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-hydroxy-N^3, N^3-dipropylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[(methylsulfonyl)amino]-1,3-thiazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(methylsulfonyl)amino]-1,3-thiazole-4-carboxamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(methylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(ethylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropyl-5-[(propylsulfonyl)amino]isophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(isopropylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(isobutylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropyl-5-[(thien-2-ylsulfonyl)amino]isophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(2-furylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropyl-5-[(1,3-thiazol-5-ylsulfonyl)amino]isophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(1,3-oxazol-5-ylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(1,3-oxazol-4-ylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropyl-5-[(1,3-thiazol-4-ylsulfonyl)amino]isophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-{[(1-methyl-1H-imidazol-4-yl)sulfonyl]amino}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(phenylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide,

5-{[(5-cyanopyridin-2-yl)sulfonyl]amino}-N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropylisophthalamide,

N^1-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N^3,N^3-dipropyl-5-({[5-(trifluoromethyl)pyridin-2-yl]sulfonyl}amino)isophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-{[(1-methyl-1H-imidazol-4-yl)sulfonyl]amino}benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-({[5-(trifluoromethyl)pyridin-2-yl]sulfonyl}amino)benzamide,

3-{[(5-cyanopyridin-2-yl)sulfonyl]amino}-N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(phenylsulfonyl)amino]benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(methylsulfonyl)amino]benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(ethylsulfonyl)amino]benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(propylsulfonyl)amino]benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(isobutylsulfonyl)amino]benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(isopropylsulfonyl)amino]benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-{[(1-ethylpropyl)sulfonyl]amino}benzamide,

3-[(cyclohexylsulfonyl)amino]-N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-{[(1-propylbutyl)sulfonyl]amino}benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(thien-2-ylsulfonyl)amino]benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(2-furylsulfonyl)amino]benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(isoxazol-5-ylsulfonyl)amino]benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(isoxazol-3-ylsulfonyl)amino]benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(3-furylsulfonyl)amino]benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(thien-3-ylsulfonyl)amino]benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(1,3-thiazol-4-ylsulfonyl)amino]benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(1,3-thiazol-5-ylsulfonyl)amino]benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(1,3-thiazol-2-ylsulfonyl)amino]benzamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(isopentylamino)propyl]-N^3,N^3-dipropyl-5-{[(trifluoromethyl)sulfonyl]amino}isophthalamide,

N^1-[(1S,2R)-3-amino-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N^3,N^3-dipropyl-5-{[(trifluoromethyl)sulfonyl]amino}isophthalamide,

N^1-[(1S,2R)-3-amino-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-[(methylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide,

N^1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(isopentylamino)propyl]-5-[(methylsulfonyl)amino]-N^3,N^3-dipropylisophthalamide,

N^1-(tert-butyl)-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}isophthalamide,

N^1-(tert-butyl)-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylisophthalamide,

5-bromo-N^1-(tert-butyl)-N^3-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}isophthalamide,

3-tert-butoxy-N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}benzamide,

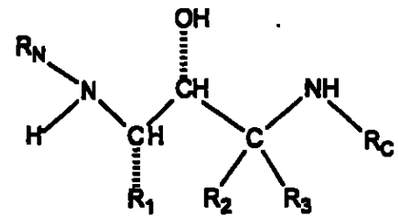
3-tert-butoxy-N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylbenzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-{[(trifluoromethyl)sulfonyl]amino}benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-(trifluoromethoxy)benzamide, and

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-methyl-5-(trifluoromethoxy)benzamide.

218. A method for inhibiting beta-secretase activity, comprising exposing said beta-secretase to an effective inhibitory amount of a compound of formula (X)



(X)

where R_1, R_2, R_3, R_N and R_C are as defined in claim 1, or a pharmaceutically acceptable salt thereof.

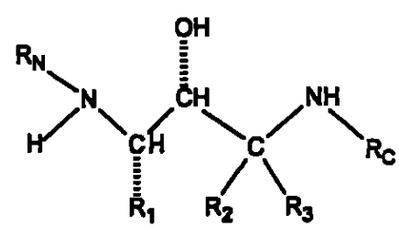
219. The method of claim 218, wherein said beta-secretase is exposed to said compound *in vitro*.

220. The method of claim 218, wherein said beta-secretase is exposed to said compound in a cell.

221. The method of claim 220, wherein said cell is in an animal.

222. The method of claim 221, wherein said animal is a human.

223. A method for inhibiting cleavage of amyloid precursor protein (APP), in a reaction mixture, at a site between Met596 and Asp597, numbered for the APP-695 amino acid isotype; or at a corresponding site of an isotype or mutant thereof, comprising exposing said reaction mixture to an effective inhibitory amount of a compound of formula (X)



(X)

where R_1, R_2, R_3, R_N and R_C are as defined in claim 1,

or a pharmaceutically acceptable salt thereof.

224. The method of claim 223, wherein said cleavage site is between Met652 and Asp653, numbered for the APP-751 isotype; between Met 671 and Asp 672, numbered for the APP-770 isotype; between Leu596 and Asp597 of the APP-695 Swedish Mutation; between Leu652 and Asp653 of the APP-751 Swedish Mutation; or between Leu671 and Asp672 of the APP-770 Swedish Mutation.

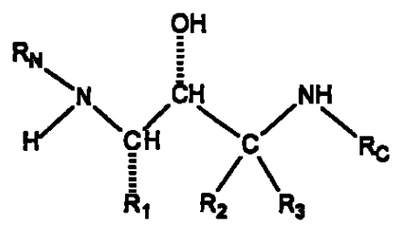
225. The method of claim 223, wherein said reaction mixture is exposed *in vitro*.

226. The method of claim 223, wherein said reaction mixture is exposed in a cell.

227. The method of claim 226, wherein said cell is an animal cell.

228. The method of claim 227, wherein said cell is a human cell.

229. A method for inhibiting production of amyloid beta peptide (A beta) in a cell, comprising administering to said cell an effective inhibitory amount of a compound of formula (X)



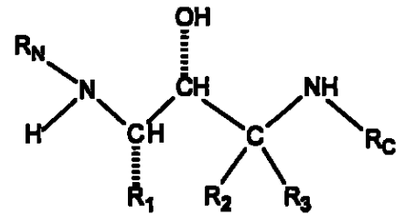
(X)

where R_1, R_2, R_3, R_N and R_C are as defined in claim 1,
or a pharmaceutically acceptable salt thereof.

230. The method of claim 229, wherein said administering is to an animal.

231. The method of claim 230, wherein said administering is to a human.

232. A method for inhibiting the production of beta-amyloid plaque in an animal, comprising administering to said animal an effective inhibitory amount of a compound of formula (X)

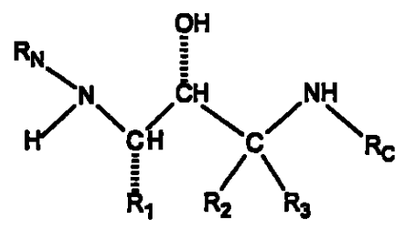


(X)

where R_1, R_2, R_3, R_N and R_C are as defined in claim 1, or a pharmaceutically acceptable salt thereof.

233. The method of claim 232, wherein said animal is a human.

234. A method for treating or preventing a disease characterized by beta-amyloid deposits in the brain comprising administering to a patient an effective therapeutic amount of a compound of formula (X)



(X)

where R_1, R_2, R_3, R_N and R_C are as defined in claim 1, or a pharmaceutically acceptable salt thereof.

235. The method of claim 234, wherein said therapeutic amount is in the range of from about 0.1 to about 1000 mg/day.

236. The method of claim 234, wherein said thereapeutic amount is in the range of from about 15 to about 1500 mg/day.

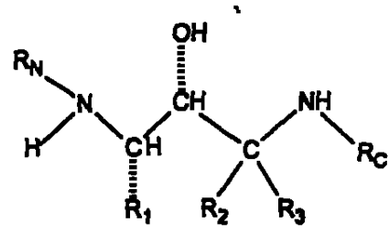
237. The method of claim 236, wherein said thereapeutic amount is in the range of from about 1 to about 100 mg/day.

238. The method of claim 237, wherein said thereapeutic amount is in the range of from about 5 to about 50 mg/day.

239. The method of claim 234, wherein said disease is Alzheimer's disease.

240. The method of claim 234, wherein said disease is Mild Cognitive Impairment, Down's Syndrome, or Hereditary Cerebral Hemmorrhage with Amyloidosis of the Dutch Type.

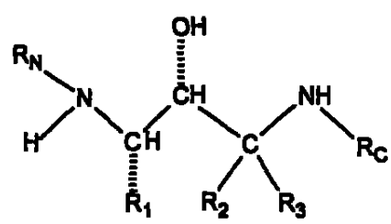
241. A composition comprising beta-secretase complexed with a compound of formula (X)



(X)

where R_1, R_2, R_3, R_N and R_C are as defined in claim 1, or a pharmaceutically acceptable salt thereof.

242. A method for producing a beta-secretase complex comprising: exposing beta-secretase, in a reaction mixture under conditions suitable for the production of said complex, to a compound of formula (X)



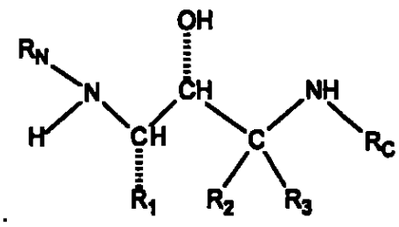
(X)

where R_1, R_2, R_3, R_N and R_C are as defined in claim 1, or a pharmaceutically acceptable salt thereof.

243. The method of claim 242, where said exposing is *in vitro*.

244. The method of claim 242, wherein said reaction mixture is a cell.

245. A kit comprising component parts capable of being assembled, wherein at least one component part comprises, enclosed in a container, a compound of formula (X)

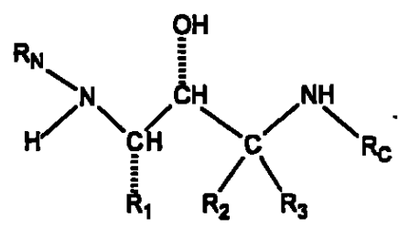


(X)

where R_1, R_2, R_3, R_N and R_C are as defined in claim 1, or a pharmaceutically acceptable salt thereof.

246. The kit of claim 245, wherein said compound is lyophilized and at least one further component part comprises a diluent.

247. A kit comprising a plurality of containers, each container comprising one or more unit dose of a compound of formula (X)



(X)

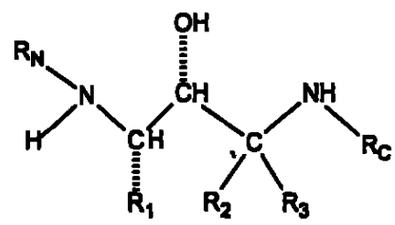
where R_1, R_2, R_3, R_N and R_C are as defined in claim 1, or a pharmaceutically acceptable salt thereof.

248. The kit of claim 247, wherein each container is adapted for oral delivery and comprises a tablet, gel, or capsule.

249. The kit of claim 248, wherein each container is adapted for parenternal delivery and comprises a depot product, syringe, ampoule, or vial.

250. The kit of claim 248, wherein each container is adapted for topical delivery and comprises a patch, medipad, ointment, or cream.

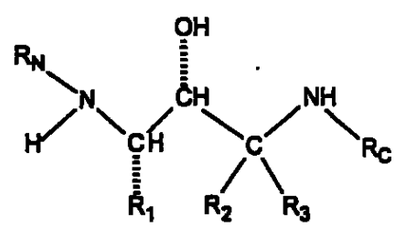
251. A kit comprising one or more therapeutic agent selected from the group consisting of an antioxidant, an anti-inflamatory, a gamma secretase inhibitor, a neurotrophic agent, an acetylcholinesterase inhibitor, a statin, an A beta peptide, and an anti-A beta antibody; and
a compound of formula (X)



(X)

where R_1, R_2, R_3, R_N and R_C are as defined in claim 1,
or a pharmaceutically acceptable salt thereof.

252. A composition comprising an inert diluent or edible carrier; and
a compound of formula (X)



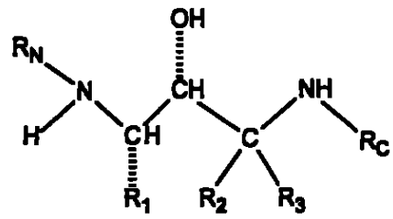
(X)

where R_1, R_2, R_3, R_N and R_C are as defined in claim 1,
or a pharmaceutically acceptable salt thereof.

253. The composition of claim 252, wherein said carrier is an oil.

254. A composition comprising a binder, excipient, disintegrating agent, lubricant, or gildant; and

a compound of formula (X)

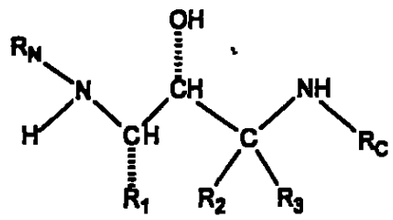


(X)

where R_1, R_2, R_3, R_N and R_C are as defined in claim 1,

or a pharmaceutically acceptable salt thereof..

255. A composition comprising a compound of formula (X)



(X)

where R_1, R_2, R_3, R_N and R_C are as defined in claim 1,

or a pharmaceutically acceptable salt thereof,

and where the compound is disposed in a cream, ointment, or patch.

COMPUESTOS PARA TRATAR ENFERMEDAD DE ALZHEIMER

Esta solicitud está siendo presentada como una solicitud de patente internacional PCT a nombre de Elan Pharmaceuticals, Inc. Una corporación nacional de los Estados Unidos y residente (solicitante para todos los países) y Pharmacia & Upjohn Company, una corporación nacional de los Estados Unidos (solicitante para todos los países) el 29 de junio de 2001, designando a todos los países excepto a los Estados Unidos.

REFERENCIA CRUZADA DE LAS SOLICITUDES RELACIONADAS

Esta solicitud reivindica prioridad de las siguientes solicitudes provisionales: Solicitud provisional de los Estados Unidos serie No 60/215, 323, presentada junio 30, 2000; solicitud provisional de los Estados Unidos serie No 60/252, 736, presentada noviembre 22 de 2000, solicitud provisional de los Estados Unidos serie No 60/255, 255, 956, presentada diciembre 15, 2000; solicitud provisional de los Estados Unidos serie No 60/268, 497, presentada febrero 13, 2001; solicitud provisional de los Estados Unidos serie No 60/279, 779,

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presentada marzo 29, 2001; y solicitud provisional de los Estados Unidos serie No 60/295, 589, presentada junio 4, 2001.

ANTECEDENTES DE LA INVENCIÓN

1. Campo de la invención

La presente invención esta dirigida a compuestos útiles en el tratamiento de enfermedad de Alzheimer y enfermedades similares.

2. Descripción de la técnica relacionada

La enfermedad de Alzheimer (AD) es una enfermedad degenerativa progresiva del cerebro primariamente asociada con la edad. La presentación química de la AD se caracteriza por la perdida de memoria, cognición, razonamiento, juzgamiento, y orientación. Como la enfermedad progresa, las capacidades motora, sensorial y lingüística también se afecta hasta que existe una daño global de múltiples funciones cognitivas estas perdidas cognitivas ocurren

gradualmente, pero típicamente conducen a un daño severo y a la muerte eventual en el rango de 4 a 12.

La enfermedad de Alzheiner se caracteriza por 2 observaciones patológicas principales en el cerebro: Enredamiento neurofibrilar y placas beta amiloides (o neuríticas) comprendidas predominantemente de un agregado de un fragmento péptido conocido como A beta. Los individuos con AD exhiben depósitos de beta-amiloide característicos en el cerebro (placas de beta amiloide) y en los vasos sanguíneos del cerebro (angiopatia beta amiloide) así como también enredamiento neurofibrilar. El enredamiento neurofibrilar ocurre no solo en la enfermedad de Alzheiner sino también en otros desordenes que inducen demencia. En autopsia, grandes números de estas lesiones se encuentran generalmente en áreas del cerebro humano importantes par ala memoria y la cognición.

Números más pequeños de estas lesiones en distribuciones anatómicas más restringidas se encuentran en el cerebro de la mayoría de los humanos de cierta edad quienes no tienen AD clínico. Las placas amiloidogenicas y la agiopatia amiloide vascular también se caracterizan

los cerebros de individuos con trisomia 21 (síndrome de Down), hemorragia cerebral hereditaria con amiloidosis del tipo Dutch (HCHWA-D) y otros desordenes neurodegenerativos, en beta amiloide es una característica definitoria del AD ahora se cree que es precursor causante o el factor del desarrollo de la enfermedad. La deposición de A beta en áreas del cerebro responsables de las actividades cognitivas es el principal factor en el desarrollo de AD. La s placas beta amilide están predominantemente compuestas de péptido beta amiloide (A beta, también unas veces denominado betA4). El péptido beta se deriva mediante proteolisis de la proteína precursora amiloide (APP) y esta comprendida de 39-42 aminoácidos. Varias proteasas llamadas secretazas están involucradas en el procesamiento de APP.

La división de APP en el N-terminal del péptido A beta mediante beta-secretaza y en el C-terminal mediante uno o más gama-secretasa costituye la senda beta-amiloidogénica, es decir la senda mediante la cual se forma el A beta. La división de APP mediante alfa-secretaza produce alfa-sAPP, una forma secretada de APP que no da como resultado formación de placa beta amiloide. Esta senda alternativa precluye la formación de

péptido A beta. Una descripción de fragmentos de procesamiento proteolitico de APP se encuentra por ejemplo en la patente estadounidense No 5,441,860; 5,721,130; y 5,942,400.

Una aspartilo proteasa a sido identificada como la enzima responsable para el procesamiento de APP en el sitio de divisón de la beta-secretaza. La enzima beta-secretaza se ha descrito utilizando una nomenclatura variada que incluye BACE, Asp y memapsina. Ver, por ejemplo, Sinha et.al., 1999, *Nature* 402:537-554 (p501)y las solicitudes PCT publicadas WO00/17369.

Varias lineas de evidencia indican que la deposición cerebral progresiva del péptido beta-amiloide (A beta) juega un papel seminal en la patogénesis del AD y puede preceder los síntomas cognitivos por años o decadas. Ver, por ejemplo, Selkoe, 1991, *Neuron* 6:487. La liberación de A beta proveniente de células neuronales que crecen en cultivo en la presencia de A beta en fluido cerebro espinal (CSF) tanto de individuos normales como de pacientes AD se ha demostrado. Ver, por ejemplo, Seubert et al., *Nature* 359: 325-327.

Se ha propuesto que el péptido A beta se acumule como resultado del procesamiento APP mediante beta-secretaza así la inhibición de la actividad de esta enzima es deseable para el tratamiento de AD. El procesamiento invivo de APP del sitio de división de la beta-secretaza se cree que es una etapa que limita la proporción en la producción de A beta, y es así un objetivo terapéutico para el tratamiento de AD. Ver por ejemplo, Sabbagh, M., et al ., 1997 Alz. Dis. Rev 3, 1-19.

Los ratones "Knockout" BACE 1 no producen A beta y presentan un fenotipo normal. Cuando se cruzan con ratones transgénicos que sobre expresan APP la progenie muestra cantidades reducidas de A beta en los extractos del cerebro comparados con los animales de control luo et. Al., 2001 Nature NeuroScience 4:231-232). Esta evidencia soporta adicionalmente la propuesta de que la inhibición de la actividad de la beta- secretaza y la reducción de A beta en el cerebro proporciona un método terapéutico para el tratamiento de AD y otros desordenes beta amiloides.

La solicitud PCT publicada WO 00/47618 titulada "beta-secretase Enzyme Composition and Methods" identifica la enzima beta-secretasa y los metodos de su uso. Esta solicitud también describe inhibidores de oligopéptido que unen el sitio de actividad de la enzima y son útiles en purificación de columna de afinidad de la enzima. Adicionalmente, la WO00/77030 describe inhibidores tetrapéptidos de la actividad beta-secretasa que están basados en una molécula de estatina.

Varios agentes farmacéuticos se han propuesto para el tratamiento de enfermedad de Alzheiner pero sin ningún éxito real, la patente estadounidense 4,175,281 describe 21 aminoesteroides por ser utiles para tratar enfermedad de Alzheiner. La patente estadounidense 5,502,187 describe aminas heterocíclicas bisciclicas por ser útiles para tratar enfermedad de Alzheiner.

Las patentes 4,616,o88 y088 y 4,665,193 describen compuestos de hidroxietilamina y agentes anti hipertensivos devido a su capacidad para inhibir la renina.

La patente estadounidense 4,636,491 describe varios tetrapéptidos que son útiles como inhibidores de la renina.

La patente estadounidense 4,749,792 describe compuestos aminos útiles como analgésicos por su capacidad para inhibir la aminopeptidasa que degrada la ensefalina.

La patente estadounidense 5,142,056 describe derivados de péptidos con núcleo de núcleo de didroxietileno simétrico C_2 como inhibidor de proteasa retroviral.

La patente estadounidense 5,461,067 y 5,753,652 describe la síntesis de inhibidores de proteasa retroviral.

La patente estadounidense 5,475,138 y 5,631,405 describe procesos y varios intermedios útiles en la síntesis de inhibidores de proteasa seleccionados.

La patente estadounidense 5,502,061 describe inhiboderes de proteasa HIB que contiene un carbociclo ensaturado o heterociclo en el terminal C.

La patente estadounidense 5,545,640 describe compuestos que inhiben la actividad de la proteasa HIV.

La patente estadounidense 5,516,784 describe compuestos activos contra retrovirus, que incluyen HIV.

La patente estadounidense5,602,175 describe compuestos de hidroxietilamina como inhibidores de proteasa retroviral.

La patente estadounidense 5,631,405 describe un proceso para la fonación de intermedios útiles de la síntesis de inhibidores de proteasa seleccionados.

La patente estadounidense 5,733,882 y la publicación internacional WO 93/02057 y la WO 93/17003 describe análogos de dipéptido como inhibidores de proteasa retrovirales.

La patente estadounidense 5,760,076 describe compuestos de hidroxietilamino sulfonamida como inhibidores de proteasa de retrovirus.

La patente estadounidense 5,807,870 describe compuestos de hidroxietilamina para la inhibición de proteasa de HIV

La patente estadounidense 5,827,891 describe inhibidores de proteasa HIV.

La patente estadounidense 5,830,897 describe compuestos de hidroxietilamina sulfonamida como inhibidores de proteasa de retrovirus.

La patente estadounidense 5,831,117 describe un proceso e intermedios útiles en intermedios inhibidores de proteasa retroviral.

La patente estadounidense5,847,169 describeun proceso para la preparación de aminoepoxidos que involucran la activación de hidroxilo terminal de un aminodiol.

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La patente estadounidense 5,849,911 describe inhibidores de proteasa HIV de hidroxietilamina que forma hidracinas con uno de los grupos amino, este grupo amino debe también ser alquilatado.

La patente estadounidense 5,922,770 descrive derivados de péptido que son útiles en el tratamiento de desordenes que resultan de una deficiencia en la hormona de crecimiento.

La patente estadounidense 6,013,658 describe derivados de péptido que son útiles en el tratamientos desordenes que resultan de una deficiencia en la hormona de crecimiento.

La patente estadounidense 6,022,872 describe compuestos de hidroxietilamino sulfonil urea como inhibidores de proteasa HIV.

La patente estadounidense 6,060,476 describe compuestos de hidroxietilamino sulfonamida como inhibidores de proteasa de HIV.

La solicitud internacional WO 89/01488 describe péptidos que inhiben la renina con un hidroxietileno o un isoester de didroxietileno en la posición 10,11 del sustrato de renina angiotensinogeno.

La solicitud internacional WO 92/00750 describe inhibidores de proteasa retroviral.

La solicitud internacional WO 94/04492 describe intermedios de hidroxietilamina útiles en el tratamiento de enfermedades retrovirales como HIV. Esta descripción también presentan epoxiodos como intermedios para los inhividores retrovirales.

La solicitud internacional WO WO 95/06030 describe epoxidos, cloro metil cetonas y alcoholes preparados como intermedios para inhibidores de proteasa HIV, con un grupo protector simple sobre la amida y la cadena lateral de aril alquilo sustituida con alquilo, nitro, nitrilo, alcoxi, tioalcoxi, una cadena lateral preferida es 4-fluorofenilmetilo.

La solicitud internacional WO 98/29401 describe un método para la preparación la preparación de

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aminoepoxidos provenientes de aminoaldehidos mediante los cuales los aminoaldehidos continuamente fluyen hacia dentro de la zona de mezcla que contiene un reactivo de alometilo organometalico generando in situ.

La solicitud internacional WO 98/33795 describe inhibidores no péptidos de catepsina D.

La solicitud internacional WO 98/50342 describe compuestos de bis aminometil carbonilo como inhibidores de la sproteasas sisteinas y serina.

La solicitud internacional WO 00/056335 describe inhibidores no péptidos de aspartiloproteasa. Estos compuestos influencian el procesamiento del precursor amiloide de la proteína APP.

La EP 0 609 625 describe inhibidores de proteasa de HIV con solo un átomo de nitrogeno no ciclizado.

Bioorganic & Medicinal Chemistry Letters, 5,721-726 (1995) describe la síntesis de compuestos útiles para la inhibición de proteasa HIV en la cual el nitrogeno C-terminal del compuesto de hidroxietilamina se incorpora

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en un sistema de anillo tal que se forma un anillo de piperidina con un sustitúyete amida próximo al nitrogeno.

El "Núcleo" de hidroxietilamina o insostere , que esta presente en los compuestos de la presente invención se ha empleado con éxito del área de la inhibición de proteasa HIV. Muchos de estos compuestos de hidroxietilamina son conocidos así como también como hacerlos. Ver, por ejemplo, J.Am.Chem.Soc.93,288-291 (1993), *Tetrahedraon Letters,* 28 (45) 5569-5572 (1987), J.Med.Chem.,38(4) 581-584 (1994) kTetrahedon Letters, *38 (4), 6199-620 (1997)*.

La patente estadounidense 5,648,511 describe un ararquil epoxido desprotegido.

Las patentes estadounidenses 5,482,947; 5,508,294; 5,510,349; 5,510,388;5,521,219; 5,610,190; 5,639,769; 5,760,064 y 5,965,588 describen aralquil epoxidos no protegidos (sustituidos).

Tetrhedron Lett.,40(40), 5425-5428 (1989) describe un proceso en el cual alfa-amino aldehídos doblemente

protegidos se transforman en los aminoalquil epoxidos correspondientes.

J. Med.Chem., 36,2300 (1993) describen un benzil epoxido sustituido con azida.

Tetrahedron Lett., 38,3174 (1997) describe un proceso para la preparación de epoxidos N-BOC protegidos de esteres de aminoácidos protegidos.

j.Med.Chem.,35,3525 (1992) describe inhibidores de hidroxietilamina de proteasa HIV.

La patente estadounidense 5,421,011 describe epoxidos de aril alquilo amino en los cuales el grupo amino esta protegido mediante una funcionalidad carbamato.

Synlett,6,902 (2000) describe la preparación de alfa-clorosetonas de esteres de benzilo (sustituidos)-aminoprotegidos.

La patente estadounidense 5,648,511 describe un alcohol ararquilo desprotegido.

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Las patentes estadounidenses 5,482,947; 5,508,294; 5,510,349; 5,510,388;5,521,219; 5,610,190; 5,639,769; 5,760,064 y 5,965,588 describen alcoholes aralquilo (sustidos) monoprotegidos.

Las patentes estadounidenses 5,482,947; 5,508,294; 5,510,349; 5,510,388;5,521,219; 5,610,190; 5,639,769; 5,760,064 y 5,965,588 describen un proceso para la remoción de grupos protectores de los alcoholes aralquilo (sustituidos) mono protegidos para dar un producto de amino alcohol libre como la sal de amina.

La patente estadounidense 5,648,311 describe la remoción de grupos aminoprotectores del amino-alcohol protegido (VIII) para dar el amino-alcohol libre (IX)

La patente estadounidense 6,150,344 describe compuestos que contiene fosfato útiles en el tratamiento de enfermedad de Alzheiner.

La EP 652 009 A1 describe inhibidores de aspartiloproteasa en los cuales la producción de péptido beta amiloide se inhibe en cultivo de células e in vivo.

Los compuestos que inhiben la producción del péptido beta-amiloide intracelular son útiles en el tratamiento de enfermedad de Alzheimer.

La WO 00/69262 describe una nueva beta-secretaza y su uso en ensayos para tamizar potenciales candidatos a droga contra enfermedad de Alzheimer.

La WO 01/00663 describe memapsina 2 (beta-secretaza humana) así como también enzima rocombinante cataliticamente activa. Además, se describe un método para identificar los inhibidores de memapsina 2, así como también los inhibidores. Ambos inhibidores que son descritos son péptidos.

La WO 01/00665 describe inhibidores de memapsina 2 que son útiles en el tratamiento de enfermedad de Alzheimer.

La WO 01/19797 describe lactamas de la fórmula -C-C-CO-N-Lactama-W-X-Y-Z que son útiles en el tratamiento de enfermedad de Alzheimer.

Actualmente no existen tratamientos efectivos para detener, evitar o reversar la progresar la enfermedad de Alzheimer. Por lo tanto, existe la necesidad urgente de agentes farmacéuticos capaces de hacer más lenta la progresión de la enfermedad de Alzheimer y/o evitarla en primer lugar.

Los compuestos que son inhibidores efectivos de beta-secretaza que inhiben la división de APP mediada por beta-secretaza que son inhibidores efectivos de la producción de A beta y/o son efectivos para reducir los depósitos de beta amiloide o placas son necesarios para el tratamiento y prevención de la enfermedad caracterizados por los depósitos de beta-amiloide o placas tales como AD.

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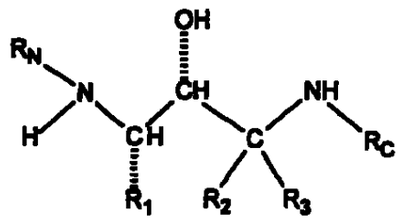
RESUMEN DE LA INVENCIÓN

Se describe una amina sustituida de la fórmula (X)



(x)

Donde R_1 es:

(I) Alquilo C_1-C_6 substituido opcionalmente con una, dos o tres sustituyentes seleccionadas del grupo consistente en alquilo C_1-C_3, alquilo C_1-C_7 (opcionalmente sustituido con alquilo C_1-C_3 y alcoxi C_1-C_3), -F, -Cl,-Br, -I, -OH, -SH, -C≡N, $-CF_3$, alcoxi C_1-C_3. $-NR_{1-a}$ R_{1-b} donde R_{1-a} y R_{1-b} es -H o alquilo C_1-C_6, -OC=O NR_{1-a} y R_{1-b} son como se se definió anteriormente,

(II) $-CH_2-S(O)_{0-2}-$(alquilo C_1-C_6),

(III) $-CH_2-CH_2$ $S(O)_{0-2}-$(alquilo C_1-C_6)

(IV) alquenilo C_2-C_6 con uno dos opcionalmente sustituido con uno, dos o tres sustituyentes seleccionados del grupos consistentes de -F,-CL,-OH,-SH,-C≡N,-CF_3,-alcoxi C_1-C_3, - NR_{1-a} R_{1-b} donde R_{1-a} R_{1-b} son -H o alquilo C_1-C_6,

(V) alquinilo C_2-C_6 con uno o dos enlaces triples, opcionalmente sustituidos con uno, dos o tres sustituyentes seleccionados de los grupos que consisten de F,-CL,-OH,-SH,-C≡N,-CF_3,-alcoxi C_1-C_3, - NR_{1-a} R_{1-b} donde NR_{1-a} R_{1-b} son -H o Aquilo C_1-C_6,

(VI)-$(CH_2)_{n1}$-$(R_{1-arilo})$ donde n1 es cero o uno y donde $R_{1-arilo}$ es fenilo, naftilo, 2-naftilo y indanilo, indenilo, dihidronaftailo, tetralinilo, opcionalmente sustituidos con uno, dos, tres o cuatro de los siguientes sustituyentes sobre el anillo de arilo:

(A) Alquilo C_1-C_6 opcionalmente sustituido con uno, dos o tres, sustituyentes seleccionados de grupos consistentes de alquilo C_1-C_3 -F,-Cl,-Br, -I, -OH, -SH, -NR_{1-a} R_{1-b} donde R_{1-a} y R_{1-b} son como se definió

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anteriormente, -H o alquilo C_1-C_6, -OC=O NR_{1-a} y R_{1-b} están definidos arriba, C≡N,-CF_3,-alcoxi C_1-C_3,

(B) alquenilo C_2-C_6 con uno dos dobles enlaces opcionalmente sustituido con uno, dos o tres sustituyentes seleccionados del grupos que consistentes de -F,-CL,-OH,-SH,-C≡N,-CF_3,-alcoxi C_1-C_3, - NR_{1-a} R_{1-b} donde NR_{1-a} R_{1-b} son -H o alquilo C_1-C_6,

(C) alquinilo C_2-C_6 con uno o dos enlaces triples, opcionalmente sustituidos con uno, dos o tres sustituyentes seleccionados de los grupos que consisten de F,-CL,-OH,-SH,-C≡N,-CF_3,-alcoxi C_1-C_3, - NR_{1-a} R_{1-b} donde NR_{1-a} R_{1-b} son -H o Aquilo C_1-C_6,

(D) -F, CL, Br, y -I,

(F) Alcoxi C_1-C_6 opcionalmente sustituido con una, o dos o tres -F,

(G) $NR_{N-2}R_{N-3}$ donde R_{N-2} y R_{N-3} son como se definio adelante,

(H) -OH,

(I) -C≡N,

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(J) Cicloalquilo C_3-C_7, opcionalmente sustituido

con uno dos o tres sustituyentes seleccionados de los grupos que consisten de -F,-CL,-OH,-SH,-C≡N,-CF_3,-alcoxi C_1-C_3, - NR_{1-a} R_{1-b} donde NR_{1-a} R_{1-b} son -H o alquilo C_1-C_6,

(K) -CO-(alquilo C_1-C_4),

(L) -SO_2- NR_{1-a} R_{1-b} donde NR_{1-a} R_{1-b} son como se definió anteriormente,

(M) -CO- NR_{1-a} R_{1-b} donde NR_{1-a} R_{1-b} son como se definió anteriormente,

(N) -SO_2-(C_1-C_4 alquil)

(VII)- $(CH_2)_{n1}$-($R_{1-heteroarilo}$) donde n_1 es como se definió anteriormente y donde $R_{1-heteroarilo}$ es seleccionado de grupos consistentes de:

piridinilo.

pirimidinilo,

quinolinilo,

benzotienilo,

indolilo,

indolinilo,

pridazinilo,

pirazinilo,

isoindolilo.

isoquinolilo,

quinazolinilo,

quinoxalinilo,

ftalazinilo,

imidazolilo,

isoxazolilo,

pirazolilo,

oxazolilo,

tiazolilo,

indolizinilo,

indazolilo,

benzotiazolilo,

benzimidazolilo,

benzofuranilo,

furanilo,

tienilo,

pirrolilo,

oxadiazolilo,

tiadiazolilo,

triazolilo,

oxazolopiridinilo,

imidazopiridinilo,

isotiazolilo,

naftiridinilo,

cinolinilo,

carbazolilo,

beta-carbonilo

isocromanilo,

cromanilo,

tetrahidroisoquinolinilo,

isoindolinilo,

isobenzotetrahidrofuranilo,

isobenzotetrahidrotienilo,

isobenzotienilo,

benzoxazolilo,

piridopiridinilo,

benzotetrahidrofuranilo,

benzotetrahidrotienilo,

purinilo,

benzodioxolilo,

triazinilo,

fenoxazinilo,

fenotiazinilo,

teridinilo,

benzotiazolilo,

imidazopiridinilo,

imidazotiazolilo,

dihidrobenzisoxazinilo,

benzisoxazinilo,

benzoxazinilo,

dihidrobenzisotiazinilo,

benzopiranilo,

benzotiopiranilo,

coumarinilo,

isocoumarinilo,

cromonilo,

cromanonilo,

oxido de N-piridinilo,

tetrahidroquinolinilo,

dihidroquinolinilo,

dihidroquinolinonilo,

dihidroisoquinolinonilo,

dihidrocoumarinilo,

dihidroisocoumarinilo,

isoindolinonilo,

benzodioxanilo,

benzoxazolinonilo,

N-óxido de pirrolilo,

N-óxido de pirimidinilo,

N-óxido de piridazinilo,

N-oxido de pirazinilo,

N-óxido de quinolinilo,

N-óxido de indolilo,

N-óxido de indolinilo,

N-óxido de isoquinolilo,

N-óxido de quinazolinilo,

N-óxido de quinoxalinilo,

N-óxido de ftalazinilo

N-óxido de imidazolilo,

N-óxido de isoxazolilo,

N-óxido de oxazolilo,

N-óxido de tiazolilo,

N-óxido de indolizinilo,

N-óxido de indazolilo,

N-óxido de benzotiazolilo,

N-óxido de benzimidazolilo,

N-óxido de pirrolilo

N-óxido de oxadiazolilo,

N-óxido de tiadiazolilo,

N-óxido de triazolilo,

N-óxido de tetrazolilo,

N-óxido de benzotiopiranilo,

N-óxido de benzotiopiranilo,

Donde el grupo $R_{1\text{-heteroarilo}}$ se enlaza a $-(CH_2)_{n1}-$ mediante cualquier átomo de anillo del grupo $R_{N\text{-heteroaril}}$ sustituido mediante hidrogeno tal que el nuevo enlace al grupo $R_{1\text{-heteroarilo}}$ remplaza el átomo de hidrógeno y su enlace en donde el heteroarilo es opcionalmente sustituido con uno, dos, tres o cuatro de:

(1) alquilo C_1-C_6 opcionalmente sustituidos con uno, dos o tres sustituyentes seleccionados del grupo que consiste de alquilo C_1-C_3 -F,-Cl,-Br, -I, -OH, -SH, $-NR_{1-a}R_{1-b}$ donde R_{1-a} y R_{1-b} son como se definió anteriormente,-C≡N,$-CF_3$,-alcoxi C_1-C_3,

(2) alquenilo C_2-C_6 con uno o dos dobles enlaces, opcionalmente sustituidos con uno, dos o tres sustituyentes seleccionados del grupo que consiste en -F, -Cl, -OH, -SH, -C≡N, $-CF_3$, alcoxi C_1-C_3, $-NR_{1-a}R_{1-b}$ en donde R_{1-a} y R_{1-b} son -H o alquilo C_1-C_6.

(3) alquinilo C_2-C_6 con uno o dos triples enlaces opcionalmente sustituidos con uno, dos o tres sustituyentes seleccionados del grupo que consiste de -F,

-Cl, -OH, -SH, -C≡N, $-CF_3$, alcoxi C_1-C_3 $-Nr_{1-a}R_{1-b}$ donde R_{1-a} y R_{1-b} son -H o alquilo C_1-C_6,

(4) -F, -Cl, -Br y -I

(5) Alcoxi C_1-C_6,

(6) Alcoxi C_1-C_6 opcionalmente sustituido con uno, dos o tres -F,

(7) $-NR_{n-2}R_{n-3}$ _donde R_{n-2} y R_{n-3} son como se define adelante,

(8) -OH,

(9) -C≡N,

(10) Cicloalquilo C_3-C_7, opcionalmente sustituido con uno, dos o tres sustituyentes del grupo que consiste de -F, -Cl, -Oh, SH, -C≡N, CF_3, alcoxi C_1-C_3, $-NR_{1-a}$ R_{1-b} donde R_{1-a} y R_{1-b} son -H o alquilo C_1-C_6,

(11) -CO-(alquilo C_1-C_4),

(12) $-SO_2-NR_{1-a}\ R_{1-b}$ donde R_{1-a} y R_{1-b}, son como se definió anteriormente,

(13) $-CO-\ NR_{1-a}\ R_{1-b}$ donde R_{1-a} y R_{1-b} son como se definió anteriormente,

(14) $-SO_2-$ (alquilo C_1-C_4),

(15) =O, con la condición de que cuando n_1 es cero $R_{1-heteroarilo}$ no esta enlazado a la cadena de carbono mediante nitrógeno;

donde R_2 se selecciona de un grupo que consiste de:

(I) -H,

(II) Alquilo C_1-C_6, opcionalmente sustituido con uno, dos o tres sustituyentes seleccionados del grupo que consiste de alquilo C_1-C_3, -F, -Br, -I, -OH, -SH, C≡N, $-CF_3$, alcoxi C_1-C_3 $NR_{1-a}\ R_{1-b}$ donde R_{1-a} y R_{1-b} son como se definió anteriormente,

(III) $-(CH_2)_{0-4}R_{2-1}$ donde R_{2-1} es $R_{1-arilo}$ o $R_{1-heteroarilo}$ donde $R_{1-aroilo}$ y $R_{1-heteroarilo}$ son como se definió anteriormente;

(IV) Alquenilo C_2-C_6 con uno o dos dobles enlaces opcionalmente sustituidos con uno, dos o tres sustituyentes seleccionados del grupo que consiste de -F,-Cl, -OH, -SH, -C≡N, $-CF_3$, alcoxi C_1-C_3, NR_{1-a} R_{1-b} donde R_{1-a} y R_{1-b} son -H o alquilos C_1-C_6.

(V)Alquenilo C_2-C_6 con uno o dos triples enlaces, opcionalmente sustituido con uno, dos o tres sustituyentes seleccionados del grupo que consiste de -F, -Cl, -OH, -SH, -C≡N, $-CF_3$, acloxi C_1-C_3, $-NR_{1-a}$ R_{1-B} donde R_{1-a} y R_{1-b} son -H o alquilo C_1-C_6.

(VI) $-(CH_2)_{0-4}$ $-C_3$-C_7 cicloalquilo, opcionalmente sustituido con uno, dos o tres sustituyentes seleccionados del grupo que consiste de -F, -Cl, -OH, -SH, -C≡N, $-CF_3$, alcoxi C_1-C_3, $-NR_{1-a}$ R_{1-b} donde R_{1-a} y R_{1-b} son -H o alquilos C_1-C_6,

Donde R_3 se selecciona de un grupo que consiste de :

(I) -H

(II) Alquilo C_1-C_6, opcionalmente sustituido con uno, dos o tres sustituyentes seleccionados del grupo que consiste de alquilo C_1-C_3, -F, -Cl, -Br, -I, -OH, -SH, -C≡H, $-CF_3$, alcoxi C_1-C_3, $-NR_{1-a}$ R_{1-b} donde R_{1-a} y R_{1-b} son como se definió anteriormente,

(III) $-(CH_2)_{0-4}$ $-R_{2-1}$ donde R_{2-1} donde R_{2-1} es $R_{1-arilo}$ o $R_{1-heteroarilo}$ donde $R_{1-heteroarilo}$ $R_{1-arilo}$ son como se definió anteriormente.

(IV) alquenilo C_2-C_6 con uno o dos dobles enlaces,

(V) Alquinilo con uno o dos triples enlaces,

(VI) $-(CH_2)_{0-4}$-C_3-C_7 cicloalquilo, opcionalmente sustituido con uno, dos o tres sustituyentes seleccionados del grupo que consiste de -F, -Cl, -OH, -SH, -C≡N, $-CF_3$, alcoxi C_1-C_3, $-NR_{1-a}$ R_{1-b} donde R_{1-a} o R_{1-b} son -H o alquilo C_1-C_6 y donde R_2 y R_3 son tomados juntos con el carbono al cual ellos están unidos para formar un

carbociclo de tres, cuatro, cinco y siete átomos de carbono, opcionalmente donde el átomo de carbono es reemplazado por un heteroátomo seleccionado del grupo que consiste de -O-, -S-, $-SO_2-$, $-NR_{N-2}$ donde R_{n-2} es como se define adelante;

Donde R_n es:

(I) $R_{N-1}-X_N-$ donde X_N es selecciona del grupo que consiste de:

(A) -CO-,

(B) $-SO_2-$,

(C) $-(CR'R'')_{1-6}$ donde R' y R'' son iguales o diferentes y son -H y alquilo C_1-C_4.

(D) $-CO-(CR'R'')_{1-6}-X_{N-1}$ donde X_{n-1} se selecciona del grupo que consiste de -O-, -S- y -NR'- y donde R' y R'' son como se definió anteriormente,

(E) Un enlace simple;

Donde R_{N-1} se selecciona de un grupo que consiste de:

(A) $R_{N-arilo}$ donde $R_{n-arilo}$ es fenilo, 1-naftilo, 2-naftilo, tetralinilo, indanilo, didronoftilo o 6, 7, 8 , 9-tetrahidro-5h-benzo [a] cicloeptenilo, opcionalmente sustituido conuno, dos o tres de los siguientes sustituyentes que pueden ser iguales o diferentes y son:

(1) Alquilo C_1-C_6, opcionalmente sustituido con uno, dos o tres sustituyentes seleccionados del grupo que consiste alquilo C_1-C_3, -F. Cl, -Br, -I, -OH, -SH, -C≡N, -CF_3, alcoxi C_1-C_3,-NR_{1-a} R_{1-b} donde R_{1-a} y R_{1-b} son como se definió anteriormente,

(2) -OH,

(3) -NO_2

(4)-F, -Cl, -Br, -I

(5) -CO-OH

(6) -C≡N

(7) $-(CH_2)_{0-4}-CO-NR_{N-2}R_{N-3}$ donde R_{N-2} y R_{N-3} son iguales o diferentes y se seleccionan del grupo que consiste de:

(a) -H,

(b) alquilo C_1-C_6 opcionalmente sustituido opcionalmente sustituido con un sustituyente seleccionado del grupo que consiste de:

(i) -H

(ii) - NH_2

(c) Alquilo C_1-C_6 opcionalmente sustituido con uno a tres -F, Cl, -Br, -I,

(d) cicloalquilo - C_3-C_7

(e) -(alquilo C_1-C2)-(cicloalquilo C-C_7),

(f) -(alquilo C_1-C_6)-O-(alquilo C_1-C_3)

(g) Alquenilo-C2-C_6 con uno o dos dobles enlaces

(h) alquinilo -C2-C_6 con uno o dos triples enlaces

(i) cadena de alquilo -C_1-C_6 con un doble enlace y un triple enlace

(j) -$R_{1\text{-arilo}}$ donde $R_{1\text{-arilo}}$ es como se definió anteriormente

(k) -$R_{1\text{ -heteroarilo}}$ donde $R_{1\text{ -heteroarilo}}$ es como se definió anteriormente,

(8) - $(CH_2)_{0-4}$-CO-(alquilo C_1-C_{12}),

(9) - $(CH_2)_{0-4}$-CO-(alquinilo C_2-C_{12} con uno, dos o tres enlaces dobles),

(10) - $(CH_2)_{0-4}$-CO-(alquinilo C_2-C_{12} con uno, dos o tres triples enlaces),

(11) - $(CH_2)_{0-4}$-CO-(cicloalquilo C_3-C_7),

(12) - $(CH_2)_{0-4}$-CO-$R_{1\text{-arilo}}$ donde $R_{1\text{-arilo}}$ es como se definió anteriormente,

(13) - $(CH_2)_{0-4}$-CO-$R_{1\text{-heteroarilo}}$ donde $R_{1\text{-heteroarilo}}$ es como se definió anteriormente,

(14) – $(CH_2)_{0-4}$-CO-$R_{1-heterociclo}$ donde $R_{1-heterociclo}$ es como se definió anteriormente,

(15) – $(CH_2)_{0-4}$-CO-R_{N-4} donde R_{N-4} se selecciona al grupo que consiste de morfolinilo, tiomorfolinilo, piperazinilo, piperidinilo, homomorfolinilo, homotiomorfolinilo, S-oxido de homotiomorfolinilo, S, S-dióxido de homotiomorfolinilo, pirrolinilo y pirrolidinilo donde cada grupo es opcionalmente substituido con uno, dos, tres, o cuatro de alquilo C_1-C_6,

(16) – $(CH_2)_{0-4}$-CO-O-R_{N-5} donde R_{N-5} se selecciona al grupo que consiste de:

(a) alquilo C_1-C_6,

(b) – $(CH_2)_{0-2}$-$(R_{1-arilo})$ donde $R_{1-arilo}$ es como se definió anteriormente,

(c) alquenilo C_2-C_6 que contiene uno o dos dobles enlaces,

(d) alquinilo C_2-C_6 que contiene uno o dos triples enlaces,

(e) cicloalquilo C_3-C_7,

(f) – $(CH_2)_{0-2}$-$(R_{1-heteroarilo})$ donde $R_{1-heteroarilo}$ es como se definió anteriormente,

(17) – $(CH_2)_{0-4}$-SO_2-NR_{N-2}-R_{N-3} donde R_{N-2} y R_{N-3} son como se definió anteriormente,

(18) - $(CH_2)_{0-4}$-SO-(alquilo C_1-C_8),

(19) - $(CH_2)_{0-4}$-SO_2-(alquilo C_1-C_{12}),

(20) - $(CH_2)_{0-4}$-SO_2-(cicloalquilo C_3-C_7),

(21) - $(CH_2)_{0-4}$-N(H o R_{N-5})-CO-O-R_{N-5} donde R_{N-5} puede ser igual o diferente y es como se definió anteriormente,

(22) - $(CH_2)_{0-4}$-N(H o R_{N-5})-CO-N$(R_{N-5})_2$, donde R_{N-5} puede ser igual o diferente y es como se definió anteriormente,

(23) - $(CH_2)_{0-4}$-N-CS-N$(R_{N-5})_2$, donde R_{N-5} puede ser igual o diferente y es como se definió anteriormente,

(24) - $(CH_2)_{0-4}$-N(-H o R_{N-5})-CO-R_{N-2}, donde R_{N-5} y R_{N-2} pueden ser iguales o diferentes y son como se definieron anteriormente,

(25) - $(CH_2)_{0-4}$-N$R_{N-2}R_{N-3}$, donde R_{N-2} y R_{N-3} pueden ser iguales o diferentes y son como se definieron anteriormente,

(26) - $(CH_2)_{0-4}$-R_{N-4} donde R_{N-4} es como se definió anteriormente,

(27) - $(CH_2)_{0-4}$-O-CO-(alquilo C_1-C_6),.

(28) - $(CH_2)_{0-4}$-O-P(O) -$(OR_{N-arilo-1})_2$ donde $R_{N-arilo-1}$ es-H 0o alquilo C_1-C_4,

(29) - $(CH_2)_{0-4}$-O-CO-N$(R_{N-5})_2$ donde R_{N-5} es como se definió anteriormente,

(30) - $(CH_2)_{0-4}$-O-CS-N$(R_{N-5})_2$ donde $-R_{N-5}$ es como se definió anteriormente,

(31) - $(CH_2)_{0-4}$-O- $(R_{N-5})_2$ donde R_{N-5} es-como se definió anteriormente.

(32) - $(CH_2)_{0-4}$-$(R_{N-5})_2$ - COOH donde R_{N-5} es-como se definió anteriormente,

(33) - $(CH_2)_{0-4}$-S-$(R_{N-5})_2$ donde R_{N-5} escomo se definió anteriormente.

(34) - $(CH_2)_{0-4}$-O-(alquilo C_1-C_6 opcionalmente sustituido con uno, dos, tres, cuatro o cinco de -F),

(35) cicloalquilo C_3-C_7,

(36) alquenilo C_2-C_6 con uno o dos dobles enlaces opcionalmente sustituido con alquilo C_1-C_3, -F, CL, Br, I, -OH, -SH, -C≡N, $-CF_3$, alcoxi C_1-C_3, $-NR_{1-a}$ R_{1-b} donde NR_{1-a} R_{1-b} son como se definió anteriormente,

(37) - alquinilo C_2-C_6 con uno o dos triples enlaces opcionalmente sustituido con alquilo C_1-C_3, -F, CL, Br, I, -OH, -SH, -C≡N, $-CF_3$, alcoxi C_1-C_3, -NR_{1-a} R_{1-b} donde NR_{1-a} R_{1-b} son como se definió anteriormente.

(38) - $(CH_2)_{0-4}$-N(-H o R_{N-5}) - SO_2-R_{N-2} donde -R_{N-5} y R_{N-2} pueden ser iguales o diferentes si son como se describió anteriormente,

(39) - $(CH_2)_{0-4}$-cicloalquilo C_3-C_7,

(B)- $R_{N-\ heteroarilo}$ donde $R_{N-heteroarilo}$ se selecciona del grupo que consiste de:

piridinilo,

pirimidinilo,

quinolinilo,

benzotienilo,

indolilo,

indolinilo,

priidazinilo,

pirazinilo,

isoindolilo,

isoquinolilo,

quinazolinilo,

quinoxalinilo,

eftalazinilo,

imidazolilo,

isoxazolilo,

pirazolilo,

oxazolilo,

tiazolilo,

indolizinilo,

indazolilo,

benzotiazolilo,

benzimidazolilo,

benzofuranilo,

furanilo,

tienilo,

pirrolilo,

oxadiazolilo,

tiadiazolilo,

triazolilo,

tetrazolilo,

oxazolopiridinilo,

imidazopiridinilo,

isotiazolilo,

naftiridinilo,

cinolinilo,

carbazolilo,

beta-carbolinilo,

isocromanilo,

cromanilo,

tetrahidroisoquinolinilo,

isoindolinilo,

isobenzotetrahidrofuranilo,

isobenzotetrahidrotienilo,

isobenzotienilo,

benzoxazolilo,

piridopiridinilo,

benzotetrahidrofuranilo,

benzotetrahidrotienilo,

purinilo,

benzodioxolilo,

triazinilo,

henoxazinilo.

fenotiazinilo,

teridinilo,

benzotiazolilo,

imidazopiridinilo,

imidazotiazolilo,

dihidrobenzisoxazinilo,

benzisoxazinilo,

benzoxazinilo,

dihidrobenzisotiazinilo,

benzopiranilo,

benzotiopiranilo,

cumarinilo,

isocoumarinilo,

cromonilo,

cromanonilo,

N-óxido de piridinilo,

tetrahidroquinolinilo,

dihidroquinolinilo,

dihidroquinolinonilo,

dihidroisoquinolinonilo,

dihidrocoumarinilo,

dihidroisocoumarinilo,

isoindolinonilo,

benzodioxanilo,

benzoxazolinonilo,

N-óxido de pirrolilo,

N-óxido de pirimidinilo,

N-oxido de piridazinilo,

N-óxido de pirazinilo,

N-óxido de quinolinilo,

N-óxido de indolilo,

N-óxido de indolinilo,

N-óxido de isoquinolilo,

N-óxido de quinazolinilo,

N-óxido de ftalazinilo,

N-óxido de imidazolilo,

N-óxido de isoxazolilo,

N-óxido de oxazolilo,

N-óxido de tiazolilo,

N-óxido de indolizinilo,

N-óxido de indazolilo,

N-óxido de benzotiazolilo,,

N-óxido de benzimidazolilo,

N-óxido de pirrolilo,

N-óxido de oxadiazolilo,

N-óxido de tiadiazolilo,

N-óxido de triazolilo,

N-óxido de tetrazolilo,

S-óxido de benzotiopiranilo,

S, S - dióxido de benzotiopiranilo,

Donde el grupo $R_{N\text{-heteroarilo}}$ esta unido mediante un átomo del grupo $R_{N\text{-heteroarilo}}$ padre sustituido mediante hidrógeno tal que el nuevo enlace al grupo $R_{N\text{-heteroarilo}}$ reemplaza el átomo de hidrogeno y está unido, donde el heteroarilo es opcionalmente sustituido con uno, dos, tres, o cuatro de:

(1) alquilo C_1-C_6 opcionalmente sustituido con uno, dos o tres sustituyentes seleccionados del grupo que consiste de alquilo C_1-C_3, -F, -CL, -Br, -I, -OH, -SH, -C ≡N, -CF_3, alcoxi C_1-C_3, -NR_{1-a} R_{1-b} donde R_{1-a} R_{1-b} son como se definió anteriormente.

(2) -OH

(3) $-NO_2$

(4) -F, -CL, Br, -I,

(5) -CO-OH,

(6) -C≡N,

(7) $-(CH_2)_{0-4}-CO-NR_{N-2}R_{N-3}$ donde RN_{N-2} y R_{N-3} son iguales o diferentes y se seleccionan del grupo que consiste de:

(a)-H

(b) alquilo C_1-C_6 opcionalmente sustituido con un sustituyente seleccionado del grupo que consiste de:

(i) -OH,

(ii) $-NH_2$,

(c) - alquilo C_1-C_6 opcionalmente sustituido con uno a tres -F, -CL, -Br, -I,

(d) cicloalquilo - C_3-C_7,

(e) (alquilo $-C_1-C_2$)-(cicloalquilo C_3-C_7),

(f) (alquilo $-C_1-C_6$)-O-(alquilo C_1-C_3),

(g) alquenilo $-C_2-C_6$ con uno o dos dobles enlaces,

(h) alquinilo $-C_2-C_6$ con uno o dos triples enlaces,

(i) cadena de alquilo $-C_1-C_6$ con un doble enlace y un triple enlace,

(j) - $R_{1-arilo}$ donde $R_{1-arilo}$ es como se definió anteriormente,

(k) - $R_{1-heteroarilo}$ donde $R_{1-heteroarilo}$ es como se definió anteriormente,

(8) $-(CH_2)_{0-4}-CO-$(alquilo C_1-C_{12}),

(9)$-(CH_2)_{0-4}-CO-$ alquenilo C_2-C_{12} con uno, dos o tres dobles enlaces),

(10) $-(CH_2)_{0-4}-CO-$ (alquinilo C_2-C_{12} con uno, dos o tres triples enlaces),

(11) $-(CH_2)_{0-4}-CO-$ cicloalquilo C_3-C_7),

(12) $-(CH_2)_{0-4}-CO-R_{1-arilo}$ donde $R_{1-arilo}$ es como se definió anteriormente,

(13) $-(CH_2)_{0-4}-CO-R_{1-heteroarilo}$ donde $R_{1-heteroarilo}$ es como se definió anteriormente,

(14)- $(CH_2)_{0-4}-CO-R_{1-heterociclo}$ donde $R_{1-heterociclo}$ es como se definió anteriormente,

(15)- $(CH_2)_{0-4}-CO-R_{N-4}$ donde R_{N-4} se selecciona del grupo que consiste de morfolinilo, tiomorfolinilo, piperazinilo, piperidinilo, homomorfolinilo, homotiomorfolinilo, S-óxido de

homotiomorfolinilo, S,S-dióxido de homotiomorfolinilo, pirrolinilo y pirrolidinilo donde cada grupo es opcionalmente sustituido con uno, dos, tres o cuatro de alquilo C_1-C_6,

(16) $-(CH_2)_{0-4}-CO-O-R_{N-5}$ donde R_{N-5} se selecciona del grupo que consiste de:

(a) alquilo C_1-C_6,

(b) $-(CH_2)_{0-2}$ $(-R_{1-arilo})$ donde $R_{1-arilo}$ es como se definió anteriormente,

(c) alquinilo C_2-C_6 que contiene uno o dos dobles enlaces,

(d) alquinilo C_2-C_6 que contiene uno o dos triples enlaces,

(e) cicloalquilo C_3-C_7,

(f) $-(CH_2)_{0-2}$ $-(R_{1-heteroarilo})$ donde $R_{1-heteroarilo}$ es como se definió anteriormente,

(17) $-(CH_2)_{0-4}$ $-SO_2-NR_{N-2}-$ R_{N-3} donde R_{N-2} y R_{N-3} son como se definió anteriormente,

(18)- $(CH_2)_{0-4}$ - SO -(alquilo C_1-C_8),

(19)- $(CH_2)_{0-4}$ - SO_2 -(alquilo C_1-C_{12}),

(20) - $(CH_2)_{0-4}$ - SO_2 -(cicloalquilo C_3-C_7),

(21)- $(CH_2)_{0-4}$ $-N(H$ o $R_{N-5})$ $-CO-O$ R_{N-5} donde R_{N-5} puede ser igual o diferente y es como se definió anteriormente,

(22)- $(CH_2)_{0-4}$-N(H o R_{N-5}) -CO-N(R_{N-5})$_2$, donde R_{N-5} puede ser igual o diferente y es como se definió anteriormente,

(23) -$(CH_2)_{0-4}$-N-CS -N(R_{N-5})$_2$, donde R_{N-5} puede ser igual o diferente y es como se definió anteriormente,

(24) - $(CH_2)_{0-4}$-N(H o R_{N-5}) -CO- R_{N-2} donde R_{N-5} y R_{N-2} puede ser igual o diferente y es como se definió anteriormente,

(25) - $(CH_2)_{0-4}$-NR_{N-2} R_{N-3} donde R_{N-2} y R_{N-3} puede ser igual o diferente y es como se definió anteriormente,

(26) - $(CH_2)_{0-4}$-R_{N-4} donde R_{N-4} es como se definió anteriormente,

(27) -$(CH_2)_{0-4}$-O-CO -(alquilo C_1-C_6),

(28) -$(CH_2)_{0-4}$-O -P (O) -($OR_{N-arilo-1}$)$_2$ donde $R_{N-arilo-1}$ es -H o alquilo C_1-C_4,

(29) -$(CH_2)_{0-4}$-O-CO-N(R_{N-5}) $_2$ donde R_{N-5} es como se definió anteriormente,

(30) -$(CH_2)_{0-4}$-O-CS-N(R_{N-5})$_2$ donde R_{N-5} es como se definió anteriormente,

(31)- $(CH_2)_{0-4}$-O-(R_{N-5})$_2$ donde R_{N-5} es como se definió anteriormente,

(32) $-(CH_2)_{0-4}-O-(R_{N-5})_2$ -COH donde R_{N-5} es como se definió anteriormente,

(33)- $(CH_2)_{0-4}-S-(R_{N-5})_2$ donde R_{N-5} es como se definió anteriormente,

(34) $-(CH_2)_{0-4}-O-$(alquilo C_1-C_6 opcionalmente sustituido con uno, dos, tres, cuatro, o cinco de -F),

(35) cicloalquilo C_3-C_7,

(36) alquenilo C_2-C_6 con uno o dos dobles enlaces opcionalmente sustituido con alquilo C_1-C_3, F, -CL, -Br, -I, -OH, -SH, -C≡N, $-CF_3$ alcoxi C_1-C_3, NR_{1-a} R_{1-b} donde R_{1-a} y R_{1-b} son como se definió anteriormente,

(37) alquinilo C_2-C_6 con uno o dos triples enlaces opcionalmente sustituido con alquilo C_1-C_3, -F, CL, -Br, -I, -OH, -SH, -C≡N, $-CF_3$ alcoxi C_1-C_3, NR_{1-a} R_{1-b} donde R_{1-a} y R_{1-b} son como se definió anteriormente,

(38) - $(CH_2)_{0-4}-N(-H$ o $R_{N-5})$ $-SO_2-$ R_{N-2} donde R_{N-5} y R_{N-2} pueden ser iguales o diferente y son como se definió anteriormente,

(39)- $(CH_2)_{0-4}$-cicloalquilo C_3-C_7,

(C) $R_{N-arilo}$ $-W-R_{N-arilo}$,

(D) $R_{N-arilo}$ $-W-R_{N-heteroarilo}$,

(E) $R_{N\text{-arilo}}$ $-W-R_{N\text{-1-heterociclo}}$, donde $R_{N\text{-heterociclo}}$ esta definido como $R_{1\text{-heterociclo}}$ es como se definió anteriormente,

(F) $R_{N\text{-heteroarilo}}$ $-W-R_{N\text{-arilo}}$,

(G) $R_{N\text{-heteroarilo}}$ $-W-R_{N\text{-heteroarilo}}$,

(H) $R_{N\text{-heteroarilo}}$ $-W-R_{N\text{-1-heterociclo}}$, donde $R_{N\text{-1-heterociclo}}$ es definido como $R_{\text{-1-heterociclo}}$ como se definió anteriormente,

(I) $R_{N\text{-heterociclo}}$ $-W-R_{N\text{-arilo}}$,

(j) $R_{N\text{-heterociclo}}$ $-W-R_{N\text{-heteroarilo}}$,

(K) $R_{N\text{-heterociclo}}$ $-W-R_{N\text{-1-heterociclo}}$,

donde W es

(1)- $(CH_2)_{0-4}$-,

(2)-O-,

(3) $-S(O)_{0-2}-$,

(4) $-N(R_{N-5})$ -donde R_{N-5} es como se definió anteriormente, o

(5) -CO-;

(II) -CO-(alquilo C_1-C_{10}) donde el alquilo es opcionalmente sustituido con uno, dos o tres sustituyentes seleccionados del grupo que consiste de:

(A) -OH,

(B) alcoxi $-C_1$-C_6,

(C) tioalcoxi $-C_1$-C_6,

(D)-CO-O-R_{N-8} donde R_{N-8} es -H, alquilo C_1-C_6 o fenilo,

(E) -CO-N R_{N-2} R_{N-3} donde R_{N-2} R_{N-3} son iguales o diferentes y son como se definió anteriormente,

(F)- CO-R_{N-4} donde R_{N-4} es como se definió anteriormente,

(G) -SO_2-(alquilo C_1-C_6).

(H) -SO_2-NR_{N-2} R_{N-3} donde R_{N-2} Y R_{N-3} son iguales o diferentes y son como se definió anteriormente,

(I) -NH-CO-(alquilo C_1-C_6),

(J) -NH -CO-O-R_{N-8} donde R_{N-8} es como se definió anteriormente,

(K)-$NR_{N-2}R_{N-3}$ donde R_{N-2} Y R_{N-3} son iguales o diferentes y son como se definió anteriormente,

(L) -R_{N-4} donde R_{N-4} es como se definió anteriormente,

(M) -O-CO-alquilo C_1-C_6,

(N) -O-CO-NR_{N-8} R_{N-8} donde R_{N-8} es igual o diferente y es como se definió anteriormente,

(O) -O-(alquilo C_1-C_5)-COOH,

(P) -O-(alquilo C_1-C_6 opcionalmente sustituido con uno, dos o tres de -F, -CL, -Br, -I),

(Q) -NH-SO_2-(alquilo C_1-C_6),

(R)-F,-CL,

(III)-CO-(alquilo C_1-C_6)-O-(alquilo C_1-C_6) donde el alquilo esta opcionalmente sustituido con uno, dos, o tres sustituyentes seleccionados del grupo que consiste de

(A) (A) -OH,

(B) alcoxi -C_1-C_6,

(C) tioalcoxi -C_1-C_6,

(D)-CO-O-R_{N-8} donde R_{N-8} es -H, alquilo C_1-C_6 o -fenilo,

(E) -CO-N R_{N-2} R_{N-3} donde R_{N-2} y R_{N-3} son iguales o diferentes y son como se definió anteriormente,

(F)- CO-R_{N-4} donde R_{N-4} es como se definió anteriormente,

(G) SO_2-(alquilo C_1-C_8).

(H) -SO_2-NR_{N-2} R_{N-3} donde R_{N-2} Y R_{N-3} son iguales o diferentes y son como se definió anteriormente,

(I) -NH-CO-(alquilo C_1-C_6),

(J) -NH -CO-O-R_{N-8} donde R_{N-8} es como se definió anteriormente,

(K)-$NR_{N-2}R_{N-3}$ donde R_{N-2} Y R_{N-3} son iguales o diferentes y son como se definió anteriormente,

(L) -R_{N-4} donde R_{N-4} es como se definió anteriormente,

(M) -O-CO-alquilo C_1-C_6 ,

(N) $-O-CO-NR_{N-8}$ R_{N-8} donde R_{N-8} es igual o diferente y es como se definió anteriormente,

(O) -O-(alquilo C_1-C_5)-COOH,

(P) -O-(alquilo C_1-C_6 opcionalmente sustituido con uno, dos o tres de -F, -CL, -Br, -I),

(Q) $-NH-SO_2$-(alquilo C_1-C_6),

(R)-F,-CL,

(IV)-CO-(alquilo C_1-C_6)-S-(alquilo C_1-C_6)donde el alquilo es opcionalmente sustituido con uno, dos, o tres de los sustituyentes seleccionados del grupo que consiste de

(A) -OH,

(B) alcoxi -C_1-C_6,

(C) tioalcoxi -C_1-C_6,

(D)-CO-O-R_{N-8} donde R_{N-8} es como se definió anteriormente

(E) $-CO-NR_{N-2}R_{N-3}$ donde R_{N-2} y R_{N-3} son iguales o diferentes y son como se definió anteriormente,

(F)- CO-R_{N-4} donde R_{N-4} es como se definió anteriormente,

(G) $-SO_2$-(alquilo C_1-C_6),

(H) $-SO_2-NR_{N-2}$ R_{N-3} donde R_{N-2} y R_{N-3} son iguales o diferentes y son como se definió anteriormente,

(I) -NH-CO-(alquilo C_1-C_6),

(J) $-NH-CO-O-R_{N-8}$ donde R_{N-8} es como se definió anteriormente,

(K) $-NR_{N-2}R_{N-3}$ donde R_{N-2} Y R_{N-3} son iguales o diferentes y son como se definió anteriormente,

(L) R_{N-4} donde R_{N-4} es como se definió anteriormente,

(M) -O-CO-alquilo C_1-C_6),

(N) $-O-CO-NR_{N-8}R_{N-8}$ donde R_{N-8} es igual o diferente y es como se definió anteriormente,

(O) -O-(alquilo C_1-C_5)-COOH,

(P) -O-(alquilo C_1-C_6 opcionalmente sustituido con uno, dos o tres de -F, -CL, -Br, -I),

(Q) $-NH-SO_2$-(alquilo C_1-C_6),

(R) -F, -CL,

(V) $-CO-CH(-(CH_2)_{0-2}-O-R_{N-10})-(CH_2)0-2-R_{N-arilo}/R_{N-heteroarilo}$) donde $R_{N-arilo}$ y $R_{N-heteroarilo}$ son como se definió anteriormente, donde R_{N-10} se selecciona del grupo que consiste de:

(A) -H,

(B) alquilo $-C_1$-C_6,

(C) cicloalquilo $-C_1$-C_6,

(D) alquenilo C_2-C_6 con un doble enlace,

(E) alquinilo C_2 -C_6 con un triple enlace,

(F) $R_{1-arilo}$ donde $R_{1-arilo}$ es como se definió anteriormente,

(G) RN-heteroarilo donde RN-heteroarilo es como se definió anteriormente,

(VI) -CO-(cicloalquilo C_3-C_8) donde alquilo es opcionalmente sustituido con uno o dos sustituyentes seleccionados del grupo que consiste de:

(A) $-(CH_2)_{0-4}-OH$,

(B) $-(CH_2)_{0-4}-C_1-C_6$ alcoxi,

(C) $-(CH_2)_{0-4}-C_1-C_6$ tioalcoxi,

(D) $-(CH_2)_{0-4}-CO-O-R_{N-8}$ donde R_{N-8} es -H, alquilo C_1-C_6 O -fenilo,

(E) $-(CH_2)_{0-4}-CO-NR_{N-2}\ R_{N-3}$ donde R_{N-2} y R_{N-3} son iguales diferentes y son como se definió anteriormente,

(F) $-(CH_2)_{0-4}-CO-R_{N-4}$ donde R_{N-4} es como se definió anteriormente,

(G) $-(CH_2)_{0-4}-SO_2-$(alquilo C_1-C_8),

(H) $-(CH_2)_{0-4}-SO_2-O-NR_{N-2}\ R_{N-3}$ donde R_{N-2} y R_{N-3} son iguales o diferentes y son como se definió anteriormente,

(I) $-(CH_2)_{0-4}-NH-CO-$(alquilo C_1-C_6),

(J) $-NH-CO-O-R_{N-8}$ donde R_{N-8} es como se definió anteriormente,

(K) $-(CH_2)_{0-4}-NR_{N-2}R_{N-3}$ donde R_{N-2} y R_{N-3} son iguales o diferentes y son como se definió anteriormente,

(L) $-(CH_2)_{0-4}-R_{N-4}$ donde R_{N-4} es como se definió anteriormente,

(M) $-O-CO-$(alquilo C_1-C_6),

(N) $-O-CO-NR_{N-8}$ R_{N83} donde los R_{N-8} son iguales o diferentes y como se definieron anteriormente,

(O) $-O-$(alquilo C_1-C_5)$-COOH$,

(P) $-O-$(alquilo C_1-C_6)opcionalmente sustituido con uno, dos, o tres de -F, -CL, -Br, -I),

(Q) $-NH-SO_2-$(alquilo C_1-C_6),

(R)-F, -CL,

donde R_C es:

(I)alquilo C_1-C_{10} opcionalmente sustituido con uno, dos o tres sustituyentes seleccionados del grupo que consiste de alquilo C_1-C_3, -F, -CL, -Br, -I, -OH, -SH, -C≡N, $-CF_3$, alcoxi C_1-C_6, -O-fenilo, $-NR_{1-a}$ R_{1-b} donde R_{1-a} y R_{1-b} son como se definió anteriormente, -OC=O NR_{1-a} R_{1-b} donde R_{1-a} y R_{1-b} son como se definió anteriormente, $-S(=O)_{0-2}R_{1-a}$ donde R_{1-a} es como se definió anteriormente, y

un NR_{1-a} C=O NR_{1-a} R_{1-b} donde R_{1-a} y R_{1-b} son como se definió anteriormente, -C=O NR_{1-a} R_{1-b} donde R_{1-a} y R_{1-b} son como se definió anteriormente, y $-S(=O)_2$ NR_{1-a} RN_{1-b} donde R_{1-a} y R_{1-b} son como se definió anteriormente,

(II)-$(CH2)_{0-3}$-(C_3-C_8)cicloalquilo donde el cicloalquilo puede ser opcionalmente sustituido con uno, dos o tres sustituyentes seleccionados del grupo que consiste de alquilo C_1-C_3, -F, CL, Br, -I, OH, -SH, -C≡N, $-CF_3$, alcoxi C_1-C_6, -O-fenilo, CO-OH, -CO-O-(alquilo C_1-C_4), $-NR_{1-a}$ R_{1-b} donde R_{1-a} y R_{1-b} son como se definió anteriormente,

(III)-$(CR_{C-x}$ $R_{C-y})_{0-4}$-$R_{C-arilo}$ donde R_{C-x} y R_{C-y} son -H,

alquilo C_1-C_4 opcionalmente sustituido con uno o dos -OH,

alcoxi C_1-C_4 opcionalmente sustituido con uno, dos, o tres de -F.

$-(CH_2)_{0-4}$ $-C_3-C_7$ cicloalquilo,

alquenilo C_2-C_6 que contiene uno o dos dobles enlaces,

alquinilo C_2-C_6 que contiene uno o dos triples enlaces,

fenilo,

y donde R_{C-x} Y R_{C-y} son tomados juntos con el carbono al cual ellos están unidos para formar un carbociclo de tres, cuatro, cinco, seis y siete átomos de carbono, opcionalmente donde un átomo de carbono es reemplazado mediante un heteroátomo seleccionado del grupo que consiste de -O-S,-SO_2, -NR_{N-2} Y $R_{C-arilo}$ se define como $R_{N-arilo}$ esta definido anteriormente;

(IV)-$(CR_{C-x}\ R_{C-y})_{0-4}$-$R_{C-heteroarilo}$ donde $R_{C-heteroarilo}$ esta definido como $R_{N-heteroarilo}$ esta definido anteriormente y R_{C-8} R_{C-y} son como se definió anteriormente,

(V)-$(CR_{C-x}\ R_{C-y})_{0-4}$ -$R_{C-arilo}$ -$R_{C-arilo}$ donde $R_{C-arilo}$ R_{C-x} y R_{C-y} son como se definió anteriormente,

(VI)-$(CR_{C-x}\ R_{C-y})_{0-4}$-$R_{C-arilo}$ $R_{C-heteroarilo}$ donde $R_{C-arilo}$, $R_{C-heteroarilo}$, R_{C-x} y R_{C-y} son como se definió anteriormente,

(VII)- $(CR_{C-x}\ R_{C-y})_{0-4}$-$R_{C-heteroarilo}$ $R_{C-arilo}$ donde $R_{C-heteroarilo}$, $R_{C-arilo}$, R_{C-x} y R_{C-y} son como se definió anteriormente,

(VIII) -$(CR_{C-x}\ R_{C-y})_{0-4}$-$R_{C-heteroarilo}$ $R_{C-heteroarilo}$ donde $R_{C-heteroarilo}$, R_{C-x} y R_{C-y} son como se definió anteriormente,

(IX)-$(CR_{C-x}\ R_{C-y})_{0-4}$-$R_{C-arilo}$ -$R_{C-heterociclo}$ donde $R_{C-arilo}$, R_{C-x} y R_{C-y} son como se definió anteriormente, y $R_{C-heterociclo}$ esta definido como $R_{N-heterociclo}$ esta definido anteriormente,

(X)-$(CR_{C-x}\ R_{C-y})_{0-4}$-$R_{C-heteroarilo}$ $R_{C-heterociclo}$ donde $R_{C-heteroarilo}$, $R_{C-heterociclo}$, R_{C-x} y R_{C-y} son como se definió anteriormente,

(XI)-$(CR_{C-x}\ R_{C-y})_{0-4}$-$R_{C-heterociclo}$ $R_{C-arilo}$ donde $R_{C-heterociclo}$, $R_{C-arilo}$, R_{C-x} y R_{C-y} son como se definió anteriormente,

(XII)-$(CR_{C-x}\ R_{C-y})_{0-4}$-$R_{C-heterociclo}$ $R_{C-heteroarilo}$ donde $R_{C-heterociclo}$, $R_{C-heteroarilo}$, R_{C-x} y R_{C-y} son como se definió anteriormente,

(XIII)-$(CR_{C-x}\ R_{C-y})_{0-4}$-$R_{C-heterociclo}$ $R_{C-heterociclo}$ donde $R_{C-heterociclo}$, R_{C-x} y R_{C-y} son como se definió anteriormente,

(IV)-$(CR_{C-x}\ R_{C-y})_{0-4}$-$R_{C-heterociclo}$ donde $R_{C-heterociclo}$ R_{C-x} y R_{C-y} son como se definió anteriormente,

(XV)-$[CR_{C-1}\ )R_{C-2})]_{1-3}$-CO-N-$(R_{C-3}\ )_2$ donde R_{C-1} R_{C-2}, son iguales o diferentes y son seleccionados del grupo que consiste de:

(A)-H,

(B)-alquilo C_1-C_6, opcionalmente sustituido con uno, dos o tres sustituyentes seleccionados del grupo que consiste de alquilo C_1-C_3, -F, -CL, -Br, -I, -OH, -SH, -C≡N, $-CF_3$, alcoxi C_1-C_6, -O-fenilo, $-NR_{1-a}$ R_{1-b} donde R_{1-a} y R_{1-b} son como se definió anteriormente,

(C) alquenilo C_2-C_6 con uno o dos dobles enlaces, opcionalmente sustituido con uno, dos o tres sustituyentes seleccionados del grupo que consiste de alquilo C_1-C_3, -F, -CL, -Br, -I, -OH, -SH, -C≡N, $-CF_3$, alcoxi C_1-C_6, -O-fenilo, $-NR_{1-a}$ R_{1-b} donde R_{1-a} y R_{1-b} son como se definió anteriormente,

(D) alquinilo C_2-C_6 con uno alquenilo C_2-C_6 con uno o dos triples enlaces, opcionalmente sustituidos con uno, dos o tres sustituyentes seleccionados del grupo que consiste de alquilo C_1-C_3, -F, -CL, -Br, -I, -OH, -SH, -C≡N, $-CF_3$, alcoxi C_1-C_6, -O-fenilo, $-NR_{1-a}$ R_{1-b} donde R_{1-a} y R_{1-b} son como se definió anteriormente,

(E) $-(CH_2)_{1-2}-S(O)_{0-2}-$(alquilo C_1-C_6),

(F) $-(CH_2)_{0-4}-C_3$-C_7 cicloalquilo, opcionalmente sustituido con uno, dos o tres sustituyentes seleccionados del grupo que consiste de alquilo C_1-C_3, -F, -CL, -Br, -I, -OH, -SH, -C≡N, $-CF_3$, alcoxi C_1-C_6, -O-fenilo, $-NR_{1-a}$ R_{1-b} donde R_{1-a} y R_{1-b} son como se definió anteriormente,

(G) -(alquilo C_1-C_4)$R_{C'-arilo}$ donde $R_{C'-arilo}$ es como se definió para $R_{1-arilo}$,

(H) -(alquilo C_1-C_4)en $R_{C-heteroarilo}$ donde $R_{C-heteroarilo}$ es como se definió anteriormente,

(I)-(alquilo C_1-C_4)-$R_{C\text{-heterociclo}}$ donde $R_{C\text{-heterociclo}}$ es como se definió anteriormente,

(J)-$R_{C\text{-heteroarilo}}$ donde $R_{C\text{-heteroarilo}}$ es como se definió anteriormente,

(K) -$R_{C\text{-heterociclo}}$ donde $R_{C\text{-heterociclo}}$ es como se definió anteriormente,

(M)-$(CH_2)_{1-4}$-R_{C-4}-$(CH_2)_{0-4}$-$R_{C'\text{-arilo}}$ donde R_{C-4} es -O-, -S-o -NR_{C-5}- donde R_{C-5} es alquilo C_1-C_6, y donde $R_{C'\text{-arilo}}$ esta definido anteriormente,

(N) $(CH_2)_{1-4}$-R_{C-4}-$(CH_2)_{0-4}$-$R_{C\text{-heteroarilo}}$ donde R_{C-4} y RC-heteroarilo son como se definió anteriormente,

(O) -$R_{C'\text{-arilo}}$ donde $R_{C'\text{-arilo}}$ esta definido anteriormente, y donde R_{C-3} es igual o diferente es:

(A) -H,

(B) alquilo -C_1-C_6, opcionalmente sustituido con uno, dos o tres sustituyentes seleccionados del grupo que consiste de alquilo C_1-C_3, -F, -CL, -Br, -I, -OH, -SH, -C≡N, -CF_3, alcoxi C_1-C_6, -O-fenilo, -NR_{1-a} R_{1-b} donde R_{1-a} y R_{1-b} son como se definió anteriormente,

(C) alquenilo C_2-C_6 con uno o dos dobles enlaces, opcionalmente sustituido con uno, dos o tres

sustituyentes seleccionados del grupo que consiste de alquilo C_1-C_3, -F, -CL, -Br, -I, -OH, -SH, -C≡N, -CF_3, alcoxi C_1-C_6, -O-fenilo, -NR_{1-a} R_{1-b} donde R_{1-a} y R_{1-b} son como se definió anteriormente,

(D) alquinilo C_2-C_6 con uno o dos triples enlaces, opcionalmente sustituidos con uno, dos o tres sustituyentes seleccionados del grupo que consiste de alquilo C_1-C_3, -F, -CL, -Br, -I, -OH, -SH, -C≡N, -CF_3, alcoxi C_1-C_6, -O-fenilo, -NR_{1-a} R_{1-b} donde R_{1-a} y R_{1-b} son como se definió anteriormente,

(E)-$(CH_2)_{0-4}$-C_3-C_7, cicloalquilo, opcionalmente sustituido con uno dos o tres sustituyentes seleccionados del grupo que consiste de alquilo C_1-C_3, -F, -CL, -Br, -I, -OH, -SH, -C≡N, -CF_3, alcoxi C_1-C_6, -O-fenilo, -NR_{1-a} R_{1-b} donde R_{1-a} y R_{1-b} son como se definió anteriormente,

(f)-$R_{C'-arilo}$ donde $R_{C'-arilo}$ es como se definió anteriormente,

(G) $R_{C-heteroarilo}$ donde $R_{C-heteroarilo}$ es como se definió anteriormente,

(H) -$R_{C-heterociclo}$ donde $R_{C-heterociclo}$ es como se definió anteriormente,

(I)-(alquilo C_1-C_4)-$R_{C'-arilo}$ donde $R_{C'-arilo}$ es como se definió anteriormente,

(J)-(alquilo C_1-C_4)-$R_{C-heteroarilo}$ donde $R_{C-heteroarilo}$ es como se definió anteriormente,

(K)-(alquilo C_1-C_4)-$R_{C-heterociclo}$ donde $R_{C-heterociclo}$ es como se definió anteriormente,

(XVI)-$CH(R_{C-arilo})_2$ donde $R_{C-arilo}$ son iguales o diferentes y son como se definió anteriormente,

(XVII)-$CH(R_{C-heteroarilo})_2$ donde $R_{C-heteroarilo}$ son iguales o diferentes y como se definieron anteriormente,

(XVIII)-$CH(R_{C-arilo})(R_{C-heteroarilo})$ donde $R_{C-arilo}$ y $R_{C-heteroarilo}$ son como se definió anteriormente,

(XIX)-ciclopentilo, -ciclohexilo, o anillo de -cicloheptilo fusionado con $R_{C-arilo}$ o $R_{C-heteroarilo}$ o $R_{C-heterociclo}$ donde $R_{C-arilo}$ o $R_{C-heteroarilo}$ o $R_{C-heterociclo}$ son como se definió anteriormente donde un carbono de ciclopentilo, ciclohexilo, o cicloheptilo es opcionalmente reemplazado con NH, NR_{N-5} o, $S(=O)_{o-2}$, y donde ciclopentilo, ciclohexilo, o-cicloheptilo pueden ser opcionalmente sustituidos con uno dos alquilo C_1-C_3, -F, -OH, -SH, -C≡N, $-CF_3$, alcoxi C_1-C_6,=O, $-NR_{1-a}R_{1-b}$ donde R_{1-a} y R_{1-b} son como se definió anteriormente,

(XX) alquenilo C2-C10 que contiene uno o dos dobles enlaces opcionalmente sustituido con uno, dos o tres sustituyentes seleccionados del grupo que consiste de alquilo C_1-C_3, -F, -CL, -Br, -I, -OH, -SH, -C≡N, -CF_3, alcoxi C_1-C_6, -O-fenilo, -NR_{1-a} R_{1-b} donde R_{1-a} y R_{1-b} son como se definió anteriormente,

(XXI) alquinilo C2-C10 que contiene uno o dos triples enlaces opcionalmente sustituido con uno, dos o tres sustituyentes seleccionados del grupo que consisten de alquilo C_1-C_3, -F, -CL, -Br, -I, -OH, -SH, -C≡N, -CF_3, alcoxi C_1-C_6, -O-fenilo, -NR_{1-a} R_{1-b} donde R_{1-a} y R_{1-b} son como se definió anteriormente,

(XXI)-$(CH_2)_{0-1}$-CHR_{C-6}-$(CH_2)_{0-1}$-$R_{C-arilo}$ donde $R_{C-arilo}$ es como se definió anteriormente y R_{C-6} es -$(CH_2)_{0-6}$-OH,

(XII)- $(CH_2)_{0-1}$-CHR_{C-6}-$(CH_2)_{0-1}$-$R_{C-heteroarilo}$ donde $R_{C-heteroarilo}$ y R_{C-6} es como se definió anteriormente,

(XIII)-CH(-$R_{6-arilo}$ o $R_{6-heteroarilo}$)-CO-O(alquilo C_1-C_4)donde $R_{C-arilo}$ y $R_{C-heteroarilo}$ son como se definió anteriormente,

(XXIV)-CH(-CH_2-OH)-CH(-OH)-micro NO_2,

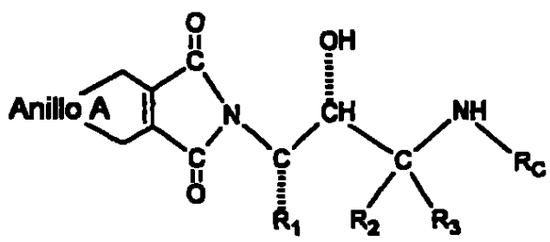
(XXV)(alquilo C_1-C_6)-O-(alquilo C_1-C_6)-OH,

(XXVII)-CH_2-NH-CH_2-CH(-O-CH_2-CH_3)$_2$,

(XXVIII)-H,

(XXIX) $-(CH_2)_{0-6}-C(=NR_{1-a})(NR_{1-a}\ R_{1-b})$ donde R_{1-a} y R_{1-b} son como se definió anteriormente y sales farmaceúticamente aceptables de estos.

También se describió un sustituyente amina de la fórmula (X')



(X')

Donde R_1, R_2, R_3 y R_C son como se definió anteriormente;

Donde el anillo A es fenilo, 1-naftilo opcionalmente sustituido con uno, dos o tres de los siguientes sustituyentes los cuales pueden ser iguales o diferentes y son:

(1) alquilo C_1-C_6,

(2) -F, -CL, -Br, -I,

(3)-OH,

(4)-NO_2,

(5)-CO-OH,

(6)-C≡N,

(7)-CO-$NR_{N-2}R_{N-3}$ donde R_{N-2} y R_{N-3} son como se definió anteriormente,

(8)-CO-(alquilo C_3-C_{12})

(9) -CO-(cicloalquilo C_3-C_6),

(10) -CO-$R_{1-heteroarilo}$ donde $R_{1-heteroarilo}$ es como se definió anteriormente,

(11) -CO-$R_{1-heterociclo}$ donde $R_{1-heterociclo}$ es como se definió anteriormente,

(12) -CO-R_{N-4} donde R_{N-4} es como se definió anteriormente,

(13)-CO-O-R_{N-5} donde R_{N-5} es como se definió anteriormente,

(14)-CO_2-NR_{N-2} R_{N-3} donde R_{N-2} y R_{N-3} es como se definió anteriormente,

(15)-SO_2-(alquilo C_1-C_8),

(16) -SO_2-(alquilo C_3-C_{12}) con la condición de que el grupo alquilo no debe ser de cadena recta,

(17)-NH-CO-R_{N-5} donde R_{N-5} es como se definió anteriormente,

(18)-NH-CO-N(alquilo C_1-C_3)$_2$

(19)-N-CS-N(alquilo C_1-C_3)$_2$,

(20)-N-(-H o alquilo C_1-C_3) -CO-R_{N-5} donde R_{N-5} es como se definió anteriormente,

(21)-$NR_{N-2}R_{N-3}$ donde R_{N-2} y R_{N-3} pueden ser iguales o diferentes y son como se definió anteriormente,

(22)-R_{N-4} donde R_{N-4} es como se definió anteriormente,

(23)-O-CO- (alquilo C_1-C_6),

(24)-O-CO-N(alquilo C_1-C_3)$_2$,

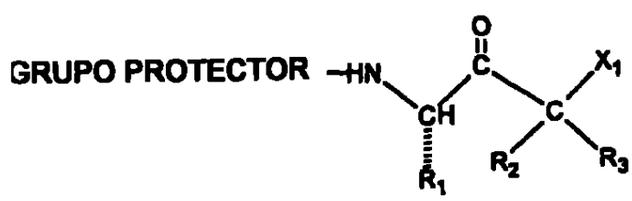
(25)-O-SC-N(alquilo C_1-C_3),

(26)-O-(alquilo C_1-C_6),

(27)-O-(alquilo C_2-C_5)-COOH,

(28)-S-(alquilo C_1-C_6) y sales farmaceúticamente aceptables de estas.

Adicionalmente se describe un compuesto protegido de la fórmula (III)



(III)

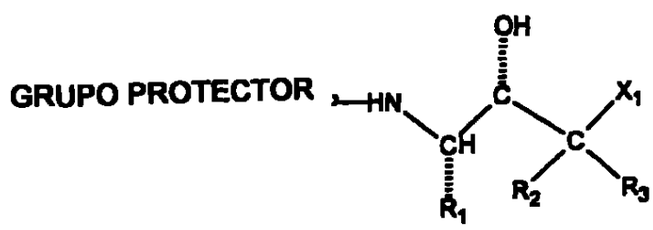
Donde R_1, R_2 y R_3 son como se definió anteriormente;

Donde X1 es -CL, -Br, -I, O-tosilato, -O-mesilato, -O-nosilato;

Donde el grupo protector se selecciona del grupo que consiste de t-butoxicarbonilo, benziloxicarbonilo, formilo, tritilo, acetilo, tricloroacetilo, dicloroacétilo, cloroacetilo, trifluoroacétilo, difluoroacetilo, fluoroacetilo, 4-fenilbenziloxicarbonilo, 2-metilbenziloxicarbonilo, , 4-etoxibenziloxicarbonilo, 4-fluorobenziloxicarbonilo, 4-clorobenziloxicarbonilo, 3-clorobenziloxicarbonilo, 2-clorobenziloxicarbonilo, 2,4-diclorobenziloxicarbonilo, 4-bromobenziloxicarbonilo,3-bromobenziloxicarbonilo, 4-nitrobenziloxicarbonilo, 4-cianobenziloxicarbonilo, 2-(4-xenil)isopropoxicarbonilo, 1,1-difenilet-1-iloxicarbonilo, 1,1-difenilprop-1-iloxicarbonilo, 2-fenilprop-2-iloxicarbonilo, 2-(p-toluil)proa-2-iloxicarbonil, ciclopentalinoxicarbonil, 1-metilciclopentaniloxicarbonil, 1-metilciclopentaniloxicarbonil, ciclohexaniloxicarbonil,1-metilciclohexaniloxicarbonil, 2-metilciclohexaniloxicarbonil, 2-(4-toluisulfonil) etoxicarbonil, 2-(metilsulfonil)etoxicarbonil,2-(trifenil Fosfino)etoxicarbonilo, fluorenilmetoxicarbonil, 2-(trime Tilsilil)etoxicarbonil, aliloxicarbonil,1-(trimetilsilil

Metil)proa-1-eniloxicarbonil,5-benzixosalilmetoxicarbonilo, 4-acetoxibenziloxicarbonilo, 2,2,2-ricloroetoxicarbonilo, 2-etinilo-2-propoxicarbonilo ,ciclopropilmetoxicarbonilo,4-(deciloxil)benziloxicarbonilo, isoborniloxicarbonilo y 1-piperidiloxicarbonilo, 9-fluorofenilmetil carbonato, -CH-CH=CH_2 y fenil-C(=N-)-H.

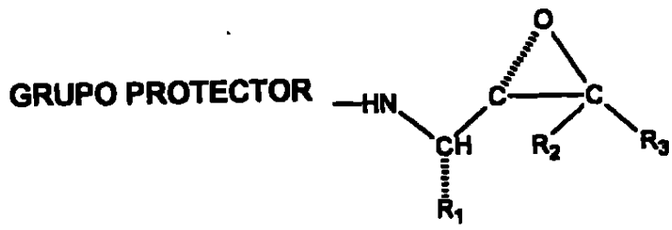
Se describe adicionalmente un alcohol de la fórmula (IV)



(IV)

Donde R_1, R_2, R_3, X_1, y el grupo protector son como se definió anteriormente.

Adicionalmente se describe un epoxido de la fórmula (V).



(V)

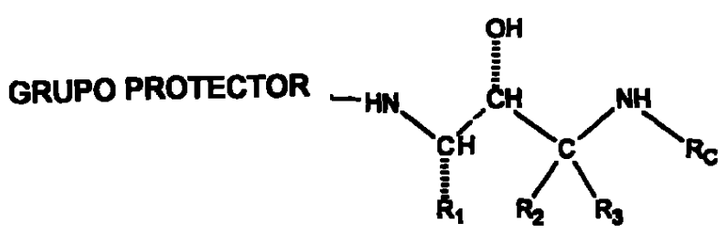
Donde R_2, R_3, y el grupo protector son como se definió anteriormente y R_1 es:

$-CH_2$-fenilo donde -fenilo esta sustituido con dos-f,

$-(CH_2)_{n1}-R_{1\text{-heteroarilo}}$ donde $R_{1\text{-heteroarilo}}$ es como se definió anteriormente, y

$-(CH_2)_{n1}-R_{1\text{-heterociclo}}$ donde $R_{1\text{-heterociclo}}$ es como se definió anteriormente.

Se describe adicionalmente un alcohol protegido de la fórmula (VII)



(VII)

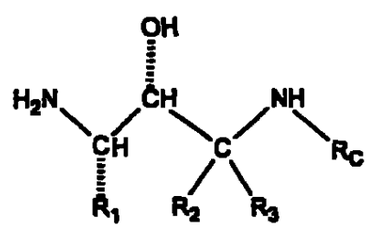
Donde R_2, R_3, R_C y el grupo protector son como se definió anteriormente, y donde R1 es:

$-CH_2$-fenilo donde -fenilo esta sustituido con dos-f,

$-(CH_2)_{n1}-R_{1\text{-heteroarilo}}$ donde $R_{1\text{-heteroarilo}}$ es como se definió anteriormente, y

$-(CH_2)_{n1}-R_{1\text{-heterociclo}}$ donde $R_{1\text{-heterociclo}}$ es como se definió anteriormente y sales químicamente aceptables de estos.

También se describe una amina de la fórmula (VIII)



(VIII)

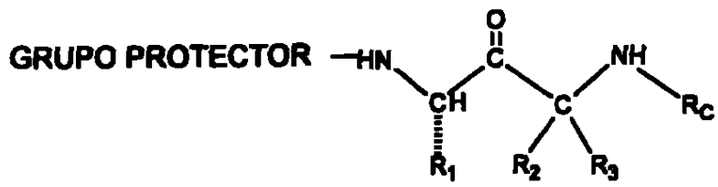
Donde R_2, R_3, y R_C son como se definió anteriormente y donde R_1 es:

$-CH_2$-fenilo donde -fenilo esta sustituido con dos-f,

$-(CH_2)_{n1}-R_{1\text{-heteroarilo}}$ donde $R_{1\text{-heteroarilo}}$ es como se definió anteriormente, y

$-(CH_2)_{n1}-R_{1\text{-heterociclo}}$ donde $R_{1\text{-heterociclo}}$ es como se definió anteriormente y sales químicamente aceptables de estas.

Se describe una acetona protegida de fórmula (XI).



(XI)

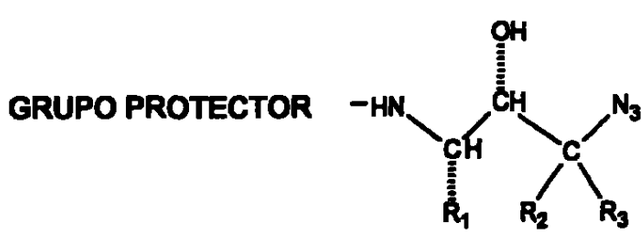
Donde R_2, R_3, y R_C Y EL GRUPO PROTECTOR SON como se definió anteriormente y donde R_1 es:

$-CH_2$-fenilo donde -fenilo esta sustituido con dos-f,

$-(CH_2)_{n1}$ $R_{1\text{-heteroarilo}}$ donde $R_{1\text{-heteroarilo}}$ es como se definió anteriormente, y

$-(CH_2)_{n1}-R_{1\text{-heterociclo}}$ donde $R_{1\text{-heterociclo}}$ es como se definió anteriormente.

También se describe una azida protegida de la fórmula (XII)



(XII)

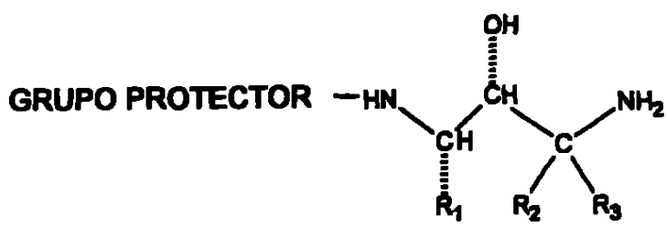
Donde R_2, R_3, y EL GRUPO PROTECTOR son como se definió anteriormente y donde R_1 es:

$-CH_2$-fenilo donde -fenilo esta sustituido con dos-f,

$-(CH_2)_{n1}-R_{1\text{-heteroarilo}}$ donde $R_{1\text{-heteroarilo}}$ es como se definió anteriormente, y

$-(CH_2)_{n1}-R_{1\text{-heterociclo}}$ donde $R_{1\text{-heterociclo}}$ es como se definió anteriormente.

Adicionalmente se describe una amina protegida de fórmula (XIII).



(XIII)

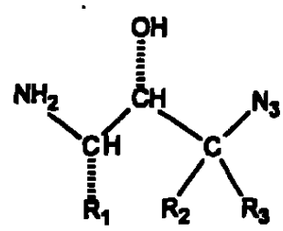
Donde R_2, R_3, y EL GRUPO PROTECTOR son como se definió anteriormente y donde R_1 es:

$-CH_2$-fenilo donde -fenilo esta sustituido con dos-f,

$-(CH_2)_{n1}-R_{1\text{-heteroarilo}}$ donde $R_{1\text{-heteroarilo}}$ es como se definió anteriormente, y

$-(CH_2)_{n1}-R_{1\text{-heterociclo}}$ donde $R_{1\text{-heterociclo}}$ es como se definió anteriormente.

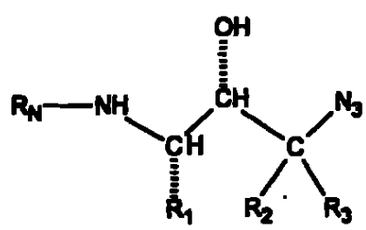
Adicionalmente se describe una azida no protegida de fórmula (XIV).



(XIV)

Donde R_2, R_3, y EL GRUPO PROTECTOR son como se definió para la amina sustituida (X).

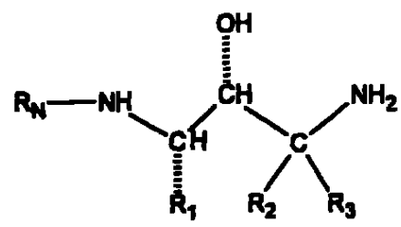
También se describe una amina libre de la fórmula (XV)



(XV)

Donde R_1, R_2, R_3 y R_N son como se definió anteriormente para la amina sustituida (X).

También se describe una amina libre de la fórmula (XVI)



(XVI)

donde R_2, R_3, y R_N son como se definió anteriormente y donde R_1 es:

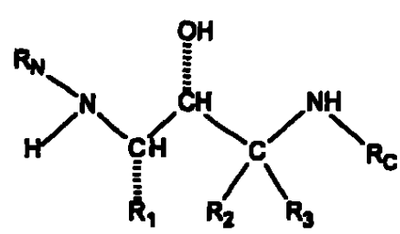
(A)-CH_2-fenilo donde -fenilo esta sustituido con dos-f,

(B)-$(CH_2)_{n1}$-$R_{1\text{-heteroarilo}}$ donde $R_{1\text{-heteroarilo}}$ es como se definió anteriormente, y

(c)-$(CH_2)_{n1}$-$R_{1\text{-heterociclo}}$ donde $R_{1\text{-heterociclo}}$ es como se definió anteriormente.

Se describe un método para tratar un paciente que tiene, o para evitar que un paciente sufra de una enfermedad o condición seleccionado del grupo que consiste de enfermedad de alzheimer, para ayudar a evitar o retrazar el padecimiento de enfermedad de alzheimer, para tratar pacientes con daño cognitivo medio(MCI) y

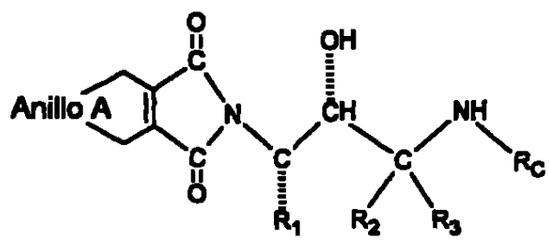
evitar o retrazar el sufrimiento de enfermedad de Alzheimer en aquellos a quienes progresaría desde MCI a AD, para tratar síndrome de down, para tratar humanos que tienen hemorragia cerebral hereditaria con Amiloidosis del tipo dutch, para tratar angiopatía amiloide y evitar sus consecuencias potenciales, es decir hemorragias lobares simples y recurrentes, para tratar otras demencias degenerativas, que incluyen demencias de origen vascular y degenaritivo mezcladas, demencia asociada con enfermedad de parkinson, demencia asociada con palsi supranuclear progresivo, demencia asociada con degeneración basal cortical, o enfermedad de Alzheimer, tipo cuerpo Lewy difuso y quien esta necesitado de tal tratamiento que comprende la administración de una cantidad terapéuticamente efectiva de un compuesto seleccionado del grupo que consiste de una amina sustituido de fórmula (X)



(X)

Donde R_1, R_2, R_3, R_C Y R_N son como se definió anteriormente para la amina sustituida (X), y sales farmaceúticamente aceptables de esta, y

Una amina sustituida con R_N ciclisado de fórmula (X')

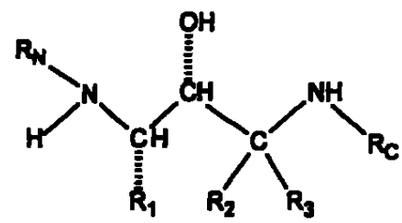


(X')

Donde R_1, R_2, R_3, R_C Y el anillo A, son como se definió anteriormente para la amina (X`), y sales farmaceúticamente aceptables de esta.

También se describen métodos para inhibir la actividad de beta-secretasa para inhibir la división de la proteína precursora amiloide (APP), en una mezcla de reacción, en un sitio entre Met596 y Asp597, numerado para el isotipo de aminoácido APP-695; o un sitio correspondiente de un isótopo o mutante de este para inhibir la producción de péptido beta amiloide (A beta) en una célula, para inhibir la producción de placa beta

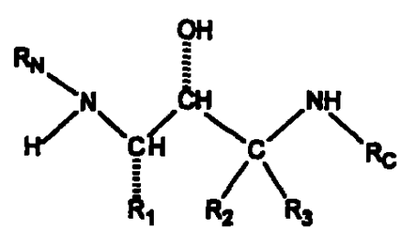
amiloide en un animal, y para tratar o evitar una enfermedad caracterizada por depósitos beta amiloides en el cerebro que comprende la administración de una cantidad terapéuticamente efectiva de una amina sustituida de la fórmula (X).



(X)

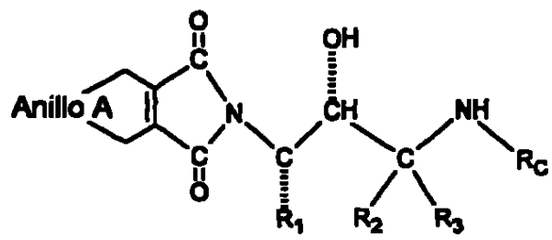
Donde R_1, R_2, R_3, R_C Y R_N son como se definió anteriormente para la amina sustituida (X), y sales farmaceúticamente aceptables de esta.

Se describe una composición farmacéutica que comprende una amina sustituida de la fórmula (X)



(X)

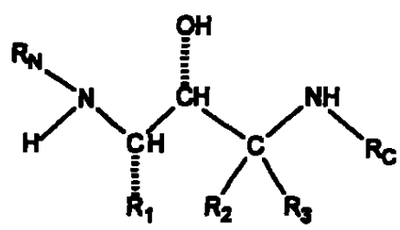
Donde R_1, R_2, R_3, R_C Y R_N son como se definió anteriormente para la amina sustituida (X), una amina sustituida con R_N ciclisado de fórmula (X`)



(X')

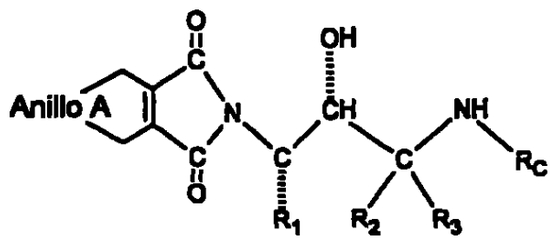
Donde R1 es como se definió anteriormente para el compuesto (x`) y donde el anillo A es como se definió anteriormente, y sales farmaceúticamente aceptables de estas, y uno o más portadores inertes farmaceúticamente aceptables.

Se describe el uso de una amina sustituida de la fórmula (X)



(X)

Donde R_1, R_2, R_3, R_N Y R_C son como se definió anteriormente para la amina sustituida (X), o una amina sustituida con R_N ciclisado de fórmula (X`)



(X')

Donde R_1, R_2, R_3, R_C Y el anillo A, son como se definió anteriormente para el compuesto (X`), y sales farmaceúticamente aceptables de esta para la elaboración de un medicamento para el uso en el tratamiento de un paciente que tiene, o para evitarle a un paciente sufrir una enfermedad o condición seleccionado del grupo que consiste de enfermedad de Alzheimer, para ayudar a evitar o retrazar el sufrimiento de la enfermedad Alzheimer, para tratar pacientes con daño cognitivo medio (MCI) y evitar o retrazar el sufrimiento de enfermedad de alzheimer en aquellos a quienes progresaría de MCI a AD, para tratar síndrome de down, para tratar humanos que

tienen hemorragia cerebrar hereditaria con amiloidosis del tipo Dutch, para tratar angiopatía amiloide cerebrar y para evitar sus consecuencias potenciales, es decir hemorragias lobares simples y recurrentes, para tratar otras demencias degenerativas, que incluyen demencias de origen vascular y degenerativo mezcladas, demencia asociada con enfermedad de parkinson, demencia asociada con palsi supranuclear progresivo, demencia asociada con degeneración basal cortical, enfermedad de Alzheimer de tipo cuerpo Lewy y que esta necesitado de tal tratamiento.

La presente invención suministra compuestos, composiciones, kits, y métodos para inhibir división mediada por beta-secretasa de proteína precursora amiloide (APP). Más particularmente, los compuestos, composiciones, y métodos de la invención son efectivos para inhibir la producción de péptido A beta o para tratar o evitar cualquier enfermedad humana o veterinaria o condición asociada con una forma patológica de un péptido A beta.

Los compuestos, composiciones, y métodos de la invención son útiles para tratar humanos que tienen la

enfermedad de Alzheimer (AD), para ayudar a evitar o retrazar el sufrimiento de AD, para tratar pacientes con daño cognitivo medio(MCI), y para evitar retrazar el sufrimiento de AD en pacientes que de otra forma se esperaría que progresaran de MCI a AD para tratar síndrome de down, para tratar hemorragia cerebrar hereditaria con amiloidosis del tipo dutch, para tratar angiopatía beta-amiloide cerebrar para evitar sus potenciales consecuencias tales como hemorragias lobares simples y recurrentes, para tratar otras demencias degenerativas, incluyendo demencias de origen vascular y degenerativo mezcladas, para tratar demencia asociada con en enfermedad de parkinson, demencia asociada con palsi supranuclear progresivo, demencia asociada con degeneración basal cortical, y AD tipo cuerpo Lewy difuso.

Los compuestos de la invención poseen actividad inhibitoria de la beta-secretasa. Las actividades inhibitorias de los compuestos de la invención son fácilmente demostradas, por ejemplo, utilizando uno o más de los ensayos descritos aquí o conocidos en la técnica.

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DESCRIPCION DETALLADA DE LA INVENCION

La presente invención son las aminas sustituidas (X) que son útiles en el tratamiento y para evitar la enfermedad de Alzheimer. Las aminas sustituidas anti-Alzaheimer (X) son hechas mediante métodos bien conocidos por aquellos expertos en la técnica a partir de compuestos conocidos por aquellos expertos. El proceso químico es bien conocido por aquellos expertos. La mayoría del proceso general para preparar las aminas sustituidas (x) de la presente invención se establecen en el cuadro A. La química es derecha y en resumen involucra las etapas de N-proteger un aminoácido (I) de material de partida para producir en el correspondiente aminoácido protegido (II), la reacción del aminoácido protegido (II) con diasometano seguido por trabajo para agregar un átomo de carbono para producir el correspondiente compuesto protegido (III), reducción del compuesto protegido (III) al alcohol correspondiente (IV), formación del epóxido correspondiente (V), abertura del epóxido (V), con una amina C-terminal, R_C-NH_2 (VI) para producir el alcohol protegido correspondiente (VII) que tiene entonces el grupo protector nitrógeno removido para producir la amina

correspondiente (VIII), que reacciona entonces con un agente que forma una amida de la fórmula $(R_{N-1}-X_N)_2O$ o $R_{N-1}-X_N-X_2$ o $R_{N-1}-X_N-OH$(IX) para producir la amina sustituida anti-Alzheimer (X). Un experto en la técnica apreciará que estas son todas reacciones bien conocidas en química orgánica. Un químico experto, conociendo la estructura química del producto final de amina sustituida biológicamente activa (X) de a invención sería capas de prepararla mediante métodos conocidos a partir de materiales de partida conocidos sin ninguna información adicional. La explicación siguiente por lo tanto no es necesaria pero se considera de ayuda para aquellos expertos en la técnica que desean hacer los compuestos de la presente invención.

La columna vertebral de los compuestos de la presente invención es una porción de hidroxietilamina, -NH-CH(R)-CH(OH)-. Esta puede ser fácilmente preparada mediante métodos descritos n la literatura y conocida por aquellos expertos en la técnica. Por ejemplo,
J. Med. Chem., 36,288-291 (1992), Tetrahedron Letter, 28,5569-5572 (1987),

J. Med. Chem., 38,581-584 (1994), Tetrahedron Letter, 38, 619-620 (1997), todos describen procesos para preparar compuestos del tipo hidroxietilamina.

La tabla A establece un método general utilizado en la presente invención para preparar amonas sustituidas apropiadamente (X). Las aminas sustituidas anti Alzheimer (X) de la presente invención se preparan al partir con el correspondiente aminoácido (I). Loas aminoácidos (I) son bien conocidos por aquellos expertos en la técnica o pueden ser posiblemente preparados de compuestos conocidos mediante método bien conocidos por aquellos expertos en la técnica. Las aminas sustituidas (X) de la presente invención tienen al menos dos centros enantioméricos que dan cuatro enantiómeros. El primero de estos centros enantioméricos se deriva del material de partida de aminoácido (I). Se prefiere obtener o producir comercialmente el enantiómero deseado (S) en lugar de producir una mezcla enantiomericamente impura y luego tener que separar el enantiómero deseado (S). Se prefiere iniciar el proceso con un aminoácido enantiomericamente puro (S)-(I) en la misma configuración que aquella del producto de amina sustituida (X). Para los aminoácidos (I), R_1 es:

(I) alquilo C_1-C_6, opcionalmente sustituido con uno, dos o tres sustituyentes seleccionados del grupo que consiste de alquilo C_1-C_3, alquilo C_1-C_7 (opcionalmente sustituido con alquilo C_1-C_3 y alcoxi C_1-C_3), -F, -CL -Br, -I, OH, -SH, -C≡N, $-CF_3$, alcoxi C_1-C_3, $-NR_{1-a}$ R_{1-b} donde R_{1-a} y R_{1-b} son -H o alquilo C_1-C_6, -OC=O NR_{1-a} R_{1-b} donde R_{1-a} Y R_{1-b} son como se definió anteriormente,

(II) $-CH_2-S(O)_{0-2}-$(alquilo C_1-C_6),

(III) $-CH_2-CH_2-S(O)_{0-2}-$(alquilo C_1-C_6),

(IV) alquenilo C_2-C_6 con uno dos dobles enlaces, opcionalmente sustituido con uno, dos tres sustituyentes seleccionados del grupo que consiste de -F, -CL -OH, -SH, -C≡N, $-CF_3$, alcoxi C_1-C_3, $-NR_{1-a}$ R_{1-b} donde R_{1-a} y R_{1-b} son -H o alquilo C_1-C_6,.

(V) alquinilo C_2-C_6 con uno o dos triples enlaces, opcionalmente sustituido con uno, dos o tres sustituyentes seleccionados del grupo que consiste de -F, -CL -OH, -SH, -C≡N, $-CF_3$, alcoxi C_1-C_3, $-NR_{1-a}$ R_{1-b} donde R_{1-a} y R_{1-b} son -H o alquilo C_1-C_6,.

(VI) $-(CH_2)_{n1}-(R_{1-arilo})$ donde n_1 es cero o uno y donde $R_{1-arilo}$ es fenilo, 1-naftilo, 2-naftilo e indanilo, indenilo, dihidronaftilo, tetralinilo opcionalmente

sustituido con uno, dos, tres o cuatro de los sustituyentes sobre el anillo arilo:

(A) alquilo C_1-C_6 opcionalmente sustituido con uno, dos o tres sustituyentes seleccionados del grupo que consiste de alquilo C_1-C_3, -F, -CL -Br, -I, -OH, -SH, NR_{1-a} R_{1-b} donde R_{1-a} y R_{1-b} son como se definió anteriormente, -C≡N, $-CF_3$, alcoxi C_1-C_3,

(B) alquenilo C_2-C_6 con uno dos dobles enlaces, opcionalmente sustituido con uno, dos o tres sustituyentes seleccionados del grupo que consiste de -F, -CL -OH, -SH, -C≡N, $-CF_3$, alcoxi C_1-C_3, $-NR_{1-a}$ R_{1-b} donde R_{1-a} y R_{1-b} son -H o alquilo C_1-C_6,.

(C) alquinilo C_2-C_6 con uno dos triples enlaces, opcionalmente sustituido con uno, dos o tres sustituyentes seleccionados del grupo que consiste de -F, -CL -OH, -SH, -C≡N, $-CF_3$, alcoxi C_1-C_3, $-NR_{1-a}$ R_{1-b} donde R_{1-a} y R_{1-b} son -H o alquilo C_1-C_6,.

(D) -F, -CL, -Br, y -I,

(F) alcoxi C_1-C_6 opcionalmente sustituido por uno, dos, o tres -f,

(G)$-NR_{N-2}$ R_{N-3} donde R_{N-2} y R_{N-3} son como se define adelante,

(H)-OH,

(I)-C≡N,

(J) cicloalquilo C_3-C_7, opcionalmente sustituido con uno, dos o tres sustituyentes seleccionados del grupo que consiste de -F, -CL -OH, -SH, -C≡N, -CF_3, alcoxi C_1-C_3, -NR_{1-a} R_{1-b} donde R_{1-a} y R_{1-b} son -H o alquilo C_1-C_6,

(K)-CO-(alquilo C_1-C_4),

(L)-SO_2- NR_{1-a} R_{1-b} donde R_{1-a} y R_{1-b} son como se definió anteriormente,

(M)-CO- NR_{1-a} R_{1-b} donde R_{1-a} y R_{1-b} son como se definió anteriormente,

(N)-SO_2-(alquilo C_1-C_4),

(VII)- $(CH_2)_{n1}$-($R_{1-heteroarilo}$) donde n_1 es como se definió anteriormente y donde $R_{1-heteroarilo}$ se selecciona del grupo que consiste de:

piridinilo,

pirimidinilo,

quinolinilo,

benzotienilo,

indolilo,

indolinilo,

priidazinilo,

pirazinilo,

isoindolilo,

isoquinolilo,

quinazolinilo,

quinoxalinilo,

ftalazinilo,

imidazolilo,

isoxazolilo,

pirazolilo,

oxazolilo,

tiazolilo,

indolizinilo,

indazolilo,

benzotiazolilo,

benzimidazolilo,

benzofuranilo,

furanilo,

tienilo,

pirrolilo,

oxadiazolilo,

tiadiazolilo,

triazolilo,

tetrazolilo,

oxazolopiridinilo,

imidazopiridinilo,

isotiazolilo,

naftiridinilo,

cinolinilo,

carbazolilo,

beta-carbolinilo,

isocromanilo,

cromanilo,

tetrahidroisoquinolinilo,

isoindolinilo,

isobenzotetrahidrofuranilo,

isobenzotetrahidrotienilo,

isobenzotienilo,

benzoxazolilo,

piridopiridinilo,

benzotetrahidrofuranilo,

benzotetrahidrotienilo,

purinilo,

benzodioxolilo,

triazinilo,

fenoxazinilo,

fenotiazinilo,

pteridinilo,

benzotiazolilo,

imidazopiridinilo,

imidazotiazolilo,

dihidrobenzisoxazinilo,

benzisoxazinilo,

benzoxazinilo,

dihidrobenzisotiazinilo,

benzopiranilo,

benzotiopiranilo,

coumarinilo,

isocoumarinilo,

cromonilo,

cromanonilo,

N-óxido de piridinilo,

Tetrahidroquinolinilo,

Dihidroquinolinilo,

dihidroquinolinonilo,

dihidroisoquinolinonilo,

dihidrocoumarinilo,

dihidroisocoumarinilo,

isoindolinonilo,

benzodioxanilo,

benzoxazolinonilo,

N-óxido de pirrolilo,

N-óxido de pirimidinilo,

N-óxido de piridazinilo,

N-óxido de pirazinilo,

N-óxido de quinolinilo,

N-óxido de indolilo,

N-óxido de indolinilo,

N-óxido de isoquinolilo,

N-óxido de quinazolinilo,

N-óxido de quinoxalinilo,

N-óxido de ftalazinilo,

N-óxido de imidazolilo,

N-óxido de isoxazolilo,

N-óxido de oxazolilo,

N-óxido de tiazolilo,

N-óxido de indolizinilo,

N-óxido de indazolilo,

N-óxido de benzotiazolilo,

N-óxido de benzimidazolilo,

N-óxido de pirrolilo,

N-óxido de oxadiazolilo,

N-óxido de tiadiazolilo,

N-óxido de triazolilo,

N-óxido de tetrazolilo,

S-óxido de benzotiopiranilo,

S,S-dióxido de benzotiopiranilo,

Donde el grupo $R_{1-heteroarilo}$ esta unido a $-(CH_2)_{n1}-$ mediante cualquier átomo del anillo del grupo $R_{N-heteroarilo}$ padre sustituido por hidrogeno tal que el nuevo enlace al grupo $R_{1-heteroarilo}$ reemplaza el átomo de hidrogeno y su enlace, donde el heteroarilo esta opcionalmente sustituido con uno, dos, tres, o cuatro de:

(1) alquilo C_1-C_6 opcionalmente sustituido con uno, dos o tres sustituyentes seleccionados del grupo que consiste de alquilo C_1-C_3 -F, -CL -Br, -I, -OH, -SH, $-NR_{1-a}$ R_{1-b} donde R_{1-a} y R_{1-b} son como se definió anteriormente, -C≡N, $-CF_3$, alcoxi C_1-C_3,

(2)alquenilo C_2-C_6 con uno o dos dobles enlaces, opcionalmente sustituido con uno, dos o tres sustituyentes seleccionados del grupo que consiste de: -F, -CL, -OH, -SH, -C≡N,$-CF_3$, alcoxi C_1-C_3, $-NR_{1-a}$ R_{1-b} donde R_{1-a} y R_{1-b} son -H o alquilo C_1-C_6,

(3) alquinilo C_2-C_6 con uno o dos triples enlaces, opcionalmente sustituido con uno, dos o tres sustituyentes seleccionados del grupo que consiste

de: -F, -CL, -OH, -SH, -C≡N,-CF_3, alcoxi C_1-C_3, -NR_{1-a} R_{1-b} donde R_{1-a} y R_{1-b} son -H o alquilo C_1-C_6,

(4)-F, CL, -Br, e -I,

(6)alcoxi -C_1-C_6 opcionalmente sustituido con uno, dos, o tres de -F,

(7)-NR_{N-2} R_{N-3} donde R_{N-2} y R_{N-3} son como se definió adelante,

(8)-OH,

(9)-C≡N,

(10)cicloalquilo C_3-C_7, opcionalmente sustituido con uno, dos o tres sustituyentes seleccionados del grupo que consiste de: -F, -CL, -OH, -SH, -C≡N,-CF_3, alcoxi C_1-C_3, -NR_{1-a} R_{1-b} donde R_{1-a} y R_{1-b} son -H o alquilo C_1-C_6,

(11)-CO-(alquilo C_1-C_4),

(12)-SO_2-NR_{1-a} R_{1-b} donde R_{1-a} Y R_{1-b} son como se definió anteriormente,

(13)-CO-NR_{1-a} R_{1-b} donde R_{1-a} Y R_{1-b} son como se definió anteriormente,

(14)-SO_2-(alquilo C_1-C_4), con la condición de que cuando n_1 es cero $R_{1-heteroarilo}$ no está enlazado a la cadena de carbono mediante nitrógeno,

(VIII) $-(CH_2)n_1-(R_{1\text{-heterociclo}})$ donde n_1 es como se definió anteriormente, y $R_{1\text{-heterociclo}}$ se selecciona del grupo que consiste de:

morfolinilo,

tiomorfolinilo,

S-óxido de tiomorfolinilo,

S,S-dióxido de tiomorfolinilo,

Piperazinilo,

Homopiperazinilo,

Pirrolidinilo,

Pirrolinilo,

Tetrahidropiranilo,

Piperidinilo,

Tetrahidrofuranilo,

Tetrahidrotienilo,

Homopiperidinilo,

Homomorfolinilo,

Homotiomorfolinilo,

S,S-dióxido y homotiomorfolinilo,

Oxazolidinonilo,

dihidropirazolilo,

dihidropirrolilo,

dihidropirazinilo,

dihidropiridinilo,

dihidropirimidinilo,

dihidrofurilo,

dihidropiranilo,

S-óxido de tetrahidrotienilo,

S,S-dióxido de tetrahidrotienilo,

S-óxido de homotiomorfolinilo,

Donde el grupo $R_{1-heterociclo}$ esta enlazado mediante cualquier átomo el grupo $R_{1-heterociclo}$ padre sustituido por hidrogeno tal que el nuevo enlace al grupo $R_{1-heterociclo}$ reemplaza el átomo de hidrogeno y su enlace, donde el heterociclo esta opcionalmente sustituido con uno, dos, tres, o cuatro de:

(1) alquilo C_1-C_6 opcionalmente sustituido con uno, dos o tres sustituyentes seleccionados del grupo que consiste de alquilo C_1-C_3 -F, -CL -Br, -I, -OH, -SH, $-NR_{1-a}$ R_{1-b} donde R_{1-a} y R_{1-b} son como se definió anteriormente, -C≡N, $-CF_3$, alcoxi C_1-C_3,

(2) alquenilo C_2-C_6 con uno o dos dobles enlaces, opcionalmente sustituido con uno, dos o tres sustituyentes seleccionados del grupo que consiste de: -F, -CL, -OH, -SH, -C≡N,$-CF_3$, alcoxi C_1-C_3, $-NR_{1-a}$ R_{1-b} donde R_{1-a} y R_{1-b} son -H o alquilo C_1-C_6,

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(3) alquinilo C_2-C_6 con uno o dos triples enlaces, opcionalmente sustituido con uno, dos o tres sustituyentes seleccionados del grupo que consiste de: -F, -CL, -OH, -SH, -C≡N, $-CF_3$, alcoxi C_1-C_3, $-NR_{1-a}$ R_{1-b} donde R_{1-a} y R_{1-b} son -H o alquilo C_1-C_6,

(4) -F, CL, -Br, e -I,

(5) alcoxi-C_1-C_6,

(6) alcoxi -C1-C6 opcionalmente sustituido con uno, dos, o tres -F,

(7) $-NR_{N-2}$ R_{N-3} donde R_{N-2} y R_{N-3} son como se definió adelante,

(8) -OH,

(9) -C≡N,

(10) cicloalquilo C_3-C_7, opcionalmente sustituido con uno, dos o tres sustituyentes seleccionados del grupo que consiste de: -F, -CL, -OH, -SH, -C≡N, $-CF_3$, alcoxi C_1-C_3, $-NR_{1-a}$ R_{1-b} donde R_{1-a} y R_{1-b} son -H o alquilo C_1-C_6,

(11) -CO-(alquilo C_1-C_4),

(12) $-SO_2-NR_{1-a}$ R_{1-b} donde R_{1-a} Y R_{1-b} son como se definió anteriormente,

(13) $-CO-NR_{1-a}$ R_{1-b} donde R_{1-a} Y R_{1-b} son como se definió anteriormente,

(14)-SO_2-(alquilo C_1-C_4),

(15)=O, con la condición de que cuando n_1 es cero $R_{1\text{-heterociclo}}$ no está enlazado a la cadena de carbono mediante nitrógeno. Se prefiere que R_1 sea-$(CH_2)_{0-1}$-($R_{1\text{-arilo}}$) o-$(CH_2)_{n1}$-($R_{1\text{-heteroarilo}}$). Se prefiere más que R_1 sea-(CH_2)-($R_{1\text{-arilo}}$) o -(CH_2)-($R_{1\text{-heteroarilo}}$). Se prefiere adicionalmente que R_1 sea-(CH_2)-($R_{1\text{-arilo}}$) donde $R_{1\text{-arilo}}$ es fenilo. Es aún más preferido que R_1 sea -(CH_2)-($R_{1\text{-arilo}}$) donde $R_{1\text{-arilo}}$ es fenilo sustituido con dos -F es adicionalmente preferido que la sustitución de -f sea 3,5-difluorobenzilo.

Cuando R_1 sea $R_{1\text{-heteroarilo}}$ o $R_{1\text{-heterociclo}}$ el enlace del grupo $R_{1\text{-heteroarilo}}$ o $R_{1\text{-heterociclo}}$ al grupo -$(CH_2)_{n1}$ pueda ser proveniente de cualquier átomo del anillo que tiene una valencia disponible dado que tal enlace no resulte de la formación de unas especies cargadas o valencia inestable. Esto significa que el grupo $R_{1\text{-heteroarilo}}$ o $R_{1\text{-heterociclo}}$ esta enlazado a
-$(CH_2)_{n1}$-mediante cualquier átomo del anillo del grupo $R_{1\text{-heteroarilo}}$ o $R_{1\text{-heterociclo}}$ padre que fue sustituido por hidrógeno tal que el nuevo enlace al grupo $R_{1\text{-heteroarilo}}$ o $R_{1\text{-heterociclo}}$ reemplaza el átomo de hidrógeno y su enlace.

La primer etapa del proceso es proteger el grupo amino libre del (S) -aminoácido (I) con un grupo protector amino para producir el (S) -aminoácido protegido (II) mediante métodos bien conocidos por aquellos expertos en la técnica. Los grupos amino protectores son bien conocidos por aquellos expertos en la técnica. Ver por ejemplo, "Protecting Groups in Organic Synthesis" John Wiley and sons New York, N. Y. 1981, Chapter 7; "Protecting Groups in Organic Chemistry", Plenum Press, New York, N. Y., 1973, Chapter 2. La función del grupo amino protector es proteger la funcionalidad amino libre.

($-NH_2$) durante reacciones subsecuentes sobre (S) -aminoácido (I) que no procedería bien, bien sea por que el grupo amino reaccionaría y se funcionalizaria de una manera que es inconsistente con su necesidad de ser libre para reacciones subsecuentes, o el grupo amino libre interferiría en la reacción. Cuando el grupo amino protector ya no se necesita, este se remueve mediante métodos bien conocidos por aquellos expertos en la técnica. Por definición el grupo amino protector debe ser fácilmente removible como es conocido por aquellos expertos en la técnica mediante métodos bien conocidos

por aquellos expertos en el arte. El grupo protector amino adecuado se selecciona del grupo que consiste de t-butoxicarbonilo, benziloxicarbonilo, formilo, tritilo, acetilo, tricloroacétilo, dicloroacetilo, cloroacetilo, trifluoroacetilo, difluoroacetilo, fluoroacetilo, 4-fenilbenziloxicarbonilo, 2-metilbenziloxicarbonilo, 4-etoxibenziloxicarbonilo, 4-fluorobenziloxicarbonilo, 4-clorobenziloxicarbonilo, 3-clorobenziloxicarbonilo, 2-clorobenziloxicarbonilo, 2,4-diclorobenziloxicarbonilo, 4-bromobenziloxicarbonilo, 3-bromobenziloicarbonilo, 4-nitrobenziloxicarbonilo, 4-cianobenziloxicarbonilo, 2-(4-xenil)isopropoxicarbonilo,1,1-difenillet-1-iloxicarbonilo,1,1-difenilprop-1-iloxicarbonil, 2-fenilprop-2-iloxicarbonil-2-(p-toluil)proa-2-iloxicarbonilo, ciclopentaniloxicarbonilo, 1-metilciclopentaniloxicarbonilo, ciclohexaniloxicarbonilo, 1-metilciclohexaniloxicarbonilo, 2-metilciclohexaniloxicarbonilo, 2-(4-toluisulfonil)etoxicarbonil, 2-(metilsulfonil) etoxicarbonil, 2-(trifenilfosfino)etoxicarbonilo, fluorenilmetoxicarbonilo, 2-(trimetilsilil)etoxicarbonilo, aliloxicarbonilo, 1-(trimetilsililmetil)proa-1-eniloxicarbonilo, 5-benzisoxalilmetoxicarbonilo, 4-

acetoxibenziloxicarbonilo,2,2,2, tricloroetoxicarbonilo, 2-etinilo-2-propoxicarbonilo, ciclopropilmetoxicarbonilo, 4-(deciloxil)benziloxicarbonilo, isoborniloxicarbonilo y 1-piperidiloxicarbonilo, 9-fluorenilmetil carbonato, -CH-CH=CH_2 Y fenil-C(=N-)-H. Se prefiere que el grupo protector sea t-butoxicarbonilo (BOC) y benziloxicarbonilo (CBZ), se prefiere más que el grupo protector sea t-butoxicarbonilo. Un experto en la técnica entenderá los métodos preferidos de introducir un grupo protector t-butoxicarbonilo o benziloxicarbonilo y puede adicionalmente consulta T. W. Green y P. G. M. Wts in "Protective Groups in Organic Chemistry" John Wiley and Sons, 1991 para guía.

El (S)-aminoácido protegido (II) se transforma al correspondiente (S)-compuesto protegido (III) mediante dos diferentes métodos que dependen de la naturaleza de R_2 Y R_3.

R_2 y R3 son independientemente seleccionados del grupo que consiste de:

(I)-H,

(II)alquilo C1-C6, opcionalmente sustituido con uno, dos o tres sustituyentes seleccionados del grupo que

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consiste de alquilo C1-C3, -F, -CL, -Br, -I, -OH, -SH, -C≡N, $-CF_3$, alcoxi C_1-C_3, $-O-NR_{1-a}$ R_{1-b} donde R_{1-a} y R_{1-b} son como se definió anteriormente,

(III) $-(CH_2)_{0-4}-R_{2-1}$ donde R_{2-1} es $R_{1-arilo}$ o $R_{1-heteroarilo}$ donde $R_{1-arilo}$ y $R_{1-heteroarilo}$ son como se definió anteriormente;

(IV) alquenilo C_2-C_6 con uno o dos dobles enlaces,

(v) alquinilo C_2-C_6 con uno o dos triples enlaces,

(VI) $-(CH_2)_{0-4}-C_3-C_7$ cicloalquilo, opcionalmente sustituido con uno, dos o tres sustituyentes seleccionados del grupo que consiste de -F, -CL, OH, -SH, -C≡N, $-CF_3$, alcoxi C_1-C_3, $-NR_{1-a}$ R_{1-b} donde R_{1-a} y R_{1-b} son -H o alquilo C_1-C_6, y donde R_2 y R_3 son tomados juntos con el carbono al cual ellos están unidos para formar un carbociclo de tres, cuatro, cinco, seis y siete átomos de carbono, opcionalmente donde un átomo de carbono es reemplazado mediante un heteroátomo seleccionado del grupo que consiste de -O, -S-, $-SO_2-$, $-NR_{N-2}$, donde RN-2 es como se define adelante. Se prefiere que R_2 y R_3 ambos sean -H. si el R_2 y el R_3 no son los mismos, un centro enantiomérico adicional se agrega a la molécula. Si se

desea que tanto R_2 como R_3 sean -H, entonces el (S)-aminoácido protegido (II) reacciones con diazometano, como es bien conocido por aquellos expertos en la técnica, seguido por la reacción con un compuesto de la fórmula $H-X_1$ para producir el (S)-compuesto protegido (III), X_1 incluye -CL, -Br, -I, -O-totosilato, -O-mesilato, -O-nosilato; se prefiere que $-X_1$ sea -Br o -Cl. Las condiciones de reacción adecuadas incluyen producir la reacción n solventes inertes, tales como pero no limitados a éter, tetrahidrofurano y similares. La reacción del (S)-aminoácido protegido (II) al (S)-compuesto protegido (III) se llevan a cabo durante un periodo de tiempo entre 10 minutos y un día y a temperaturas que varían desde -78 grados a 20-25 grados C. Se prefiere para conducir las reacciones durante un periodo de tiempo entre 1-4 horas y a temperaturas entre -30 grados a -10 grados C. Este proceso agrega un grupo metileno. Alternativamente, los (S)-compuestos protegidos de la fórmula (III) se pueden preparar al convertir primero el (S)-aminoácido protegido (II) a un metilo correspondiente o un éster de etilo, de acuerdo a métodos bien establecidos en el arte, seguidos por el tratamiento con un reactivo de la fórmula $X_1-C(R_2)(R_3)-X_1$ y una base metálica fuerte. La base sirve para afectar un

intercambio de alógeno-metal, donde el -X1 que sufre intercambio es un halógeno seleccionado de cloro, bromo o yodo. La adición nucleofílica al derivado de ester da directamente el (S)-compuesto protegido

La adición nucleofílica a los derivados del ester da directamente (S) -compuesto protegido (III). Las bases adecuadas incluyen, pero no están limitadas a los alquilitios que incluyen, por ejemplo, sec-butilitio, n-butilitio, y t-butilitio. Las reacciones son preferiblemente conducidas a baja temperatura, tal como -78 grados C. Las condiciones de reacción adecuadas incluyen generar la reacción en sometes inertes, tales como pero no limitados a, éter, tetrahidrofurano, y similares. Donde R_2 y R_3 son ambos hidrógeno, entonces ejemplos de X_1-(C)(R_2)(R_3)-X_1 incluyen dibromometano, diyodometano, cloroyodometano, bromoyodometano y bromoclorometano. Un experto en la técnica conoce las condiciones preferidas requeridas para conducir esta reacción. Adicionalmente, si R2 y/o R3 no son -H, entonces mediante la adición de -(C)(R_2)(R_3)-X_1 a los ésteres del (S)-aminoácido protegido (II)para producir el (S)-compuesto protegido (III), se incorporará un centro quiral adicional en el producto, siempre y cuando R_2 y R_3 no sean el mismo.

El (S)-compuesto protegido (III) es entonces reducido por medios bien conocidos por aquellos expertos en la técnica para la reducción de una acetona al correspondiente alcohol secundario logrando el correspondiente alcohol (IV). Los medios y las condiciones de reacción para reducir el (S)-compuesto protegido (III) al alcohol correspondiente (IV) incluyen, por ejemplo, borohidruro de sodio, borohidruro de litio, borano, hidruro de diisobutilaluminio, e hidruro de litio aluminio. El borohidruro de sodio es el agente reductor preferido. Las reducciones son llevadas a cabo durante un periodo entre 1 hora y 3 días a temperaturas que varían de -78 grados C a temperaturas elevadas hasta el punto de reflujo del solvente empleado. Se prefiere llevar a cabo la reacción entre -78 grados C y 0 grados C. Si se utiliza borano, este se puede emplear como un complejo, por ejemplo, complejo de borano-metilsufuro, complejo de borano-piperidina, o complejo de borano - tetrahidrofurano. La combinación preferida de agentes reductores y las condiciones de reacción necesarias son conocidas por aquellos expertos en la técnica, ver por ejemplo, Larock, R. C. en comprehensive Organic Transformations, VCH Publishers, 1989. La educción del

(s)-compuesto protegido (III) al alcohol correspondiente (IV) produce el segundo centro quiral (el tercer centro quiral)si R2 y R3 no son el mismo). La reducción del (S)-compuesto protegido (III) producen una mezcla de enantiómeros en el segundo centro (S), R/S)-alcohol (IV). Esta mezcla enantiomérica se prepara entonces por medios conocidos por aquellos expertos e n la técnica tal como recristalización selectiva a baja temperatura o separación cromatográfica, por ejemplo mediante HPLC, empleando columnas quirales comercialmente disponibles. El enantiomero que se utiliza en el resto el proceso del grafico A es el (S,S)-alcohol (IV) en razón a que este antiómero dará la (S,R)-amina sustituida (X)anti-Alzheimer biológicamente activa deseada.

El (S,S)-alcohol (IV) se transforma al correspondiente epoxido (V) mediante medios conocidos por aquellos expertos en la técnica. La estereoquimica del (S)-(IV) centro se mantiene al formar el epoxido (V). Unos medios preferidos son mediante la reacción con base, por ejemplo, pero no limitados a, -hidróxido generado de hidróxido de sodio, hidróxido de potasio, hidróxido de litio y similar. Las condiciones de reacción incluyen el pulso de solventes de alcohol C1-C6, se prefiere el

etanol, un co-solvente común, tal como por ejemplo, etil acetato también se puede emplear. Las reacciones son conocidas a temperaturas que varían desde -45 grados C hasta la temperatura de reflujo del alcohol empleado; los rangos de temperatura preferidos están entre -20 grados C y 20-25 grados C.

El epoxido (V) reacciona entonces con la amina c-terminal apropiadamente sustituida, RC-NH_2 (IV) por medios conocidos por aquellos expertos en la técnica que abren el epóxido para producir el-(S,R)-alcohol protegido (VII) enantiomericamente puro correspondiente. Las aminas C-terminales sustituidas R_6-NH_2(VI) de esta invención está comercialmente disponibles o son conocidas por aquellos expertos en la técnica o pueden ser fácilmente preparadas de compuestos conocidos. R_C incluye:

(I)-alquilo-C1-C10 opcionalmente sustituido con uno, dos o tres sustituyentes seleccionados del grupo que consiste de alquilo C_1-C_3, -F, -CL, -Br, -I, -OH, -SH, -C≡N,-CF_3, alcoxi C_1-C_6, -O-fenilo, -NR_{1-a} R_{1-b} donde R_{1-a} y R_{1-b} son como se definió anteriormente, -OC=O en R_{1-a} R_{1-b} donde R_{1-a} y R_{1-b} son como se definió anteriormente, -

$S(=O)_{0-2}$ R_{1-a} donde R_{1-a} es como se definió anteriormente, -N_{R1-a} C=O NR_{1-a} R_{1-b} donde R_{1-a} y R_{1-b} son como se definió anteriormente, -C=O N_{R1-a} R_{1-b} donde R_{1-a} y R_{1-b} son como se definió anteriormente, y -S(=O) NR_{1-a} R_{1-B} donde R_{1-a} y R_{1-b} son como se definió anteriormente,

(II)-$(CH_2)_{0-3}(C_3-C8)$ cicloalquilo, donde el cicloalquilo puede ser opcionalmente sustituido con uno, dos o tres sustituyentes seleccionados del grupo que consiste de alquilo C_1-C_3, -F, -CL, -Br, -I, -OH, -SH, -C≡N,-CF_3, alcoxi C_1-C_6, -O-fenilo, -CO-OH, -CO-O-(alquilo C_1-C_4), -$NR_{1-a}R_{1-b}$ donde R_{1-a} y R_{1-b} son como se definió anteriormente,

(III)-$(CR_{C-x}R_{C-y})_{0-4}$-$R_{C-arilo}$ donde R_{C-x} y R_{C-y} son -H,

alquilo C_1-C_4 opcionalmente sustituido con uno, o dos -OH,

el alcoxi C_1-C_4 opcionalmente sustituido con uno, dos o tres de -F,

-$(CH_2)_{0-4}$-C_3-C_7cicloalquilo,

alquenilo C_2-C_6 que contiene uno o dos dobles enlaces,

alquinilo C_2-C_6 que contiene uno o dos triples enlaces,

fenilo, -,

y donde $(CR_{C-x}R_{C-y})$ son tomados juntos con el carbono al cual ellos están unidos para formar un carbociclo de tres, cuatro cinco, seis y siete átomos de carbono, opcionalmente donde un átomo de carbono se reemplaza mediante un eteroátomo seleccionado del grupo que consiste de

-O-, -S-, $-SO_2-$, $-_{NRN-2}-$y $R_{C-arilo}$ se define como $R_{N-arilo}$ se define adelante;

(IV) $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heteroarilo}$ donde $R_{C-heteroarilo}$ se define como $R_{N-heteroarilo}$ se define anteriormente y R_{C-X} Y R_{C-Y} son como se definió anteriormente.

(V) $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-arilo}$ $-R_{C-arilo}$ donde $R_{C-arilo}$ R_{C-X} y R_{C-Y} son como se definió anteriormente,

(VI) $-(CR_{C-x}\ R_{C-y})_{0-4}-R_{C-arilo}$ $-R_{C-heteroarilo}$ donde $R_{C-arilo}$ $R_{C-heteroarilo}$ R_{C-x} y R_{C-Y} son como se definió anteriormente,

(VII)- $(CR_{C-x}\ R_{C-y})_{0-4}-R_{C-heteroarilo}$ $-R_{C-arilo}$ donde $R_{C-heteroarilo}$ $R_{C-arilo}$, R_{C-x} y R_{C-Y} son como se definió anteriormente,

(VIII) $(CR_{C-x}\ R_{C-y})_{0-4}-R_{C-heteroarilo}\ -R_{C-heteroarilo}$ donde $R_{C-heteroarilo}$ R_{C-x} y R_{C-Y} son como se definió anteriormente,

(XI) $(CR_{C-x}\ R_{C-y})_{0-4}-R_{C-arilo}\ -R_{C-heterociclo}$ donde $R_{C-arilo}$ R_{C-x} y R_{C-Y} son como se definió anteriormente, y $R_{C-heterociclo}$ se definió como $R_{N-heterociclo}$ se definió adelante,

(X) $(CR_{C-x}\ R_{C-y})_{0-4}-R_{C-heteroarilo}\ -R_{C-heterociclo}$ donde $R_{C-heteroarilo}$ $R_{C-heterociclo}$, R_{C-x} y R_{C-Y} son como se definió anteriormente,

(XI) $(CR_{C-x}\ R_{C-y})_{0-4}-R_{C-heterociclo}\ -R_{C-arilo}$ donde $R_{C-heterociclo}$, $R_{C-arilo}$ R_{C-x} y R_{C-Y} son como se definió anteriormente,

(XII) $(CR_{C-x}\ R_{C-y})_{0-4}-R_{C-heterociclo}\ -R_{C-heteroarilo}$ donde $R_{C-heterociclo}$, $R_{C-heteroarilo}$, R_{C-x} y R_{C-Y} son como se definió anteriormente,

(XIII) $(CR_{C-x}\ R_{C-y})_{0-4}-R_{C-heterociclo}\ -R_{C-heterociclo}$ donde $R_{C-heterociclo}$ R_{C-x} y R_{C-Y} son como se definió anteriormente,

(XIV) $(CR_{C-x}\ R_{C-y})_{0-4}-R_{C-heterociclo}$ donde $R_{C-heterociclo}$ se definió como $R_{1-heterociclo}$ se definió anteriormente y R_{C-x} y R_{C-Y} son como se definió anteriormente,

(XV) $-[C(R_{C-1})(RC-2)]_{1-3}-CO-N-(R_{C-3})_2$ donde R_{C-1} Y R_{C-2} son iguales o diferentes y se seleccionan del grupo que consiste:

(A) -H,

(B) alquilo $-C1-C_6$, opcionalmente sustituido con uno, dos o tres sustituyentes seleccionados del grupo que

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consiste de alquilo C_1-C_3, -F, -CL, -Br, -I, -OH, -SH, -C≡N,-CF_3, alcoxi C_1-C_6, -O-fenilo, -NR_{1-a} R_{1-b} donde R_{1-a} y R_{1-b} son como se definió anteriormente,

(C) alquenilo -C_2-C_6, con uno, o dos dobles enlaces opcionalmente sustituidos con uno, dos o tres sustituyentes seleccionados del grupo que consiste de alquilo C_1-C_3, -F, -CL, -Br, -I, -OH, -SH, -C≡N,-CF_3, alcoxi C_1-C_6, -O-fenilo, -NR_{1-a} R_{1-b} donde R_{1-a} y R_{1-b} son como se definió anteriormente,

(D) alquinilo -C_2-C_6, con uno o dos triples enlaces, opcionalmente sustituido con uno, dos o tres sustituyentes seleccionados del grupo que consiste de alquilo C_1-C_3, -F, -CL, -Br, -I, -OH, -SH, -C≡N,-CF_3, alcoxi C_1-C_6, -O-fenilo, -NR_{1-a} R_{1-b} donde R_{1-a} y R_{1-b} son como se definió anteriormente,

(E)-$(CH_2)_{1-2}$-S$(O)_{0-2}$(alquilo C_1-C_6),

(F)-$(CH_2)_{0-4}$-C_3-C_7 cicloalquilo, opcionalmente sustituido con uno, dos o tres sustituyentes seleccionados del grupo que consiste de alquilo C_1-C_3, -F, -CL,

-Br, -I, -OH, -SH, -C≡N,-CF_3, alcoxi C_1-C_6, -O-fenilo, -NR_{1-a} R_{1-b} donde R_{1-a} y R_{1-b} son como se definió anteriormente,

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(G)-(alquilo C_1-C_4)-$R_{C'-arilo}$ donde $R_{C'-arilo}$ es como se definió para $R_{1-arilo}$,

(H)-(alquilo C_1-C_4)-$R_{C-heteroarilo}$ donde $R_{C-heteroarilo}$ es como se definió anteriormente,

(I)-(alquilo C_1-C_4)-$R_{C-heterociclo}$ donde $R_{C-heterociclo}$ es como se definió anteriormente,

(J)-$R_{C-heteroarilo}$ donde $R_{C-heteroarilo}$ es como se definió anteriormente,

(K) -$R_{C-heterociclo}$ donde $R_{C-heterociclo}$ es como se definió anteriormente,

(M)-$(CH_2)_{1-4}$ R_{C-4}-$(CH_2)_{0-4}$-$R_{C'-arilo}$ donde R_{C-4} es -O-, -S-o -NR_{C-5}-donde RC-5 es alquilo C_1-C_6, y donde $R_{C'-arilo}$ es como se definió anteriormente,

(N) -$(CH_2)_{1-4}$ R_{C-4}-$(CH_2)_{0-4}$-$R_{C-heteroarilo}$ donde R_{C-4} y RC-heteroarilo son como se definió anteriormente,

(O) -$R_{C'-arilo}$ donde $R_{C'-arilo}$ es como se definió anteriormente,

y donde Rc-3 es igual o diferente y es:

(A)-H,

(B) alquilo -C_1-C_6, opcionalmente sustituido con uno, dos o tres sustituyentes seleccionados del grupo que consiste de alquilo C_1-C_3, -F, -CL, -Br, -I, -OH, -SH, -

C≡N, $-CF_3$, alcoxi C_1-C_6, -O-fenilo, $-NR_{1-a}$ R_{1-b} donde R_{1-a} y R_{1-b} son como se definió anteriormente,

(C) alquenilo $-C_2$-C_6, con uno, o dos dobles enlaces opcionalmente sustituidos con uno, dos o tres sustituyentes seleccionados del grupo que consiste de alquilo C_1-C_3, -F, -CL, -Br, -I, -OH, -SH, -C≡N, $-CF_3$, alcoxi C_1-C_6, -O-fenilo, $-NR_{1-a}$ R_{1-b} donde R_{1-a} y R_{1-b} son como se definió anteriormente,

(D) alquinilo $-C_2$-C_6, con uno o dos triples enlaces, opcionalmente sustituido con uno, dos o tres sustituyentes seleccionados del grupo que consiste de alquilo C_1-C_3, -F, -CL, -Br, -I, -OH, -SH, -C≡N, $-CF_3$, alcoxi C_1-C_6, -O-fenilo, $-NR_{1-a}$ R_{1-b} donde R_{1-a} y R_{1-b} son como se definió anteriormente,

(E) $-(CH_2)_{0-4}$-C_3-C_7, cicloalquilo, opcionalmente sustituido con uno, dos o tres sustituyentes seleccionados del grupo que consiste de alquilo C_1-C_3, -F, -CL, -Br, -I, -OH, -SH, -C≡N, $-CF_3$, alcoxi C_1-C_6, -O-fenilo, $-NR_{1-a}$ R_{1-b} donde R_{1-a} y R_{1-b} son como se definió anteriormente,

(F) $R_{c'-arilo}$ donde $R_{c'-arilo}$ es como se definió anteriormente,

(G) $R_{C\text{-heteroarilo}}$ donde $R_{C\text{-heteroarilo}}$ es como se definió anteriormente,

(H) $R_{C\text{-heterociclo}}$ donde $R_{C\text{-heterociclo}}$ es como se definió anteriormente,

(I)-(alquilo-C_1-C_4)-$R_{C'\text{-arilo}}$ donde $R_{C'\text{-arilo}}$ es como se definió anteriormente,

(J) -(alquilo-C_1-C_4)-$R_{C\text{-heteroarilo}}$ donde $R_{C\text{-heteroarilo}}$ es como se definió anteriormente,

(K) -(alquilo-C_1-C_4)-$R_{C\text{-heterociclo}}$ donde $R_{C\text{-heterociclo}}$ es como se definió anteriormente,

(XVI)-$CH(R_{C\text{-arilo}})_2$ donde $R_{C\text{-arilo}}$ son iguales o diferentes y son como se definió anteriormente,

(XVII)-$CH(R_{C\text{-hteroarilo}})_2$ donde $R_{C\text{-heteroarilo}}$ es igual o diferente y es como se definió anteriormente,

(XVIII) $CH(R_{C\text{-arilo}})$ $(R_{C\text{-heteroarilo}})$ donde $R_{C\text{-arilo}}$ y $R_{C\text{-heteroarilo}}$ son como se definió anteriormente,

(XIX)-anillo de -ciclopentilo, -ciclohexilo, o -cicloheptilo fusionado con $R_{C\text{-arilo}}$ o $R_{C\text{-heteroarilo}}$ o $R_{C\text{-heterociclo}}$ donde $R_{C\text{-arilo}}$ $R^{C\text{-heteroarilo}}$ $R^{C\text{-heterociclo}}$ son como se definió anteriormente donde un carbono de ciclopentilo, ciclohexilo, o -cicloheptilo es opcionalmente reemplazado con NH, N^{R-5}, o $S(=O)^{0-2}$, y donde el ciclopentilo, ciclohexilo o -cicloheptilo puede ser opcionalmente sustituido con uno o dos alquilo C^1-C^3, -F, -OH, -SH, -

C≡N, $-CF_3$, alcoxi C_1-C_6, =O, $-NR_{1-a}R_{1-b}$ donde R_{1-a} y R_{1-b} son como se definió anteriormente,

(XX) alquenilo $-C_2$-C_{10}, que contienen uno, o dos dobles enlaces opcionalmente sustituidos con uno, dos o tres sustituyentes seleccionados del grupo que consiste de alquilo C_1-C_3, -F, -CL, -Br, -I, -OH, -SH, -C≡N, $-CF_3$, alcoxi C_1-C_6, -O-fenilo, $-NR_{1-a}R_{1-b}$ donde R_{1-a} y R_{1-b} son como se definió anteriormente,

(XXI) alquenilo $-C_2$-C_{10}, que contiene uno, o dos triples enlaces opcionalmente sustituidos con uno, dos o tres sustituyentes seleccionados del grupo que consiste de alquilo C_1-C_3, -F, -CL, -Br, -I, -OH, -SH, -C≡N, $-CF_3$, alcoxi C_1-C_6, -O-fenilo, $-NR_{1-a}R_{1-b}$ donde R_{1-a} y R_{1-b} son como se definió anteriormente,

(XXI) $-(CH_2)_{0-1}-CHR_{C-6}-CH_2)_{0-1}-R_{C-arilo}$ donde $R_{C-arilo}$ es como se definió anteriormente y R_{C-6} es $-(CH_2)_{0-6}-OH$,

(XXII) $-(CH_2)_{0-1}-CHR_{C-6}-CH_2)_{0-1}-R_{C-heteroarilo}$ donde $R_{C-heteroarilo}$ y R_{C-6} son como se definió anteriormente,

(XXIII) $-CH(-R_{C-arilo}$ o $R_{C-heteroarilo})-CO-$(alquilo C_1-C_4) donde $R_{C-arilo}$ y $R_{C-heteroarilo}$ son como se definió anteriormente,

(XXIV) $-CH(-CH_2-OH)-CH(-OH)-$fenilo$-NO_2$,

(XXV) (alquilo C_1-C_6)-O-(alquilo C_1-C_6)-OH,

(XXVII) $-CH_2-NH-CH_2-CH(-O-CH_2-CH_3)_2$,

(XXVIII) -H

(XXIX) $-(CH_2)_{0-6}-C(=NR_{1-a})(NR_{1-a}\ R_{1-b})$ donde R_{1-a} y R_{1-b} son como se definió anteriormente.

Se prefiere que R_C sea:

-alquilo C_1-C_8

$-(CH_2)_{0-3}-(C_3-C_7)$ cicloalquilo,

$-(CR_{C-X}R_{C-Y})$ 0-4-RC-arilo,

$-(CR_{C-X}\ R_{C-Y})_{0-4}-R_{C-heteroarilo}$,

$-(CR_{C-X}\ R_{C-y})_{0-4}-R_{C-heterociclo}$

anillo-ciclopentilo o -ciclohexilo fusionado a RC-arilo o RC-heteroarilo o RC-heterociclo.

Es más preferido que R_C sea:

$-(CH_2)_{0-3}-(C_3-C_7)$ cicloalquilo,

$-(CR_{C-X}\ R_{C-Y})_{0-4}-R_{C-arilo}$,

$-(CR_{C-X}\ R_{C-Y})_{0-4}-R_{C-heteroarilo}$,

$-(CR_{C-X}\ R_{C-Y})_{0-4}R_{C-heterociclo}$,

anillo de -ciclopentilo o -ciclohexilo fusionado a un $R_{C-arilo}$ o $R_{C-heteroarilo}$ o $R_{C-heterociclo}$.

Es más preferible que RC sea:

$-(CR_{C-X}\ R_{C-Y})_{0-4}-R_{C-arilo}$,

$-(CR_{C-X}\ R_{C-Y})_{0-4}-R_{C-heteroarilo}$,

- anillo de -ciclopentilo o -ciclohexilo fusionado a un $R_{C\text{-arilo}}$ o $R_{C\text{-heteroarilo}}$ o $R_{C\text{-heterociclo}}$.

Es aún más preferido que RC se seleccione del grupo que consiste de:

$-(CR_{C-X}\ R_{C-Y})_{0-4}-R_{C\text{-arilo}}$, donde $R_{6\text{-arilo}}$ es fenilo;

$-(CR_{C-X}\ R_{C-Y})_{0-4}-R_{C\text{-heteroarilo}}$,

anillo de -ciclopentilo o -ciclohexilo fusionado a un $R_{C\text{-arilo}}$ o $R_{C\text{-heteroarilo}}$ o $R_{C\text{-heterociclo}}$. Adicionalmente, se prefiere que cuando R6 sea fenilo, este se sustituya en las posiciones 3,5-

Las condiciones de reacción adecuadas para abrir el epóxido (V) incluye generar la reacción en un rango amplio de solventes comunes e inertes. Los solventes de alcohol C1-C6 son preferidos y el alcohol isopropílico es el más preferido. Las reacciones se pueden producir a temperaturas que vayan desde los 20-25 grados C hasta las temperaturas de reflujo del alcohol empleado. El rango de temperaturas preferido para producir la reacción está entre 50 grados C hasta la temperatura de reflujo del alcohol empleado. Cuando la amina C-terminal sustituida (VI) es 1-amino-3,5-cis-dimetil ciclohexildicarboxilato esta es preferiblemente preparada como sigue. Al dimetil-5-amino isoftalato en ácido acético y metanol, se le

agrega rodio en aluminio en una botella a alta presión. La botella se satura con hidrógeno a 55 psi y se agita durante una semana. La mezcla entonces se filtra a través de una capa de tierra de diatomaceas y se enjuaga con metanol 3 veces, los solventes se remueven bajo presión reducida (con calor) para dar un concentrado. El concentrado se titula con éter y se filtra de nuevo para dar la amina C-terminal deseada (VI). Cuando la amina C-terminal sustituida (VI) es 1-amino-3,5-cis-dimetoxi ciclohexano se prepara al seguir el procedimiento general anterior y sin hacer variaciones críticas pero iniciando con 3,5-dimetoxianilina. Cuando la amina C-terminal sustituida (VI) es un grupo aminometilo donde el sustituyente sobe el grupo metilo es un grupo arilo, por ejemplo $NH_2-CH_2-R_{C-arilo}$, y el $NH_2-CH_2-R_{C-arilo}$ no esta comercialmente disponible este se prepara preferiblemente como sigue. Un material de partida adecuado es el (apropiadamente sustituido) compuesto aralquilo. La primera etapa es la bromination del sustituyente alquilo por métodos conocidos por aquellos expertos en la técnica, ver por ejemplo R.C. Larock in Comprehensive Organic transformations, VCH Publishers, 1989, p.313. luego el haluro de alquilo reacciona con azida para producir aril-(alquil)-azida. La última azida se reduce a

la amina correspondiente mediante hidrógeno /catalizador para dar una amina C-terminal (VI) de la fórmula NH2-CH2-RC-arilo. Las aminas C-terminal funcionalizadas adecuadamente (VI) pueden ser fácilmente preparadas por un experto en la técnica por vía de métodos conocidos en la literatura, haciendo modificaciones no significativas. Referencias de literaturas seleccionadas incluyen 1)Calderwood, et al., tet, let., 1997, 38, 1241, 2)Ciganek, J. Org, Chem., 1992, 57, 4521, 3)Thurkauf, et al., J. Med. Chem., 1990, 33, 1452, 4) Werner, et al., Org. Syn. Coll. Vol. 5, 273, 5) J. Med. Chem., 1999, 42, 4193, 6) Chem. Rev. 1995, 95, 2457, 7) J. Am. Chem. Soc., 1986, 3150, 8) Felman et al., Med. Chem., 1992, 35, 1183, 9) J. Am. Chem. Soc. 1970, 92, 3700, 10) J. Med. Chem., 1997, 40, 2323.

La tabla B describe un proceso alternativa para la producción del (S, R)-alcohol protegido (VII) enantiomericamente puro del (S) del compuesto protegido (III). En el proceso alternativo, el (S) compuesto protegido (III) reacciona primero con la R_C-NH_2(VI) amina C-terminal apropiadamente sustituida utilizando las condiciones preferidas descritas anteriormente para producir la correspondiente (S) cetona protegida (XI) que

luego se reduce utilizando las condiciones preferidas descritas anteriormente para producir el (S, R)-alcohol protegido (VII) correspondiente.

La tabla C describe otro proceso alternativo para producción de (S, R)-alcohol protegido (VII) enantiomericamente puro pero esta vez proveniente del epóxido (V). En el proceso de la tabla C, el epoxido (V) Reacciona con azida para producir el (S, R)-azida protegida (XII) enantiomericamente pura correspondiente. Las condiciones para conducir la abertura del epoxi mediado por azida son conocidas por aquellos expertos en la técnica, ver por ejemplo J. March, Advanced, Organic Chemistry, tercera edición, JOHN Wiley & Sons Publishers, 1985, p.380. Luego, la (S, R) azida protegida (XII) se reduce a la amina protegida correspondiente (XIII) mediante métodos conocidos por aquellos expertos en la técnica. Las condiciones de reducción preferidas para reducir la (S, R)-azida protegida (XII) en la presencia del grupo t-butoxicarbonilo N-protector incluyen hidrogenación catalítica, las condiciones por las cuales son conocidos por aquellos expertos en la técnica. Condiciones de reducción alternativas que se pueden utilizar para evitar la N-desprotección con los grupos

protectores diferentes del t-butoxicarbonilo son conocidas por aquellos expertos en la técnica, ver por ejemplo, R. C. larock in Comprehensive Organic Transformations, VCH Publishers, 1989, p. 409.

El (S, R) alcohol protegido (VII) se desprotege a la (S,R)-amina(VIII) correspondiente por medios conocidos por aquellos expertos en la técnica para remover el grupo protector amina. Los medios adecuados para remover el grupo protector amina depende de la naturaleza del grupo protector. Para aquellos expertos en la técnica, que conocen la naturaleza de un grupo protector especifico, saben que reactivo es preferible para su remoción. Por ejemplo, se prefiere remover el grupo protector preferido, BOC, al disolver el(S,R)-alcohol protegido (VII) en una mezcla de ácido trifluroacético/diclorometano. Cuando se completa, los solventes se remueven bajo presión reducida para dar la correspondiente (S,R)-amina (como la sal correspondiente.

Sales quimicamente adecuadas típicas incluyen trifluoroacetato, y el anion de los ácidos minerales tales como cloro, sulfato, fosfato, preferido es el trifluoroacetato y el cloruro.

La (S,R)-amina (VIII) reacciona entonces con el agente que forma la amida apropiadamente sustituida (IX)tal como anhídrido, haluro de acilo, o ácido de la fórmula $(R_{N-1}-X_N)_2$ O o R_{N-1} X_N $-X_2$ o $R_{N-1}-X_N-OH$ (IX) mediante medios de nitrógeno-acilación conocidos por aquellos expertos en la técnica para producir la correspondiente (S,R)-amina sustituida (X). Las condiciones de acilación de nitrógeno para la reacción de la (S,R)-amina (VIII) con el agente formador de amida (IX) para producir la correspondiente (S,R)-amina sustituida (X) son conocidos por aquellos expertos en la técnica y se pueden encontrar en R. C. larock in Comprehensive Organic Transformations, VCH Publishers, 1989, p. 981, 979, y 972.

El R_N incluye:

(I)$R_{N-1}-X_N$-donde X_N se selecciona de un grupo que consiste de:

(A)-CO-,

(B)-SO_2-,

(C)-$(CR`R``)_{1-6}$ donde R`Y R`` son iguales o diferntes y son -H y alquilo C_1-C_4,

(D) -$(CR`R``)_{1-6}$ $X_{N-1}$ donde $X_{N-1}$ selecciona al grupo que consiste de -O-S-Y -NR`-y donde R` y R`` son como se definió anteriormente,

(E) un enlace sencillo:

donde RN-1 se selecciona del grupo que consiste de:

(A) RN-arilo donde RN-arilo es fenilo, 1-naftilo, 2-naftilo, tetralinilo, indanilo, dihidronaftilo, o 6,7,8,9-tetrahidro-5H-benzo [a] cicloheptenilo, opcionalmente sustituido con uno, dos o tres de los siguientes sustituyentes que pueden ser iguales o diferentes y son:

(1) alquilo C1-C6, opcionalmente sustituido con uno, dos o tres sustituyentes seleccionados del grupo que consiste de alquilo C_1-C_3, -F, -CL, -Br, -I, -OH, -SH, -C≡N, $-CF_3$, alcoxi C_1-C_3, $-NR_{1-a}NR_{1-b}$ donde R_{1-a} y R_{1-b} son como se definió anteriormente,

(2) -OH,

(3) $-NO_2$

(4) -F, -CL, -BR, -I,

(5) -CO-OH,

(6) -C≡N,

(7) $-(CH_2)_{0-4}-CO-NR_{N-2}\ R_{N-3}$ donde R_{N-2} y R_{N-3} son iguales o diferentes y se seleccionan del grupo que consiste de:

(a) -H

(b) alquilo -C1-C6 opcionalmente sustituido con un sustituyente seleccionado del grupo que consiste de:

(i) -OH,

(ii) $-NH_2$,

(c) alquilo $-C_1-C_6$ opcionalmente sustituido con uno, dos o tres -F, -CL, -Br, -I,

(d) cicloalquilo-C_3-C_7,

(e) -(alquilo C_1-C_2)-(cicloalquilo C_3-C_7),

(f) - (alquilo C_1-C_6)-O-(cicloalquilo C_1-C_3),

(g) alquenilo- C_2-C_6, con uno dos dobles enlaces,

(h) alquinilo $-C_2-C_6$ con uno o dos triples enlaces,

(i) cadena de alquilo $-C_1-C_6$ con un doble enlace y un triple enlace,

(j) $-R_{1-arilo}$ donde $R_{1-arilo}$ es como se definió anteriormente,

(k) $-R_{1-heteroarilo}$ donde $R_{1-heteroarilo}$ es como se definió anteriormente,

(8) $-(CH_2)_{0-4}-CO-$(alquilo C_1-C_{12}),

(9) $-(CH_2)_{0-4}-CO-$(alquenilo C_2-C_{12}, con uno, dos o tres dobles enlaces),

(10) -(CH2)0-4-CO-(alquinilo C2-C12, con uno, dos o tres triples enlaces),

(11) $-(CH_2)_{0-4}-CO-$(cicloalquilo C3-C7),

(12) $-(CH_2)_{0-4}-CO-R_{1-arilo}$ donde $R_{1-arilo}$ es como se definió anteriormente,

(13) $-(CH_2)_{0-4}-CO-R_{1-heteroarilo}$ donde $R_{1-heteroarilo}$ es como se definió anteriormente,

(14) $-(CH_2)_{0-4}-CO-R_{1-heterociclo}$ donde $R_{1-heterociclo}$ es como se definió anteriormente,

(15) $-(CH_2)_{0-4}-CO-R_{N-4}$ donde R_{N-4} se selecciona del grupo que consiste de morfolinilo, tiomorfolinilo, piperazinilo, piperidinilo, homomorfolinilo, homotiomorfolinilo, S-óxido de homotiomorfolinilo, S,S-dioxido de homotiomorfolinilo, pirrolinilo, y pirrolidinilo, donde cada grupo es opcionalmente sustituido con uno, dos, tres, o cuatro de alquilo C_1-C_6,

(16) $-(CH_2)_{0-4}-CO-O-R_{N-5}$ donde R_{N-5} se selecciona del grupo que consiste de:

(a) alquilo C1-C6,

(b $-(CH_2)_{0-2}-R_{1-arilo}$ donde $R_{1-arilo}$ es como se definió anteriormente,

(c) alquenilo $-C_2-C_6$ que contiene uno o dos dobles enlaces,

(d) alquinilo $-C_2-C_6$ que contiene uno o dos triples enlaces,

(e) cicloalquilo $-C_3-C_7$,

(f) $-(CH_2)_{0-2}-R_{1-heteroarilo}$ donde $R_{1-heteroarilo}$ es como se definió anteriormente,

(17) $-(CH_2)_{0-4}-SO-NR_{N-2}R_{N-3}$ donde R_{N-2} y R_{N-3} son como se definió anteriormente,

(18) $-(CH_2)_{0-4}-SO_2-NR_{N-2}R_{N-3}$ donde R_{N-2} y R_{N-3} son como se definió anteriormente,

(19) $-(CH_2)_{0-4}-SO_2-$(alquilo C_1-C_{12}),

(20) $-(CH_2)_{0-4}-SO_2-$(cicloalquilo C_1-C_{12}),

(21) $-(CH_2)_{0-4}-N(H$ o $-R_{N-5})-CO-O-$donde R_{N-5} puede ser igual o diferente y es como se definió anteriormente,

(22) $-(CH_2)_{0-4}-N(H$ o$-R_{N-5})-CO-O-N(R_{N-5})$ donde R_{N-5} puede ser igual o diferente y es como se definió anteriormente,

(23) $-(CH_2)_{0-4}-N-SC-N(R_{N-5})_2$, donde R_{N-5} puede ser igual o diferente y es como se definió anteriormente,

(24) $-(CH_2)_{0-4}-N(-H$ o$-R_{N-5})-CO-O-N(R_{N-2})$ donde R_{N-5} y R_{N-2} pueden ser iguales o diferentes y son como se definieron anteriormente,

(25) $-(CH_2)_{0-4}-NR_{N-2}R_{N-3}$ donde R_{N-2} y R_{N-3} pueden ser iguales o diferentes y son como se definió anteriormente,

(26) $-(CH_2)_{0-4}-NR_{N-4}$ donde R_{N-4} es como se definió anteriormente,

(27) $-(CH_2)_{0-4}-O-CO-$(alquilo C_1-C_6),

(28) $-(CH_2)_{0-4}-O-P(O)-(OR_{N-arilo-1})_2$ donde $R_{N-arilo-1}$ es -H o alquilo C_1-C_4,

(29) $-(CH_2)_{0-4}-O-CO-N(R_{N-5})_2$ donde R_{N-5} es como se definió anteriormente,

(30) $-(CH_2)_{0-4}-O-CS-N(R_{N-5})_2$ donde R_{N-5} es como se definió anteriormente,

(31) $-(CH_2)_{0-4}-O-(R^{N-5})_2$ donde R_{N-5} es como se definió anteriormente,

(32) $-(CH_2)_{0-4}-O-(R_{N-5})_2-COOH$ donde R_{N-5} es como se definió anteriormente,

(33) - $-(CH_2)_{0-4}-S-(R_{N-5})_2$ donde R_{N-5} es como se definió anteriormente,

(34) $-(CH_2)_{0-4}-O-$(alquilo C_1-C_6) opcionalmente sustituido con uno, dos, tres, cuatro o cinco de -F,),

(35) cicloalquilo C_3-C_7,

(36) alquenilo C_2-C_6 con uno o dos dobles enlaces opcionalmente sustituido con alquilo C_1-C_3, -F, -CL, -Br, -I, -OH, -SH, -C≡N, $-CF_3$, alcoxi C_1-C_3, $-NR_{1-a}$ NR_{1-b} donde R_{1-a} y R_{1-b} son como se definió anteriormente,

(37) alquinilo C_2-C_6 con uno o dos triples enlaces opcionalmente sustituido con alquilo C_1-C_3, -F, -CL, -Br, -I, -OH, -SH, -C≡N, $-CF_3$, alcoxi C_1-C_3, $-NR_{1-a}$ NR_{1-b} donde R_{1-a} y R_{1-b} son como se definió anteriormente,

(38) $-(CH_2)_{0-4}-N(-H$ o $R_{N-5})-SO2-R_{N-2}$ donde R_{N-5} y R_{N-2} pueden ser iguales o diferentes y son como se describió anteriormente,

(39) $-(CH_2)_{0-4}$ -cicloalquilo C_3-C_7,

(B) $-R_{N-heteroarilo}$ donde $R_{N-heteroarilo}$ se selecciona del grupo que consiste de:

piridinilo,

pirimidinilo,

quinolinilo,

benzotienilo,

indolilo,

indolinilo,

pridazinilo,

pirazinilo,

isoindolilo,

isoquinolilo,

quinazolinilo,

quinoxalinilo

ftalazinilo,

imidazolilo,

isoxazolilo,

pirazolilo,

oxazolilo,

tiazolilo,

indolizinilo,

indazolilo,

benzotiazolilo,

benzimidazolilo,

benzofuranilo,

furanilo,

tienilo,

pirrolilo,

oxadiazolilo,

tiadiazolilo,

triazolilo,

tetrazolilo,

oxazolopiridinilo,

imidazopiridinilo,

isotiazolilo,

naftiridinilo,

cinolinilo,

carbazolilo,

beta-carbolinilo,

isocromanilo,

cromanilo,

tetrahidroisoquinolinilo,

isoindolinilo,

isobenzotetrahidrofuranilo,

isobenzotetrahidrotienilo,

isobenzotienilo,

benzoxazolilo,

piridopiridinilo,

benzotetrahidrofuranilo,

benzotetrahidrotienilo,

purinilo,

benzodioxolilo,

triazinilo,

fenoxazinilo,

fenotiazinilo,

pteridinilo,

benzotiazolilo,

imidazopiridinilo,

imidazotiazolilo,

dihidrobenzisoxazinilo,

benzisoxazinilo,

benzoxazinilo,

dihidrobenzisotiazinilo,

benzopiranilo,

benzotiopiranilo,

coumarinilo,

isocoumarinilo,

cromonilo,

cromanonilo,

N-óxido de piridinilo,

tetrahidroquinolinilo,

dihidroquinolinilo,

dihidroquinolinonilo,

dihidrocoumarinilo,

dihidroisocoumarinilo,

isoindolinonilo,

benzodioxanilo,

benzoxazolinonilo,

N-óxido de pirrolilo,

N-óxido de pirimidinilo,

N-óxido de piridazinilo,

N-óxido de pirazililo,

N-óxido de quinolinilo,

N-óxido de indolilo,

N-óxido de indolinilo,

N-óxido de isoquinolilo,

N-óxido de quinazolinilo,

N-óxido de quinoxalinilo,

N-óxido de ftalazinilo,

N-óxido de imidazolilo,

N-óxido de isoxazolilo,

N-óxido de oxazolilo,

N-óxido de tiazolilo,

N-óxido de indolizinilo,

N-óxido de indazolilo,

N-óxido de benzotiazolilo,

N-óxido de benzimidazolilo,

N-óxido de pirrolilo,

N-óxido de oxadiazolilo,

N-óxido tiadiazolilo,

N-óxido triazolilo,

N-óxido tetrazolilo,

S-óxido benzotiopiranilo,

S,S-dióxido de benzotiopiranilo,

Donde el grupo $R_{N-heteroarilo}$ esta enlazado mediante cualquier átomo del grupo $R_{N-heteroarilo}$ padre sustituido mediante hidrógeno de tal forma que el nuevo enlace al grupo $R_{N-heteroarilo}$ reemplaza el átomo de hidrógeno y su enlace, donde el heteroarilo esta opcionalmente sustituido con uno, dos, tres, o cuatro de:

(1) alquilo C_1-C_6 opcionalmente sustituido con uno, dos o tres sustituyentes seleccionados del grupo que consiste de alquilo C_1-C_3, -F, -CL, -Br, -I, -OH,-SH, -C≡N, $-CF_3$, alcoxi C_1-C_3, $-NR_{1-a}$ R_{1-b} donde R_{1-a} Y R_{1-b} son como se definió anteriormente,

(2)-OH,

(3)-NO2,

(4)-F, -CL, -Br, -I,

(5)-CO-OH,

(6)-C≡N,

(7) $-(CH_2)_{0-4}-CO-NR_{N-2}$ R_{N-3} donde R_{N-2} y R_{N-3} son iguales o diferentes y se seleccionan del grupo que consiste de:

(a)-H

(b)alquilo $-C_1$-C_6 opcionalmente sustituido con un sustituyente seleccionado del grupo que consiste de:

(i) -OH,

(ii) $-NH_2$,

(c) Alquilo C_1-C_6 opcionalmente sustituido con uno a tres -F, Cl, -Br, -I,

(d) cicloalquilo - C_3-C_7

(e) -(alquilo C_1-C_2)-(cicloalquilo C_3-C_7),

(f) -(alquilo C_1-C_6)-O-(alquilo C_1-C_3)

(g) Alquenilo-C_2-C_6 con uno o dos dobles enlaces

(h) alquinilo -C2-C_6 con uno o dos triples enlaces,

(i) cadena de alquilo -C_1-C_6 con un doble enlace y un triple enlace,

(j) $-R_{1\text{-arilo}}$ donde $R_{1\text{-arilo}}$ es como se definió anteriormente,

(k) $-R_{1\text{-heteroarilo}}$ donde $R_{1\text{-heteroarilo}}$ es como se definió anteriormente,

(8) $-(CH_2)_{0-4}CO-$(alquilo C_1-C_{12}),

(9) $-(CH_2)_{0-4}-CO-$(alquenilo C_2-C_{12} con uno, dos o tres dobles enlaces),

(10) $-(CH_2)_{0-4}-CO-$(alquinilo C_2-C_{12} con uno, dos o tres triples enlaces)

(11) $-(CH_2)_{0-4}-CO-$(cicloalquilo C_1-C_{12}),

(12) $-(CH_2)_{0-4}-CO-R_{1-arilo}$ donde $R_{1-arilo}$ es como se definió anteriormente,

(13) $-(CH_2)_{0-4}-CO-R_{1-heteroarilo}$ donde $R_{1-heteroarilo}$ es como se definió anteriormente,

(14) $-(CH_2)_{0-4}-CO-R_{1-heterociclo}$ donde $R_{1-heterociclo}$ es como se definió anteriormente,

(15) $-(CH_2)_{0-4}-CO-R_{N-4}$ donde R_{N-4} es seleccionado del grupo que consiste de morfolinilo, tiomorfolinilo, piperasinilo, piperidinilo, homomorfolinilo, homotiomorfolinilo, S-óxido de homotiomorfolinilo, S, S-dióxido de homotiomerfolinilo, pirrolinilo y pirrolidinilo donde cada grupo es opcionalmente sustituido con uno, dos, tres o cuatro de alquilo C_1-C_6,

(16) $-(CH_2)_{0-4}-CO-O-R_{N-5}$ donde R_{N-5} es seleccionado de un grupo que consiste de:

(a) alquilo C_1-C_6,

(b) $-(CH_2)_{0-2}-(R_{1-arilo})$ donde $R_{1-arilo}$ es como se definió anteriormente,

(c) alquenilo C_2-C_6 uno o dos dobles enlaces,

(d) alquinilo C_2-C_6 uno o dos triples enlaces,

(e) Cicloalquilo C_3-C_7

(f) $-(CH_2)_{0-2}-(R_{1-heteroarilo})$ donde $R_{1-heteroarilo}$ es como se definió anteriormente,

(17) $-(CH_2)_{0-4}-SO_2-NR_{N-2}R_{N-3}$ donde R_{N-2} y R_{N-3} son como se definieron anteriormente,

(18) $-(CH_2)_{0-4}-SO-$(alquilo C_1-C_8)

(19) $-(CH_2)_{0-4}-SO_2-$(alquilo C_1-C_{12})

(20) $-(CH_2)_{0-4}-SO_2-$(cicloalquilo C_3-C_7)

(21) $-(CH_2)_{0-4}-N(H$ o $R_{N-5})-CO-O-R_{N-5}$ donde R_{N-5} puede ser igual o diferente y como se definió anteriormente,

(22) $-(CH_2)_{0-4}-N(H$ o $R_{N-5})-CO-N(R_{N-5})_2$ donde R_{N-5} puede ser igual o diferente y como se definió anteriormente,

(23) $-(CH_2)_{0-4}-N-CS-N(R_{N-5})_2$, donde R_{N-5} puede ser igual o diferente y como se definió anteriormente,

(24) $-(CH_2)_{0-4}-N(-H$ o $R_{N-5})-CO-R_{N-2}$ donde R_{N-5} y R_{N-2} pueden ser iguales o diferentes y don como se definió anteriormente,

(25) $-(CH_2)_{0-4}-NR_{N-2}R_{N-3}$ donde R_{N-2} Y R_{N-3} pueden ser iguales o diferentes y son como se definió anteriormente,

(26) $-(CH_2)_{0-4}-R_{N-4}$ donde R_{N-4} es como se definió anteriormente,

(27) $-(CH_2)_{0-4}-O-CO-$(alquilo C_1-C_6),

(28) $-(CH_2)_{0-4}-O-P(O)-(OR_{N-arilo-1})$ donde $R_{N-arilo-1}$ $-H$ o alquilo C_1-C_4,

(29) $-(CH_2)_{0-4}-O-CO-N(R_{N-5})_2$ donde R_{N-5} es como se definió anteriormente,

(30) $-(CH_2)_{0-4}-O-CS-N(R_{N-5})$ donde R_{N-5} es como se definió anteriormente,

(31) $-(CH_2)_{0-4}-O-(R_{N-5})_2$ donde R_{N-5} es como se definió anteriormente

(32) $-(CH_2)_{0-4}-O-(R_{N-5})_2-COOH$ donde R_{N-5} es como se definió anteriormente,

(33) $-(CH_2)_{0-4}-S-(R_{N-5})_2$ donde R_{N-5} es como se definió anteriormente,

(34) $-(CH_2)_{0-4}-O-$(alquilo C_1-C_6 opcionalmente sustituido con uno, dos, tres, cuatro o cinco de -F),

(35) cicloalquilo C_1-C_7

(36) Alquenilo C_2-C_6 con uno o dos dobles enlaces opcionalmente sustituidos con alquilo C_1-C_3, -F. -Cl, -Br, -I, -OH, -SH, -C≡N, $-CF_3$, alcoxi C_1-C_3, $NR_{1-a}R_{1-b}$ donde R_{1-a} y R_{1-b} son como se definió anteriormente

(37) Alquinilo C_2-C_6 con uno o dos triples enlaces opcionalmente sustituidos con alquilo C_1-C_3, -F. -Cl, -Br, -I, -OH, -SH, -C≡N, -CF_3, alcoxi C_1-C_3, $NR_{1-a}R_{1-b}$ donde R_{1-a} y R_{1-b} son como se definió anteriormente

(38) $-(CH_2)_{0-4}-N(-H$ O $R_{N-5})-SO_2-R_{N-2}$ donde R_{N-5} y R_{N-2} pueden ser iguales o diferentes y son como se definió anteriormente,

(39) $-(CH_2)_{0-4}$-cicloalquilo C_3-C_7,

(C) $R_{N-arilo}-W-R_{N-arilo}$,

(D) $R_{N-arilo}-W-R_{N-heteroarilo}$,

(E) $R_{N-arilo}-W-R_{N-1-heterociclo}$, donde $R_{N-heterociclo}$ se definió como $R_{1-heterociclo}$ se definió anteriormente,

(F) $R_{N-heteroarilo}-W-R_{N-arilo}$

(G) $R_{N-heteroarilo}-W-R_{N-heteroarilo}$

(H) $R_{N-heteroarilo}-W-R_{N-heterociclo}$, donde $R_{N-1-heterociclo}$ se definió como $R_{N-heterociclo}$ se definió anteriormente,

(I) $R_{N-heterociclo}-W-R_{N-arilo}$,

(J) $R_{N-heterociclo}-W-R_{N-heteroarilo}$

(K) $R_{N-heterociclo}-W-R_{N-1-heterociclo}$

donde W es

(1) $-(CH_2)_{0-4}-$,

(2) $-O-$,

(3) $-S(O)_{0-2}-$,

(4) $-N(R_{N-5})-$ donde R_{N-5} es como se definió anteriormente, o

(5) $-CO-$;

(II) $-CO-$(alquilo C_1-C_{10}) donde alquilo es opcionalmente sustituido con uno, dos, o tres sustituyentes seleccionados del grupo que consiste:

(A) $-OH$,

(B) Alquilo $-C_1-C_6$,

(C) tioalcoxi $-C_1-C_6$,

(D) $-CO-O-R_{N-8}$ donde R_{N-8} es $-H$, alquilo C_1-C_6 o -fenilo,

(E) $-CO-NR_{N-3}R_{N-2}$ donde R_{N-2} y R_{N-3} son iguales o diferentes y son como se definió anteriormente,

(F) $-CO-R_{N-4}$ donde R_{N-2} y R_{N-3} son iguales o diferentes y son como se definió anteriormente,

(G) $-SO_2-$(alquilo C_1-C_8)

(H) $-SO_2-NR_{N-2}R_{N-3}$ donde R_{N-2} y R_{N-3} son iguales o diferentes y son como se definió anteriormente,

(I) $-NH-CO-$(alquilo C_1-C_6)

(J) $-NH-CO-O-R_{N-8}$ donde R_{N-8} es como se definió anteriormente,

(K) $-NR_{N-2}R_{N-3}$ DONDE R_{N-2} Y R_{N-3} son iguales o diferentes y son como se definió anteriormente,

(L) $-R_{N-4}$ donde R_{N-} es como se definió anteriormente,

(M) -O-CO-(Alquilo C_1-C_6)

(N) $-O-CO-NR_{N-8}R_{N-9}$ donde R_{N-8} es igual o diferente y es como se definió anteriormente,

(O) -O-(Alquilo C_1-C_5)-COOH

(P) -O-(alquilo C_1-C_6 opcionalmente sustituidos con uno, dos o tres de: -F, -Cl, -Br, -I)

(Q) $-NH-SO_2$-(Alquilo C_1-C_6)

(R) -F. -Cl,

(III) -CO-(Alquilo C_1-C_6)-O-(alquilo C_1-C_6) donde alquilo es opcionalmente sustituido con uno, dos, o tres sustituyentes seleccionados del grupo que consiste de:

(A) -OH

(B) alcoxi -C_1-C_6

(C) tioalcoxi -C_1-C_6

(D) $-CO-O-R_{N-8}$ donde R_{N-8} es -H, alquil C_1-C_6 o -fenil,

(E) $-CO-R_{N-2}R_{N-3}$ donde R_{N-2} y R_{N-3} son iguales o deferentes y son como se definió anteriormente,

(F) $-CO-R_{N-4}$ donde R_{N-4} se definió anteriormente,

(G) $-SO_2-$(alquilo C_1-C_8)

(H) $-SO_2-NR_{N-2}R_{N-3}$ donde R_{N-2} y R_{N-3} son iguales o diferentes y son como se definió anteriormente,

(I) -NH-CO-(alquilo C_1-C_6)

(J) -NH-CO-O-O R_{N-8} donde R_{N-8} es como se definió anteriormente,

(K) $-NR_{N-2}R_{N-3}$ donde R_{N-2} y R_{N-3} son iguales o diferentes y son como se definió anteriormente,

(L) $-R_{N-4}$ donde R_{N-4} es como se definió anteriormente,

(M) -O-CO-(alquilo C_1-C_6)

(N) $-O-CO-NR_{N-8}R_{N-8}$ donde R_{N-8} es igual o diferente y es como se definió anteriormente,

(O) -O-(alquil C_1-C_5)-COOH

(P) -O-(alquilo C_1-C_6 opcionalmente sustituido con uno, dos o tres de: -F, -Cl, -Br, -I),

(Q) $-NH-SO_2-$(alquilo C_1-C_6),

(R) -F, -Cl,

(IV) -CO-(Alquil C_1-C_6)-S-(alquil C_1-C_6) donde alquil es pasionalmente sustituido con uno, dos o tres sustituyentes seleccionados del grupo que consiste de:

(A) -OH,

(B) alcoxi -C_1-C_6

(C) Tioalcoxi -C_1-C_6

(D) -CO-O-R_{N-8} donde R_{N-8} es como se definió anteriormente,

(E) -CO-$NR_{N-2}R_{N-3}$ donde R_{N-2} y R_{N-3} son iguales o diferentes y son como se definió anteriormente,

(F) -CO-R_{N-4} donde R_{N-4} se definió anteriormente,

(G) -SO_2-(alquilo C_1-C_8)

(H) -SO_2-$NR_{N-2}R_{N-3}$ donde R_{N-2} y R_{N-3} son iguales o diferentes y son como se definió anteriormente,

(I) -NH-CO-(alquilo C_1-C_6)

(J) -NH-CO-O-O R_{N-8} donde R_{N-8} es como se definió anteriormente,

(K) -$NR_{N-2}R_{N-3}$ donde R_{N-2} y R_{N-3} son iguales o diferentes y son como se definió anteriormente,

(L) -R_{N-4} donde R_{N-4} es como se definió anteriormente,

(M) -O-CO-(alquilo C_1-C_6)

(N) $-O-CO-NR_{N-8}R_{N-8}$ donde los R_{N-8} es igual o diferente y es como se definió anteriormente,

(O) $-O-(alquil\ C_1-C_5)-COOH$

(P) $-O-(\ alquilo\ C_1-C_6$ opcionalmente sustituido con uno, dos o tres de: -F, -Cl, -Br, -I),

(Q) $-NH-SO_2-(alquilo\ C_1-C_6)$,

® -F, -Cl,

(V) $-CO-CH(-(CH_2)_{0-2}-O-R_{N-10})-(CH_2)_{0-2}-R_{N-arilo}/R_{N-heteroarilo})$

donde $R_{N-arilo}$ y $R_{N-heteroarilo}$ son como se definieron anteriormente, donde R_{N-10} es seleccionado del grupo que consiste de:

(A) -H,

(B) Alquil C_1-C_6,

(C) cicloalquilo C_3-C_7

(D) Alquenilo C_2-C_6 con un doble enlace

(E) Alquenilo C_2-C_6 con un triple enlace

(F) $R_{1-arilo}$ donde $R_{1-arilo}$ es como se definió anteriormente,

(G) $R_{N-heteroarilo}$ donde $R_{N-heteroarilo}$ es como se definió anteriormente,

(VI) -CO-(cicloalquilo C_3-C_7) donde alquil es opcionalmente sustituido con uno o dos sustituyentes seleccionados del grupo que consiste de:

(A) $-(CH_2)_{0-4}-OH$

(B) $-(CH_2)_{0-4}$-alcoxi $-C_1$-C_6

(C) $-(CH_2)_{0-4}$-tioalcoxi C_1-C_6

(D) $-(CH_2)_{0-4}-CO-O-R_{N-8}$ donde R_{N-8} es H, alquilo C_1-C_6 O fenil

(E) $-(CH_2)_{0-4}-CO-NR_{N-2}R_{N-3}$ donde R_{N-2} y R_{N-3} son iguales o diferentes y son como se definió anteriormente,

(F) $-(CH_2)_{0-4}-CO-R_{N-4}$ donde R_{N-4} es como se definió anteriormente,

(G) $-(CH_2)_{0-4}-SO_2-$(alquilo C_1-C_8),

(H) $-(CH_2)_{0-4}-SO_2-NR_{N-2}R_{N-3}$ donde R_{N-2} y R_{N-3} son iguales o diferentes y son como se definió anteriormente,

(I) $-(CH_2)_{0-4}-NH-CO-$(alquilo C_1-C_6)

(J) $-NH-CO-O-R_{N-8}$ donde R_{N-8} es como se definió anteriormente,

(K) $-(CH_2)_{0-4}-NR_{N-2}R_{N-3}$ donde R_{N-2} y R_{N-3} son iguales o diferentes y son como se definió anteriormente,

(L) $-(CH_2)_{0-4}-R_{N-4}$ donde R_{N-4} es como se definió anteriormente,

(M) -O-CO-(alquilo C_1-C_6),

(N) $-O-CO-NR_{N-8}R_{N-8}$ donde los R_{N-8} son iguales o diferentes y son como se definió anteriormente,

(O) -O-(alquilo C_1-C_5)-COOH

(P) -O-(alquilo C_1-C_6 opcionalmente sustituidos con uno, dos o tres de: -F, -Cl, -Br, -I)

(Q) $-NH-SO_2-$(alquilo C_1-C_6)

(R) -F, -Cl.

Se prefiere que R_N se seleccione del grupo que consiste de:

$R_{N-1}X_N$- donde X_N es -CO-, donde R_{N-1} es $R_{N-arilo}$ o $R_{N-heteroarilo}$ donde $R_{N-arilo}$ es fenilo donde la sustitución sobre el fenilo es 1,3- y donde $R_{N-arilo}$ o el $R_{N-heteroarilo}$ son sustituidos con un $-CO-NR_{N-2}R_{N-3}$,

R_{N-1}-X_N-donde X_N es -CO-, donde R_{N-1} es $R_{N-arilo}$ o $R_{N-heteroarilo}$ donde $R_{N-arilo}$ es fenilo donde la sustitución sobre el fenilo es 1,3-, y donde $R_{N-arilo}$ o $R_{N-heteroarilo}$ se sustituyen con un $-CO-NR_{N-2}R_{N-3}$,

R_{N-1}-X_N-donde X_N es -CO-, donde R_{N-1} es $R_{N-arilo}$ o $R_{N-heteroarilo}$ donde $R_{N-heteroarilo}$ es sustituido con un -CO-$NR_{N-2}R_{N-3}$-. Se prefiere además que R_{N-2} y R_{N-3} sean iguales y sean alquilos C_3. Se prefiere además que:

R_{N-1}-X_N- donde X_N es -CO-, donde R_{N-1} es $R_{N-arilo}$ donde $R_{N-arilo}$ es fenilo sustituido con un -CO-$NR_{N-2}R_{N-3}$ donde la sustitución sobre el fenilo es 1,3-,

R_{N-1}-X_N- donde X_N es -CO-, donde R_{N-1} es $R_{N-arilo}$ donde $R_{N-arilo}$ es fenilo sustituido con un alquilo C_1 y con un -CO-$NR_{N-2}R_{N-3}$ donde la sustitución sobre el fenilo es 1,3,5-. Se prefiere que X_N sea (A) -CO- y (B) -SO_2-; es más preferido que X_N sea -CO-. X_N incluye -Cl, -Br; Se prefiere que X_2 sea -Cl.

La acilación de nitrógeno de las aminas primarias para producir amidas secundarias es una de las reacciones conocidas más viejas. Los agentes formadores de amidas $(R_{N-1}-X_N)_2O$ o R_{N-1}-X_N-X_2 o R_{N-1}-X_N-OH (IX) son conocidos por aquellos aspectos en la técnica y son comercialmente disponibles o pueden ser fácilmente preparados de

materiales de partida conocidos mediante métodos conocidos en la literatura. Se prefiere el uso de un agente de acilación de ácido isoftálico (IX) de la forma $R_{N-2}R_{N-}$N-CO-fenilo-CO- o un agente de acilación de ácido metilisoftálico (IX) de la formula

$R_{N-2}R_{N-3-}$N-CO-(CH_3)fenilo-CO- donde la sustitución es ácido 5-metil-1,3-isoftálico. El ácido 5-metil-1,3-sioftálico más preferido es ácido 3-[(N,N-dipropilamino)carbonil]-5-metilbenzoico (IX). Estos compuestos son preferiblemente preparados como sigue. Un éster, preferiblemente el mono metilo éster de ácido isoftálico o metil 5-metil-1,3-isoftalato se disuelve en una mezcla de THF/DMF. Se agrega 1,1 prima-carbonildiimidazol a 20-25 °C. Luego la amina deseada (H-$NR_{N-2}R_{N-3}$) se agrega. Después de 3-24 h de agitar a 20°C a la temperatura de reflujo del solvente, la mezcla de reacción se particiona entre cloruro de amonio acuoso saturado y un solvente orgánico miscible tal como etil acetato. La capa acuosa se separa y se extrae dos veces con el solvente orgánico (etil acetato). Los extractos orgánicos se combinan y luego se lavan con soluciones acuosas saturadas de bicarbonato y agua salina y se secan

sobre sulfato de sodio anhidro o sulfato de magnesio. La filtración del agente secante y la remoción de los solventes mediante presión reducida da el metil éster del $R_{N-2}R_{N-3}$-N-CO-fenilo-CO-O-CH_3 deseado o un agente de acilación de ácido metilisoftálico (IX) $R_{N-2}R_{N-3}$-N-CO-(CH_3-)fenilo-CO-O-CH_3.La purificación del (metil) éster se puede lograr por vía de cromatografía sobre sílica gel eluyendo con etil acetato en hexanos. El éster de isoftálato o el éster de metilisoftálato de mono-alquilo o di-alquilamida se tratan entonces con una solución acuosa de base tal como hidróxido de litio en una cantidad mínima de THF/metanol/agua y se agitan 3-24 h a 20°C hasta temperatura de reflujo del solvente. Los solventes son removidos bajo presión reducida y subsecuentemente particionados entre agua y un solvente miscible en agua tal como etil acetato. Si las emulsiones prohíben la separación de las dos fases, una pequeña cantidad de solución salina se agrega para ayudar en la separación. La fase acuosa se separa y se extrae una vez más con un solvente visible en agua tal como etil acetato, por ejemplo. La fase acuosa es entonces acidificada con ácido concentrado preferiblemente clorhídrico hasta pH menor igual 3. La mezcla obtenida se extrae entonces tres veces con un solvente miscible en

agua tal como etil acetato, por ejemplo. Estos extractos orgánicos combinados se secan sobre sodio anhídro o sulfato de magnesio. El agente secante se remueve mediante filtración y el solvente orgánico se remueve bajo presión reducida para dar el producto. El mono- o di- alquil amida isoftalato/metil/isoftalato se utilizan tal como en la siguiente reacción con (S,R)-amina(VII) para producir la (S,R)- amina sustituida (X).

Cuando se desea producir una amida primaria, R_{N-2} y R_{N-3} son juntos -H, se prefiere el siguiente procedimiento. Un éster, preferiblemente el metil éster de softalato o metil-5-metil-1,3-isoftalato se disuelve en una mezcla de THF/DMF. El CDI se agrega a 20-25°C. Después se burbujea de 5 a 30 minutos de gas de amonio dentro de la mezcla a través de una aguja de jeringa durante una hora. La mezcla se enfría a 0°C para una duración de una hora. La reacción se deja de agitar bajo un balón de amonio durante toda la noche a 20-25°C, después de lo cual el tiempo la mezcla del tiempo de reacción se particiona entre cloruro de aonio acuoso saturado y solvente no miscible en agua tal como etil acetato, por ejemplo. Las fases se separan y la fase acuosa se extrae dos veces más

con etil acetato. Los extractos orgánicos se lavan con soluciones acuosas saturadas de bicarbonato y solución salina y se secan sobre sodio anhidro o sulfato de magnesio. La filtración del agente secante y la remoción de los solventes bajo presión reducida da el éster del ácido isoftalico deseado o el agente acilante de ácido isoftalico (IX). La purificación del (metil) éster se puede lograr por vía de cromatografía sobre silica gel eluando con isopropanol/cloroformo. El éster isoftalato o el ester metil isoftalato del amida primaria se tratan entonces con una solución acuosa de base tal como hidróxido de litio en una cantidad mínima de THF/metanol/agua y se agitan durante toda la noche a 20-25°C tiempo después del cual los solventes se remueven bajo presión reducida y subsecuentemente se particionan entre agua y un solvente no miscible en agua tal como etil acetato. Si las emulsiones prohíben la separación de las dos fases, una cantidad pequeña de solución salina se agrega para ayudar en la separación. La fase acuosa se separa y se extrae una vez más con un solvente no miscible en agua tal como etil acetato, por ejemplo. La fase acuosa se acidifica entonces con ácido concentrado, preferiblemente clorhídrico hasta pH menor igual 3. La mezcla obtenida se extrae entonces tres veces con etil

acetato. Estos extractos orgánicos combinados se secan sobre sodio anhidro o sulfato de magnesio. El agente secante se remueve mediante filtración y el solvente se remueve bajo presión reducida para dar el producto. El ácido isoftalico de amida se utiliza como tal en la siguiente reacción con (VIII) para producir (X)

Cuando se desea que la amina se ciclise para ser un grupo tal como morfolinilo, piperazinilo, piperidinilo y pirrolidinilo, etc. Se sigue entonces el siguiente procedimiento. Un éster, preferiblemente el metil éster o el ácido isoftalico o el metil 5-metil-1,2-isoftalato se disuelve en cloruro de metileno seco y se agregan 3 gotas DMF. La mezcla se enfría a 0°C y luego el cloruro de oxalilo se agrega. La mezcla se enfría a 0°C y luego el cloruro de oxalilo se agrega. La mezcla se agita a 0°C durante 30 minutos a dos horas después de lo cual los solventes se remueven bajo presión reducida. El cloruro ácido se deja bajo vació durante toda la noche. El cloruro de ácido crudo se disuelve en metileno seco y se enfría hasta 0°C d antes de la división de la amina cíclica y una base de amina terciaria tal como por ejemplo N-metil piperidina. La mezcla de reacción se

agita a 0°C durante 1-6 h antes de que los solventes se remuevan bajo presión reducida. El residuo se diluye con agua y un solvente lo miscible en agua tal como etil acetato, por ejemplo, y las fases se separan. La fase acuosa se extrae dos veces con un solvente no miscible en agua tal como etil acetato, por ejemplo, y los extractos orgánicos combinados se lavan con bicarbonato acuoso saturado y se secan sobre sodio anhidro o sulfato de magnesio. La filtración del agente secante y la remación de los solventes bajo presión reducida dan el producto amida cíclica. La amida cíclica se trata entonces con una base acuosa tal como hidróxido de litio en una cantidad mínima de THF/metanol/agua y se agitan durante toda la noche a 20-25°C, tiempo después del cual los solvente se remueven bajo presión reducida y el residuo se particiona subsecuentemente entre agua y un solvente no miscible en agua tal como etil acetato, por ejemplo. La fase acuosa se extrae una vez más con etil acetato. La remoción del agua proveniente de la fase acuosa bajo presión reducida da el producto de amida cíclico deseado (IX).

Cuando se desea que el R_{N-1} sea carbociclo, por ejemplo pero limitado a ciclo hexano, uno podría entonces

iniciar con un dimetil isoftalato adecuadamente funcionalizado y por vía de los métodos enseñados en la literatura (Meyers, A.I., Org. Syn.,1971,51,103) uno podría reducir el anillo de seis miembros con agentes reductores tales como rodio (5%) sobre aluminio en la presencia de ácido acético y metanol bajo una atmósfera de hidrogeno para lograr el correspondiente dimetil ciclohexano dicarboxilato.

La tabla D establece un proceso alternativo para la producción de (S,R)- amina substituida (X) de la (S, R)-asida protegida (XII), que se produce del epoxido correspondiente (V) en la tabla C. El grupo amino protegido se remueve para producir la asida no protegida correspondiente (XIV) mediante métodos previamente descritos en el gráfico A para la conversión de (S,R)-alcohol protegido (VII) a la correspondiente (S,R)- amina (VIII). La (S,R)-asida no protegida (XIV) y luego asilada sobre nitrógeno para producir el correspondiente(S,R)-asida (XV) luego, la asida funcionalmente se reduce como se describió previamente para la conversión de la (S,R)-asida protegida (XII) a la correspondiente (S,R)-amina protegida para dar(S,R)-amina libre (XVI). Finalmente, la

(S,R)-amina libre (XVI) se transforma a la correspondiente (S,R)-amina sustituida (X) mediante alquilación de nitrógeno con un compuesto de la fórmula R_c-X_3 para dar la correspondiente (S,R)-amina sustituida (X). X_3 es un grupo saliente apropiado pero no limitado a, -Cl, -Br, -I, -O-mesilato,-O-tosilato, triflato, etc. X_3 también puede ser un aldehído; el correspondiente acoplamiento con (XVI) por vía del procedimiento de aminación reductiva bien conocido da el (S,R)-amina sustituida (X).

Los agentes que forman amida carbocíclica (IX) también se proporcionan mediante la invención. Por ejemplo, los agentes formadores de la fórmula

R'-CH-C(R'')(R'')-CH-X_N-OH (IX) se prepara fácilmente de materiales de partida conocidos mediante métodos descritos en la literatura y conocidos por aquellos expertos en la técnica, por ejemplo, J.Med.Chem.1998, 41, 1581, J.Org.Chem.2000, 65, 1305.También se entiende que en lugar del ácido carboxílico, uno puede emplear fácilmente un arulo de acilo donde el arulo es preferiblemente cloruro o un grupo adecuado para producir

un anhídrido mezclado; Estos métodos se enseñan en la tabla A. Para guía adicional sobre la formación de carbociclos y preferiblemente ciclopropanos, uno puede consultar M.P.Doyle; M.A.McKervery; T. Ye in modern Catalytic Methods for Organic Synthesis with Diazo Compounds From Cyclopropanes to Ylides, Wiley-Interscienst,1998.pp.163-279.

Las tablas E, F, G, y H describen varios métodos para producir una porción R_N de la amina sustituida (X) donde el anillo de fenilo de la porción del R_N, 3-di sustituido, -CO-fenilo-CO-, se sustituye además en la posición 5 con varios grupos tales como amidas, nitrilos, arulos y aminas. Estos compuestos se preparan mediante métodoss conocidos por varios expertos en la técnica. La química de procesos de cada reacción es conocida por aquellos expertos en la técnica. Lo que es novedoso aquí es el orden de cada uno de las etapas del proceso y/o los reactivos específicos utilizados. Experto en la técnica que conoce el producto deseado, sabría al menos un método para preparar el producto deseado al utilizar materiales de partida conocidos. De esta manera, la siguiente discusión no es necesaria pero se establece para ayuda

adicional de aquellos interesados en preparar los compuestos de la invención.

La gráfica E describe procesos alternativos para la transformación de anilina (XVII) o éster ácido (XVIII) al correspondiente ácido (IX-XXIII). Un proceso inicia con la anilina comercialmente disponible (XXVII) donde R_{N-a} es preferiblemente -H, alquilo C_1-C_4 o benzilo. La anilina (XVII) reacciona con un reactivo diasotizante tal como nitrito de sodio o potasio el ácido mineral, seguido por una fuente de halógeno tal como aluro de cobre (II9 o un aluro de metal alcalino, o mediante un reactivo orgánico diasotizante tal como nitrito de alquilo en un ácido fuerte tal como ácido acético o ácido trifluoroacético, seguido por una fuente de aluro tal como aluro de cobre (II) o un aluro de metal alcalino para dar el éster a lo ácido 8XIX) donde R_{N-b} es -Cl, -B o -I. Alternativamente, el éster ácido (XVIII) se trata con N-halosuiccinimida y ácido trifluorometanosulfónico para dar el éster de halo ácido (XIX). El ester de halo ácido (XIX) se convierte entonces a la amida de éster (XXI) utilizando una mina primaria o secundaria de la fórmula $H-NR_{Nalpha}R_{Nbeta}$ (amina) donde R_{Nalfa} y R_{Nbeta} son iguales o diferentes o se pueden

siglizar. Estos grupos, R_{Nalpha} y R_{Nbeta}, se vuelven parte de la amina sustituida (X) y se incluyen en la definición de R_N. R_N incluye R_{H-1}-X_N-donde el ligador -X- incluye -CO- y R_{N-1} incluye $R_{N-arilo}$. El $R_{N-arilo}$ se define por incluir fenilo (.fenilo) opcionalmente sustituido con dos amidas:

$-CO-NR_{N-2}R_{N-3}$

$-CO-NR_{N-4}$. R_{N-alfa} y R_{N-beta} incluyen ambos las amidas no cíclicas $-CO-NR_{N-2}-R_{N-3}$ y las amidas cíclicas $-CO-R_{N-4}$ donde R_{N-2}, R_{N-3} y R_{N-4} son como se definió en las reivindicaciones. Alternativamente, el éster de halo ácido (XIX) se convierte en di halo éster (XX) mediante métodos conocidos por aquellos expertos en la técnica. El R_{N-c} incluye -Cl y -F. El di halo éster (XX) se trata con una amina primaria o secundaria de la fórmula $H-NR_{N-alfa}R_{N-beta}$ (Amina) para dar la amina de éster (XXI). La amida de éster (XXI) reacciona entonces con una amina en una atmósfera de monóxido de carbono en la presencia de un catalizador de paladio utilizando métodos tales como aquellos revisados por Heck, (Palladium Reagents in Organic Synthesis, 1985 pp. 342-365) para dar la diamida (XXII). La hidrólisis de la porción de éster de la

diamiada (XXII) utilizando métodos -conocidos por aquellos expertos en la técnica da el ácido de diamida (XXIII).

En la grafica F, una ruta alternativa a una diamida intermedia (XXII) se muestra partiendo del fenol comercialmente disponible (XIV). El fenol (XXIV) se trata con un reactivo trifluorometanosulfonatante tal como anhídrido triofluorometanosulfónico para dar triflato (XXV). El triflato (XXV) reacciona bajo las condiciones del catalizador de paladio en la presencia de monóxido de carbono y una amina de la fórmula $-HNR_{N-alfa}R_{N-beta}$ (amina) como para la conversión de la éster amida (XXI) a la correspondiente diamida (XXII) en la gráfica E para dar el di éster (XXVI). El di éster (XXVI) se hidroliza utilizando métodos conocidos por aquellos expertos en la técnica para dar el mono ácido (XXVII). El mono ácido (XXVII) se convierte entonces a la diamida (XXII) utilizando condiciones tales como para la conversión del éster de halo ácido (XIX) a la éster amida (XXI) en la gráfica E.

La gráfica G describe otra ruta para preparar la éster amida (XIX). La reacción arranca con un compuesto nitro comercialmente disponible (XXVIII) que se condensa con (amina) utilizando métodos de acoplamiento conocidos por aquellos expertos en la técnica para dar una nitro amida (XXX). La nitro amida (XXX) también se puede preparar mediante el primer tratamiento del compuesto nitro (XXVIII) con reactivos tales como cloruro de tionilo, o DMF y un cloruro de cósanlo u otros métodos conocidos por aquellos expertos para dar un cloruro ácido (XXIX). Lo cual al tratarlo con (AMINA) da la nitro amida (XXX). La reducción de la nitro amida (XXX) que utiliza métodos conocidos por aquellos expertos en la técnica, ver, por ejemplo, Smith and March, Advanced Organic Chemistry, 5th ed) da una anilina de amida (XXXI). La anilina de amida (XXXI) se trata entonces con agentes diasotizantes tales como nitrito de sodio o potasio en ácido mineral, seguido por una fuente de halógeno tal como aluro de cobre (II) o un aluro de metal alcalino o mediante un reagente reactivo de diasotizante orgánico tal como nitrito de alquilo en un ácido fuerte tal como ácido acético o ácido trifluoroácetico, seguido por una fuente de aluro tal como aluro de cobre (II) o un aluro de metal alcalino para dar la éster amida (XXI).

La gráfica H describe un proceso para preparar el ácido de diamida (IX-XXIII) proveniente de la éster amida (XXI), donde una de las amidas se sustituye y es $-CO-NH_2$. Este proceso inicia bien sea desde el éster o el ácido, por ejemplo el éster de amida (XXI) se trata con cobre (I) ceramida (CuCN) en N-metilpirrodilinola DMF preferiblemente N-metilpirrolidinola para dar el nitrilo (XXXII). El nitrilo (XXXII) se convierte a la amida primaria (XXXIII) utilizando el complejo de urea-peróxido de hidrógeno (Ver Synth.Común.(1993)3149) o los métodos de Synth.Común.(1990)1445,Synth.Común. (19973119, J.Org.Chem. (1992)2521, Tet.Lett. (1996)6555, Ind.J.Chem.,Sect. B,(1999)974, Tet.Lett (1995)3469,Tet.lett (1998)3005, u otros. Cuando el éster de amida 8XXI) esta en la forma de un éster, una etapa de hidrólisis adicional que utiliza hidróxido de litio, hidróxido de sodio, hidróxido de potasio, hidróxido de Bario, y otros métodos de hidrólisis conocidos por aquellos expertos en la técnica se utilizan para convertir la diamida éster (XXXIII) a el ácido de diamida (IX-XXIII).

La gráfica I describe una ruta sintética alternativa proveniente del alcohol protegido (VII) a la amina sustituida (X) que utiliza un intermedio di protegido (XXXIV) por medio del cual el átomo de nitrógeno unido al R_c sustituyente esta protegido. Utilizando el proceso de la gráfica I, el alcohol mono protegido (VII) reacciona con un nuevo agente protector para formar el ortogonalmente protegido (XXXIV). Esta es una estrategia común empleada en la química tradicional de péptidos por aquellos expertos en la técnica, Ver M. Bodansky, Rpinciple of Peptide Chemistry. Cuando el alcohol mono protegido (VII) se protege con CBZ un experto en experto en la técnica podría hacerlo reaccionar bien sea con $(BOC)_2O$ en cloruro de metileno o un solvente orgánico similar o FMO-Cl en cloruro de metileno o un solvente orgánico similar para preparar el ortogonalmente protegido (XXXIV). Luego el grupo CBZ se remueve mediante hidrogenación en la presencia de una cantidad catalítica de faradio sobre carbono en un solvente alcohólico, tal como metano, o etil acetato, o con paladio catalítico sobre carbono en solventes alcohólicos en la presencia de formato de amonio como es conocido por aquellos expertos. Esto le da al R_c-N protegido (XXXV). Similarmente, cuando el alcohol mono protegido (VII) se protege como BOC es

puede reaccionar con CBZ-Cl bajo las condiciones Schotten-Bauman o CBZ-OS en THF para preparar el (XXXIV) reversado. Entonces el grupo POC se puede dividir con ácido clorhídrico (4n) en un etanol, etanol o dioxano con ácido trifluoroacetico en cloruro de metileno o métodos tales como aquellos descrito en The Peptides, Análisis, Synthesis, Biology, Vol. 3,Ed.E.Gross and J. Meinhofer (1981) para liberar CBZ- R_c-N protegido (XXXV). Esta manipulación del grupo funcional le da varias permutaciones a la secuencia (VII) a (XXXIV) a (XXXV) como es evidente para aquellos expertos. Cuando el compuesto apropiadamente protegido R_c-N (XXXV) reacciona con el agente formador de amida (IX), en forma ácida bajo condiciones de acoplamiento de péptido estándar, por ejemplo, EDC/HOBt en cloruro de metileno o en DMF o un ácido previamente activado $(R_N\text{-})_2O$ da el correspondiente R_N- substituido R_c-N protegido (XXXVI). La simple desprotección del R_N- sustituido R_c-N protegido (XXXVI) da entonces la amina sustituida deseada (X). Así cuando la R_N-sustituida R_c-N protegida (XXXVI) se protege con BOC, el tratamiento con ácido clorhídrico (4N) en dioxano u otros reactivos discutidos anteriormente dan la amina sustituida (X). Cuando la R_N-sustituida R_c-N protegida (XXXVI) se protege CBZ el tratamiento con hidrógeno de

10-50 psi de solventes alcohólicos tal como metanol con una cantidad catalítica de paladio sobre carbona dará, después de trabajo, la amina sustituida deseada (X). Similarmente, cuando la R_N-sustituida R_C-N protegida (XXXVI) se protege con FMOC. El tratamiento con una amida secundaria preferiblemente piperidina (10%) o dietilamina (10%) en un solvente inerte tal como, por ejemplo, cloruro de metileno dará después del trabajo la amina sustituida deseada (X).

La gráfica J muestra un proceso para preparar compuestos donde el anillo de fenilo del sustituyente R_N del -Co-fenilo-CO- es sustituido con un grupo sulfonamida en la posición 5. El proceso inicia con el éster de halo amida (XXI, gráfica E) el cual reacciona con nitrito de sodio, dióxido de azufre, cloruro de cobre (II) y ácido acético mediante el método descrito en J.Med.Chem. 42,3797 (1999) para preparar el cloruro de sulfonilo (XXVII). El cloruro de sulfonilo (XXVII) reacciono de entonces con la amina como se definió anteriormente por los métodos conocidos por aquellos expertos en la técnica para producir la sulfonamida correspondiente (XXVIII). Finalmente la sulfonamida (XXVIII) se transforma al ácido

de sulfonamida correspondiente (XXXIX) mediante métodos conocidos por aquellos expertos en la técnica tal como el uso de hidróxido de litio, hidróxido de sodio, hidróxido de potasio, hidróxido de bario, u otros métodos de hidrólisis conocidos por aquellos expertos en la técnica.

La grafica K describe como preparar los sustituyentes RN donde RN es R_{N-1}-XN-, donde XN es. -CO-Y R_{N-1} es $R_{N-arilo}$ donde $R_{N-arilo}$ es fenilo sustituido con un grupo arilo y un -CO-NR_{N-2} R_{N-3} o -CO-R_{N-4}. ver la discusión anterior para la gráfica E en relación con la amina , H-NR_{Nalfa} R_{Nbeta} (amina), utilizada para formar los sustituyentes amida RN. El proceso inicia con un éster de halo amida (XXI)que reacciona entonces con un ácido alquil boronico que tiene el grupo alquilo deseado en la presencia de un catalizador de paladio tal como pd(pph_3)Cl_2 que utiliza el método general descrito en J. Am. Chem., 4288 /2000). Los ácidos alquilboronicos están comercialmente disponibles o se pueden preparar mediante el proceso descrito en J. Am. Chem., Soc., 60, 105 (1838). Se prefiere que el RN-$_b$ sea bromo. Esta etapa produce un alquilester (XL) que luego se hidroliza por medio s conocidos por aquellos expertos en la técnica para producir el ácido de alquilo deseado (XL1).

La grafica L describe un proceso para preparar un agente formador de amina (IX)-(XLVII) donde los sustituyentes RN son R_{N-1}-X_N-, donde el ligador, X_N-es CO-, donde R_{N-1} es $R_{N-arilo}$ y donde $R_{1-arilo}$ es fenilo (-fenilo) sustituido con los grupos:

alquilo C_1-C_6, opcionalmente sustituido con uno, dos o tres sustituyentes seleccionados del grupo que consisten de alquilo C_1-C_3, -f, -CL, -Br, -I, -OH, -SH, -C≡N, -CF_3, alcoxi C_1-C_3, -NR_{1-a} R_{1-b} donde R_{1-a} Y R1$_{-b}$ son como se definió anteriormente, -N(-H y alquilo C_1-C3)-CO-R_{N-5}. Este agente formador de amida especifico (IX-XLVII) se prepara al iniciar con el compuesto fenilo nitro (XLII) que se reduce al correspondiente compuesto fenilo nitro hidroxi (XLIII) utilizando sulfuro o borano-metilo en THF. El compuesto fenilo nitro hidroxi (XLIII) se reduce al compuesto fenilo amino hidroxi correspondiente (XLIV) que utiliza hidrógeno y catalizador de paladio como es conocido por aquellos expertos en la técnica. El compuesto fenilo amino hidroxi (XLIV) reacciona con un aldehído en la presencia de un agente reductor tal como cianoborohidruro de sodio o triacetoxiborohidruro de

sodio para dar el compuesto aminohidroxi fenilo sustituido (XLV).El compuesto amino hidroxi fenilo sustituido (XLV) se asila con un cloruro ácido o un anhídrido ácido mediante métodos conocidos por aquellos expertos en la técnica para dar el compuesto amino hidroxi fenilo sustituido (XLVI). El compuesto amino hidroxi fenilo sustituido (XLVI) se hidroliza utilizando un hidróxido de alquilo seguido por acidificación, para dar un agente formador de amida (IX-XLVII). El agente formador de amida (XLVII) se acopla luego con la amina (VIII) que utiliza métodos conocidos por aquellos expertos en la técnica y métodos previamente discutidos, tales como con dietil cianofosfato, para dar la amina sustituida (X). El tratamiento adicional de la amina sustituida (X) con dietil cianofosfonato, da la amina sustituida donde el sustituyente hidroxi alquilo sobre el anillo de fenilo tiene un sustituyente fosfato.

La gráfica M describe un proceso para preparar los agentes formadores de amida (IX-L) donde el sustituyente RN es R_{N-1}-X_N-, donde el ligador, X_N-es CO-, donde R_{N-1} es $R_{N-arilo}$ y donde $R_{1-arilo}$ es fenilo (-fenilo) sustituido con dos grupos. El primer sustituyente el cual es usualmente identificado en la posición "5-" puede ser:

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$-R_{N-arilo}$ o

$-R_{N-heteroarilo}$. El segundo sustituyente el cual es usualmente identificado en la posición "3-" puede ser:

$-CO-NR_{N-2}R_{N-3}$ o

$-CO-R_{N-4}$. El R_{Nalfa} y R_{Nbeta} incluyen ambos amidas nO cíclicas, $-CO-NR_{N-2}R_{N-3}$ y las amidas $-CONR_{N-}$ cíclicas donde $NR_{N-2}R_{N-3}$ y R_{N-4} son como se definió en las reivindicaciones. El proceso inicia con un compuesto fenilo trisustituido (XLVIII) donde R_{N-d} es -CL, -Br, -I u -O-triflato. El tratamiento con un ácido arilo o heteroarilo boronico o un ester de ácido heteroarilo o arilo boronico tal como (arilo o heteroarilo)$-B(OH)_2$ o (arilo o heteroarilo)$-B(Or^a)(OR^b)$ (donde R^a y R^b son alquilo inferior, es decir C_1-C_6, o tomados juntos, R^a, y R^b son alquileno inferior, es decir C_2-C_{12}) en la presencia de un catalizador de metal con o sin una base en un solvente inerte produce (XLIX). Los catalizadores en estas transformaciones incluyen pero no están limitados, a sales o complejos de fosfino Cu, pd, o Ni (por ejemplo $Cu(OAc)_2$, $pdCL_2(PPh_3)_2$, $NiCL)_2(PPh_3)_2$). Las bases pueden influir, pero no están limitadas a, carbonatos de metales alcalino terreos, bicarbonatos de metales alcalino terreos, hidroxidos de metales alcalino

terreos, carbonatos de metales alcalino, bicarbonatos de metales alcalinos, hidroxidos de metales alcalinos, y hidruros de metales alcalinos (preferiblemente hidruro de sodio), alcoxidos de metales alcalinos (preferiblemente metoxido de sodio o etóxido de sodio), hidruros de metales alcalinoterreos, y alquilamidas de metales alcalinos con (preferiblemente diisopropilamida de litio), bis (trialquilsilil) amidas de metal alcalino (preferiblemente bis(trimetilsilil amida de sodio), aminas de trialquilo 8preferiblemente de isopropiletilamina o trietilamina) o aminas aromaticas (preferiblemente piridina). Los solventes inertes pueden influir, pero no están limitados a, es acetonitrilo, dialquil eteres (preferiblemente dietil éster), eteres cíclicos (preferiblemente tetrahidrofurano o 1, 4-dioxano), N,N-dialquilacetamidas (preferiblemente dimetilacetamidas), N,N-dialquilformamidas (preferiblemente dimetilformamidas), dialquilsulfoxidos (preferiblemente dimetilsulfoxidos), hidrocarburos aromáticos (preferiblemente benceno o tolueno) o halo alcanos (preferiblemente cloruro de metileno). Las temperaturas de reacción preferidas varían desde temperatura ambiente hasta el punto de ebullición del solvente empleado. Las reacciones se pueden llevar a cabo

en recipientes convencionales de vidrio o en uno de las muchas unidades sintetizadoras paralelas comercialmente disponibles. Los ácidos boronicos o ésteres de ácido boronico comercialmente no disponible se pueden obtener del correspondiente arilo haluro opcionalmente sustituido como se describe en Tetrahedron, 50, 979-988 (1994). El intermedio (XLIX)se hidroliza luego utilizando un hidróxido de metal alcalino, por ejemplo litio, sodio o hidróxido de potasio, seguido por acidificación, para dar ácidos acoplados arilo o heteroarilo (IX-L). Alternativamente, como se describe en Tetrahedron, 50, 979-988 (1994), uno podría convertir el RN-d al ácido boronico o ester de ácido boronico correspondiente $(OH)_2B$-o $(Or^a)(OR^b)B$-y obtener los mismos productos establecidos anteriormente para tratar con un arilo o haluro de heteroarilo o triflato adecuado.

La grafica N describe un proceso para preparar agentes formadores de amida (IX-LII) donde el sustituyente RN es R_{N-1}-X_N-, donde el ligador, X_N-es CO-, donde R_{N-1} es $R_{N-arilo}$ y donde $R_{1-arilo}$ es fenilo (-fenilo) sustituido con dos grupos. El primer sustituyente el cual es usualmente identificado en la posición "5-" es:-

C≡C-R. El segundo sustituyente el cual es usualmente identificado como en la posición "3-" puede ser $-CO-NR_{N-2}R_{N-3}$ o $-CO-R_{N-4}$. El halo ester (XXI) se trata con una mezcla de $pdCL_2(Pfenilo_3)_2$ y trimetil silil acetileno, utilizando métodos conocidos por aquellos expertos en la técnica, para dar ester de acetileno (LI). El ester de acetileno (LI) se hidroliza entonces utilizando un hidróxido de metal alcalino, seguido por acidificación, para dar ácido de acetileno (IX-LII).

Las graficas O y O´ describen el proceso para preparar los agentes formadores de amida (IX-LX) y (IX-LXIII) con un grupo metileno extendido donde el sustituyente RN es $R_{N-1}-X_N-$, donde el ligador, $-X_N-$es -CO-, donde R_{N-1} es $R_{N-arilo}$ y donde $R_{1-arilo}$ es fenilo (-fenilo) sustituido con dos grupos. El sustituyente el cual es usualmente identificado en la posición "3-" puede ser: $-CO-NR_{N-2}R_{N-3}$ o $-CO-R_{N-4}$.en el proceso de la grafica o, el sustituyente en la posición 5 es$-CH_2CO-NH_2$ y en el proceso de la grafica O´ el sustituyente en la posición 5 es $-CH_2C{\equiv}N$. El ácido de di éster de de partida (LIII) se reduce con borano en solventes tales como THF para dar el alcohol de di éster correspondiente (LIV). El alcohol de

di éster (LIV) se convierte al compuesto de di éster bromo correspondiente (LV) utilizando un agente de bromacion tal como pbr_3, CBr_4, u otro agente halogenante tal como aquellos conocidos por los expertos en la técnica. El brominato del compuesto de di éster bromo (LV) se desplaza entonces con cianuro para dar el correspondiente nitrilo (LVI). En la grafica O', el nitrilo (LVI) se hidroliza entonces al correspondiente ciano ester (LVI). El ciano ester (LVI) se acopla entonces con $H-NRN_{\alpha}RN_{\beta}$ (AMINA), como se describió previamente utilizando métodos conocidos por aquellos expertos en la técnica para dar la correspondiente ciano amida (LXII). La ciano amida (LXII) se hidroliza entonces a la correspondiente ciano ácido (IX-LXIII) que a su vez se acopla con amina (VIII) para dar la amina sustituida (X). Cuando el sustituyente sobre el grupo metilo extendido es $-CO-NH_2$. el proceso de la gráfica O se utiliza. Allí el nitrilo (LVI) se convierte al di éster de amida correspondiente (LVIII) mediante métodos conocidos por aquellos expertos en la técnica. Las siguientes etapas son iguales que para la gráfica O' donde el di éster amida (LVII) se hidroliza a la correspondiente éster amina (LVIII) que luego se convierte a la correspondiente di amida éster (LIX) que

se hidroliza a la correspondiente de amida ácida (IX-LX). La diamida ácida (IX-XL) se acopla entonces con la amina apropiada (VIII) para producir la amina sustituida deseada (X).

La gráfica P describe un proceso para preparar los agentes formadores de amida (IX-LXVII) con un grupo hidroxi metileno extendido donde el sustituyente R_N es R_N-X_N- donde el ligador, -X_N-es-CO-, donde el R_{N-1} es $R_{N-arilo}$, donde el $R_{N-arilo}$ es fenilo (-fenilo) sustituido con dos grupos. El sustituyente al que usualmente se identifica como la posición "-3" puede ser -CO-$NR_{N-2}R_{N-3}$ o -CO-R_{N-4}. El proceso inicia con una halo amida (LXIV), preferiblemente yodo, que se convierte al correspondiente aldehído (LXV) y luego al alcohol correspondiente (LXVI) mediante el método descrito en Synth. Común. 28.4270 (1998), opcionalmente con variaciones conocidas por aquellos expertos en la técnica. La hidrólisis del alcohol (LXVI) utilizando hidróxidos de alquilo, seguido por acidificación, da el hidroxiácido deseado (IX-LXVII). El hidroxiácido (IX-LXVII) se acopla entonces con la amina apropiada (VIII) para dar la amina sustituida deseada (X).

La gráfica Q describe un proceso para preparar agentes formadores de amida (IX-LXVIII) con un grupo alquilo o un átomo de halógeno o un grupo amino en la posición 5 donde el sustituyente R_N es $R_{N-1}-X_N-$ donde el ligador R_{N-1} es $R_{N-arilo}$, donde $R_{N-arilo}$ es fenilo (-fenilo) sustituido con dos grupos. El sustituyente el cual es usualmente identificada como en la posición "-3" puede ser $-CO-NR_{N-2}R_{N-3}$ o $-CO-R_{N-4}$. El proceso inicia con un di ácido 5- sustituido apropiadamente (LXVIII) que se esterifica mediante métodos conocidos por aquellos expertos en la técnica para dar el di éster correspondiente (LXIX). El di éster (LXIX) se hidroliza entonces utilizando hidróxidos de alquilo seguido por acidificación, para dar el correspondiente mono ácido (LXX). Alternativamente, el mono ácido (LXX) se puede producir directamente del di ácido (LXVIII) mediante métodos conocidos. El mono ácido (LXX) se acopla entonces con $H-NR_{Nalfa}R_{Nbeta}$ (AMINA).

Para dar la correspondiente éster de amida (LXXI). La éster de amida (LXXI) se hidroliza entonces utilizando hidróxidos de alquilo seguido por acidificación, para dar correspondiente amida ácida (IX-LXXII).

La gráfica R describe un proceso general para preparar los agentes formadores de amida (IX-LXXVII) los cuales, por ejemplo, tienen un grupo alquilo el cual es conocido como la posición y un aceton a la posición 3. Estos ácidos (IX-LXXVII) se fueron al iniciar con el ácido (LXXIII) que se convierte al correspondiente haluro ácido (LXXIV) utilizando métodos conocidos por aquellos expertos en la técnica. El haluro ácido (LXXIV) es preferiblemente el cloruro ácido. El haluro ácido (LXXIV) en la presencia de bromuro de cobre (I) y tetrahidrofurano y a temperaturas que varían de -78° C a 0°C se trata con reactivo de Grignard (arilo-Mg-X, o alquil-Mg-X donde X es -Cl o -Br) para dar éster de cetona (LXXVI y LXXVI'). Muchos reactivos de Grignard estas disponibles a la vente; otros se preparan mediante métodos conocidos por aquellos expertos en la técnica. Un método alternativo para preparar éster de cetona (LXXVI, LXXVI') es preparar la amida Weinreb (LXXV) bien sea del ácido (LXXIII) directamente o por vía del haluro ácido (LXXIV) seguido por tratamiento con N, O-di metilhidroxilamina para dar amina Weinreb (LXXV) y luego tratar la amida Wreinreb (LXXV) con un reactivo de Gringnard mediante métodos conocidos por aquellos expertos en la técnica. Los ésteres de cetona (LXXVI,

LXXVI') son entonces hidrolizados utilizando hidróxidos de alquilo seguidos por acidificación para dar ácidos de cetona (LXXVII, LXXVII').

La gráfica S describe varios métodos para modificar la porción R_N de la amina sustituida (X) donde el anillo de fenilo de la porción R_N es adicionalmente sustituido en la posición 3 con varios grupos tales como arilo y hetero arilo. Estos compuestos se preparan mediante métodos conocidos por aquellos expertos en la técnica. La química del proceso de cada reacción es conocida por aquellos expertos en la técnica. Lo que es novedoso aquí es el orden de cada etapa del proceso y/o los reactivos específicos utilizados. Un experto en la técnica que conoce el producto deseado conocería al menos un método para preparar el producto deseado al utilizar materiales de partida conocidos. De esta manera, la siguiente discusión no es necesaria pero se establece para ayuda adicional de aquellos interesados en preparar los compuestos de la invención.

La gráfica S establece un método general utilizado en la presente invención para preparar aminas sustituidas (X9 donde R_N = R_N-arilo-$R_{N\text{-arilo}}$-X_N o $R_{N\text{heteroarilo}}$-$R_{N\text{-arilo}}$-X_N. El

tratamiento del (S,R)- amina (VIII) con agentes formadores de amida (IX) de acuerdo con los métodos establecidos anteriormente donde en la grafica S, R_{N-1} es $Br-R_{N-arilp}$ genera la correspondiente (S,R)- amina sustituida (X) donde R_N es $Br-N_{R-arilo}-X_N$. Tratamiento adicional con ácido arilo boronico o con éster de ácido boroncio tal como (arilo o heteroarilo)-$B(OH)_2$ o (arilo o heteroarilo) $(OR^a)(OR^b)$ (donde R^a y R^b son alquilo inferior, es decir, C_1-C_6 o tomados juntos, R^a y R^b son alquileno inferior, es decir, C_2-C_{12}) en la presencia de un catalizador de metal con o sin una base en un solvente inerte producen la (S,R)- amina sustituida (X) donde R_N es $N_{R-arilo}-N_{R-heteroarilo}-X_N$ o $R_{N-heteroarilo}-R_{N-arilo}-X_N$. Los catalizadores de metal en estas transformaciones incluyen pero no están limitados a, sales o complejos de fosfina de Cu, Pd, o Ni (por ejemplo $Cu(Oac)_2$, $PdCl_2(PPh_3)_2$, $NiCl_2(PPh_3)_2$). Las bases podrían incluir pero no están limitadas a, carbonatos de metales alcalino térreos, bicarbonato de metales alcalino térreos, hidróxido de metales alcalino térreos, hidróxidos de metales alcalino térreos, carbonato de metales alcalinos, bicarbonatos de metales alcalinos, hidróxidos de metales alcalinos, hidrulos de metales alcalinos (preferiblemente hidrulo de sodio), alcoxidos de metales alcalinos (preferiblemente

metóxido de sodio o etóxido de sodio), hidrulos de metales alcalino térreos, dialquilamidas de metales alcalinos (preferiblemente diisopropilamida de litio) bis(trialquilsilil) amidas de metales alcalinos (preferiblemente bis(trimetiulsilil amida de sodio), trialquilaminas (preferiblemente diisopropiletilamina o trietilamina o aminas aromáticas (preferiblemente piridina). Los solventes inertes pueden incluir, pero no están limitados a, acetonitrilo, dialquil éteres 8preferiblemente di etil éter), éteres cíclicos (preferiblemente de tetrahidrofurano o 1,4-dioxano), N, N-dialquilacetamidas (preferiblemente dimetilacetaminas), N, N-dialquilformamidas (preferiblemente dimetilformamidas), dialquil sulfóxidos (preferiblemente dimetil sulfóxidos), hidrocarburos aromáticos (preferiblemente benceno o tolueno) o halo alcanos (preferiblemente cloruro de metileno). Las temperaturas de reacción preferidas varían desde temperatura ambiente hasta el punto de ebullición del solvente empleado. Las reacciones se pueden desarrollar en un recipiente de vidrio convencional o en uno de muchas unidades sintetizadoras paralelas comercialmente disponibles. Los ácidos boronicos comercialmente no desponibles o los éster de ácido boronico se pueden obtener del haluro de

arilo opcionalmente sustituido correspondiente como se describe en *Tetrahedron*, 50,979-988 (1994).

Donde la química anterior es incompatible con otra funcionalidad presente en el (S,R)- amina sustituida (X) donde R_N es Br- $R_{N-arilo}$-X_N, entonces un experto en la técnica entenderá fácilmente que una secuencia alternativa de etapas acoplantes se requiere. Por ejemplo, el tratamiento de un agente formador de amida apropiadamente sustituido (IX) R_{N-1}-X_N-OH donde R_{N-1} es Br-$R_{N-arilo}$ con un ácido boronico o un éster de ácido boronico bajo las condiciones descritas anteriormente logrará el agente formador de amida sustituido apropiadamente (IX) donde R_{N-1} es $N_{R-arilo}$-N_R-arilo o $R_{N-heteroarilo}$-$R_{N-arilo}$. Cuando el agente formador de amida (IX) donde R_{N-1} es $N_{R-arilo}$-N_R-$_{arilo}$ o $R_{N-heteroarilo}$-$R_{N-arilo}$ se trata con la (S,R)- amina (VIII), uno obtiene entonces las mismas aminas sustituidas (X9 establecidas en la gráfica S.

Los ejemplos anteriores para las gráficas no significan un límite del alcance de la química. Adicionalmente al bromo, un grupo adecuado puede incluir yodo o triflato. Alternativamente, como se describe en Tetrahedron, 50,979-988 (1994), uno puede convertir el

$Br-R_{N-arilo}$ al correspondiente ácido boronico o éster de ácido boronico $(OH)_2B-R_{N-arilo}$ o $(OR^a)(OR^b)$ $B-R_{N-arilo}$ y obtener los mismos productos establecidos anteriormente al tratar con arilo adecuado o aluro o triflato de heteroarilo. Adicionalmente, cada $R_{N-arilo}$ y $R_{N-heteroarilo}$ son intercambiables en cada ocurrencia de la química descrita anteriormente.

La gráfica T describe un proceso para preparar agentes formadores de amina (IX-LXXIX) donde el sustituyente R_N es $R_{N-1}-X_N-$, donde el ligador $-X_{N-}$ es $-CO-$, donde R_{N-1} es $R_{N-arilo}$ y donde $R_{N-arilo}$ es fenilo sustiuido con $-CO-NR_{Nalfa}R_{Nbeta}$ (AMINA) y con una amida de las fórmulas:

$-(CH_2)_{0-4}-N(-H\ {}_Y\ R_{N-5})-CO-R_{N-2}$

$-(CH_2)_{0-4}-N(-H\ {}_Y\ R_{N-5})-SO_2-R_{N-2}$

El proceso inicia con la anilina de amida (XXXI) que reacciona con el correspondiente haluro de ácido del haluro de sulfonil, o un anhídrido ácido o anhídrido de sulfonilo para producir el correspondiente éster de amina (LXXVIII). Los solventes adecuados incluyen THF o diclorometano a temperaturas que varían desde -78° C a 100° C. El éster de amina (LXXVIII) se hidroliza entonces al correspondiente ácido de amida (IX-LXXIX) mediante

métodos conocidos por aquellos expertos en la técnica. Cuando el agente formador de amida (IX-LXXIX) reacciona con al amida apropiada (VIII) se obtiene la amida sustituida deseada (X).

La gráfica U describe un método general para prepara varias aminas C-terminales (VI) como se representa mediante la preparación de una amina C-terminal(LXXXIV). Los métodos para preparar aminas de este tipo son bien entendidos utilizando métodos conocidos por aquellos expertos en la técnica o uno podría consultar las referencias: 1) JACS, 1970,92,3700, y 2) patente estadounidense 4,351,842.

La gráfica V describe adicionalmente métodos generales para preparar varias aminas C-terminales (VI) como se representan mediante la preparación de aminas C-terminales (LXXXIX). Los ejemplos múltiples de los ácidos carboxílicos heterociclicos o cloruros ácidos están comercialmente disponibles. Opcionalmente, el ácido carboxílico (LXXXV) puede convertir al cloruro ácido (LXXXVI) con reactivos tales como, pero no limitados a, cloruro de tionilo. El desplazamiento con amonio genera las amidas intermedias comunes (LXXXVI) las cuales son

reducidas fácilmente a aminas (VI-LXXXVIII) utilizando una variedad de métodos detallados previamente. Alternativamente, otros hetero arilos están comercialmente disponibles como el metil haluro (LXXXVIII) que son tratados con amonio para producir las aminas C-terminales del título (VI-LXXXVIII).

La gráfica W describe métodos generales para preparar tiazolil amina C-terminales representadas por la preparación de aminas C-terminales (LXXXXI). La síntesis de los tiazoles es subrayada en la gráfica W; estos procedimientos son ampliamente enseñados en la literatura y son modificados de los procedimientos subrayados en: mashraqui, SH; Keenh, PM, J.Am.Chem.Soc.1982, 104, 4461-4465. La síntesis de los 5-aminometil tiazoles sustituidos (XCI) se lograron del 5-hidroximetiltiazol (XC) mediante el procedimiento descrito en Alterman et al j. Med.Chem.1998, 41, 3782-3792. Todos los otros análogos de tiazol se transformaron al derivado de hidroximetilo utilizando la gráfica W y convertidos a derivados de aminometilo mediante el procedimiento alternativo sin cambios notables.

La gráfica X describe métodos generales para preparar isoxazolil que contiene amina C-terminales según se representa mediante la preparación de las aminas C-terminales (XCII). La síntesis de derivados de isoxazol se modificó del procedimiento en: Felman, SW et al. J.Med. Chem. 1992, 35, 1183-1190 y es fácilmente entendible por aquellos expertos en la técnica no haciendo cambios notables para lograr los compuestos del titulo. Los precursores de Hidrolxilamina sustituida se sintetizaron utilizando los procedimientos enseñados en Bousquet. EW. Org. Synth. Coll. Vol II, 313-315. La propargilamina comercialmente disponible se puede proteger utilizando cualquier número de métodos conocidos en el arte (ver: Greene, TW; Wuts, PGM. Protected Groups in Organic Synthesis, 3rd ed. New York: John Wiley, 1999, Chapter 7), es preferido un grupo protector BOC. Las aminas de propargirlo sustituidas se pueden obtener mediante un número de métodos comúnmente conocidos en el arte.

La gráfica Y describe una ruta final para prepara las hidroxietilaminas donde un átomo de carbono de la columna de péptido, junto con R_2 y R_3 forman un anillo. Se entiende que la presente invención también permite que un

hetero átomo se incorpore dentro del anillo. En resumen, la síntesis de los compuestos donde R_2 y R_3 pueden formar un anillo procede de un aldehído amino protegido adecuado y especies de ciclo alquil litio, juntas son comercialmente disponibles o donde los procedimientos conocidos para elaborar tales compuestos son conocidos en el arte. EL procedimiento general involucra también un precedente en la literatura, por ejemplo, ver Klumpp, et al. J. Am. Chem. Soc., 1979, 101, 7065, y pretende que haciendo versiones no criticas uno pudiera obtener los compuestos del título suministrados por la gráfica Y. El tratamiento de un aldehído de amino ácido adecuadamente protegido y especies de ciclo alquil litio logran alcohol (XCIII). Estas reacciones son llevadas a cabo en un solvente inerte tal como, por ejemplo, tetra hidro furano o di etil éter. Óptimamente las reacciones son conducidas a bajas temperaturas, por ejemplo, menos de 0°C. La carbonización por vía del procedimiento de Klumpp produce el ácido (XCIV) el cual cuando se expone a Curtius o procedimientos relacionados bien conocidos por aquellos expertos en la técnica generan la amina primaria (XCV). Las aminas primarias (XCV) pueden encapsular C-terminalmente por vía de las condiciones establecidas en la gráfica C y D seguidas por desprotección de nitrógeno

y encapsulamiento N-terminal por vía de condiciones establecidas en la gráfica a.

Los compuestos de la invención pueden contener isómeros geométricos u ópticos así como también tautomeros. De esta manera, la invención incluye todos los tautomeros y los isómeros geométricos puros, tales como los isómeros geométricos E y Z, así como también mezclas de estos. Adicionalmente, la invención incluye en enantiomeros puros y diasterioisomeros así como también mezclas de estos, que incluyen mezclas de racemicas. Los isómeros geométricos indiciduales en antiomeros y diasteriomeros se pueden preparar o aislar mediante métodos conocidos en el arte.

Los compuestos de la invención con la estereoquímica designada en la fórmula X se pueden incluir en mezclas, que incluyen mezclas racemicas, con otros enantiomeros, diasteriomeros, isómeros geométricos o tautomeros. Los compuestos de la invención compuestos de la invención con la estereoquímica designada en la fórmula X están típicamente presentes en estas mezclas en exceso del 50%. Preferiblemente, los compuestos de la invención con la estereoquímica designada en la fórmula X están presentes

en estas mezclas en exceso de 80%. Más preferiblemente, los compuestos de la invención con estereoquímica designada en la fórmula X, están presentes en estas mezclas en exceso de 90%.

Las (S,R)- aminas sustituidas (X) y la amina sustituida con R_N ciclisado (X') son aminas y como tales forman sales cuando reaccionan con ácidos. Las sales farmaceuticamente aceptables son preferidas sobre los (S,R)- aminas sustituidas (X) correspondientes y las aminas sustituidas con R_N ciclisado (X') en razón a que ellos producen compuestos que son más solubles en agua, estables y/o más cristalinos. Las sales farmaceuticamente aceptables son cualquier sal que retiene la actividad del compuesto padre y no imparte un efecto deletreo o indeseable sobre el sujeto al que se administra y en el contexto en la cual se administra. La sales farmaceuticamente aceptables incluyen sales de ácidos inorgánicos u orgánicos. Las sales farmaceuticamente aceptables incluyen sales de los siguientes ácidos acético, aspartico, benzenosulfónico, benzoico, bicarbonico, bisulfurico, bitartarico, butírico, edato de calcio, camsilico, carbónico, clorobenzoico, cítrico, edetico, idisilico, estolico, eilo, etílico, formica,

fumarico, luceptico, gluconico, glutanico, glicolilarsanilico, hexaminico, hexilresorcionoico, hidrabamico, hydrobromico, hidroclorhidrico, yodiridico, hidroxinaftoico, isetinoico, láctico, lactobionico, maleico, malico, malonico, mandelico, metanosulfonico, metilnitrico, metilsulfurico, mucico, muconico, napsilico, nitrico, oxalico, p-nitrometanosulfonico, pamoico, pantotenico, fosforico, mono hidrogenofosforico, dihidrogeno fosforico, ftálico, poligalacturonico, propionico, saliciclico, estearico, succinico, sulfanico, sulfanilico, sulfonico, sulfurico, tanico, taartanico, teoclico y toluenosulfónico. Para otras sales aceptables, ver Int. J. Pharm., 33,201-217 (1986) y J.Pharm.Sci.,66(1), 1, (1977).

La presente invención suministra compuestos, composiciones, kits, y métodos para inhibir la actividad de la enzima beta-secretaza y una producción de beta péptido. La inhibición de la actividad de la enzima beta-secretaza detiene o reduce la producción de a beta proveniente de APP y deduce o elimina la formación de depósitos beta-amiloides en el cerebro.

Métodos de la Invención

Los compuestos de la invención, y las sales farmaceuticamente aceptables de esta, son útiles para el tratamiento de humanos o animales que sufren de una condición caracterizada por una forma patológica de péptido beta-amiloide, tal platos beta-amiloides y para ayudar o prevenir o retrasar el sufrimiento de tal condición. Por ejemplo, los compuestos son útiles para tratar enfermedad de Alzheimer, para ayudar a prevenir o demorar el sufrimiento de la enfermedad de Alzheimer para tratar pacientes con MCI (daño cognitivo medio) y evitar o demorar el sufrimiento de enfermedad de Alzheimer en aquellos a quienes le progresaría de MCI a AD, para tratar síndrome de Down y para tratar humanos que tienen hemorragia cerebral hereditaria con amiloidosis de 1 tipo Dutch para tratar angiopatia amiloide cerebrar y para prevenir sus consecuencias potenciales, es decir, hemorragias globales simples y recurrentes para tratar otras demencias degenerativas que incluyen demencias de origen vascular medio y degenerativo, demencia asociada con la enfermedad de Parkinson, demencia asociada con palsi supranuclear progresivo, demencia asociada con degeneración basal cortical y enfermedad de Alzheimer

tipo Lewy body difusa. Los compuestos y las composiciones de la invención son particularmente útiles para tratar o evitar la enfermedad de Alzheimer. Cuando se tratan o evitan estas enfermedades, los compuestos de la invención pueden ser utilizados individualmente o en combinación, como resulte mejor para el paciente.

Como se utiliza el termino "tratar" significa que el compuesto de la invención se puede utilizar en humanos con al menos un diagnostico tentativo de enfermedad. Los compuestos de la invención se demoraran o disminuirán la progresión de la enfermedad dando de esta manera al individuo un espectro de vida más útil.

El termino "evitar" significa que los compuestos de la presente invención son útiles cuando se administran a un paciente a quien no se le a diagnosticado que posiblemente tiene la enfermedad en el momento de la administración, pero a quien normalmente se esperaría que desarrollara la enfermedad o que estuviera en un riesgo creciente de la enfermedad. Los compuestos de la invención harían más lento el desarrollo de los síntomas de la enfermedad, demorarían el sufrimiento de la enfermedad o evitarían al individuo desarrollar la

enfermedad del todo. Evitar también incluye la administración de los compuestos de la invención a quienes se creen están predispuestos a la enfermedad debido a la edad, historia familiar, anormalidades genéticas o cromosomicas, y/o debido a la presencia de uno o más marcadores biológicos para la enfermedad tales como la mutación genética conocida de APP o productos de división en tejidos o fluidos del cerebro.

Al tratar o evitar las anteriores enfermedades; los compuestos de la invención se administran en una cantidad terapéuticamente efectiva. La cantidad terapéuticamente efectiva variara dependiendo del compuesto particular utilizado y de la ruta de administración o más conocido por aquellos expertos en la técnica.

Al tratar un paciente que muestre cualquiera de las condiciones diagnosticadas anteriormente un médico podría administrar un compuesto de la invención inmediatamente y continuaría la administración indefinidamente según se necesite. La tratar pacientes a quienes no se les ha diagnosticado el tener la enfermedad de Alzheimer pero a quienes se cree que están en sustancial riesgo de sufrir la enfermedad de Alzheimer el médico debería

preferiblemente iniciar el tratamiento cuando el paciente experimente primero síntomas tempranos pre-Alzheimer tales como, problemas de memoria o cognitivos asociados con la edad. Además, existen algunos pacientes que se les puede determinar estar en riesgo de desarrollar Alzheimer a través de la detección genético tal como el APOE4 u otros indicadores biológicos que son predictivos para la enfermedad de Alzheimer. En estas situaciones, aunque el paciente no tenga los síntomas de la enfermedad, la administración de los compuestos de la invención se puede arrancar antes de que aparezcan los síntomas, y el tratamiento se puede continuar indefinidamente para evitar para evitar o demorar el principio de la enfermedad.

Formas y cantidades de dosis

Los compuestos de la invención se puede administrar oralmente, parenteralmente, (IV, IM, depo-IM, SQ, y depo SQ), sublingualmente, intranasalmente (inhalación), intratecalmente, toticamente o rectalmente. Las formas de dosis conocidas por aquellos expertos en la técnica son adecuadas para el suministro de compuestos de la invención.

La composiciones que se suministran contienen cantidades terapéuticamente efectivas de los compuestos de la invención. Los compuestos son preferiblemente formulados en preparaciones adecuadas farmaceuticamente tales como tabletas, cápsulas, o elixires para administración oral o soluciones estériles o suspensiones para administración parenteral. Típicamente los compuestos descritos anteriormente se formulan en composiciones farmacéuticas que utilizan técnicas y procedimientos bien conocidos en el arte.

Aproximadamente de 1 a 500 mg de un compuesto o mezcla de la invención o una sal farmaceuticamente aceptable o éster esta compuesta de un vehículo fisiológicamente aceptable, portador, excipiente, ligador, preservativo, estabilizador, sabor, etc., en una forma de unidad de dosis como se llamo por la practica farmaceuticamente aceptada. La cantidad de sustancia activa en aquellas composiciones o preparaciones es tal que una dosis adecuada en el rango indicado se obtiene. Las composiciones son formuladas preferiblemente en una forma de unidad de dosis, cada dosis contiene desde aproximadamente 2 a aproximadamente 100 mg, más

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preferiblemente aproximadamente 10 a aproximadamente 30 mg del ingrediente activo. El término "forma de unidad de dosis" se refiere a unidades físicamente discretas adecuadas como dosis unitarias para sujetos humanos y otros mamíferos, cada unidad contiene una cantidad predeterminada de material activo calculada para producir el efecto terapéutico deseado en asocio con un excipiente farmacéutico adecuado.

Para preparar composiciones, uno o más compuestos de la invención se mezclan con un portador farmaceuticamente aceptable adecuado. Al mezclar o adicionar los compuestos, la mezcla resultante puede ser una solución, suspensión, emulsión o similar. La suspensiones liposomales podrían también ser adecuadas como portadores farmaceuticamente aceptables. Ellos se podrían preparar de acuerdo con métodos conocidos por aquellos expertos en la técnica. La forma de la mezcla resultante depende de un número de factores, que incluyen el modo pretendido de administración y la solubilidad del compuesto en el portador o vehículo seleccionado. La concentración efectiva es suficiente para disminuir o mejorar al menos un síntoma de la enfermedad, desorden, o condición tratada y puede ser empíricamente determinada.

Los portadores farmacéuticos o vehículos adecuados para la administración de los compuestos suministrados aquí incluyen cualquiera de tales portadores conocidos por aquellos expertos en la técnica para ser adecuados para el modo particular de administración. Adicionalmente, los materiales activos también se pueden mezclar con otros materiales activos que no dañen la acción deseada o con materiales que suplementen la acción deseada, tengan otra acción. Los compuestos pueden ser formulados como ingredientes activos farmaceuticamente solos en la composición o se pueden combinar con otros ingredientes activos.

Donde los compuestos exhiben suficiente solubilidad, los métodos para solubilización se pueden utilizar. Tales métodos son conocidos se incluyen, pero no están limitados a, utilización de cosolventes, tales como dimetilsulfoxido (DMS), utilización de tensoactivos tales como Tween® y disolución de bicarbonato de sodio acuoso. Los derivados de los compuestos, tales como sales o prodrogas se pueden utilizar en la formulación de composiciones farmacéuticas efectivas.

La concentración del compuesto es efectiva para suministrar una cantidad bajo administración que disminuya o mejore al menos un síntoma del desorden por lo cual el compuesto se administra. Típicamente, las composiciones se formulan para la administración de dosis simples.

Los compuestos de la invención se pueden preparar con portadores que los protegen contra la eliminación rápida del cuerpo, tales como formulaciones de liberación en tiempo o recubrimientos. Tales portadores incluyen formulaciones de liberación controlada tales como, pero no limitados a, sistemas de suministro microencapsulados. El compuesto activo se incluye en el portador farmaceuticamente aceptable en una cantidad suficiente para ejercer un efecto terapéuticamente útil en la ausencia de efectos colaterales indeseables sobre el paciente tratado. La concentración terapéuticamente efectiva se puede determinar empíricamente al ensayar los compuestos en sistemas de modelos conocidos in vivo e in vitro para el desorden tratado.

Los compuestos y las composiciones de la invención se pueden incluir en contenedores múltiples o de dosis

simple. Los compuestos incluidos y las composiciones se puede proporcionar en kits, por ejemplo, que incluyen partes componentes que se pueden ensamblar para uso, por ejemplo, un inhibidor de compuesto en forma Liofilizada y un diluyente adecuado se puede suministrar como componente separado para la combinación antes de uso. Un kit puede incluir un inhibidor de compuesto y un segundo agente terapéutico para co administración. El inhibidor y el segundo agente terapéutico se pueden suministrar como partes componentes separadas. Un kit puede incluir una pluralidad de recipientes, cada recipiente mantiene una o más dosis unitarias del compuesto de la invención. El recipiente esta preferiblemente adaptado para el modo deseado de administración que incluye, pero no esta limitado a tabletas, cápsulas de gel, cápsulas de liberación sostenida, y similares para administración oral; productos de deposito, jeringas prellenadas, ampollas, frascos, y similares para administración parenteral; y parches, medialmohadillas, cremas y similares para administración tópica.

La concentración de compuestos activos en la composición de droga dependerá de la absorción, de la in activación e índices de excreción del compuesto activo,

la programación de dosis, y la cantidad administrada así como también otros factores conocidos por aquellos expertos en la técnica.

El ingrediente activo se puede administrar de una vez, o se puede dividir en un número de pequeñas dosis administradas a intervalos de tiempo. Se debe entender que la dosis precisa y la duración de los tratamientos es una función de la enfermedad a ser trata y se puede determinar empíricamente utilizando protocolos de ensayos conocidos o mediante extrapolación de los datos de ensayo in vivo o in vitro. Se debe notar que las concentraciones y los valores de dosis también podrían variar con la severidad de la condición a ser evaluada. Se debe además entender que para un sujeto particular, los regímenes de dosis específicos se deben ajustar durante el tiempo de acuerdo con la necesidad individual y el juzgamiento profesional de la persona que administra o supervisa la administración de las composiciones, y que las variaciones de concentración establecidas aquí son solo ejemplo y no pretende limitar el alcance con la practica de las composiciones reivindicadas.

Si se desea la administración oral, el compuesto se debe suministrar en una composición que la protege del ambiente ácido del estomago. Por ejemplo, la composición se debe formular en un recubrimiento enterico que mantiene su integridad en el estomago y ver el compuesto activo en el intestino. La composición también se puede fórmular en combinación con un anti ácido u otro de tales ingredientes.

Las composiciones orales generalmente incluirán un diluyente inerte o un portador comible y se pueden comprimir en tabletas o incluir en cápsulas de gelatina. Para el propósito de la administración terapéutica oral, el compuesto activo o los compuestos se pueden incorporar con excipientes y utilizar de la forma de tabletas, cápsulas, o trociscos. Los agentes de unión farmaceuticamente compatibles y los materiales ayudantes se pueden incluir como parte de la composición.

Las tabletas, píldoras, cápsulas, trociscos y similares pueden contener cualquiera de los siguientes ingredientes o compuestos de naturaleza similar: Un ligador tal como, pero no limitado, a, tragacanto, acacia, almidon de maiz o gelatina; un excipiente tal

como celulosa microcristalina, almidón, lactosa; un agente desintegrante tal como pero no limitado a, ácido aginico y almidón de maíz; un lubricante pero no limitado a estearato de magnesio; un abrillantador, tal como, pero no limitado a, dióxido de silicio coloidal; un agente emulsante tal como sucrosa o sacarina; y un agente saborizante tal como pimienta, metil salicilato o saborizante de fruta.

Cuando la forma de dosis unitaria es una cápsula, es una cápsula, esta puede contener, además del material del tipo anterior, un portador líquido tal como aceite graso. Adicionalmente, las formas de dosis unitaria pueden contener varios otros materiales, que modifican la forma física de la dosis unitaria, por ejemplo, recubrimientos de azúcar y otros agentes entéricos. Los compuestos también se pueden administrar como un componente de un elixir, suspensión, melaza, galleta, goma de mascar o similar. La melaza puede contener, además de los compuestos activos, sucrosa, un agente endulzante y ciertos preservativos, tintes y colorantes, y saborizantes.

Los materiales activos también se pueden mezclar con otros materiales activos que no dañan la acción deseada, o con materiales que suplementan la acción deseada.

Las soluciones o suspensiones utilizadas para aplicación parenteral, intradermal, subcutánea, o tópica pueden incluir cualquiera de los siguientes componentes: un diluyente estéril tal como agua para inyección, solución salina, aceite fijado, un aceite vegetal de ocurrencia natural como aceite de sésamo, aceite de coco, aceite de maní, aceite de semilla de algodón y similar, o un vehículo de aceite sintético tal como oletato de etilo, y similar, polietilenglicol, glicerina, propilenglicol, u otro solvente sintético; agentes antimicrobianos tales como benzilalcohol y metilparabenos; antioxidantes tales como ácido ascórbico y bisulfito de sodio; agentes quelantes tales como ácido etilenodiaminotetraacético (EDTA); amortiguadores tales como acetatos, citratos y fosfatos; y agentes para el ajuste de la tonicidad tales como cloruro de sodio y dextrosa. Las formulaciones parenterales se pueden incluir en ampollas, jeringas desechables, o frascos de dosis múltiple hechos de vidrio, plástico, u otros materiales adecuados. Amortiguadores, preservativos,

antioxidantes y similares se pueden incorporar según se requiera.

Donde se administra intravenosamente, los portadores adecuados incluyen solución salina fisiológica, solución salina amortiguada con fosfato (PBS), y soluciones que contienen agentes espesantes y solubilizantes tales como glucosa, polietilenglicol, propilenglicol, y mezclas de estos. Las suspensiones liposomales incluyen liposomas de tejido objetivo que también pueden ser adecuados como portadores farmacéuticamente aceptables. Estos se pueden preparar de acuerdo con métodos conocidos por ejemplo, como se describió en la patente estadounidense No. 4,522,811.

Los compuestos activos se pueden preparar con portadores que protegen el compuesto contra eliminación rápida del cuerpo, tal como formulaciones o recubrimientos de liberación en el tiempo. Tales portadores incluyen formulaciones de liberación controlada, tales como, pero no limitados a, implantes y sistemas de suministro microencapsulados, y biodegradables, polímeros biocompatibles tales como colágeno, eetileno vinil acetato, polianhídridos, ácido

poliglicólico, poliortoésteres, ácido poliláctico, y similares. Los métodos para preparación de tales formulaciones son conocidos por aquellos expertos en la técnica.

Los compuestos de la invención se pueden administrar oralmente, parenteralmente (IV, IM, depo-IM, SQ, y depo-SQ), sublingualmente, intranasalmente, (inhalación), intratecalmente, tópicamente, rectalmente. Las formas de dosis conocidas por aquellos expertos en la técnica son adecuadas para suministro en los compuestos de la invención.

Los compuestos de la invención se pueden administrar enteral o parenteralmente. Cuando se administran oralmente, los compuestos de la invención se pueden administrar en formas de dosis usuales para administración oral como es conocido por aquellos expertos en la técnica. Estas formas de dosis incluyen las formas de dosis unitarias usuales de tabletas y cápsulas así como también formas de dosis lípidas tales como soluciones, suspensiones, y elixires. Cuando las formas de dosis sólidas se utilizan, se prefiere que ellas sean del tipo de liberación sostenida de tal forma

que los compuestos de la invención necesiten ser solo administrados una vez o dos veces diariamente.

Las formas de dosis oral se administran al paciente 1, 2, 3, o 4 veces diariamente. Se refiere a que los compuestos de la invención se administren bien sea 3 o menos veces, más preferiblemente una o dos veces diariamente. De esta manera, se prefiere que los compuestos de la invención se administren en forma de dosis oral. Se prefiere que cualquier tipo de forma de dosis oral se utilice, que esta se designe con el fin de proteger los compuestos de la invención del ambiente ácido del estómago. Las tabletas recubiertas entéricas son bien conocidas por aquellos expertos en el arte. Adicionalmente, las cápsulas se llenan con pequeñas esferas cada una recubierta para protegerla del estómago ácido; también son bien conocidas por aquellos expertos en la técnica.

Cuando se administran oralmente, una cantidad administrada terapéuticamente efectiva para inhibir la actividad de la beta-secretasa, para inhibir la producción de A beta, para inhibir la deposición de A beta, o para tratar o prevenir AD va de 0,1 mg/día a

aproximadamente 1.000 mg/día. Se prefiere que la dosis oral vaya de 1 mg/día a aproximadamente 100 mg/día. Es más preferible que la dosis oral vaya de 5 mg/día a aproximadamente 50 mg/día. Se entiende que mientras un paciente puede ser iniciado con una dosis, esa dosis puede variar durante el tiempo en la medida en que las condiciones del paciente cambien.

Los compuestos de la invención también se pueden suministrar ventajosamente en una formulación de dispersión de la nanocristal. La preparación de tal formulación se describe, por ejemplo, en la patente U. S. 5,145,684. Dispersiones de nanocristal de inhibidores de HIV proteasa y su método se utilizan y se describen en U. S. 6,045,829. La formulación nanocristalina típicamente logra una mayor biodisponibilidad de los compuestos de la droga.

Los compuestos de la invención se pueden administrar parenteralmente, por ejemplo, mediante IV, IM, depo-IM, SC, o depo-SC. Cuando se administran parenteralmente, una cantidad terapéuticamente efectiva de aproximadamente 0,5 a aproximadamente 100 mg/día preferiblemente de aproximadamente 5 a aproximadamente 50 mg diariamente se

deben suministrar. Cuando una formulación depósito se utiliza para inyección una vez al mes o una vez cada dos semanas, cada dosis debe ser de aproximadamente 0,5 mg/día a aproximadamente 50 mg/día o una dosis mensual que va de aproximadamente 15 mg a aproximadamente 1.500 mg. En parte en razón al olvido de los pacientes con enfermedad de Alzheimer, se prefiere que la dosis parenteral sea una formulación depo.

Los compuestos de la invención se pueden administrar sublingualmente. Cuando se dan sublingualmente, los compuestos de la invención se deben dar de 1 a 4 veces diariamente en las cantidades descritas anteriormente para administración IM.

Los compuestos de la invención se pueden administrar intranasalmente. Cuando se dan por esta ruta, las formas de dosis apropiadas son un rociado nasal o un polvo seco, como es conocido por aquellos expertos en la técnica. La dosis de los compuestos de la invención para administración intranasal es la cantidad descrita anteriormente para administración IM.

Los compuestos de la invención se pueden administrar intratecalmente. Cuando se dan por esta ruta la forma de dosis apropiada puede ser una forma de dosis parenteral como es conocido por aquellos expertos en la técnica. Las dosis de los compuestos de la invención par administración intratecal es la cantidad descrita para administración IM.

Los compuestos de la invención se pueden administrar tópicamente. Cuando se dan por esta ruta, la forma de dosis apropiada es una crema, ungüento o parche. En razón a la cantidad de los compuestos de la invención a ser administrados, el parche es el preferido. Cuando se administran tópicamente, la dosis va de 0,5 mg/día aproximadamente 200 mg/día. En razón a que la cantidad que se puede suministrar por un parche es limitada, se requieren dos o más parches. El número y tamaño del parche no es importante, lo que es importante es que la cantidad terapéuticamente efectiva de los compuestos de al invención se suministre como es conocido por aquellos expertos en la técnica. Los compuestos de la invención se pueden administrar rectalmente mediante supositorio como es conocido por aquellos expertos en la técnica. Cuando se administran mediante supositorio, la cantidad

terapéuticamente efectiva va de 0,5 mg a aproximadamente 500 mg.

Los compuestos de la invención se pueden administrar mediante implantes como es conocido por aquellos expertos en la técnica. Cuando se administra un compuesto de la invención mediante implante, la cantidad terapéuticamente efectiva es la cantidad descrita para la administración de depósito.

La invención aquí son los nuevos compuestos de la invención y nuevos métodos de utilización de los compuestos de la invención. Dado un compuesto particular de la invención y una forma de dosis deseada, un experto en la técnica sabría como preparar y administrar la forma de dosis apropiada.

Los compuestos de la invención se utilizan de la misma manera, mediante las mismas rutas de administración, utilizando las mismas formas de dosis farmacéuticas, y en los mismos programas de dosificación como se describió anteriormente, para evitar la enfermedad o tratar pacientes con MCI (daño cognitivo medio) y evitar o retrasar el sufrimiento de la

enfermedad de Alzheimer en aquellos quienes les progresaría de MCI a AD, para tratar o evitar el síndrome de Down, para tratar humanos quienes tienen hemorragia cerebral hereditaria con aminoidosis del tipo Dutch, para tratar algiopatía amiloide cerebral y para evitar sus consecuencias potenciales, es decir hemorragia global simple y recurrente, para tratar otras demencias degenerativas, que incluyen demencias de origen vascular y degenerativo mezclados, demencia asociada con enfermedad de Parkinson, demencia asociada con palsy supranuclear progresivo, demencia asociada con degeneración basal cortical, y enfermedad de Alzheimer del tipo de cuerpo Lewy difuso.

Los compuestos de la invención se pueden utilizar en combinación, uno con el otro o con otros agentes terapéuticos o aproximaciones utilizadas para tratar o evitar las condiciones listadas anteriormente. Tales agentes o aproximaciones incluyen: inhibidores de acetilcolina estearasa tales como tacrina, (tetrahidroaminoacridina, comercializada como COGNEX®), donepesil clorhidrato, comercializado como Aricept® y rvasticmina (comercializada como Exelon®; los inhibidores de gama-secretasa; los agentes antiinflamatorios como los

inhibidores de ciclooxigenasa II; y los antioxidantes tales como la vitamina E y los gincoloides; aproximaciones inmunológicas, tales como, por ejemplo, inmunización con péptido A beta o administración de anticuerpos de péptido anti A beta; estatinas; y agentes neurotrópicos directos e indirectos tales como cerebrolicina®, AIT-082 (Emilieu, 2000, Arch, Neurol. 57:454), y agentes neurotrópicos del futuro.

Debe ser evidente por aquellos expertos en la técnica que la forma de dosis exacta y la frecuencia de administración dependerán de los compuestos particulares de la invención administrado, la condición particular es ser tratada, la severidad de la condición a ser tratada, la edad, el peso la condición física general del paciente particular, y otra medicación que el individuo esté tomando como es bien conocido por los médicos administrantes quienes son expertos en la técnica.

Inhibición de una división APP

Los compuestos de la invención inhiben la división de APP entre Met595 y Asp596 numerados para la isoforma App695, o un mutante de esta, o a un sitio

correspondiente de una isoforma diferente, tal como App 751 o APP 770, o un mutante de este (algunas veces denominado como el "sitio de secretasa beta"). Mientras que no se desee estar unido a una teoría particular, la inhibición de la actividad de la beta-secretasa se piensa que inhibe la producción de el péptido beta amiloide (A beta). La actividad inhibitoria se demuestra en uno de una variedad de ensayo de inhibición, por medio del cual la división del sustrato Aapp en la presencia de la enzima beta-secretasa se analiza en la presencia del compuesto inhibitorio, bajo condiciones normalmente suficientes para dar como resultado una división en el sitio de división beta-secretasa. La reducción de la división APP en el sitio de división de beta-secretasa comparado con un control no tratado o inactivo se correlaciona con actividad inhibitoria. Son conocidos los sistemas de ensayo que se pueden utilizar para demostrar la eficacia de los compuestos inhibidores de la invención. Sistemas de ensayo representativo se describen por ejemplo, en las patentes U.S. No. 5,942,400, 5,744,346, así como también en los ejemplos siguientes.

La actividad enzimática de la beta-secretsa y la producción de A beta se puede analizar in vitro o in

vivo, utilizando sustratos naturales, mutados, y/o sustratos sínteticos APP, enzimas naturales, mutadas y/o sintéticas, y los compuestos de ensayo. El análisis involucra células primarias o secundarias que expresan APP nativo, mutante y/o sintético y enzimas, modelos animales que expresan APP nativo y enzimas, o puede utilizar nuevos animales transgénicos que expresan el sustrato y la enzima. La detección de la actividad enzimática puede ser mediante análisis de uno o más de los productos de división, por ejemplo, mediante inmunoensayo, fluorométrico o ensayo cromogénico, HPLC, u otros medios de detección. Los compuestos inhibitorios se determinan por aquellos que tienen la capacidad de disminuir la cantidad de producto de división beta-secretasa producido en comparación con un control donde la división mediada por beta-secretasa en el sistema de reacción se observa y se mide en ausencia de compuestos inhibitorios.

Beta-secretasa

Varios formas de enzima beta-secretasa son conocidas, y están disponibles y útiles para ensayo de la actividad de la enzima y la inhibición de la actividad de

la enzima. Estos incluyen formas nativas, recombinantes y sintéticas. La beta-secretasa humana es producida como enzima de división APP sitio Beta (BACE), Asp2, y memapsin 2, y se ha caracterizado, por ejemplo, en la patente U.S. No. 5,744,346 y la solicitud de patente PCT publicada WO 98/22597, WO 00/03819, WO 01/23533, y WO 00/17369, así como también en publicaciones de literatura (Hussain et al., 199, Mol. Cell. Neurosci. 14:419-427; Vassar et al., 199, Science 286:735-741; Yan et al., 1999, Nature 402:533-537; Sinha et al., 199, Nature 40:537-540; y Lin et al., 2000, PNAS USA 97: 1456:1460). Las formas sintéticas de la enzima también se han descrito (WO 98/22597 y WO 00/17369). La beta-secretasa se puede extraer y purificar de tejido de cerebro humano y se puede producir en células, por ejemplo en células de mamífero que expresan enzimas recombinantes.

Los compuestos inhibitorios útiles son efectivos para inhibir el 50% de la actividad enzimática de la beta-secretasa a una concentración de menos de 50 micromolar, preferiblemente a una concentración de 10 mocromolar o menos, más preferiblemente un micromolar o menos, y más preferiblemente 10 nano molar o menos.

Sustrato APP

Los ensayos que muestran la inhibición de la división mediada por beta-secretasa de APP pueden utilizar cualquiera de las formas conocidas de APP, que incluyen el aminoácido 695 "normal" isotipo descrito por Kang et al., 1987, Nature 325:733-6, el isotipo de aminoácido 770 descrito por Kitaguchi et al., 1981, Naturee 331:530-532, y las variantes tales como la mutación Sueca (KM670-1NL) (APP-SW), la mutación Londres (V7176F), y otras. Ver, por ejemplo, patente estadounidense No. 5,766,846 y también Hardy, 1992, Nature Genet. 1:233-234, para una revisión de las mutaciones de variante conocidas. Sustratos adicionales útiles incluyen la modificación de aminoácido dibásico, APP-(1S,2R) descrita, por ejemplo, en WO 00/17369, fragmentos de APP, y péptidos sintéticos que contienen el sitio de división de beta-secretasa, tipo silvestre (WT) o la forma mutada, por ejemplo, SW, como se describió, por ejemplo, en la patente U.S. No. 5,942,400 y la WO 00/03819.

El sustrato APP contiene el sitio de división beta-secretasa de APP (KM-DA o NL-DA) por ejemplo, un péptido

APP completo o variante, un fragmento APP, un APP recombinante o sintético, o un péptido de fusión. Preferiblemente, el péptido de fusión incluye un sitio de división de beta-secretasa fusionado a un péptido que tiene una porción útil para ensayo enzimático, por ejemplo, que tiene propiedades de aislamiento y/o detección. Una porción útil puede ser un epítopo antigénico para unir el anticuerpo, una etiqueta u otra porción de detección, un sustrato de unión, y similar.

Anticuerpos

Los productos característicos de la división APP se pueden medir mediante inmunoensayo utilizando varios anticuerpos, como se describe, por ejemplo, en Pirttila et al., 1999, Neuro. Lett. 249:21-4, y en la patente US No. 5,612,486. Los anticuerpos útiles para detectar Abeta incluyen, por ejemplo, el anticuerpo monoclonal 6E10 (Senetek, St. Louis, MO) que reconoce específicamente un epítopo sobre los aminoácidos 1-16 del péptido A Beta, los anticuerpos 162 y 164 (New York State Institute for Basic Research, Staten Island, NY) que son específicos para A Beta humano 1-40 y 1-42, respectivamente; y anticuerpos que reconocen la región de unión y el péptido

beta-amiloide, el sitio entre los residuos 16 y 17, como se describió en la patente U.S. No. 5,593,846. Anticuerpos levantados contra un péptido sintético de los residuos 591-596 de APP y el anticuerpo SW 192 levantado contra 590-596 de la mutación sueca que también son útiles en inmunoensayo de APP y en sus productos de división, como se describió en las patentes estadounidenses No. 5,604,102 y 5,721,130.

Sistemas de ensayo

Los ensayos para determinar la división de APP en el sitio de división de beta-secretasa son bien conocidos en el arte. Ensayos de ejemplo, se describen, por ejemplo, en las patentes estadounidenses No. 5,744,346 y 5,942,400 y se describen en el ejemplo que sigue.

Ensayos libres de célula

Los ensayos del ejemplo que se pueden utilizar para demostrar la actividad inhibitoria de los compuestos de la invención se describen, por ejemplo, en la WO 00/117369, WO 00/03819, y las patentes estadounidenses No. 5,942,400 y 5,744,346. Tales ensayos se pueden

desarrollar en incubaciones libres de células o en incubaciones celulares que utilizan células que expresan una beta-secretasa y un sustrato APP que tiene un sitio de división beta-secretasa.

Un sustrato APP que contiene el sitio de división beta-secretasa de APP, por ejemplo, un APP completo o variante, un fragmento de APP, o un sustrato APP recombinante o sintético que contiene la secuencia de aminoácido: KM-DA o NL-DA, se incuba en la presencia de enzima beta-secretasa, un fragmento de este, o una variante de polipéptido sintética o recombinante que tiene actividad beta-secretasa y efectiva para dividir el sitio de división beta-secretasa de APP, bajo condiciones de incubación adecuadas para la actividad de división de la enzima. Los sustratos adecuados opcionalmente incluyen derivados que pueden ser proteínas de fusión o péptidos que contienen el péptido de sustrato y una modificación útil para facilitar la purificación o la detección del péptido o sus productos de división beta-secretasa. Las modificaciones útiles incluyen la inserción de epítopo antigénico conocido para unión de anticuerpos; la conexión de una etiqueta o una porción detectable, la conexión de un sustrato de unión, y similar.

Las condiciones de incubación adecuadas para ensayos in vitro libres de célula incluye, por ejemplo: sustrato de aproximadamente 200 nanomolar a 10 micromolar, enzimas de aproximadamente 10 a 200 pico molar, y compuestos inhibidores de aproximadamente 0,1 nanomolar a 10 micromolar, en solución acuosa, a un pH aproximadamente de 4-7, a aproximadamente 37° C, durante un periodo de aproximadamente 10 minutos a 3 horas. Estas condiciones de incubación son solo ejemplo, y se pueden variar como se requiera para los componentes particulares de ensayo y/o los sistemas de medición. La optimización de las condiciones de incubación para los componentes particulares de ensayo se deben contar para la enzima beta-secretasa específica utilizada y su pH óptimo, cualquier enzima y/o marcadores que podrían ser utilizados en el ensayo, y similares. Tal optimización es rutinaria y no requerirá experimentación indebida.

Un ensayo útil utiliza un péptido de fusión que tiene una proteína de nombre maltosa (MBP) fusionada a 125 aminoácidos C-terminal de APP-SW. De la porción MBP se captura sobre un sustrato de ensayo mediante un anticuerpo de captura anti-MBP. La incubación de la

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proteína de fusión de captura en la presencia de beta-secretasa da como resultado una división del sustrato en el sitio de división beta-secretasa. El análisis de la actividad de división, puede ser, por ejemplo, mediante inmunoensayo de productos de división. Uno de tales inmunoensayos detecta un epítopo único expuesto al terminal carboxi de la proteína de fusión dividida, por ejemplo, utilizando un anticuerpo SW192. Este ensayo se describe, por ejemplo, en la patente U. S. No. 5,942,400.

Ensayo Celular

Se pueden utilizar numerosos ensayos basados en células para analizar la actividad beta-secretasa y/o procesar APP para liberar A Beta. El contacto de un sustrato APP con la enzima beta-secretasa dentro de la célula y en la presencia o ausencia de un compuesto inhibidor de la invención se pueden utilizar para demostrar actividad inhibitoria beta-secretasa del compuesto. Preferiblemente, el ensayo en la presencia de un compuesto inhibitorio útil suministra al menos aproximadamente 30%, más preferiblemente al menos aproximadamente 50% de inhibición de la actividad enzimática, según se compara con un control no inhibido.

En una modalidad, las células que expresan naturalmente la beta-secretasa se utilizan. Alternativamente, las células se modifican para expresar la enzima variante beta-secretasa o sintética recombinante como se discutió anteriormente. El sustrato APP se puede agregar al medio de cultivo y se expresa preferiblemente en las células. Las células APP que se expresan naturalmente, variantes o formas mutantes de APP; o células transformadas para expresar una isoforma de APP, APP mutante o variante, APP recombinante o sintética, fragmento de APP o péptido de APP sintético o proteína de fusión que contiene un sitio de división APP beta-secretasa se puede utilizar, dado que el APP expresado se le permite entrar en contacto con las enzimas y la actividad de división enzimática se puede analizar.

Las líneas celulares humanas normalmente procesan A Beta de APP suministran uno medios útiles par ensayar actividades inhibitorias de los compuestos de la invención. La producción y liberación de A Beta y/o otros productos de división en el medio de cultivo se pueden

medir, mediante inmunoensayo, tal como un Western blot o un inmunoensayo ligado a enzima (EIA) tal como ELISA.

Las células que expresan un sustrato APP y una beta-secretasa activa se pueden incubar en la presencia de un compuesto inhibidor para demostrar la inhibición de la actividad enzimática comparada con el control. La actividad de la beta-secretasa se puede medir mediante análisis de uno o más productos de división del sustrato APP. Por ejemplo, la inhibición de la actividad beta-secretasa contra el sustrato APP se esperaría que disminuyera la liberación de los productos de división APP inducidos por beta-secretasa específicos tales como A Beta.

Aunque ambos procesos celulares neurales y no neurales y liberación de A Beta, los niveles de actividad beta-secretasa endógena son bajos y a menudo difíciles de detectar mediante EIA. El uso de tipos de células conocidos por tener actividad de beta-secretasa mejorada, procesamiento mejorado de APP A Beta, y/o producción mejorada de A Beta son por lo tanto preferidos. Por ejemplo, la trasfección de células con forma mutante sueca de APP (APP-SW); con APP-KK; o con APP-SW-KK

suministran células que tiene actividad beta-secretasa mejorada y producen cantidades de A Beta que pueden ser fácilmente medidos.

En tales ensayos, por ejemplo, las células que expresan APP y beta-secretasa se incuba en medio de cultivo a condiciones adecuadas para la actividad enzimática de la beta-secretasa en su sitio de división sobre el sustrato APP. A la exposición de las células al compuesto inhibidor, la cantidad de A Beta liberada en el medio y/o la cantidad de fragmento CTF99 de APP en los lisados de células se reduce según se compara con el control. Los productos de división de APP se pueden analizar, mediante inmunoreacciones con anticuerpos específicos, como se discutió anteriormente.

Las células preferidas para el análisis de la beta-secretasa incluyen células neuronales humanas primarias, células neuronales animales transgénicas primarias donde el transgen es APP, y otras células tales como aquellas de la línea celular 293 estable que expresa APP, por ejemplo, APP-SW.

Ensayos in vivo: modelos animales

Varios modelos animales se pueden utilizar para analizar la actividad beta-secretasa y/o procesar el APP para libera A Beta como se escribió anteriormente. Por ejemplo, los animales transgénicos que expresan el sustrato APP y la enzima beta-secretasa se pueden utilizar para demostrar la actividad inhibitoria de los compuestos de la invención. Ciertos modelos de animales transgénicos se han descrito, por ejemplo, en la patente U. S. No. 5,877,399; 5,612,486; 5,387,742; 5,720,936; 5,850,003, 5,877,015, y 5,811,633, y Ganes et al., 1995, nature 373:523. Preferidos son los animales que exhiben características asociadas con patofisiología de AD. La administración de los inhibidores de los compuestos de la invención a los ratones transgénicos descritos aquí suministran un método alternativo para demostrar la actividad inhibitoria de los compuestos. También se prefiere a la administración de los compuestos en un portador farmacéuticamente efectivo y por fía de una ruta administrativa que alcance el tejido objetivo en una cantidad terapéutica apropiada.

La inhibición de la división mediada por beta-secretasa de APP en el sitio de división de la beta-secretasa y de liberación de A Beta se puede analizar en estos animales al medir los fragmentos de división en los fluidos del cuerpo del animal tal como fluido cerebral o tejidos. Los análisis de los tejidos del cerebro para depósitos de A Beta o placas es el preferido.

Al poner en contacto un sustrato APP con una enzima beta-secretasa en la presencia de un compuesto inhibidor de la invención y bajo condiciones suficientes para permitir la división mediada enzimática de APP y/o la liberación del sustrato A Beta, los compuestos de la invención son efectivos para reducir la división mediada por beta-secretasa de APP en el sitio de división de beta-secretasa y/o reducir de manera efectiva cantidades liberadas de A Beta. Donde tal contacto es la administración de los compuestos inhibitorios de la invención a un modelo animal, por ejemplo, como se describió anteriormente, los compuestos son efectivos para reducir de posición A Beta en los tejidos del cerebro del animal, y para reducir el número y/o tamaño de las placas beta-amiloides. Donde tal administración es a un sujeto humano, los compuestos son efectivos para

inhibir o hacer más lenta la progresión de la enfermedad caracterizada por cantidades mejoradas de A Beta, hacer más lenta la progresión de AD en él y/o evitar el padecimiento o desarrollo de AD en un paciente con riesgo de la enfermedad.

A menos que se defina otra cosa, todos los términos científicos y técnicos utilizados aquí tienen el mismo significado que comúnmente se entiende por aquellos expertos en la técnica a los cuales corresponde la invención. Todas las patentes y publicaciones denominadas aquí se incorporan aquí como referencia para todos los propósitos.

DEFINICIONES Y CONVENCIONES

Las definiciones y explicaciones de adelante son para los términos utilizados a lo largo del documento completo incluyendo tanto la especificación como las reivindicaciones.

I. Convenciones para las fórmulas y definiciones de las variables

Las fórmulas químicas que representan varios compuestos o fragmentos moleculares en la especificación y reivindicaciones pueden contener sustituyentes variables además de definir expresamente características estructurales. Estos sustituyentes variables se identifican mediante una letra o una letra seguida por un índice inferior numérico, por ejemplo, "Z_1" o "R_i" Donde "i" es un entero. Estos sustituyentes variables son monovalentes o bivalentes, esto es, ellos representan un grupo unido a la fórmula mediante 1 o 2 enlaces químicos. Por ejemplo, un grupo Z_1 representaría una variable bivalente si está unida a la fórmula CH_3-C(=Z_1)H. los grupo R_i y R_j representarían sustituyentes variables

monovalentes si están unidas a la fórmula CH_3-CH_2-C(R-)(R_j)H_2. Cuando las fórmulas químicas están dibujadas en una forma lineal, tales como aquellas anteriores, los sustituyentes variables contenidos en los paréntesis están unidos al átomo inmediatamente a la izquierda del sustituyente variable incluido en paréntesis. Cuando dos o más sustituyentes variables consecutivos se incluyen en el paréntesis, cada uno de los sustituyentes variables consecutivos se une al átomo inmediatamente precedente a la izquierda el cual no está incluido en paréntesis. De esta manera, en la fórmula anterior, tanto R_i como R_j están unidos a un átomo de carbono precedente. También, para cualquier molécula con un sistema establecido de numeración de átomos de carbono, tales como esteroides, estos átomos de carbono se designan como C_i, donde "i" es el entero que corresponde al número de átomo del carbono. Por ejemplo, C_6 representa la posición 6 del número de átomo de carbono en el núcleo esteroide como se designa tradicionalmente por aquellos expertos en la técnica de la química de esteroides. De manera similar el término "R_6" representa un sustituyente variable (bien sea monovalente o bivalente) en la posición C_6.

Las fórmulas químicas o las porciones de estas dibujadas de una forma lineal representan átomos en la cadena lineal. El símbolo "-" en general representa un enlace entre dos átomos en la cadena. Así CH_3-O-CH_2-CH(R_j)-CH_3 representa un compuesto 2-sustituido-1-metoxipropano. De forma similar, el símbolo "=" representa un enlace doble, por ejemplo, CH_2=C(R_i)-O-CH_3, y el símbolo "≡" representa un enlace triple, por ejemplo, HC≡C-CH(R_i)-CH_2-CH_3, los grupos carbonilo están representados bien sea de 1 o 2 maneras. -CO- o -C(=O)-, siendo la anterior la forma más preferida pro simplicidad.

Las fórmulas químicas de compuestos de anillo (cíclicos) o fragmentos moleculares se pueden representar en una forma lineal. Así, el compuesto 4-cloro-2-metilpiridina se puede representar en una forma lineal mediante -N*-C(CH_3)-CH=CCI-CH=C*H con la convención que los átomos marcados con el asterisco (*) están unidos uno al otro dando como resultado la formación de un anillo. De manera similar, el fragmento molecular cíclico, 4-(etil)-1-piperazinil se puede representar mediante -N*-$(CH_2)_2$-N(C_2H_5)-CH_2-C*H_2.

Una estructura (anillo) cíclica rígida para cualquiera de los compuestos que parecen aquí define una orientación con respecto al plano del anillo para sustituyentes unidos a cada átomo de carbono del compuesto cíclico rígido. Para compuestos saturados que tienen dos sustituyentes unidos al átomo de carbono que es parte de un sistema cíclico, $-C(X_1)(X_2)-$ y lo dos sustituyentes pueden estar en una posición axial o ecuatorial con relación al anillo y pueden cambiar entre axial/ecuatorial. Sin embargo, la posición de los dos sustituyentes con relación al anillo y cada uno de los otros permanece fijo. Mientras que otros sustituyentes aveces pueden descansar el plano del anillo (ecuatorial) en lugar de por encima o por debajo del plano (axial), un sustituyente está siempre por encima del otro. En las fórmulas de química estructural que describe tales compuestos, un sustituyente (X_1) que está "por debajo" de otro sustituyente (X_2) se identificará por tener la configuración alfa y se define mediante una línea partida de línea o punteada unida al átomo de carbono, es decir, mediante el símbolo "---" o "...". el sustituyente correspondiente unido "anterior" (X_2) el otro (X_1) se identifica por estar en la configuración beta y significa

mediante una línea ininterrumpida unida al átomos de carbono.

Cuando un sustituyente variables es bivalente, las valencias se pueden tomar juntas o separadamente o ambas en la definición de la variable. Por ejemplo, una variable Ri unida a un átomos de carbono como -C(=Ri)- podría ser bivalente y ser definida como oxo o ceto formando así un grupo carbonilo (-CO-) o como dos sustituyentes variables monovalentes separadamente unidos alfa-R_{i-j} y beta-R_{i-k}. Cuando una variable bivalente, Ri, se define porque consiste de dos sustituyentes variables monovalentes, la convención utilizada para definir la variable bivalente es de la forma "alfa-R_{i-j}: beta-R_{i-k}" o alguna variante de este. En tal caso tanto alfa-R_{i-j} como beta-R_{i-k} están unidos al átomo de carbono para dar -C(alfa-R_{i-j})(betaR_{i-k})-. Por ejemplo, cuando la variable bivalente R6, -C(=R6)- se define porque consiste de dos sustituyentes variables monovalentes, los dos sustituyentes variables monovalentes son alfa-R_{6-1}: beta-R_{C-2}, ... alfa-R_{6-9}: beta-R_{6-10}, etc., dando -C(alfa-R_{6-1})(beta-R_{6-2})-,... -C(alfa-R_{6-9})(beta-R_{6-10})-, etc. De manera similar, para las variables bivalentes R_{11}, -C(=R_{11})-, dos sustituyentes de variables monovalentes son alfa-R_{11-1}:

beta-R_{11-2}. Para un anillo sustituyente para el cual las orientaciones alfa y beta separadas no existen (por ejemplo debido a la presencia de un enlace doble carbono carbono en el anillo), y para un sustituyente unido a un átomo de carbono que no es parte de un anillo la convención anterior todavía se utiliza, pero la designación alfa y beta se omiten.

Justamente una variable bivalente se puede definir como dos sustituyentes variables monovalentes separadas, dos sustituyentes variables monovalentes separadas se pueden definir por ser tomadas juntas para formar una variable bivalente. Por ejemplo, en la fórmula $-C_1(R_i)H-C_2(R_j)H-$ (C_1 y C_2 se definen arbitrariamente como el primero y segundo átomo de carbono, respectivamente) R_i y R_j se pueden definir por ser tomados juntos para formar (1) un segundo enlace entre C_1 y C_2 o (2) un grupo bivalente tal como oxa (-O-) y la fórmula describe de esta manera un epóxido. Cuando R_i y R_j son tomados juntos para formar una entidad más compleja, tal como el grupo -X-Y-, entonces la orientación de la entidad es tal que C_1 en la fórmula anterior se une a X y C_2 se une a Y. Así, mediante la convención, la designación "... R_i y R_j son tomadas juntas para formar $-CH_2-CH_2-O-CO-$ ..." significan

una lactona en la cual el carbonilo está unido a C_2. Sin embargo, cuando se designa "... R_j y R_L son tomados juntos para formar $-CO-O-CH_2-CH_2-$ la convención significa una lactona en la cual el carbonil está unido a C_1.

El contenido de átomos de carbono de los sustituyentes variables se indica en una o dos formas. El primer método utiliza un prefijo para el nombre completo de la variable tal como "C_1-C_4" donde ambos "1" y "4" son enteros que representan el número mínimo y máximo de átomos de carbono en la variable. El prefijo se separa de la variable por un espacio. Por ejemplo, "alquilo C_1-C_4" representa alquilo de 1 a 4 átomos de carbono, (que incluyen formas isoméricas de este a menos que se exprese una indicación en contrario). Cuando sea que este prefijo simple se de, el prefijo indica el contenido de átomos de carbono completo de la variable que está siendo definida. Así alcoxicarbonil C_2-C_4 describe un grupo $CH_3-(CH_2)_n-O-CO-$ donde n es 0, 1 o 2. Por el segundo método de contenido de átomos de carbono de solo una porción de la definición se indica separadamente mediante incluir la designación "C_i-C_j" en paréntesis y ubicándolo inmediatamente (sin intervenir espacios) antes que la porción de la definición se defina. Mediante esta convención opcional

alcoxicarbonil C_1-C_3) tiene el mismo significado que alcoxicarbonil C_2-C_4 en razón a que "C_1-C_3" se refiere solo al contenido de átomos de carbono del grupo alcoxi. Similarmente mientras alcoxicarbonilo C_2-C_6 y (C_1-C3) alcoxi (C_1-C_3) alquilo define grupos alcoxialquilo que contienen de 2 a 6 átomos de carbono, las dos definiciones difieren en razón a que las anteriores definiciones permiten la porción alcoxi o la porción alquilo solo contengan de 4 a 5 átomos de carbono mientras que la última definición limita estos grupos a tres átomos de carbono.

Cuando las reivindicaciones contienen un sustituyente ligeramente complejo (cíclico), en el extremo de la frase a saber, designado ese sustituyente particular será una notación en (paréntesis) que corresponderá al mismo nombre/designación en una de las gráficas la cual también establecerá la fórmula química estructural del sustituyente particular.

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II. DEFINICIONES

Todas las temperaturas están en grados Celsius.

TLC se refiere a cromatografía de capa delgada.

psi se refiere a libras/pulgadas^2.

HPLC se refiere a cromatografía líquido de alta presión THF se refiere a tetrahidrofurano.

DMF se refiere a dimetilformamida.

EDC se refiere a clorhidrato de etil-1-(3-dimetilaminopropil)carbodiimida o 1-(3-dimetilaminopropil)-3-carbodiimida.

HOBt se refiere a hidrato de 1-hidróxido de benzotriazol.

NMM se refiere a N-metilmorfolino.

NBS se refiere a N-bromosuccinimida.

TEA se refiere a trietilamina.

BOC se refiere a 1,1-dimetiletoxicarbonil o t-butoxicarbonil, $-CO-O-C(CH_3)_3$.

CBZ se refiere a benziloxicarbonilo, $-CO-O-CH_2-$fenilo.

FMOC se refiere a carbonato de 9-fluorenilmetilo.

TFA se refiere a ácido trifluoroacético, CF_3-COH.

CDI se refiere a 1,1'-carbonildiimidazol.

La solución salina se refiere a una solución de cloruro de sodio acuoso saturado.

Cromatografía (cromatografía de destello y columna) se refiere a purificación/separación de los compuestos expresados como (soporte, eluente). Se entiende que las fracciones apropiadas están agrupadas y concentradas para dar los compuestos deseados.

CMR se refiere a espectroscopia de resonancia magnética C-13 los cambios químicos que reportan el ppm (δ) campo debajo de TMS.

RMN se refiere a espectroscopía de resonancia magnética nuclear (protón), los cambios químicos son reportados en ppm (d) campo debajo de TMS.

IR se refiere a espectroscopía infrarroja.

-fenilo se refiere a fenil(C_6H_5).

MS se refiere a espectroscopía de masa expresada como m/e, m/z o unidad de masa/carga. MH+ se refiere al

ion positivo del padre más un átomo de hidrógeno. EI se refiere al impacto del electrón. CI se refiere a la ionización química. FAB se refiere al bombardeo de átomos rápidos.

HRMS a espectroscopía de masa de alta resolución.

El éter se refiere a dietiléter.

Farmacéuticamente aceptable se refiere a aquellas propiedades y/o sustancias que son aceptables al paciente desde un punto de vista farmacológico/toxicológico y la química farmacéutica de elaboración desde el punto de vista/físico/químico en relación a la composición, formulación, estabilidad, aceptación del paciente y biodisponibilidad.

Cuando los pares de solvente se utilizan, las proporciones de los solventes utilizadas son volumen/volumen (v/v).

Cuando la solubilidad de un sólido en un solvente se utiliza la proporción del sólido al solvente es peso/volumen (p/v).

BOP se refiere a hexafluorofosfato de benzotriazol-1-iloxi-tris(dimetilamino)fosfonio.

TBDMSCl se refiere a cloruro de t-butildimetilsilil.

TBDMSOTf se refiere a éster de t-butildimetilsisil trifluosulfónico.

Trisomia 21 se refiere a síndrome de Down.

Los siguientes términos se utilizan (en el ejemplo 321 y anterior) para el agente formador de amida (IX):

"PHTH" se refiere a $(CH_3-CH_2-CH_2-)_2N-CO-$fenil$-CO-OH$ donde la unión al anillo -fenilo- es 1,3-;

"5-Me-PHTH" se refiere a $(CH_3-CH_2-CH_2-)_2N-CO-(CH_3-)-$fenil$-CO-OH$ donde la unión al anillo -fenilo es 1,3- para el grupo carbonilo y 5- para el grupo metilo;

"3,5-piridinilo" se refiere a $(CH_3-CH_2-CH_2-)_2N-CO-$(piridinilo)$-CO-OH$ donde la unión al anillo -piridinilo es 3,5- para los grupos carbonilo;

"$-SO_2-$" se refiere a $(CH_3-CH_2-CH_2-)_2CH-SO_2$-fenil-CO-OH donde la unión al anillo -fenilo- es 1,3-;

"5-OMe-PHTH" se refiere a $(CH_3-CH_2-CH_2-)_2N$-CO-(CH_3-O)fenil-CO-OH donde la unión al anillo -fenilo- es 1,3- para los grupos carbonilo y 5- para el grupo metoxi;

"5-Cl-PHTH" se refiere a $(CH_3-CH_2-CH_2-)_2N$-CO-(Cl)-fenil-CO-OH donde la unión al anillo -fenil- e 1,3- para los grupos carbonilo y 5- par el átomo de cloro;

"5-F-PHTH" se refiere a $(CH_3-CH_2-CH_2-)_2N$-CO-(F-)fenil-CO-OH en donde la unión al anillo -fenilo- es 1,3- para los grupos carbonilo y 5- para el átomo de flúor;

"tienilo" se refiere a $(CH_3-CH_2-CH_2-)_2N$-CO-tienil-CO-OH donde la unión al anillo de tiofeno es -2,5;

"2,4-piridinil" se refiere a $(CH_3-CH_2-CH_2-)_2N$-CO-piridinil-CO-OH donde la unión al anillo de -piridinil- es 2,4- para los grupos carbonilo;

"4,6-pirimidinilo" se refiere a $(CH_3-CH_2-CH_2-)_2N-CO-$(pirimidinil)-fenil-CO-OH donde la unión al anillo de -pirimidinil- es 4,6- para los grupos carbonilo;

"morfolinil" se refiere a morfolinil-CO-fenil-CO-OH donde la unión al anillo -fenil- es 1,3 para los grupos carbonilo.

APP. Proteína precursora de amiloide, se define como cualquier polipéptido APP, que incluye variantes APP, mutaciones, e isoformas, por ejemplo, como se describió en la patente estadounidense No. 5,766,846.

A Beta, péptido beta amiloide, se define como cualquier péptido que resulta de una división mediada por beta-secretasa de APP, que incluye los péptidos de 39, 40, 41, 42, y 43 aminoácidos, y que se extienden desde el sitio de división beta-secretasa hasta los aminoácidos 39, 40, 41, 42, o 43.

La beta-secretasa (BACE1, Asp2, Memapsin 2) es una proteasa aspartilo que media en la división del APP en el borde amino terminal de un A Beta. La beta-secretasa humana se describe, por ejemplo, en WO 00/17369.

"farmacéuticamente aceptable" se refiere a aquellas propiedades y/o aquellas sustancias que son aceptables al paciente desde un punto de vista farmacológico/toxicológico y la química de elaboración farmacéutica desde un punto de vista físico/químico con relación a las composiciones, formulación, estabilidad, aceptación del paciente y biodisponibilidad.

Una cantidad terapéuticamente efectiva se define como una cantidad efectiva para reducir o bajar al menos un síntoma de la enfermedad que está siendo tratada o reducido demorar el padecimiento de uno o más marcadores químicos o síntomas de al enfermedad.

La presente invención suministra compuestos, composiciones, métodos para inhibir la actividad de la enzima beta-secretasa y la producción del péptido A Beta de APP y reduce o elimina la formación de los depósitos beta-amiloide en el cerebro.

EJEMPLOS

Sin elaboración adicional, se cree que un experto en la técnica puede, usando la descripción precedente, practicar la presente invención en su completa extensión. Los siguientes ejemplos detallados describen como preparar los varios compuestos y/o desarrollar los varios procesos de la invención y se deben construir como simplemente ilustrativos, y no limitaciones de la descripción precedentes de ninguna manera que sea. Aquellos expertos en la técnica reconocerán rápidamente las variaciones apropiadas de los procedimientos tanto en reactivos como en condiciones de reacción y técnicas.

PREPARACIÓN 1

Ácido 3-amino-5-(metoxicarbonil)benzoico(XVII)

Una suspensión de mono-metil 5-nitro-isoftalato (22,5 g, 100 mmoles) y paladio sobre carbono (5%, 2,00 g) en metanol (100 mL) se agita en un aparato de hidrógeno bajo presión (50 psi) durante tres horas. La mezcla se filtra entonces a través de tierras diatomáceas y se

concentra para dar el compuesto del título, RMN (300 MNz, $CDCl_3$)beta 7,67, 7,41, 7,40 y 3,83; MS(ESI-) para $C_9H_9NO_4$ m/z (M-H)- = 194.

PREPARACIÓN 2

Ácido 3-bromo-5-(metoxicarbonil)benzoico (XIX)

Una mezcla de bromuro de cobre (II) (1,85 g, 8,30 mmoles), n-butilnitrito (0,07 g, 10,4 mmoles), y acetonitrilo (30 ml) se agita en un frasco de fondo redondo en un baño de agua al cual se han agregado unos cubos de hielo. Se agrega ácido 3-amino-5(metoxicarbonil)benzoico (XVII, PREPARACIÓN 1, 1,35 g, 6,92 mmles) a una lechada de acetonitrilo caliente (70 ml) durante 15 minutos y la mezcla se agita a 20 - 25° C durante dos horas adicionales, tiempo en el cual la mezcla se particiona entre diclorometano y ácido clorhídrico (3 N). la fase orgánica se separa y se seca sobre sulfato de sodio y se concentra hasta sequedad.

La cromatografía (sílica gel, 125 ml; metanol/diclorometano, 15/85) y concentración de las fracciones apropiadas dan un sólido que se cristaliza de

metanol para dar el compuesto del título en dos afloramientos, RMN (DMSO-d_6)delta 3,90, 8,26 y 8,65.

PREPARACIÓN 3

Metil 3-bromo-5-[(dipropilamino)carbonil]benzoato (XXI)

Se agrega carbonil diimidazol (3,0 g, 18 mmoles) a una solución de ácido 3-bromo-5-(metoxicarbonil)benzoico (XIX), preparación 2,3,9 g, 15 mmoles) en THF (30 ml). La mezcla se agita durante 0,5 horas. Se agrega dirpopilamino (AMINA, 4,2 ml; 30 mmol) a la mezcla la cual se agita entonces durante 24 horas. El solvente se remueve bajo presión reducida y la mezcla se particiona entre acetato de etilo y agua. La fase orgánica se lava entonces con solución salina, sobre sulfato de magnesio anhidro, y se concentra. La cromatografía de columna (sílica gel; etil acetato/hexanos, 15/65) da el compuesto del título, IR (refractancia difusa) 2968, 2958, 1714, 1637, 1479, 1440, 1422, 1321, 1310, 1288, 1273, 1252, 889, 772 y 718 cm^{-1}; RMN (300 MHz, $CDCl_3$)δ 8,21, 7,96,

585

7,70, 3,95, 3,46, 3,15, 1,69, 1,57, 1,00 y 0,78; MS (ESI+) para $C_{15}H_{20}BrNO_3$ m/z $(M+H)^+$= 344,1.

PREPARACIÓN 4

Ácido 3-bromo-5[(dipropilamino)carbonil]benzoico

A una solución de Metil 3-bromo-5-[(dipropilamino)carbonil]benzoato (XXI, PREPARACIÓN 3, 1,4 g, 4,1 mmoles) en THF/agua/metanol (4/2/2, 8 ml) se agrega a monohidrato de hidróxido de litio (0,17 g, 4,05 mmoles). La mezcla se agita a 20-25° C durante una hora y luego el solvente se remueve bajo presión reducida. el residuo se diluye con agua (50 ml) y ácido clorhídrico (1 N) se agrega para ajustar el pH a aproximadamente 3. La mezcla acuosa se extrae con acetato de etilo y la fase orgánica se separa y se seca sobre sulfato de magnesio para dar el compuesto del título. Calculado analítico para $C_{14}H_{18}BrNO_3$: C, 51,23; H, 5,53; N, 4,27; Br, 24,35. Encontrado: C, 51,37; H, 5,56; N-4,20.

PREPARACIÓN 5

Metil 3-(aminocarbonil)-5-[(dipropilamino)carbonil]benzoato

A una mezcla de 3-bromo-5-[(dipropilamino)carbonil]benzoato (XXI, PREPARACIÓN 3, 0,5 g, 1,47 mmoles) en N-metil pirrolidona seca bajo una atmósfera de monóxido de carbono se agrega acetato de paladio (II) 0,017 g, 0,074 mmoles), 1,3-bis(difenilfosfino)propano (0,05 g, 0,11 ml), hexametildisilazano (1,0 ml, 4,7 mmoles), y diisopropiletilamina (0,38 g, 2,94 mmoles). La mezcla se calentó a 100° C durante 24 horas. La mezcla se enfrió a 20-25° C y se particionó entre agua y acetato de etilo. Las capas se separan y la fase acuosa retrolavada con acetato de etilo. Las fases orgánicas se combinan y se lavan tres veces con solución salina, se secan sobre sulfato de magnesio anhidro, y se filtran y se concentran. Cromatografía de columna (sílica gel, 75 ml; metanol/cloruro de metileno, 2,5/97,5) da el compuesto del título RMN ($CDCl_3$) delta 0,77, 1,02, 11,57, 1,71, 3,17, 3,49, 3,98, 5,78, 6,34, 8,07, 8,20 y 8,48.

PREPARACIÓN 6

Ácido 3-(aminocarbonil)-5-[(dipropilamino)carbonil]benzoico (XXIII)

A una mezcla de metil 3-(aminocarbonil)-5-[(dipropilamino)carbonil]benzoato (XXII, PREPARACIÓN 5, 0,197 g, 0,64 mmoles) en metanol (100,0 ml) se agregó hidróxido de sodio (1 N, 3,0 ml). La mezcla se agitó a 20-25° C durante 24 horas. La mezcla de se acidificó hasta aproximadamente pH 5 con ácido clorhídrico (10%). Se agregó agua (50 ml) y la mezcla se lavó dos veces con acetato de etilo (2 x 50 ml). Los extractos orgánicos se combinaron y se secaron sobre sulfato de magnesio anhidro y se concentraron para dar el compuesto del título, RMN (DMSO-d_6)delta 0,66, 0,930, 1,48, 1,62, 3,12,3,35, 7,54, 7,98, 8,22 y 8,51.

PREPARACIÓN 7

Ácido 3-ciano-5-[(dipropilamino)carbonil]benzoico (IX/XXXII)

Una mezcla de ácido 3-bromo-5-[(dipropilamino)carbonil]benzoico (PREPARACIÓN 4 g, 1,82 mmoles) y nitrilo de cobre (0,325 g, 3,63 mmoles en N-metilpirrolidinona (1,5 ml) se agitan a 175° C durante 2,5 horas, en cuyo tiempo la mezcla se particiona entre acetato de etilo y ácido clorhídrico (3 N). la capa orgánica se lava dos veces más con ácido clorhídrico (3 N) y luego dos veces más con solución salina la cual ha sido acidificada con una pequeña cantidad de ácido clorhídrico (3 N). La capa orgánica se seca sobre sulfato de magnesio y se concentra bajo vacío alto para dar el compuesto del título, RMN ($CDCl_3$)delta 0,80, 11,02, 1,60, 1,73, 3,17, 3,51, 7,90, 8,31 y 8,41; una lícuota se cristaliza de etiléter/diclorometano/hexano-IR (reflectancia difusa) 3017, 2970, 29337, 2898, 2877, 2473, 2432, 2350, 2318, 2236, 1721, 1608, 1588, 1206 y 1196 cm^{-1}.

PREPARACIÓN 8

Ácido 3-(aminocarbonil)-5-[(dipropilamino)carbonil]benzoico (XXXIII)

Una mezcla de ácido 3-ciano-5-[(dipropilamino)carbonil]benzoico (IX/XXXII, PREPARACIÓN 7, 0,0602 g, 2,19 mmoles), carbonato de potasio (0,212 g, 1,53 mmoles), y acetona (2,5 mL) se agitó a 20-25 grados C. se agregaron agua (2,5 mL) y aducto de peróxido de hidrógeno (0,825 g, 8,78 mmoles) y la mezcla se agitó durante 15 horas a 20-25° C, tiempo durante el cual se agregó aducto tradicional de úrea-peróxido de hidrógeno (0,204 g); después de agitar durante otras tres horas, úrea-peróxido de hidrógeno adicionales 0,205 g. después de que un total de 39 horas habían concluido, la acetona se removió bajo presión reducida y el residuo se acidificó con ácido clorhídrico (3 N) a un pH = 2-4. La mezcla se extrajo con diclorometano, la capa orgánica se separó y se lavó con ácido clorhídrico (0,5 L), y la fase orgánica se secó con sulfato de magnesio anhidro hasta un sólido. El sólido se cristalizó en diclorometano/hexano/metanol para dar el compuesto del título, MS (ESI+) para $C_{15}H_{20}N_2O_4$ (m/z) $[M + H]^+$ = 293.2

PREPARACIÓN 9

Metil 3-[(dipropilamino)carbonil]-5-nitrobenzoato (XXX)

590

Se agregó carbonil diimidazol (3,90 g, 24,0 mmoles) a una mezcla de mono-metil-5-nitro-isoftalato (XXVIII, 4,50 g, 20,0 mmoles) en THF seco (50 ml). La mezcla se agitó durante 0,5 horas. Se agregó dipropilamina (3,28 ml, 24,0 mmoles) lentamente a la mezcla. La mezcla de reacción se agitó entonces durante 4 horas. El solvente se removió bajo presión reducida y la mezcla se particionó entre acetato de etilo y agua. La fase orgánica se preparó y se lavó con solución salina, se secó sobre sulfato de magnesio, se filtró, y se concentró. Cromatografía de columna (sílica gel; acetato de etilo/hexanos, 15/85) para dar el compuesto del título, RMN (300 MHz, $CDCl_3$)delta 8,88, 8,41, 8,35, 4,00,3,48, 3,15, 1,72, 1,57, 1,00 y 0,77; MS (ESI+) para $C_{15}H_{20}N_2O_5$ m/z $(M+H)^+$ = 309,2.

PREPARACIÓN 10

Metil 3-amino-5-[(dipropilamino)carbonil]benzoato (XXXI)

Una suspensión de 3-[(dipropilamino)carbonil]-5-nitrobenzoato (XXX, PREPARACIÓN 9, 6,00 g, 20,0 mmoles(

y paladio sobre carbono (5%, 0600g) en metanol (40 mL) se agitan en un aparato de hidrogenación bajo hidrógeno (45 psi) durante tres horas. La mezcla luego se filtra a través de tierras diatomáceas, y se se concentra para dar el compuesto del título, RMN (300 MHz, $CDCl_3$) delta 7,27, 6,77, 4,10, 3,82, 3,38, 33,10, 1,62, 1,46, 0,91 y 0,68,

PREPARACIÓN 11

Metil 3-(clorosulfonil)-5-[(dipropilamino)carbonil]-benzoato (XXXVII)

Metil 3-amino-5-[(dipropilamino)carbonil]benzoato (XXXI, PREPARACIÓN 10, 1,11 g, 4 mmoles) se agregan a una mezcla de agua (5 ml) y ácido clorhídrico concentrado (1 ml). Se agrega nitrito de sodio (0,276 g, 4 mmol) a una mezcla lentamente a 0 grados C. la mezcla se agrega entonces a una solución de ácido acético (5 ml) de $CuCl_2 \cdot 2H_2O$ saturado con bióxido de azufre. La mezcla se agitó durante 0,5 horas y se virtió en agua helada. La mezcla se extrajo con acetato de etilo. La fase orgánica se separó y se lavó con bicarbonato de sodio saturado, agua, y solución salina y se secó sobre sulfato de sodio

anhidro, se filtró, y se concentró para dar el compuesto del título, RMN (300 MHz, $CDCl_3$)delta 8,69, 8,38, 8,20, 4,01, 3,49, 3,14, 1,72, 1,59, 1,01, y 0,79; MS (ESI+) para $C_{15}H_{20}ClNO_5S$ m/z $(M+H)^+$ = 362,2.

PREPARACIÓN 12

Metil 3-(aminosiulfonil)-5-[(edipropilamino)carbonil]-benzoato (XXXVIII)

A una solución de metil 3-(clorosulfonil)-5-(dipropilamino)carbonil]benzoato (XXXVII, preparación 11, 0,100 g, 0,300 mmoles) en THF seco (3 ml) se agregó amonio (solución en metanol 7 N, 0,214 ml, 1,50 mmoles). La mezcla se agitó durante 18 horas y el solvente se removió entonces. El residuo se particionó entre acetato de etilo y agua. La fase orgánica se separó y se lavó con solución salina, se secó sobre sulfato de sodio anhidro, se filtró, y se concentró para dar el compuesto del título, RMN (300 MHz, $CDCl_3$)delta 8,45, 8,07, 8,01, 6,05, 3,93, 3,44, 3,09, 1,67, 1,52, 0,96 y 0,73; MS (ESI^+) para $C_{12}H_{22}N_2O_5S$ m/z $(M+H)^+$ = 343.3.

PREPARACIÓN 13

Ácido 3-(aminosulfonil)-5-[(dipropilamino)carbonil]benzoico (XXXVIII)

Se agregó monohidrato de hidróxido de litio (0,011 g, 0,263 mmoles) se agrega a una solución de metil 3-(aminosulfonil)-5-[(dipropilamino)carbonil]benzoato (XXXVIII, PREPARACIÓN 12, 0,090 mmoles) en una mezcla de THF/metanol/agua (2/1/1,,2 mL). La mezcla se agitó a 20-25° C durante 3 horas. La mezcla se diluye entonces con agua y ácido clorhídrico (1 N) se agrega para traer el pH hasta menos de 3. La solución acuosa se extrae con acetato de etilo. La fase orgánica se separa y se lava con solución salina, se seca sobre sulfato de sodio anhidro, se filtra y se concentra para dar el compuesto del título ^{1}H RMN (300 MHz, $CDCl_3$)delta 10,36 (s, 1H), 8,39 (s, 2H), 6,06 (s, 2H), 3,48 (t, J = 7 Hz, 2H), 3,15 (t, J = 7 Hz, 2 H), 11,71 (m, 2 H), 1,55 (m, 2H), 0,97 (t, J = 7 Hz, 3 H), 0,74 (t, J = 7 Hz, 3 H). MS (ESI+) para $C_{11}H_{20}N_2O_5S$ m/z 329,2 $(M + H)^+$.

Metil 3-[(dipropilamino)carbonil]-5-(1-pirrolidinilsulfonil)-benzoato (XXXVIII)

Siguiendo el procedimiento general de la PREPARACIÓN 12 y sin hacer variaciones críticas pero utilizando pirrolidina (0,347 ml, 4,16 en mol), se obtiene el compuesto del título, MS (ESI+) para $C_{19}H_{28}NO_5S$ m/z $(M + H)^+ = 397,1$.

PREPARACIÓN 15

Ácido 3-[(dipropilamina)carbonil]-5-(1-pirrolidinilsulfonil)benzoico (XXXIX)

Siguiendo el procedimiento general de la PREPARACIÓN 13 y sin hacer variaciones críticas se obtiene el compuesto, MS (ESI+) para $C_{18}H_{26}N_2O_5S$ m/z $(M + H)^+ = 383,3$.

PREPARACIÓN 16

Metil 3-[(dipropilamino)carbonil]-5-[(metilamino)-sulfonil]benzoato (XXXVIII)

Siguiendo el procedimiento general de la PREPARACIÓN 12 y sin hacer variaciones críticas pero utilizando metilamina (solución 2 N en THF, 0,692 ml, 1,38 mmoles),

se obtiene el compuesto del título, MS (ESI+) para $C_{16}H_{24}N_2O_5S$ m/z (M + H)+ = 357,1.

PREPARACIÓN 17

Ácido 3-[(dipropilamino)carbonil]-5-[(metilamino)-sulfonil]benzoico (XXXIX)

Siguiendo el procedimiento general de la PREPARACIÓN 13 y sin hacer variaciones críticas, se obtiene el compuesto del título, MS (ESI+) para $C_{15}H_{22}N_2O_5S$ m/z $(M + H)^+$ = 343,1.

PREPARACIÓN 18

Metil 3-[(dipropilamino)sulfonil]-5-[(dipropilamino)-carbonil]benzoato (XXXVIII)

Siguiendo el procedimiento general de la PREPARACIÓN 12 y sin hacer variaciones críticas, pero utilizando trietilamina (solución 2 N) en THF, (0,692 ml, o 1,38 mmoles) se obtiene el compuesto del título, MS (ESI+) para $C_{17}H_{26}N_2O_5S$ m/z $(M + H)^+$ = 271,1.

PREPARACIÓN 19

Ácido 3-[(dimetilamina)sulfonil]-5-[(dipropilamino)carbonil]-benzoico (XXXIX)

Siguiendo el procedimiento general de la PREPARACIÓN 13 y sin hacer variaciones críticas, se obtiene el compuesto del título, MS (ESI+) para $C_{16}H_{24}N_2O_5S$ m/z $(M + H)^+ = 357,1$.

PREPARACIÓN 20

Metil 3-[(dipropilamino)carbonil]-5-etilbenzoato (IX)

Ácido etilborónico (0,800 g, 10,8 mmoles), diclorobis(trifenilfosfina)-paladio (II) (0,252 g, 0,360 mmoles), carbonato de potasio (2,50 g, 18,0 mmoles) y cloaruro de litio (0,151 g, 3,60 mmoles) se agregan a una mezcla de metil 3-bromo-5-[(dipropilamino)carbonil]benzoato (1,23 g, 3,60 mmoles) en DMF seco (20 ml). La mezcla se calienta a 100 grados C durante 18 horas. La mezcla se particiona entonces entre acetato de etilo y agua. Las fases se separan y la fase

de acetato de etilo se lava con solución salina, sobre sulfato de sodio y se concentra. El concentrado es cromatografiado con columna (sílica gel; acetato de etilo/hexanos, 15/85) para dar el compuesto del título, MS (ESI+) para $C_{17}H_{25}NO_3$ m/z $(M + H)^+ = 292.2$

PREPARACIÓN 21

Ácido 3-[(dipropiloamino)carbonil]-5-etilbenzopico(IX)

Monohidrato óxido de litio (0,0680 g, 1,6 mmoles) se agregó a una mezcla de metil 3-[(dipropilamino)carbonil]-5-etilbenzoato (PREPARACIÓN 20, 0,450 g, 1,6 mmoles) en muna mezcla de THF/metanol/agua (2/1/1, 8 ml). La mezcla se agitó a 20-25° C durante tres horas. La mezcla es luego diluida con agua (20 mL) y ácido clorhídrico (1 N) se agregó para traer un pH menor de 3. La mezcla acuosa se extrajo con acetato de etilo. La fase orgánica se preparó y lavó con solución salina, secada sobre sulfato de magnesio anhidroso, filtrado y concentrado para dar el compuesto, MS (ESI+) para $C_{16}H_{23}NO_3$ m/z $(M+H)^+ = 278.2$.

EJEMPLO 1

Tert-butil (1S9-3-bromo-1-(3,5-difluorobenzil)-2-oxopropilcarbamato (III)

N-metil-morfolino (5,83 ml, 53 mmoles, 1,05 equivalentes) se agregó a ácido (2S)-2-[(tert-butoxicarbonil)amino]-3-(3,5-difluorofenil)propanoico (II, 15 g, 50 mmoles) en THF (100 mL) y la reacción se enfrió a -78° C. Se agregó rápidamente cloroformato isobutil (6,87 ml, 53 mmoles, 1,05 equivalentes). El baño frío es luego removido y la mezcla se agitó durante una hora. La reacción se monitoreó mediante TLC para asegurar la terminación de la reacción y luego la mezcla se filtró y lavó con THF seco (50 ml) y se mantuvo frío en un frasco filtrado a -20° C.

En un baño de sal-hielo se colocó un cilindro graduado de 500 ml que contenía éter (200 mL) e hidróxido de potasio acuoso (40%, 60 ml). 1-metil-3-nitro-1-nitrosoguanidina (5,6 g, 106 mmoles, 2,1 equivalentes) se agregó lentamente con agitación y la temperatura se mantuvo bajo 0° C. le mezcla se tornó amarilla y el burbujeo se extendió durante 10 minutos. La agitación se

detuvo y sin mezclar las capas, la capa superior etérea de diazometano se transfirió con una pipeta de punta sin fondo dentro del anhídrido mezclado agitado a -20 ° C. la reacción se monitoreó mediante TLC (acetato de etilo/hexano, 50/50; R_f = 0,96). Después de 1 hora se burbujea nitrógeno dentro de la mezcla. El solvente se removió bajo presión reducida (con calor) y la mezcla se particionó entre éter y agua. Las fases se separaron, la fase orgánica se lavó con bicarbonato, solución salina, secado sobre sulfato de sodio anhidro y el solvente se removió bajo presión reducida (con calor). El residuo se disolvió con éter (100 mL) y se agregó ácido hidrobrómico (48%, 15 mL, 135 mmoles, 2,7 equivalentes) a -20° C, el baño frío se removió y la mezcla se agitó durante otras 0,5 horas. La reacción se monitoreó mediante TLC (acetato de etilo/hexano, 50/50; Rf = 0,88). La mezcla se particionó entre éter y agua, lavada con bicarbonato, solución salina, secado sobre sulfato de sodio anhidro y el solvente removido. El residuo se recristalizó a partir de etanol para dar el compuesto del título, TLC (acetato de etilo/hexano, 50/50) Rf = 0,88; MS (MH+) = 379,3.

EJEMPLO 2

Tert-butil (1S,2S)-3-bromo-1-(3,5-difluorobenzil)-2-hidroxipropilcarbamato (IV)

Borohidruro de sodio (1,32 g, 34,9 mmoles, 1,1 equivalentes) se agregó a tert-butil (1S)-3-bromo-1-(3,5-difluorobenzil)-2-oxopropilcarbamato (III, EJEMPLO 1, 12 g, 31,75 mmoles) se disolvieron en alcohol absoluto (500 mL) a -78° C. la mezcla de reacción se agitó durante 0,5 horas y se monitoreó mediante TLC (acetato de etilo/hexano, 20/80; R_f= 0,2). La mezcla se apagó con agua (10 ml) y el solvente se removió bajo presión reducida con calor (sin exceder 30° C) para secado. El sólido se particionó entre diclorometano y agua, lavado con solución salina, secado sobre sulfato de sodio anhidro. El solvente se removió bajo presión reducida para dar el compuesto del título, TLC (acetato de etilo/hexano, 20/80) R_f = 0,2; MS (MH^+) = 381,2.

EJEMPLO 3

Tert-butil (1S)-2-(3,5-difluorofenil)-1-[(2S)-oxiranil]etilcarbamato (V)

Tert-butil (1S,2R)-3-bromo-1-(3,5-difluorobenzil)-2-hidroxipropilcarbamato (IV, EJEMPLO 2) se disolvió en alcohol absoluto (150 mL) acetato de etilo (100 mL) e hidróxido de potasio (2,3 g, 34,9 mmoles, se agregó 1,1 equivalentes) en etil alcohol (85%, 5 mL)a -20° C. el baño frío es entonces removido y la mezcla agitada durante 0,5 horas. La reacción se monitoreó mediante TLC (acetato de etilo/hexano, 2/80). Cuando la reacción se completa, esta se diluye con diclorometano y se extrae, se lava con agua, solución salina, secada sobre sulfato de sodio anhidro y el solvente se remueve bajo presión reducida. el material crudo se purifica mediante cromatografía de destello sobre sílica gel para dar el compuesto del título, TLC (acetato de etilo/hexano, 2/80) R_f = 0,3; MS (MH+)= 300,4.

EJEMPLO 4

Tert-butil (1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propilcarbamato (VII)

Tert-butil (1S)-2-(3,5-difluorofenil)-1-[(2S)-oxiranil]etilcarbamato (V, EJEMPLO 3, 245 mg, 0,82

mmoles) se suspendió en alcohol isopropil (6 mL) y se agregó 3-metoxibenzilamina (160 microL, 1,22 mmoles) con agitación a 20-25 grados C. Esta mezcla se calentó suave hasta reflujo (temperatura de baño 85 grados C) bajo nitrógeno durante dos horas, después de lo cual la mezcla resultante se concentró bajo presión reducida para dar el compuesto del título. El compuesto del título se purificó mediante cromatografía de destello (metanol 2-5%/cloruro de metileno; gradiente de elusión) para dar el compuesto del título purificado.

EJEMPLO 5

Trifluoroacetato (2R,3S)-3-amino-4-(3,5-difluorofenil)-1-[(3-metoxibenzil)amino]-2-butanol (VIII)

Tert-butil (1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propilcarbamato (VII, EJEMPLO 4, 258 mg, 0,59 mmoles) se disolvió en cloruro de metileno (1 ml) a 20-25 grados C, y se agregó ácido trifluoroacético (1 mL) con agitación bajo nitrógeno. La mezcla de reacción se agitó a 20-25 grados C durante una hora, después de lo cual la mezcla de reacción se concentró bajo presión reducida para dar el compuesto del

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título. El compuesto del título se usó en la siguiente reacción sin purificación adicional.

EJEMPLO 6

Sal trifluoroacetato de N^1[(1S,2R)-1-(3,5-difluorofenil)-1-[(3-metoxibenzil)amino]-2-butanol (VII, EJEMPLO 5) se disolvió en DMF anhidro (3 mL) y reposada a 0° C. trietilamina (500 microlitros, 3,6 moles) y se agregó ácido 5-metil-N,N-dipropilisoftalamico (156 mg, 0,59 mmoles) se agregaron con agitación. La mezcla se calentó a 20-25° C brevemente para permitir la disolución completa del ácido carboxílico, antes de refrescar a 0° C. Se agregó 1-hidroxibenzotriazol (157 mg, 1,2 mmoles) con agitación, seguido mediante clorhidrato 1-(3-dimetilaminopropil)-3-etilcarbodiimida (229 mg, 1,2 mmoles) la mezcla resultante se agitó a 0 grados C durante cinco minutos, luego calentada a 20-25 grados C durante 15 horas. La mezcla de reacción se entonces apagada con (10%) ácido cítrico acuoso, y la mezcla extraída tres veces con acetato de etilo. Los extractos orgánicos combinados se lavaron con bicarbonato de sodio saturado, solución salina, secado sobre sulfato de sodio, filtrado y concentrado bajo presión reducida para dar el

compuesto del título en forma cruda. Este material se purificó mediante cromatografía de destello (metanol 2-10%/gradiente de elusión de cloruro de metileno) para dar el compuesto del título purificado, MS (ES) MH+ = 582.3.

EJEMPLOS 7-9

Siguiendo el procedimiento general del EJEMPLO 1 y realizando variaciones no críticas pero iniciando con el grupo protector de la columna A y usando el ácido de la columna B, se obtiene el compuesto protegido (III) de la columna C:

EJEMPLO	Columna A	Columna B	Columna C
7	BOC	Clorhidrato	Tert-butil(1S)-3-cloro-1-(3,5-difluorobenzil)-2-oxopropilcarbamato
8	CBZ	Clorhidrato	benzil(1S,2S)-3-bromo-1-(3,5-difluorobenzil)-2-oxopropilcarbamato
9	CBZ	Clorhidrato	benzil(1S,2S)-3-cloro-1-(3,5-difluorobenzil)-2-oxopropilcarbamato

EJEMPLOS 10-12

Siguiendo el procedimiento general del EJEMPLO 2 y realizando variaciones no críticas pero empezando con el compuesto protegido (III) de la columna A, el alcohol (IV) de la columna B se obtiene:

EJEMPLO	Columna A	Columna B
10	7	Tert-butil(1S,2S)-3-cloro-1-(3,5-difluorobenzil)-2-hidroxipropilcarbamato
11	8	benzil(1S,2S)-3-bromo-1-(3,5-difluorobenzil)-2-hidroxipropilcarbamato
12	9	benzil(1S,2S)-3-cloro-1-(3,5-difluorobenzil)-2-hidroxipropilcarbamato

EJEMPLO 13 Benzil(1)-2-(3,5-difluorofenil)-1-[(2S)-oxiranil]etilcarbamato (V)

Siguiendo el procedimiento general del EJEMPLO 3 y realizando variaciones no críticas pero empezando con el

alcohol (IV) del EJEMPLO 12, el titulo del compuesto se obtiene se obtiene.

EJEMPLOS 14-107

Siguiendo el procedimiento general del EJEMPLO 4 y realizando variaciones no críticas pero reaccionando tert-butil (1S,2S)-1-(2-oxiranil)-2-feniletilcarbamato (V, disponible comercialmente) con la amina C-terminal (VI) de la columna A, el alcohol protegido (VII) de la columna B se obtiene.

Ejemplo	Columna A Amina C-terminal (VI)	Columna B Alcohol protegido (VII)
14	$H_2N-CH_2CH_3$	Tert-butil(1s,2R)-1-benzil-3-(etilamino)-2-hidroxipropilcarbamato
15	H_2N-CH_2-fenil	Tert-butil(1s,2R)-1-benzil-3-(benzilamino)-2-hidroxipropilcarbamato
16	$H_2N-CH(CH_3)_2$	Tert-butil(1s,2R)-1-benzil-3-(isopropilamino)-2-hidroxipropilcarbamato
17	H_2N-CH_2-fenil-4-CH_3	Tert-butil(1s,2R)-1-benzil-2-hidroxi-3-[(4-metilbenzil)amino]propilcar

		bamato
18	$H_2N-(CH_2)_2$-fenil-4-OCH_3	Tert-butil(1S,2R)-1-benzil-2-hidroxi-3-{[2-(4-metoxifenil)etil]amino}propilcarbamato
19	H_2N-CH_2-fenil-3-OCH_3	Tert-butil(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propilcarbamato
20	H_2N-CH(-fenil)-CO-OC_2H_5	Etil({(2R,3S)-3-[(tert-butoxicarbonil)amino]-2-hidroxi-4-fenilbutil}amino)(fenil)acetato
21	$H_2N-(CH_2)_2$-fenil	Tert-butil(1S,2R)-1-benzil-2-hidroxi-3-[(2-feniletil)amino]propilcarbamato
22	H_2N-CH(-CH_2OH)-CH(OH)fenil-4-NO_2	Tert-butil(1S,2R)-1-benzil-2-hidroxi-3-{[(1S)-2-hidroxi-1-(hidroximetil)-2-(4-nitrofenil)etil]amino}propilcarbamato
23	H_2N-CH_2-fenil-2-Cl	Tert-butil(1S,2R)-1-benzil-3-[(2-clorobenzil)amino]-2-hidroxipropilcarbamato
24	H_2N-CH_2-fenil-4-Cl	Tert-butil(1S,2R)-1-benzil-3-[(4-clorobenzil)amino]-2-hidroxipropilcarbamato

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25	$H_2N-(CH_2)_2-O--(CH_2)_2-OH$	Tert-butil(1S,2R)-1-benzil-2-hidroxi-3-{[2-(2-hidroxietoxi)etil]amino}propilcarbamato
26	H_2N-1-indanilo	Tert-butil(1S,2R)-1-benzil-3-(2,3-dihidro-1H-inden-1-ilamino)-2-hidroxipropilcarbamato
27	$H_2N-CH_2-CH(OH)-CH_3$	Tert-butil(1S,2R)-1-benzil-2-hidroxi-3-[(2-(2-hidroxipropil)amino]propilcarbamato
28	H_2N-CH_2- tetrahidrofuranil	Tert-butil(1S,2R)-1-benzil-2-hidroxi-3-[(tetrahidro-2-furanilmetil)amino]propilcarbamato
29	$H_2N-CH_2-CH(-OCH_2CH_3)$	Tert-butil(1S,2R)-1-benzil-3-(2,2-dietoxietil)amino]-2-hidroxipropilcarbamato
30	$H_2N-(CH_2)_4-CH_3$	Tert-butil(1S,2R)-1-benzil-2-hidroxi-3-(pentilamino)propilcarbamato
31	H_2N-ciclohexil	Tert-butil(1S,2R)-1-benzil-3-(ciclohexilamino)-2-hidroxipropilcarbamato
32	H_2N-CH_2-piridin-2-il	Tert-butil(1S,2R)-1-benzil-2-hidroxi-3-[(2-piridinilmetil)amino]propil carbamato
	H_2N-CH_2-fenil-2-NH_2	Tert-butil(1S,2R)-3-[(2-

33		aminobenzil)amino]-1-benzil-2-hidroxipropilcarbamato
34	H_2N-CH_2-piridin-3-il	Tert-butil(1S,2R)-1-benzil-2-hidroxi-3-[(3-piridinilmetil)amino]propil carbamato
35	H_2N-$(CH_2)_2$-pirrolidin-1-il	Tert-butil(1S,2R)-1-benzil-2-hidroxi-3-{[2-(1-pirrolidinil)etil]amino}propilcarbamato
36	H_2N-CH_2-CH(OH)-fenil	Tert-butil(1S,2R)-1-benzil-2-hidroxi-3-[(2-hidroxi-2-feniletil)amino]propilcarbamato
37	H_2N-$(CH_2)_3$-O-$(CH_2)_3$-CH_3	Tert-butil(1S,2R)-1-benzil--3-[(3-butoxipropil)amino]-2-hidroxipropilcarbamato
38	H_2N-$(CH_2)_3$-O-CH-$(CH_3)_2$	Tert-butil(1S,2R)-1-benzil--2-hidroxi-3-[(3-isopropoxipropil)amino]propilcarbamato
39	H_2N-$(CH_2)_2$-CH-$(CH_3)_2$	Tert-butil(1S,2R)-1-benzil--2-hidroxi-3-(3-isopentilamino)propilcarbamato
40	H_2N-$(CH_2)_3$-fenil	Tert-butil(1S,2R)-1-benzil--2-hidroxi-3-[(3-fenilpropil)amino]propilcarbamato

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41	$H_2N-(CH_2)_2-OCH_3$	Tert-butil(1S,2R)-1-benzil--2-hidroxi-3-[(2-metoxietil)amino]propilcarbamato
42	$H_2N-(CH_2)_2-O-$fenil	Tert-butil(1S,2R)-1-benzil--2-hidroxi-3-[(2-fenoxietil)amino]propilcarbamato
43	$H_2N-(CH_2)_2-O-(CH_3)_2-CH_3$	Tert-butil(1S,2R)-1-benzil--2-hidroxi-3-[(2-propoxietil)amino]propilcarbamato
44	$H_2N-(CH_2)_2-C(CH_3)_3$	Tert-butil(1S,2R)-1-benzil--3-[(3,3-dimetilbutil)amino]-2-hidroxipropilcarbamato
45	$H_2N-(CH_2)_4-$fenil	Tert-butil(1S,2R)-1-benzil--2-hidroxi-3-[(4-fenilbutil)amino]propilcarbamato
46	H_2N-CH_2-fenil-3-I	Tert-butil(1S,2R)-1-benzil--2-hidroxi-3-[(3-yodobenzil)amino]propilcarbamato
47	H_2N-CH_2-fenil-4-NO_2	Tert-butil(1S,2R)-1-benzil--2-hidroxi-3-[(4-nitrobenzil)amino]propilcarbamato
48	H_2N-CH_2-fenil-3-Cl	Tert-butil(1S,2R)-1-benzil--3-[(3-clorobenzil)amino]-

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		2-hidroxipropilcarbamato
49	$H_2N-(CH_2)_2$-fenil-4-Cl	Tert-butil(1S,2R)-1-benzil--3-{[2-(clorofenil)etil]amino}-2-hidroxipropilcarbamato
50	$H_2N-(CH_2)_2$-piridin-2-il	Tert-butil(1S,2R)-1-benzil-2-hidroxi-3-{[2-(2-piridinil)etil]amino}propil carbamato
51	H_2N-CH_2-piridin-4-il	Tert-butil(1S,2R)-1-benzil-2-hidroxi-3-[(4-piridinilmetil)amino]propil carbamato
52	$H_2N-(CH_2)_2$-(N-metilpirrolidin-4-il	Tert-butil(1S,2R)-1-benzil-2-hidroxi-3-{[2-(1-metil-2-pirrolidinil)etil]amino}propilcarbamato
53	H_2N-CH_2-fenil-2,3-dimetil	Tert-butil(1S,2R)-1-benzil-3-[(2,3-dimetilbenzil)amino]-2-hidroxipropilcarbamato
54	H_2N-CH_2-fenil-2-OCF_3	Tert-butil(1S,2R)-1-benzil-2-hidroxi-3-{[2-(trifluorometoxi)benzil]amino}propilcarbamato
55	H_2N-CH_2-fenil-2-Cl-6-O-fenil	Tert-butil(1S,2R)-1-benzil-3-[(2-cloro-6-fenoxibenzil)amino]-2-hidroxipropilcarbamato
	H_2N-CH_2-fenil-4-CF_3	Tert-butil(1S,2R)-1-benzil-

56		2-hidroxi-3-{[4-(trifluorometil)benzil]amino}propilcarbamato
57	H_2N-CH_2-fenil-2,3-dicloro	Tert-butil(1S,2R)-1-benzil-3-[(3,5-diclorobenzil)amino]-2-hidroxipropilcarbamato
58	H_2N-CH_2-fenil-3,5-dicloro	Tert-butil(1S,2R)-1-benzil-3-[(3,5-difluorobenzil)amino]-2-hidroxipropilcarbamato
59	H_2N-CH_2-fenil-3,5-difluoro	Tert-butil(1S,2R)-1-benzil-3-[(3,5-difluorobenzil)amino]-2-hidroxipropilcarbamato
60	H_2N-CH_2-fenil-4-OCF_3	Tert-butil(1S,2R)-1-benzil-2-hidroxi-3-{[4-(trifluorometoxi)benzil]amino}propilcarbamato
61	H_2N-$(CH_2)_2$-fenil-4-SO_2-NH_2	Tert-butil(1S,2R)-3-{[4-(aminosulfonil)benzil]amino}-1-benzil-2-hidroxipropilcarbamato
62	H_2N-CH_2-fenil-4-OCH_3	Tert-butil(1S,2R)-1-benzil-2-hidroxi-3-[(4-(metoxibenzil)amino]propilcarbamato
63	H_2N-CH_2-fenil-4-CH_3	Tert-butil(1S,2R)-1-benzil-2-hidroxi-3-[(4-(metilbenzil)amino]propilca

		rbamato
64	H_2N-CH_2-Ph-(3,4,5-trimetoxi)	Tert-butil(1S,2R)-1-benzil-2-hidroxi-3-[(3,4,5-(trimetoxibenzil)amino]propilcarbamato
65	H_2N-CH_2-fenil-3-OCF_3	Tert-butil(1S,2R)-1-benzil-2-hidroxi-3-{[3-(trifluorometoxi)benzil]amino}propilcarbamato
66	H_2N-CH_2-fenil-3,5-dimetoxi	Tert-butil(1S,2R)-1-benzil-3-[(3,5-dimetoxibenzil)amino]-2-hidroxipropilcarbamato
67	H_2N-CH_2-fenil-2,4-dimetoxi	Tert-butil(1S,2R)-1-benzil-3-[(2,4-dimetoxibenzil)amino]-2-hidroxipropilcarbamato
68	H_2N-CH_2-fenil-fenil	Tert-butil(1S,2R)-1-benzil-3-[([1,1'-bifenil]-3-ilmetil)amino]-2-hidroxipropilcarbamato
69	H_2N-CH_2-fenil-3,4-dicloro	Tert-butil(1S,2R)-1-benzil-3-[(3,4-diclorobenzil)amino]-2-hidroxipropilcarbamato
70	H_2N-CH_2-fenil-4-F	Tert-butil(1S,2R)-1-benzil-3-[(4-fluorobenzil)amino]-2-hidroxipropilcarbamato
71	H_2N-CH_2-fenil-3-CF_3	Tert-butil(1S,2R)-1-benzil-2-hidroxi-3-{[3-

		trifluorometil)benzil]amino }propilcarbamato
72	H_2N-CH_2-fenil-2-CH_3	Tert-butil(1S,2R)-1-benzil-2-hidroxi-3-[(2-metilbenzil)amino]propilcarbamato
73	$H_2N-CH-((R)-CH_3)$-fenil	Tert-butil(1S,2R)-1-benzil-2-hidroxi-3-{[(1R)-1-feniletil]amino}propilcarbamato
74	$H_2N-CH-((S)-CH_3)$-fenil	Tert-butil(1S,2R)-1-benzil-2-hidroxi-3-{[(1S)-1-feniletil]amino}propilcarbamato
75	H_2N-CH_2-fenil-3,5-(bis)trifluorometil	Tert-butil(1S,2R)-1-benzil-3-{[3,5-bis(trifluorometil)benzil]amino}-2-hidroxipropilcarbamato
76	H_2N-CH_2-fenil-2-CF_3	Tert-butil(1S,2R)-1-benzil-2-hidroxi-3-{[2-(trifluorometil)benzil]amino}propilcarbamato
77	$H_2N-CH((S)-CH_3)$-(naft-1-il)	Tert-butil(1S,2R)-1-benzil-2-hidroxi-3-{[(1S)-1-(1-naftil)etil]amino}propilcarbamato
78	$-NH_2-CH((R)-CH_3)$-(naft-1-il)	Tert-butil(1S,2R)-1-benzil-2-hidroxi-3-{[(1R)-1-(1-naftil)etil]amino}propilcar

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		bamato
79	H_2N-CH_2-fenil-3-OCH_3-4-OH	Tert-butil(1S,2R)-1-benzil-2-hidroxi-3-[(4-hidroxi-3-metoxibenzil)amino]propilcarbamato
80	H_2N-CH_2-fenil-3,4-dihidroxi	Tert-butil(1S,2R)-1-benzil-3-[(3,4-dihidroxibenzil)amino]-2-hidroxipropilcarbamato
81	$H_2N-(CH_2)_3-OCH_3$	Tert-butil(1S,2R)-1-benzil-2-hidroxi-3-[(3-hidroxi-3-metoxibenzil)amino]propilcarbamato
82	$H_2N-CH((S)-CH_3)-CH_2-OH$	Tert-butil(1S,2R)-1-benzil-2-hidroxi-3-{[(1S)-2-hidroxi-1-metiletil]amino}propilcarbamato
83	$H_2N-CH((R)-CH_3)-CH_2-OH$	Tert-butil(1S,2R)-1-benzil-2-hidroxi-3-{[(1R)-2-hidroxi-1-metiletil]amino}propilcarbamato
84	$H_2N-CH_2-C{\equiv}OH$	Tert-butil(1S,2R)-1-benzil-2-hidroxi-3-(2-propilamino)propilcarbamato
85	$H_2N-(CH_2)_2$-fenil-2-F	Tert-butil(1S,2R)-1-benzil-3-{[2-(2-fluorofenil)etil]-amino}-2-hidroxipropilcarbamato

86	$H_2N-(CH_2)_2$-fenil-3-F	Tert-butil(1S,2R)-1-benzil-3-{[2-(3-fluorofenil)etil]-amino}-2-hidroxipropilcarbamato
87	$H_2N-(CH_2)_2$-fenil-4-F	Tert-butil(1S,2R)-1-benzil-3-{[2-(4-fluorofenil)etil]-amino}-2-hidroxipropilcarbamato
88	$H_2N-(CH_2)_2$-fenil-4-Br	Tert-butil(1S,2R)-1-benzil-3-{[2-(4-bromofenil)etil]-amino}-2-hidroxipropilcarbamato
89	$H_2N-(CH_2)_2$-fenil-3-OCH_3	Tert-butil(1S,2R)-1-benzil-2-hidroxi-3-{[2-(3-metoxifenil)etil]amino}propilcarbamato
90	$H_2N-(CH_2)_2$-fenil-2,4-dicloro	Tert-butil(1S,2R)-1-benzil-3-{[2-(2,4-diclorofenil)etil]amino}-2-hidroxipropilcarbamato
91	$H_2N-(CH_2)_2$-fenil-3-Cl	Tert-butil(1S,2R)-1-benzil-3-{[2-(3-cloroofenil)etil]amino}-2-hidroxipropilcarbamato
92	$H_2N-(CH_2)_2$-fenil-2,5-dimetoxi	Tert-butil(1S,2R)-1-benzil-3-{[2-(2,5-dimetoxifenil)etil]amino}-2-hidroxipropilcarbamato
93	$H_2N-(CH_2)_2$-fenil-4-CH_3	Tert-butil(1S,2R)-1-benzil-2-hidroxi-3-{[2-(4-

		metilfenil)etil]amino}propilcarbamato
94	H_2N-CH(-(R)CH_2-OH)-CH_2-fenil	Tert-butil(1S,2R)-1-benzil-3-{[(1R)-1-benzil-2-hidroxietil]amino}-2-hidroxipropilcarbamato
95	H_2N-$(CH_2)_3$-(1-morfolinil)	Tert-butil(1S,2R)-1-benzil-2-hidroxi-3-{[3-(4-morfolinil)propil]amino}propilcarbamato
96	H_2N-CH_2-C$(CH_3)_2$	Tert-butil(1S,2R)-1-benzil-3-[3,3-dimetilbutil)amino]-2-hidroxipropilcarbamato
97	H_2N-$(CH_2)_2$-(1-morfolinil)	Tert-butil(1S,2R)-1-benzil-2-hidroxi-3-{[2-(4-morfolinil)etil]amino}propilcarbamato
98	H_2N-CH(OH)-CH_2-CH_3	Tert-butil(1S,2R)-1-benzil-2-hidroxi-3-[(1-hidroxipropil)amino]propilcarbamato
99	H_2N-$(CH_2)_2$-(tien-2-il)	Tert-butil(1S,2R)-1-benzil-2-hidroxi-3-[(2-tienilmetil)amino]propilcarbamato
100	H_2N-$(CH_2)_4$-OH	Tert-butil(1S,2R)-1-benzil-2-hidroxi-3-[(4-hidroxibutil)amino]propilcarbamato
	H_2N-CH(-(S)-CH_2-OH)-	Tert-butil(1S,2R)-1-benzil-

101	fenil	2-hidroxi-3-{[(1S)-2-hidroxi-1-feniletil]amino}propilcarbamato
102	H_2N-CH_2-fenil-2,4-dicloro	Tert-butil(1S,2R)-1-benzil-3-[(2,4-diclorobenzil)amino]-2-hidroxipropilcarbamato
103	$H_2N-CH(-(R)CH_2-OH)$-fenil	Tert-butil(1S,2R)-1-benzil-2-hidroxi-3-{[(1R)-2-hidroxi-1-feniletil]amino}propilcarbamato
104	H_2N-CH_2-fenil-4-$C(CH_3)_3$	Tert-butil(1S,2R)-1-benzil-3-[(4-tert-butilbenzil)amino]-2-hidroxipropilcarbamato
105	$H_2N-CH(CH_3)$-fenil	Tert-butil(1S,2R)-1-benzil-2-hidroxi-3-[(1-feniletil)amino]propilcarbamato
106	H_2N-(1R,2S)-2-hidroxiinden-1-il	Tert-butil(1S,2R)-1-benzil-2-hidroxi-3-{[(1R,2S)-2-hidroxi-2,3-dihidro-1H-inden-1-il]amino}propilcarbamato
107	H_2N-CH_2-fenil-3,4-dimetil	Tert-butil(1S,2R)-1-benzil-3-[(3,4-dimetilbenzil)amino]-2-hidroxipropilcarbamato

EJEMPLOS 108-164

Siguiendo el procedimiento general del EJEMPLO 4 y realizando variaciones no críticas pero reaccionando tert-butil (1S)-2-(3,5-difluorofenil)-1-[(2S)-axiranil]etilcarbamato (V, EJEMPLO 3) con la amina C-terminal (VI) de la columna A, el alcohol protegido (VII) de la columna B se obtiene.

EJEMPLO	Columna A Amina C-terminal (VI)	Columna B Alcohol protegido (VII)
108	$H_2N-(CH_2)_6-CO-O-CH_3$	Metil 7-{[(2R,3S)-3-[(tert-butoxicarbonil)amino]-4-(3,5-difluorofenil)-2-hidroxibutil]amino}heptanoato
109	$H_2N-CH(-CH_3)-CO-NH-CH_2-CH(CH_3)_2$ r/s	Tert-butil(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[2-(isobutilamino)-1-metil-2-oxoetil]amino}propilcarbamato
110	$H_2N-CH((S)-CH_3)-CO-NH-CH_2-CH(CH_3)_2$	Tert-butil(1S,2R)-1-(3,5-difluorobenzil)-2-

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		hidroxi-3-{[(1S)-2-(isobutilamino)-1-metil-2-oxoetil]amino}propilcarbamato
111	$H_2N-C(-CH_3)_2-CO-NH-CH_2-CH(CH_3)_2$	Tert-butil(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[2-(isobutilamino)-1,1-dimetil-2-oxoetil]amino}propilcarbamato
112	$H_2N-CH_2-CO-NH-CH_2-CH(CH_3)_2$	Tert-butil(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[2-(isobutilamino)-2-oxoetil]amino}propilcarbamato
113	$H_2N-CH((S)-CH_2-CH_3)-CO-NH-CH_2-CH(CH_3)_2$	Tert-butil(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-({(1S)-1-[(isobutilamino)carbonil]propil}amino)propilcarbamato
114	$H_2N-CH((R)-CH_2-CH_3)-CO-NH-CH_2-CH(CH_3)_2$	Tert-butil(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-({(1R)-1-[(isobutilamino)carbonil]propil}amino)propilcarbamato
	H_2N-CH_2-fenil	Tert-butil(1S,2R)-3-

115		(benzilamino)-1-(3,5-difluorobenzil)-2-hidroxipropilcarbamato
116	$H_2N-CH_2-CH_3$	Tert-butil(1S,2R)-1-(3,5-difluorobenzil)-3-(etilamino)-2-hidroxipropilcarbamato
117	$H_2N-CH_2-CH(CH_3)_2$	Tert-butil(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-(isobutilamino)propilcarbamato
118	$H_2N-CH_2-CH(CH_3)-CONH-CH_2-CH(CH_3)_2$	Tert-butil(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[3-(isobutilamino)-2-metil-3-oxopropil]amino}propilcarbamato
119	H_2N-CH_2-fenil-4-$N(CH_3)_2$	Tert-butil(1S,2R)-1-(3,5-difluorobenzil)-3-{[4-(dimetilamino)benzil]amino}-2-hidroxipropilcarbamato
120	$H_2N-CH((S)-CH_2$-fenil$)-CO-NH-CH_2-CH(CH_3)_2$	Tert-butil(1S,2R)-3-{[(1S)-1-(3,5-difluorobenzil)-2-(isobutilamino)-2-oxoetil]amino}-1-(3,5-difluorobenzil)-2-

		hidroxipropilcarbamato
121	H_2N-CH((S)-CH(CH_3)$_2$-CO-NH-CH_2-CH(CH_3)$_2$	Tert-butil(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-({(1S)-1-[(isobutilamino)carbonil]-3-metilbutil}amino)propilcarbamato
122	H_2N-CH_2-CH_2)-N(CH_3)$_2$	Tert-butil(1S,2R)-1-(3,5-difluorobenzil)-3-{[2-(dimetilamino)etil]amino}-2-hidroxipropilcarbamato
123	H_2N-CH_2-(piridin-3-il)	Tert-butil(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-piridinilmetil)amino]propilcarbamato
124	H_2N-CH((S)-CH_2-O-CH_2-fenil)-CO-NH-CH_2-CH(CH_3)$_2$	Tert-butil(1S,2R)-3-{[(1S)-1-[(benziloxi)metil]-2-(isobutilamino)-2-oxoetil]amino}-1-(3,5-difluorobenzil)-2-hidroxipropilcarbamato
125	H_2N-C(-CH_3)$_2$-fenil	Tert-butil(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(1-metil-1-feniletil)amino]propilcarbamato

126	H_2N-CH((R)-CH-$(CH_3)_2$-CO-NH-CH_2-CH$(CH_3)_2$	Tert-butil(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-({(1R)-1-[(isobutilamino)carbonil]-3-metilbutil}amino)propilcarbamato
127	H_2N-CH((S)-CH_2-CH_2-CH_3)-CO-NH-CH_2-	Tert-butil(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-({(1S)-1-[(isobutilamino)carbonil]butil}amino)propilcarbamato
128	H_2N-CH((S)-CH_2-OH)-CO-NH-CH_2-CH$(CH_3)_2$	Tert-butil(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(1S)-1-hidroximetil)-2-(isobutilamino)-2-oxoetil]amino}propilcarbamato
129	H_2N-CH_2-CH_2-fenil	Tert-butil(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(2-feniletil)amino]propilcarbamato
130	H_2N-CH((S)-CH_3)-CO-NH-CH_2-fenil	Tert-butil(1S,2R)-3-{[2-(benzilamino)-1-metil-2-oxoetil]amino}-1-(3,5-difluorobenzil)-2-hidroxipropilcarbamato
	H_2N-CH((S)-CH_2-CH_3)-	Tert-butil(1S,2R)-1-

131	fenil	(3,5-difluorobenzil)-3-{[(1S)-2-(benzilamino)-1-metil-2-hidroxipropilcarbamato
132	H_2N-CH_2-fenil-3-OCH_3	Tert-butil(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propilcarbamato
133	H_2N-CH((S)-fenil)CO-$NHCH_2CH(CH_3)_2$	Tert-butil(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(1S)-2-(isobutilamino)-2-oxo-1-feniletil]amino}propilcarbamato
134	$H_2N-CH_2-CH_2-CH(CH_3)_2$	Tert-butil(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-(isopentilamino)propilcarbamato
135	H_2N-ciclohexil	Tert-butil(1S,2R)-1-(3,5-difluorobenzil)-3-(ciclohexilamina)-2-hidroxipropilcarbamato
136	$H_2N-(CH_2)_3-CH_3$	Tert-butil(1S,2R)-1-(3,5-difluorobenzil)-3-(butilamino)-2-hidroxipropilcarbamato
137	$H_2N-(CH_2)_3-O-CH_3$	Tert-butil(1S,2R)-1-(3,5-difluorobenzil)-2-

		hidroxi-3-[(3-metoxipropil)amino]propilcarbamato
138	H_2N-CH_2-CH(O)-fenil	Tert-butil(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(2-hidroxi-2-feniletil)amino]propilcarbamato
139	H_2N-ciclohexil-3,5-dimetoxi	Tert-butil(1S,2R)-1-(3,5-difluorobenzil)-3-{[(3R,5S)-3,5-dimetoxiciclohexil]amino}-2-hidroxipropilcarbamato
140	H_2N-ciclohexil-3,5-di-(-CO-OCH_3)	dimetil (1R,3S)-5-({(2R,3S)-3-[(tert-butoxicarbonil)amino]-2-hidroxi-4-fenilbutil}amino)-1,3-ciclohexanodicarboxilato
141	H_2N-ciclohexil-3,5-di-(-COOH)	Ácido (1R,3S)-5-({(2R,3S)-3-[(tert-butoxicarbonil)amino]-2-hidroxi-4-fenilbutil}amino)-1,3-ciclohexanodicarboxilico
142	H_2N-CH((R)-CH_2-CH_3)-fenil	Tert-butil(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(1R)-1-fenilpropil]amino}propilcarbamato

143	H_2N-CH_2-fenil-3-Cl	Tert-butil(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-clorobenzil)amino]-2-hidroxipropilcarbamato
144	H_2N-CH2-fenil-3-OCH_3	Tert-butil(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propilcarbamato
145	H_2N-CH_2-fenil-fenil	Tert-butil(1S,2R)-1-(3,5-difluorobenzil)-3-[([1,1'-bifenil]-3-ilmetil)amino]-2-hidroxipropilcarbamato
146	H_2N-CH_2-fenil-3-I	Tert-butil(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propilcarbamato
147	H_2N-CH_2-fenil-3-CH_3	Tert-butil(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metilbenzil)amino]propil carbamato
148	$H_2N-CH_2-CH(-CH_3)$-fenil	Tert-butil(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(2-fenilpropil)amino]propil carbamato
	H_2N-CH_2-(tiazol-5-il)	Tert-butil(1S,2R)-1-

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149		(3,5-difluorobenzil)-2-hidroxi-3-[(1,3-tiazol-5-)ilmetil)amino]propilcarbamato
150	H_2N-CH_2-(tien-2-il)	Tert-butil(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(2-tienilmetil)amino]propil carbamato
151	H_2N-4-metoxitetralin-1-il	Tert-butil(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(5-metoxi-1,2,3,4-tetrahidro-1-naftalenilo)amino]propil carbamato
152	H_2N-CH_2-pirazin-2-il)	Tert-butil(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(2-pirazinilmetil)amino]propilcarbamato
153	H_2N-CH_2-fenil-3,5-difluoro	Tert-butil(1S,2R)-1-(3,5-difluorobenzil)-3-[(3,5-difluorobenzil)amino]-2-hidroxipropilcarbamato
154	H_2N-CH_2-fenil-3,4-metilenedioxi	Tert-butil(1S,2R)-3-[(1,3-benzodioxol-5-ilmetil)amino]-1-(3,5-difluorobenzil)-2-hidroxipropilcarbamato

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155	H_2N-CH_2-fenil-3,5-dimetoxi	Tert-butil(1S,2R)-1-(3,5-difluorobenzil)-3-[(3,5-dimetoxibenzil)amino]-2-hidroxipropilcarbamato
156	H_2N-CH_2-fenil-3-CF_3	Tert-butil(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[3-trifluorometil)benzil]amino}propilcarbamato
157	H_2N-CH_2-(furan-2-il)	Tert-butil(1S,2R)-1-(3,5-difluorobenzil)-3-[(2-furilmetil)amino]-2-hidroxipropilcarbamato
158	H_2N-(7-metoxitetralin-1-il)	Tert-butil(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(7-metoxi-1,2,3,4-tetrahidro-1-naftalenilo)amino]propil carbamato
159	H_2N-CH_2-fenil-3-O-CF_3	Tert-butil(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[3-trifluorometoxi)benzil]-amino}propilcarbamato
160	H_2N-CH_2-fenil-3-F	Tert-butil(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-fluorobenzil)amino]-2-hidroxipropilcarbamato
	H_2N-CH_2-fenil-3-O-	Tert-butil(1S,2R)-1-

161	$CH(CH_3)_2$	(3,5-difluorobenzil)-2-hidroxi-3-[(3-isopropoxibenzil)amino]propilcarbamato
162	H_2N-CH_2-fenil-3-Br	Tert-butil(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-bromobenzil)amino]-2-hidroxipropilcarbamato
163	H_2N-CH_2-(5-metilfuran-2-il)	Tert-butil(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(5-metil-2-furil)metil]amino}propil carbamato
164	H_2N-(5-metoxitetralin-2-il)	Tert-butil(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(5-metoxi-1,2,3,4-tetrahidro-1-naftalenilo)amino]propil carbamato

Ejemplo 165

Tert-butil-(1S,2R)-3-azido-1-(3,5-difluorobenzil)-2-hidroxipropilcarbamato (XII)

Cloruro de sodio azido (0,22 g, 4 mmol) y amonio (2 ec) se agregaron a tert-butil (1S)-2-(3,5-difluorofenil)-1-[2S)-oxiranil]etilcarbamato (V, EJEMPLO 3, 0,6 g, 2

mmol). La reacción se calentó a 75-80 grados C y agitada durante 16 horas. La reacción se monitoreó mediante TLC para asegurar la terminación. El solvente se removió bajo presión reducida. El concentrado se particionó entre etil acetato y agua, las fases se separaron y la fase orgánica se lavó con bicarbonato y salina, secado sobre sulfato de sodio anhidroso y concentrado para dar el compuesto del título, TLC (etil acetato/hexano) R_f=0,45; MS (MH^+)=343.

Ejemplo 166

(2R,3S)-3-amino-1-azido-4-(3,5-difluorofenil)-2-butanol (XIV)

tert-butil-(1S,2R)-3-azido-1-(3,5difluorobenzil)-2-hidroxipropilcarbamato (XII, EJEMPLO 165, 0,48 g, 1,41 mmol) se disolvió en diclorometano (20 ml) al cual se agregó ácido trifluoroacético (5 ml). La reacción se agitó a 20-25 grados C durante 16 horas y el solvbente se removió bajo presión reducida con calor. Se agregó etilacetato dos veces y evaporado dos veces para dar el compuesto titulado como la sal de ácido trifluoroacético

que se usa en la siguiente reacción sin purificación adicional; MS (MH^+)=242.

EJEMPLO 167

N1-[(1S,2R)-3-azido-1-(3,5-difluorobenzil)-2-hidroxipropil]5-metil-N^3,N^3-dipropilisoftalamida (XV)

A (2R,3S)-3-amino-1-azido-4-(3,5-difluorofenil)-2-buta (XIV, EJEMPLO 166, 0,34 g, 1,4 mmol) en diclorometano (20 mmol), se agregó ácido N,N-dipropilamidoisoftálico (IX 0,53 g, 2 mmol), t-butil alcohol (0,27 g, 2 mmol) y trietilamina (0,84 ml, 6 mmol) y etil-1-(3-dimetilaminopropil)carbodiimida (0,58 g, 3 mmol). La mezcla se agitó a 20-25° grados C durante 16 horas. La reacción se monitoreó mediante TLC (metanol/diclorometano, 20/80 + etil acetato/hexano, 50/50; R_f=0,76). Cuando la reacción se complete como medida por TLC, la mezcla de reacción es particionada entre diclorometano y agua, lavada con ácido clorhidrato (0,5 N), bicarbonato, salina, secado sobre aulfato de sodio anhidro y el solvente se removió bajo presión reducida con calor para producir un concentrado. El

concentrado se cromatografió sobre columna de sílica gel para dar el título del compuesto; MS (MH^+)=488.

EJEMPLO 168

Sal de ácido N^1-[(1S,2R)-3-amino-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida acético (XVI)

N^1-[(1S,2R)-3-azido-1-(3,5-difluorobenzil)-2-hidroxipropil]5-metil-N^3,N^3-dipropilisoftalamida (XV, EJEMPLO 167, 0,3 g, 0,62 mmol) en etil acetato (20 ml) y ácido acético (5 ml) se colocó en una botella de presión Parr. Se agregó paladio sobre carbón (10%, 5 g), se agregó y la mezcla agitada bajo hidrógeno a 50 psi durante dos horas. La mezcla se filtró a través de tierras diatomáceas y el filtrado se concentró para dar el compuesto del título; MS (MH^+)=462.

EJEMPLO 169

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(2-furilm)amino]-2-hidroxipropil}-5-metil-N^3,N^3-dipropilisoftalamida (X)

Sal de ácido N^1-[(1S,2R)-3-amino-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida acético (XVI, EJEMPLO 168, 76 mg, 0,146 mmol) se disolvió en etanol absoluto (2 mL). 3-Furaldehido (20 microL, 0,231 mmol) y trietilamida (30 microL, 0,215 mmol) se agregaron via jeringa, con agitación a 20-25 grados C. Después de 10 minutos se agregó paladio sobre carbón 122 mg, 5% de peso) y la mezcla colocada bajo atmósfera de hidrógeno (50 psi) y gitada durante 20 minutos. La mezcla resultante es entonces filtrada a través de tierras diatomáceas, con lavados de etanol. El filtrado se purificó mediante cromatografía de destello (2-10% metanol/cloruro de metileno) para dar el compuesto del título purificado, MS (MH^+)=542,2.

Ejemplo 169a

Tert-butil (1S,2R)-1-(3,5-difluorobenzil)-3-{[(1S)-2-(etilamino)-1-metil-2-oxoetil]amino}-2-hidroxiproilcarbamato (VII)

Siguiendo el procedimiento general de los EJEMPLOS 4 y 14-164 y realizando variaciones no c´riticas y reaccionando tert-butil (1S)-2-(3,5-difluorofenil)-1-[(2S)-oxiranilo]etilcarbamato (V, EJEMPLO 3) con (2S)-2-amino-N-etilpropanamida (VI), se obtuvo el compuesto del título.

EJEMPLOS 170-320

Siguiendo el procedimiento general del EJEMPLO 5 y realizando variaciones no críticas pero iniciando con el alcohol protegido (VII) de la columna A, la amina (VII) de la columna B se obtuvo.

EJEMPLO	Columna A Alcohol protegido (VII) Ejemplo de compuesto	Columna B Amina (VIII)
170	14	(2R,3S)-3-amino-1-(etilamino)-4-fenil-2-butanol
171	15	(2R,3S)-3-amino-1-(benzilamino)-4-fenil-2-butanol
172	16	(2R,3S)-3-amino-1-(isopropilamino)-4-fenil-2-

		butanol
173	17	(2R,3S)-3-amino-1-[(4-metilbenzil)amino]-4-fenil-2-butanol
174	18	(2R,3S)-3-amino-1-{[2-(4-metoxifenil)etil]amino}-4-fenil-2-butanol
175	19	(2R,3S)-3-amino-1-[(3-metoxobenzil)amino]-4-fenil-2-butanol
176	20	Etil{[(2R,3S)-3-amino-2-hidroxi-4-fenilbutil]amino}(fenil)acetato
177	21	(2R,3S)-3-amino-4-fenil-1-[(2-feniletil)amino]-2-butanol
178	22	(2S)-2-{[(2R,3S)-3-amino-2-hidroxi-4-fenilbutil]amino}-1-(4-nitrofenil)-1,3-propanediol
179	23	(2R,3S)-3-amino-1-[(2-clorobenzil)amino]-4-fenil-2-butanol
180	24	(2R,3S)-3-amino-1-[(4-clorobenzil)amino]-4-fenil-2-butanol
181	25	(2R,3S)-3-amino-1-{[2-(2-hidroxietoxi)etil]amino}-4-fenil-2-butanol
182	26	(2R,3S)-3-amino-1-(2,3-dihidro-1H-inden-1-ilamino)-4-fenil-2-butanol
		(2R,3S)-3-amino-1-[(2-

183	27	hidroxipropil)amino]-4-fenil-2-butanol
184	28	(2R,3S)-3-amino-4-fenil-1-[(tetrahidro-2-feuranilmetil)amino]-2-butanol
185	29	(2R,3S)-3-amino-1-[(2,2-dietoxietil)amino]-4-fenil-2-butanol
186	30	(2R,3S)-3-amino-1-(butilamino)-4-fenil-2-butanol
187	31	(2R,3S)-3-amino-1-(ciclohexilamino)-4-fenil-2-butanol
188	32	(2R,3S)-3-amino-4-fenil-1-[(2-piridinilmetil)amino]-2-butanol
189	33	(2R,3S)-3-amino-1-[(2-aminobenzil)amino]-4-fenil-2-butanol
190	34	(2R,3S)-3-amino-4-fenil-1-[(3-piridinilmetil)amino]-2-butanol
191	35	(2R,3S)-3-amino-4-fenil-1-{[2-(1-pirrolidinil)etil]amino}-2-butanol
192	36	(2R,3S)-3-amino-1-[(2-feniletil)amino]-4-fenil-2-butanol
193	37	(2R,3S)-3-amino-1-[(3-butoxipropil)amino]-4-fenil-2-butanol
		(2R,3S)-3-amino-1-[(3-

194	38	isopropoxipropil)amino]-4-fenil-2-butanol
195	39	(2R,3S)-3-amino-1-[(2-isopentilamino)-4-fenil-2-butanol
196	40	(2R,3S)-3-amino-4-fenil-1-[(3-fenilpropil)amino]-2-butanol
197	41	(2R,3S)-3-amino-1-[(2-metoxietil)amino]-4-fenil-2-butanol
198	42	(2R,3S)-3-amino-1-[(2-fenoxietil)amino]-4-fenil-2-butanol
199	43	(2R,3S)-3-amino-4-fenil-1-[(2-propoxietil)amino]-2-butanol
200	44	(2R,3S)-3-amino-1-[(3,3-dimetiolbutil)amino]-4-fenil-2-butanol
201	45	(2R,3S)-3-amino-4-fenil-1-[(4-fenilbutil)amino]-2-butanol
202	46	(2R,3S)-3-amino-1-[(3-fenilbutil)amino]-2-butanol
203	47	(2R,3S)-3-amino-1-[(4-nitrobenzil)amino]-4-fenil-2-butanol
204	48	(2R,3S)-3-amino-1-[(3-clorobenzil)amino]-4-fenil-2-butanol
205	49	(2R,3S)-3-amino-1-{[2-(4-clorofenil)etil]amino}-4-fenil-2-butanol

206	50	(2R,3S)-3-amino-4-fenil-1-{[2-(2-piridinil)etil]amino}-2-butanol
207	51	(2R,3S)-3-amino-4-fenil-1-[(4-piridinilmetil)amino]-2-butanol
208	52	(2R,3S)-3-amino-1-{[2-(1-metil-2-pirrolidinil)etil]amino}-4-fenil-2-butanol
209	53	(2R,3S)-3-amino-1-[(2,3-dimetilbenzil)amino]-4-fenil-2-butanol
210	54	(2R,3S)-3-amino-4-fenil-1-{[2-(trifluorometoxi)benzil]amino}-2-butanol
211	55	(2R,3S)-3-amino-1-[(2-cloro-6-fenoxibenzil)amino]-4-fenil-2-butanol
212	56	(2R,3S)-3-amino-4-fenil-1-{[4-(trifluorometil)benzil]amino}-2-butanol
213	57	(2R,3S)-3-amino-1-[(2,3-(diclorobenzil)amino]-4-fenil-2-butanol
214	58	(2R,3S)-3-amino-1-[(3,5-(diclorobenzil)amino]-4-fenil-2-butanol
215	59	(2R,3S)-3-amino-1-[(3,5-(difluorobenzil)amino]-4-fenil-2-butanol
216	60	(2R,3S)-3-amino-1-{[4-(trifluorometoxi)benzil]amino}-2-

		butanol
217	61	(2R,3S)-3-amino-2-hidroxi-4-fenilbutil]amino}metil)bencenosulfonamida
218	62	(2R,3S)-3-amino-1-[(4-metoxibenzil)amino]-4-fenil-2-butanol
219	63	(2R,3S)-3-amino-1-[(4-metilbenzil)amino]-4-fenil-2-butanol
220	64	(2R,3S)-3-amino-4-fenil-1-[(3,4,5-trimetoxibenzil)amino]-2-butanol
221	65	(2R,3S)-3-amino-4-fenil-1-{[3-trifluorometoxi)benzil)amino}-2-butanol
222	66	(2R,3S)-3-amino-1-[(3,5-dimetoxibenzil)amino]-4-fenil-2-butanol
223	67	(2R,3S)-3-amino-1-[(2,4-dimetoxibenzil)amino]-4-fenil-2-butanol
224	68	(2R,3S)-3-amino-1-[([1,1'-bifenil]-3-ilmetil)amino]-4-fenil-2-butanol
225	69	(2R,3S)-3-amino-1-[(3,4-diclorobenzil)amino]-4-fenil-2-butanol
226	70	(2R,3S)-3-amino-1-[(2-fluorobenzil)amino]-4-fenil-2-

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		butanol
227	71	(2R,3S)-3-amino-4-fenil-1-{[3-(trifluorometil)benzil]amino}-2-butanol
228	72	(2R,3S)-3-amino-1-[(2-metilbenzil)amino]-4-fenil-2-butanol
229	73	(2R,3S)-3-amino-4-fenil-1-{[(1R)-1-feniletil]amino}-2-butanol
230	74	(2R,3S)-3-amino-4-fenil-1-{[(1S)-1-feniletil]amino}-2-butanol
231	75	(2R,3S)-3-amino-1-{[3,5-bis(trifluorometil)benzil]amino}-4-fenil-2-butanol
232	76	(2R,3S)-3-amino-4-fenil-1-{[2(trifluorometil)benzil]amino}-2-butanol
233	77	(2R,3S)-3-amino-1-{[(1S)-1-(1-naftil)etil]amino}-4-fenil-2-butanol
234	78	(2R,3S)-3-amino-1-{[(1R)-1-(1-naftilo)etil)amino}-4-fenil-2-butanol
235	79	4-({[(2R,3S)-3-amino-2-hidroxi-4-fenilbutil]amino}metil)-2-metoxifenol
236	80	4-({[(2R,3S)-3-amino-2-hidroxi-4-fenilbutil]amino}metil)-1,2-bencenodiol
		(2R,3S)-3-amino-1-[(3-

237	81	metoxipropil)amino]-4-fenil-2-butanol
238	82	(2R,3S)-3-amino-1-{[(1S)-2-hidroxi-1-metiletil]amino}-4-fenil-2-butanol
239	83	(2R,3S)-3-amino-1-{[(1R)-2-hidroxi-1-metiletil]amino}-4-fenil-2-butanol
240	84	(2R,3S)-3-amino-4-fenil-1-(2-propinilamino)-2-butanol
241	85	(2R,3S)-3-amino-1-{[2-(2-fluorofenil)etil]amino}-4-fenil-2-butanol
242	86	(2R,3S)-3-amino-1-{[2-(3-fluorofenil)etil]amino}-4-fenil-2-butanol
243	87	(2R,3S)-3-amino-1-{[2-(4-fluorofenil)etil]amino}-4-fenil-2-butanol
244	88	(2R,3S)-3-amino-1-{[2-(4-bromofenil)etil]amino}-4-fenil-2-butanol
245	89	(2R,3S)-3-amino-1-{[2-(3-metoxifenil)etil]amino}-4-fenil-2-butanol
246	90	(2R,3S)-3-amino-1-{[2-(2,4-diclorofenil)etil]amino}-4-fenil-2-butanol
247	91	(2R,3S)-3-amino-1-{[2-(3-clorofenil)etil]amino}-4-fenil-2-

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		butanol
248	92	(2R,3S)-3-amino-1-{[2-(2,5-dimetoxifenil)etil]amino}-4-fenil-2-butanol
249	93	(2R,3S)-3-amino-1-{[2-(4-metilfenil)etil]amino}-4-fenil-2-butanol
250	94	(2R,3S)-3-amino-1-{[2(1R)-1-benzil)-2-hidroxietil]amino}-4-fenil-2-butanol
251	95	(2R,3S)-3-amino-1-{[3-(4-morfolinil)propil]amino}-4-fenil-2-butanol
252	96	(2R,3S)-3-amino-1-(isobutilamino)-4-fenil-2-butanol
253	97	(2R,3S)-3-amino-1-{[2-(4-morfolinil)etil]amino}-4-fenil-2-butanol
254	98	(2R,3S)-3-amino-4-fenil-1-[(2-hidroxibutil)amino]-2-butanol
255	99	(2R,3S)-3-amino-4-fenil-1-{[2-(2-tienil)etil]amino}-2-butanol
256	100	4-{[(2R,3S)-3-amino-2-hidroxi-4-fenilbutil]amino}-1-butanol
257	101	(2R,3S)-3-amino-1-{[(1S)-2-hidroxi-1-feniletil]amino}-4-fenil-2-butanol
258	102	(2R,3S)-3-amino-1-[(2,4-diclorobenzil)amino]-4-fenil-2-butanol

259	103	(2R,3S)-3-amino-1-{[(1R)-2-hidroxi-1-feniletil]amino}-4-fenil-2-butanol
260	104	(2R,3S)-3-amino-1-[(4-tert-butilbenzil)amino]-4-fenil-2-butanol
261	105	(2R,3S)-3-amino-4-fenil-1-[(1-feniletil)amino]-2-butanol
262	106	(1R,2S)-1-{[(2R,3S)-3-amino-2-hidroxi-4-fenilbutil]amino}-2,3-dihidro-1H-inden-2-ol
263	107	(2R,3S)-3-amino-1-[(3,4-dimetlbenzil)amino]-4-fenil-2-butanol
264	108	Metil 7-{[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]amino}heptanoato
265	109	2-{[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]amino}-N-isobutilpropanamida
266	110	(2S)-2-{[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]amino}-N-isobutilpropanamida
267	111	2-{[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]amino}-N-isobutil-2-metilpropanamida
		2-{[(2R,3S)-3-amino-4-(3,5-

268	112	difluorofenil)-2-hidroxibutil]amino}-N-isobutilacetamida
269	113	(2S)-2-{[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]amino}-N-isobutilbutanamida
270	114	(2R)-2-{[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]amino}-N-isobutilbutanamida
271	115	(2R,3S)-3-amino-1-(benzilamino)-4-(3,5-difluorofenil)-2-butanol
272	116	(2R,3S)-3-amino-4-(3,5-difluorofenil)-1-(etilamino)-2-butanol
273	117	(2R,3S)-3-amino-4-(3,5-difluorofenil)-1-(isobutilamino)-2-butanol
274	118	3-{[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]amino}-N-isobutil-2-metilpropanamida
275	119	(2R,3S)-3-amino-4-(3,5-difluorofenil)-1-{[4-(dimetilamino)benzil}-2-butanol
276	120	(2S)-2-{[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]amino}-N-isobutil-3-fenilpropanamida

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277	121	(2S)-2-{[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]amino}-N-isobutil-3-metilbutanamida
278	122	(2R,3S)-3-amino-4-(3,5-difluorofenil)-1-{[2-(dimetilamino)etil]amino}-2-butanol
279	123	(2R,3S)-3-amino-4-(3,5-difluorofenil)-1-{[3-(piridinilmetil)amino]-2-butanol
280	124	(2S)-2-{[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]amino}-3-(benziloxi)-N-isobutilpropanamida
281	125	(2R,3S)-3-amino-4-(3,5-difluorofenil)-1-[(1-metil-1-feniletil)amino]-2-butanol
282	126	(2R)-2-{[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]amino}-N-isobutil-3-metilbutanamida
283	127	(2S)-2-{[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]amino}-N-isobutilpentanamida
284	128	(2S)-2-{[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]amino}-3-hidroxi-N-isobutilpropanamida

285	129	(2R,3S)-3-amino-4-(3,5-difluorofenil)-1-[(2-feniletil)amino]-2-butanol
286	130	(2S)-2-{[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]amino}-N-benzilpropanamida
287	181	(2R,3S)-3-amino-4-(3,5-difluorofenil)-1-{[(1S)-1-fenilpropil]amino-2-butanol
287a	169a	(2S)-2-{[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]amino}-N-etilpropanamida
288	132	(2R,3S)-3-amino-4-(3,5-difluorofenil)-1-[(3-metoxibenzil)amino]-2-butanol
289	133	(2S)-2-{[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]amino}-N-isobutil-2-feniletanamida
290	134	(2R,3S)-3-amino-4-(3,5-difluorofenil)-1-(isopentilamino)-2-butanol
291	135	(2R,3S)-3-amino-1-(ciclohexilamino)-4-(3,5-difluorofenil)-2-butanol
292	136	(2R,3S)-3-amino-1-(butilamino)-4-(3,5-difluorofenil)-2-butanol
		(2R,3S)-3-amino-4-(3,5-

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293	137	difluorofenil)-1-[(3-metoxopropil)amino]-2-butanol
294	138	(2R,3S)-3-amino-4-(3,5-difluorofenil)-1-[(2-hidroxi-2-feniletil)amino]-2-butanol
295	139	(2R,3S)-3-amino-1-(3,5-difluorofenil)-1-{[(3R,5S)-3,5-dimetoxiciclohexil]amino}-2-butanol
296	140	Dimetil (1R,3S)-5-{[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]amino}-1,3-ciclohexanodicarboxilato
297	141	Ácido (1R,3S)-5-{[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]amino}-1,3-ciclohexanodicarboxílico
298	142	(2R,3S)-3-amino-4-[(3,5-difluorofenil)-1-{[(1R)-1-fenilpropil]amino}-2-butanol
299	143	(2R,3S)-3-amino-1-[(3-clorobenzil)amino]-4-(3,5-difluorofenil)-2-butanol
300	144	(2R,3S)-3-amino-4-(3,5-difluorofenil)-1-[(3-metoxibenzil)amino]-2-butanol
301	145	(2R,3S)-3-amino-1-[([1,1'-bifenil]-3-ilmetil)amino]-4-(3,5-difluorofenil)-2-butanol
		(2R,3S)-3-amino-4-(3,5-

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302	146	difluorofenil)-1-[(3-yodobenzil)amino]-2-butanol
303	147	(2R,3S)-3-amino-4-(3,5-difluorofenil)-1-[(3-metilbenzil)amino]-2-butanol
304	148	(2R,3S)-3-amino-4-(3,5-difluorofenil)-1-[(2-fenilpropil)amino]-2-butanol
305	149	(2R,3S)-3-amino-4-(3,5-difluorofenil)-1-[(1,3-tiazol-5-ilmetil)amino]-2-butanol
306	150	(2R,3S)-3-amino-4-(3,5-difluorofenil)-1-[(2-tienilmetil)amino]-2-butanol
307	151	(2R,3S)-3-amino-4-(3,5-difluorofenil)-1-[(5-metoxi-1,2,3,4-tetrahidro-1-naftalenil)amino]-2-butanol
308	152	(2R,3S)-3-amino-4-(3,5-difluorofenil)-1-[(2-pirazinilmetil)amino]-2-butanol
309	153	(2R,3S)-3-amino-1-[(3,5-difluorobenzil)amino]-4-(3,5-difluorofenil)-2-butanol
310	154	(2R,3S)-3-amino-1-[(1,3-benzodioxol-5-ilmetil)amino]-4-(3,5-difluorofenil)-2-butanol
311	155	(2R,3S)-3-amino-4-(3,5-difluorofenil)-1-[(3,5-dimetoxobenzil)amino]-2-butanol

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312	156	(2R,3S)-3-amino-4-(3,5-difluorofenil)-1-{[3-(trifluorometil)benzil]amino}-2-butanol
313	157	(2R,3S)-3-amino-4-(3,5-difluorofenil)-1-[(2-furilmetil)amino]-2-butanol
314	158	(2R,3S)-3-amino-4-(3,5-difluorofenil)-1-[(7-metoxi-1,2,3,4-tetrahidro-1-naftalenilo)amino]-2-butanol
315	159	(2R,3S)-3-amino-4-(3,5-difluorofenil)-1-{[3-trifluorometoxi)benzil]amino}-2-butanol
316	160	(2R,3S)-3-amino-4-(3,5-difluorofenil)-1-[(3-fluorobenzil)amino]-2-butanol
317	161	(2R,3S)-3-amino-4-(3,5-difluorofenil)-1-[(3-isopropoxibenzil)amino]-2-butanol
318	162	(2R,3S)-3-amino-1-[(3-bromobenzil)amino]-4-(3,5-difluorofenil)-2-butanol
319	163	(2R,3S)-3-amino-4-(3,5-difluorofenil)-1-[(5-metil-2-furilmetil)amino]-2-butanol
320	164	(2R,3S)-3-amino-4-(3,5-difluorofenil)-1-[(5-metoxi-1,2,3,4-tetrahidro-1-

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		naftalenil)amino]-2-butanol

EJEMPLOS 321-473

Siguiendo el procedimiento general del EJEMPLO 6 y realizando variaciones no críticas pero iniciando con la amina (VIII) de la Columna A y reaccionando esta con el agente formador de amida (IX) de la Columna B, la amina sustituida (X) de la Columna C se obtiene.

Ejemplo	Columna A Amina (VIII) Comp. de Ejemplo	Columna B Agente formador de amina (IX)	Columna C Amina sustituida (X)	Columna D Datos físicos
321	170	"PHTH"	N^1-[(1S,2R)-1-benzil-3-(etilamino)-2-hidroxipropil]-N^3,N^3-dipropilisoftalamida	MH+ = 440.7
322	171	"PHTH"	N^1-[(1S,2R)-1-benzil-3-(benzilamino)-2-hidroxipropil]-N^3,N^3-dipropilisoftalamida	MH+ = 502.7

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323	172	"PHTH"	N^1-[(1S,2R)-1-benzil-2-hidroxi-3-(isopropilamino)propil]-N^3,N^3-dipropilisoftalamida	MH+ = 454.6
324	173	"PHTH"	N^1-[(1S,2R)-1-benzil-2-hidroxi-3-(4-toluidino)propil]-N^3,N^3-dipropilisoftalamida	MH+ = 502.2
325	174	"PHTH"	N^1-((1S,2R)-1-benzil-2-hidroxi-3-{[2-(4-metoxifenil)etil]amino}propil)-N^3,N^3-dipropilisoftalamida	MH+ = 546
326	175	"PHTH"	N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropilisoftalamida	MH+ = 532
327	176	"PHTH"	Etil {[(3S)-3-({3-[(dipropilamino)carbonil]benzoil}amino)-2-hx-4-fenilbutil]amino}(fenil)acetato	MH+ = 574
329	178	"PHTH"	N^1-((1S)-1-benzil-2-hidroxi-3-{[(1S)-2-	MH+ = 607

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			hidroxi-1-(hidroximetil)-2-(4-nitrofenil)etil]amino}propil)-N^3,N^3-dipropilisoftalamida	
330	179	"PHTH"	N^1-{(1S,2R)-1-benzil-3-[(2-clorobenzil)amino}-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida	MH+ = 537
331	180	"PHTH"	N^1-{(1S,2R)-1-benzil-3-[(4-clorobenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida	MH+ = 537
332	181	"PHTH"	N^1-((1S,2R)-1-benzil-2-hidroxi-3-{[2-(2-hidroxietoxi)etil]amino}propil)-N^3,N^3-dipropilisoftalamida	MH+ = 500
333	182	"PHTH"	N^1-[(1S,2R)-1-benzil-3-(2,3-dihidro-1H-inden-1-ilamino)-2-hidroxipropil]-N^3,N^3-dipropilisoftalamida	MH+ = 528

334	183	"PHTH"	N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(2-hidroxipropil)amino]propil}-N^3,N^3-dipropilisoftalamida	MH+ = 470
335	184	"PHTH"	N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(tetrahidro-2-furanilmetil)amino]propil}-N^3,N^3-dipropilisoftalamida	MH+ = 496
336	185	"PHTH"	N^1-{(1S,2R)-1-benzil-3-[(2,2-dietoxietil)amino]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida	MH+ = 528
337	186	"PHTH"	N^1-[(1S,2R)-1-benzil-3-(butilamino)-2-hidroxipropil]-N^3,N^3-dipropilisoftalamida	MH+ = 468
338	187	"PHTH"	N^1-[(1S,2R)-1-benzil-3-(ciclohexilamino)-2-hidroxipropil]-N^3,N^3-dipropilisoftalamida	MH+ = 494
			N^1-{(1S,2R)-1-	MH+ =

339	188	"PHTH"	benzil-2-hidroxi-3-[(2-piridinilmetil)amino]propil}-N^3,N^3-dipropilisoftalamida	507
340	189	"PHTH"	N^1-{(1S,2R)-3-[(2-aminobenzil)amino]-1-benzil-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida	MH+ = 517
341	190	"PHTH"	N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-piridinilmetil)amino]propil}-N^3,N^3-dipropilisoftalamida	MH+ = 503
342	191	"PHTH"	N^1-((1S,2R)-1-benzil-2-hidroxi-3-{[2-(1-pirrolidinil)etil]amino}propil}-N^3,N^3-dipropilisoftalamida	MH+ = 509
343	192	"PHTH"	N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(2-hidroxi-2-feniletil)amino]propil}-N^3,N^3-dipropilisoftalamida	MH+ = 532
344	193	"PHTH"	N^1-{(1S,2R)-1-benzil-3-[(3-	MH+ = 526

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			butoxipropil)amino]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida	
345	194	"PHTH"	N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-isopropoxipropil)amino]propil}-N^3,N^3-dipropilisoftalamida	MH+ = 512
346	194	"PHTH"	N^1-[(1S,2R)-1-benzil-2-hidroxi-3-(isopentilamino)propil]-N^3,N^3-dipropilisoftalamida	MH+ = 482
347	196	"PHTH"	N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-fenilpropil)amino]propil}-N^3,N^3-dipropilisoftalamida	MH+ = 482
348	197	"PHTH"	N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxietil)amino]propil}-N^3,N^3-dipropilisoftalamida	MH+ = 470
349	198	"PHTH"	N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(2-fenietil)amino]prop	MH+ = 532

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			il}-N^3,N^3-dipropilisoftalamida	
350	199	"PHTH"	N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(2-propoxietil)amino]propil}-N^3,N^3-dipropilisoftalamida	MH+ = 498
351	200	"PHTH"	N^1-{(1S,2R)-1-benzil-3-[(3,3-dimetilbutil)amino]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida	MH+ = 496
352	201	"PHTH"	N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(4-fenilbutil)amino]-propil}-N^3,N^3-dipropilisoftalamida	MH+ = 544
353	202	"PHTH"	N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-yodobenzil)amino]-propil}-N^3,N^3-dipropilisoftalamida	MH+ = 628
354	203	"PHTH"	N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(4-nitrobenzil)amino]-propil}-N^3,N^3-	MH+ = 547

			dipropilisoftalamida	
355	204	"PHTH"	N^1-{(1S,2R)-1-benzil-3-[(3-clorobenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida	MH+ = 537
356	205	"PHTH"	N^1-((1S,2R)-1-benzil-3-{[2-(4-clorofenil)etil]amino]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida	MH+ = 551
357	206	"PHTH"	N^1-((1S,2R)-1-benzil-2-hidroxi-3-{[2-(2-piridinil)etil]amino}propil)-N^3,N^3-dipropilisoftalamida	MH+ = 517
358	207	"PHTH"	N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(4-piridinilmetil)amino]propil}-N^3,N^3-dipropilisoftalamida	MH+ = 503
359	208	"PHTH"	N^1-((1S,2R)-1-benzil-2-hidroxi-3-{[2-(1-metil-2-pirrolidinil)etil]amino}propil)-N^3,N^3-	MH+ = 523

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			dipropilisoftalamida	
360	209	"PHTH"	N^1-{(1S,2R)-1-benzil-3-[(2,3-dimetilbenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida	MH+ = 580
361	210	"PHTH"	N^1-((1S,2R)-1-benzil-2-hidroxi-3-{[2-(trifluorometoxi)benzil]amino}propil)-N^3,N^3-dipropilisoftalamida	MH+ = 586
362	211	"PHTH"	N^1-{(1S,2R)-1-benzil-3-[(2-cloro-6-fenoxibenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida	MH+ = 629
363	212	"PHTH"	N^1-((1S,2R)-1-benzil-2-hidroxi-3-{[4-(trifluorometil)benzil]amino}propil)-N^3,N^3-dipropilisoftalamida	MH+ = 570
364	213	"PHTH"	N^1-{(1S,2R)-1-benzil-3-[(2,3-diclorobenzil)amino	MH+ = 571

			]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida	
365	214	"PHTH"	N^1-{(1S,2R)-1-benzil-3-[(3,5-diclorobenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida	MH+ = 571
366	215	"PHTH"	N^1-{(1S,2R)-1-benzil-3-[(3,5-difluorobenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida	MH+ = 538
367	216	"PHTH"	N^1-((1S,2R)-1-benzil-2-hidroxi-3-{[4-(trifluorometoxi)benzil]amino}propil)-N^3,N^3-dipropilisoftalamida	MH+ = 586
368	217	"PHTH"	N^1-[(1S,2R)-3-({2-[4-(aminosulfonil)fenil]etil}amino)-1-benzil-2-hidroxipropil]-N^3,N^3-dipropilisoftalamida	MH+ = 595

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369	218	"PHTH"	N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(4-metoxibenzil)amino]propil}-N^3,N^3-dipropilisoftalamida	MH+ = 532
370	219	"PHTH"	N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(4-metilbenzil)amino]propil}-N^3,N^3-dipropilisoftalamida	MH+ =516
371	220	"PHTH"	N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3,4,5-trimetoxibenzil)amino]propil}-N^3,N^3-dipropilisoftalamida	MH+ = 592
372	221	"PHTH"	N^1-{(1S,2R)-1-benzil-2-hidroxi-3-{[3-(trifluorometoxi)benzil]amino}propil)-N^3,N^3-dipropilisoftalamida	MH+ = 586
373	222	"PHTH"	N^1-{(1S,2R)-1-benzil-3-[(3,5-dimetoxibenzil)amino]-2-hidroxipropil}-N^3,N^3-	MH+ = 562

			dipropilisoftalamida	
374	223	"PHTH"	N^1-{(1S,2R)-1-benzil-3-[(2,4-dimetoxibenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida	MH+ = 562
375	224	"PHTH"	N^1-{(1S,2R)-1-benzil-3-[([1,1'-bifenil-3-ilmetil)amino]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida	MH+ = 578
376	225	"PHTH"	N^1-{(1S,2R)-1-benzil-3-[(3,4-diclorobenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida	MH+ = 571
377	226	"PHTH"	N^1-{(1S,2R)-1-benzil-3-[(2-fluorobenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida	MH+ = 520
378	227	"PHTH"	N^1-((1S,2R)-1-benzil-2-hidroxi-3-{[3-(trifluorometil)ben	MH+ = 570

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			zil]amino}propil)-N^3,N^3-dipropilisoftalamida	
379	228	"PHTH"	N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(2-metilbenzil)amino]propil}-N^3,N^3-dipropilisoftalamida	MH+ = 516
380	229	"PHTH"	N^1-((1S,2R)-1-benzil-2-hidroxi-3-{[(1R)-1-feniletil]amino}propil)-N^3,N^3-dipropilisoftalamida	MH+ = 516
381	230	"PHTH"	N^1-((1S,2R)-1-benzil-2-hidroxi-3-{[(1S)-1-feniletil]amino}propil)-N^3,N^3-dipropilisoftalamida	MH+ = 516
382	231	"PHTH"	N^1-((1S,2R)-1-benzil-3-{[3,5-bis(trifluorometil)benzil]amino}-2-hidroxipropil)-N^3,N^3-dipropilisoftalamida	MH+ = 638
383	232	"PHTH"	N^1-((1S,2R)-1-benzil-2-hidroxi-3-{[2-	MH+ = 570

			(trifluorometil)benzil]amino}propil)-N^3,N^3-dipropilisoftalamida	
384	233	"PHTH"	N^1-((1S,2R)-1-benzil-2-hidroxi-3-{[(1S)-1-(1-naftil)etil]amino}propil)-N^3,N^3-dipropilisoftalamida	MH+ = 566
385	234	"PHTH"	N^1-((1S,2R)-1-benzil-2-hidroxi-3-{[(1R)-1-(1-naftil)etil]amino}propil)-N^3,N^3-dipropilisoftalamida	MH+ = 566
386	235	"PHTH"	N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(4-hidroxi-3-metoxibenzil)amino]propil}-N^3,N^3-dipropilisoftalamida	MH+ = 548
387	236	"PHTH"	N^1-{(1S,2R)-1-benzil-3-[(3,4-dihidroxibenzil)amino]-2-hidroxipropil)-N^3,N^3-dipropilisoftalamida	MH+ = 534
388	237	"PHTH"	N^1-{(1S)-1-benzil-2-hidroxi-3-[(3-	MH+ = 484

			metoxipropil)amino] propil}-N^3,N^3-dipropilisoftalamida	
389	238	"PHTH"	N^1-((1S,2R)-1-benzil-2-hidroxi-3-{[(1S)-2-hidroxi-1-metiletil)amino}propil)-N^3,N^3-dipropilisoftalamida	MH+ = 470
390	239	"PHTH"	N^1-((1S,2R)-1-benzil-2-hidroxi-3-{[(1R)-2-hidroxi-1-metiletil]amino}propil)-N^3,N^3-dipropilisoftalamida	MH+ = 470
391	240	"PHTH"	N^1-[(1S,2R)-1-benzil-2-hidroxi-3-(2-propinilamino)propil]-N^3,N^3-dipropilisoftalamida	MH+ = 450
392	241	"PHTH"	N^1-((1S,2R)-1-benzil-3-{[2-(2-fluorofenil)etil]amino}-2-hidroxipropil)-N^3,N^3-dipropilisoftalamida	MH+ = 534
393	242	"PHTH"	N^1-((1S,2R)-1-benzil-3-{[2-(3-fluorofenil)etil]am	MH+ = 534

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			ino}-2-hidroxipropil)-N^3,N^3-dipropilisoftalamida	
394	243	"PHTH"	N^1-((1S,2R)-1-benzil-3-{[2-(4-fluorofenil)etil]amino}-2-hidroxipropil)-N^3,N^3-dipropilisoftalamida	MH+ = 534
395	244	"PHTH"	N^1-((1S,2R)-1-benzil-3-{[2-(4-bromofenil)etil]amino}-2-hidroxipropil)-N^3,N^3-dipropilisoftalamida	MH+ = 595
396	245	"PHTH"	N^1-((1S)-1-benzil-2-hidroxi-3-{[2-(3-metoxifenil)etil]amino}propil)-N^3,N^3-dipropilisoftalamida	MH+ = 546
397	246	"PHTH"	N^1-((1S,2R)-1-benzil-3-{[2-(2,4-diclorofenil)etil]amino}propil)-N^3,N^3-dipropilisoftalamida	MH+ = 585
398	247	"PHTH"	N^1-((1S,2R)-1-benzil-3-{[2-(3-clorofenil)etil]ami	MH+ = 551

			no}-2-hidroxipropil)-N^3,N^3-dipropilisoftalamida	
399	248	"PHTH"	N^1-((1S)-1-benzil-3-{[2-(2,5-dimetoxifenil)etil]amino}-2-hidroxipropil)-N^3,N^3-dipropilisoftalamida	MH+ = 576
400	249	"PHTH"	N^1-((1S,2R)-1-benzil-2-hidroxi-3-{[2-(4-metilfenil)etil]amino}propil)-N^3,N^3-dipropilisoftalamida	MH+ = 530
401	250	"PHTH"	N^1-((1S,2R)-1-benzil-2-{[(1R)-1-benzil-2-hidroxietil]amino}-2-hidroxipropil)-N^3,N^3-dipropilisoftalamida	MH+ = 546
402	251	"PHTH"	N^1-((1S,2R)-1-benzil-2-hidroxi-3-{[3-(4-morfolinil)propil]amino}propil)-N^3,N^3-dipropilisoftalamida	MH+ = 539
			N^1-[(1S,2R)-1-	MH+ =

403	252	"PHTH"	benzil-2-hidroxi-3-(isobutilamino)propil]-N^3,N^3-dipropilisoftalamida	468
404	252	"PHTH"	N^1-[(1S,2R)-1-benzil-2-hidroxi-3-{[2-(4-morfolinil)etil]amino}propil}propil)-N^3,N^3-dipropilisoftalamida	MH+ = 525
405	254	"PHTH"	N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(2-hidroxibutil)amino]propil}-N^3,N^3-dipropilisoftalamida	MH+ = 484
406	255	"PHTH"	N^1-((1S,2R)-1-benzil-2-hidroxi-3-{[2-tienil)etil]amino}propil)-N^3,N^3-dipropilisoftalamida	MH+ = 522
407	256	"PHTH"	N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(4-hidroxibutil)amino]propil}-N^3,N^3-dipropilisoftalamida	MH+ = 484
408	257	"PHTH"	N^1-{(1S,2R)-1-benzil-2-hidroxi-3-	MH+ = 532

			{[(1S)-2-hidroxi-1-feniletil]amino}propil)-N^3,N^3-dipropilisoftalamida	
409	258	"PHTH"	N^1-{(1S,2R)-1-benzil-3-[(2,4-diclorobenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida	MH+ = 571
410	259	"PHTH"	N^1-{(1S,2R)-1-benzil-2-hidroxi-3-{[(1R)-2-hidroxi-1-feniletil]amino}propil)-N^3,N^3-dipropilisoftalamida	MH+ = 532
411	260	"PHTH"	N^1-{(1S,2R)-1-benzil-3-[(4-tertbutilbenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida	MH+ = 558
412	261	"PHTH"	N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(1-feniletil)amino]propil}-N^3,N^3-dipropilisoftalamida	MH+ = 516
413	262	"PHTH"	N^1-{(1S,2R)-1-benzil-2-hidroxi-3-	MH+ = 544

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			{[(1R,2S)-2-hidroxi-2,3-dihidro-1H-inden-1-il]amino}propil)-N^3,N^3-dipropilisoftalamida	
414	263	"PHTH"	N^1-{(1S,2R)-1-benzil-3-[(3,4-dimetilbenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida	MH+ = 530
416	265	"PHTH"	N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[2-(isobutilamino)-1-metil-2-oxoetil]amino}propil)-N^3,N^3-dipropilisoftalamida	MH+ = 575
417	266	"PHTH"	N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(1S)-2-(isobutilamino)-1-metil-2-oxoetil]amino}propil)-N^3,N^3-dipropilisoftalamida	MH+ = 575
418	266	"3,5-piridinil"	N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(1S)-2-	MH+ = 576

			(isobutilamino)-1-metil-2-oxoetil]amino}propil)-N^5,N^5-dipropil-3,5-piridinodicarboxamida	
419	267	"5-Me-PHTH"	N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[2-(isobutilamino)-1,1-dimetil-2-oxoetil]amino}propil)-N^3,N^3-dipropilisoftalamida	MH+ = 603
420	268	"5-Me-PHTH"	N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[2-(isobutilamino)-2-oxoetil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida	MH+ = 575.3
421	269	"5-Me-PHTH"	N^1-[(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-({(1S)-1-[(isobutilamino)carbonil]propil}amino)propil]-5-metil-N^3,N^3-dipropilisoftalamida	MH+ = 603.8
422	270	"5-Me-PHTH"	N^1-[(1S,2R)-1-(3,5-difluorobenzil)-2-	MH+ = 603.8

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			hidroxi-3-({(1R)-1-[(isobutilamino)carbonil]propil}amino)propil]-5-metil-N^3,N^3-dipropilisoftalamida	
423	271	"5-Me-PHTH"	N^1-[(1S,2R)-3-(benzilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida	MH+ = 552.3
424	272	"5-Me-PHTH"	N^1-[(1S,2R)-1-(3,5-difluorobenzil)-3-(etilamino)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida	MH+ = 490.7
425	273	"5-Me-PHTH"	N^1-[(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-(isobutilamino)propil]-5-metil-N^3,N^3-dipropilisoftalamida	MH+ = 518.0
426	274	"5-Me-PHTH"	N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{(3-(isobutilamino)-2-metil-3-oxopropil]-amino}propil)-5-	MH+ = 603

			metil-N^3,N^3-dipropilisoftalamida	
427	275	"5-Me-PHTH"	N^1-[(1S,2R)-1-(3,5-difluorobenzil)-3-{[4-(dimetilamino)benzil]amino}-2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida	MH+ = 595.8
428	276	"5-Me-PHTH"	N^1-[(1S,2R)-3-{[(1S)-1-benzil-2-(isobutilamino)-2-oxoetil]asmino}-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida	MH+ = 665.9
429	277	"5-Me-PHTH"	N^1-[(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-({(1S)-1-[(isobutilamino)carbonil]-2-metilpropil}amino)propil]-5-metil-N^3,N^3-dipropilisoftalamida	MH+ = 617.9
430	278	"5-Me-PHTH"	N^1-[(1S,2R)-1-(3,5-difluorobenzil)-3-{[2-	MH+ = 533.3

			dimetilamino)etil]amino}-2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida	
431	279	"5-Me-PHTH"	N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-piridinilmetil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida	MH+ = 553
432	280	"5-Me-PHTH"	N^1-[(1S,2R)-3-{[(1S)-1-[(benziloxi)metil]-2-(isobutilamino)-2-oxoetil]amino}-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida	MH+ = 695.9
433	281	"5-Me-PHTH"	N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(1-metil-1-feniletil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida	MH+ = 580.8
434	282	"5-Me-PHTH"	N^1-[(1S,2R)-1-(3,5-difluorobenzil)-2-	MH+ = 617.9

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			hidroxi-3-({(1R)-1-[(isobutilamino)carbonil]-2-metilpropil}amino)propil]-5-metil-N^3,N^3-dipropilisoftalamida	
435	283	"5-Me-PHTH"	N^1-[(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-({(1S)-1-[(isobutilamino)carbonil]butil}amino)propil]-5-metil-N^3,N^3-dipropilisoftalamida	MH+ = 617.9
436	284	"5-Me-PHTH"	N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(1S)-1-hidroximetil)-2-(isobutilamino)-2-oxoetil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida	MH+ = 605.8
437	285	"5-Me-PHTH"	N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(2-feniletil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida	MH+ = 566.8
438	286	"5-Me-PHTH"	N^1-[(1S,2R)-3-{[(1S)-2-	MH+ = 623.2

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			(benzilamino)-1-metil-2-oxoetil]amino}-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida	
439	287	"5-Me-PHTH"	N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(1S)-1-fenilpropil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida	MH+ = 580.8
440	287a	"5-Me-PHTH"	N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[(1S)-2-(etilamino)-1-metil-2-oxoetil]amino}-2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida	MH+ = 561.2
441	289	"5-Me-PHTH"	N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(1S)-2-(isobutilamino)-2-oxo-1-feniletil]amino}propil)-5-metil-N^3,N^3-	MH+ = 651.9

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			dipropilisoftalamida	
442	290	"5-Me-PHTH"	N^1-[(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-(isopentilamino)propil]-5-metil-N^3,N^3-dipropilisoftalamida	MH+ = 531.69
443	291	"5-Me-PHTH"	N^1-[(1S,2R)-3-(ciclohexilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida	MH+ = 544.2
444	292	"5-Me-PHTH"	N^1-[(1S,2R)-3-(butilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida	MH+ = 518.2
445	293	"5-Me-PHTH"	N^1-[(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxipropil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida	MH+ = 534.2
446	294	"5-Me-PHTH"	N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(2-	MH+ = 582

			hidroxi-2-feniletil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida	
447	295	"5-Me-PHTH"	N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[(3R,5S)-3,5-dimetoxiciclohexil]amino}-2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida	MH+ = 604.2
448	296	"5-Me-PHTH"	Dimetil(1R,3S)-5-{[(2R,3S)-4-(3,5-difluorofenil)-3-({3-[(dipropilamino)carbonil]-5-metilbenzoil}amino)-2-hidroxibutil]amino}-1,3-ciclohexanodicarboxilato	MH+ = 660.2
449	297	"5-Me-PHTH"	Ácido (1R,3S)-5-{[(2R,3S)-4-(3,5-difluorofenil)-3-({3-[(dipropilamino)carbonil]-5-metilbenzoil}amino)	MH+ = 632.2

			-2-hidroxibutil]amino}-1,3-ciclohexanodicarboxílico	
450	298	"5-Me-PHTH"	N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(1R)-1-fenilpropil]amino}-propil)-5-metil-N^3,N^3-dipropilisoftalamida	MH+ = 580.8
451	299	"5-Me-PHTH"	N^1-[(1S,2R)-3-[(3-clorobenzil)amino]-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida	MH+ = 586.3
452	300	"$-SO_2-$"	N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3-[(2-propilpentil)sulfonil]benzamida	MH+ = 603.2
453	301	"5-Me-PHTH"	N^1-[(1S,2R)-3-[([1,1'-bifenil]-3-ilmetil)3amino]-1-(3,5-difluorobenzil)-2-	MH+ = 628.8

			hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida	
454	302	"5-Me-PHTH"	N^1-[(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida	MH+ = 678
455	303	"5-Me-PHTH"	N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metilbenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida	MH+ = 566.8
456	304	"5-Me-PHTH"	N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-fenilpropil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida	MH+ = 694
457	305	"5-Me-PHTH"	N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(1,3-tiazol-5-ilmetil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida	MH+ = 559.5
			N^1-{(1S,2R)-1-(3,5-	MH+ =

680

458	306	"5-Me-PHTH"	difluorobenzil)-2-hidroxi-3-[(2-tienilmetil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida	558.5
459	307	"5-Me-PHTH"	N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(5-metoxi-1,2,3,4-tetrahidro-1-naftalenil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida	MH+ = 814
460	308	"5-Me-PHTH"	N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(2-pirazinilmetil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida	MH+ = 554.3
461	309	"5-Me-PHTH"	N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3,5-difluorobenzil)amino]-2-hidroxipropil}-5-metil-N^3,N^3-dipropilisoftalamida	MH+ = 588.7
462	310	"PHTH"	N^1-{(1S,2R)-3-[(1,3-benzodioxol-5-	MH+ = 546

			ilmetil)amino]-1-benzil-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida	
463	311	"5-Me-PHTH"	N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3,5-dimetoxibenzil)amino]-2-hidroxipropil}-5-N^3,N^3-dipropilisoftalamida	MH+ = 612.4
464	312	"5-Me-PHTH"	N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[3-(trifluorometil)benzil]amino}propil)-5-metilN^3,N^3-dipropilisoftalamida	MH+ = 620.3
466	314	"5-Me-PHTH"	N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(7-metoxi-1,2,3,4-tetrahidro-1-naftalenil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida racémico	MH+ = 814
467	315	"5-Me-PHTH"	N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-	MH+ = 636.3

			hidroxi-3-{[3-(trifluorometoxi)benzil]amino}propil)-5-metilN^3,N^3-dipropilisoftalamida	
468	316	"5-Me-PHTH"	N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-fluorobenzil)amino]-2-hidroxipropil}-5-metil-N^3,N^3-dipropilisoftalamida	MH+ = 570.3
469	317	"5-Me-PHTH"	N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-isopropoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida	MH+ = 610.4
470	318	"5-Me-PHTH"	N^1-[(1S,2R)-3-[(3-bromobenzil)amino]-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida	MH+ = 631.6
471	319	"5-Me-PHTH"	N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(5-metil-2-furil)metil]amino}-	MH+ = 556

			propil)-5-metil-N^3,N^3-dipropilisoftalamida	
472	320	"5-Me-PHTH"	N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(5-metoxi-1,2,3,4-tetrahidro-1-naftalenil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida "isómero A"	MH+ = 622
473	321	"5-Me-PHTH"	N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(5-metoxi-1,2,3,4-tetrahidro-1-naftalenil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida "isómero A"	MH+ = 622

EJEMPLO 474

N^1-[(1S,2R)-1-benzil-2-hidroxi-3-1,2,3,4-tetrahidro-1-naftalenilamino)propil]-N^3,N^3-dipropilisoftalamida (X)

Siguiendo el procedimiento general de los EJEMPLOS 6 y 321-473 y realizando variaciones no críticas pero iniciando con (2R,3S)-3-amino-4-fenil-1-(1,2,3,4-tetrahidro-1-naftalenilamino-2-butanol (VIII) y usando "PHTH", el título del compuesto se obtiene, MH^+=542,3.

EJEMPLOS 475-483

Siguiendo el procedimiento general del EJEMPLO 6 y realizando variaciones no críticas pero iniciando con la amina (VIII) de Columna A y reaccionando esta con el agente formador de amida (IX) de la Columna B, la amina sustituta (X) de la Columna C se obtiene.

Ejemplo	Columna A Amina (VIII) Comp. de Ejemplo	Columna B Agente formador de amina (IX)	Columna C Amina sustituida (X)	Columna D Datos físicos
475	271	"5-OMe-PHTH"	N^1-[(1S,2R)-3-(benzilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metoxi-N^3,N^3-dipropilisoftalamida	MH+ = 568.2
			N^1-[(1S,2R)-3-	

476	271	"PHTH"	(benzilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil]-N^3,N^3-dipropilisoftalamida	MH+ = 538.2
477	271	"5-Cl-PHTH"	N^1-[(1S,2R)-3-(benzilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-cloro-N^3,N^3-dipropilisoftalamida	MH+ = 572.1
478	271	"3,5-piridinil"	N^3-[(1S,2R)-3-(benzilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil]-N^5,N^5-dipropil-3,5-piridinidicarboxamida	MH+ = 539.5
479	271	"5-F-PHTH"	N^1-[(1S,2R)-3-(benzilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-fluoro-N^3,N^3-dipropilisoftalamida	MH+ = 556.3
480	271	"tienil"	N^2-[(1S,2R)-3-(benzilamino)-1-(3,5-	MH+ = 544.2

			difluorobenzil)-2-hidroxipropil]-N^5,N^5-dipropil-2,5-tiofenodicarboxamida	
481	271	"2,4-piridinil"	N^4-[(1S,2R)-3-(benzilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil]-N^2,N^2-dipropil-2,4-piridinidicarboxamida	MH+ = 539.3
482	271	"4,6-pirimidinil"	N^4-[(1S,2R)-3-(benzilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil]-N^6,N^6-dipropil-4,6-pirimidinidicarboxamida	MH+ = 540
483	271	"morfolinil"	N-[(1S,2R)-3-(benzilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil]-3-(4-morfolinilcarbonil)benzamida	MH+ = 552

EJEMPLO 484

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metilbenzil)amino]propil}-N^3,N^3-dipropilisoftalamida (X)

Siguiendo el procedimiento general de los EJEMPLOS 4 y 14-107 y realizando variaciones no críticas pero iniciando con tert-butil 1-(2-oxiranil)-2-feniletilcarbamato (V, comercialmente disponible) y NH2-CH2-fenil-(3-CH3) (VI), el alcohol protegido (VII) correspondiente se obtiene.

Siguiendo el procedimiento general del EJEMPLO 5 y 170-320 y realizando variaciones no críticas, la amina correspondiente (VIII) se obtiene.

Siguiendo el procedimiento general del EJEMPLO 6 y 321-483 y realizando variaciones no críticas pero usando "PHTH" (IX), el compuesto del título se obtiene, MH^+=516.

688

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EJEMPLO 485

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^5,N^5-dipropilpentanodiamida (X)

Siguiendo el procedimiento general del EJEMPLO 6 y realizando variaciones no criticas y usando (2R,3S)-3-amino-4-(3,5-difluorofenil)-1-[(3-metoxibenzil)amino]-2-butanol (VIII, EJEMPLO 5) con ácido 5-(dipropilamino)-5-oxopentanoico (IX), el compuesto del título se obtiene, MH^+=534.2.

EJEMPLO 486

N^1-[(1S,2R)-3-{[(1R)-1-[(benziloxi)metil]-2-(isobutilamino)-2-oxoetil]amino}-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^5,N^5-dipropilisoftalamida (X)

Siguiendo los procedimientos generales de los EJEMPLOS 124, 280 y 432 y realizando variaciones no críticas pero utilizando la amina C-terminal "R" (VI) se obtiene el título del compuesto, MH^+ = 695.

EJEMPLO 487

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(1R)-1-(hidroximetil)-2-(isobutilamino)-2-oxoetil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida (X)

Siguiendo los procedimientos generales de los EJEMPLOS 128, 284 y 436 y realizando variaciones no críticas pero utilizando la amina C-terminal "R" (VI), se obtiene el título del compuesto, MH^+ = 719.

EJEMPLO 488

N^1-[(1S,2R)-1-benzil-2-hidroxi-3-(pentilamino)propil]-N^3,N^3-dipropilisoftalamida (X)

Siguiendo los procedimientos generales de los EJEMPLOS 96, 252 y 403 y realizando variaciones no

críticas pero utilizando $NH_2-(CH_2)_4-CH_3$ como la amina C-terminal (VI), se obtiene el título del compuesto, MH^+ = 481.

EJEMPLO 489

N^1-[(1S)-3-({2-[4-aminosulfonil)fenil]etil}amino)-1-benzil-2-hidroxipropil]-N^3,N^3-dipropilisoftalamida (X)

Siguiendo los procedimientos generales de los EJEMPLOS 61, 217 y 368 y realizando variaciones no críticas pero utilizando la amina C-terminal racémica (VI), se obtiene el compuesto del título, MH^+ = 595.

EJEMPLO 491

N^3-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(1,3-tiazol-5-ilmetil)amino]propil}-N^5,N^5-dipropil-3,5-piridinodicarboxamida (X)

Siguiendo el procedimiento general del EJEMPLO 457 y realizando variaciones no críticas y utilizando (2R,3S)-3-amino-4-(3,5-difluorofenil)-1-[(1,3-tiazol-5-ilmetil)amino]-2-butanol (VIII, EJEMPLO 305) con "3,5-

piridinil" como el agente formador de amida(IX), se obtiene el compuesto del título.

EJEMPLO 492

3-benzoil-N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}benzamida (X)

Siguiendo el procedimiento general del EJEMPLO 452 y realizando variaciones no críticas y utilizando (2R,3S)-3-amino-4-(3,5-difluorofenil)-1-[(3-metoxibenzil)amino]-2-butanol trifluoroacetato (VIII, EJEMPLO 5) y haciéndolo reaccionar con fenil-CO-fenil-CO-H (IX) donde la unión al anillo-fenilo es 1,3- para los grupos carbonilo, se obtiene el compuesto de título, MH^+ = 545,2

EJEMPLO 493

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-1,1'-difenil)-3-carboxamida (X)

Siguiendo el procedimiento general del EJEMPLO 452 y realizando variaciones no críticas y utilizando (2R,3S)-

3-amino-4-(3,5-difluorofenil)-1-[(3-metoxibenzil)amino]-2-butanol trifluoroacetato (VIII, EJEMPLO 5) y haciéndolo reaccionar con fenil-fenil-CO-OH (IX) donde la unión al anillo-fenilo medio es 1,3- para los grupos carbonilo, y otro -fenilo, se obtiene el compuesto de título, MH^+ = 517,2.

EJEMPLO 494

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metilbenzil)amino]propil}-N^3,N^3-dipropilisoftalamida (X)

Siguiendo el procedimiento general del EJEMPLO 452 y realizando variaciones no críticas y utilizando (2R,3S)-3-amino-4-(3,5-difluorofenil)-1-[(3-metoxibenzil)amino]-2-butanol trifluoroacetato (VIII, EJEMPLO 5) y haciéndolo reaccionar con $(CH_3-O-CH_2-CH_2-)_2N-CO-CO-OH$ (IX) donde la unión al anillo-fenilo es 1,3- para los grupos carbonilo, se obtiene el compuesto de título, MH^+ = 570,3.

EJEMPLO 495

N^1-[(1S,2R)-3-(benzilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil]-N^3-(2-metoxietil)-N^3-propilisoftalamida (X)

Siguiendo el procedimiento general del EJEMPLO 452 y realizando variaciones no críticas y utilizando (2R,3S)-3-amino-1-(benzilamino)-4-(3,5-difluorofenil)-2-butanol (VIII, EJEMPLO 271) y haciéndolo reaccionar con (CH_3-CH_2-CH_2-)(CH_3-OCH_2-CH_2-)N—CO-fenilo (IX) donde la unión al anillo-fenilo es 1,3- para los grupos carbonilo, se obtiene el compuesto de título, MH^+ = 554,5.

EJEMPLO 496

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3-etoxibenzamida (X)

Siguiendo el procedimiento general del EJEMPLO 452 y realizando variaciones no críticas y utilizando (2R,3S)-3-amino-4-(3,5-difluorofenil)-1-[(3-metoxibenzil)amino]-2-butanol trifluoroacetato (VIII, EJEMPLO 5) y haciéndolo reaccionar con CH_3-CH_2-O-fenil-CO-OH (IX) donde la unión

al anillo-fenilo es 1,3- para los grupos carbonilo, y etoxi, se obtiene el compuesto de título, MH^+ = 485.

EJEMPLO 497

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-2-naftamida (X)

Siguiendo el procedimiento general del EJEMPLO 452 y realizando variaciones no críticas y utilizando (2R,3S)-3-amino-4-(3,5-difluorofenil)-1-[(3-metoxibenzil)amino]-2-butanol trifluoroacetato (VIII, EJEMPLO 5) y haciéndolo la posición reactin*orto*, se obtiene el compuesto del título MH^+ = 491.

EJEMPLO 498

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(1R)-1,2,3,4-tetrahidro-1-naftalenilamino]propil}-5-metil-N^3,N^3-dipropilisoftalamida (X)

Siguiendo el procedimiento general de los EJEMPLOS 4, 5 y 6 y realizando variaciones no críticas pero utilizando tert-butil (1S)-2-(3,5-difluorofenil)-1-[(2S)-

oxiranil]etilcarbamato (V, EJEMPLO 3), 1,2,3,4-tetrahidro-1-naftalenilamina (VI) y "5-Me-PHTH" (IX), se obtiene el compuesto del título, MH^+ = 588.

EJEMPLO 499

N^1-[(1R)-3-{[3,5-bis(trifluorometil)benzil]amino}-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida (X)

Siguiendo el procedimiento general de los EJEMPLOS 4, 5 y 6 y realizando variaciones no críticas pero utilizando tert-butil (1S)-2-(3,5-difluorofenil)-1-[(2S)-oxiranil]etilcarbamato (V, EJEMPLO 3), H2N-CH2-fenil-(3,5-ditrifluorometil) (VI) y "5-Me-PHTH" (IX), se obtiene el compuesto del título, MH^+ = 688.

EJEMPLO 500

N^1-((1S,2R)-1-benzil-3-{[2-fluoro-5-(trifluorometil)benzil]amino}-2-hidroxipropil]-N^3,N^3-dipropilisoftalamida (X)

Siguiendo el procedimiento general de los EJEMPLOS 4, 5 y 6 y realizando variaciones no críticas pero utilizando tert-butil 1-(2-oxiranil)-2-feniletilcarbamato (V), H_2N,-CH_2-fenil-(2-fluoro-5-trifluorometil) (VI) y "PHTH" (IX), se obtiene el compuesto del título, MH^+ = 588.

EJEMPLO 501

N^1-{(1S,2R)-1-benzil-3-[(2,3-difluorobenzil)amino]-2-hidroxipropil]-N^3,N^3-dipropilisoftalamida (X)

Siguiendo el procedimiento general de los EJEMPLOS 4, 5 y 6 y realizando variaciones no críticas pero utilizando tert-butil 1-(2-oxiranil)-2-feniletilcarbamato (V), H_2N-CH_2-fenil-(2,3-difluoro) (VI) y "PHTH" (IX), se obtiene el compuesto del título, MH^+ = 538.

EJEMPLO 502

N^1-((1S,2R)-1-benzil-3-{[3-fluoro-4-(trifluorometil)benzil]amino}-2-hidroxipropil]-N^3,N^3-dipropilisoftalamida (X)

Siguiendo el procedimiento general de los EJEMPLOS 4, 5 y 6 y realizando variaciones no críticas pero utilizando tert-butil 1-(2-oxiranil)-2-feniletilcarbamato (V), H_2N,-CH_2-fenil-(3-fluoro-4-trifluorometil) (VI) y "PHTH" (IX), se obtiene el compuesto del título, MH^+ = 588.

EJEMPLO 503

N^1-{(1S,2R)-1-benzil-3-[(2,5-difluorobenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida (X)

Siguiendo el procedimiento general de los EJEMPLOS 4, 5 y 6 y realizando variaciones no críticas pero utilizando tert-butil 1-(2-oxiranil)-2-feniletilcarbamato (V), H_2N,-CH_2-fenil-(2,5-difluoro) (VI) y "PHTH" (IX), se obtiene el compuesto del título, MH^+ = 538.

EJEMPLO 504

N^1-((1S,2R)-1-benzil-3-{[3-fluoro-5-(trifluorometil)benzil]amino}-2-hidroxipropil)-N^3,N^3-dipropilisoftalamida (X)

Siguiendo el procedimiento general de los EJEMPLOS 4, 5 y 6 y realizando variaciones no críticas pero utilizando tert-butil 1-(2-oxiranil)-2-feniletilcarbamato (V), H_2N,-CH_2-fenil-(3-fluoro-5-trifluorometil) (VI) y "PHTH" (IX), se obtiene el compuesto del título, MH^+ = 588.

EJEMPLO 505

N^1-{(1S,2R)-1-benzil-3-[(3,4-difluorobenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida (X)

Siguiendo el procedimiento general de los EJEMPLOS 4, 5 y 6 y realizando variaciones no críticas pero utilizando tert-butil 1-(2-oxiranil)-2-feniletilcarbamato (V), H_2N,-CH_2-fenil-(3,4-difluoro) (VI) y "PHTH" (IX), se obtiene el compuesto del título, MH^+ = 538.

EJEMPLO 506

N^1-((1S,2R)-1-benzil-3-{[4-fluoro-3-(trifluorometil)benzil]amino}-2-hidroxipropil)-N^3,N^3-dipropilisoftalamida (X)

Siguiendo el procedimiento general de los EJEMPLOS 4, 5 y 6 y realizando variaciones no críticas pero utilizando tert-butil 1-(2-oxiranil)-2-feniletilcarbamato (V), H_2N,-CH_2-fenil-(3-trifluorometil-4-fluoro) (VI) y "PHTH" (IX), se obtiene el compuesto del título, MH^+ = 588.

EJEMPLO 507

N^1-((1S,2R)-1-benzil-3-{[2-cloro-5-(trifluorometil)benzil]amino}-2-hidroxipropil]-N^3,N^3-dipropilisoftalamida (X)

Siguiendo el procedimiento general de los EJEMPLOS 4, 5 y 6 y realizando variaciones no críticas pero utilizando tert-butil 1-(2-oxiranil)-2-feniletilcarbamato (V), H_2N,-CH_2-fenil-(2-cloro-5-trifluorometil) (VI) y "PHTH" (IX), se obtiene el compuesto del título, MH^+ = 605.

EJEMPLO 508

N^1-((1S,2R)-1-benzil-3-{[4-cloro-3-(trifluorometil)benzil]amino}-2-hidroxipropil]-N^3,N^3-dipropilisoftalamida (X)

Siguiendo el procedimiento general de los EJEMPLOS 4, 5 y 6 y realizando variaciones no críticas pero utilizando tert-butil 1-(2-oxiranil)-2-feniletilcarbamato (V), H_2N,-CH_2-fenil-(3-trifluorometil-4-cloro) (VI) y "PHTH" (IX), se obtiene el compuesto del título, MH^+ = 605.

EJEMPLO 509

N^1-[(1S,2R)-1-benzil-3-(2,3-dihidro-1-inden-2-ilamino-2-hidroxipropil]-N^3,N^3-dipropilisoftalamida (X)

Siguiendo el procedimiento general de los EJEMPLOS 4, 5 y 6 y realizando variaciones no críticas pero utilizando tert-butil 1-(2-oxiranil)-2-feniletilcarbamato (V), H_2N-(2,3-dihidro-1H-inden-2-il) y "PHTH" (IX), se obtiene el compuesto del título, MH^+ = 528.

EJEMPLO 510

N^1-[(1S)-1-benzil-2-hidroxi-3-[(3-nitrobenzil)amino]propil}-N^3,N^3-dipropilisoftalamida (X)

Siguiendo el procedimiento general de los EJEMPLOS 4, 5 y 6 y realizando variaciones no críticas pero utilizando tert-butil 1-(2-oxiranil)-2-feniletilcarbamato (V), H_2N-CH_2-fenil-3-nitro (VI) y "PHTH" (IX), se obtiene el compuesto del título, MH^+ = 547.

EJEMPLO 511

N^1-((1S,2R)-1-benzil-3-{(3-(difluorometoxi)benzil]amino}-2-hidroxipropil)-N^3,N^3-dipropilisoftalamida (X)

Siguiendo el procedimiento general de los EJEMPLOS 4, 5 y 6 y realizando variaciones no críticas pero utilizando tert-butil 1-(2-oxiranil)-2-feniletilcarbamato (V), H_2N-CH_2-fenil-3-(-O-CHF_2) (VI) y "PHTH" (IX), se obtiene el compuesto del título, MH^+ = 538.

EJEMPLO 512

N^1-{(1S,2R)-1-benzil-3-[(3-etoxibenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida (X)

Siguiendo el procedimiento general de los EJEMPLOS 4, 5 y 6 y realizando variaciones no críticas pero utilizando tert-butil 1-(2-oxiranil)-2-feniletilcarbamato (V), H_2N-CH_2-fenil-(3-O-CHF_3) (VI) y "PHTH" (IX), se obtiene el compuesto del título, MH^+ = 546.

EJEMPLO 513

N^1-((1S,2R)-1-benzil-2-hidroxi-3-{[(5-metil-2-pirazinil)metil]amino}propil)-N^3,N^3-dipropilisoftalamida (X)

Siguiendo el procedimiento general de los EJEMPLOS 4, 5 y 6 y realizando variaciones no críticas pero utilizando tert-butil 1-(2-oxiranil)-2-feniletilcarbamato (V), 5-metil-2-metilaminoprazina (VI) y "PHTH" (IX), se obtiene el compuesto del título, MH^+ = 518.

EJEMPLO 514

N^1-{(1S,2R)-1-benzil-3-[(3-bromo-4-fluorobenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida (X)

Siguiendo el procedimiento general de los EJEMPLOS 4, 5 y 6 y realizando variaciones no críticas pero utilizando tert-butil 1-(2-oxiranil)-2-feniletilcarbamato (V), H_2N-CH_2-fenil-(3-bromo-4-fluoro) (VI) y "PHTH" (IX), se obtiene el compuesto del título, MH^+ = 599.

EJEMPLO 515

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3,5dimetilbenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida (X)

Siguiendo el procedimiento general de los EJEMPLOS 4, 5 y 6 y realizando variaciones no críticas pero utilizando tert-butil (1S)-2-((3,5-difluorofenil)-1-[(2S)-oxiranil]etilcarbamato (V), H_2N-CH_2-fenil-(3,5-dimetil) (VI) y "5-Me-PHTH" (IX), se obtiene el compuesto del título, MH^+ = 580.

EJEMPLO 516

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etoxibenzil)amino]-2-hidroxipropil}-5-metil-N^3,N^3-dipropilisoftalamida (X)

Siguiendo el procedimiento general de los EJEMPLOS 4, 5 y 6 y realizando variaciones no críticas pero utilizando tert-butil (1S)-2-((3,5-difluorofenil)-1-[(2S)-oxiranil]etilcarbamato (V), H_2N-CH_2-fenil-(3-etoxi) (VI) y "5-Me-PHTH" (IX), se obtiene el compuesto del título, MH^+ = 596.

EJEMPLO 517

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(2-fenoxietil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida (X)

Siguiendo el procedimiento general de los EJEMPLOS 4, 5 y 6 y realizando variaciones no críticas pero utilizando tert-butil (1S)-2-((3,5-difluorofenil)-1-[(2S)-oxiranil]etilcarbamato (V), H_2N-CH_2-CH_2-O-fenil (VI)

y "5-Me-PHTH" (IX), se obtiene el compuesto del título, MH^+ = 582.

EJEMPLO 518

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-isobutoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida (X)

Siguiendo el procedimiento general de los EJEMPLOS 4, 5 y 6 y realizando variaciones no críticas pero utilizando tert-butil (1S)-2-(3,5-difluorofenil)-1-[(2S)-oxiranil]etilcarbamato (V), H_2N-CH_2-fenil-O-i-butil (VI) y "5-Me-PHTH" (IX), se obtiene el compuesto del título, MH^+ = 624.

EJEMPLO 519

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(4-metil-1,3-tiazol-2-il)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida (X)

Siguiendo el procedimiento general de los EJEMPLOS 4, 5 y 6 y realizando variaciones no críticas pero

utilizando tert-butil (1S)-2-(3,5-difluorofenil)-1-[(2S)-oxiranil]etilcarbamato (V), H_2N-CH_2-4-,etil-1,3-tiazol-2-il (VI) y "5-Me-PHTH" (IX), se obtiene el compuesto del título, MH^+ = 573.

EJEMPLO 520

N^1-[(1S,2R)-3-(benzilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil]-N^3-metil-N^3-propilisoftalamida (X)

Siguiendo el procedimiento general de los EJEMPLOS 4, 5 y 6 y realizando variaciones no críticas pero utilizando tert-butil (1S)-2-(3,5-difluorofenil)-1-[(2S)-oxiranil]etilcarbamato (V), H_2N-CH_2-fenil (VI) y (CH_3-CH_2,-CH_2-)(CH_3-)N-CO-(CH_3-)fenilo-CO-OH (IX), donde la unión al anillo -fenilo para los carbonilos es 1-, 3- y 5- para el grupo metilo, se obtiene el compuesto del título, MH^+ = 510.

EJEMPLO 521

N^2-[(1S,2R)-3-(benzilamino)-1-(3,5-difluorobenzil)-hidroxipropil]-N^5,N^5-dipropil-2,5-furandicarboxamida (X)

Siguiendo el procedimiento general de los EJEMPLOS 4, 5 y 6 y realizando variaciones no críticas pero utilizando tert-butil (1S)-2-(3,5-difluorofenil)-1-[(2S)-oxiranil]etilcarbamato (V), H_2N-CH_2-fenil (VI) y ($CH_3-CH_2,-CH_2-)_3N$-CO- (2,5-furanilo)-CO- (IX), se obtiene el compuesto del título, MH^+ = 528.

EJEMPLO 522

N^3-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[3-(trifluorometil)benzil]amino}propil)-N^5,N^5-dipropil-3,5-piridinodicarboxamida (X)

Siguiendo el procedimiento general de los EJEMPLOS 4, 5 y 6 y realizando variaciones no críticas pero utilizando tert-butil (1S)-2-(3,5-difluorofenil)-1-[(2S)-oxiranil]etilcarbamato (V), $H_2N-C(-CH_3)_2$-fenil (VI) y "3,5-piridinil" (IX), se obtiene el compuesto del título, MH^+ = 607.

EJEMPLO 523

N^3-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(1-metil-1-feniletil)amino]propil}-N^5,N^5-dipropil-3,5-piridinodicarboxamida (X)

Siguiendo el procedimiento general de los EJEMPLOS 4, 5 y 6 y realizando variaciones no críticas pero utilizando tert-butil (1S)-2-(3,5-difluorofenil)-1-[(2S)-oxiranil]etilcarbamato (V), H_2N-C(-CH_3)$_2$-fenil (VI) y "3,5-piridinil" (IX), se obtiene el compuesto del título, MH^+ = 567.

EJEMPLO 524

N^1-[(1S,2R)-3-amino-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-N^3,N^3-dipropilisoftalamida (X)

Siguiendo el procedimiento general de las gráficas A, C y D y EJEMPLOS 165-168 y sin hacer variaciones críticas, pero utilizando tert-butil (1S)-2-(3,5-difluorofenil)-1-[(2S)-oxiranil]etilcarbamato (V), y "5-Me-PHTH" (IX), se obtiene el compuesto del título, MH^+ = 462.

EJEMPLO 525

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(1,2-difeniletil)amino]-2-hidroxipropil}-5-metil-N^3,N^3-dipropilisoftalamida (X)

Siguiendo el procedimiento general de los EJEMPLOS 4, 5 y 6 y realizando variaciones no críticas pero utilizando tert-butil (1S)-2-(3,5-difluorofenil)-1-[(2S)-oxiranil]etilcarbamato (V), NH_2-CHO-CH_2-fenil (VI) y "5-Me-PHTH" (IX), se obtiene el compuesto del título, MH^+ = 642.

EJEMPLO 526

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(7-metoxi-1,2,3,4-tetrahidro-1-naftalenil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida, isómero A (X)

Siguiendo el procedimiento general de los EJEMPLOS 4, 5 y 6 y realizando variaciones no críticas pero utilizando tert-butil (1S)-2-(3,5-difluorofenil)-1-[(2S)-oxiranil]etilcarbamato (V), 7-metoxi-1,2,3,4-tetrahidro-

1-naftalenilamina (VI) y "5-Me-PHTH" (IX), se obtiene el compuesto del título, MH^+ = 622.

EJEMPLO 527

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(7-metoxi-1,2,3,4-tetrahidro-1-naftalenil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida, isómero B (X)

Siguiendo el procedimiento general de los EJEMPLOS 4, 5 y 6 y realizando variaciones no críticas pero utilizando tert-butil (1S)-2-(3,5-difluorofenil)-1-[(2S)-oxiranil]etilcarbamato (V), 7-metoxi-1,2,3,4-tetrahidro-1-naftalenilamina (VI) y "5-Me-PHTH" (IX), se obtiene el compuesto del título, MH^+ = 622.

EJEMPLO 528

Benzil-(1S,2R)-3-azido-1-(3,5-difluorobenzil)-2-hidroxipropilcarbamato (XII)

Siguiendo el procedimiento general del EJEMPLO 165 y realizando variaciones no críticas pero iniciando con benzil(1S)-2-(3,5-difluorofenil)-1-[(2S)-

oxiranil]etilcarbamato (V, EJEMPLO 13), se obtiene el compuesto del título.

EJEMPLO 529

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3-(dimetilamino)benzamida (X)

Siguiendo el procedimiento general de los EJEMPLOS 4, 5 y 6 y realizando variaciones no críticas pero utilizando tert-butil 1-(2-oxiranil)-2-feniletilcarbamato (V), 3-metoxibenzilamina (VI) y ácido 3-dimetilaminobenzoico (IX), se obtiene el compuesto del título MH^+ = 448.

EJEMPLO 530

N-(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil]-2-metil-1H-benzimidazol-5-carboxamida (X)

Siguiendo el procedimiento general de los EJEMPLOS 4, 5 y 6 y realizando variaciones no críticas pero utilizando tert-butil 1-(2-oxiranil)-2-feniletilcarbamato

(V), 3-metoxibenzilamina (VI) y ácido 2-metil-1H-benzimidazol-5-carboxílico (IX), se obtiene el compuesto del título MH^+ = 459.

EJEMPLO 531

3-(aminosulfonil)-N-{(1S)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-4-clorobenzamida (X)

Siguiendo el procedimiento general de los EJEMPLOS 4, 5 y 6 y realizando variaciones no críticas pero utilizando tert-butil 1-(2-oxiranil)-2-feniletilcarbamato (V), 3-metoxibenzilamina (VI) y ácido 3-(aminosulfonil)-4-clorobenzoico (IX), se obtiene el compuesto del título MH^+ = 519.

EJEMPLO 532

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3-cianobenzamida (X)

Siguiendo el procedimiento general de los EJEMPLOS 4, 5 y 6 y realizando variaciones no críticas pero utilizando tert-butil 1-(2-oxiranil)-2-feniletilcarbamato

(V), 3-metoxibenzilamina (VI) y ácido 3-cianobenzoico (IX), se obtiene el compuesto del título MH^+ = 430.

EJEMPLO 533

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]-propil}-4-cloro-3-nitrobenzamida (X)

Siguiendo el procedimiento general de los EJEMPLOS 4, 5 y 6 y realizando variaciones no críticas pero utilizando tert-butil 1-(2-oxiranil)-2-feniletilcarbamato (V), 3-metoxibenzilamina (VI) y ácido 4-cloro-3-nitrobenzoico (IX), se obtiene el compuesto del título MH^+ = 484.

EJEMPLO 534

Metil 3-[({(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]-propil}amino)carbonil]-5-nitrobenzoato (X)

Siguiendo el procedimiento general de los EJEMPLOS 4, 5 y 6 y realizando variaciones no críticas pero utilizando tert-butil 1-(2-oxiranil)-2-feniletilcarbamato

(V), 3-metoxibenzilamina (VI) y ácido 3-nitro-5-(metil-O-CO-)fenil-CO-OH (IX), se obtiene el compuesto del título MH^+ = 508.

EJEMPLO 535

Tert-butil 3-[({(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}amino)carbonil]-fenilcarbamato (X)

Siguiendo el procedimiento general de los EJEMPLOS 4, 5 y 6 y realizando variaciones no críticas pero utilizando tert-butil 1-(2-oxiranil)-2-feniletilcarbamato (V), 3-metoxibenzilamina (VI) y 3-(t-butil-O-CO-NH)-fenil-CO-OH (IX), se obtiene el compuesto del título MH^+ = 520.

EJEMPLO 536

N-[(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil]-9,10-dioxo-9,10-dihidro-2-antourancenilcarboxamida (X)

Siguiendo el procedimiento general de los EJEMPLOS 4, 5 y 6 y realizando variaciones no críticas pero utilizando tert-butil 1-(2-oxiranil)-2-feniletilcarbamato (V), 3-metoxibenzilamina (VI) y ácido 9,10-dioxo-9,10-dihidro-2-antourancenilcarboxílico (IX), se obtiene el compuesto del título MH^+ = 535.

EJEMPLO 537

N-[(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil]-1H-1,2,3-benzotriazol-6-carboxamida (X)

Siguiendo el procedimiento general de los EJEMPLOS 4, 5 y 6 y realizando variaciones no críticas pero utilizando tert-butil 1-(2-oxiranil)-2-feniletilcarbamato (V), 3-metoxibenzilamina (VI) y ácido 1H-1,2,3-benzotriazolil-6-carboxílico (IX), se obtiene el compuesto del título MH^+ = 446.

EJEMPLO 538

N-[(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil]-4-(3-metil-5-oxo-4,5-dihidro-1H-pirazol-1-il)benzamida (X)

Siguiendo el procedimiento general de los EJEMPLOS 4, 5 y 6 y realizando variaciones no críticas pero utilizando tert-butil 1-(2-oxiranil)-2-feniletilcarbamato (V), 3-metoxibenzilamina (VI) y ácido 4-(3-metil-5-oxo-4,5-dihidro-1H-pirazol-1-il)benzoico (IX), se obtiene el compuesto del título MH^+ = 501.

EJEMPLO 539

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]-propil}-1H-indol-5-carboxamida (X)

Siguiendo el procedimiento general de los EJEMPLOS 4, 5 y 6 y realizando variaciones no críticas pero utilizando tert-butil 1-(2-oxiranil)-2-feniletilcarbamato (V), 3-metoxibenzilamina (VI) y ácido indol-5-carboxílico (IX), se obtiene el compuesto del título MH^+ = 444.

EJEMPLO 540

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3-fluoro-5-(trifluorometil)benzamida (X)

Siguiendo el procedimiento general de los EJEMPLOS 4, 5 y 6 y realizando variaciones no críticas pero utilizando tert-butil 1-(2-oxiranil)-2-feniletilcarbamato (V), 3-metoxibenzilamina (VI) y ácido 3-fluoro-5-(trifluorometil)benzoico (IX), se obtiene el compuesto del título MH^+ = 491.

EJEMPLO 541

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]-propil}-3-(trifluorometil)benzamida (X)

Siguiendo el procedimiento general de los EJEMPLOS 4, 5 y 6 y realizando variaciones no críticas pero utilizando tert-butil 1-(2-oxiranil)-2-feniletilcarbamato (V), 3-metoxibenzilamina (VI) y ácido 3-

(trifluorometil)benzoico (IX), se obtiene el compuesto del título MH^+ = 473.

EJEMPLO 542

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]-propil}-4-(butilamino)benzamida (X)

Siguiendo el procedimiento general de los EJEMPLOS 4, 5 y 6 y realizando variaciones no críticas pero utilizando tert-butil 1-(2-oxiranil)-2-feniletilcarbamato (V), 3-metoxibenzilamina (VI) y ácido 4-(butilamino)benzoico (IX), se obtiene el compuesto del título MH^+ = 476.

EJEMPLO 543

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]-propil}-3-(trifluorometoxi)benzamida (X)

Siguiendo el procedimiento general de los EJEMPLOS 4, 5 y 6 y realizando variaciones no críticas pero utilizando tert-butil 1-(2-oxiranil)-2-feniletilcarbamato

(V), 3-metoxibenzilamina (VI) y ácido 3-(trifluorometoxi)benzoico (IX), se obtiene el compuesto del título MH^+ = 489.

EJEMPLO 544

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]-propil}-3,5-dimetoxibenzamida (X)

Siguiendo el procedimiento general de los EJEMPLOS 4, 5 y 6 y realizando variaciones no críticas pero utilizando tert-butil 1-(2-oxiranil)-2-feniletilcarbamato (V), 3-metoxibenzilamina (VI) y ácido 3,5-dimetoxibenzoico (IX), se obtiene el compuesto del título MH^+ = 465.

EJEMPLO 545

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]-propil}-3,5-dimetoxibenzamida (X)

Siguiendo el procedimiento general de los EJEMPLOS 4, 5 y 6 y realizando variaciones no críticas pero utilizando tert-butil 1-(2-oxiranil)-2-feniletilcarbamato

(V), 3-metoxibenzilamina (VI) y ácido 3,5-dimetoxibenzoico (IX), se obtiene el compuesto del título MH^+ = 433.

EJEMPLO 546

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]-propil}-3,5-difluorobenzamida (X)

Siguiendo el procedimiento general de los EJEMPLOS 4, 5 y 6 y realizando variaciones no críticas pero utilizando tert-butil 1-(2-oxiranil)-2-feniletilcarbamato (V), 3-metoxibenzilamina (VI) y ácido 3,5-difluorobenzoico (IX), se obtiene el compuesto del título MH^+ = 441.

EJEMPLO 547

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]-propil}-3,5-diclorobenzamida (X)

Siguiendo el procedimiento general de los EJEMPLOS 4, 5 y 6 y realizando variaciones no críticas pero utilizando tert-butil 1-(2-oxiranil)-2-feniletilcarbamato

(V), 3-metoxibenzilamina (VI) y ácido 3,5-diclorobenzoico (IX), se obtiene el compuesto del título MH^+ = 474.

EJEMPLO 548

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]-propil}-4-(benziloxi)benzamida (X)

Siguiendo el procedimiento general de los EJEMPLOS 4, 5 y 6 y realizando variaciones no críticas pero utilizando tert-butil 1-(2-oxiranil)-2-feniletilcarbamato (V), 3-metoxibenzilamina (VI) y ácido 4-(benziloxi)benzoico (IX), se obtiene el compuesto del título MH^+ = 511.

EJEMPLO 549

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]-propil}-1,3-benzodioxol-5-carboxamida (X)

Siguiendo el procedimiento general de los EJEMPLOS 4, 5 y 6 y realizando variaciones no críticas pero utilizando tert-butil 1-(2-oxiranil)-2-feniletilcarbamato

(V), 3-metoxibenzilamina (VI) y ácido 1,3-benzodioxol-5-carboxílico (IX), se obtiene el compuesto del título MH^+ = 449.

EJEMPLO 549

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]-propil}-1,3-benzodioxol-5-carboxamida (X)

Siguiendo el procedimiento general de los EJEMPLOS 4, 5 y 6 y realizando variaciones no críticas pero utilizando tert-butil 1-(2-oxiranil)-2-feniletilcarbamato (V), 3-metoxibenzilamina (VI) y ácido 1,3-benzodioxol-5-carboxílico (IX), se obtiene el compuesto del título MH^+ = 449.

EJEMPLO 550

3-(acetilamino)-N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]-propil}benzamida (X)

Siguiendo el procedimiento general de los EJEMPLOS 4, 5 y 6 y realizando variaciones no críticas pero

utilizando tert-butil 1-(2-oxiranil)-2-feniletilcarbamato (V), 3-metoxibenzilamina (VI) y ácido 3-(acetilamino)benzoico (IX), se obtiene el compuesto del título MH^+ = 462.

EJEMPLO 551

4-(acetilamino)-N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}benzamida (X)

Siguiendo el procedimiento general de los EJEMPLOS 4, 5 y 6 y realizando variaciones no críticas pero utilizando tert-butil 1-(2-oxiranil)-2-feniletilcarbamato (V), 3-metoxibenzilamina (VI) y ácido 4-(acetilamino)benzoico (IX), se obtiene el compuesto del título MH^+ = 462.

EJEMPLO 552

N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[(3,5-dimetil-4-isoxazol)metil]amino}-2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida (X)

Siguiendo el procedimiento general de los EJEMPLOS 4, 5 y 6 y realizando variaciones no críticas pero utilizando tert-butil (1S)-2-(3,5-difluorofenil)-1-[(2S)-oxiranil]etilcarbamato (V, EJEMPLO 3), 3,5-dimetil-4-isoxazolilmetilamina (VI) y "5-Me-PHTH" (IX), se obtiene el compuesto del título MH^+ = 571,3.

EJEMPLO 553

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-fenilpropil)amino]propil}-5-metil {[(3,5-dimetil-4-isoxazol)metil]amino}-2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida (X)

Siguiendo el procedimiento general de los EJEMPLOS 4, 5 y 6 y realizando variaciones no críticas pero utilizando tert-butil (1S)-2-(3,5-difluorofenil)-1-[(2S)-oxiranil]etilcarbamato (V, EJEMPLO 3), 3,5-dimetil-4-isoxazolilmetilamina (VI) y "5-Me-PHTH" (IX), se obtiene el compuesto del título MH^+ = 571,3.

EJEMPLO 554

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-furilmetil)amino]-2-hidroxipropil}-5-metil-N^3,N^3-dipropilisoftalamida (X)

Siguiendo el procedimiento general de los EJEMPLOS 4, 5 y 6 y realizando variaciones no críticas pero utilizando tert-butil (1S)-2-(3,5-difluorofenil)-1-[(2S)-oxiranil]etilcarbamato (V, EJEMPLO 3), 3,5-furilmetilamina (VI) y "5-Me-PHTH" (IX), se obtiene el compuesto del título MH^+ = 542.

EJEMPLO 555

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(tetrahidro-3-furanilmetil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida (X)

Siguiendo el procedimiento general de los EJEMPLOS 4, 5 y 6 y realizando variaciones no críticas pero utilizando tert-butil (1S)-2-(3,5-difluorofenil)-1-[(2S)-oxiranil]etilcarbamato (V, EJEMPLO 3), (tetrahidro-3-

furanilmetil)amina (VI) y "5-Me-PHTH" (IX), se obtiene el compuesto del título MH^+ = 546.

EJEMPLO 556

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-propoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida (X)

Siguiendo el procedimiento general de los EJEMPLOS 4, 5 y 6 y realizando variaciones no críticas pero utilizando tert-butil (1S)-2-(3,5-difluorofenil)-1-[(2S)-oxiranil]etilcarbamato (V, EJEMPLO 3), (3-propoxibenzil)amina (VI) y "5-Me-PHTH" (IX), se obtiene el compuesto del título MH^+ = 610.

EJEMPLO 557

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(2-piridinilmetil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida (X)

Siguiendo el procedimiento general de los EJEMPLOS 4, 5 y 6 y realizando variaciones no críticas pero

utilizando tert-butil (1S)-2-(3,5-difluorofenil)-1-[(2S)-oxiranil]etilcarbamato (V, EJEMPLO 3), (2-piridinilmetil)amina (VI) y "5-Me-PHTH" (IX), se obtiene el compuesto del título MH^+ = 553.

EJEMPLO 558

N^1-[(1S,2R)-3-(benzilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-hidroxi-N^3,N^3-dipropilisoftalamida (X)

Siguiendo el procedimiento general de los EJEMPLOS 4, 5 y 6 y realizando variaciones no críticas pero utilizando tert-butil (1S)-2-(3,5-difluorofenil)-1-[(2S)-oxiranil]etilcarbamato (V, EJEMPLO 3), benzilamina (VI) y ácido 5-hidroxi-N,N-dipropilisoftálico (IX), se obtiene el compuesto del título MH^+ = 554.

EJEMPLO 559

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[1-metil-1-(3-metilfenil)etil]amino}propilo)-5-metil-N^3,N^3-dipropilisoftalamida (X)

Siguiendo el procedimiento general de los EJEMPLOS 4, 5 y 6 y realizando variaciones no críticas pero utilizando tert-butil (1S)-2-(3,5-difluorofenil)-1-[(2S)-oxiranil]etilcarbamato (V, EJEMPLO 3), [1-metil-1-(3-metilfenil)etil]amina (VI) y "5-Me-PHTH" (IX), se obtiene el compuesto del título MH^+ = 594.

EJEMPLO 560

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(1S)-1,2,3,4-tetrahidro-1-naftalenilamino]propil}-5-metil-N^3,N^3-dipropilisoftalamida (X)

Siguiendo el procedimiento general de los EJEMPLOS 4, 5 y 6 y realizando variaciones no críticas pero utilizando tert-butil (1S)-2-(3,5-difluorofenil)-1-[(2S)-oxiranil]etilcarbamato (V, EJEMPLO 3), (1S)-1,2,3,4-tetrahidro-1-naftalenilamina (VI) y "5-Me-PHTH" (IX), se obtiene el compuesto del título MH^+ = 592.

EJEMPLO 561

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(2,5-dimetilbenzil)amino]-2-hidroxipropil}-5-metil-N^3,N^3-dipropilisoftalamida (X)

Siguiendo el procedimiento general de los EJEMPLOS 4, 5 y 6 y realizando variaciones no críticas pero utilizando tert-butil (1S)-2-(3,5-difluorofenil)-1-[(2S)-oxiranil]etilcarbamato (V, EJEMPLO 3), 2,5-dimetilbenzilamina (VI) y "5-Me-PHTH" (IX), se obtiene el compuesto del título MH^+ = 580.

EJEMPLO 562

N^1-[(1S,2R)-3-{[2-cloro-5-(trifluorometil)benzil]amino}-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida (X)

Siguiendo el procedimiento general de los EJEMPLOS 4, 5 y 6 y realizando variaciones no críticas pero utilizando tert-butil (1S)-2-(3,5-difluorofenil)-1-[(2S)-oxiranil]etilcarbamato (V, EJEMPLO 3), 2-cloro-5-

trifluorobenzilamina (VI) y "5-Me-PHTH" (IX), se obtiene el compuesto del título MH^+ = 654.

EJEMPLO 563

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(2-hidroxi-5-metilbenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida (X)

Siguiendo el procedimiento general de los EJEMPLOS 4, 5 y 6 y realizando variaciones no críticas pero utilizando tert-butil (1S)-2-(3,5-difluorofenil)-1-[(2S)-oxiranil]etilcarbamato (V, EJEMPLO 3), 2-hidroxi-5-metilbenzilamina (VI) y "5-Me-PHTH" (IX), se obtiene el compuesto del título MH^+ = 582.

EJEMPLO 564

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(1S,2R)-2-hidroxi-2,3-dihidro-1H-inden-1-il]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida (X)

Siguiendo el procedimiento general de los EJEMPLOS 4, 5 y 6 y realizando variaciones no críticas pero

utilizando tert-butil (1S)-2-(3,5-difluorofenil)-1-[(2S)-oxiranil]etilcarbamato (V, EJEMPLO 3), [(1S,2R)-2-hidroxi-2,3-dihidro-1H-inden-1-il]amina (VI) y "5-Me-PHTH" (IX), se obtiene el compuesto del título MH^+ = 582.

EJEMPLO 565

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(1R)-2,3-dihidroxi-1H-inden-1-ilamino]-2-hidroxipropil}-5-metil-N^3,N^3-dipropilisoftalamida (X)

Siguiendo el procedimiento general de los EJEMPLOS 4, 5 y 6 y realizando variaciones no críticas pero utilizando tert-butil (1S)-2-(3,5-difluorofenil)-1-[(2S)-oxiranil]etilcarbamato (V, EJEMPLO 3), (1R)-2,3-dihidro-1H-inden-1-ilamina (VI) y "5-Me-PHTH" (IX), se obtiene el compuesto del título MH^+ = 578.

EJEMPLO 566

5-cloro-N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(1-metil-1-feniletil)amino]propil}-N^3,N^3-dipropilisoftalamida (X)

Siguiendo el procedimiento general de los EJEMPLOS 4, 5 y 6 y realizando variaciones no críticas pero utilizando tert-butil (1S)-2-(3,5-difluorofenil)-1-[(2S)-oxiranil]etilcarbamato (V, EJEMPLO 3), (1-metil-1-feniletil)amina (VI) y "5-Cl-PHTH" (IX), se obtiene el compuesto del título MH^+ = 601.

EJEMPLO 567

N^1-[(1S,2R)-3-[(1-benzofuran-2-ilmetil)amino]-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida (X)

Siguiendo el procedimiento general de los EJEMPLOS 4, 5 y 6 y realizando variaciones no críticas pero utilizando tert-butil (1S)-2-(3,5-difluorofenil)-1-[(2S)-oxiranil]etilcarbamato (V, EJEMPLO 3), (1-benzofuran-2-ilmetil)amina (VI) y "5-Me-PHTH" (IX), se obtiene el compuesto del título MH^+ = 592.

EJEMPLO 568

N^1-[(1S,2R)-3-{[(1R)-1-(3-bromofenil)etil]amino}-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida (X)

Siguiendo el procedimiento general de los EJEMPLOS 4, 5 y 6 y realizando variaciones no críticas pero utilizando tert-butil (1S)-2-(3,5-difluorofenil)-1-[(2S)-oxiranil]etilcarbamato (V, EJEMPLO 3), (1R)-1-(3-bromofenil)etil]amina (VI) y "5-Me-PHTH" (IX), se obtiene el compuesto del título MH^+ = 645.

EJEMPLO 569

N^1-{(1S,2R)-1-(4-fluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida (X)

Siguiendo el procedimiento general de los EJEMPLOS 4, 5 y 6 y realizando variaciones no críticas pero utilizando tert-butil (1S)-2-(3,5-difluorofenil)-1-[(2S)-oxiranil]etilcarbamato (V, EJEMPLO 3), 3-yodobenzilamina

(VI) y "5-Me-PHTH" (IX), se obtiene el compuesto del título MH^+ = 660.

EJEMPLO 570

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3-[butil(butiril)amino-5-metilbenzamida (X)

Siguiendo el procedimiento general de los EJEMPLOS 4, 5 y 6 y realizando variaciones no críticas pero utilizando tert-butil 1-(2-oxiranil)-2-feniletilcarbamato (V), 3-metoxibenzilamina (VI) y ácido 3-[butil(butiril)amino]-5-metilbenzoico (IX), se obtiene el compuesto del título MH^+ = 560.

EJEMPLO 571

N^1-{1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-4-metil-N^3,N^3-dipropilisoftalamida (X)

Siguiendo el procedimiento general de los EJEMPLOS 4, 5 y 6 y realizando variaciones no críticas pero

utilizando tert-butil 1-(2-oxiranil)-2-feniletilcarbamato (V), 3-metoxibenzilamina (VI) y ácido 4-metil-N,N-dipropilisoftálico (IX), se obtiene el compuesto del título MH^+ = 546.

EJEMPLO 572

N^3-{1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-4-metil-N^1,N^1-dipropilisoftalamida(X)

Siguiendo el procedimiento general de los EJEMPLOS 4, 5 y 6 y realizando variaciones no críticas pero utilizando tert-butil 1-(2-oxiranil)-2-feniletilcarbamato (V), 3-metoxibenzilamina (VI) y ácido 4-metil-N,N-dipropilisoftálico (IX), se obtiene el compuesto del título MH^+ = 546.

EJEMPLO 573

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-4-metil-N^3,N^3-dipropilisoftalamida(X)

Siguiendo el procedimiento general de los EJEMPLOS 4, 5 y 6 y realizando variaciones no críticas pero utilizando tert-butil (1S)-2-(3,5-difluorobenzil)-1-[(2S)-oxiranil]etilcarbamato (V), 3-metoxibenzilamina (VI) y ácido 4-metil-N,N-dipropilisoftálico (IX), se obtiene el compuesto del título MH^+ = 582.

EJEMPLO 574

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-1-butil-1H-indol-6-carboxamida(X)

Siguiendo el procedimiento general de los EJEMPLOS 4, 5 y 6 y realizando variaciones no críticas pero utilizando tert-butil 1-(2-oxiranil)-2-feniletilcarbamato (V), 3-metoxibenzilamina (VI) y ácido 1-butil-1H-indol-6-carboxílico (IX), se obtiene el compuesto del título MH^+ = 500.

EJEMPLO 575

N^1-[(1S,2R)-3-anilino-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida (X)

Siguiendo el procedimiento general de los EJEMPLOS 4, 5 y 6 y realizando variaciones no críticas pero utilizando tert-butil (1S)-2-(3,5-difluorofenil)-1-[(2S)-oxiranil]etilcarbamato (V), anilina (VI) y "5-Me-PHTH" (IX), se obtiene el compuesto del título MH^+ = 538.

EJEMPLO 576

5-bromo-N^1-[(1S,2R)-3-[(3-bromobenzil)amino]-1-(3,5-difluorobenzil)-2-hidroxipropil]-N^3,N^3-dipropilisoftalamida (X)

Siguiendo el procedimiento general de los EJEMPLOS 4, 5 y 6 y realizando variaciones no críticas pero utilizando tert-butil (1S)-2-(3,5-difluorofenil)-1-[(2S)-oxiranil]etilcarbamato (V), 3-bromobenzilamina (VI) y ácido 5-bromo-N,N,dipropilisoftálico (IX), se obtiene el compuesto del título MH^+ = 696.

EJEMPLO 577

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-4-metilpentanamida (X)

Siguiendo el procedimiento general de los EJEMPLOS 4, 5 y 6 y realizando variaciones no críticas pero utilizando tert-butil (1S)-2-(3,5-difluorofenil)-1-[(2S)-oxiranil]etilcarbamato (V), 3-yodobenzilamina (VI) y ácido 4-metilpentanoico (IX), se obtiene el compuesto del título MH^+ = 531.

EJEMPLO 578

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-3-metilpentanamida (X)

Siguiendo el procedimiento general de los EJEMPLOS 4, 5 y 6 y realizando variaciones no críticas pero utilizando tert-butil (1S)-2-(3,5-difluorofenil)-1-[(2S)-oxiranil]etilcarbamato (V), 3-yodobenzilamina (VI) y CH_3-CH_2-CH(CH_3-)-CH_2-CO-OH (IX), se obtiene el compuesto del título MH^+ = 531.

EJEMPLO 579

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-hidroxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida (X)

Siguiendo el procedimiento general de los EJEMPLOS 4, 5 y 6 y realizando variaciones no críticas pero utilizando tert-butil (1S)-2-(3,5-difluorofenil)-1-[(2S)-oxiranil]etilcarbamato (V), 3-hidroxibenzilamina (VI) y "5-Me-PHTH" (IX), se obtiene el compuesto del título MH^+ = 568.

EJEMPLO 580

Tert-butil (1S)-1-(3,5-difluorobenzil)-3-[(3-metoxibenzil)amino]-2-oxopropilcarbamato (XI)

El tert-butil (1S)-3-bromo-1-(3,5-difluorobenzil)-2-oxopropilcarbamato (III, EJEMPLO 1, 1 equivalente) es disuelto en isopropanol y tratado con 3-metoxibenzilamino (VI, 5 equivalentes). La reacción es calentada en reflujo durante dos horas y monitoreada por TLC por desaparición de la cetona (III). Una vez completa, la reacción es concentrada por secado bajo presión reducida y

particionada entre partes iguales de agua y etilacetato. La fase orgánica se extrajo, se lavó dos veces adicionales con agua, solución salina, luego secada sobre sulfato de sodio anhidro, filtrado y concentrado bajo presión reducida. El material crudo se purificó mediante cromatografía sobre sílica gel para dar el compuesto del título.

EJEMPLO 581

Tert-butil (1S,2R)-3-amino-1-(3,5-difluorobenzil)-2-hidroxipropilcarbamato (XIII)

Tert-butil (1S,2R)-3-azido-1-(3,5-difluorobenzil)-2-hidroxipropilcarbamato (XII, EJEMPLO 165, 1 equivalente) es disuelto en metanol y tratado con 10% de paladio sobre carbón bajo hidrógeno (50 psi). La reacción es agitada a 20-25° C durante dos horas, filtrada a través de tamiz diatomáceo, y concentrada bajo presión reducida para secado. El material crudo es purificado mediante cromatografía de columna sobre sílica gel para el compuesto del título.

EJEMPLO 581

Tert-butil (1S,2R)-3-amino-1-(3,5-difluorobenzil)-2-hidroxipropilcarbamato (XIII)

Tert-butil-(1S,2R)-3-azido-1-(3,5-difluorobenzil)-2-hidroxipropilcarbamato (XII, EJEMPLO 165, 1 equivalente) se disolvió en metanol y trató con 10% de paladio sobre carón bajo hidrógeno (50 psi). La reacción se agitó a 20-25 grados C durante dos horas, filtrada a través de tamiz diatomáceo, y concentrada bajo presión reducida para secado. El material crudo es purificado mediante cromatografía sobre sílica gel para dar el compuesto del título.

EJEMPLO 582

Benzil (1S,2R)-1-benzil-2-hidroxi-3-[(3-{metoxibenzil)amino]propilcarbamato (VII)

Una mezcla de benil (1S)-1-[(2S(-oxiranil]-2-feniletilcarbamato (V, 0,22 g, 0,74 mmol), 3-metoxibenzilamina (VI, 0,13 g, 0,92 mmol), y etanol (2 ml) es agitado en reflujo durante 2,3 horas y luego

enfriado y concentrado bajo presión reducida. El residuo es cromatografiado (sílica gel; metanol/diclorometano/hidróxido de amonio, 4/96/trazas) para dar el compuesto del título, MS m/z en $(m + H)^+$ 435,2.

EJEMPLO 583

Benzil (1S,2R)-1-benzil-2-hidroxi-3-(tert-butilcarbamoil)-3-[(3-metoxibenzil)amino]propil-carbamato (XXXIV)

Una mezcla de benzil (1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil-carbamato (VII)), EJEMPLO 582, 900 g, 21,0 mmol), di-tert-butil dicarbonato (5,00 g, 23 mmol), monohidrato carbonato de sodio (3,12 g, 25 mmol), THF (200 ml), y agua (100 ml) es agitado e 20-225° C durante dos horas. El THF es removido bajo presión reducida y el residuo es particionado entre etil acetato y agua. La capa orgánica se entonces lavada y solución salina, secada sobre sulfato de sodio anhidroso, filtrada y concentrada. Cromatografía de columna (sílica gel; metanol/diclorometano, 1/99) dio el compuesto del título, RMN (300 MHz, $CDCl_3$) delta 7,26, 6,79, 5,00, 4,42, 3,89,

3,78, 3,44, 3,28, 2,91, 1,49; MS (ESI+) para $C_{31}H_{38}N_2O_6$ m/z (M+H)+ = 535,3.

EJEMPLO 584

Tert-butil (2R,3S)-3-amino-2-hidroxi-4-fenilbutil(3metoxibenzil)carbamato (XXXV)

Una suspensión de benzil (1,2R)-1-benzil-2-hidroxi-3-(tert-butilcarbamoil)-3-[(3-metoxibenzil)amino]propil-carbamato (XXXIV) EJEMPLO 583, 10,2 g, 18,6 mmol) y paladio sobre carbono (5%, 1,00 g) en etanol (100 ml) es agitado en un aparato de hidrogenación bajo hidrógeno (50 psi) durante dos horas. Luego la mezcla se filtró a través de tamiz diatomáceo y concentrado. El concentrado es cromatografiado (sílica gel; metanol/diclorometano, 5/95) para dar el compuesto del título, RMN ($CDCl_3$)δ 1,50, 2,46, 2,92, 3,04, 3,42, 3,52, 3,73, 3,82,4,49, 6,83, 7,19 y 7,28; MS (ESI+) para $C_{23}H_{32}N_2O_4$ m/z (M+H)+ = 401,3.

EJEMPLO 585

Tert-butil (2R,3S)-3-({3-ciano-5-[(dipropilamino)carbonil]benzoil}carbamato (XXXVI)

A una mezcla de ácido 3-ciano-5-[(dipropilamino)carbonil]benzoico (IX/XXXXII), PREPARACIÓN 7, 0,086 g, 0,314 mmol) y tert-butil (2R,3S)-3-amino-2-hidroxi-4-fenilbutil(3-metoxibenzil)carbamato (XXXV), EJEMPLO 584, 0,126 g, 0,314 mmol) en diclorometano (0,3 ml) se agregó dietilcianofosfonato (0,062 g, 0,330 mmol) y trietilamina (0,032 g, 0,316 mmol). La mezcla es agitada a 20-25° C durante 65 horas y luego particionada entre diclorometano y bicarbonato de sodio acuoso saturado. El residuo es cromatografiado (sílica gel, 20 ml; metanol/diclorometano, 5/95) para dar varias fracciones mezcladas, las cuales son combinadas y recromatografiadas (sílica gel; acetona/hexano, 20/80) para dal el compuesto del título, MS (ESI+) para $C_{38}H_{48}N_4O_6$ m/z 657,6 $(M+H)^+$ = 657,6; (M+Na) = 679,5 y $(M-C_4H_9O_2)$ = 557,5.

EJEMPLO 586

Clorhidrato N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-ciano-N^3,N^3-dipropilisoftalamida (X)

A una mezcla de tert-butil(2R,3S)-3-({3-ciano-5-[(dipropilamino)carbonil]benzoil}amino-2-hidroxi-4-fenilbutil(3-metoxibenzil)carbamato (XXXVI, EJEMPLO 585, 0,80 g, 0,122 mmol), diclorometano (1 ml), y metanol saturado con ácido clorhídrico (1 ml) se agitó durante 8 horas, al cabo de este tiempo los solventes se removieron bajo presión reducida. Unas pocas gotas de metanol, seguidas por éter, dio el compuesto del título, MS (ESI+) para $C_{33}H_{40}N_4O_4$ m/z $(M+H)^+$ = 557,5.

EJEMPLO 587

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida (X)

A una mezcla de ácido 3-(aminocarbonil)-5-[(dipropilamino)carbonil]benzoico (IX, PREPARACIÓN 6.

0,18 g, 0,616 mmol) en DMF seco (16 ml) se agregó EDC (0,182 g, 0,9 mmol), HOBT (0,127 g, mmol), trrietilamina (0,062 g, 0,616 mol), y (2R,3S)-3-aino-1-[(3-metoxibenzilo)amino]-4-fenil-2-butanol (VIII, EJEMPLO 175, 0,185 g, 0,616 mmol). La mezcla es agitada a 20-25° C durante tres días. Le mezcla es particionada entre agua y etilacetato. Las fases son separadas y la fase orgánica es lavada tres veces con agua. La fase orgánica es secada sobre sulfato de magnesio anhidroso, filtrada y concentrada. Cromatografía de columna (sílica gel, 75 ml; metanol/cloruro de metileno, 10/90) dio el compuesto del título, IR (reflactancia difusa 3306, 3301, 3270, 2962, 1676, 1667, 1663, 1645, 1638, 1627, 1615, 1550, 1537, 1450, 1439 cm^{-1}; RMN ($CDCl_3$)δ 0,645, 0,968, 1,20, 1,43, 1,67, 2,8, 2,97, 3,38, 3,47, 3,37, 3,87, 4,31, 6,78, 6,91, 7,23, 7,72, 7,87, 8,22 y 8,43.

EJEMPLO 558

1-tert-butil (1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amiuno]propilcarbamato (VII)

tert-butil (1S)-2-(3,5-difluorobenzil)-1-[(2S)-oxiranil]etilcarbamato (V, EJEMPLO 3, 1,75 g, 5,8 mmol) es mezclado con isopropanol (30 ml). El frasco de reacción es cargado con 3-yodobenzilamina (VI). La mezcla de reacción es calentada a reflujo durante 45 minutos, el análisis HPLC indica desaparición completa del epóxido (V). La mezcla de reacción es concentrada bajo presión reducida y el residuo es particionado entre etilacetato (150 ml) y ácido clorhídrico acuoso (3%, 325 ml). La fase orgánica es separada y lavada con ácido clorhídrico acuoso (3%, 20 ml), bicarbonato, solución salina y secado sobre sulfato de sodio. La concentración bajo presión reducida dio el compuesto del título, M + H = 535.

EJEMPLO 589

Clorhidrato 1-9H-fluoren-9-ilmetil (2R,3S)-3-(3-t-butiloxicarbonil)amino-4-(3,5-difluorobenzil)-2-hidroxibutil(3'-yodobenzil)carbamato (XXXIV)

1-tert-butil (1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propilcarbamato (VII, EJEMPLO 588, 2,5 g, 4,7 mmol) y trietilamina (0,72 ml, 5,1 mmol) en THF (10 ml) se mezclaron. La reacción es

enfriada a o grados y tratada con FMOC-Cl (1,2 g, 4,7 mmol) en THF (2 ml) vía túnel de adición. Después de 15 minutos el HPLC indica desaparición completa del material de partida. La reacción se diluyó con acetato de etilo y lavada con bisulfato de potasio acuoso, bicarbonato acuoso saturado, solución salina y se secado sobre sulfato de sodio. La concentración bajo presión reducida dio el producto crudo que se purificó mediante cromatografía de destello, eluando con acetato de etilo/hexano (20/80) seguido mediante acetato de etilo para dar el compuesto del título, M + H = 757.

EJEMPLO 590

Clorhidrato 1-9H-fluoren-9-ilmetil (2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil(3-yodobenzil)carbamato (XXXV)

Clorhidrato 1-9H-fluoren-9-ilmetil (2R,3S)-3-(3-t-butiloxicarbonil)amino-4-(3,5-difluorofenil)-2-hidroxibutil(3'-yodobenzil)carbamato (XXXIV), EJEMPLO 589, 2 ,9 g) en ácido lorhídrico/dioxano (4N, 10 ml). La mezcla se agitó 1 hora luego lentamente vertida dentro de éter agitado rápidamente (200 ml). El producto es

filtrado y secado para dar el compuesto del tituló, M + H = 657.

EJEMPLO 591

1-9H-fluoren-9-ilmetil (2R,3S)-4-(3,5-difluorofenil)-2-hidroxi-3-{[5-oxo-5-(1{piperidinil)pentanoil]amino}butil(3-yodobenzil)carbamato (XXXVI)

HOBt (81 mg, 0,6 mmoles) y EDC (105 mg, 0,55 mmoles) fueron agregados a 1-carboxi-5-piperidinilglutaramida (IX, 100 mg, 0,5 mmoles) en DMF (2 ml). El ácido es activado 60 minutos luego tratado con clorhidrato 1-9H-fluoren-9-ilmetil (2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil(3-yodobenzil)carbamato (XXXV, EJEMPLO 590, 300 mg, 0,43 mmoles) y NMM (0,19 ml, 1,72 mmoles). La reacción es agitada durante 3 horas luego concentrada bajo presión reducida. El residuo es particionado entre acetato de etilo y bicarbonato acuoso saturado. Las fases orgánicas se lavaron con bisulfato de potasio acuoso, solución salina, secada sobre sulfato de sodio y finalmente concentrada bajo presión reducida para dar producto crudo. La purificación vía cromatografía de

destello con acetato de etilo/hexano (50750) luego metanol/acetato de etilo (10/90) dio el compuesto del título, M + H = 838.

EJEMPLO 592

Trifluoroacetato 1-N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propoil}-5-oxo-5-)1-piperidinil)pentanamida (XXXVI, EJEMPLO 591, 240 mg, 0,29 mmoles se disolvieron en dietilamina (10%, 9 ml) en cloruro de metileno. La reacción se agitó a 20-25 grados durante la noche. La mañana siguiente la reacción se concentró bajo presión reducida y el residuo se redisolvió en cloruro de metileno y purificó mediante fase HPLC reversa preparativa. Las fracciones apropiadas se juntaron y concentraron bajo presión reducida y particionadas entre acetato de etilo y solución salina. La fase orgánica se separó y secó sobre sulfato de sodio y concentrada para dar el compuesto del título, M + H = 614.

EJEMPLO 593

5-(aminosulfonil)-N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N-dipropilisoftalamida (X)

hexafluorofosfato O-(7-azabenzotriazol-1-il)-N,N,N',N'-tetrametiluronio (HATU, 0,0928 g, 0,244 mmol) se agregó a una mezcla de, ácido 3-(aminosulfonil)-5-[(dipropilamino)-carbonil]benzoico (XXXIX, PREPARACIÓN 13, 0,0800 g, 0,244 mmol) y (2R,3S)-3-amino-1-[(3-metoxibenzil)-amino]-4-fenil-2-butanol (VIII, EJEMPLO 175, 0,0732 g, 0,244 mmoles) en DMF seco (3 ml). La mezcla se agitó durante 18 horas a 20-25 grados, y luego particionada entre acetato de etilo y agua. La fase orgánica se separó y lavó con solución salina, secada sobre sulfato de sodio anhidroso, filtrada y concentrada. El concentrado se cromatografió en columna (sílica gel; metanol/diclorometano, 5/95) para dar el compuesto del título, MS (ESI+) para $C_{32}H_{42}N_4O_6S$ m/z $(M+H)^+$ = 611,5; HRMS (FAB) calculado para $C_{32}H_{42}N_4O_6S$ + H_1 = 611.2903, encontrado = 611.2904.

EJEMPLO 594

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N-dipropil-5-(1-pirrolidinilsulfonil)isoftalamida (X)

Siguiendo el procedimiento general del EJEMPLO 593 y realizando variaciones no críticas pero usando ácido 3-[(dipropilamino)carbonil]-5-(1-pirrolidinilsulfonil)bvenzoico (XXXIX, PREPARACIÓN 15, se obtiene el compuesto del tituklo, ES (ESI+) para $C_{36}H_{48}N_4O_6S$ m/z (M+H)+ = 665,5; HRMS (FAB) calculado para $C_{36}H_{48}N_4O_6S + H_1$ = 665.3372, encontrado = 665.3393.

Ejemplo 595

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-[(metilamino)sulfonil]-N^3,N^3-dipropilisoftalamida (X)

Siguiendo el procedimiento general del ejemplo 593 y realizando variaciones no críticas pero usando ácido 3-[(dipropilamino)carbonil]-5-[(metilamino)-sulfonil]benzoico (XXXIX, PREPARACIÓN 17, se obtiene el

compuesto del título, MS (ESI+) para $C_{33}H_{44}N_4O_6S$ m/z $(M+H)^+$ = 625,5.

Ejemplo 596

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-[(dimetilamino)sulfonil]-N^3,N^3-dipropilisoftalamida (X)

Siguiendo el procedimiento general del EJEMPLO 593 y realizando variaciones no críticas pero usando ácido 3-[(dimetilamino)sulfonil]-5-[(dipropilamino)carbonil]-benzoico (XXXIX, PREPARACIÓN 19), el compuesto del título se obtiene, MS (ESI+) para $C_{34}H_{46}N_4O_6S$ *m/z* $(M+H)^+$=639.5.

EJEMPLOS 597-619

Siguiendo el procedimiento general de los EJEMPLOS 589 hasta 592 pero iniciando con (2R,3S)-3-amino-1-[(3-metoxibenzil)amino]-4-fenil-2-butanol (VIIII, EJEMPLO 175) y usando el agente formador de amida (IX) de la

Columna A, la amina sustituta (X) de la columna B se obtiene.

Ejemplo #	Columna A Agente Formador de Amida (IX)	Columna B Amina sustituta (X)	Datos MS M + H
597	Ácido 2-metil-3-(metilsulfonil)propanoico	Hidrocloruro N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-2-metil-3-(metilsulfonil)propanamida	449
598	Ácido 3-(metilsulfonil)propanoico	Hidrocloruro N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3-(metilsulfonil)propanamida	435
599	Hidrocloruro de Ácido 2-amino-1,3-tiazol-4-carboxílico	Dihidrocloruro de 2-amino-N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-1,3-tiazol-4-	427

		carboxamida	
600	Ácido 5-(metilsulfonil)pentanoico	Hidrocloruro N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-(metilsulfonil)pentanamida	463
601	Ácido 4-anilino-4-oxobutanoico	Hidrocloruro N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^4-fenilsuccinamida	476
602	Ácido (2R)-4-amino-2,3,3-trimetil-4-oxobutanoico	Hidrocloruro (3R)-N^4-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-2,2,3-trimetilbutanamida	442
603	Ácido 3-[(dipropilamino)sulfonil]propanoico	Hidrocloruro N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3-[(dipropilamino)sulfonil]-propanamida	520
604	Ácido 5-(dipropilamino)-5-	Hidrocloruro N^1-{(1S,2R)-1-benzil-	498

	oxopentanoico	2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^5,N^5-dipropilpentanadiamida	
605	Ácido 4-oxo-4-(1-piperidinil)butanoico	Hidrocloruro N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-4-oxo-4-(1-piperidinil)butanamida	468
606	Ácido 4-(dipropilamino)-4-oxobutanoico	Hidrocloruro N~1~{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^4,N^4-dipropilsuccinamida	484
607	Ácido 5-oxo-5-(1-piperidinil)pentanoico	Hidrocloruro N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-oxo-5-(1-piperidinil)pentanamida	482
608	Ácido 5-anilino-5-oxopentanoico	Hidrocloruro N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-	490

		metoxibenzil)amino]propil}-N^5-oxo-5-fenilpentanamida	
609	Ácido 3,3-dimetil-4-oxo-4-(1-piperidinil)butanoico	Hidrocloruro N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3,3-dimetil-4-oxo-4-(1-piperidinil)butanamida	496
610	Ácido 4-(isopentilsulfonil)butanoico	Hidrocloruro N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-4-(isopentilsulfonil)butanamida	505
611	Ácido 4-(dipropilamino)-2,2-dimetil-4-oxobutanoico	Hidrocloruro N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-2,2-dimetil-N^4,N^4-dipropilsuccinamida	512
612	Ácido 4-(dipropilamino)sulfonil]butanoico	Hidrocloruro N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-4-	534

		[(dipropilamino)sulfonil]butanamida	
613	Ácido 4-[(metilanilino)sulfonil]butanoico	Hidrocloruro N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-4-[(metilanilino)sulfonil]butanamida	540
614	Ácido 3-[(metilanilino)sulfonil]propanoico	N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3-[(metilanilino)sulfonil]propanamida	526
615	Ácido acético	Hidrocloruro N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil} acetamida	343
616	Ácido 3-(isopentilsulfonil)propanoico	Hidrocloruro N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3-(isopentilsulfonil)propanamida hidrocloruro	491
617	Ácido 5-oxo-5-(1-piperidinil)pentan	Trifluoroacetato N-{(1S,2R)-1-	614

	oico	benzil-2-hidroxi-3-[(3-yodobenzil)amino]propil}-5-oxo-5-(1-piperidinil)pentanamida	
618	Ácido 5-oxo-5-(1-piperidinil)pentanoico	Trifluoroacetato N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-yodobenzil)amino]propil}-5-oxo-5-(1-piperidinil)pentanamida	578
619	Ácido 3-[(dipropilamino)sulfonil]propanoico	N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-3-[(dipropilamino)sulfonil]propanamida	652

Ejemplo 620

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-etil-N^3,N^3-dipropilisoftalamida (X)

Dietil cianofosfonato (0,132 mL, 0,870 mmol) se agregó a una mezcla de ácido 3-[(dipropilamino)carbonil]-5-etilbenzoico (IX, PREPARACIÓN 21, 0,200 g, 0,720 mmol), (2R,3S)-3-amino-1-[(3-metoxibenzil)amino]-4-fenil-2-butanol ((VIII, EJEMPLO 175, 0,216 mg, 0,720 mmol), y trietilamino (0,121 mL, 0,870 mmol) en diclorometano (3 mL). La mezcla fue agitada durante 1 hora a 20-25 grados C. el diclorometano es entonces removido a presión reducida. El residuo es particionado entre etilacetato y agua. La fase orgánica se separa y es lavada con salina, secada sobre sulfato de sodio anhidro, filtrada y concentrada. El concentrado se cromatografía en columna (sílica gel; metanol/diclorometano, 5/95) para dar el compuesto del título, MS (ESI+) para $C_{34}H_{45}N_3O_4$ *m/z* $(M+H)^+$=560,4; HOURMS (FAB) calculado para $C_{34}H_{45}N_3O_4$ = 560,3488, encontrado = 560,3487.

EJEMPLO 621

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-isobutil-N^3,N^3-dipropilisoftalamida

Siguiendo el procedimiento general de PREPARACIONES 20 y 21 y realizando variaciones no críticas pero usando ácido isobutilborónico, y siguiendo el procedimiento general del EJEMPLO 620 pero usando el ácido 5-isobutilisoftálico (IX), el título del compuesto se obtiene, MS (ESI+) para $C_{36}H_{49}N_3O_4$ m/z $(M+H)^+$ = 588,6; HRMS (FAB) calculado para $C_{36}H_{49}N_3O_4$ + H1 = 588,3801, encontrado = 588,3810.

EJEMPLO 622

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-tert-butil-N^3,N^3-dipropilisoftalamida

Siguiendo el procedimiento general de PREPARACIONES 20 y 21 y realizando variaciones no críticas pero usando ácido tert-butilborónico, y siguiendo el procedimiento general del EJEMPLO 620 pero usando el ácido tert-butileftálico (IX), el título del compuesto se obtiene, MS (ESI+) para $C_{36}H_{49}N_3O_4$ *m/z* $(M+H)^+$ = 588,5; HRMS (FAB) calculado para $C_{36}H_{49}N_3O_4$ + H1 = 588,3801, encontrado = 588,3791.

EJEMPLO 623

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-ciano-N^3-propilisoftalamida (X)

Siguiendo el procedimiento general de EJEMPLO 586 y realizando variaciones no críticas pero usando tert-butil (2R,3S)-3-({3-ciano-5-[(propilamino)carbonil]benzoil}amino)-2-hidroxi-4-fenilbutil(3-metoxibenzil)carbamato (XXXVI) el título del compuesto se obtiene, M + H = 515.1

EJEMPLO 624-628

Siguiendo el procedimiento general del EJEMPLO 587 y realizando variaciones no críticas, pero usando las aminas apropiadas (VIII) y los agentes formadores de amida (IX), por ejemplo las PREPARACIONES 6 y 19, los títulos de compuestos se obtienen.

EJEMPLO	Amina sustituida (X)	M + H =
624	N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida	611.0
625	N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dimetil-N^5,N^5-dipropil-1,3,5-bencenotricarboxamida	603.0
626	N^1-[(1S,2R)-3-amino-1-benzil-2-hidroxipropil]-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida	455.1
627	N^1-[(1S,2R)-1-benzil-2-hidroxi-3-(isopentilamino)propil]-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida	525.6
628	N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3-propil-1,3,5-bencenotricarboxamida	533.1

EJEMPLO 629

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3-[butiril(propil)amino]-5-metilbenzamida (X)

Siguiendo el procedimiento del EJEMPLO 570 y realizando variaciones no críticas, dietil cianofosfonato (0,0760 mL, 0,550 mmol) se agregó a una mezcla de ácido 3-[butiril(propil)amino]-5-metilbenzoico (IX, 0,120 g, 0,460 mmol), (2R, 3S)-3-amino-1-[(3-metoxibenzil)amino]-4-fenil-2-butanol (VIII, 0,137 g, 0,460 mmol), y trietilamina (0,0760 mL, 0,550 mmol) en diclorometano (5 mL). La mezcla se agitó durante una hora a 20-25 grados C. el diclorometano es entonces removido bajo presión reducida. El residuo es particionado entre etil acetato y agua. El orgánico es separado, es lavado con salina, secado sobre sulfato de sodio anhidro, filtrado y concentrado. El concentrado es cromatografiado en columna (sílica gel; metanol/diclorometano, 5/95 para dar el título del compuesto, RMN (400 MHz, $CDCl_3$) δ 7,09, 4,15, 3,80, 3,79, 3,60, 3,02 2,84, 2,36, 1,94, 1,56, 1,49, 0,87 y 0,81; MS(ESI+) para $C_{33}H_{43}N_3O_4$ m/z (M+H)+=546,3; HRMS

(FAB) calculado para $C_{33}H_{43}N_3O_4$=546,3331, encontrado = 546,3331.

EJEMPLO 630

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-1-propil}-1-propil-1H-indol-6-carboxamida

Siguiendo el procedimiento del EJEMPLO 539 y realizando variaciones no críticas, se obtiene el compuesto del título, IR (reflactancia difusa) 3330, 3314, 2960, 2952, 2931, 2873, 1621, 1599, 1525, 1499, 1467, 1353, 1283, 1253 y 786 cm^{-1}.

EJEMPLO 631

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}propil}-1-propil-1H-indol-6-carboxamida (X)

Siguiendo el procedimiento del EJEMPLO 539 y realizando variaciones no críticas, se obtiene el compuesto del título, IR (reflactancia difusa) 3289,

1627, 1621, 1595, 1531, 1525, 1520 1507, 1466, 1458, 1450, 1349, 1317, 1252 y 1117 cm^{-1}.

EJEMPLOS 633-708

Siguiendo los procedimientos generales del gráfico A así como también las PREPARACIONES 1-13, EJEMPLOS 1-13, 321-48-579, 597-622 Y 624-631 y realizando variaciones no críticas y utilizando reactivos apropiados, las aminas sustituidas (X) de los EJEMPLOS 633-708 se obtienen.

EJEMPLO	AMINA SUSTITUIDA (X)	MH^+=
633	N-{(1S,2R)-1-(3,5-difluorobenzil)-2-[(3,4-dimetilbenzil)amino]-2-hidroxipropil}-5-metil-N^3,N^3-dipropilisoftalamida	580
634	N-[(1S,2R)-3-[(3-aminobenzil)amino]-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida	567
635	N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}octanamida	559
636	N^3-[(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-({1-metil-1-[3-(trifluorometil)fenil]etil}amino)propil]-N^5,N^5-dipropil-3,5-	635

	piridinodicarboxamida	
637	N^1-[(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-({1-metil-1-[3-(trifluorometil)fenil]etil}amino)propil]-5-metil-N^3,N^3-dipropilisoftalamida	648
638	N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(1R,2S)-2-hidroxi-2,3-dihidro-1H-inden-1-il]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida	594
639	N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(1R)-2,3-dihidro-1H-inden-1-ilamino]-2-hidroxipropil}-5-metil-N^3,N^3-dipropilisoftalamida	578
640	N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-3-metilbenzamida	551
641	N^1-[(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-(1H-isoindol-3-ilamino)propil]-5-metil-N^3,N^3-dipropilisoftalamida	577
642	N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(1R,2S,5R)-2-isopropil-5-metilciclohexil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida	600
643	N^1,N^1-dialil-5-cloro-N^3-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(1-metil-1-feniletil)amino]propil}isoftalamida	597
	5-cloro-N^1-{(1S,2R)-1-(3,5-	

644	difluorobenzil)-2-hidroxi-3-[(1-metil-1-feniletil)amino]propil}-N^3,N^3-bis(2-metoxietil)isoftalamida	633
645	N^3-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(1-fenilciclopentil)amino)propil}-N^5,N^5-dipropil-3,5-piridinodicarboxamida	593
646	N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-5-metil-N^3,N^3-dipropilisoftalamida	580
647	N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[3-(dimetilamino)benzil]amino}-2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida	595
648	N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[(4,5-dimetil-2-furil)metil]amino}-2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida	570
649	N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(1-fenilciclopentil)-amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida	606
650	N^1-[(1S,2R)-3-(ciclopropilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida	502
651	N^1-[(1S,2R)-3-[(ciclopropilmetil)amino]-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida	516

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652	N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-N^5,N^5-dipropilpentanodiamida	630
653	N^3-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(2-furilmetil)amino]-2-hidroxipropil}-N^5,N^5-dipropil-3,5-piridinodicarboxamida	529
654	N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(tetrahidro-2-furanilmetil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida	546
655	N^3-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(1-fenilciclopropil)amino]propil}-N^5,N^5-dipropil-3,5-piridinodicarboxamida	565
656	N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(2-oxo-3-azepanil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida	573
657	N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(3-metil-2-furil)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida	556
658	N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(2S)-tetrahidro-2-furanilmetil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida	546
659	5-cloro-N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(1-metil-	593

	1-feniletil)amino]propil}-N^3,N^3-di(2-propinil)isoftalamida	
660	N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-isopropenilbenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida	592
661	N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(2-propoxietil)amino]propil}-5-metil-metil-N^3,N^3-dipropilisoftalamida	548
662	N^1-[(1S,2R)-1-(3,5-difluorobenzil)-3-(hexilamino)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida	546
663	N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-4-(3-metil-5-oxo-4,5-dihidro-1H-pirazol-1-il)benzamida	633
664	Metil 4-({[(2E,3S)-4-(3,5-difluorobenzil)-3-({3-[(dipropilamino)carbonil]-5-metilbenzoil}amino-2-hidroxibutil]amino}metil)benzoato	610
665	N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(2-metoxietil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida	520
666	N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(5-isoxazolilmetil)amino]propil}-5-metil-	543

	N^3,N^3-dipropilisoftalamida	
667	(1R,2R)-N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-N^2,N^2-dipropil-1,2-ciclopropanodicarboxamida	628
668	N^3-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(2S)-tetrahidro-2-furanilmetil]amino}propil)-N^5,N^5-dipropil-3,5-piridinodicarboxamida	533
669	N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(2-metoxietil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida	582
670	N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-isopropilbenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida	594
671	4-(butirilamino)-N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}benzamida	622
672	N^1-[(1S,2R)-3-[(3-amino-3-oxopropil)amino]-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida	533
673	1-óxido N^3-[(1S,2R)-3-(benzilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil]-N^5,N^5-dipropil-3,5-piridinodicarboxamida	555
674	N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-	688

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	yodobenzil)amino]propil}-5-etinil-N^3,N^3-dipropilisoftalamida	
675	N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(7-oxabiciclo[2.2.1]hept-2-ilmetil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida	572
676	N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etinilbenzil)amino]-2-hidroxipropil}-5-metil-N^3,N^3-dipropilisoftalamida	576
677	N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(2-metil-1,3-tiazol-5-il)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida	573
678	N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[(2-etil-1,3-tiazol-5-il)metil]amino}-2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida	587
679	N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(3R)-2-oxoazepanil]amino}-propil)-5-metil-N^3,N^3-dipropilisoftalamida	573
680	N^1-[(1S,2R)-3-(ciclobutilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida	516
681	N^1-[(1S,2R)-3-(butilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-etinil-N^3,N^3-dipropilisoftalamida	528
682	N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-	590

	hidroxipropil}-5-etinil-N^3,N^3-dipropilisoftalamida	
683	N^1-[(1S,2R)-3-(3,5-difluorobenzil)-3-(5-hexinilamino)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida	542
684	N^3-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(5-metil-2-furil)metil]amino}propil)-N^5,N^5-dipropil-3,5-piridinodicarboxamida	543
685	N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(1-metil-1-feniletil)amino]propil}-N^5,N^5-dipropilpentanodiamida	532
686	N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[1-(2-furil)-1-metiletil]amino}-2-hidroxipropil)-5-metil-N^3,N^3-dipropil-3,5-piridinodicarboxamida	570
687	N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[(3-isobutil-5-isoxazol)metil]amino}propil-5-metil-N^3,N^3-dipropilisoftalamida	599
688	N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(2-isobutil-1,3-tiazol-5-il)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida	615
689	N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-[(dipropilamino)sulfonil]propanamida	554
	N^1-((1S,2R)-1-benzil-2-hidroxi-3-[(2-	

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690	feniletil)amino]propil}-N^3,N^3-dipropilisoftalamida	516
691	N^1-((1S,2R)-1-benzil-3-{[2-(2-clorofenil)etil]amino}-2-hidroxipropil)-N^3,N^3-dipropilisoftalamida	551
692	N^1-((1S,2R)-1-benzil-2-hidroxi-3-{[3-(2-oxo-1-porrolidinil)propil]amino}propil)-N^3,N^3-dipropilisoftalamida	537
693	N^1-{(1S,2R)-1-benzil-3-[(ciclohexilmetil)amino]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida	508
694	N^1-[(1S,2R)-1-benzil-3-[(ciclopropilamino)-2-hidroxipropil]-N^3,N^3-dipropilisoftalamida	452
695	N^1-[(1S,2R)-1-benzil-3-[(ciclopropilamino)-2-hidroxipropil]-N^3,N^3-dipropilisoftalamida	523
696	N^1-[(1S,2R)-3-(benzilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil]-3-(butilsulfonil)benzamida	531
697	N^1-[(1S,2R)-1-benzil-3-({2-[(2-etilhexil)oxi]etil}amino)-2-hidroxipropil]-N^3,N^3-dipropilisoftalamida	568
698	N^1-((1S,2R)-1-benzil-2-hidroxi-3-{[(1S,2R)-2-hidroxi-2,3-dihidro-1H-inden-1-il]amino}propil)-N^3,N^3-	544

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	dipropilisoftalamida	
699	N^1-((1S,2R)-1-benzil-2-hidroxi-3-{[1-(4-hidroxifenil)etil]amino}propil)-N^3,N^3-dipropilisoftalamida	532
700	N^1-[(1S,2R)-1-benzil-3-(ciclopentilamino)-2-hidropropil]-N^3,N^3-dipropilisoftalamida	508
701	N^1-{(1S,2R)-1-benzil-3-[([1,1'-bifenil]-2-ilmetil)amino]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida	578
702	N^1-{(1S,2R)-1-benzil-3-[(2-fluorobenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida	520
703	N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3-(dimetilamino)benzamida	484
704	N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-1-naftamida	491
705	N^1-[(1S,2R)-1-benzil-3-({2-[({5-[(dimetilamino)metil]-2-furil}metil)sulfanil]etil}amino)-2-hidroxipropil]-N^3,N^3-dipropilisoftalamida	609
706	N^1-[(1S,2R)-1-benzil-3-({2-cloro-6-fluorobenzil)sulfaniletil}amino)-2-hidroxipropil]-N^3,N^3-dipropilisoftalamida	615

707	N^1-[(1S,2R)-3-[([1,1'-bifenil]-4-ilmetil)amino]-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida	628
708	N^1-[(1S,2R)-3-(3,5-difluorobenzil)-2-hidroxi-3-(1-naftilamino)propil]-5-metil-N^3,N^3- dipropilisoftalamida	588

EJEMPLOS 709-737

Siguiendo el procedimiento general del GRÁFICO D y los EJEMPLOS 165-169 y realizando variaciones no críticas y utilizando reactivos apropiados, las aminas sustituidas (X) de los EJEMPLOS 709-737 se obtienen.

EJEMPLO	AMINA SUSTITUIDA (X)	MH^+
709	N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(2-fenil-1H-imidazol-5-ilmetil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida	542
710	N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(2-fenil-1H-imidazol-5-il-)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida	618
	N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-	

711	hidroxi-3-{[(1-metil-1H-imidazol-2-il)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida	556
712	N^1-[(1S,2R)-3-{[(2-butil-4-cloro-1H-imidazol-5-il)metil]amino}-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida	633
713	N^1-[(1S,2R)-3-{[(6-cloroimidazo[2,1-b][1,3]tiazol-5-il)metil]amino}-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida	633
714	N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(1-metil-1H-benzimidazol-2-il)metil]amino}-1-propil)-5-metil-N^3,N^3-dipropilisoftalamida	606
715	N^1-((1S,2R)-1(3,5-difluorobenzil)-2-hidroxi-3-{[(2-hidroxi-1-naftil)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida	618
716	N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(4-oxo-4H-couromen-3-il)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida	620
717	N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[(1,5-dimetil-3-oxo-2-fenil-2,3-dihidro-1H-pirazol-4-il)metil]amino}-2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida	662
718	N^1-[(1S,2R)-3-({[5-ciano-6-(metilsulfanil)-2-	624

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	piridinil]metil}amino)-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida	
719	[5-({[(2R,3S)-4-(3,5-difluorobenzil)-3-({3-[(dipropilamino)carebonil]-5-metilbenzoil}amino)-2-hidroxibutil]amino}metil)-2-furil]metil acetato	614
720	N^1-[(1S,2R)-3-[(1-benzofuran-3-ilmetil)amino]-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida	592
721	Metil 4-({[(2R,3S)-4-(3,5-difluorofenil)-3-({3-[(dipropilamino)carbonil]-5-metilbenzoil}amino)-2-hidroxibutil]amino}metil)-1-metil-1H-pirrol-2-carboxilato	613
722	N^1-[(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-({[1-(fenilsulfonil)-1H-pirrol-2-il]metil}amino)propil]-5-metil-N^3,N^3-dipropilisoftalamida	681
723	N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(1-metil-1H-pirrol-2-il)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida	555
724	N^1-[(1S,2R)-3-{[(4-cloro-1-metil-1H-pirazol-3-il)metil]amino}-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida	591

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725	N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[(3,5-dimetil-1-fenil-1H-pirazol-4-il)metil]amino}-2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida	646
726	N^1-[(1S,2R)-3-{[(5-cloro-3-metil-1-fenil-1H-pirazol-4-il)metil]amino}-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida	667
727	N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(3-fenil-1H-pirazol-4-il)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida	618
728	N^1-[(1S,2R)-3-{[(5-cloro-2-tienil)metil]amino}-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida	593
729	N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(3-fenoxi-2-tienil)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida	650
730	N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-quinolinilmetil)amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida	603
731	N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(2-quinolinilmetil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida	603
732	N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(1-metil-1H-indol-2-	605

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	il)metil] amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida	
733	N^1-[(1S,2R)-3-{[(1-benzil-1H-indol-3-il)metil]amino}-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida	681
734	N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{{(1-metil-1H-indol-3-il)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida	605
735	N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[({1-[(4-metilfenil)sulfonil]-1H-indol-3-il-}metil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida	745
736	N^1-[(1S,2R)-3-{[(2-butil-1H-imidazol-5-il)metil]amino}-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida	598
737	Metil 3-([{(2R,3S)-4-(3,5-difluorobenzil)-3-({3-[(dipropilamino)carbonil]-5-metilbenzoil}amino)-2-hidroxibutil]amino}metil)-1H-indol-6-carboxilato	649

EJEMPLO 738

3-[({(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}amino)carbonil]-5-[butil(butiril)amino]benzil dietil fosfato (X)

Siguiendo el procedimiento general del gráfico L y el EJEMPLO 620 y realizando variaciones no críticas, el compuesto del título se obtiene, HRMS (FAB) = 712.3479.

EJEMPLO 739

N^1-{(1S,2R)-1-benzil-2-hidroxi-[(3-metoxibenzil)amino]propil}-5-(cianometil)-N^3,N^3-dipropilisoftalamida (X)

Etapa 1. Una mezcla de dietil 1,3,5-bencenotricarboxilato (5,2 g) y complejo de metilsulfuro de borano (6,1 g) se agita en THF (150 ml) a 20-25° C durante toda la noche. La mezcla se trata entonces con metanol, se concentra hasta sequedad, y se cromatografía (sílica gel) para dar dietil 5-(hidroximetil)isftalato. El dietil 5-(hidroximetil)isoftalato (3,4 g) se hidroliza en etanol y agua con monohidrato de hidroxido de litio

(0,57 g) a 20-25° C durante 3,5 horas tiempo en el cual los solventes se remueven bajo presión reducida. Se agrega agua (100 ml) y la mezcla se acidifica a un pH = 4 con ácido clorhídrico concentrado. La mezcla se extrae con acetato de etilo y se seca sobre sulfato de magnesio, se filtra y se concentra para dar ácido 3-(etoxicarbonil)-5-(hidroximetil)benzoico alta resolución MS MH+ = 225.0769. ácido 3-(etoxicarbonil)-5-(hidroximetil)benzoico (2,3 g), EDC (3,0 g), 1-HOBT (2,1 g), isopropiletilamina (2,7 ml), dipropilamina (2,8 ml), y DMF (50 ml) se agitan a 20-25° C durante toda la noche. La mezcla se particiona entre acetato de etilo, agua y solución salina. La fase orgánica se separa y seca sobre sulfato de magnesio, se filtra y se concentra. La cromatografía (sílica gel) da etil 3-[(dipropilamino)carbonil]-5-(hidroximetil)benzoato, RMN ($CDCl_3$)δ 0,77, 1,0, 1,4, 1,6, 1,7, 3,2, 3,5, 4,4, 4,8, 7,6, 8,0 y 8,1.

Etapa 2. Una mezcla etil 3-[(dipropilamino)carbonil]-5-(hidroximetil)benzoato (1,5 g) y dibromuro de fósforo (0,95 ml) se agita en diclorometano (10 ml) y calienta a 50° C durante 4 horas

y luego se enfría y se particiona en diclorometano y agua. La fase orgánica se separa y se lava con bicarbonato de sodio acuoso y entonces se seca sobre sulfato de magnesio y se toma hasta sequedad para dar etil 3-(bromometil)-5-[(dipropilamino)carbonil]benzoato, alta resolución MS MH+=370.1020. etil 3-(bromometil)-5-[(dipropilamino)carbonil]benzoato (1,4 g) y cianuro de sodio (0,2 g) se agitan en DMSO seco (25 ml) a 20-25° C durante 3,5 horas y la mezcla entonces se particiona entre acetato de etilo, agua y solución salina. La capa orgánica se separa y se seca sobre sulfato de magnesio y se toma hasta sequedad bajo presión reducida para dar etil 3-(cianometil)-5-[(dipropilamino)carbonil]benzoato. Etil 3-(cianometil)-5-[(dipropilamino)carbonil]benzoato (0,6 g) se hidroliza con monohidrato de hidróxido de litio (0,2 g) en etanol y agua a 20-25° C durante toda la noche y luego se agrega al agua (50 ml). El pH se ajusta a 4 utilizando ácido clorhídrico concentrado y la mezcla se particiona entre acetato de etilo, agua y solución salina. La fase orgánica se separa y se seca sobre sulfato de magnesio y se toma hasta sequedad bajo presión reducida para dar ácido 3-(cianometil)-5-[(dipropilamino)carbonil]benzoico, MS M+H=287,2.

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784 785

Etapa 3. Una mezcla de ácido 3-(cianometil)-5-[(dipropilamino)carbonil]benzoico (IX, 0,13 g), (2R,3S)-3-amino-1-[(3-metoxibenzil)amino]-4-fenil-2-butanol (VIII, 0,14 g), HATU (0,17 g), y diclorometano (10 ml) se agita a 40° C durante toda la noche. Después de enfriarse, la mezcla se lava con agua y la fase orgánica se separa y se seca sobre sulfato de magnesio y se toma hasta sequedad bajo presión reducida. La cromatografía (sílica gel) da el compuesto del título M+H = 571,2

EJEMPLO 740

N^1-{(1S,2R)-1-benzil-2-hidroxi-[(3-metoxibenzil)amino]propil}-5-(hidroximetil)-N^3,N^3-dipropilisoftalamida (X)

Siguiendo el procedimiento del gráfico P y el EJEMPLO 739 y haciendo variaciones no críticas pero utilizando ácido 3-[(dipropilamino)carbonil]-5-(hidroximetil)benzoico (IX), y (2R,3S)-3-amino-1-[(3-metoxibenzil)amino]-4-fenil-2-butanol (VIII), se obtiene el compuesto del título, HRMS (FAB) = 615,3571.

EJEMPLO 741

N^1-{(1S,2R)-1-benzil-2-hidroxi-[(3-metoxibenzil)amino]propil}-5-etinil-N^3,N^3-dipropilisoftalamida (X)

Etapa 1: Una mezcla de metil-3-bromo-5-[(dipropilamino)carbonil]benzoato (XXI, 200 mg), 0578 mmol), $PdCl_2(Ph_3P)_2$ (16 mg, 0,03 mmol %) y yoduro de cobre (I) (6 mg, 0,05 mmol %) en trietilamina (1,2 ml) se calienta hasta reflujo. (trimetilsilil) acetileno (100 microlitros, 0,7 mmol) se agregan, y la mezcla se agita durante 3 horas, se enfría a 20-25 grados, se diluye con agua (209 ml) y se extrae con cloroformo (3 x 15 ml). Los extractos orgánicos se combinan y se lavan con solución salina (20 ml), se seca sobre sulfato de sodio y se concentran bajo presión reducida para dar metil 3-[(diproilamino)carbonil]-5-etinilbenzoato (XXII, 185,5 mg) RMN 300 MHz ($CDCl_3$)δ 7,95, 7,75, 7,43, 3,74, 3,25, 2,95, 1,49, 1,34, 0,79, 0,56 y 0,06.

Etapa 2. A una mezcla agitada de metil 3-[(dipropilamino)carbonil]-5-etinilbenzoato protegido

(XXII, etapa 1, 185,3 mg, 0,49 mmol) en metanol (2,5 ml) se agrega una mezcla de hidróxido de potasio (2,9 ml de una mezcla 1 M en agua, 2,9 mmol). La mezcla de reacción se agita durante 4 horas se diluye con cloroformo (40 ml), las fases se separan y la fase orgánica se concentra bajo presión reducida para dar ácido 3-[(dipropilamino)carbonil]-5-etinilbenzoico, RMN (300 MHz, $CDCl_3$):δ 8,22, 0,05, 7,71, 3,48, 3,17, 3,16, 1,71, 1,55, 1,00, y 0,78.

Etapa 3. A una mezcla agitada de ácido 3-(dipropilamino)carbonil-5-etinilbenzoico (70 mg, 0,24 mmol) en DMF (2,5 ml) se agrega diclorhidrato (2R,3S)-3-amino-1-[(3-metoxibenzil)amino]-4-fenil-2-butanol (VIII, 81 mg, 0,24 mmol), HOBt (36 mg, 0,26 mmol) y diisopropiletilamina (170 microlitros, 0,96 mmol). A esta mezcla de reacción se le agrega EDC (51 mg, 0,26 mmol) y la mezcla de reacción sea agita durante toda la noche. La mezcla de reacción se diluye con acetato de etilo (30 ml), se lava con agua (3 x 50 ml), ácido clorhídrico (1 N, 30 ml), bicarbonato de sodio saturado (30 ml), solución salina (30 ml), se seca sobre sulfato de sodio y se concentra bajo presión reducida. La purificación

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mediante cromatografía de destello (sílice, etilacetato a metano/cloroformo, 1/10) da el compuesto del título IR (KBr): 3276, 2956, 2921, 1610, 1450, y 1264 cm^{-1}; ESI-MS (m/z) $[M + H]^+ = 556$.

Ejemplo 742

N^1-{(1S,2R)-1-benzil-2-hidroxi-[(3-yodobenzil)amino]propil}-N^3,N^3-dipropil-5-prop-1-inilisoftalamida (X)

Siguiendo el procedimiento general del EJEMPLO 741 y realizando variaciones no críticas pero utilizando propino en lugar de (trimetilsilil)acetileno y utilizando diclorhidrato de (2R,3S)-3-amino-1-[(3-yodobenzil)amino]-4-fenil-2-butanol (VIII) en lugar de clorhidrato de (2R,3S)-3-amino-1-[(3-metoxibenzil)amino]-4-fenil-2-butanol (VIII), se obtiene el compuesto del título, IR (ATR): 3305, 293330, 2872, 1613 y 1537 cm^{-1}; ESI-MS (m/z) $[M+H]^+ = 666$.

EJEMPLO 743

N^1-((1S,2R)-1-benzil-2-hidroxi-[(3-trifluorometil)benzil]amino}propil)-5-etinil-N^3,N^3-dipropilisoftalamida (X)

Etapa 1: Una mezcla de tert-butil (1S)-1-[(2S)-oxiranil]-2-feniletilcarbamato (V, 2,3 g, 8,7 mmol) y 3-(trifluorometil)benzilamina (VI, 1,9 ml, 13,1 mmol) en 2-propanol (70 ml) se calienta a reflujo durante 4 horas. La mezcla de reacción se enfría a 20-25° y se concentra bajo presión reducida para dar tert-butil 1S,2R)-1-benzil-2-hidroxi-3-{[3-(trifluorometil)benzil]amino}propilcarbamato (VII, 3,1 g) como un sólido, ESI-MS (m/z) $[M+]^+$ = 439.

Etapa 2: Una mezcla de tert-butil (1S,2R)-1-benzil-2-hidroxi-3-{[3-(trifluorometil)benzil]amino}propilcarbamato (VII, etapa 1, 2,5 g, 5,7 mmol) y ácido clorhídrico (29 ml de una mezcla 4,0 M en dioxano, 114 mmol) se agita a 20-25 grados. Se forma un precipitado se recolecta por filtración, se lava con éter, y se seca bajo presión reducida para dar clorhidrato de (2R,3S)-3-amino-4-fenil-

1-{[3-(trifluorometil)benzil]amino}-2-butanol (VIII, 2,13 g), ESI-MS (m/z) $[M+]^+$ = 339.

Etapa 3: Una mezcla de ácido 3-[(dipropilamino)carbonil]-5-etinilbenzoico (IX, 2,31 mg, 0,8 mmol), clorhidrato de (2R,3S)-3-amino-4-fenil-1-{[3-(trifluorometil)benzil]amino}-2-butanol (VIII, etapa 2, 493,5 mg, 1,2 mmol) HOBt (162 mg, 1,2 mmol), y diisorpopiletilamida (832 microlitros, 4,8 mmol) se agitan en cloruro de metileno (4 ml) durante 15 minutos. Se agrega EDC (206 mg, 1,2 mmol) y la mezcla de reacción se agita durante toda la noche. La mezcla de reacción se diluye con agua, y se extrae con cloruro de metileno (3 x 25 ml). La fase orgánica se lava con ácido clorhídrico (1N, 25 ml); bicarbonato de sodio saturado (25 ml), solución salina secada sobre sulfato de sodio y concentrada bajo presión reducida. La purificación mediante cromatografía de columna de destello (sílice, 100% de acetato de etilo a metanol/cloroformo, 1/9) da el compuesto del título, IR (ATR): 3302,2963, 2932 y 1615 cm^{-1}; MS (m/z) $[M+H]^+$=549.

EJEMPLO 744

N^1-{(1S,2R)-1-benzil-2-hidroxi-[(3-yodobenzil)amino]propil}-5-etinil-N^3,N^3-dipropilisoftalamida (X)

Siguiendo el procedimiento general del EJEMPLO 744 y realizando variaciones no críticas pero usando una sal de clorhidrato de 3-yodobenzilamina (VI), se obtiene el compuesto del título, IR (ATR) 3295, 2960, 2927 y 1616 cm^{-1}, APCI-MS (m/z) $[M + H]^+$ = 652.

EJEMPLO 745

N^1-{(1S,2R)-1-benzil-[(3-fluorobenzil)amino]-2-hidroxipropil}-5-etinil-N^3,N^3-dipropilisoftalamida (X)

Siguiendo el procedimiento general del EJEMPLO 744 y realizando variaciones no críticas pero usando 3-fluorobenzilamina (VI), se obtiene el compuesto del título, IR (ATR): 3217, 2961, 2918 y 1615 cm^{-1}, APCI-MS (m/z) $[M + H]^+$ = 544.

EJEMPLO 746

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-5-(8-quinolinil)isoftalamida (X)

Etapa 1: Una mezacla de metil-3-bromo-5-[(dipropilamino)carbonil]benzoato (XLVIII, 200 mg, 0,589 mmol), ácido 8-quinolinoborónico (200,6 mg, 1,2 mmol), carbonato de sodio (870 microlitros de una mezcla 2M en agua, 1,74 mmol) en tolueno (6 ml) se desgasifica bajo presión reducida durante 15 minutos y se purga con argón. Tetrakis(trifenilfosfino) de paladio (139 mg, 0,12 mmol) se agrega y la reacción se desgasifica bajo presión reducida durante 15 minutos y se purga con argón. La mezcla de reacción se caliente a reflujo durante toda la noche, se enfría a 20-25° C y se diluye con cloroformo. La fase orgánica se separa y se lava con agua (3 x 50 ml), y solución salina, se seca sobre sulfato de sodio y se concentra bajo presión reducida. Purificación mediante cromatorgrafía de columna de destello (sílice, etilacetato/hexanos, 1,3/1) da metil 3-[(dipropilamino)carboni-5-(8-quinolinil)benzoato (XLIX, 176, mg), RMN (300 MHz, $CDCl_3$)delta 8,91, 8,42, 8,21,

8,09, 7,95, 7,86, 7,77, 7,64, 3,94, 3,49, 3,34, 1,64, 0,99 y 0,84.

Etapa 2: A una mezcla de metil 3-[(dipropilamino)carbonil]-5-(8-quinolinil)benzoato (XLIX, etapa 1, 175,5 mg, 0,45 mmol) en metanol (2 ml) se agrega hidróxido de litio (32,3 mg, 1,4 mmol) y agua (500 microlitros). Después de agitar durante toda la noche, la mezcla de reacción se particiona entre acetato de etilo (10 ml) y agua (10 ml). La fase acuosa se separa y se acidifica con ácido clorhídrico (1 L), y el extracto se extrae con cloroformo (3 x 40 ml). La fase orgánica se lava con solución salina, se seca (sulfato de sodio) y se concentra bajo presión reducida para dar ácido 3[(dipropilamino)carbonil]-5-(8-quinolinil)benzoico (IX - L, 130 mg), RMN (300 MHz, CD_3OD)δ 8,84, 8,39, 8,35 8,05, 7,96, 7,90, 7,87, 7,79, 7,68, 3,50, 3,37, 1,76-1,61, 0,99 y 0,84.

Etapa 3: Una mezcla de 3[(dipropilamino)carbonil]-5-(8-quinolinil)benzoico (IX - L, etapa 2, 130 mg, 0,35 mmol), diclorhidraato (2R,3S)-3-amino-1-[(3-metoxibenzil)amino]-4-fenil-2-butanol (VIII, 117 mg, 0,35

mmol), HOBt (70 mg, 0,52 mmol) y diisopropiletilamina (241 microlitros, 1,4 mmoles) en cloruro de metileno (2 ml) se agita durante 15 minutos EDC (89 mg, 0,52 mmol) se agrega y la mezcla de reacción se agita durante toda la noche. La mezcla de reacción se diluye con agua y se extrae con cloruro de metileno (3 x 25 ml). La fase orgánica se lava con ácido clorhídrico (1 N, 25 ml), se satura con bicarbonato de sodio (25 ml), solución salina, se seca (sulfato de sodio), y se concentra bajo presión reducida. La purificación mediante cromatografía de columna de destello (sílice; metanol/cloroformo, 1/9) da el compuesto del título, IR (NaCl): 3301, 2916, 2365 y 1613 cm^{-1}; APCI-MS (m/z) $[M + H]^+$ = 659.

EJEMPLO 747

Clorhidrato N^3-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-4'-metoxi-N^5,N^5-dipropil[1,1'-bifenil]-3,5-dicarboxamina (X)

Etapa 1: Una mezcla de ácido 4-metoxifenilborónico (463 mg, 3,05 mmol), ácido 3-bromo-5-[(dipropilamino)carbonil]benzoico (XLVIII, 1,02 g, 3,05 mmol), y fosfato de potasio (1,29 g, 6,10 mmol) en 1,2

dimetoxietano (10 ml) y agua (5 ml) se desgasifica con argón durante 15 minutos se agrega bis(trifenilfosfina)panadio (II) cloruro (21 mg, 0,03 mmol), la mezcla de reacción se desgasifica de nuevo con argón y se calienta a 85° C durante toda la noche. La mezcla de reacción se enfría a 20-25 grados C, y se pasa a través de un tarugo de tierra de diatomáceas.

El filtrado se acidifica a un pH = 4 con ácido clorhídrico (1N) y se extrae con acetato de etilo. La fase orgánica se lava con agua y solución salina y se seca (sulfato de magnesio). El producto se purifica mediante cromatografía de columna de destello (sílica gel; acetato de etilo/ácido acético, 99/1) para dar ácido 5-[(dipropilamino)carbonil]-4'-metoxi[1,1'bifenil]-3-carboxílico (IX - L, 667 mg), ESI-MS (m/z) $[M + H]^+$ = 356.

Etapa 2: Una mezcla de ácido 5-[(dipropilamino)carbonil]-4'-metoxi[1,1'bifenil]-3-carboxílico (IX - L etapa 1, 316 mg, 0,89 mmol), clorhidrato (2R,3S)-3-amino-1-[(3-metoxibenzil)amino]-4-fenil-2-butanol (VIII, 332 mg, 0,89 mmol) HOBt (181 mg, 1,34 mmol), y N-metilmorfolino (0,37 g, 3,56 mmol) en

cloruro de metileno (8 ml) y dimetilformamida (2 ml) se agita a 20-25 grados durante 15 minutos EDC (257 mg, 1,34 mmol) se agrega y la mezcla de reacción se agita durante 4, 5 horas. La mezcla de reacción se particiona entre cloruro de metileno y agua. La fase orgánica se lava con ácido clorhídrico (1 N), agua, y solución salina, se seca (sulfato de magnesio), y se concentra. El concentrado se disuelve en un mínimo de metanol, se trata con ácido clorhídrico (3 ml de una mezcla 1,0 M en éter, 3 mmol), y se agita durante 10 minutos. Se agrega más éter para precipitar el resto del producto. El precipitado se recolecta mediante filtración y se seca en el horno de vacío a 50° C para dar el compuesto del título, mp = 205-209° C; IR (ATR): 2964 y 1649 cm^{-1}; ACPI-MS (m/z) $[M + H]^+$ = 638.

EJEMPLO 748

Clorhidrato N^3-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^5,N^5-dipropil[1,1'-bifenil]3,5-dicarboxamida

Etapa 1: Una mezcla de tert-butil (1S)-2-(3,5-difluorofenil)-1-[(2S)-axiranil]etilcarbamato (V; 500 mg,

1,67 mmol) y 3-metoxibenzilamina (VI, 0,34 g, 2,51 mmol) en 2-propanol (3 mL) se calienta a reflujo durante toda la noche, permitiéndole que se enfríe a 20-25° C, y concentrado bajo presión reducida. El residuo se cristaliza de acetato de etilo/hexanos y se recolecta mediante filtración para lograr tert-butil (1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propilcarbamato (VII, 575 mg) como un sólido: ESI-MS (m/z): 437 $[M + H]^+$.

Etapa 2: una mezcla de tert-butil (1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propilcarbamato (VII, etapa 1, 535 mg, 1,23 mmol) en metanol (2 ml) se trata con ácido clorhídrico (3,2 ml de una mezcla 1,0 M en éter, 3,2 mmol), y se agita a 20-25° C durante 30 minutos. Se agrega éter hasta que se forma el precipitado. El precipitado se recolecta mediante filtración es clorhidrato de (2R,3S)-3-amino-4-(3,5-difluorofenil)-1-[(3-metoxibenzil)amino]-2-butanol (VIII).

Etapa 3: Una mezcla de ácido 5-[(dipropilamina)carbonil][1,1'-bifenil]-3-carboxílico (IX, 188 mg, 0,56 mmol), diclorhidrato de (2R,3S)-3-

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amino-4-(3,5-difluorofenil)-1-[(3-metoxibenzil)aino]-2-butanol (VIII, etapa 2, 230 mg, 0,56mol), HOBt (114 mg, 0,84 mol), y N-metilmorfolino (0,23 g, 2,24 mmol) en cloruro de metileno (6 ml) y dimetilformamida (1 ml) se agita a 20-25° C durante 15 minutos EDC (161 mg, 0,84 mmoles) se agrega y la mezcla de reacción se agita a 20-25° C durante toda la noche. La mezcla de reacción se lava con agua, ácido clorhídrico 1 N, agua, solución salina, se seca (sulfato de sodio), y se concentra bajo presión reducida para dar el compuesto del título, mp 230-233° C; IR (ATR): 2965, 1651, 1596 y 1267 cm^{-1}; ESI-MS (m/z) $[M + H]^+$ = 644.

EJEMPLO 749

Clorhidrato N^3-{(1S,2R)-1-benzil-2-hidroxi-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^5,N^5-dipropil[1,1'-bifenil]3,5-dicarboxamida (X)

Siguiendo el procedimiento general del ejemplo 748 y sin hacer variaciones críticas pero utilizando clorhidrato de (2R,3S)-3-amino-1-[(3-metoxibenzil)amino]-4-fenil-2-butanol (VIII) en lugar de clorhidrato de

(2R,3S)-3-amino-4-(3,5-difluorofenil)-1-[(3-metoxibenzil)amino]-2-butanol (VIII, se obtiene el compuesto del título, mp = 214 - 219° C. IR (KBr): 3227, 2961, 1632 y 1605 cm^{-1}; ESI-MS (m/z) $[M+H]^+$ = 608.

EJEMPLO 750

N^3-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-4'-[(dimetilamino)sulfonil]-N^5,N^5-dipropil-1,1'-bifenil-3,5-dicarboxamida (X)

Etapa 1: Un frasco cargado con complejo 1,1'-bis(difenilfosfino)ferrocene-dicloropaladio 1:1 (37 mg, 0,05 mmoles), acetato de potasio (4,92 mg, 4,5 mmoles) y bis (pinacolato)diboro (408 mg, 1,6 mmol) y se desgasifica bajo presión reducida durante 15 min y se purga con argón. A esta mezcla se le agrega una mezcla de metil-3-bromo-5-[(dipropilamino)carbonil]benzoato (XXI, 300 mg, 1,5 mmol) en dimetilsulfóxido anhidro (9 ml) y la mezcla de reacción se agita a 80° C durante 4 horas. La mezcla de reacción se enfría a 20-25° C, se diluye con tolueno (50 ml), se lava con agua (3 x 150 ml), solución salina, se seca (sulfato de magnesio), y se concentra bajo presión reducida para dar metil 3-

[(dipropilamino)carbonil]-5-(4,4,5,5-tetrametil-1,3,2-dioxaborolan-2-il)benzoato, ESI-MS (m/z) [M + H]+ = 390.

Etapa 2: Una mezcla de metil 3-[(dipropilamino)carbonil]-5-(4,4,5,5-tetrametil-1,3,2-dioxaborolan-2-il)benzoato, (etapa 1, 534 mg, 1,4 mmol), 4-bromobencenodimetil-sulfonamida (363 mg, 1,4 mmol) y carbonato de sodio (2 ml de una mezcla de 2 M en agua, 4,1 mmol) en tolueno (10 ml) se desgasifica bajo presión reducida durante 15 minutos y luego se purga con argón. Se agrega paladio tetrakis(trifenilfosfina) (40 mg, 0,025 mmol) y la mezcla de reacción se desgasifica bajo presión reducida durante 15 minutos y luego se purga con argón. La mezcla de reacción se calienta a reflujo durante 4 horas, se enfría a 20-25° C, se filtra a través de un tarugo de tierra de diatomáceas y sulfato de sodio y el filtrado se concentra bajo presión reducida. La purificación mediante cromatografía de destello (sílice; acetato de etilo/hexanos, 1/1) da metil 4'-[(dimetilamino)sulfonil]-5-[(dipropilamino)carbonil][1,1'-bifenil]-3-carboxilato (XXXVIII), ESI-MS (m/z) $[M + H]^+$ = 447.

Etapa 3: Una mezcla de metil 4'-[(dimetilamino)sulfonil]-5-[(dipropilamino)carbonil][1,1'-bifenil]-3-carboxilato (XXXVIII, etapa 2, 555 mg, 1,24 mmoles) en metanol (6 ml) e hidróxido de sodio (2 ml de una mezcla 6,0 ml en agua, 12 mmol) se agitan a 20-25° C durante 4 horas. La mezcla de reacción se particiona entre acetato de etilo (40 ml) y agua (40 ml). La fase acuosa se acidifica a un PH = 4 con ácido clorhídrico (1 N), se extrae con éter (3 x 10 ml), y fases orgánicas combinadas se concentran bajo presión reducida para dar ácido de metil 4'-[(dimetilamino)sulfonil]-5-[(dipropilamino)carbonil][1,1'-bifenil]-3-carboxílico (IX - XXXIX), RMN (300 MHz, $CDCl_3$)δ 8,37, 8,12, 7,89, 7,80, 3,51, 3,22, 2,76, 1,74, 1,59, 1,02 y 0,79.

Etapa 4: Una mezcla de ácido (IX - XXIX, etapa 3, 150 mg, 0,35 mmol), clorhidrato de (2R,3S)-3-amino-1-[(3-metoxibenzil)amino]-4-fenil-2-butanol (VIII, 129 mg, 5,35 mmol) HOBt (47 mg, 0,35 mmol), y N-metilmorfolino (122 µL, 1,1 mmol) se agita en cloruro de metileno (4 ml) durante 15 minutos EDC (107 mg, 0,62 mmol) se agrega a la mezcla de reacción y se agita durante toda la noche. La

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mezcla de reacción se diluye con agua y se extrae con cloruro de metileno (3 x 25 ml). La fase orgánica se lava con ácido clorhídrico (1 N, 25 ml), bicarbonato de sodio saturado (25 ml), solución salina, se seca (sulfato de sodio), y se concentra bajo presión reducida. La purificación mediante cromatografía de columna de destello (sílice, 100% acetato de etilo a metanol/cloroformo, 1/9) da el compuesto del título, IR (ATR): 2932, 2837 y 1593 cm^{-1}; ACPI-MS (m/z) $[M+H]^+$ = 715.

EJEMPLO 751

N^3-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-yodobenzil)amino]propil}-4'-[(dimetilamino)sulfonil]-N^5,N^5-dipropil-1,1'-bifenil-3,5-dicarboxamida (X)

Siguiendo el procedimiento general del EJEMPLO 750 y realizando variaciones no críticas pero usando diclorhidrato (2R,3S)-3-amino-1-[(3-yodobenzil)amino]-4-fenil-2-butanol (VIII), se obtiene el compuesto del título, IR (ATR): 3303, 2930, 2872, y 1614 cm^{-1}; APCI-MS $[M + H]^+$ = 811.

EJEMPLO 752

Hidrocloruro N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-5-(3-tienil)isoftalamida (X)

Etapa 1: A una mezcla enfriada con hielo de metil 3-amino-5-[(dipropilamino)carbonil]benzoato (XLVIII, 1,0 g, 3,60 mmoles) en tetrafluoborato de hidrógeno acuoso (0,25 g, 3,60 mmoles) gota a gota. La mezcla se agitó durante 10 minutos y luego se extrajo con acetato de etilo. La fase orgánica se lavó con agua, se secó sobre sulfato de magnesio, se filtró y concentró bajo presión reducida para dar una sal de diazonio que se utiliza sin purificación adicional, RMN (500 MHz, CD_3OD):δ 9,26, 8,86, 8,71, 4,03, 3,50, 3,22, 1,75, 1,60, 1,01, y 0,79.

Etapa 2: a una mezcla de ácido tiofeno-3-borónico (1,0 g, 7,82 mmoles) en metanol se agregó a una mezcla acuosa concentrada de difluoruro de hidrógeno de potasio (2,01 g, 25,8 mmol) gota a gota. La mezcla de reacción se agitó durante 10 minutos y se concentró bajo presión reducida para dar material crudo, el cual se recristaliza

a partir de acetona/éter para dar una sal de trifluoro(3-tienil) de potasio, ESI-MS (m/s) $[M+H]^+$ = 151.

Etapa 3: Una mezcla de sal de trifluoro(3-tienil)borato de potasio (etapa 2, 0,69 g, 1,82 mmoles), sal de diazonio a partir de (XLVIII, etapa 1, 0,42 g, 2,19 mmoles), y acetato de plomo (0,02 g, 0,09 mmoles) se purgó en la oscuridad con argón durante 15 minutos. Dioxano (8 mL) es agregado y la mezcla de reacción se desgasifica con argón y agitada a 20-25° C durante la noche. La mezcla de reacción se diluyó con éter, se lavó con solución salina, secada sobre sulfato de magnesio y concentró bajo presión reducida para dar metil 3-[(dipropilamino)carbonil]-5-(3-tienil)benzoato (XLIX) el cual se cromatografía mediante cromatografía de destello (sílice; etilacetato/hexanos, 1/1), ESI-MS (m/z) $[M + H]^+$ = 346.

Etapa 4: Una mezcla de metil 3-[(dipropilamino)carbonil]-5-(3-tienil)benzoato (XLIX, etapa 3, 0,31 g, 0,88 mmoles) en THF/metanol/hidróxido de sodio (3/1/1,5 mL) se agitó a 40 grados C durante 2 horas. La reacción es enfriada a 20-25° C, diluida con agua y extraída con acetato de etilo. La fase acuosa es

acidificado a un pH = 4 y extraída con acetato de etilo. La fase acuosa es acidificada a un pH = 4 y extraída con acetato de etilo. La fase orgánica es lavada con agua y solución salina, secada sobre sulfato de magnesio y concentrada bajo presión reducida para dar ácido 3-[(dipropilamino)carbonil]-5-(3-tienil)benzoico (IX - L), ESI-MS (m/z) $[M + H]^+$ = 332.

Etapa 5: una mezcla de ácido 3-[(dipropilamino)carbonil]-5-(3-tienil)benzoico (IX- L, etapa 4, 0,26 g, 0,79 mmoles), diclorhidrato (2R,3S)-3-amino-1-[(3-metoxibenzil)amino]-4-fenil-2-butanol (VII, 0,26 g, 0,71 mmoles), HOBt (0,16 g, 1,18 mmoles), y trietilamina (0,44 mL, 3,15 mmoles) en DDMF (4 mL) se agita a 20-25° C durante 10 minutos ED (0,23 g, 1,18 mmoles) se diluye con agua y es extraído con acetato de etilo. La fase orgánica es lavada con ácido clorhídrico (1 N), agua y solución salina, secada sobre sulfato de magnesio y concentrada bajo presión reducida. La recristalización (cloruro de metileno/hexanos, 1/1) da el compuesto del título, mp = 199-201 grados C; OR (KBr): 3278, 2961, 2874 y 2837 cm^{-1}; ESI-MS (m/z) $[M + H]^+$ = 614.

EJEMPLO 753

Hidrocloruro N-{(1S,2R)-1-(3,5-difluorobenzil)2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3-metil-5-pentanoilbenzamida (X)

Etapa 1: A una mezcla enfriada con hielo de cloruro de oxalilo agitada (733 mg, 5,77 mmoles) en hidrocloruro de metileno (5 mL) se agrega 3 gotas de dimetilformamida. Después de 10 minutos ácido 3-(metoxicarbonil)-5-metilbenzoico (LXXIII, 560 mg, 2,89 mmoles) se agrega. La mezcla de reacción es agitada durante 1 hora y concentrada bajo presión reducida para producir un cloruro ácido (LXXIV), ele cual es utilizado sin purificación adicional.

Etapa 2: a una mezcla agitada a -78° C de haluro ácido (LXXIV, etapa 1, 612 mg, 2,89 mmoles) y bromuro de cobre (I) (415 mg, 2,89 mmoles) en tetrahidrofurano (5 mL) se agregó cloruro de magnesio butilo (415 mg, 2m89 mmoles) en tetrahidrofuran, 2,89 mmoles). La mezcla de reacción se calentada a 20-25 grados C, apagada mediante adición de cloruro de amonio saturado, y luido con éter. La fase orgánica se separó, se lavó con solución salina,

se secó (sulfato de sodio), se filtró y concentró bajo presión reducida. La purificación mediante cromatografía de destello en columna (sílice; hexanos/etilacetato, 6,5/1) dio metil 3-metil-5-pentanoilbenzoato (LXXVI), RMN, (300 MHz, CD_3OD):δ 8,43, 8,05, 3,96, 3,01, 1,77, 1,55 y 1,22.

Etapa 3: Una mezcla de metil 3-metil-5-pentanoilbenzoato (LXXVI, etapa 2. 133 mg, 0,605 mmol) en metanol (1 ml) se agita con tetrahidrofuran/metanol/hidróxido de sodio (2 N) (3/1/1,3 mL) durante 3 días. La mezcla de reacción es diluida con acetato de etilo y lavada con agua. La fase acuosa es separada y acidificada con ácido clorhídrico (1 N) y extraída con cloruro de metileno. La fase orgánica es secada (sulfato de sodio), filtrada y concentrada bajo presión reducida para dar ácido 3-metil-5-pentanoilbenzoico (IX - LXXVII), RMN (300 MHz, CD_3OD):δ 8,44, 8,03, 3,10, 2,33, 1,78, 1,64 y 1,34.

Etapa 4: A una mezcla de ácido 3-metil-5-pentanoilbenzoico (IX- LXXVIII, 112 mg, 0,589 mmoles), y N-metilmorfolino (250 mg, 2,47 mmoles) en cloruro de

metileno (3 mL) es agregado EDC (203 mg, 1,06 mmoles). La mezcla de reacción se agitó durante la noche y luego particionada entre acetato de etilo y agua. La fase orgánica es lavada con ácido clorhídrico (1 N), bicarbonato de sodio saturado, solución salina, secada (sulfato de sodio), filtrada, y concentrada bajo presión reducida. Purificación mediante cromatografía de columna de destello (sílice; cloruro de metileno/metanol, 12/1) da el compuesto del título, IR (ATR): 3297, 2957, 1687 y 1628 cm^{-1}; APCI-MS (m/z) $[M + H]^+$ = 539

EJEMPLO 754

N^1-(4-hidroxibutil)-N^3-{(1S)-2-hidroxi-1-(4-hidroxibenzil)-1-[(3-metoxibenzil)amino]propil}-5-metil-N^1-propilisoftalamida (X)

Etapa 1: a una mezcla de metil (2S)-3-[4-(benziloxi)fenil]-2-(tert-butoxicarbonil)aminopropanoato (1,79 g, 4,65 mmoles) en THF/metanol/agua (1/2/1, 16 ml) se agregó hidróxido de litio (340 mg, 13,9 mmoles) y la mezcla se agitó a 20-25 grados C durante 12 horas. La mezcla se extinguió con ácido cítrico (10%). La mezcla resultante se extrajo con acetato de etilo (3 x 15 ml).

Los extractos orgánicos combinados se lavaron tres veces con agua, secados sobre sulfato de sodio, filtrados y concentrados bajo presión reducida para dar ácido (2S)-3-[4-(benziloxi)fenil]-2-[(tert-butoxicarbonil)amino]propanoico (10,0 g, 27,0 mmoles) el cual es llevado a cabo sin purificación. A una solución de ácido (2S)-3-[4-(benziloxi)fenil]-2-[(tert-butoxicarbonil)amino]propanoico a -78° C (10,0 g, 27,0 mmoles) en THF (200 mL) se agregó NMM (3,20 mL, 29,0 mmoles) y cloroformato de isobutilo (3,8 mL, 29,0 mmoles). El baño enfriado es removido, la mezcla de reacción es agitada durante 1 hora, y luego filtrada. El filtrado es mantiene frío y usado en la siguiente etapa. A una mezcla con éter agitada y enfriada con hielo (110 mL) e hidróxido de potasio (40%, 35 mL) se agregó lentamente 1-metil-3-nitro-1-nitrosoguanidina (40 g, 57,0 mmoles). La mezcla de reacción se agitó hasta que la evolución del gas termina. La fase orgánica es separada y lentamente agregada a una mezcla agitada enfriada con hielo de la mezcla filtrada de anhidro de la etapa 2. Después la mezcla de reacción se agita durante una hora, se burbujea nitrógeno dentro de la mezcla dentro de la mezcla durante 10 minutos. La mezcla resultante se concentra bajo presión reducida, diluida con acetato de

etilo (200 mL), y lavada con agua (100 mL). La fase orgánica es lavada con bicarbonato de sodio saturado y solución salina, secada sobre sulfato de sodio, filtrado y concentrado bajo presión reducida para dar la diazocetona, que se lleva a cabo sin purificación o caracterización. A una mezcla agitada enfriada con hielo de diazocetona en éter (100 mL) se agrega ácido hidrobromo (48%, 4 mL, 73 mmoles). El baño frío es removido, la mezcla de reacción agitada durante 3° minutos, particionada entre éter y agua. La fase orgánica separa y lavada con bicarbonato de sodio saturado, secado sobre sulfato de sodio, filtrado, y concentrado bajo presión reducida para dar tert-butil (1S)-1-[(4-benziloxi)benzil]-3-bromo-2-oxopropilcarbamato (IV) el cual se usa sin purificación adicional o caracterización. A una mezcla agitada enfriada a -78° C de tert-butil (1S)-1-[4-(benziloxi)benzil]-3-bromo-2-oxopropilcarbamato (IV) en isopropanol/THF (2/1, 150 mL) se agrega lentamente borohidruro de sodio (1,15 g, 30,0 mmoles). La mezcla de reacción se agitó durante 30 minutos seguido mediante adición de agua (30 mL). La mezcla resultante se calentó a 20-25° C y concentró bajo presión reducida y un baño de agua que no excede los 30° C. el residuo crudo es disuelto en acetato de etilo y lavado con agua y solución

salina. La fase orgánica es secada sobre sulfato de magnesio, filtrada y concentrada bajo presión reducida para dar el bromohidrino como un sólido. A una mezcla agitada y enfriada con hielo de bromohidrino en etanol (150 mL) y acetato de etilo (100 ml) se agregó una mezcla de hidróxido de potasio etanol (1 N) (36 mL, 36 mmol). El baño frío se removió y la mezcla de reacción se agitó durante 30 minutos. La mezcla resultante se particionó entre acetato de etilo y agua. La fase orgánica se separó y lavó con solución salina, secada sobre sulfato de magnesio, filtrada y concentrada bajo presión reducida. Purificación mediante cromatografía de destello (sílice; hexano/acetato de etilo, 5/1) da tert-butil (1S)-2-[4-(benziloxi)fenil]-1-[(2S)-oxiranilo]etilcarbamato (V, como una mezcla de diastereómeros 8/1), RMN (500 MHz, $CDCl_3$)δ 7,44-7,32, 7,14 6,93, 5,07, 4,45, 3,61, 3,00-2,60 y 1,39.

Etapa 2: una mezcla de ácido 4-benzilxibutírico (2,69 g, 13,8 mmoles), HOBt (2,05 g, 12,2 mmoles)en DMF (6 mL) se agitó a 20-25 grados C durante 18 horas. La mezcla se diluyó con acetato de etilo (40 mL) y lavada con agua (10 mL), ácido clorhídrico (1 N, 10 mL);

bicarbonato de sodio saturado (10 mL); y solución salina (10 mL). La fase orgánica es separada, secada sobre sulfato de magnesio, filtrada, y concentrada bajo presión reducida para dar 4-(benziloxi)-N-propilbutanamida (2,59 g), APCI-MS (m/z) $[M + H]^+$ = 236.

Etapa 3: a una mezcla agitada enfriada con hielo de 4-(benziloxi)-N-propilbutanamida (2,59 g, 11,0 mmoles) en THF (8 mL) se agregó hidróxido de aluminio litio (0,54 g, 14,3 mmoles). La mezcla de reacción se calentó a 40-50 grados C durante 5 horas. La mezcla de reacción enfriada se extinguió con agua (0,5 mL), hidróxido de sodio (2 N, 1,0 mL), y solución salina (0,5 mmoles) luego diluida con éter (30 mL). El precipitado que se formó se filtró , y la fase de éter secada sobre sulfato de magnesio, filtrada, y concentrada bajo presión reducida para dar N-[4-(benziloxi)butil]-N-propilamina (2,41 g), APCI-MS (m/z): 222 $[M + H]^+$.

Etapa 4: Una mezcla de [4-(benziloxi)butil]-N-propilamina (2,31 g, 10,44 mmoles), ácido 3-(etoxicarbonil)-5-metilbenzoico (2,18 g, 10,44 mmoles), HOBt (1,56 g, 11,49 mmoles), N-metilmorfolino (1,37 mL, 12,52 mmoles), y EDC (2,20 g, 11,49 mmoles) en DMF (12

mL) se agitó a 20-25 grados C durante 18 horas. La mezcla de reacción se diluyó con acetato de etilo (80 mL) y se lavó con agua (2 x 20 mL), ácido hidroclórico (1 N, 20 mL), bicarbonato de sodio saturado (20 mL) y solución salina (20 mL), secado sobre sulfato de magnesio, filtradao y concentrado bajo presión reducida. Purificación mediante cromatografía de destello(sílice; hexanos/acetato de etilo, 171) dio etil 3-{[[4-(benziloxi)butil](propil)amino]carbonil}-5-metilbenzoato (1,79 g), RMN (500 MHz, DMSO-d_6):delta 7,80, 7,64, 7,40, 7,38-7,16, 4,50-4,43, 4,34-4,29, 3,53-3,30, 3,20-306, 2,41-2,36, 1,70-1,40, 1,36-1,29, 0,94-0,84 y 0,82-0,72; APCI-MS (m/z) [M + H]+ = 412.

Etapa 5: a una mezcla de etil 3-{[[4-(benziloxi)butil](propil)amino]carbonil}-5-metilbenzoato (1,75 g, 4,25 mmol) en THF/etanol/agua (1/2/1, 30 mL), se agregó hidróxido de litio (0,31 g, 12,76 mmoles). La mezcla de reacción se agitó durante 2 horas y luego se acidificó a un pH = 3 con ácido clorhídrico concentrado (0,5 mL). La mezcla de reacción se extrajo con acetato de etilo (2 x 30 mL), secada sobre sulfato de magnesio, filtrada y concentrada bajo presión reducida para dar

ácido 3-{[[4-(benziloxi)butil](propil)amino]carbonil}-5-metilbenzoico(IX, 1,63 g), ESI-MS (m/z) $[M + H]^+$ = 384.

Etapa 6: una mezcla de tert-butil (1S)-2-[4-(benziloxi)fenil]-1-[(2S)-oxiranil]etilcarbamato (V, 1,58 g, 4,28 mmoles) y 3-metoxibenzilamina (VI, 825 microlitros, 6,42 mmoles) en isopropanol (45 mL) se calentó a 90 grados C durante 4 horas. Una vez enfriado a 20-25° C, la mezcla de reacción se concentró bajo presión reducida. Purificación mediante cromatografía de destello (sílice; cloruro de metileno/metanol/hidróxido de amonio 89/1/1 a 95/:44/1) dio tert-butil (1S,2R)-1[4-(benziloxi)benzil]-2-hidroxi-3-[(3-metoxibenzil)amino]propilcarbamato (VII, 1,97 g), RMN; (300 MHz, MeOH-d_4):δ 7,41-6,79, 5,05, 4,33-3,33, 3,74, 3,54, 3,03-2,46 y 1,29; ESI-MS (m/z) $[M + H]^+$ = 507.

Etapa 7: tert-butil (1S,2R)-1-[4-(benziloxi)benzil]-2-hidroxi-3-[(3-metoxibenzil)amino]propilcarbamato (VII, etapa 6, 2,34 g, 4,62 mmol) en dioxano (10 ml) se trató con ácido clorhídrico (12 mL de una mezcla en dioxano 4,0 M, 48 mmoles) durante dos horas. El precipitado que forma se recolecta mediante filtración, se lava con éter, y se

seca bajo presión reducida durante la noche para dar clorhidruro (2R,3S)-3-amino-4-[4-(benziloxi)fenil]-1-[(3-metoxibenzil)amino]-2-butanol (VIII), RMN (300 MHz, MeOH-d_4):δ 7,44-9,96, 5,05, 4,21, 3,83, 3,65) y 3,21-2,77; ESI-MS (m/z) $[M + H]^+$ = 407.

Etapa 8: a una mezcla agitada y enfriada con hielo de ácido 3-{[[4-(benziloxi)butil](propil)amino]carbonil}-5-metilbenzoico (IX, 310 mg, 0,809 moles), clorhidruro (2R,3S)-3-amino-4-[4-(benziloxi)fenil]-1-[(3-metoxibenzil)amino]-2-butanol (VIII, 359 mg, 0,809 mmoles) y bromotripirrolidinofosfonio hexafluorofosfato (415 mg, 0,890 mmoles) en cloruro de metileno (10 mL), se agregó diisopropiletilamina (285 microL, 1,62 mmoles) gota a gota. La mezcla resultante se agitó a 00 C durante 30 minutos y luego calentada a 20-25° C. después de 4 horas, la reacción se concentró bajo presión reducida y se particionó entre acetato de etilo y agua. La fase acuosa se separó y se extrajo con acetato de etilo (3 x 15 mL), las fases orgánicas combinadas se secaron sobre sulfato de magnesio, y se concentraron bajo presión reducida. El concentrado se purificó mediante cromatografía de

destello (sílice; cloruro de metileno/metanol/hidróxido de amonio 96/3/0,5) para dar N^1-{(1S,2R)-1-[4-(benziloxi)benzil]-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3-[4-(benziloxi)butil]-5-metil-N^3-propilisoftalamida (X) RMN (300 MHz, acetona-d_6): delta 7,99-6,74, 5,01, 4,51-4,29, 4,36, 4,01, 3,80, 3,55-3-16, 2,98-2,82, 2,65-2,36, 1,85-1,29, 1,01 y 0,68; ESI-MS (m/z) $[M + H]_+$ = 772.

Etapa 9. Una mezcla de N^1-{(1S,2R)-1-[4-(benziloxi)benzil]-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3-[4-(benziloxi)butil]-5-metil-N^3-propilisoftalamida (X, 100 mg, 0,130 mmol) y paladio sobre carbono (110%, 100 mg) en ácido acético glacial absoluto (5 mL), se agitó bajo una atmósfera de hidrógeno a 35 psi durante 5 horas. La mezcla resultante se filtró a través de tierras diatomáceas y lavada con metanol. Los filtrados combinados se concentraron bajo presión reducida, el concentrado se purificó mediante cromatografía de columna de destello (sílice; gradiente de diclorometano/metanol/hidróxido de amonio 97/3/0,05 a 93/7/0,05) para dar el compuesto del título: RMN (300 MHz, CD_3OD):δ 7,55-6,64, 4,19, 3,99-3,72, 3,63-3,36,

3,21-3,09, 2,79-2,69, 2,39, 1,90-1,40, 1,29 y 1,02-0,6; ESI-MS (m/z) $[M + H]^+$ = 592.

EJEMPLO 755

N^1-{(1S,2R)-2-hidroxi-1-(4-hidroxibenzil)-3-[(3-metoxibenzil)amino]propil}-N^3-(3-hidroxipropil)-5-metil-N^3-propilisoftalamida (X)

Siguiendo el procedimiento general del ejemplo 754 y realizando variaciones no críticas, pero usando ácido 3-{[[3-(benziloxi)propil](propil)amino]carbonil}-5-metilbenzoico (IX) en lugar de ácido 3-{[[4-(benziloxi)butil](propil)amino]-carbonil}-5-metilbenzoico (IX) , se obtuvo el compuesto del título, ESI-MS (m/z) $[M + H]^+$ = 578.

EJEMPLO 756

N^1-{(1S,2R)-2-hidroxi-1-(4-hidroxibenzil)-3-[(3-metoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida (X)

817
~~818~~

Etapa 1. A una solución agitada de ácido 3-[(dipropilamino)carbonil]-5-metilbenzoico (IX, 150 mg, 0,570 mmoles), clorhidrato (2R,3S)-3-amino-4-[4-(benziloxi)fenil]-1-[(3-metoxibenzil)amino]-2-butanol (VIII, 274 mg, 0,571 mmol), N,N-diisopropiletilamina (400 microlitros, 2,28 mmoles), y HOBt (116 mg, 0,857 moles) en diclorometano (10 mL) se agregó EDC (165 mg, 0,857 mmoles). La mezcla resultante se agitó a 20-25 grados C durante 26 horas. La mezcla resultante se agitó a 20-25° C durante 16 horas. La mezcla de reacción se particionó entre diclorometano y agua. La fase acuosa se separó y se extrajo con diclorometano (3 x 15 mL). Las fases orgánicas combinadas se lavaron con agua, secadas (sulfato de magnesio), y concentrado bajo presión reducida. Purificación mediante cromatografía de columna de destello (sílice; diclorometano/metanolhidróxido de amonio, 97/3/0,05) dio N^1-{(1S,2R)-1-[4-(benziloxi)benzil]-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida, ESI-MS (m/z) $[M + H]^+$ = 652.

Esta 2. Una mezcla de N^1-{(1S,2R)-1-[4-(benziloxi)benzil]-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-metil-N^3,N^3-

dipropilisoftalamida (140 mg, 0,215 mmoles) y paladio sobre carbón (10%, 140 mg) en ácido acético glacial absoluto (5 mL) se agitó bajo una atmósfera de hidrógeno a 35 psi durante 5 horas. La mezcla resultante se filtró a través de tierras diatomáceas y lavada con metanol. Los filtrados combinados se concentraron bajo presión reducida. El concentrado se purificó mediante cromatografía de columna de destello (sílice; cloruro de metileno/metanol/gradiente de hidróxido de amonio desde 97/3/0,05 a 93/7/0,05) para dar el compuesto del título, IR (KBr) 2962, 2931, 1611,1594 y 1263 cm^{-1}; ESI-MS (m/z) $[M + H]^+$ = 562.

Ejemplo 757

N^1-((1S,2R)-1-benzil-3-{[3-(2,4-dimetilfenil)propil]amino}-2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida (X)

Etapa 1: A una mezcla agitada de tert-butil (1S,2R)-1-[(2S)-oxiranil]-2-feniletilcarbamato (V, 247 mg, 0,939 mmoles), carbonato de sodio (299 mg, 2,82 mmoles), y 3-(2,4-dimetilfenil)propilamina (VI, 628 mg, 2,82 mmoles) se calentó a reflujo durante la noche. La mezcla de la

reacción se enfrió a 20-25° c y se concentró bajo presión reducida. Purificación mediante cromatografía de columna de destello (sílice; cloruro de metileno/metanol/hidróxido de amonio, 98/2/1) da tert-butil (1S,2R)-1-benzil-3-{[3-(2,4-dimetilfenil)propil]amino}-2-hidroxipropilcarbamato (VII), RMN (300 MHz, CD_3OD):δ 7.22–7.16, 3,81, 3,18, 2,77, 2,54, 2,15, 2,13, 1,89 y 1,23.

Etapa 2: A una mezcla agitada de tert-butil (1S,2R)-1-benzil-3-{[3-(4-dimetilfenil)propil]amino}-2-hidroxipropilcarbamato (VII, 180 mg, 0,423 mmoles), en dioxano (2 mL) se agregó ácido clorhídrico (0,32 ml de una mezcla 4 N en dioxano, 1,27 mmoles). La mezcla de reacción se agitó durante la noche y se concentró bajo presión reducida para dar clorhidrato (2R,3S)-amino-1-{[3-(2,4-dimetilfenil)propil]amino}-4-fenil-2-butanol (VII), RMN (300 MHz, $CDCl_3$):δ 7,14, 3,73, 2,70, 2,32 y 1,86.

Etapa 3: A una mezcla agitada de clorhidrato (2R,3S)-amino-1-{[3-(2,4-dimetilfenil)propil]amino}-4-fenil-2-butanol (VIII, 163 mg, 0,411 mmoles), ácido 3-

[(dipropilamino)carbonil]-5-metilbenzoico (IX, 108 mg, 0,411 mmoles), HOBt (55 mg, 0,411 mmoles), y N-metilmorfolino (1333 mg, 1,32 mmoles), en cloruro de metileno (5 ml) se agregó EDC (142 mg, 0,740 mmoles). La mezcla de reacción se agitó durante la noche y luego particionada entre etilacetato y agua. La fase orgánica se lavó con ácido clorhídrico(1 N), bicarbonato de sodio saturado, solución salina, secado (sulfato de sodio), filtrado, y concentrado bajo presión reducida. Purificación mediante cromatografía de columna de destello (sílice; cloruro de metileno/metanol/hidróxido de amonio, 95/5/1) da el título del compuesto, IR (ATR): 3299, 2930 y 1614 cm^{-1}; APCI-MS (m/z) $[M + H]^+$ = 572.

EJEMPLO 758

Clorhidrato N^1-((1S,2R)-1-benzil-2-hidroxi-3-{[3{(4-metilfenil)propil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida (X)

Siguiendo el procedimiento general del EJEMPLO 757 y realizando variaciones no críticas, pero usando 3-4-(metilfenil)proliamina en lugar de 3-(2,4-dimetilfenil)propiloamina, se obtiene el compuesto del

título, IR (ATR): 3282, 2929 y 1594 cm^{-1}; ACPI-MS (m/z) $[M + H]^+$ = 558.

EJEMPLO 759

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida (X)

Siguiendo el procedimiento general de los EJEMPLOS 4, 5 y 6 y realizando variaciones no críticas pero usando tert-butil (1S)-1-[(2S)-1-[(2S)-oxiranil]-2-feniletilcarbamato (V) y 3-metoxibenzilamino (VI) y "5-Me-PHTH" (IX), se obtiene el compuesto del título, MS $[M + H]^+$ = 546.3.

EJEMPLO 760

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-1,3-dioxo-2-propil-5-isoindolinocarboxamida

Siguiendo el procedimiento general de los ejemplos 4, 5 y 6 y realizando variaciones no críticas pero usando

tert-butil (1S)-1-[(2S)-oxiranil]-2-feniletilcarbamato (V) y 3-metoxibenzilamino (VI) y ácido 1,3-dioxo-2-propilisoindolino-5-carboxílico (IX), se obtiene el compuesto del título, MS $[M + H]^+$ = 516.2.

EJEMPLO 761

N-{(1R,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3-bromo-5-metilbenzamida (X)

Siguiendo el procedimiento general de los ejemplos 4, 5 y 6 y realizando variaciones no críticas pero usando benzil (1S)-1-[(2S)-oxiranil]-2-feniletilcarbamato (V) y 3-metoxibenzilamino (VI) y ácido 3-bromo-5-metilbenzoico (IX), se obtiene el compuesto del título, MS $[M + H]^+$ = 497.1, 499.1.

EJEMPLO 762

3-bromo-N-N-{(1R,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-metilbenzamida (X)

Siguiendo el procedimiento general de los ejemplos 4, 5 y 6 y realizando variaciones no críticas pero usando benzil (1S)-2-(3,5-difluorofenil)-1-[(2S)-oxiranil]etilcarbamato (V) y 3-metoxibenzilamino (VI) y ácido 3-bromo-5-metilbenzoico (IX), se obtiene el compuesto del título, MS $[M + H]^+$ = 533.3, 535.3.

EJEMPLO 763

N^1-{(1R,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-4-metil-N^3,N^3-propilisoftalamida (X)

Siguiendo el procedimiento general de los ejemplos 4, 5 y 6 y realizando variaciones no críticas pero usando benzil (1S)-1-[(2S)-oxiranil]-2-feniletilcarbamato (V) y 3-metoxibenzilamino (VI) y ácido 3-[(dipropilamino)carbonil]-4-metilbenzoico (IX), se obtiene el compuesto del título, MS $[M + H]^+$ = 546.4.

824

EJEMPLO 764

N^1-{(1R,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-4-metil-N^3,N^3-propilisoftalamida (X)

Siguiendo el procedimiento general de los ejemplos 4, 5 y 6 y realizando variaciones no críticas pero usando tert-butil (1S)-2-(3,5-difluorofenil)-1-[(2S)-oxiranil]etilcarbamato (V) y 3-metoxibenzilamino (VI) y ácido 3-[(dipropilamino)carbonil]-4-metilbenzoico (IX), se obtiene el compuesto del título, MS $[M + H]^+$ = 582.3.

EJEMPLO 765

N^3-{(1R,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-4-metil-N^1,N^1-propilisoftalamida (X)

Ácido 3-bromo-4-metilbenzoico (10,94 g, 43,25 mmoles), cianuro de cobre (I) (7,75 g, 86,5 mmoles) y 1-metil-2-pirrolidona (75 ml) se calentaron a 160° C durante la noche. La mezcla es enfriada y destilada en vacío para dar un residuo que se agita en ácido

clorhídrico (6N, 60 ml) durante 10 minutos. El sólido resultante se colecta bajo filtración, se lava con agua, éter y se seca. El sólido se calienta a 90° C en hidróxido de sodio (2N, 250 ml) durante 3 horas y la mezcla es luego enfriada y agitada durante la noche a 20-25° C. La reacción se acidifica hasta aproximadamente un pH de 3 con ácido clorhídrico concentrado lo cual da un precipitado. Los sólidos se recolectan bajo filtración y se lavan con agua, luego se trituran en agua hirviendo, filtrados y secados en un horno al vacío a 60° C. El sólido se disuelve en metanol (75 ml) y se agrega ácido clorhídrico concentrado (5 ml) y la mezcla se refluye durante toda la noche. La mezcla se enfría luego y se concentra bajo presión reducida. Cromatografía (sílica gel; metanol/cloruro de metileno, 8/92) da ácido 5-(metoxicarbonil)-2-metilbenzoico.

A un ácido 5-(metoxicarbonil)-2-betilbenzoico (250 mg, 1,3 mmoles) y trietilamina (0,72 ml, 5,2 mmoles) en cloruro de metileno (14 ml) se agrega dietilcianopirocarbonato (90%, 0,24 ml, 1,4 mmoles) con agitación. Después de 1 minuto, dihidrocloruro (2R,3S)-3-amino-1-[(3-metoxibenzil)amino]-4-fenil-2-butanol (VII, 4485 mg, 1,3 mmoles) se agregó y la reacción se agitó

durante la noche. La mezcla se concentró seguido de cromatografía (sílica gel; metanol/cloruro de metileno, 8/92) para dar 3[({(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}carbonil]-4-metilbenzoato.

3-[({(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}amino)carbonil]-4-metilbenzoato (200 mg, 0,42 mmoles) se trató con hidróxido de litio (39 mg, 0,96 mmoles) en tetrahidrofuran/metanol/agua (2/1/1, 2 ml), y la mezcla agitada durante la noche a 20-25 grados C. la mezcla se decanta y el supernadante concentrado para dar ácido 3-[({(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}amino)carbonil]-4-metilbenzoico.

Ácido 3-[({(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}amino)carbonil]-4-metilbenzoico (124 mg, 0,27 mmoles) se disolvió en trietilamina (0,07ml, 0,54 mmoles) y cloruro de metileno (3 ml) y tratado con dietilcianopirocarbonato (90%, 0,06 ml, 0, 32 mmoles) con agitación durante 2 minutos. Se agregó (0,04 ml, 0,32 mmoles) de dipropilamina se agregaron y agitaron continuamente durante la noche. La fase orgánica se diluyó con cloruro de metileno y lavada con

bicarbonato de sodio saturado (2 x 50 ml) y solución salina (50 ml) luego secado sobre sulfato de sodio anhidro, filtrado y concentrado. Cromatografía (sílica gel; metanol/cloruro de metileno, 8/92) para dar el compuesto del título, MS $[M + H]^+$ = 546,3.

EJEMPLO 766

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3-(2-furil)-5-metilbenzamida (X)

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3-bromo-5-metilbenzamida (X, EJEMPLO 761, 295 mg, 0,59 mmoles), ácido 2-furanilborónico (133 mg, 1,19 mmoles) y carbonato de sodio (366 mg, 2,95 mmoles) se combinaron en dimetilformamida (5 ml) y barboteado bajo flujo de nitrógeno durante 15 minutos. Tetrakis(trifenilfosfino)paladio (136 mg, 0,12 mmoles) se agregó y la mezcla se calentó a 100° C durante toda la noche. La mezcla se enfrió a 20-25° C, diluida con cloroformo (50 ml) y extraída con agua (3 x 100 ml) y solución salina (100 ml), secada sobre sulfato de sodio

anhidro, filtrada y concentrada bajo presión reducida. el residuo se cuoromatografió (sílica gel; metanol/cloruro de metileno, 8/92) para dar el compuesto del título, MS $[M + H]^+$ = 485,3.

EJEMPLO 767

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3',5',5'-trimetil-1,1'-bifenil-3-carboxamida (X)

Siguiendo el procedimiento general del EJEMPLO 766 y realizando variaciones no críticas pero usando ácido 3,5-dimetilfenilborónico, se obtiene el compuesto del título, MS $[M + H]^+$ = 523.3.

EJEMPLO 768

3'-Acetil-N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-metil[1,1'-bifenil]-3-carboxamida (X)

Siguiendo el procedimiento general del EJEMPLO 766 y realizando variaciones no críticas pero usando ácido 3-

acetilfenilborónico, se obtiene el compuesto del título, MS $[M + H]^+ = 537.3$.

EJEMPLO 769

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3'-metoxi-5-metil[1,1'-bifenil]-3-carboxamida (X)

Siguiendo el procedimiento general del EJEMPLO 766 y realizando variaciones no críticas pero usando ácido 3-metoxifenilborónico, se obtiene el compuesto del título, MS $[M + H]^+ = 525.3$.

EJEMPLO 770

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-metil[1,1'-bifenil]-3-carboxamida (X)

Siguiendo el procedimiento general del EJEMPLO 766 y realizando variaciones no críticas pero usando ácido fenilborónico, se obtiene el compuesto del título, MS $[M + H]^+ = 495.3$.

EJEMPLO 771

Ácido 3-Metil-5-(3-tienil)benzoico (IX)

Siguiendo el procedimiento general del EJEMPLO 766 y realizando variaciones no críticas pero usando ácido 2-tiofenoborónico y metil 3-bromo-5-metilbenzoato, metil 3-metil-5-(3-tienil)benzoato se obtiene.

Metil 3-metil-5-(3-tienil)benzoato (257 mg, 1,1 mmoles) se trató con hidróxido de litio (186 mg, 4,4 mmoles) en tetrahidrofuran/metanol/agua (8 ml, 2:1:1) y la mezcla se agitó durante dos horas a 220-25° C. La mezcla se acidificó y concentró para dar el compuesto del título, MS $[M + H]^+$ = 217.3.

EJEMPLO 772

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-metil[1,1'-bifenil]-3-carboxamida (X)

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832

Siguiendo el procedimiento general de los EJEMPLOS 4, 5 y 6 y realizando variaciones no críticas pero utilizando benzil (1S)-1-[(2S)-oxiranilo]-2-feniletilcarbamato (V) y 3-metoxibenzilamina (VI) y ácido 3-metil-5-(3-tienil)benzoico (IX), se obtuvo el compuesto del título, MS $[M + H]^+ = 501.2$.

EJEMPLO 773

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3-metil-5-(2-tienil)benzamida (X)

Siguiendo el procedimiento general de los EJEMPLOS 4, 5 y 6 y realizando variaciones no críticas pero utilizando (1S)-1-[(2S)-oxiranil]-2-feniletilcarbamato (V) y 3-metoxibenzilamina (VI) y ácido 3-metil-5-(2-tienil)benzoico, se obtuvo el compuesto del título, MS $[M + H]^+ = 501.2$.

EJEMPLO 774

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3-metil-5-(3-tienil)benzamida (X)

Siguiendo el procedimiento general de los EJEMPLOS 4, 5 y 6 y realizando variaciones no críticas pero utilizando tert-butil (1S)-2-(3,5-difluorofenil)-[(2S)-oxiranil]-2-etilcarbamato (V) y 3-metoxibenzilamina (VI) y ácido 3-metil-5-(3-tienil)benzoico, se obtuvo el compuesto del título, MS $[M + H]^+ = 537.2$.

EJEMPLO 775

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-3-metil-5-(3-tienil)benzamida (X)

Siguiendo el procedimiento general de los EJEMPLOS 4, 5 y 6 y realizando variaciones no críticas pero utilizando tert-butil (1S)-2-(3,5-difluorofenil)-1-[(2S)-oxiranil]etilcarbamato (V) y 3-yodobenzilamina (VI) y

ácido 3-metil-5-(3-tienil)benzoico (IX), se obtuvo el compuesto del título, MS $[M + H]^+ = 633.1$.

EJEMPLO 776

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-4-metil-3-(3-tienil)benzamida (X)

Siguiendo el procedimiento general de los EJEMPLOS 4, 5 y 6 y realizando variaciones no críticas pero utilizando benzil (1S)-1-[(2S)-oxiranil]-2-feniletilcarbamato (V) y ácido 3-metoxibenzilamina (VI) y 3-bromo-4-metilbenzoico (IX), y ácido 3-tiofenoborónico, se obtuvo el compuesto del título, MS $[M + H]^+ = 501.2$.

EJEMPLO 777

Clorhidrato N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}N^3,N^3,N^5,N^5-4-tetrapropilbenceno-1,3,5,-tricarboxamida (X)

Siguiendo el procedimiento general del gráfico así como también las PREPARACIONES 1-13, EJEMPLOS 1-13, 3221-

48-579, 5597-622 y 6224-631 y realizando variaciones no críticas los EJEMPLOS 4, 5 y 6 y realizando variaciones no críticas y usando los reactivos apropiados, se obtuvo el compuesto del título, HRMS (FAB) = 659.4155.

EJEMPLO 778

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3-,N^3-dipropilbenceno-1,3,5-tricarboxamida (X)

Siguiendo los procedimientos generales del gráfico A así como también las PREPARACIONES 1-13, EJEMPLOS 1-13, 321-48-579, 597-622 y 624-631 y realizando variaciones no críticas y utilizando los reactivos apropiados, se obtuvo el compuesto del título, MS $[M + H]^+$ = 609.2.

EJEMPLO 779

Clorhidrato Etil 3-[({(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}amino)carbonil]-5-[(dipropilamino)carbonil]benzoato (X)

Siguiendo los procedimientos generales del gráfico A así como también las PREPARACIONES 1-13, EJEMPLOS 1-13, 321-48-579, 597-622 y 624-631 y realizando variaciones no críticas y utilizando los reactivos apropiados, se obtuvo el compuesto del título, HRMS (FAG) = 604.3392.

EJEMPLO 780

N^1-{(1S,2R)-2-hidroxi-1-(4-hidroxibenzil)-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropilbenceno-1,3,5-tricarboxamida (X)

Siguiendo los procedimientos generales del gráfico A así como también las PREPARACIONES 1-13, EJEMPLOS 1-13, 321-48-579, 597-622 y 624-631 y realizando variaciones no críticas y utilizando los reactivos apropiados, se obtuvo el compuesto del título, MS $[M + H]^+$ = 591.0

EJEMPLO 781

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-5-{[(trifluorometil)sulfonil]amino}isoftalamida (X)

Siguiendo los procedimientos generales del GRÁFICO A y GRÁFICO T así como también las PREPARACIONES 1-13, EJEMPLOS 1-13, 321-48-579, 597-622 y 624-631 y realizando variaciones no críticas y utilizando los reactivos apropiados, se obtuvo el compuesto del título, MS $[M + H]^+ = 679.2$.

EJEMPLO 782

5-amino-N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropilisoftalamida (X)

Siguiendo los procedimientos generales del GRÁFICO A y GRÁFICO T así como también las PREPARACIONES 1-13, EJEMPLOS 1-13, 321-48-579, 597-622 y 624-631 y realizando variaciones no críticas y utilizando los reactivos apropiados, se obtuvo el compuesto del título, MS $[M + H]^+ = 547.3$.

EJEMPLO 783

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-5-[(trifluoroacetil)amino]isoftalamida (X)

Siguiendo los procedimientos generales del GRÁFICO A y GRÁFICO T así como también las PREPARACIONES 1-13, EJEMPLOS 1-13, 321-48-579, 597-622 y 624-631 y realizando variaciones no críticas y utilizando los reactivos apropiados, se obtuvo el compuesto del título, MS $[M + H]^+ = 643.2$.

EJEMPLO 784

Clorhidrato N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-[(metilsulfonil)amino]-N^3,N^3-dipropilisoftalamida (X)

Siguiendo los procedimientos generales del GRÁFICO A y GRÁFICO T así como también las PREPARACIONES 1-13, EJEMPLOS 1-13, 321-48-579, 597-622 y 624-631 y realizando variaciones no críticas y utilizando los reactivos apropiados, se obtuvo el compuesto del título, MS $[M + H]^+ = 643.2$.

EJEMPLO 785

Clorhidrato N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-5-[(tien-2-ilsulfonil)amino]isoftalamida (X)

Siguiendo los procedimientos generales del GRÁFICO A y GRÁFICO T así como también las PREPARACIONES 1-13, EJEMPLOS 1-13, 321-48-579, 597-622 y 624-631 y realizando variaciones no críticas y utilizando los reactivos apropiados, se obtuvo el compuesto del título, MS $[M + H]^+$ = 693.1.

EJEMPLO 786

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-5-[(tien-2-ilcarbonil)amino]isoftalamida (X)

Siguiendo los procedimientos generales del GRÁFICO A y GRÁFICO T así como también las PREPARACIONES 1-13, EJEMPLOS 1-13, 321-48-579, 597-622 y 624-631 y realizando variaciones no críticas y utilizando los reactivos

apropiados, se obtuvo el compuesto del título, MS [M + H]$^+$ = 657.2.

EJEMPLO 787

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-(metacriloilamino)-N^3,N^3-dipropilisoftalamida (X)

Siguiendo los procedimientos generales del GRÁFICO A y GRÁFICO T así como también las PREPARACIONES 1-13, EJEMPLOS 1-13, 321-48-579, 597-622 y 624-631 y realizando variaciones no críticas y utilizando los reactivos apropiados, se obtuvo el compuesto del título, MS [M + H]$^+$ = 615.1.

EJEMPLO 788

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-[(2,2-dimetilpropanoil)amino]-N^3,N^3-dipropilisoftalamida (X)

Siguiendo los procedimientos generales del GRÁFICO A y GRÁFICO T así como también las PREPARACIONES 1-13,

EJEMPLOS 1-13, 321-48-579, 597-622 y 624-631 y realizando variaciones no críticas y utilizando los reactivos apropiados, se obtuvo el compuesto del título, MS $[M + H]^+ = 615.1$.

EJEMPLO 789

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-[(fenilsulfonil)amino]-N^3,N^3-dipropilisoftalamida (X)

Siguiendo los procedimientos generales del GRÁFICO A y GRÁFICO T así como también las PREPARACIONES 1-13, EJEMPLOS 1-13, 321-48-579, 597-622 y 624-631 y realizando variaciones no críticas y utilizando los reactivos apropiados, se obtuvo el compuesto del título, MS $[M + H]^+ = 687.2$.

EJEMPLO 790

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-(metiltio)pentanamida (X)

842
841

Siguiendo el procedimiento general de los EJEMPLOS 588-592 y 597-619 y usando los materiales de partida apropiados se obtuvo el compuesto del título, HRMS m/z calculado para $C_{24}H_{35}N_2O_3S$ (M + H) = 431.2397.

EJEMPLO 791

Tert-butil (2R,3S)-3-({3-[(dipropilamino)sulfonil]propanoil}amino)-2-hidroxi-4-fenilbutil(3-metoxibenzil)carbamato

Siguiendo el procedimiento general de los EJEMPLOS 588-592 y 597-619 y usando los materiales de partida apropiados se obtuvo el compuesto del título, HRMS m/z calculado para M + H es 620.3369, observado = 620.3379.

EJEMPLO 792

Clorhidrato 2-butilciclopropilamina (VI)

A una solución de trietilfosfonoacetato (22,4 g, 0,1 mol) en 13 mL de diglima se agregó a una mezcla de 13 mL de diglima e hidruro de sodio (60%, 55,7 g, 0,12 mol) en aceite mineral. Cuando la evolución del hidrógeno cesó,

1,2-epoxihexano (12 g, 0,12 mol) en diglima (12 mL) se agregó. La mezcla se agitó durante un día a 25° C y 3 horas a 140° C. Una mezcla de hidróxido de sodio (15 g en 25 ml de agua) se agregó en el enfriado. La mezcla se refluyó 15 horas, diluida con agua fría (100 ml), y lavada con éter (3 x 50 ml). Acidificación a pH = 2 con ácido sulfúrico (25%), extracción con éter (5 x 25 ml), secando el éter sobre sulfato de sodio anhidro, filtración y concentración dio ácido 2-butilciclopropanocarboxílico. El ácido (5,0 g, 0,0035 mmoles) en diclorometano (15 ml) se calentó con cloruro de tionilo (5,1 g, 3,1 ml) durante 15 horas a 60° C. la mezcla de reacción se distilló (76° C - 80° C) para dar el cloruro ácido que es disuelto en acetona (15 ml), enfriado a -10° C y tratado con azida de sodio (2,2 g, 33,8 mmoles) en agua (5 ml). La mezcla de reacción se agitó a -10° C durante otra 1 hora y luego vertida sobre hielo/agua, extraída con éter (3 x 110 ml), secada y cuidadosamente evaporada hasta secado a 20-25° C bajo presión reducida. El residuo se disolvió en tolueno(15 ml) y cuidadosamente calentado a 100 grados C mientras se agita vigorosamente durante 1 hora. Ácido clorhídrico concentrados (7 ml) se agregó y la mezcla de reacción se refluyó durante 15 minutos. La capa acídica se evaporó

hasta secado para dar el compuesto del título, MH^+ = 114.2.

EJEMPLO 793

2-aminometil-3-metilfuran (VI)

Acido 3-metilfurónico (4,0 g, 32 mmoles) se disolvieron en DMF (10 mL) a 20-25 grados C, y 1,1 carbonildiimidazol (5,7 g, 35 mmoles) se agregaron. Después de 15 minutos, se burbujeó amonio dentro de la mezcla durante aproximadamente 2 minutos. La mezcla se agitó a 20-25 grados C durante dos horas luego la mezcla se concentró bajo presión reducida. El residuo se particionó entre acetato de etilo y ácido cítrico acuoso al 10%. Las capas son separadas, y la capa acuosa extraída con acetato de etilo adicional (2 x). Las fases orgánicas combinadas se lavaron con bicarbonato de sodio saturado, luego la solución salina y secado sobre sulfato de magnesio, filtrado y concentrado. Cristales formados una vez en reposo los cuales se aislaron mediante filtración y lavando con una pequeña cantidad de acetato de etilo/hexanos (80/20), MS(ESI):MH+: 126,1. Amida 3-metilfuroico (317 mg, 2,5 mmoles) se disolvieron en THF

seco (5 ml). Hidruro de aluminio de litio (230 mg, 6,0 mmoles) se agregaron en una porción, y la mezcla calentada a reflujo durante la noche. La mezcla se enfrió a 0° C, y apagada mediante adición de THF/agua (50/50). La mezcla es entonces diluida con THF, y filtrada a través de tierras diatomáceas. El filtrado se concentró para dar el compuesto del título.

EJEMPLO 794

4-ainometil-3,5-dimetilisoxazol (VI)

4-clorometil-3,5-dimetilisoxazol (700 mg, 4,8 mmoles) se suspendió en amonio acuoso concentrado a 20-25° C, y agitado vigorosamente durante la noche. La mezcla de reacción se extrajo con alcohol isopropilo/cloroformo (10/90, 2 x). Las fases orgánicas combinadas se concentraron bajo flujo de nitrógeno. El residuo se purificó mediante cromatografía de destello metanol/cloruro de metileno (5-20%, 1% trietilamina) para dar el compuesto del título, MR, ($CDCl_3$, 300 MHz) delta 3,62, 2,29, y 1,44.

EJEMPLO 7955-hidroximetil-2-(2-metilpropil)tiazol (VI)

Isovalerotioamida se sintetizó de acuerdo con el procedimiento en J. Med. Chem. 41, 602-617 (1998). Isovaleramida (10 g, mmoles) se suspendió en éter (400 ml), luego sulfuro de fósforo (V) (4,4 g, 0,99 mmoles) se agregó en porciones. Esto se agitó vigorosamente a 20-25° C durante 2 horas, luego se filtró. El filtrado se concentró bajo presión reducida y el residuo utilizado sin purificación adicional: MS(ESI): MH+: 118.1.

Isovalerotioamida (6,0 g, 51 mmoles) y formilcloroacetato de etilo (32 heterociclos (4), 693-701, (1991), 5,0 g, 33 moles) se disolvieron en DMF seco (20 ml), y calentada a 950 C durante 4 horas. La reacción es subsecuentemente enfriada a 0° C, y se agregó agua fría (50 ml). La mezcla se basificó a un pH = 8 con bicarbonato de sodio sólido, luego extraída con éter (3 x 35 ml). Los extractos orgánicos combinados se lavaron con agua, luego solución salina, filtrada y concentrada. El residuo se purificó mediante cromatografía de destello (acetato de etilo/elusión de hexanos 4-10%) para dar el

producto deseado. RMN ($CDCl_3$, 300 MHz)δ 8,27, 4,45-4,30, 3,70-3,50, 3,00-2,80, 2,30-2,10, 1,40-1,20, y 1,10-0,90.

Una solución de etil 2-(2-metilpropil)tiazol-5-carboxilato (2,05 g, 9,6 mmoles) en THF (10 ml) se agregó gota a gota con agitación a una suspensión de hidruro de aluminio de litio (730 mg, 19 mmoles) y THF seco (50 ml), a 0 grados C. Una vez la adición se completa, la mezcla de reacción se le permitió agitarse a 20-25 grados C. la mezcla de reacción se enfrió a 0 grados C, y agua (2,25 ml) se agregó en sucesión. La mezcla se agitó a 0° durante una hora, luego filtrado a través de tierras diatomáceaas, (cloroformo y THF). El filtrado se concentró para dar 5-hidroximetil-2-(2-metilpropil)tiazol, MS(ESI):MH+: 172,1.

EJEMPLO 796

3-(2-metilpropil)-5-aminometilisoxazol (VI)

Isovalerilaldehido (5,4 ml, 50 mmoles) y clorhidrato de hidroxilamina (3,5 g, 50,4 mmoles) se agitaron vigorosamente en agua (6 ml). A esto se agregó una

solución de carbonato de sodio (2,65 g, 25 mmoles) en agua (15 ml). Esto se agitó vigorosamente durante la noche. La mezcla se extrajo con éter. La capa orgánica se lavó con agua, luego secada sobre sulfato de sodio, filtrada y concentrada. Esto se uso en reacciones subsecuentes sin purificación adicional: MS(ESI): MH+: 102.1.

Propargilamina (8,0 ml, 117 mmoles) se disolvió en cloruro de metileno (60 ml), y di-tert-butil dicarbonato (25 g, 114 mmoles) se agregó. Esto se agitó durante la noche, y concentrado para dar la propargilamina BOC-protegida, que se uso sin purificación adicional: MS(ESI): Mna+: 178.0.

Propargilamina-BOC (6,2 g, 39,7 mmoles) e isovaleroxima (3,97 g, 39,3 mmoles) se disolvió en cloruro de metileno (60 ml), y trietilamina (0,55 ml, 3,95 mmoles) se agregó. Esto se enfrió a 0 grados C, y blanqueado (5% solución acuosa, 59,1 g) se agregó gota a gota con agitación vigorosa. Después la adición se completa, la mezcla se le permitió calentarse a 20-25° C durante 22 horas. Las capas se separaron y la capa acuosa se extrajo con cloruro de metileno (2 x). Los extractos

orgánicos combinados se lavaron solución salina, secados sobre sulfato de magnesio, filtrado y concentrado. El residuo se purificó mediante cromatografía (sílica gel, acetato de etilo/hexanos 5-10% para dar el compuesto del título BOC protegido, MS(ESI): MH+:255,3.

3-(2-metilpropil)-5-aminometilisoxazol BOC-protegido (2,4 g, 9,3 mmoles) se disolvió en cloruro de metileno (10 ml) y tratado con ácido trifluoroacético (10 ml) a 20-25 grados C. esto se agitó a 20-25 grados C durante 70 minutos, luego concentrado. El producto se disolvió en cloruro de metileno, y lavado con carbonato de potasio acuoso (1 M) hasta básico (pH = 11). La capa orgánica se aisló, se secó sobre sulfato de sodio, se filtró y concentró para dar el compuesto del título: MS(ESI): MH+ = 155.2.

EJEMPLO 797

Tert-butil (3R)-2-oxo-1-propilazepanilcarbamato (VI)

A N-t-Boc-D-Lys-OH (10 g, 41,4 mmoles) en DMF (4 litros) se agregó hexafluorofosfato benzotriazol-1-iloxitripirrolidino-fosfonio (BOP, 18,3 g, 41,4 mmoles) y

bicarbonato de sodio (17,4 g, 206,8 mmoles); la reacción se agitó a 20-25 grados durante 12 horas. La reacción es luego concentrada es concentrada en un volumen de 50 ml y diluida con acetato de etilo y lavada con bicarbonato de sodio 3x, agua, 1M bisulfato de potasio y salmuera, secado y concentrado. Purificación mediante cromatografía sobre sílica gel y produjo 5,05 g de tert-butil (3R)-2-oxoazepanilcarbamato como un sólido; el procedimiento empleado es similar a aquel que se describe en J. Med. Chem. 1999, 4193. M+H(t-BOC)(m/e=129,2), M + Na (m/e = 251.1)

A la lactama anterior (2 g, 8,77 mmoles) en THF seco (20 ml) se agregó n-butilitio/hexano (2,5 M, 5,3 ml, 13,2 mmoles) a -78° C, la reacción se agitó durante una hora y 1-bromopropano (3,2 ml, 35,1 mmoles) se agregaron. La reacción se agitó durante 1 hora y el baño frío se removió y agitó continuamente durante otras 16 horas. Yoduro de tetrabutilamonio (0,49 g, 2,63 mmoles) se agregó y la reacción se agitó durante otras 16 horas. La reacción se particionó entre acetato de etilo/ácido clorhídrico + hielo + agua, la mezcla se lavó con agua y solución salina y se concentró. La purificación mediante

cromatografía sobre gel de sílice produjo el compuesto del título, MS (M+Na+) 293,3.

EJEMPLO 798

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etinilbenzil)amino]-2-hidroxipropil}-5-metil-N^3,N^3-dipropilisoftalamida (X)

Siguiendo el procedimiento descrito en J. Am. Chem. Soc. 1986, 31650, la sal de ácido trifluoroacético de (92,9 mg, 0,117 mmoles) N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida (92,9 mg, 0,117 mmoles) es disuelto en trietilamina (0,2 M, 0,6 mL) antes de adición de $PdCl_2(PPh_3)_2$ (3,3 mg, 0,005 mmoles), y yoduro de cobre (I) (1,1 mg, 0,006 mmoles). La reacción se calentó a reflujo. Mientras la reacción se refluye, trimetilsililacetileno (0,02 ml, 0,14 mmoles) se agregó vía jeringa. La reacción se refluyó durante 3 horas bajo N2 (g), y la reacción se enfrió a 20-25° C antes de partición entre bicarbonato de sodio acuoso y acetato de etilo. El producto se extrajo con acetato de etilo (3 x),

lavado con solución salina sobre sulfato de sodio, y filtrado antes de remoción del solvente bajo presión.

El acetileno protegido TMS (0,117 mmoles) se disuelve en metanol (0,2 M, 0,5 mL) antes de adición de hidróxido de potasio (1 M, 0,7 mmoles). La reacción se agitó a 20-25° C durante 6 horas, en cuyo punto la mezcla se particionó entre bicarbonato de sodio y acetato de etilo. El producto se extrajo con acetato de etilo (3 x), lavado con solución salina, secado sobre sulfato de sodio, y filtrado antes de remoción del solvente bajo presión reducida. Cromatografía de columna (sílica gel; isopropanol 1,5-2 %/cloroformo bajo condiciones básicas; unas pocas gotas de hidróxido de amonio por ml de solvente de elusión. Da el compuesto del título, MS m/z $(M + H)^+ = 576,3$.

EJEMPLO 799

1-fenilciclopropilamina (VI)

siguiendo el procedimiento descrito en N. W. Werner et al., J. Org. Syn. Coll. Vol. 5, 273-276, azida de sodio (0,915 g, 14,1 mmoles) se agregó lentamente a una

solución de ácido 1-fenil-ciclopropanocarboxílico (1,0 g, 6,1 mmoles) en ácido sulfúrico concentrado (5 ml) y diclorometano (10 ml). El sulfato de sodio se precipitó fuera de la solución.

La mezcla de reacción se calentó a 50 grados C durante 17 horas y luego enfriada a 0 grados C. la mezcla se basificó a un pH = 11 con hidróxido de sodio (1 N) y extraída con diclorometano (2 x). Las capas orgánicas se combinaron, se secaron sobre sulfato de sodio, se filtró y concentró. El residuo se purificó mediante cromatografía (sílica gel; alcohol isopropilo/cloroformo/hidróxido de amonio 4/95/1) para dar el compuesto del título, MS (ESI+) para $C_9H_{11}N$ m/z (M + H)+ = 134.

EJEMPLO 800

7-metoxi-1,2,3,4-tetrahidro-1-naftalenamida (VI)

7-metoxi—1-tetralona (2,0 g, 11,3 mmmoles), hidrocloruro de hidroxilamina (1,56 g, 22,6 mmoles) y acetato de sodio (1,8 g, 22,6 mmoles) se suspendieron en etanol/agua (3/1, 40 ml). La mezcla se agitó durante 45

minutos a 100 grados C. A la mezcla se le permitió reposarse durante la noche y el precipitado obtenido se filtró y lavó con agua para producir una oxima intermedia, MS (ES) (M+H): 192.1. La oxima se disolvió en ácido acético glacial (25 ml) y paladio/carbono (500 mg) se agregó y la mezcla hidrogenada bajo 50 psi a 20-25 grados C durante la noche. La catálisis se filtró sobre tierra diatomáceas y se lavó con metanol. Los filtrados combinados se combinaron. El concentrado se saturó con éter para dar el compuesto del título, MS (CI) (MH+): 178.2.

EJEMPLO 801

Dihidrocloruro 3-amino-N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-2-metilbutanamida

Siguiendo el procedimiento general del EJEMPLO 6 y realizando variaciones no criticas pero iniciando con (2R,3S)-3-amino-1-[(metoxibenzil)amino]-4-fenil-2-butanol (VIII) y haciendo reaccionar este con ácido 3-[(tert-butoxicarbonil)amino]-2-metilbutanoico (IX), y luego

tratado con HCl se obtuvo el compuesto del título, HRMS calculado = 400.600, observado = 400.2596.

EJEMPLO 802

Clorhidrato N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-2-etilhexanamida

Siguiendo el procedimiento general del EJEMPLO 6 y realizando variaciones no críticas pero iniciando con (2R,3S)-3-amino-1-[(3-metoxibenzil)amino]-4-fenil-2-butanol (VIII) y haciendo reaccionar este con ácido 2-etilhexanoico (IX), se obtuvo el compuesto del título, HRMS calculado = 427.2961, observado = 427.2961.

EJEMPLO 803

Trifluoroacetato N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-yodobenzil)amino]propil}-3-[(isobutilsulfonil)amino]propanamida

Siguiendo el procedimiento general del GRÁFICO I y realizando variaciones no críticas pero empezando con (2R,3S)-3-amino-1-[(3-yodobenzil)amino]-4-fenil-2-butanol

(VIII) y haciendo reaccionar este con N-(isobutilsulfonil)-beta-alanina (IX), se obtuvo el compuesto del título, HRMS calculado = 588.1393, observado = 588.1397.

EJEMPLO 804

Trifluoroacetato N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-N^3-(isobutilsulfonil)-beta-alanina

Siguiendo el procedimiento general del GRÁFICO I y realizando variaciones no críticas pero empezando con (2R,3S)-3-amino-4-(3,5-difluorofenil)-1-[(3-yodobenzil)amino]-2-butanol (VIII) y haciendo reaccionar este con N-(isobutilsulfonil)-beta-alanina (IX), se obtuvo el compuesto del título, M + H = 624.

EJEMPLO 805

Clorhidrato 5-bromo-N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-N^3,N^3-dipropilisoftalamida

Siguiendo el procedimiento general del EJEMPLO 6 y realizando variaciones no críticas pero empezando con (2R,3S)-3-amino-4-(3,5-difluorofenil)-1-[(3-yodobenzil)amino]-2-butanol (VIII) y haciendo reaccionar este con ácido 3-bromo-5-[(dipropilamino)carbonil]benzoico (IX), se obtuvo el compuesto del título, M + H = 745.

EJEMPLO 806

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(1-fenilciclopropil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida

Siguiendo el procedimiento general del EJEMPLO 6 y realizando variaciones no críticas pero iniciando con (2R,3S)-3-amino-4-(3,5-difluorofenil)-1-[(1-fenilciclopropil)amino]-2-butanol (VIII) y reaccionando este con ácido 3-[(dipropilamino)carbonil]-5-metilbenzoico (IX), la amina sustituida (X) se obtiene. MH+=578.

EJEMPLO 806.1

5-bromo-N^1-[(1S,2R)-3-[(3-bromobenzil)amino]-1-(3,5-difluorobenzil)-2-hidroxipropil]-N^3,N^3-dipropilisoftalamida

Siguiendo el procedimiento general del EJEMPLO 6 y realizando variaciones no críticas pero iniciando con hidrocloruro (2R,3S)-3-amino-1-[(3-bromobenzil)amino]-4-(3,5-difluorofenil)-2-butanol (VIII) y reaccionando este con ácido 3-bromo-5-[(dipropilamino)carbonil]benzoico (IX), el compuesto del título se obtiene, RMN (500 MHz, CD_3OD): δ 7,85, 7,72, 7,69, 7,67, 7,52, 7,51, 6,88, 6,86, 6,74, 4,39-4,13, 3,95-3,88 3,53-2,96, 2,82, 1,70, 1,50, 0,99 y 0,71.

EJEMPLO 807-1,064

Siguiendo el procedimiento general del gráfico A y los EJEMPLOS 4-6, 321-327, 329-464, 466-527, 529-579, 597-619 y 633-708 y realizando variaciones no críticas, y utilizando las aminas apropiadas (VIII) y agentes

formadores de amida (IX), los compuestos titulados se obtienen.

EJEMPLO	AMINA SUSTITUIDA (X)
807	N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3-metil-5-(2-propilpentanoil)benzamida
808	N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-(2-etilpentanoil)-5-metilbenzamida
809	N-{(1S,2R)-1-benzil-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-metil-5-(2-propilpentanoil)metilbenzamida
810	N-{(1S,2R)-1-benzil-3-[(3-etinilbenzil)amino]-2-hidroxipropil}-3-metil-5-(2-propilpentanoil)benzamida
811	N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-(2-etilbutanoil)-5-metilbenzamida
812	N^1-{(1S,2R)-1-benzil-3-[(3-etilbenzil)amino]-2-hidroxipropil}-5-(2-propilpentanisoftalamida
813	N-{(1S,2R)-1-benzil-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-(2-etilpentanoil)-5-metilbenzamida
814	N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-

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859

	5-(2-propilpentanoil)isoftalamida
815	N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-(2-propilpentanoil)isoftalamida
816	N-[(1S,2R)-3-[(3-etilbenzil(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-(2-propilpentanoil)isoftalamida
817	N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3-metil-5-(2-propilpentanoil)benzamida
818	N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-metil-5-(2-propilpentanoil)benzamida
819	N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[3-(3-piridinil)benzil]amino}propil)-5-N^3,N^3-(2-propilpentanoil)dipropilisoftalamida
820	N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[3-(4-piridinil)benzil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida
821	N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-5-(1-propinil)isoftalamida
822	N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-

	N^3,N^3-dipropil-5-(1-propinil)isoftalamida
823	N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropil-5-(2-propinil)isoftalamida
824	N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-5-(2-propinil)isoftalamida
825	N^1-{(1S,2R)-1-(ciclohexilmetil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida
826	N^1-[(1S,2R)-3-(benzilamino)-2-hidroxi-1-(3-tienilmetil)propil]-5-metil-N^3,N^3-dipropilisoftalamida
827	N^1-[(1S,2R)-3-hidroxi-3-[(3-metoxibenzil)amino]-1-(2-tienilmetil)propil]-5-metil-N^3,N^3-dipropilisoftalamida
828	N^1-{(1S,2R)-1-[(1R)-2-(benzilamino)-1-hidroxietil]-3-butinil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida
829	N^1-[(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-(3-tienilmetil)propil]-5-metil-N^3,N^3-dipropilisoftalamida
830	N^1-[(1S,2R)-3-(benzilamino)-2-hidroxi-1-(2-tienilmetil)propil]-5-metil-N^3,N^3-

862
861

	dipropilisoftalamida
831	N^1-{(1S,2R)-1-(3-furilmetil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida
832	N^1-{(1S,2R)-3-(benzilamino)-1-[4-benziloxi)benzil]-2-hidroxipropil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida
833	N^1-{(1S,2R)-1-(2-furilmetil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-N^3,N^3-dipropilisoftalamida
834	N^1-[(1S,2R)-3-(benzilamino)-1-(ciclohexilmetil)-2-hidroxipropil]-5-N^3,N^3-dipropilisoftalamida
835	N^1-{(1S,2R)-2-hidroxi-1-(4-hidroxibenzil)-3-[(3-metoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida
836	N^1-[(1S,2R)-3-(benzilamino)-2-hidroxi-1-(1-naftilmetil)propil]-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida
837	2,3,5-trideoxi-3-({3-[(dipropilamino)carbonil]-5-metilbenzoil}amino)-5-[(3-metoxibenzil)amino]-1-S-fenil-1-tio-D-eritouro-pentiol
838	N^1-{(1S,2R)-2-hidroxi-1-(4-hidroxibenzil)-3-[(3-metoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida

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839	N^1-((1S)-1-{(1R)-1-hidroxi-2-[(3-metoxibenzil)amino]etil}-3-metilbutil)-5-N^3,N^3-dipropilisoftalamida
840	N^1-[(1S,2R)-3-benzilamino)-1-(4-fluorobenzil)-2-hidroxipropil]-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida
841	N^1-{(1S,2R)-1-(4-fluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida
842	N^1-[(1S,2R)-3-(benzilamino)-1-(2-furilmetil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida
843	N^1-[(1S,2R)-3-hidroxi-3-[(3-metoxbenzil)amino]-1-(1-naftilmetil)propil]-5-metil-N^3,N^3-dipropilisoftalamida
844	N^1-[(1S,2R)-1-[(1R)-2-(benzilamino)-1-hidroxietil]-3-metilbutil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida
845	N^1-{(1S,2R)-1-[4-(benziloxi)benzil]-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida
846	N^1-[(1S,2R)-3-benzilamino-2-hidroxi-1-(4-hidroxibenzil)propil]-5-metil-N^3,N^3-dipropilisoftalamida
847	N^1-((1S)-1-{(1R)-1-hidroxi-2-[(3-metoxibenzil)amino]etil}-3-butinil)-5-metil-metil-N^3,N^3-dipropilisoftalamida

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863

848	N^1-((1S)-1-{(1R)-1-hidroxi-2-[(3-metoxibenzil)amino]etil}-3-butinilo)-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida
849	5-(benzilamino)-2,3,5-trideoxi-3-({3-[(dipropilamino)carbonil]-5-metilbenzoil}amino)-1-S-fenil-1-tio-D-eritouro-pentiol
850	N^1-{(1S,2R)-1-[4-(benziloxi)benzil]-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida
851	N^1-[(1S,2R)-3-(benzilamino)-2-hidroxi-1-(4-hidroxibenzil)propil]-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida
852	N^1-[(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-(1-naftilmetil)propil-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida
853	N^1-{(1S)-1-[(1R)-2-(benzilamino)-1-hidroxietil]-3-metilbutil}-5-metil-N^3,N^3-dipropil-1,3,5-dipropilisoftalamida
854	N^1-{(1S,2R)-1-[4-(fluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida
855	*N^1-[(1S,2R)-3-(benzilamino)-1-(3-furilmetil)-2-hidroxipropil]-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida

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856	N^1-((1S)-1-{(1R)-1-hidroxi-2-[(3-metoxibenzil)amino]etil}-3-metilbutil)-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida
857	N^1-[(1S,2R)-3-(benzilamino)-1-4-fluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida
858	N^1-[(1S,2R))-3-(benzilamino)-1-(2-furilmetil)-2-hidroxipropil]-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida
859	N^1-{(1S,2R)-3-hidroxi-1-(4-hidroxibenzil)-3-[(3-metoxibenzil)aminio]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida
860	N^1-[(1S,2R)-3-(benzilamino)-2-hidroxi-1-(1-naftilmetil)propil]-5-metil-N^3,N^3-dipropilisoftalamida
861	N^1-{(1S,2R)-1-(ciclohexilmetil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-1,3,5-tricarboxamida
862	N^1-[(1S,2R)-3-(benzilamino)-2-hidroxi-1-(2-tienilmetil)propil]-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida
863	N^1-{(1S,2R)-1-(3-furilmetil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida
864	N^1-{(1S,2R)-3-(benzilamino)-1-[4-(benziloxi)benzil]-2-hidroxipropil}-5-metil-N^3,N^3-dipropilisoftalamida

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865	N^1-{(1S,2R)-1-(furilmetil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida
866	N^1-[(1S,2R)-3-(benzilamino)-2-hidroxi-1-(3-tienilmetil)propil]-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida
867	N^1-[(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-(2-tienilmetil)propil]-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida
868	N^1-{(1S)-1-[(1R)-2-(benzilamino)-1-hidroxietil]-3-butinil}-5-metil-N^3,N^3-dipropilisoftalamida
869	N^1-[(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-(3-tienilmetil)propil]-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida
870	N^1-{(1S,2R)-2-hidroxi-1-(ciclohexilmetil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida
871	N^1-[(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-(3-tienilmetil)propil]-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida
872	N^1-{(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-(2-tienilmetil)propil]-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida
	N^1-{(1S,2R)-1-(2-furilmetil)-2-hidroxi-

873	3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida
874	N^1-{(1S,2R)-1-(3-furilmetil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida
875	N^1-{(1S,2R)-2-hidroxi-1-(4-hidroxibenzil)-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida
876	N^1-((1S)-1-{(1R)-1-hidroxi-2-[(3-metoxibenzil)amino]propil}-3-metilbutil-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida
877	N^1-{(1S,2R)-1-(4-fluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida
878	N^1-[(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-(1-naftilmetil)propil]-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida
879	N^1-{(1S,2R)-1-[4-(benciloxi)benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida
880	N^1-{(1S,2R)-2-hidroxi-1-[3-(hidroximetil)benzil]-3-[(3-metoxibenzil)amino]propil}-5-N^3,N^3-dipropilisoftalamida
	N^1-{(1S,2R)-3-[(3-etilbenzil)amino]-2-

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881	hidroxi-1-[3-(hidroximetil)benzil]propil}-5-metil-N^3,N^3-dipropilisoftalamida
882	N^1-{(1S,2R)-2-hidroxi-1-[(3-hidroximetil)benzil]-3-[(3-yodobenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida
883	N^1-{(1S,2R)-2-hidroxi-1-[(4-hidroximetil)benzil]-3-[(3-yodobenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida
884	N^1-{(1S,2R)-3-[(3-etilbenzil)amino]-2-hidroxi-1-[4-(hidroximetil)benzil]propil}-5-metil-N^3,N^3-dipropilisoftalamida
885	N^1-{(1S,2R)-2-hidroxi-1-[4-(hidroximetil)benzil]-3-[(3-metoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida
886	N^1-{(1S,2R)-1-(3-fluoro-5-hidroxibenzil)-2-hidroxi-3-[(3-metoxibenzil)benzil]propil}-5-metil-N^3,N^3-dipropilisoftalamida
887	N^1-[(1S,2R)-3-[(3-etilbenzil)amino]-1-(3-fluoro-5-hidroxibenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida
888	N^1-{(1S,2R)-1-(3-fluoro-5-hidroxibenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-5-metil-N^3,N^3-

869
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	dipropilisoftalamida
889	N^1-{(1S,2R)-1-[3-(benziloxi)-5-fluorobenzil]-2-hidroxi-3-[(3-yodobenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida
890	N^1-{(1S,2R)-1-[3-(benciloxi)-5-fluorobenzil]-2-hidroxi-3-[(3-metoxibenzil)benzil]propil}-5-metil-N^3,N^3-dipropilisoftalamida
891	N^1-{(1S,2R)-1-[4-(benciloxi)benzil]-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3-[(dipropilamino)sulfonil]propanamida
892	N^1-{(1S,2R)-1-[4-(benciloxi)benzil]-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^5,N^5-dipropilisoftalamida
893	3-[(dipropilamino)sulfonil]-N-[(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-(1-naftilmetil)propil]propanamida
894	N^1-[(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-(1-naftilmetil)propil]-N^5,N^5-dipropilpentanodiamida
895	3-[(dipropilamino)sulfonil]-N-{(1S,2R)-1-(4-fluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}propanamida
896	N^1-{(1S,2R)-1-(4-fluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^5,N^5-

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	dipropilpentanodiamida
897	3-[(dipropilamino)sulfonil]-N-{(1S,2R)-2-hidroxi-1-(4-hidroxibenzil)-3-[(3-metoxibenzil)amino]propil}propanamida
898	N^1-{(1S,2R)-2-hidroxi-1-(4-hidroxibenzil)-3-[(3-metoxibenzil)amino]propil}-N^5,N^5-dipropilpentanodiamida
899	3-[(dipropilamino)sulfonil]-N-{(1S,2R)-1-(3-furilmetil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}propanamida
900	N^1-{(1S,2R)-1-(2-furilmetil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^5,N^5-dipropilpentanodiamida
901	3-[(dipropilamino)sulfonil]-N-{(1S,2R)-1-(2-furilmetil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}propanamida
902	N^1-{(1S,2R)-1-(3-furilmetil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^5,N^5-dipropilpentanodiamida
903	3-[(dipropilamino)sulfonil]-N-[(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-(2-tienilmetil)propil]propanamida
904	N^1-[(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-(3-tienilmetil)propil]-N^5,N^5-dipropilpentanodiamida
905	3-[(dipropilamino)sulfonil]-N-[(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-(3-tienilmetil)propil]propanamida

906	N^1-[(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-(2-tienilmetil)propil]-N^5,N^5-dipropilpentanodiamida
907	N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3-{[(2R)-1-etilpirrolidinil]carbonil}-5-metilbenzamida
908	N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3-{[(2S)-1-etilpirrolidinil]carbonil}-5-metilbenzamida
909	N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3-[(1-etil-1H-imidazol-2-il)carbonil]-5-metilbenzamida
910	N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3-[(1-etil-4-metil-1H-imidazol-5-il)carbonil]-5-metilbenzamida
911	N^1-((1S,2S)-1-(3,5-difluorobenzil)-2-hidroxi-2-{1-[3-metoxibenzil)amino]ciclopropil}etil)-5-metil-N^3,N^3-dipropilisoftalamida
912	N^1-((1S,2S)-1-(3,5-difluorobenzil)-2-{1-[(3-etilbenzil)amino]ciclopropil}-2-

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871

	hidroxietil)-5-metil-N^3,N^3-dipropilisoftalamida
913	(1R,2R,3R)-N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^2,N^2-dipropil-1,2,3-ciclopropanotricarboxamida
914	(1R,2R,3R)-N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3-fenil-N^2,N^2-dipropil-1,2-ciclopropanodicarboxamida
915	(1R,2R,3R)-N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}3-metil-N^2,N^2-dipropil-1,2-ciclopropanodicarboxamida
916	(1R,2R,3S)-N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}3-metil-N^2,N^2-dipropil-1,2-ciclopropanodicarboxamida
917	(1R,2R,3S)-N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}3-fenil-N^2,N^2-dipropil-1,2-ciclopropanodicarboxamida
918	(1R,2R,3S)-N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^2,N^2-dipropil-1,2,3-ciclopropanotricarboxamida
	(1R,2R,3S)-3-(2-amino-2-oxoetil)-N^1-

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919	{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^2,N^2-dipropil-1,2-ciclopropanodicarboxamida
920	(1R,2R,3R)-3-(2-amino-2-oxoetil)-N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]-propil}-N^2,N^2-dipropil-1,2-ciclopropanodicarboxamida
921	(1R,2R,3S)-N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-2-[2-(dipropilamino)-2-oxoetil]-3-metilciclopropanocarboxamida
922	(1R,2R,3R)-N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-2-[2-(dipropilamino)-2-oxoetil]-3-metilciclopropanocarboxamida
923	(1R,2R,3R)-N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-2-[2-(dipropilamino)-2-oxoetil]-3-fenilciclopropanocarboxamida
924	(1S,2R,3S)-N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-2-

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EJEMPLO	AMINA (X) SUSTITUIDA
	Oxoetil]-3-fenilciclopropanocarboxamida
925	(1S,2R,3R)-N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxobenzil)amino]propil}-3-[2-dipropilamino)-2-oxoetil]-1,2-ciclopropanodicarboxamida
926	(1S,2R,3S)-N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxobenzil)amino]propil}-3-[2-(dipropilamino)-2-oxoetil]-1,2-ciclopropanodicarboxamida
627	N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxobenzil)amino]propil}-N^3,N^3-dipropil-5-{[(trifluorometil)sulfonil]amino}isoftalamida
928	N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropil-5-{[(trifluorometil)sulfonil]amino}isoftalamida
929	N^1-{(1S,2R)-1-benzil-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropil-5-{[(trifluorometil)sulfonil]amino}isoftalamida
930	N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-5-{metil[(trifluorometil)sulfonil]amino}-N^3,N^3-dipropilisoftalamida

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931	N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-{metil[(trifluorometil)sulfonil]amino}-N^3,N^3-dipropilisoftalamida
932	N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-5-{propil[(trifluorometil)sulfonil]amino}-isoftalamida
933	N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-[(metilsulfonil)amino]-N^3,N^3-dipropilisoftalamida
934	N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-[(fenilsulfonil)amino]-N^3,N^3-dipropilisoftalamida
935	N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-isopropilbenzil)amino]propil}-3-[(dipropilamino)sulfonil]propanamida
936	N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etinilbenzil)amino]-2-hidroxipropil}-3-[(dipropilamino)sulfonil]propanamida
937	N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[3-(dimetilamino)benzil]amino}-2-hidroxipropil)-3-[(dipropilamino)sulfonil]propanamida
938	N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[(2-etil-1,3-tiazol-5-il)metil]amino}-2-hidroxipropil)-3-

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	[(dipropilamino)sulfonil]propanamida
939	N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(2-isobutil-1,3-tiazol-5-il)metil]amino}propil)-3-[(dipropilamino)sulfonil]propanamida
940	N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(2-isobutil-1,3-tiazol-5-il)metil]amino}propil)-3-[(dipropilamino)sulfonil]propanamida
941	N^1-((1S,2R)-3-[(3-ciclopropilbenzil)amino]-1-(3,5-difluorobenzil)-2-hidroxipropil]-3-[(dipropilamino)sulfonil]propanamida
942	N^1-((1S,2R)-3-[(3-ciclopropilbenzil)amino]-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida
943	N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(3-(1,3-tiazol-2-il)benzil)amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida
944	N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(3-(1,3-oxazol-2-il)benzil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida
945	N^1-[(1S,2R)-3-[(3-acetilbenzil)amino]-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida
946	N^1-[(1S,2R)-3-[(3-acetilbenzil)amino]-1-(3,5-difluorobenzil)-2-hidroxipropil]-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida
947	N^1-[(1S,2R)-3-[(3-acetilbenzil)amino]-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-

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	(aminosulfonil)-N^3,N^3-dipropilisoftalamida
948	N^1-[(1S,2R)-3-[(3-acetilbenzil)amino]-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-(metilsulfonil)-N^3,N^3-dipropilisoftalamida
949	N^1-[(1S,2R)-3-{[(3-(dietilamino)benzil]amino]-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida
950	N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[3-(4-morfolinil)benzil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida
951	N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[3-(1-piperazinil)benzil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida
952	N^1-((1S,2R)-3-{[3-(aminosulfonil)benzil]amino}-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida
953	N^1-[(1S,2R)-1-{[3-(3,5-difluorobenzil)-3-({3-[(dimetilamino)sulfonil]benzil}amino)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida
954	N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[3-(1-piperidinilsulfonil)benzil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida
955	N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[3-(metilsulfonil)benzil]amino}propil)-5-

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	metil-N^3,N^3-dipropilisoftalamida
956	N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[3-(isopropilsulfonil)benzil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida
957	N^1-((1S,2R)-3-{[3-aminocarbonil)benzil]amino}-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida
958	N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-({3-[(dimetilamino)carbonil]benzil}amino)-2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida
959	N^1-[(1S,2R)-3-[(3-cianobenzil)amino]-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida
960	3-({[(2R,3S)-4-(3,5-difluorofenil)-3-({3-[(dipropilamino)carbonil]-5-metilbenzoil}amino)-2-hidroxibutil]amino}metil)fenilcarbamato
961	3-({[(2R,3S)-4-(3,5-difluorofenil)-3-({3-[(dipropilamino)carbonil]-5-metilbenzoil}amino)-2-hidroxibutil]amino}metil)fenil dimetilcarbamato
962	N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[3-(1-propinil)benzil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida
963	N^1-[(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[3-(3-metil-1-

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	butinil)benzil])amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida
964	N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[3-(2-propinil)benzil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida
965	N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[3-(5-isobutil-1,3,4-oxadiazol-2-il)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida
966	N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[3-(5-etil-1,3,4-oxadiazol-2-il)metil]amino}-2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida
967	N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[3-(5-etil-1,3,4-tiadiazol-2-il)metil]amino}-2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida
968	N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[3-(5-isobutil-1,3,4-tiadiazol-2-il)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida
969	N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[3-(3-etil-1,2,4-tiadiazol-5-il)metil]amino}-2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida
970	N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[3-(3-isobutil-1,2,4-tiadiazol-5-il)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida
	N^1-((1S,2R)-1-(3,5-diflubrobenzil)-2-

971	hidroxi-3-{[3-(3-isobutil-1,2,4-oxadiazol-5-il)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida
972	N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[3-(3-etil-1,2,4-oxadiazol-5-il)metil]amino}-2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida
973	N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[(2-etil-1,3-oxazol-5-il)metil]amino}-2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida
974	N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[2-isobutil-1,3-oxazol-5-il)metil]amino}propil-5-metil-N^3,N^3-dipropilisoftalamida
975	N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(5-isobutil-1,3,4-oxadiazol-2-il)metil]amino}propil-5-metil-N^3,N^3-dipropilisoftalamida
976	N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(5-isobutil-1,3,4-tiadiazol-2-il)metil]amino}propil-5-metil-N^3,N^3-dipropilisoftalamida
977	N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[(5-etil-1,3,4-tiadiazol-2-il)metil]amino}-2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida
978	N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[(5-etil-1,3,4-oxadiazol-2-il)metil]amino}-2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida

979	N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[(3-etil-1,2,4-oxadiazol-5-il)metil]amino}-2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida
980	N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[(3-etil-1,2,4-tiadiazol-5-il)metil]amino}-2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida
981	N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(3-isobutil-1,2,4-tiadiazol-5-il)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida
982	N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(3-isobutil-1,2,4-oxadiazol-5-il)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida
983	N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[(2-etil-2H-tetraazol-5-il)metil]amino}-2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida
984	N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(2-isobutil-2H-tetraazol-5-il)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida
985	N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[(2-etil-4-pirimidinil)metil]amino}-2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida
986	N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(2-isopropil-4-pirimidinil)metil]amino}propil)-5-metil-

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	N^3,N^3-dipropilisoftalamida
987	N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[(2-etinil-4-pirimidinil)metil]amino}-2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida
988	N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(6-isopropil-4-pirimidinil)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida
989	N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-({[6-(dimetilamino)-4-pirimidinil]metil}amino)-2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida
990	N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-({[2-(dimetilamino)-4-pirimidinil]metil}amino)-2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida
991	N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-({[4-(dimetilamino)-4-pirimidinil]metil}amino)-2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida
992	N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(4-isopropil-2-pirimidinil)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida
993	N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[(4-etil-2-pirimidinil)metil]amino}-2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida
994	N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[(5-etil-3-piridazinil)metil]amino}-2-

	hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida
995	N^3-((1S,2R)-1-(3,5-difluorobenzil)-3-{[3-(dimetilamino)metil]amino}-2-hidroxipropil)-5-metil-N^5,N^5-dipropil-3,5-piridinodicarboxamida
996	N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(5-isopropil-3-piridazinil)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida
997	N^3-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[3-(1-propinil)benzil]amino}propil)-N^5,N^5-dipropil-3,5-piridinodicarboxamida
998	N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(6-isopropil-4-piridazinil)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida
999	N^3-((1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etinilbenzil)amino]-2-hidroxipropil}-N^5,N^5-dipropil-3,5-piridinodicarboxamida
1000	N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[(6-etil-4-piridazinil)metil]amino}-2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida
1001	N^3-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-isopropilbenzil)amino]propil}-N^5,N^5-dipropil-3,5-piridinodicarboxamida
1002	N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[(6-etil-2-pirazinil)metil]amino}-2-

	hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida
1003	N^3-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^5,N^5-dipropil-3,5-piridinodicarboxamida
1004	N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(6-isopropil-2-pirazinil)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida
1005	N^1-[(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-(3,4,5-trifluorobenzil)propil]-5-metil-N^3,N^3-dipropilisoftalamida
1006	N^1-((1S,2R)-2-hidroxi-1-(3,4,5-trifluorobenzil)-3-{[3-trifluorometil)benzil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida
1007	N^1-((1S,2R)-2-hidroxi-1-(2,3,5,6-tetrafluorobenzil)-3-{[3-trifluorometil)benzil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida
1008	N^1-[(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-(2,3,5,6-tetrafluorobenzil)propil]-5-metil-N^3,N^3-dipropilisoftalamida
1009	N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(1R,2S)-2-hidroxi-6-metoxi-2,3-dihidro-1H-inden-1-il]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida
1010	N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(1R,2S)-2-hidroxi-6-metoxi-2,3-

	dihidro-1H-inden-1-il]amino}propil)-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida
1011	N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[(1R,2S)-6-etil-2-hidroxi-2,3-dihidro-1H-inden-1-il]amino}-2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida
1012	N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[(1R,2S)-6-etil-2-hidroxi-2,3-dihidro-1H-inden-1-il]amino}-2-hidroxipropil)-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida
1013	N^1-((1S,2R)-2-hidroxi-1-(1H-indol-5-ilmetil)-3-[3-metoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida
1014	N^1-[(1S,2R)-3-[(3-etilbenzil)amino]-2-hidroxi-1-(1H-indol-5-ilmetil)propil]-5-metil-N^3,N^3-dipropilisoftalamida
1015	N^1-[(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-(3-metilbenzil)propil]-5-metil-N^3,N^3-dipropilisoftalamida
1016	N^1-[(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-(3-metilbenzil)propil]-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida
1017	N^1-((1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-[3-(trifluorometil)benzil]propil}-5-metil-N^3,N^3-dipropilisoftalamida
1018	N^1-((1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-[3-(trifluorometil)benzil]propil}-N^3,N^3-

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	dipropil-1,3,5-bencenotricarboxamida
1019	N^1-[(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-(2-piridinilmetil)propil]-5-metil-N^3,N^3-dipropilisoftalamida
1020	N^1-[(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-[2-piridinilmetil)propil]-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida
1021	N^1-{(1S,2R)-1-[3-fluoro-5-(trifluorometil)benzil]-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida
1022	N^1-{(1S,2R)-1-[3-fluoro-5-(trifluorometil)benzil]-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida
1023	N^1-{(1S,2R)-2-[3-metoxibenzil)amino]-1-[3-(trifluorometoxi)benzil]propil}-5-metil-N^3,N^3-dipropilisoftalamida
1024	N^1-{(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-[3-(trifluorometoxi)benzil]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida
1025	N^1-{(1S,2R)-2-hidroxi-1-(3-hidroxibenzil)-3-[(3-metoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida
1026	N^1-{(1S,2R)-2-hidroxi-1-(3-hidroxibenzil)-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida
	N^1-[(1S,2R)-2-hidroxi-3-[(3-

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1027	metoxibenzil)amino]-1-(4-metilbenzil)propil]-5-metil-N^3,N^3-dipropilisoftalamida
1028	N^1-[(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-(4-metoxibenzil)propil]-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida
1029	N^1-[(1S,2R)-1-(4-fluoro-3-metilbenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida
1030	N^1-{(1S,2R)-1-(4-fluoro-3-metilbenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida
1031	N^1-{(1S,2R)-1-(4-clorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida
1032	N^1-{(1S,2R)-1-(4-clorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida
1033	N^1-{(1S,2R)-2-hidroxi-1-(3-metoxibenzil)-3-[(3-metoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida
1034	N^1-{(1S,2R)-2-hidroxi-1-(3-metoxibenzil)-3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida
1035	N^1-{(1S,2R)-2-hidroxi-1-(4-metoxibenzil)-3-[(3-metoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida
1036	N^1-{(1S,2R)-2-hidroxi-1-(4-metoxibenzil)-3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida

1037	N^1-{(1S,2R)-1-(3-cloro-5-fluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida
1038	N^1-{(1S,2R)-1-(3-cloro-5-fluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida
1039	N^1-{(1S,2R)-1-(4-cloro-3-fluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida
1040	N^1-{(1S,2R)-1-(4-cloro-3-fluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida
1041	N^1-{(1S,2R)-1-(3,5diclorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida
1042	N^1-{(1S,2R)-1-(diclorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida
1043	N^1-{(1S,2R)-1-[4-(dimetilamino)benzil]-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida
1044	N^1-{(1S,2R)-1-[4-(dimetilamino)benzil]-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida
1045	N^1-{(1S,2R)-1-(3-(clorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida
1046	N^1-{(1S,2R)-1-(3-clorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida
	N^1-{(1S,2R)-1-(3-(fluorobenzil)-2-hidroxi-

1047	3-[(3-metoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida
1048	N^1-{(1S,2R)-1-(3-fluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida
1049	N^1-{(1S,2R)-2-hidroxi-1-(4-isopropilbenzil)-3-[(3-metoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida
1050	N^1-{(1S,2R)-2-hidroxi-1-(4-isopropilbenzil)-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida
1051	N^1-{(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-[(6-metoxi-2-piridinil)metil]propil}-5-metil-N^3,N^3-dipropilisoftalamida
1052	N^1-{(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino-1-[(6-metoxi-2-piridinil)metil]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida
1053	N^1-{(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-[(5-metil-2-piridinil)metil]propil}-5-metil-N^3,N^3-dipropilisoftalamida
1054	N^1-{(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-[(5-metil-2-piridinil)metil]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida
1055	N^1-{(1S,2R)-1-(3-fluoro-4-metilbenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-

	metil-N^3,N^3-dipropilisoftalamida
1056	N^1-{(1S,2R)-1-(3-fluoro-4-metilbenzil)-2-hidroxi-3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida
1057	N^1-{(1S,2R)-1-(3-fluoro-4-metoxibenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida
1058	N^1-{(1S,2R)-1-(3-fluoro-4-metilbenzil)-2-hidroxi-3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida
1059	N^1-{(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-(2-metoxi-5-metilbenzil)propil}-5-metil-N^3,N^3-dipropilisoftalamida
1060	N^1-[(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-(2-metoxi-5-metilbenzil)propil]-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida
1061	N^1-[(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)-amino]-1-(1,3-tiazol-2-ilmetil)propil]-5-metil-N^3,N^3-dipropilisoftalamida
1062	N^1-[(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-(1,3-tiazol-2-ilmetil)propil]-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida
1063	N^1-{(1S,2R)-1-[(5-cloro-2-tienil)metil]-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida
1064	N^1-{(1S,2R)-1-[(5-cloro-2-tienil)metil]-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida

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EJEMPLOS 1.065 - 1-155

Siguiendo el procedimiento general del mapa A y los ejemplos 4-6, 321-327, 329-464, 466-527, 529-579, 597-619 y 633-708 y hacer variaciones no criticas, y utilizar las aminas apropiadas (VIII) y los agentes formadores de amidas (IX), los compuestos titulados se obtienen.

EJEMPLO	AMINA (X) SUSTITUIDA
1065	N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-4-hidroxi-3-(1-pirrolidinilcarbonil)benzamida
1066	N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-5-metil-2-[(metilsulfonil)amino]-1,3-tiazol-4-carboxamida
1067	N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-2-[(metilsulfonil)amino]-1,3-oxazol-4-carboxamida
1068	N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-2-[(propilsulfonil)amino]-1,3-tiazol-4-carboxamida

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1069	N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-4-hidroxi-3-(1-pirrolidinilcarbonil)benzamida
1070	N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil-2-[(propilsulfonil)amino]-1,3-tiazol-4-carboxamida
1071	N-{(1S,2R)-1-benzil-3-[(3-etilbenzil)amino]-2-hidroxipropil}-2-[(metilsulfonil)amino]-1,3-oxazol-4-carboxamida
1072	N-((1S,2R)-1-(3,5-difluorobenzil)-3-{[1-(3-etilfenil)ciclopropil]amino}-2-hidroxipropil)-2-[(metilsulfonil)amino]-1,3-oxazol-4-carboxamida
1073	N-((1S,2R)-1-(3,5-difluorobenzil)-3-{[1-(3-etilfenil)-1-metiletil]amino}-2-hidroxipropil)-4-hidroxi-3-(1-pirrolidinilcarbonil)benzamida
1074	N-((1S,2R)-1-(3,5-difluorobenzil)-3-{[1-(3-etilfenil)-1-metiletil]amino}-2-hidroxipropil)-2-[(metilsulfonil)amino]-1,3-oxazol-4-carboxamida
1075	N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-2-[(metilsulfonil)amino]-1,3-oxazol-4-carboxamida
1076	N-((1S,2R)-1-(3,5-difluorobenzil)-3-{[1-(3-etilfenil)-1-metiletil]amino}-2-hidroxipropil)-5-metil-2-[(metilsulfonil)amino]-1,3-oxazol-4-

	carboxamida
1077	N-{(1S,2R)-1-(3,5-difluorobenzil)-3-{[1-(3-etilfenil)ciclopropil]amino}-2-hidroxipropil)-4-hidroxi-3-(1-pirrolidinilcarbonil)benzamida
1078	N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etinilbenzil)amino]-2-hidroxipropil}-2-[(metilsulfonil)amino]-1,3-oxazol-4-carboxamida
1079	N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-2-[(metilsulfonil)amino]-1,3-oxazol-4-carboxamida
1080	N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etinilbenzil)amino]-2-hidroxipropil}-5-metil-2-[(metilsulfonil)amino]-1,3-oxazol-4-carboxamida
1081	N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-4-hidroxi-3-(1-piperidinilcarbonil)benzamida
1082	N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-4-[(metilsulfonil)amino]-1,3-oxazol-2-carboxamida
1083	N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-yodobenzil)amino]propil}-2-[(metilsulfonil)amino]-1,3-oxazol-4-carboxamida
1084	N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-5-metil-4-[(metilsulfonil)amino]-1,3-oxazol-

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	2-carboxamida
1085	N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-4-hidroxi-3-(1-piperidinilcarbonil)benzamida
1086	N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-4-[(metilsulfonil)amino]-1,3-oxazol-2-carboxamida
1087	N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-yodobenzil)amino]propil}-5-metil-2-[(metilsulfonil)amino]-1,3-oxazol-4-carboxamida
1088	N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-5-metil-4-[(metilsulfonil)amino]-1,3-oxazol-2-carboxamida
1089	N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-4-hidroxi-3-(4-morfolinilcarbonil)benzamida
1090	N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-4-[(etilsulfonil)amino]-1,3-oxazol-2-carboxamida
1091	N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-5-metil-2-[(metilsulfonil)amino]-1,3-oxazol-4-carboxamida
1092	N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-4-[(etilsulfonil)amino]-1,3-oxazol-2-carboxamida

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1093	N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-4-hidroxi-3-(4-morfolinilcarbonil)benzamida
1094	N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-4-[(propilsulfonil)amino]-1,3-oxazol-2-carboxamida
1095	N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-metil-2-[(metilsulfonil)amino]-1,3-oxazol-4-carboxamida
1096	N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-4-[(metilsulfonil)amino]-1,3-tiazol-2-carboxamida
1097	N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-4-hidroxi-3-(1-piperazinilcarbonil)benzamida
1098	N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-4-[(metilsulfonil)amino]-1,3-tiazol-2-carboxamida
1099	N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-5-metil-2-[(metilsulfonil)amino]-1,3-oxazol-4-carboxamida
1100	N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-2-[(metilsulfonil)amino]-1,3-oxazol-5-carboxamida
	N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-

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1101	etilbenzil)amino]-2-hidroxipropil}-4-hidroxi-3-(1-piperazinilcarbonil)benzamida
1102	N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-4-metil-2-[(metilsulfonil)amino]-1,3-oxazol-5-carboxamida
1103	N^4-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-2-[(metilsulfonil)amino]-1,3-oxazol-4,5-dicarboxamida
1104	N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-2-[(metilsulfonil)amino]-1,3-oxazol-5-carboxamida
1105	N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-4-hidroxi-N^3-metilisoftalamida
1106	N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-4-metil-2-[(metilsulfonil)amino]-1,3-oxazol-5-carboxamida
1107	N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-2-[(etilsulfonil)amino]-1,3-oxazol-4-carboxamida
1108	N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-5-[(metilsulfonil)amino]-1,3-oxazol-2-carboxamida
1109	N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-4-

	hidroxi-N^3-metilisoftalamida
1110	N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-4-metil-5-[(metilsulfonil)amino]-1,3-oxazol-2-carboxamida
1111	N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-2-[(etilsulfonil)amino]-1,3-oxazol-2-carboxamida
1112	N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-4-metil-5-[(etilsulfonil)amino]-1,3-oxazol-2-carboxamida
1113	N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3-etil-4-hidroxiisoftalamida
1114	N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-5-[(metilsulfonil)amino]-1,3-oxazol-2-carboxamida
1115	N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-2-[(etilsulfonil)amino]-1,3-oxazol-2-carboxamida
1116	N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-5-[(metilsulfonil)amino-3-isoxazolcarboxamida
1117	N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil-N^3-etil-4-hidroxiisoftalamida
	N-{(1S,2R)-1-(3,5-difluorobenzil)-2-

1118	hidroxi-3-[(3-yodobenzil)amino]propil}-5-[(metilsulfonil)amino-3-isoxazolcarboxamida
1119	N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-2-[(propilsulfonil)amino]-1,3-oxazol-4-carboxamida
1120	N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-3-[(metilsulfonil)amino-5-isoxazolcarboxamida
1121	N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-N^3-etil-4-hidroxiisoftalamida
1122	N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-[(metilsulfonil)amino-5-isoxazolcarboxamida
1123	N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-2-[(propilsulfonil)amino]-1,3-oxazol-4-carboxamida
1124	N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-5-(hidroximetil)-2-[(metilsulfonil)amino]-1,3-oxazol-4-carboxamida
1125	N^3-(ciclopropilmetil)-N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-4-hidroxiisoftalamida
1126	5-ciclopropil-N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-2-[(metilsulfonil)amino]-1,3-oxazol-4-

	carboxamida
1127	N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-2-[(propilsulfonil)amino]-1,3-oxazol-4-carboxamida
1128	N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-5-isopropil-2-[(metilsulfonil)amino]-1,3-oxazol-4-carboxamida
1129	N^3-(ciclopropilmetil)-N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-4-hidroxiisoftalamida
1130	N-[(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-(isopentilamino)propil]-2-[(metilsulfonil)amino]-1,3-oxazol-4-carboxamida
1131	N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-5-metil-2-[(propilsulfonil)amino]-1,3-oxazol-4-carboxamida
1132	N-[(1S,2R)-3-(ciclopropilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil]-2-[(metilsulfonil)amino]-1,3-oxazol-4-carboxamida
1133	N-[(1S,2R)-3-[(3-etilbenzil)amino]-2-hidroxi-1-(4-hidroxibenzil)propil]-2-[(metilsulfonil)amino]-1,3-oxazol-4-carboxamida
1134	N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-4-hidroxi-N^3-isobutilisoftalamida

1135	2-{[(ciclopropilmetil)sulfonil]amino}-N-[(1S,2R)-1-[(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-1,3-oxazol-4-carboxamida
1136	N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-4-hidroxi-N^3-isobutil-N^3-metilisoftalamida
1137	N-[(1S,2R)-1-[(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-2-[(isobutilsulfonil)amino]-1,3-oxazol-4-carboxamida
1138	N3-(ciclopropilmetil)-N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-4-hidroxi-N^3-metilisoftalamida
1139	N-{(1S,2R)-1-[(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-2-[(isobutilsulfonil)amino]-1,3-oxazol-4-carboxamida
1140	N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-4-hidroxi-N^3-metil-N^3-propilisoftalamida
1141	N-{(1S,2R)-1-[(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-2-[(isobutilsulfonil)amino]-1,3-oxazol-4-carboxamida
1142	N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-4-hidroxi-N^3-metil-N^3-propilisoftalamida
1143	N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-2-

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	[(fenilsulfonil)amino]-1,3-oxazol-4-carboxamida
1144	N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N3-etil-4-hidroxi-N^3-propilisoftalamida
1145	N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-2-{[(4-metilfenil)sulfonil]amino}-1,3-oxazol-4-carboxamida
1146	N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N3-etil-4-hidroxi-N^3-propilisoftalamida
1147	N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-2-{[(4-metilfenil)sulfonil]amino}-1,3-oxazol-4-carboxamida
1148	N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-2-[(4-fenilsulfonil)amino]-1,3-oxazol-4-carboxamida
1149	N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-4-hidroxi-N^3,N^3-dipropilisoftalamida
1150	N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-2-[metil(metilsulfonil)amino]-1,3-oxazol-4-carboxamida
1151	N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-4-hidroxi-N^3,N^3-dipropilisoftalamida
	N-{(1S,2R)-1-(3,5-difluorobenzil)-2-

1152	hidroxi-3-[(3-yodobenzil)amino]propil}-2-[metil(metilsulfonil)amino]-1,3-oxazol-4-carboxamida
1153	N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-4-hidroxi-N^3,N^3-dipropilisoftalamida
1154	N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-2-[(metilsulfonil)amino]-1,3-tiazol-4-carboxamida
1155	N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-2-[(metilsulfonil)amino]-1,3-tiazol-4-carboxamida

Ejemplos 1.156-1.214

Siguiendo el procedimiento general del mapa A y los ejemplos 4-6, 321-327, 329-464, 466-527, 529-579, 597-619 y 633-708 y realizando variaciones no críticas, y utilizando las aminas apropiadas (VIII) y los agentes formadores de amina (IX), los compuestos titulados se obtienen.

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EJEMPLO	AMINA (X) SUSTITUIDA	MH+
1156	N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3-metil-5-[propionil(propil)amino]-benzamida	532,5
1157	N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-1-butil-1H-indol-5-carboxamida	Anal. Encontrado: C = 73,58; H = 7,44; N = 8,24.
1159	N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3-[butil(propionil)amino]-5-metilbenzamida	546,3
1160	N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-4-metil-1-propil-1H-indol-6-carboxamida	500,3
1161	N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-1-(1-propilbutil)-1H-indol-6-carboxamida	542,2
1162	N^1-((1S,2R)-1-benzil-2-hidroxi-3-{[(2-oxo-2,3-dihidro-1,3-benzoxazol-6-il)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida	573,3
	N^1-((1S,2R)-1-(3,5-	

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1163	difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropil-5-{[(trifluorometil)sulfonil]amino}isoftalamida	713,0
1164	Ácido 3-[({(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}amino)carbonil]-5-[(dipropilamino)carbonil]benzoico	576,1
1165	N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropil-5-prop-1-inilisoftalamida	604,4
1166	N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-2-(dipropilamino)isonicotinamida	HRMS = 505,3176
1167	N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-5-[(metilsulfonil)amino]-N^3,N^3-dipropilisoftalamida	
1168	N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-5-[(etilsulfonil)amino]-N^3,N^3-dipropilisoftalamida	
	N^1-{(1S,2R)-1-(3,5-	

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1169	difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropil-5-[(propilsulfonil)amino]isoftalamida	
1170	N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-5-[(isopropilsulfonil)amino]-N^3,N^3-dipropilisoftalamida	
1171	N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-5-[(isobutilsulfonil)amino]-N^3,N^3-dipropilisoftalamida	
1172	N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropil-5-[(tien-2-ilsulfonil)amino]isoftalamida	
1173	N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-5-[(2-furilsulfonil)amino]-N^3,N^3-dipropilisoftalamida	
1174	N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-	

	etilbenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropil-5-[(1,3-tiazol-5-ilsulfonil)amino]isoftalamida	
1175	N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-5-[(1,3-oxazol-5-ilsulfonil)amino]-N^3,N^3-dipropilisoftalamida	
1176	N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-5-[(1,3-oxazol-4-ilsulfonil)amino]-N^3,N^3-dipropilisoftalamida	
1177	N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropil-5-[(1,3-tiazol-4-ilsulfonil)amino]isoftalamida	
1178	N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-5-{[(1-metil-1H-imidazol-4-il)sulfonil]amino}-N^3,N^3-dipropilisoftalamida	
1179	N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-	

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	hidroxipropil}-5-[(fenilsulfonil)amino]-N^3,N^3-dipropilisoftalamida	
1180	5-{[(5-cianopiridin-2-il)sulfonil]amino}-N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida	
1181	N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropil-5-({[5-(trifluorometil)piridin-2-il]sulfonil}amino)isoftalamida	
1182	N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-{[(1-metil-1H-imidazol-4-il)sulfonil]amino}benzamida	
1183	N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-({[5-(trifluorometil)piridin-2-il]sulfonil}amino)benzamida	
1184	3-{[(5-cianopiridin-2-il)sulfonil]amino}-N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-	

	hidroxipropil}benzamida	
1185	N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-[(fenilsulfonil)amino]benzamida	
1186	N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-[(metilsulfonil)amino]benzamida	
1187	N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-[(etilsulfonil)amino]benzamida	
1188	N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-[(propilsulfonil)amino]benzamida	
1189	N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-[(isobutilsulfonil)amino]benzamida	
1190	N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-[(isopropilsulfonil)amino]benzami	

	da	
1191	N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-{[(1-etilpropil)sulfonil]amino}benzamida	
1192	3-[(ciclohexilsulfonil)amino]-N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}benzamida	
1193	N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-{[(1-propilbutil)sulfonil]amino}benzamida	
1194	N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-[(tien-2-ilsulfonil)amino]benzamida	
1195	N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-[(2-furilsulfonil)amino]benzamida	
1196	N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-[(isoxazol-5-	

	ilsulfonil)amino]benzamida	
1197	N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-[(isoxazol-3-ilsulfonil)amino]benzamida	
1198	N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-[(3-furilsulfonil)amino]benzamida	
1199	N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-[(tien-3-ilsulfonil)amino]benzamida	
1200	N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-[(1,3-tiazol-4-ilsulfonil)amino]benzamida	
1201	N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-[(1,3-tiazol-5-ilsulfonil)amino]benzamida	
1202	N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-[(1,3-tiazol-2-ilsulfonil)amino]benzamida	

1203	N^1-[(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-(isopentilamino)propil]-N^3,N^3-dipropil-5-{[(trifluorometil)sulfonil]amino}isoftalamida	
1204	N^1-{(1S,2R)-3-amino-1-(3,5-difluorobenzil)-2-hidroxipropil]-N^3,N^3-dipropil-5-{[(trifluorometil)sulfonil]amino}isoftalamida	
1205	N^1-[(1S,2R)-3-amino-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-[(metilsulfonil)amino]-N^3,N^3-dipropilisoftalamida	
1206	N^1-[(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-(isopentilamino)propil]-5-[(metilsulfonil)amino]-N^3,N^3-dipropilisoftalamida	
1207	N^1-(tert-butil)-N^3-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino-2-hidroxipropil}isoftalamida	
1208	N^1-(tert-butil)-N^3-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino-2-hidroxipropil}-5-metilisoftalamida	
1209	5-bromo-N^1-(tert-butil)-N^3-{(1S,2R)-1-(3,5-difluorobenzil)-	

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	3-[(3-etilbenzil)amino]-2-hidroxipropil}isoftalamida	
1210	3-tert-butoxi-N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}benzamida	
1211	3-tert-butoxi-N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-5-metilbenzamida	
1212	N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-{[(trifluorometil)sulfonil]amino}benzamida	
1213	N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-(trifluorometoxi)benzamida	
1214	N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-metil-5-(trifluorometoxi)benzamida	

Ejemplos 1.215-1.259

Siguiendo el procedimiento general del mapa A y los ejemplos 4-6, 321-327, 329-464, 466-527, 529-579, 597-619 y 633-708 y realizando variaciones no críticas, y utilizando las aminas apropiadas (VIII) y los agentes formadores de amina (IX), los compuestos titulados se obtienen.

EJEMPLO	AMINA (X) SUSTITUIDA	[MH+]+
1215	N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-2-hidroxi-2-(4-metilfenil)acetamida	581,4
1216	N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-4-hidroxi-N3-metilisoftalamida	610,4
1217	N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-2-hidroxi-2-(4-metoxi-3-nitrofenil)acetamida	642,4
1218	5-(aminosulfonil)-N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-2-metoxibenzamida	646,5
	N-{(1S,2R)-1-(3,5-	650,5

1219	difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-4-hidroxi-3-(pirrolidin-1-ilcarbonil)benzamida	
1220	N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-2-[(metilsulfonil)amino]-1,3-oxazol-4-carboxamida	621,4
1221	N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-(3,5-dimetilisoxazol-4-il)-N^3,N^3-dipropilisoftalamida	663,4
1222	N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-5-(1,3-tiazol-2-il)isoftalamida	651,4
1223	3-(ciclohexilcarbonil)-N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-metilbenzamida	565,4
1224	N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-metil-N^3-propilisoftalamida	540,4
1225	3-[ciclohexil(hidroxi)metil]-N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-	567,4

	metoxibenzil)amino]propil}-5-metilbenzamida	
1226	N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-5-(4-metil-1,3-oxazol-2-il)-N^3,N^3-dipropilisoftalamida	647,5
1227	N^3-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^5,N^5-dipropilpiridina-3,5-dicarboxamida	567
1228	N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(3-isobutil-1,2,4-oxadiazol-5-il)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida	600
1229	N^3-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etinilbenzil)amino]-2-hidroxipropil}-N^5,N^5-dipropilpiridino-3,5-dicarboxamida	563
1230	N^3-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-isopropilbenzil)amino]propil}-N^5,N^5-dipropilpiridino-3,5-dicarboxamida	581
	N^1-((1S,2R)-3-[(1-	

1231	acetilpiperidin-4-il)amino]-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida	587
1232	N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-pent-1-inilbenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida	618
1233	N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[3-(4-hidroxibut-1-inil)benzil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida	620
1234	1-{3-[({(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}amino)carbonil]-5-metilbenzoil}-L-prolinamida	593
1235	N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3-isopropil-5-metilisoftalamida	538
1236	N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3-etil-N^3-,5-dimetilisoftalamida	538
1237	N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-	548

	hidroxipropil}-N^3,5-dimetil-N^3-prop-2-inilisoftalamida	
1238	N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etinilbenzil)amino]-2-hidroxipropil}-N^3-isobutil-5-metilisoftalamida	552
1239	N^1-(sec-butil)-N^3-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-5-metilisoftalamida	552
1240	N^1-butil-N^3-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-5-metilisoftalamida	552
1241	N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3,N^3-dietil-5-metilisoftalamida	552
1242	N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3,5-dimetil-N^3-propilisoftalamida	552
1243	N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3-isopropil-N^3,5-	552

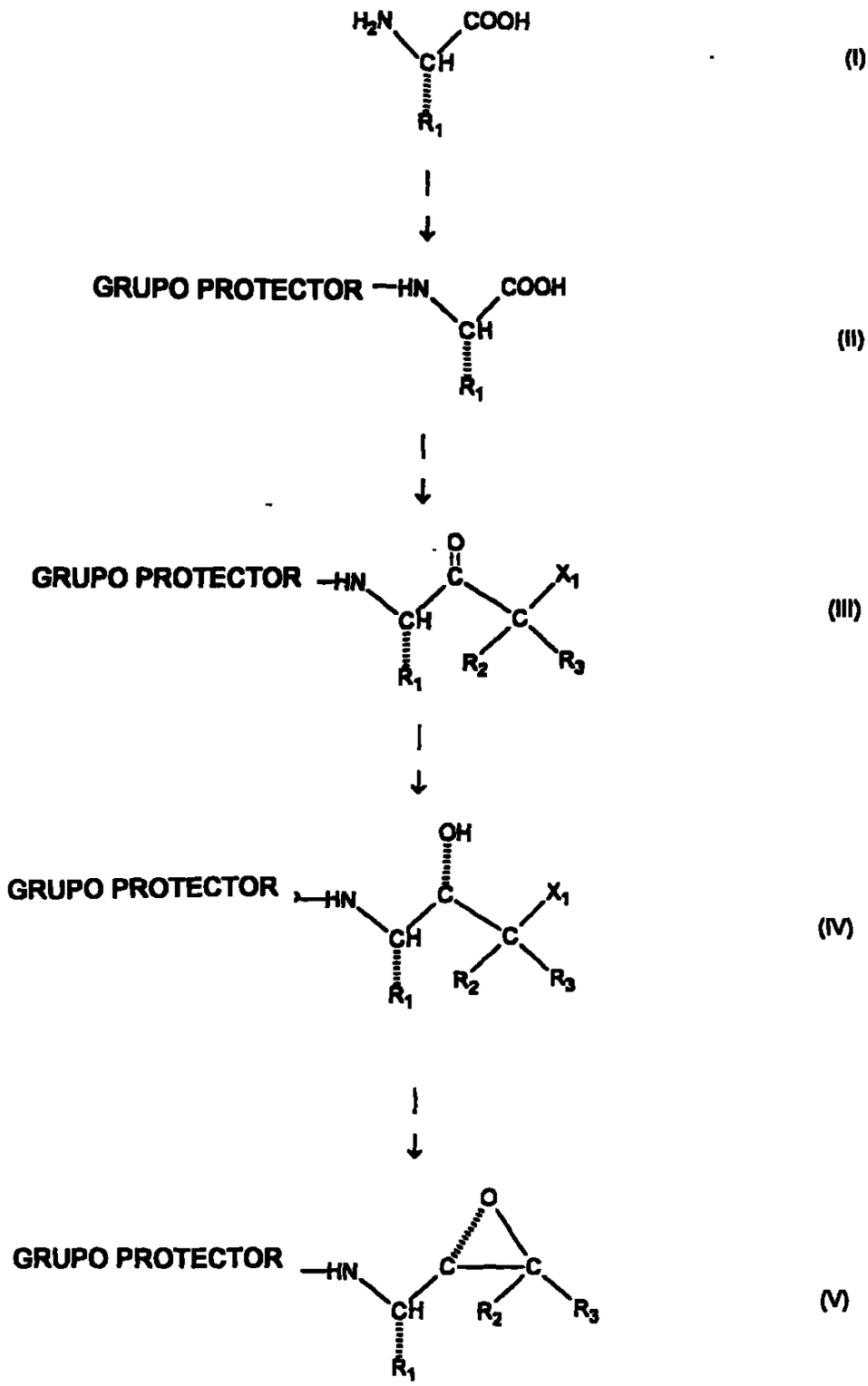
	dimetilisoftalamida	
1244	N^1-butil-N^3-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^1,5-dimetilisoftalamida	566
1245	N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3-isobutil-N^3,5-dimetilisoftalamida	566
1246	N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3-etil-5-metil-N^3-propilisoftalamida	566
1247	N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3-etil-N^3-isopropil-5-metilisoftalamida	566
1248	N^1,N^1-dialil-N^3-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-5-metilisoftalamida	576
1249	3-(azepan-1-ilcarbonil)-N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-5-metilbenzamida	578
	N-{(1S,2R)-1-(3,5-	

1250	difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-[(4-hidroxipiperidin-1-il)carbonil]-5-metilbenzamida	580
1251	N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-[(3-hidroxipiperidin-1-il)carbonil]-5-metilbenzamida	580
1252	N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3,N^3-diisopropil-5-metilisoftalamida	580
1253	N^1-butil-N^3-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^1-etil-5-metilisoftalamida	580
1254	N^1-(ciclopropilmetil)-N^3-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-5-metil-N^1-propilisoftalamida	592
1255	1-{3-[({(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}amino)carbonil]-5-metilbenzoil}-D-prolinamida	593

919
920

1256	N^1-ciclohexil-N^3-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^1,5-dimetilisoftalamida	592
1257	N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[1-(3-metilfenil)ciclopropil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida	592
1258	N^3-[(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-(1,2,3,4-tetrahidronaftalen-1-ilamino)propil]-N^5,N^5-diisopropilpiridina-3,5-dicarboxamida	597
1259	N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-{[(trifluorometil)sulfonil]amino}benzamida	586,1

GRÁFICO A


H2N
COOH
CH
R1
(I)
GRUPO PROTECTOR
HN
COOH
CH
R1
(II)
GRUPO PROTECTOR
HN
O
C
X1
CH
C
R1
R2
R3
(III)
GRUPO PROTECTOR
HN
OH
C
X1
CH
C
R1
R2
R3
(IV)
GRUPO PROTECTOR
HN
O
C
C
CH
R1
R2
R3
(V)

921
922

GRÁFICO A - Continuación

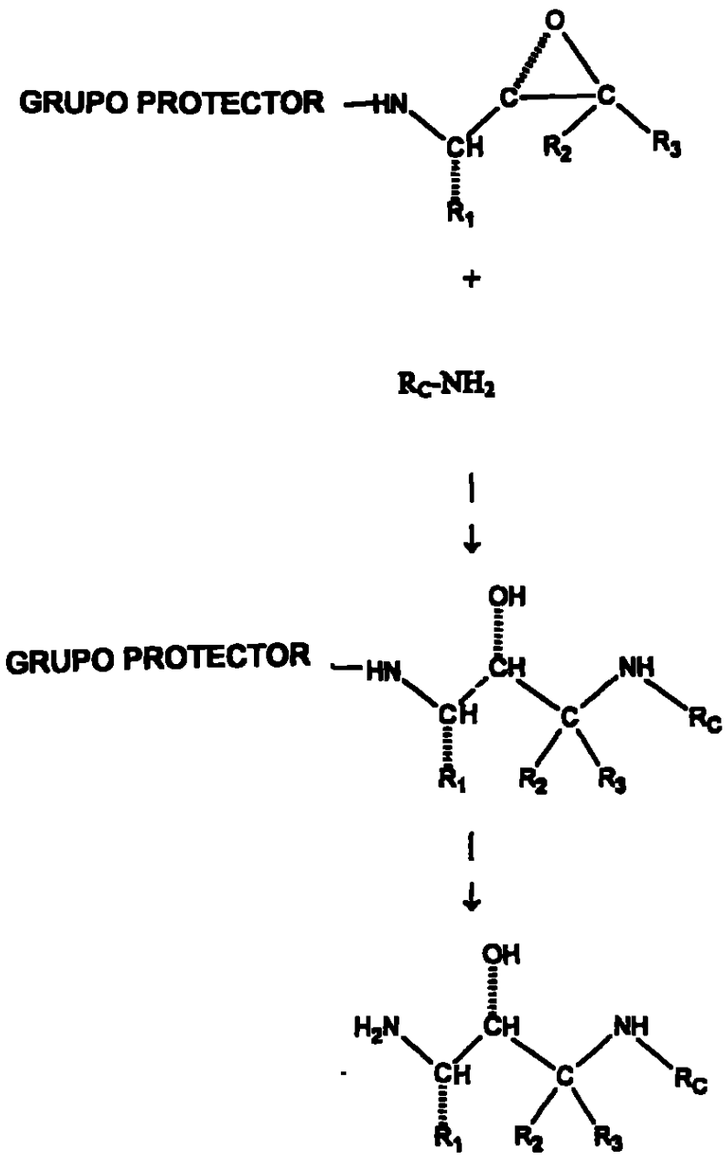
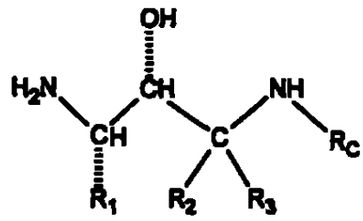


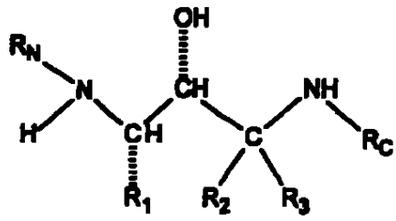
GRÁFICO A - Continuación



(VIII)

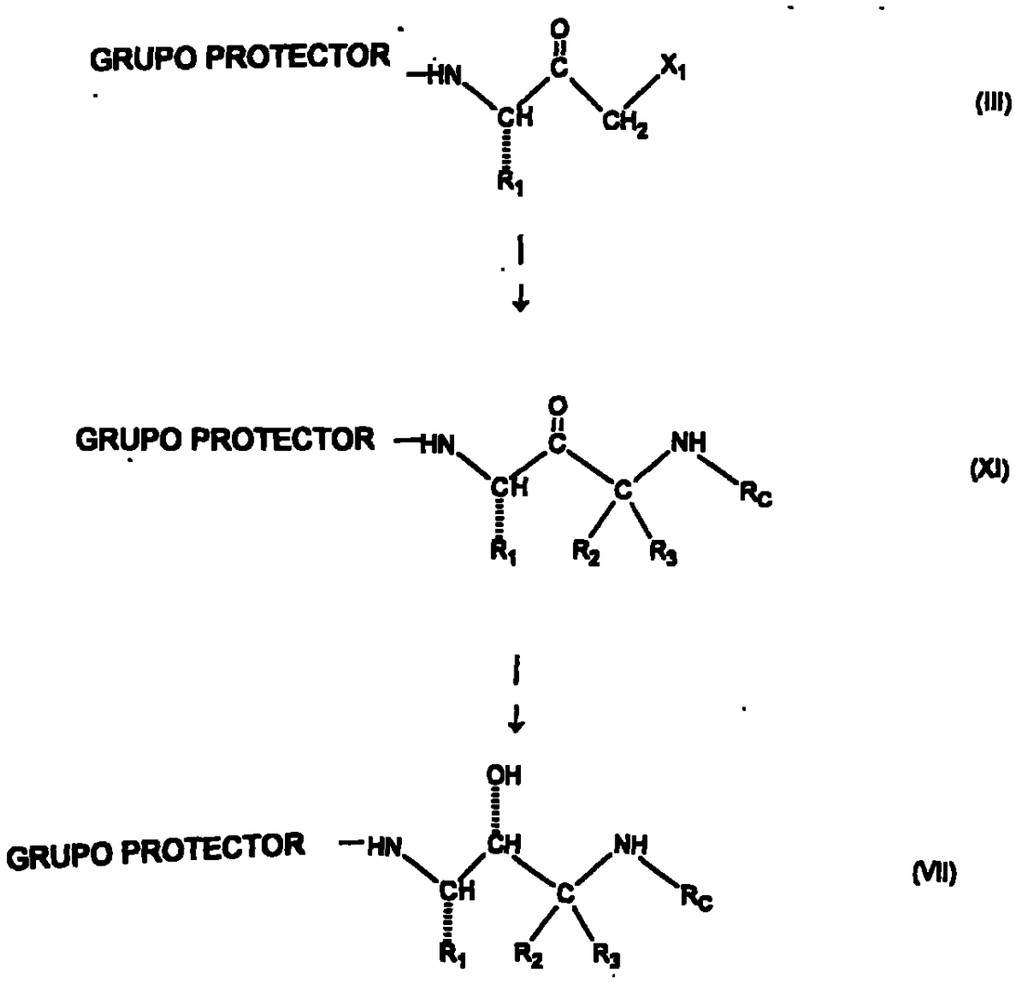
+

$(R_{N-1}\text{-}X_N\text{-})_2O$ or $R_{N-1}\text{-}X_N\text{-}X_2$ or $R_{N-1}\text{-}X_N\text{-}OH$ (IX)



(X)

GRÁFICO B


GRUPO PROTECTOR
HN
O
C
X1
CH
CH2
R1
(III)
GRUPO PROTECTOR
HN
O
C
NH
CH
C
Rc
R1
R2
R3
(XI)
GRUPO PROTECTOR
HN
OH
CH
CH
NH
C
Rc
R1
R2
R3
(VII)

~~229~~ 925

GRÁFICO C

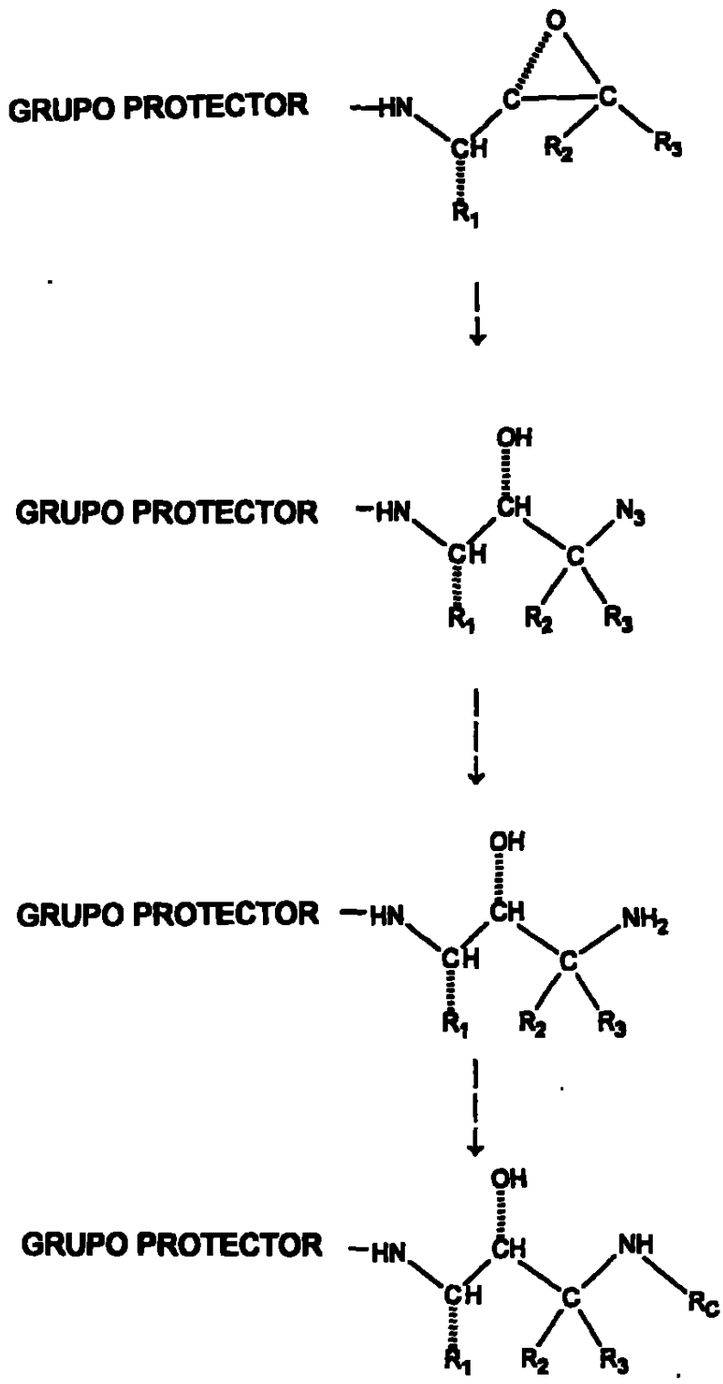
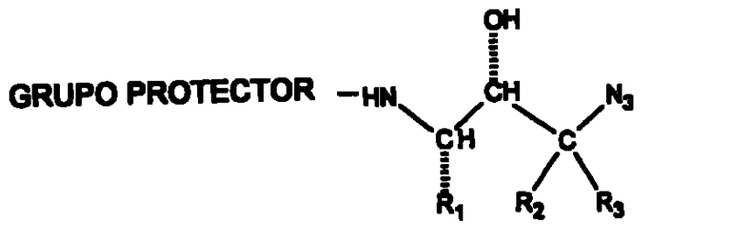


GRÁFICO D



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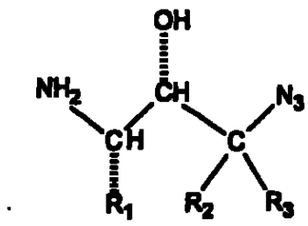
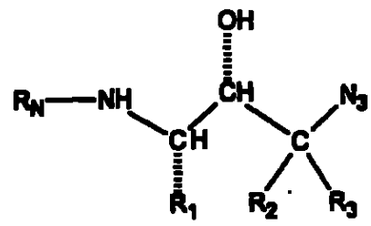
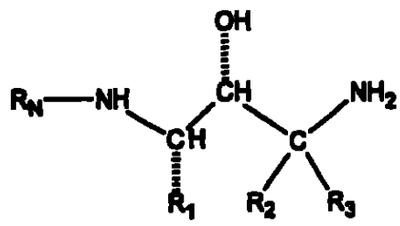


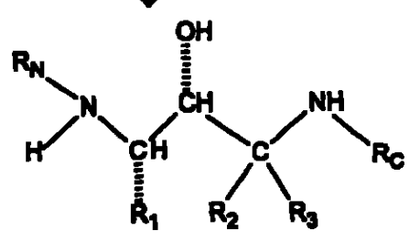
(XIV)



(XV)



(XVI)



(X)

GRÁFICO E

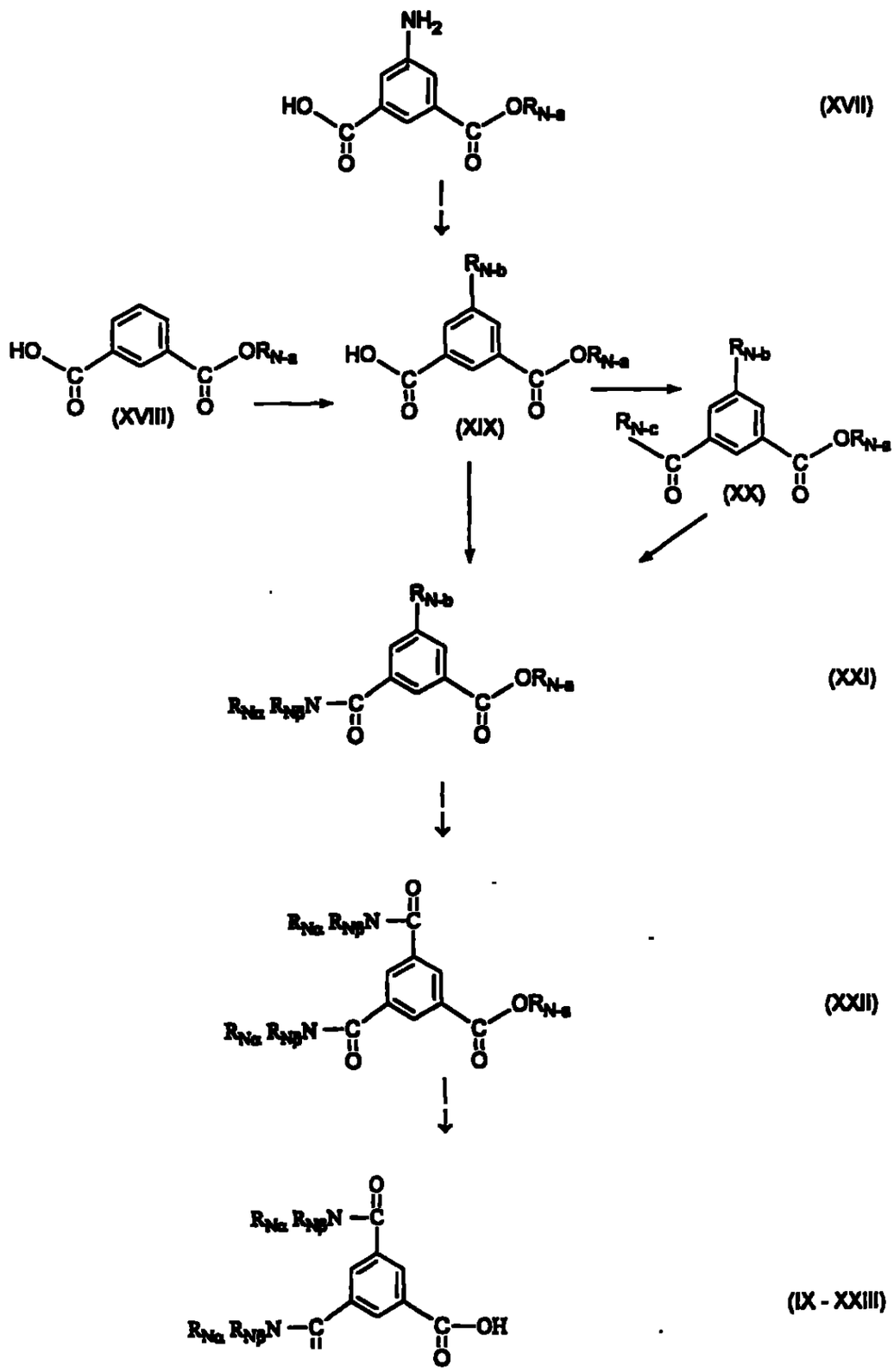
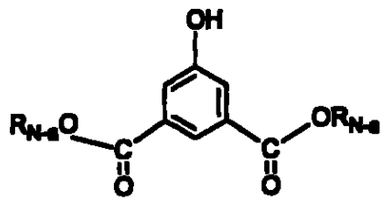


GRÁFICO F



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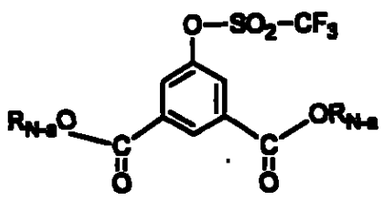

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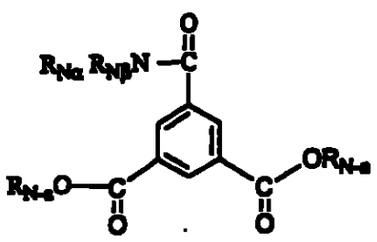

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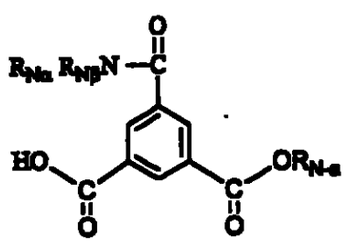
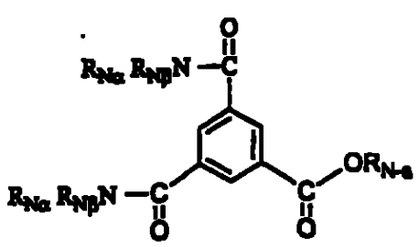


(XXVII)



(XXII)

GRÁFICO G

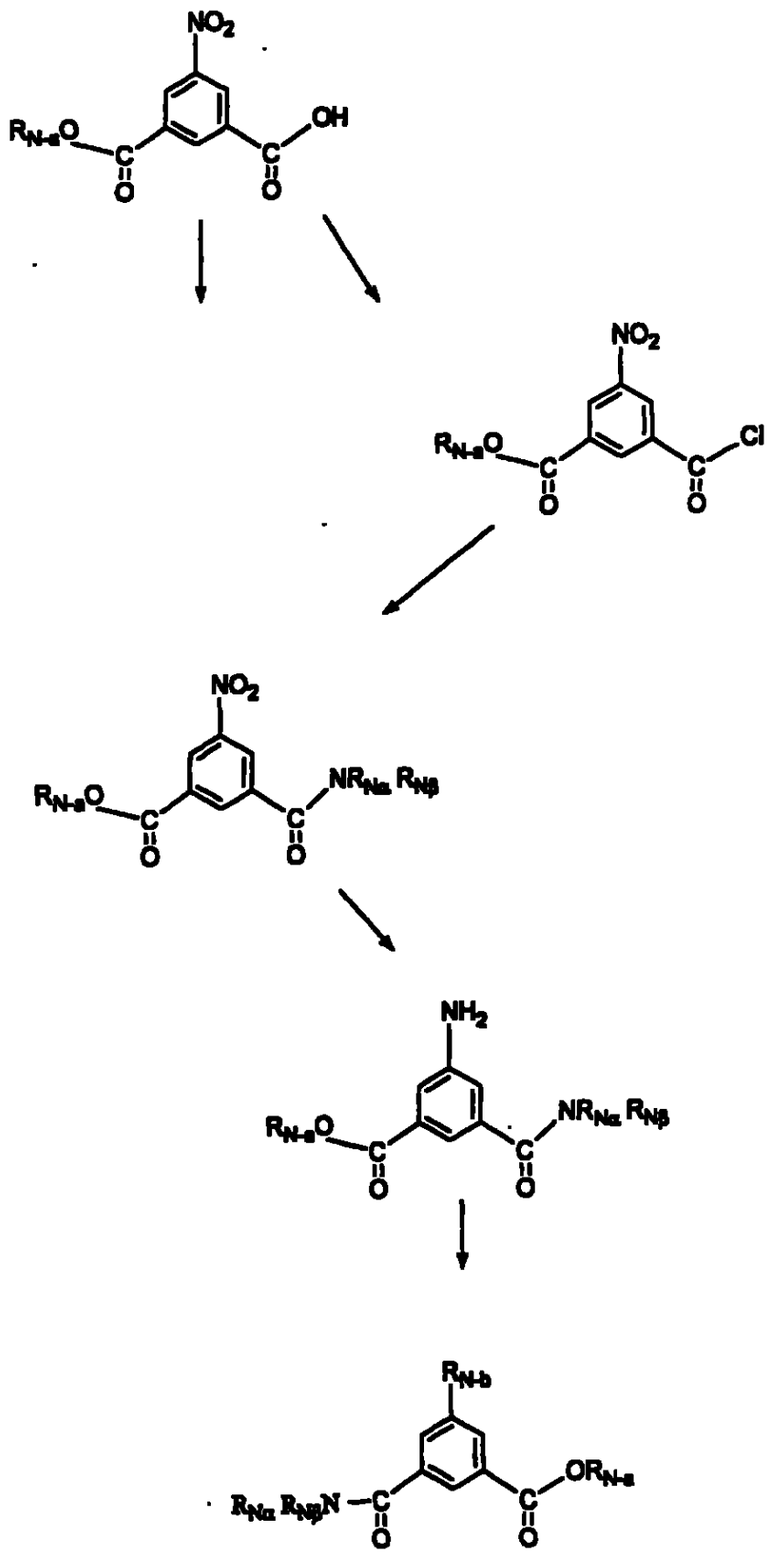
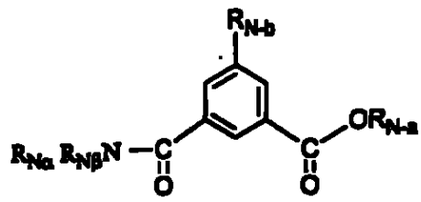
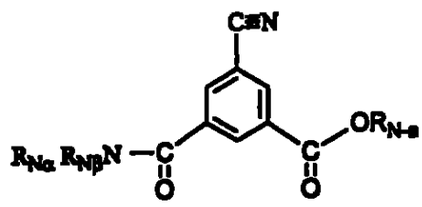

NO2
RN-aO
OH
C
O
(XXVIII)
NO2
RN-aO
Cl
(XXIX)
NO2
RN-aO
NRNα RNβ
(XXX)
NH2
RN-aO
NRNα RNβ
(XXXI)
RN-b
RNα RNβN
ORN-a
(XXI)

GRÁFICO H



(XXI)



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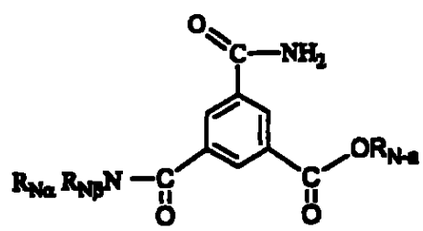

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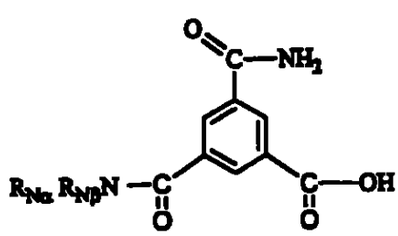
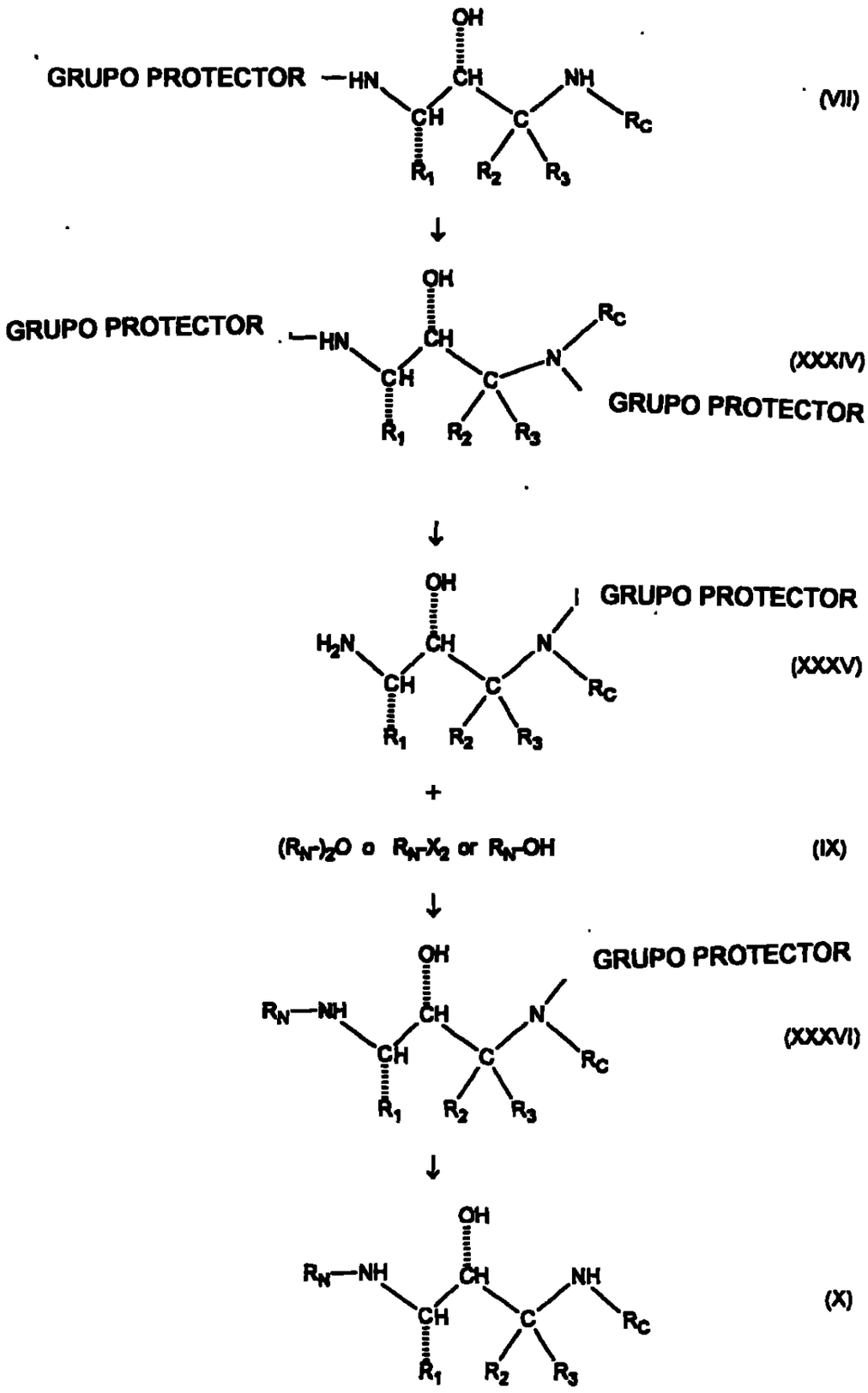


(IX - XXIII)

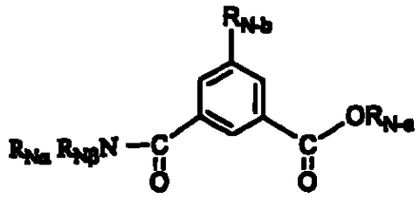
930
931

GRÁFICO I

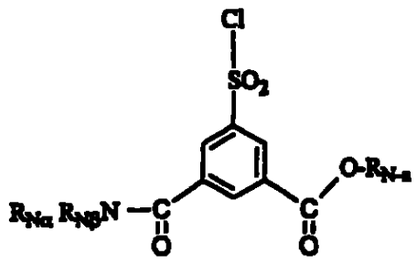


931
932

GRÁFICO J



(XXI)



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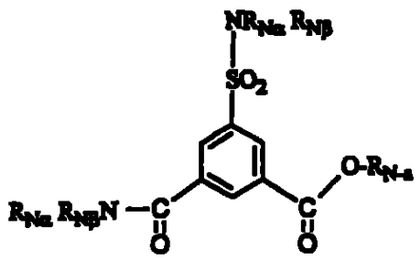

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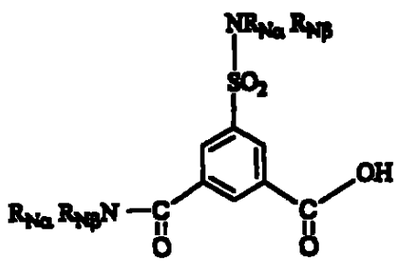

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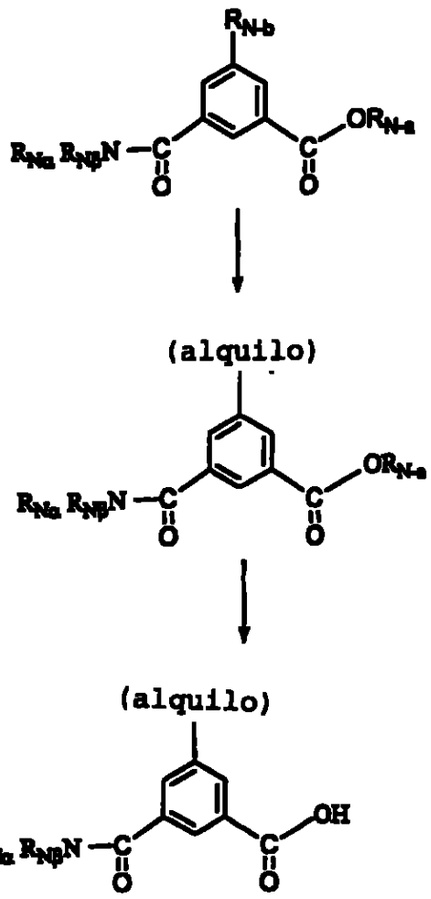
932
933

GRÁFICO K



(XXI)

(XL)

(IX - XLI)

933
934

GRÁFICO L

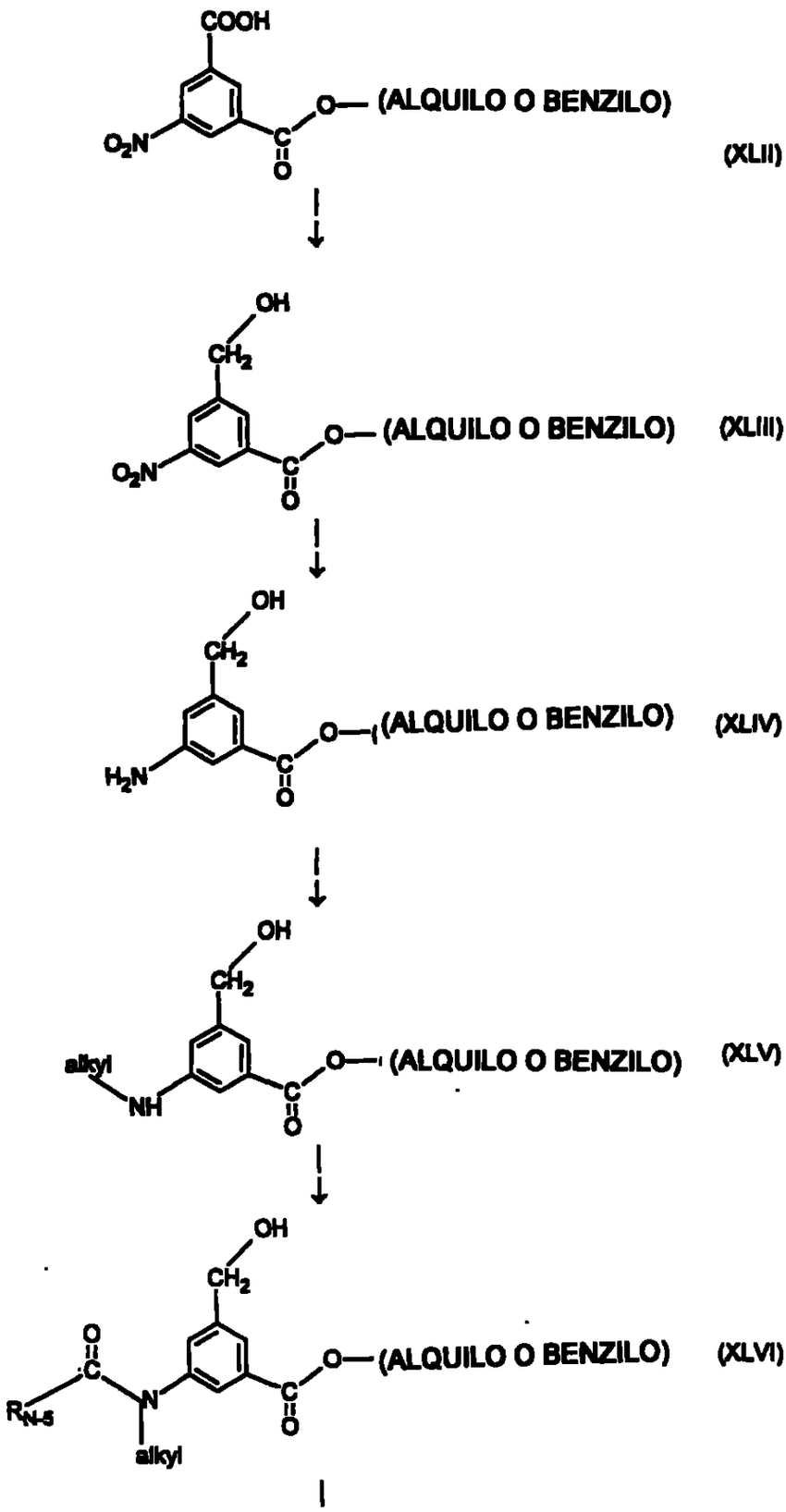
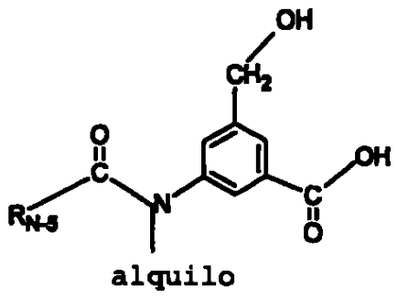


GRÁFICO L Continuación



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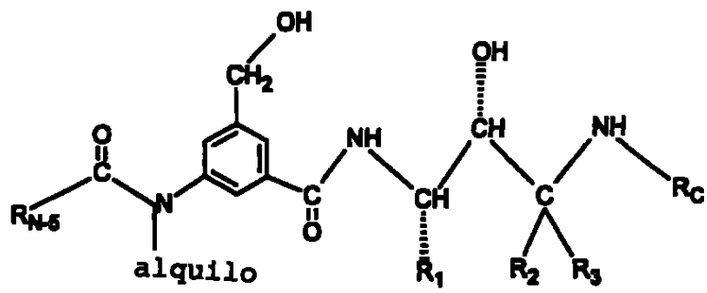

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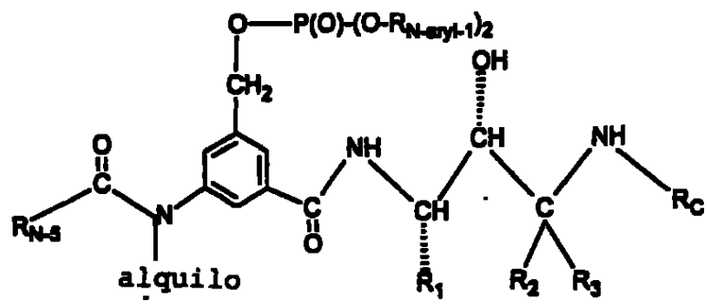
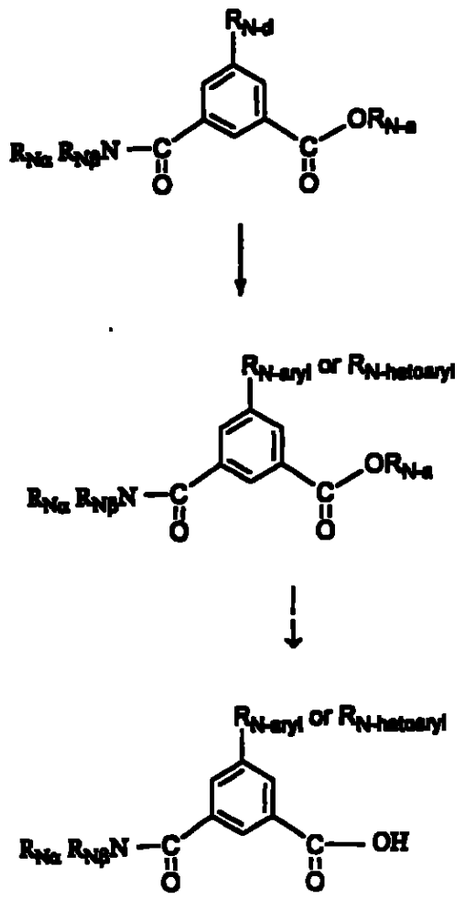


(X)

GRÁFICO M



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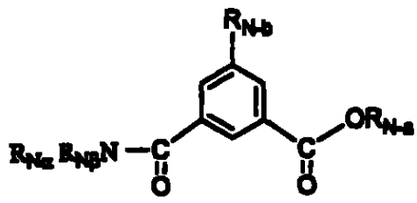
(XLIX)

(IX - L)

GRÁFICO N



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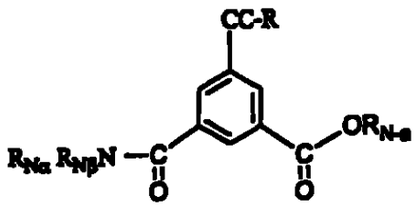
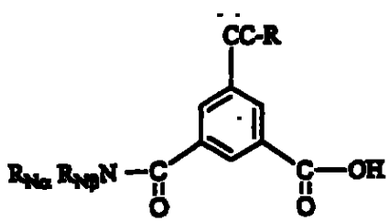


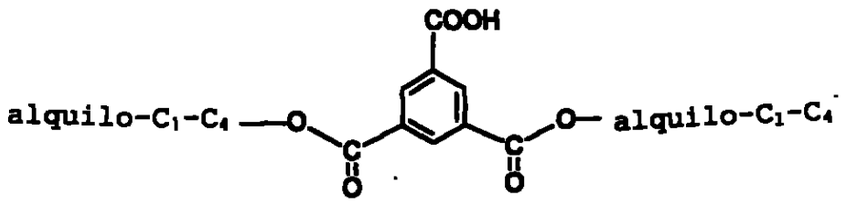
(LI)



(IX - LII)

~~677~~
938

GRÁFICO O



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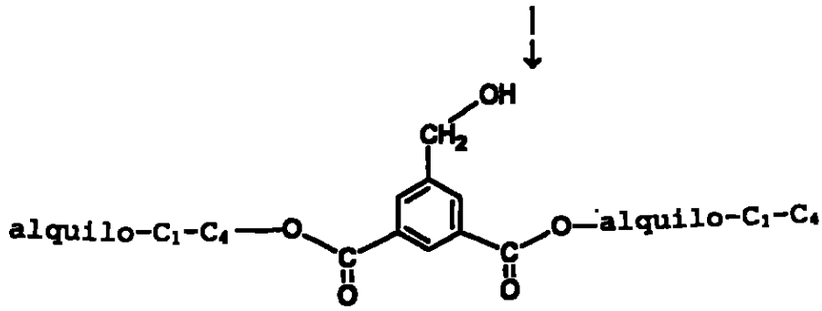

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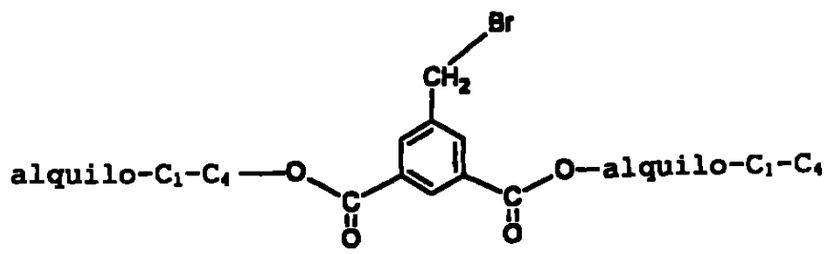
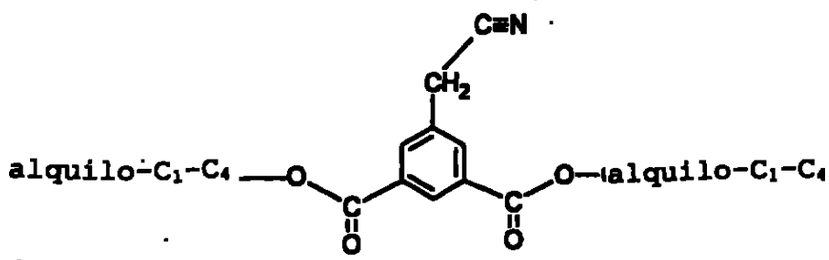
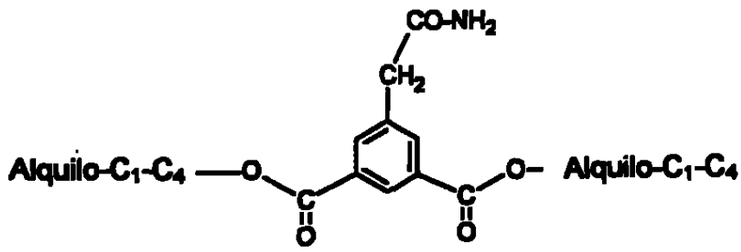


(LV)



(LVI)

~~938~~
939



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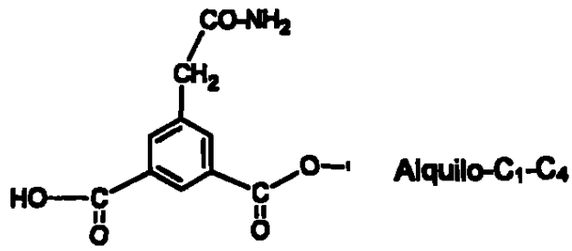

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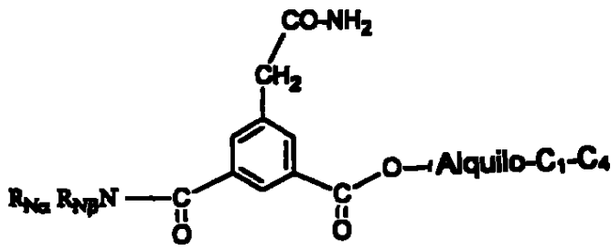

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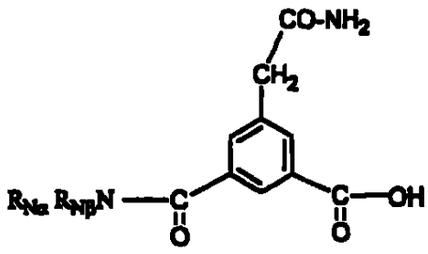

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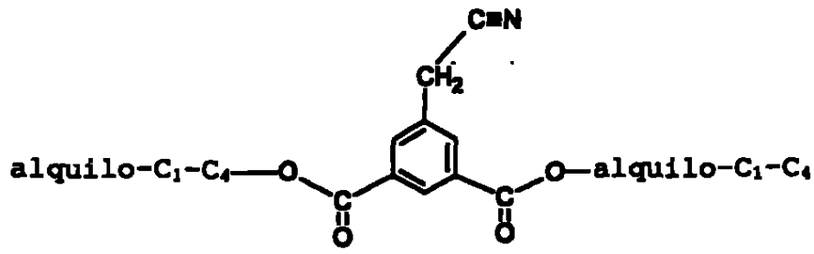

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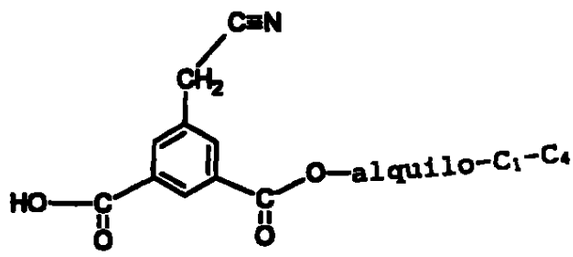

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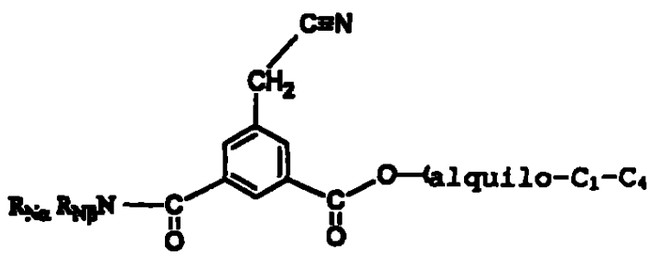

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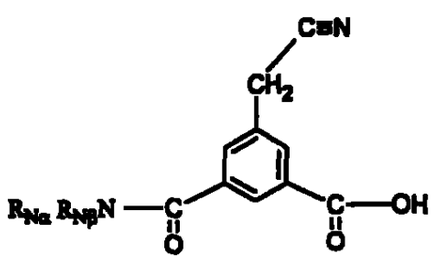

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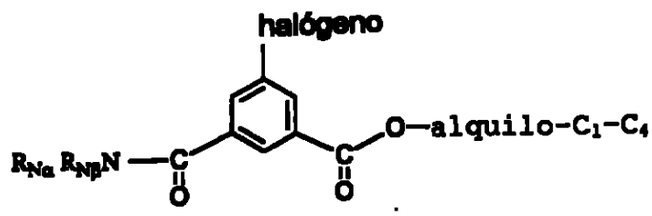

halógeno
O—alquilo-C1-C4
(LXIV)
CHO
O—alquilo-C1-C4
(LXV)
OH
CH2
O—
Alquilo-C1-C4
(LXVI)
OH
CH2
OH
(IX - LXVII)

GRÁFICO Q

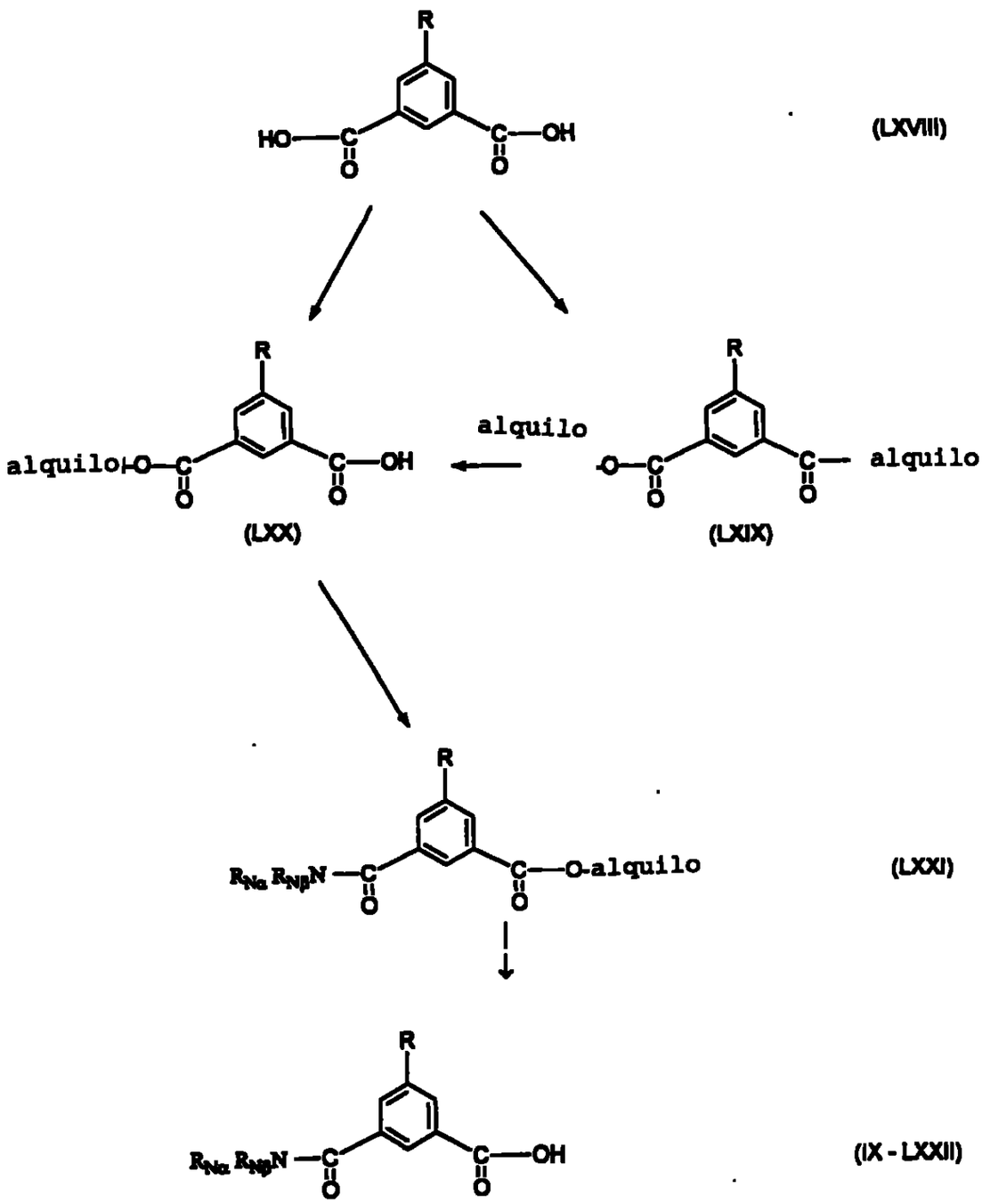
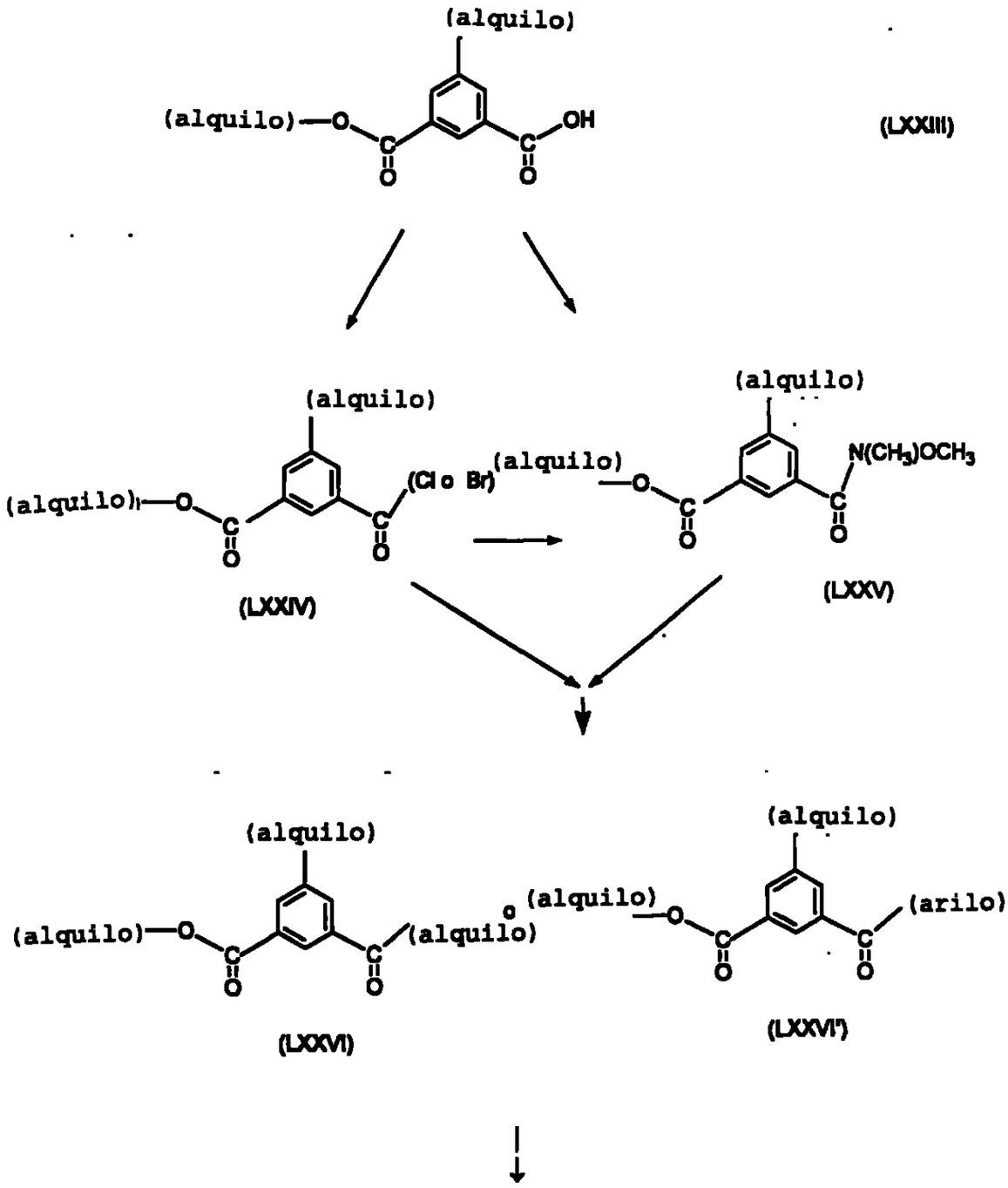
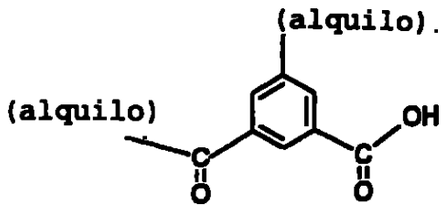
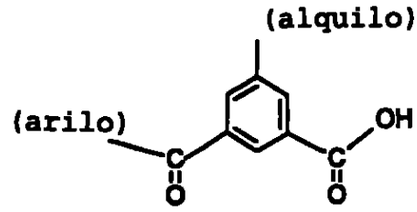

R
HO
C
O
C
OH
O
(LXVIII)
alquilo
alquilo
(LXX)
(LXIX)
alquilo
O-alquilo
(LXXI)
(IX - LXXII)

GRÁFICO R


(alquilo)
(alquilo)—O
OH
(LXXIII)
(alquilo)
(alquilo)—O
(Cl o Br)
(LXXIV)
(alquilo)
(alquilo)—O
N(CH3)OCH3
(LXXV)
(alquilo)
(alquilo)—O
(alquilo)
(LXXVI)
(alquilo)
(alquilo)—O
(arilo)
(LXXVI')



(IX - LXXVII)



(IX - LXXVII')

GRÁFICO S

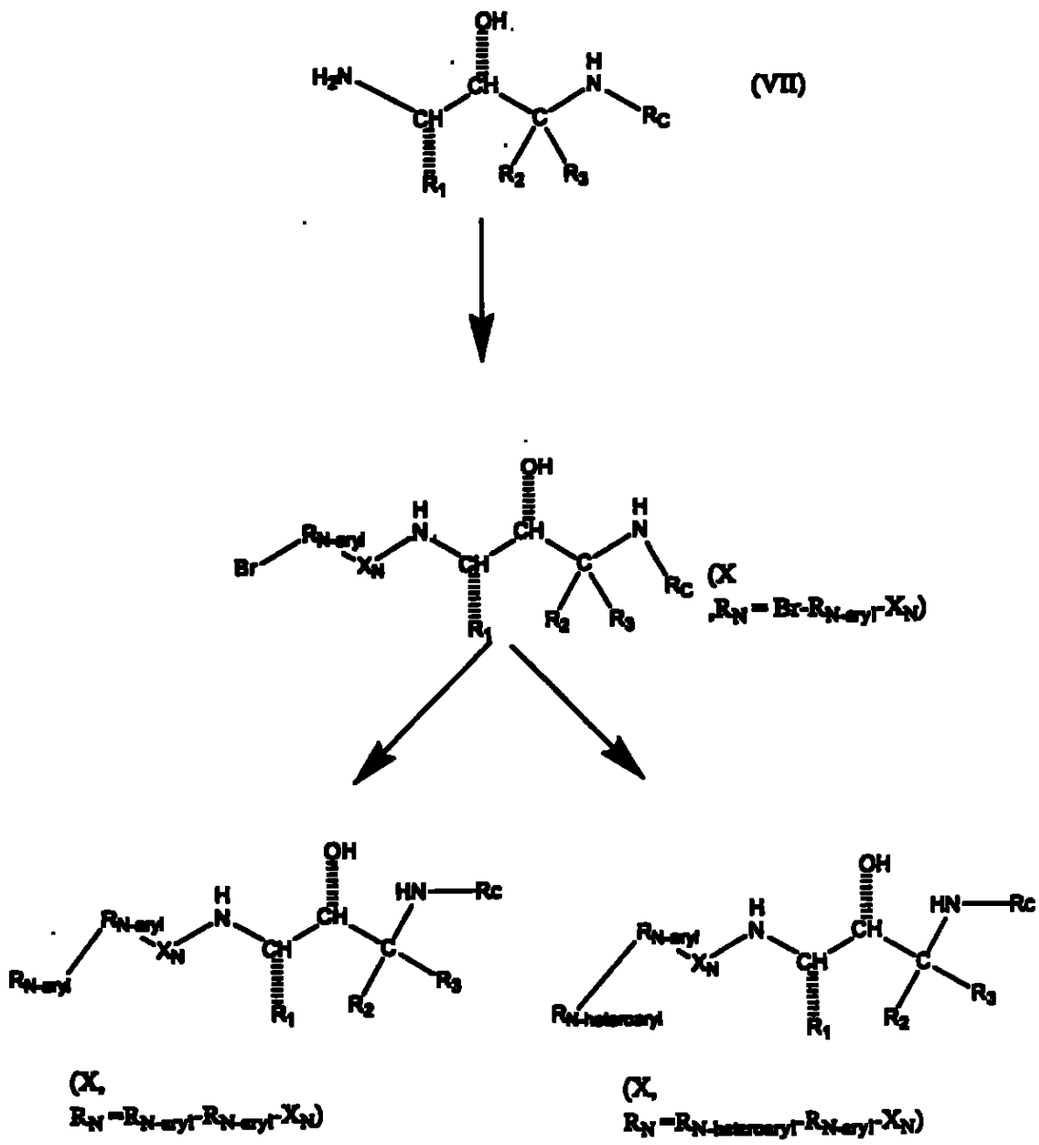
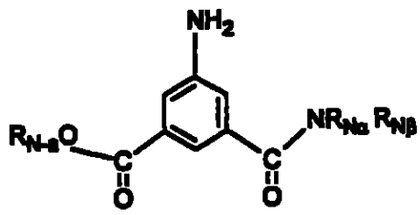

(VII)
(X, R_N = Br-$R_{N\text{-aryl}}$-X_N)
(X, R_N = $R_{N\text{-aryl}}$-$R_{N\text{-aryl}}$-X_N)
(X, R_N = $R_{N\text{-heteroaryl}}$-$R_{N\text{-aryl}}$-X_N)

GRÁFICO T



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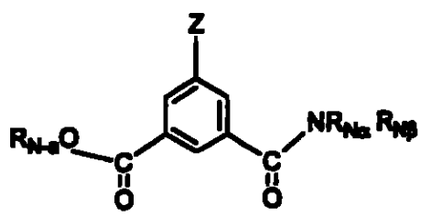

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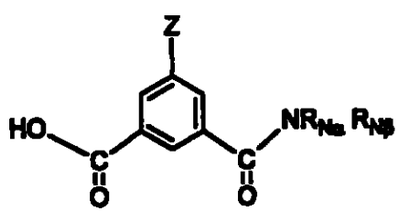
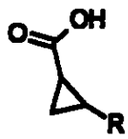


(IX - LXXIX)

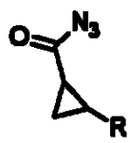
GRÁFICO U

(LXXX)



(LXXXI)

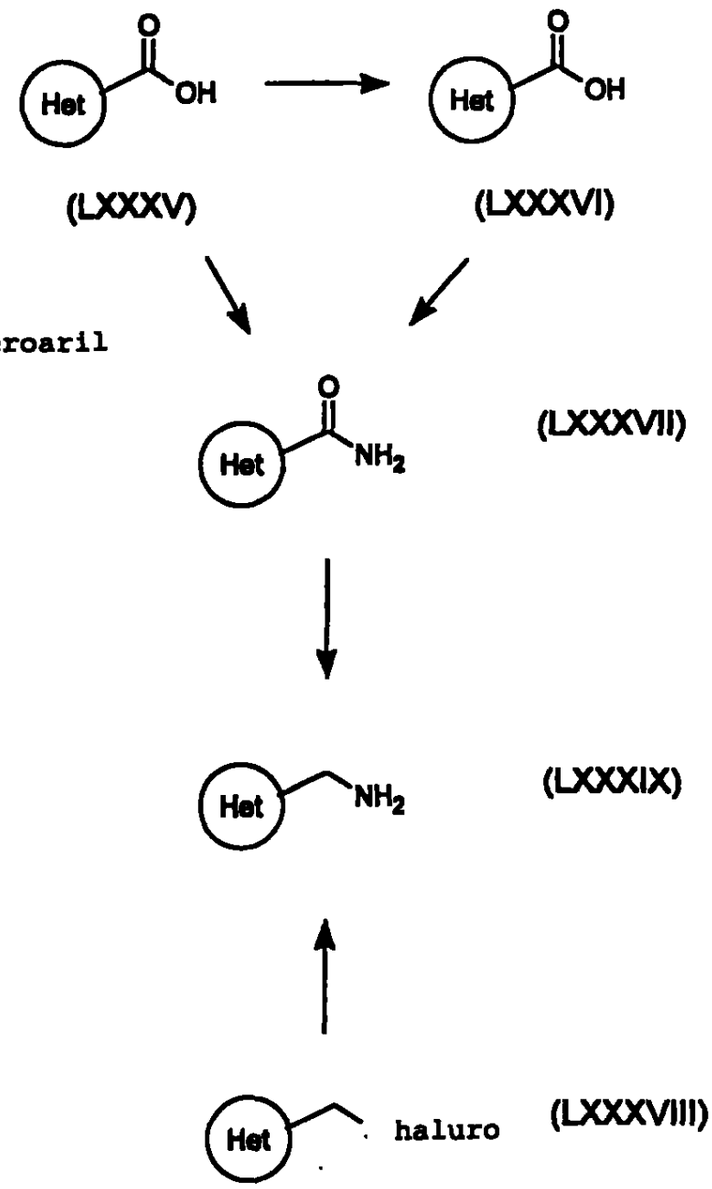
(LXXXII)



(LXXXIII)

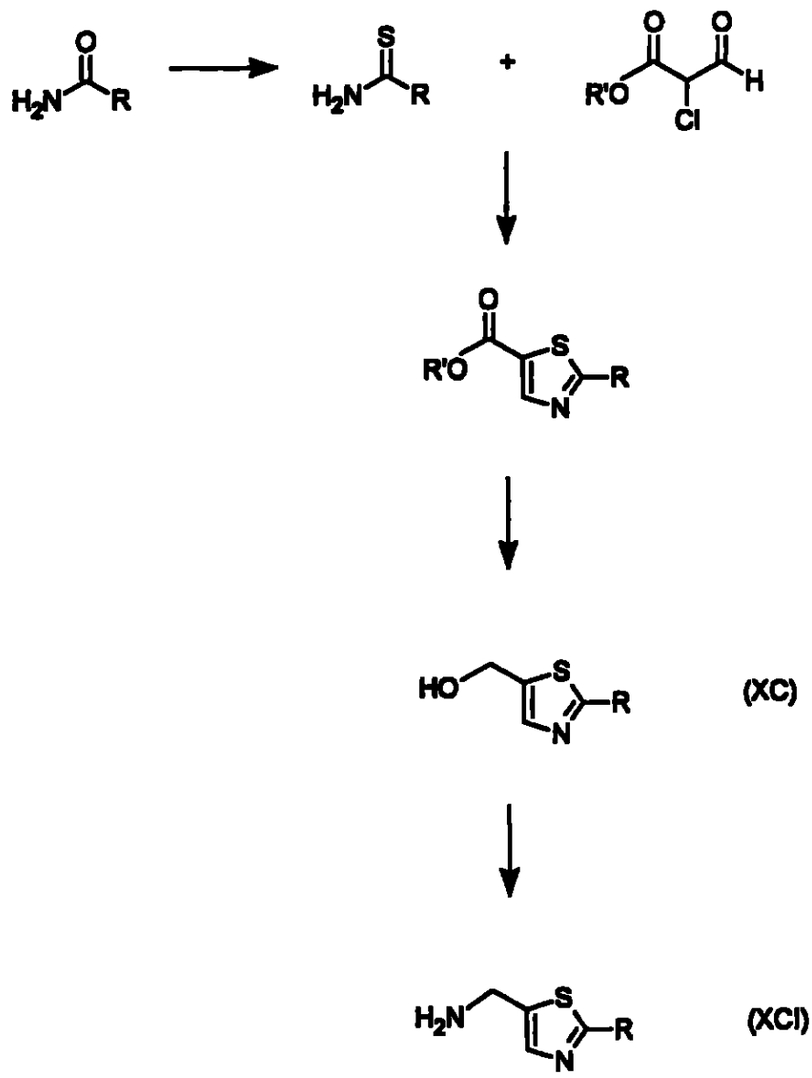
(LXXXIV)

GRÁFICO V


Het
OH
(LXXXV)
Het
OH
(LXXXVI)
Het=Heteroaril
Het
NH2
(LXXXVII)
Het
NH2
(LXXXIX)
Het
haluro
(LXXXVIII)

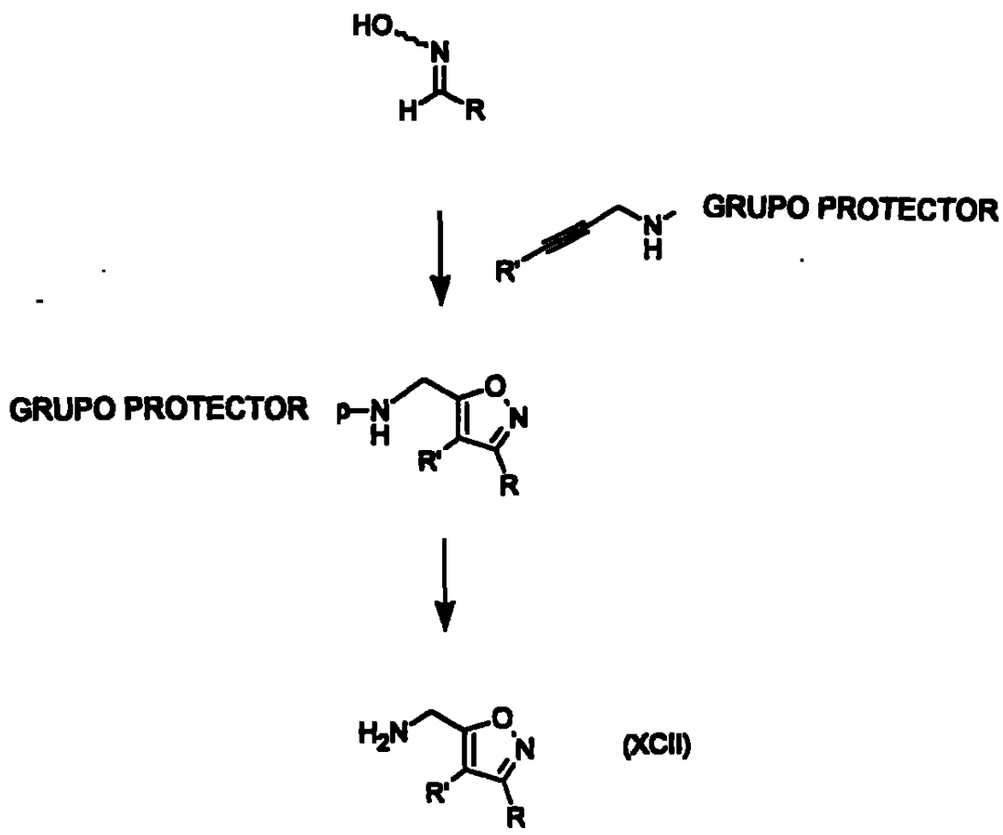
949

GRÁFICO W



949
950

GRÁFICO X



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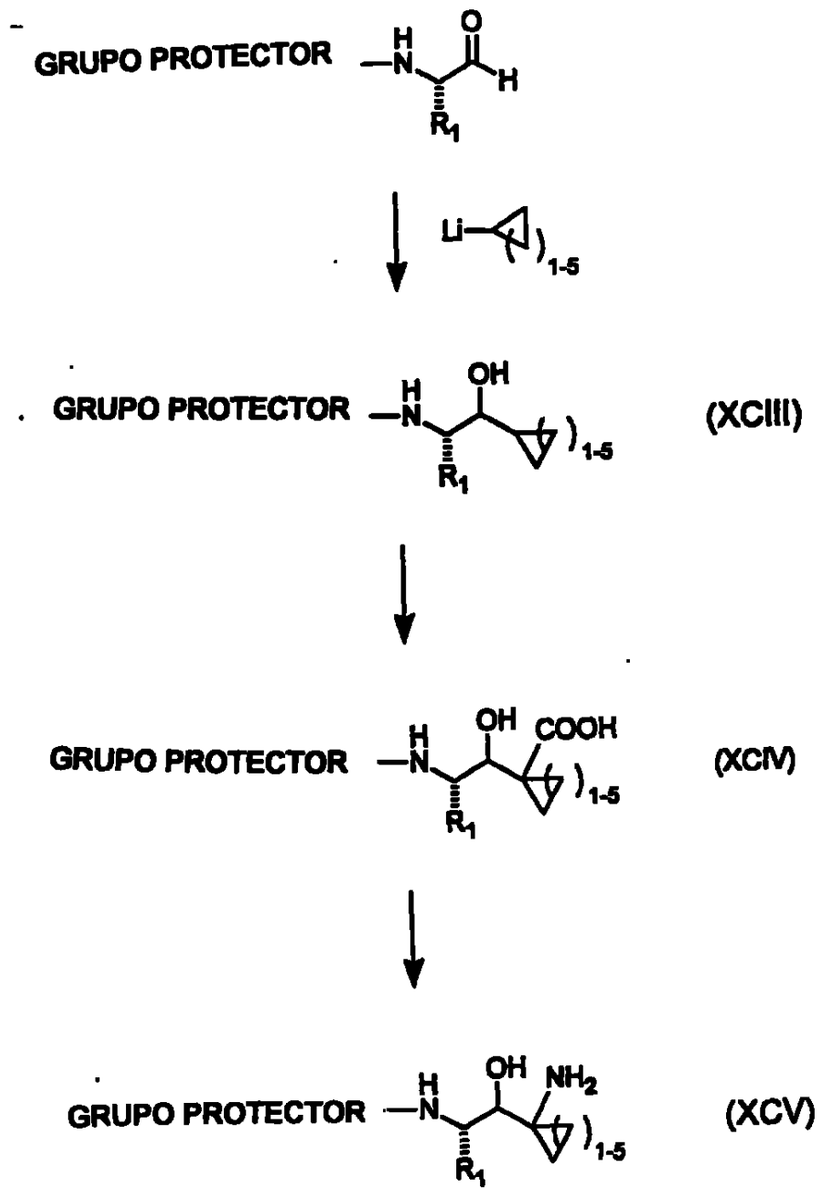
GRUPO PROTECTOR
H
N
O
H
R1
Li
1-5
GRUPO PROTECTOR
OH
(XCIII)
GRUPO PROTECTOR
COOH
(XCIV)
GRUPO PROTECTOR
NH2
(XCV)

EJEMPLOS BIOLÓGICOS

Ejemplo A

Ensayo de Inhibición de Enzima

Los compuestos de la invención son analizados en cuanto su actividad inhibitoria por el uso del ensayo MBP-C125. Este ensayo determina la inhibición relativa de escisión de beta-secretasa de un modelo de sustrato APP, MBP-C125SW, por los compuestos ensayados según se comparó con un control no tratado. Una descripción detallada de los parámetros de ensayo puede encontrarse, por ejemplo, en la patente estadounidense No. 5,942,400. Brevemente, el sustrato es un péptido de fusión formado de la proteína de enlace de maltosa (MBP) y el terminal carboxi 125 de los aminoácidos del APP-SW, mutación sueca. La enzima beta-secretasa es derivada del tejido de cerebro humano según se describió en Sinha et al., 199, NATURE 40:537-540) o producida recombinantemente como la enzima de longitud completa (aminoácidos 1 a 501), y que puede ser preparada, por ejemplo, a partir de células de 293

células que expresan en cADN recombinante, según se describió en la WO 00/47618.

La inhibición de la enzima es analizada, por ejemplo, por inmunoensayo de los productos de escisión de la enzima. Un ELISA ilustrativo usa un anticuerpo de captura anti-MBP que es depositado sobre las placas de alto enlace de 96 pozos prerecubiertas y bloqueadas, seguido por la incubación con el sobrenadante de reacción de la enzima diluida, la incubación con un anticuerpo reportero específico, por ejemplo, el anticuerpo reportero anti-SW 192 bioestañado, y la incubación subsiguiente con estreptabidina/fosfatasa alcalina. En el ensayo, la escisión en la proteína de fusión MBP-C125SW intacta resulta en la generación de un fragmento de terminal amino truncado, que expone un nuevo epítope positivo de anticuerpo SW-192 en el terminal carboxi. La detección se efectúa por una señal de sustrato fluorescente sobre la escisión por la fosfatasa. El ELISA solo detecta la escisión seguida de Leu 596 y el sitio de mutación APP-SW 751 del sustrato.

Procedimiento del Ensayo Específico

Los compuestos son diluidos en una serie de dilusiones 1:1 a una curva de concentración de 6 puntos (dos pozos por concentración) en una fila de un plato de 96 pozos por compuesto ensayado. Cada uno de los compuestos de ensayo es preparado en DMS o para hacer una solución de partida de 10 milimolares. La solución de partida es diluida seriadamente en DMSO para obtener una concentración de compuesto final de 200 micromolar con un punto alto de una curva de dilusión de 6 puntos. Diez (10) microlitros de cada dilusión es agregada a cada uno de los dos pozos en la fila C de una placa de fondo en v correspondiente a la cual se habían preagregado 190 microlitros de 52 milimolar de NaOAc, 7,9% de DMSO, con un pH de 4,5. La placa de compuestos diluida con NaOAc es hecha girar hasta que un precipitado de pepitas y 20 microlitros/pozo son trasnferidos a una placa de fondo plano correspondiente en la cual 30 microlitros de una mezcla de sustrato-enzima y enzima fría por hielo (2,5 microlitros de sustrato de MBP-C125SW, 0,03 microlitros de enzima y 24,5 microlitros de hielo frío 0,09% de TX100 por 30 microlitros) es agregado. La mezcla de reacción final del compuesto de 200 micromolares en el punto de

curva más alto está en el punto de curva más alto está en el 5% de DMSO, 20 milimolares de NaC, 0,06% de TX100, a un pH de 4,5.

Se calientan las placas a 37° C iniciando la reacción de la enzima. Después de 90 minutos a 37° C, se agrega un diluyente del espécimen frío de 200 microlitros/pozo a la reacción obtenida y se transfieren 20 microlitros/pozo a una placa ELISA recubierta con anticuerpo anti-MBP correspondiente para la captura, que contiene 80 microlitros/pozo del diluyente del espécimen. Esta reacción es incubada durante la noche a 4° C y el ELISA se desarrolla al siguiente día después de unas dos horas de incubación con el anticuerpo-192 SW, seguido por el conjugado de estreptabidina-AP y el sustrato fluorescente. La señal es leída sobre un lector de placa fluorescente.

La potencia de inhibición del compuesto relativa es determinada calculando la concentración del compuesto que mostrpó uan redeucción del 50% en la señal detectada (IC_{50}) comparada con la señal de reacción de la enzima en los pozos de control sin ningún compuesto agregado. En

este ensayo los compuestos de la invención exhibieron un IC_{50} de menos de 50 micromolares.

EJEMPLO B

Ensayo de Inhibición de Células Libres utilizando un sustrato APP sintético

Un sustrato APP sintético que puede ser cortado por beta-secretasa y que tiene uns biotina de terminal N y hecha fluorescente por el enlace covalente del oregón verde y el residuo Cys es usado para ensayar la actividad de la beta-secretasa en la presencia o ausencia de compuestos inhibitorios de la invención. Los fosfatos útiles incluyen los siguientes:

Biotina-SEVNL-DAEFR[verde oregon]KK [SEC ID No. 1]

Biotina-SEVKM-DAEFR[verde oregon]KK [SEC ID No. 2]

Biotin-GLNIKTEEISEISY-EVERFRC[verde oregon]KK [SEC ID No. 3]

Biotina-ADRGLTTRPGSGLTNIKTEEISEVNL-DAEF[verde oregon]KK [SEC ID No. 4]

Biotina-FVNQHLCoxGSHLVEALY-LVoxGERGFFYTPKA-[verdeo regon]KK [SEC ID No. 5]

La enzima (0,1 nanomolar) y los compuestos de ensayo (0,001 - 100 micromolar)son incubados en placas negras de baja afinidad prebloqueadas (384 pozos) a 37° C durante 30 minutos. La reacción es iniciada agregando un sustrato de 150 milimolar hasta un volumen final de 30 microlitros por pozo. Las condiciones del ensayo final son: 0,001 a 100 micromolar del compuesto inhibidor; 0,1 molar de acetato de sodio (pH 4,5); 150 nano molar de sustrato; 0,1 nano molar de β-secretasa soluble; 0,001% de Tween 20, y 2% de DMSO. La mezcla de ensayo es incubada durante 3 horas a 37° C, y la reacción es terminada con la adición de una concentración de saturación de estreptabidina inmunopura. Después de la incubación con la estreptabidina a temperatura ambiente durante 145 minutos, una polarización de fluorescencia es medida, por ejemplo, usando el Acqurest LJL (Ex485 nm/Em530 nm). La actividad de la enzima beta-secretasa es detectada por cambios en la polarización de fluorescencia que ocurre cuando el sustrato es cortado por la enzima. La incubación en la presencia o ausencia del compuesto inhibidor demuestra la inhibición específica de la escisión enzimática por beta-secretasa de su sustrato APP

sintético. En este ensayo, los compuestos de la invención exhibieron un IC_{50} menor que 50 micromolar.

EJEMPLO C

Inhibición de beta-secretasa: ensayo P26-P4'SW

Los sustratos sintéticos que contienen el sitio de escisión de beta-secretasa del APP son usados para el ensayo de actividad de la beta-secretasa, usando los métodos descritos, por ejemplo, en la solicitud PCT publicada WO 00/47618. El sustrato P26-P4'SW es un péptido de la secuencia: (biotina) CGGADRGLTTRPGSGLTNIKTEEISEVNLDAEF [SEC ID No. 6]

El estándar P26-P1 tiene una secuencia:

(biotina) CGGADRGLTTRPGSGLTNIKTEEISEVNL [SEC ID No. 7]

brevemente, los sustratos sintéticos acoplados con biotina son incubados en una concentración entre cerca de 0 a 200 micromolar en este ensayo. Cuando se ensayan compuestos inhibitorios, una concentración de sustrato de

cerca de 1,0 micromolar es preferida. Los compuestos de ensayo diluidos en DMSO son agregados a la mezcla de reacción, con una concentración final de DMSO de 5%. Los controles también contienen una concentración final de DMSO de 5%. La concentración de la enzima beta-secretasa en la reacción es variada, para dar concentraciones de producto con un rango lineal del ensayo ELISA, de cerca de 125 a 2000 pico molar, después de la dilusión.

La mezcla de reacción también incluyen 20 milimolar de acetato de sodio (pH 4,5, 0,06%) de Tritón X100, y es incubado a 37° C durante 1 a 3 horas. Las muestras son entonces diluidas en un amortiguador de ensayo (por ejemplo, 145,4 nanomolar de cloruro de sodio, 9,51 milimolar de fosfato de sodio, 7,7 milimolar de azida de sodio, 0,05% de Tritón X405, 6 g/litros de albúmina de suero de bovino, pH 7,4) para calmar la reacción, y entonces diluir adicionalmente para el inmunoensayo de los productos de escisión.

Los productos de escisión pueden ser ensayados por ELISA. Las muestras diluidas y los estándares son incubados en placas de ensayo recubiertas con anticuerpo de captura, por ejemplo, SW192, durante cerca de 24 horas

a 4° C. después de lavar en un amortiguador de TTBS (150 milimolar de cloruro de sodio, 25 milimolar tris, 0,05% de Tween 20, pH 7,5), las muestras son incubadas con estreptabidin-AP de acuerdo con las instrucciones del fabricante. Después de una hora de incubación a temperatura ambiente, las muestras son lavadas en TTBS e incubadas con una solución A de sustrato fluorescente (31,2 g/litro de 2-amino-2-metil-1-propanol, 30 mg/litro, pH 9,5). La reacción con estreptabidina-fosfato alcalino-permite la detección por fluorescencia. Los compuestos que son inhibidores efectivos de l actividad beta-secretasa demostraron una escisión reducida del sustrato en comparación con el control.

EJEMPLO D

Ensayos usando sustratos de oligopéptido sintético

Los oligopéptidos sintéticos son preparados los cuales incorporan el sitio de escisión conocido de beta-secretasa, y opcionalmente marcadores detectables tales como partes cuoromogénicas o fluorescentes. Ejemplos de tales péptidos, lo mismo que sus métodos de producción y detección se describen en la patente US No. 5,942,400,

incorporada aquí como referencia. Los productos de escisión pueden ser detectados usando cromatografía líquida de alto comportamiento, o métodos de detección cromogénica o fluorescente apropiados a los péptidos que van a ser detectados de acuerdo con los métodos bien conocidos en la técnica.

Como vía de ejemplo, uno de tales péptidos tiene la secuencia SEVNL-DAEF [SEC ID No. 8], y el sitio de escisión está entre los residuos 5 y 6. Otro sustrato preferido tiene la secuencia ADRGLTTRPGSGLTNIKTEEISEVNL-DAEF [SEC ID No. 9], y el sitio de escisión está entre los residuos 26 y 27.

Estos sustratos APP sintéticos son incubados en la presencia de beta-secretasa bajo condiciones suficientes para resultar en escisión mediada de beta-secretasa del sustrato. La comparación de la escisión resulta en la presencia del compuesto inhibidor para controlar lo que resulta en suministrar una medida de la actividad inhibitoria del compuesto.

EJEMPLO E

Inhibición de la actividad de beta-secretasa-ensayo celular

Un ensayo ejemplar para el análisis de la inhibición de la actividad de beta-secretasa utiliza la línea HEKp293 de células de riñón embriónico humano (ATCC acceso No. CRL-1573) transfectado con APP751 que contiene la mutación doble de Lys651Met52 a Asn651Leu652 de ocurrencia natural (numerada por APP751), comúnmente llamada la mutación sueca y que muestra la sobreproducción de A beta (Citrón et al., 1992, Nature 360:672-674), como se describió en la USPN 5,604,102.

Las células son incubadas en la presencia/ausencia del compuesto inhibitorio (diluido en DMSO) en la concentración deseada, generalmente hasta 10 microgramos/ml. Al final del periodo de tratamiento, el medio condicionado es analizado en cuanto a actividad beta-secretasa, por ejemplo, por el análisis de fragmentos de escisión. Una beta puede ser analizada por inmunoensayo, usando anticuerpos de detección específicos. La actividad enzimática es medida en la

presencia y ausencia de los inhibidores de compuesto para demostrar la inhibición específica de la beta-secretasa mediada por escisión del sustrato APP.

EJEMPLO F

Inhibición de beta-secretasa en modelos animales de AD

Varios modelos animales pueden ser usados para seleccionar en cuanto a la inhibición de la actividad de beta-secretasa. Ejemplos de modelos animales útiles en la invención incluye, pero no están limitados a, ratones, marranitos de guinea, peros y similares. Los animales usados pueden ser del tipo salvaje, transgénico o modelos muertos. Adicionalmente, los modelos de mamíferos pueden expresar mutaciones en APP, tales como APP 695-SW y similares descritos aquí. Los ejemplos de modelos transgénicos de mamíferos no humanos se describen en l patente US No. 5,604,102, 5,912,410 y 5,811,633.

El ratón PDAPP, preparado como se describió Games et al., 1995, Nature 373:523-527 son útiles para analizar la supresión in vivo de A beta liberada en la presencia de compuestos inhibitorios putativos. Como se describió en

la USPN 6,191,166, un ratón PDAPP de cuatro meses de vida se les administra un compuesto formulado en un vehículo, tal como aceite de maíz. Los ratones son dosificados con el compuesto (1 a 30 mg/ml, preferiblemente 1 a 10 mg/ml). Después del tiempo, por ejemplo 3 a 10 horas, los animales son sacrificados, el cerebro es removido para su análisis.

Los animales transgénicos se les administra una cantidad del compuesto inhibidor formulado en un portador adecuado para el modo escogido de administración. Los animales de control son no tratados, tratados con vehículo, o tratados con un compuesto inactivo. La administración puede ser aguda, por ejemplo dosis única o múltiples dosis en un día, o puede ser crónica, por ejemplo la dosificación es repetida diariamente durante un periodo de días. Iniciando con el tiempo 0, el tejido cerebral o los fluidos cerebrales son obtenidos par animales seleccionados y son analizados en cuanto a la presencia de péptidos de escisión APP que incluyan A beta, ipor ejemplo por inmunoensayo usando anticuerpos específicos para la detección de A beta. Final del periodo de prueba, los animales son sacrificados y el tejido cerebral o los fluidos cerebrales son analizados

en cuanto a presencia de A beta y/o placas amiloides de beta. El tejido también es analizado para la necrosis.

Los animales que se les administró los inhibidores de compuesto de la invención se espera que demuestren un A beta reducido en los tejidos cerebrales o en los fluidos cerebrales y placas amiloides de beta reducidas en el tejido cerbral comparado con los controles no tratados.

EJEMPLO G

Inhibición de la Producción de A beta en Pacientes Humanos

Los pacientes que sufren de la enfermedad de Alzheimer (AD) demuestran una cantidad incrementada de A beta en el cerebro. Los pacientes de AD se les administra una cantidad del inhibidor de compuesto formulado en un portador adecuado par el modo escogido de administración. La administración se repite diariamente durante la duración del periodo de prueba. Iniciando en el día 0, ensayos cognitivos y de memoria se llevan a cabo, por ejemplo, una vez por mes.

A los pacientes que se administró los inhibidores de compuesto se espera que demuestren una disminución o estabilización del progreso de la enfermedad según se analizó por los cambios en uno o más de los siguientes parámetros de la enfermedad: A beta presente en CSF o plasma; volumen de cerebro o hipocampo; depósito de A beta en el cerebro; placa amiloide en el cerebro; y marcas en cuanto a funciones cognitivas y de memoria, comparado con pacientes de control no tratados.

EJEMPLO H

Prevención de la producción de A beta en pacientes con riesgo de AD

Los pacientes predispuestos o con riesgo de desarrollar AD son identificados sea por el reconocimiento de un patrón de herencia familiar, por ejemplo, la presencia de la mutación sueca, y/o por monitorear parámetros de diagnóstico. Los pacientes identificados como predispuestos o con riesgo de desarrollar AD se les administra una cantidad del inhibidor de compuesto formulado en un portador adecuado

para el modo escogido de administración. La administración se repite diariamente durante la duración del periodo de ensayo. Iniciando en el día 0, se hacen ensayos cognitivos y de memoria, por ejemplo, una vez por mes.

Los pacientes que se les administró a los inhibidores de compuestos se espera que demuestren una disminución o estabilización del progreso de la enfermedad según se analizó por cambios en uno o más de los siguientes parámetros de la enfermedad: un A beta presente en CSF o plasma; volumen de cerebro o hipocampo; placa amiloide en el cerebro; y marcas en cuanto a funciones cognitivas y de memoria, según se compara con los pacientes de control no tratados.

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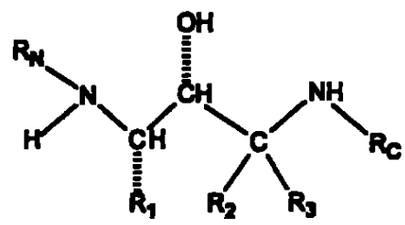
Aunque la invención ha sido descrita con respecto a diversos ejemplos específicos y modalidades, debe entenderse que la invención no está limitada a estos y solo debe construirse su alcance por la interpretación del alcance de las reivindicaciones adjuntas.

REIVINDICACIONES

1. Una amina sustituida de fórmula (X)



(X)

en donde R^1 es:

(I) alquilo con 1 a 6 átomos de carbono, opcionalmente sustituido con 1, 2 o 3 sustituyentes seleccionados a partir del grupo que consiste de alquilo con 1 a 3 carbonos, alquilo con 1 a 7 carbonos (opcionalmente sustituido con alquilo con 1 a 3 carbonos y alcoxi con 1 a 3 carbonos), -F, -Cl, -Br, -I, -OH, -SH, -C≡N, $-CF_3$, alcoxi con 1 a 3 carbonos, $-NR_{1-a}R_{1-b}$ en donde R_{1-a} y R_{1-b} son -H o alquilo con 1 a 6 carbonos, y -

OC=O NR_{1a}- R_{1b} en donde R_{1a} y R_{1b} so como se definió anteriormente,

(II) $-CH_2-S(O)_{0-2}-$(alquilo con 1 6 carbonos),

(III) $-CH_2-CH_2-S(O)_{0-2}-$(alquilo con 1 a 6 carbonos),

(IV) alquenilo con 2 a 6 carbonos con 1 o 2 enlaces dobles, opcionalmente sustituido con 1, 2 o 3 sustituyentes seleccionados a partir del grupo que consiste de -F, -Cl, OH, -SH, -C≡N, $-CF_3$, alcoxi con 1 a 3 carbonos, y $-NR_{1-a}R_{1-b}$ en donde R_{1-a} y R_{1-b} son -H o alquilo con 1 a 6 carbonos,

(V) alquinilo con 2 a 6 carbonos con uno o dos enlaces triples, opcionalmente sustituido con 1, 2 o 3 sustituyentes seleccionados a partir del grupo que consiste de -F, -Cl, -OH, -SH, -C≡N, $-CF_3$, alcoxi con 1 a 3 carbonos, y $-NR_{1-a}R_{1-b}$ en donde R_{1-a} y R_{1-b} son -H o alquilo con 1 a 6 carbonos,

(VI) $-(CH_2)_{n1}-(R_{1-arilo})$ en donde n1 es cero o uno y en donde $R_{1-arilo}$ es fenilo, 1-naftilo, 2-naftilo e indanilo, indenilo, dihidronaftalilo, o tetralinilo opcionalmente sustituidos con 1, 2, 3 o 4 de los sustituyentes siguientes sobre el anillo arilo:

(A) alquilo con 1 a 6 carbonos opcionalmente sustituidos con 1, 2 o 3 sustituyentes seleccionados a partir del grupo que consiste de alquilo con 1 a 3 carbonos, -F, -Cl, -Br, -I, -OH, -SH, $-NR_{1-a}R_{1-b}$ en donde R_{1-a} y R_{1-b} son como se definió anteriormente, -C≡N, $-CF_3$, alcoxi con 1 a 3 carbonos,

(B) alquenilo con 2 a 6 átomos de carbono con 1 o 2 enlaces dobles opcionalmente sustituido con 1, 2 o 3 sustituyentes seleccionados a partir del grupo que consiste de -F, -Cl, -OH, -SH, -C≡N, $-CF_3$, alcoxi con 1 a 3 carbonos, y $-NR_{1-a}R_{1-b}$ en

donde R_{1-a} y R_{1-b} son -H o alquilo con 1 a 6 átomos de carbono,

(C) alquinilo con 2 a 6 átomos de carbono con uno o dos enlaces triples, opcionalmente sustituido con 1, 2 o 3 sustituyentes seleccionados a partir del grupo que consiste de -F, -Cl, -OH, -SH, -C≡N, $-CF_3$, alcoxi con 1 a 3 carbonos, y $-NR_{1-a}R_{1-b}$ en donde R_{1-a} y R_{1-b} son -H o alquilo con 1 a 6 carbonos,

(D) -F, Cl, -Br o -I,

(F) -alcoxi con 1 a carbonos opcionalmente sustituido con 1, 2 o 3 de: -F,

(G) $-NR_{N-2}R_{N-3}$ en donde R_{N-2} y R_{N-3} son como se define más abajo,

(H) -OH,

(I) -C≡N,

(J) cicloalquilo con 3 a 7 carbonos, opcionalmente sustituido con 1, 2 o 3 sustituyentes seleccionados a partir del grupo que consiste de -F, -Cl, -OH, -SH, -C≡N, $-CF_3$, alcoxi con 1 a 3 carbonos, y $-NR_{1-a}R_{1-b}$ en donde R_{1-a} y R_{1-b} son -H o alquilo con 1 a 6 carbonos,

(K) -CO-(alquilo con 1 a 4 átomos de carbono),

(L) $-SO_2-NR_{1-a}R_{1-b}$ en donde R_{1-a} y R_{1-b} son como se definió anteriormente,

(M) $-CO-NR_{1-a}R_{1-b}$ en donde R_{1-a} y R_{1-b} son como se definió anteriormente, o

(N) $-SO_2-$(alquilo con 1 a 4 carbonos),

(VII) $-(CH_2)_{n1}-(R_{1-heteroarilo})$ en donde n_1 es como se definió anteriormente y en donde $R_{1-heteroarilo}$ se selecciona a partir del grupo que consiste de:

piridinilo,

pirimidinilo,

quinolinio,

benzotienilo,

indolilo,

indiolinilo,

priidazinilo,

pirazinilo,

isoindolilo,

isoquinolilo,

quinazolinilo,

quinoxalinilo,

ftalizinilo,

imidazolilo,

isoxazolilo,

pirazolilo,

oxazolilo,

tiazolilo,

indolizinilo,

indazolilo,

benzotiazolilo,

benzimidazolilo,

benzofuranilo,

furanilo,

tienilo,

pirrolilo,

oxadiazolilo,

tiadiazolilo,

triazolilo,

tetrazolilo,

oxazolopiridinilo,

imidazopoiridinilo,

isotiazolilo,

naftiridinilo,

cinolililo,

carbazolilo,

beta-carbolinilo,

isocromanilo,

cromanilo,

tetrahidroiosquinolinilo,

isoindolinilo,

isobenzotetrahidrofuranilo,

isobenzotetrahidrotienilo

isobenzotienilo,

benzooxazolilo,

piridopiridinilo,

benzotetrahidrofuranilo,

benzotetrahidrotienilo,

purinilo,

benzodioxolilo,

triazinilo,

fenoxazinilo,

fenotiazinilo,

pteridinilo,

benzotiazolilo,

imidazopiridinilo,

imidazotiazolilo,

dihidrobenziisoxazinilo,

benzisoxazinilo,

benzoxazinilo,

dihidrobenzisotiazinilo,

benzopiranilo,

benzotiopiranilo,

coumarinilo,

isocoumarinilo,

cromonilo,

cromanonilo, y

piridinil-N-óxido

tetrahidroquinolinilo

dihidroquinolinilo

dihidroquinolinolino

dihidroisoquinolino

dihidrocoumarinilo

dihidroisocoumarinilo

isoindolinonilo

benzodioxanilo

benzooxazolinonilo

N-óxido de pirrolil,

N-óxido de pirimidil,

N-óxido de piridazinil,

N-óxido de pirazinil,

N-óxido de quinolinilo,

N-óxido de indolilo,

N-óxido de indolinil,

N-óxido de isoquinolil,

N-óxido de quinazolinil,

N-óxido de quinoxalinilo,

N-óxido de ftalazinilo,

N-óxido de imidazolilo,

N-óxido de isoxazolilo,

N-óxido de oxazolilo,

N-óxido de tiazolilo,

N-óxido de indolizinilo,

N-óxido de indazolilo,

N-óxido de benzotiazolilo,

N-óxido de benzimidazolilo,

N-óxido de pirrolilo,

N-óxido de oxadiazolilo,

N-óxido de tiadiazolilo,

N-óxido de triazolilo,

N-óxido de tetrazolilo,

S-óxido de benzotiopiranilo,

S,S-dióxido de benzotiopiranilo,

En donde el grupo $R_{1\text{-heteroarilo}}$ está ligado a $-(CH_2)_{n1}-$ por cualquier átomo de anillo del grupo pariente $R_{1\text{-heteroarilo}}$ sustituido con hidrógeno tal que el nuevo enlace al grupo $R_{1\text{-heteroarilo}}$ reemplaza el átomo hidrógeno y su enlace, en donde el heteroarilo es opcionalmente sustituido con 1, 2, 3, o 4:

(1) alquilo con 1 a 6 átomos de carbono opcionalmente sustituido con 1, 2 o 3 sustituyentes seleccionados a partir del grupo que consiste de alquilo con 1 a 3 carbonos, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, $-CF_3$, alcoxi con 1 a 3 carbonos, y $-NR_{1-a}R_{1-b}$ en donde R_{1-a} y R_{1-b} son como se definió anteriormente,

(2) alquenilo con 2 a 6 átomos de carbono con 1 o 2 enlaces dobles opcionalmente sustituido con

1, 2 o 3 sustituyentes seleccionados a partir del grupo que consiste de -F, -Cl, -OH, -SH, -C≡N, $-CF_3$, alcoxi con 1 a 3 carbonos, y $-NR_{1-a}R_{1-b}$ en donde R_{1-a} y R_{1-b} son -H o alquilo con 1 a 6 átomos de carbono,

(3) alquinilo con 2 a 6 átomos de carbono con uno o dos enlaces triples, opcionalmente sustituido con 1, 2 o 3 sustituyentes seleccionados a partir del grupo que consiste de -F, -Cl, -OH, -SH, -C≡N, $-CF_3$, alcoxi con 1 a 3 carbonos, y $-NR_{1-a}R_{1-b}$ en donde R_{1-a} y R_{1-b} son -H o alquilo con 1 a 6 carbonos,

(4) -F, Cl, -Br o -I,

(6) -alcoxi con 1 a 6 carbonos opcionalmente sustituido con 1, 2 o 3 de: -F,

(7) $-NR_{N-2}R_{N-3}$ en donde R_{N-2} y R_{N-3} son como se define más abajo,

(8) -OH,

(9) $-C\equiv N$,

(10) cicloalquilo con 3 a 7 carbonos, opcionalmente sustituido con 1, 2 o 3 sustituyentes seleccionados a partir del grupo que consiste de -F, -Cl, -OH, -SH, $-C\equiv N$, $-CF_3$, alcoxi con 1 a 3 carbonos, y $-NR_{1-a}R_{1-b}$ en donde R_{1-a} y R_{1-b} son -H o alquilo con 1 a 6 carbonos,

(11) -CO-(alquilo con 1 a 4 átomos de carbono),

(12) $-SO_2-NR_{1-a}R_{1-b}$ en donde R_{1-a} y R_{1-b} son como se definió anteriormente,

(13) $-CO-NR_{1-a}R_{1-b}$ en donde R_{1-a} y R_{1-b} son como se definió anteriormente, o

(14) $-SO_2-$(alquilo con 1 a 4 carbonos), con la condición de que cuando n_1 es cero $R_{1-heteroarilo}$ no está ligado a la cadena de carbono por nitrógeno, o

(VIII) $-(CH_2)_{n1}-(R_{1\text{-heterociclo}})$ en donde n_1 es como se definió anteriormente y en donde $R_{1\text{-heterociclo}}$ se selecciona a partir del grupo que consiste de:

morfolinilo,

tiomorfolinilo,

S-óxido tiomorfolinilo,

S,S-dióxido tiomorfolinilo,

pirazinilo,

homopiperazinilo,

pirrolidinilo,

pirrolinilo,

tetrahidropiranil,

piperidinil,

tetrahidrofuranil,

tetrahidrotienil,

homopiperidinil,

homomorfolinil,

homotiomorfolinil,

S,S-dióxido de homotiomorfolinil, y

oxazolidinonil,

dihidropirazolil,

dihidropirrolil,

dihidropirazolil,

dihidropirrolil,

dihidropirazinil,

dihidropiridinil,

dihidropirimidinil,

dihidrofuril,

dihidropiranil

S-óxido de tetrahidrotienil

SS-dióxido de tetrahidrotienil

S-óxido homotiomorfolinil

En donde el grupo $R_{1\text{-heterociclo}}$ está ligado por cualquier átomo de anillo del grupo pariente $R_{1\text{-heterociclo}}$ sustituido con hidrógeno tal que el nuevo enlace al grupo $R_{1\text{-heterociclo}}$ reemplaza el átomo de hidrógeno y su enlace, en donde el heterociclo es opcionalmente sustituido con 1, 2, 3, o 4:

(1) alquilo con 1 a 6 átomos de carbono opcionalmente sustituido con 1, 2 o 3 sustituyentes seleccionados a partir del grupo que consiste de alquilo con 1 a 3 carbonos, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, $-CF_3$, alcoxi con

1 a 3 carbonos, y $-NR_{1-a}R_{1-b}$ en donde R_{1-a} y R_{1-b} son como se definió anteriormente,

(2) alquenilo con 2 a 6 átomos de carbono con 1 o 2 enlaces dobles, opcionalmente sustituido con 1, 2 o 3 sustituyentes seleccionados a partir del grupo que consiste de -F, -Cl, -OH, -SH, -C≡N, $-CF_3$, alcoxi con 1 a 3 carbonos, y $-NR_{1-a}R_{1-b}$ en donde R_{1-a} y R_{1-b} son -H o alquilo con 1 a 6 átomos de carbono,

(3) alquinilo con 2 a 6 átomos de carbono con uno o dos enlaces triples, opcionalmente sustituido con 1, 2 o 3 sustituyentes seleccionados a partir del grupo que consiste de -F, -Cl, -OH, -SH, -C≡N, $-CF_3$, alcoxi con 1 a 3 carbonos, y $-NR_{1-a}R_{1-b}$ en donde R_{1-a} y R_{1-b} son -H o alquilo con 1 a 6 carbonos,

(4) -F, Cl, -Br o -I,

(5) alcoxi con 1 a 6 átomos de carbono,

(6) -alcoxi con 1 a 6 carbonos opcionalmente sustituido con 1, 2 o 3 de: -F,

(7) $-NR_{N-2}R_{N-3}$ en donde R_{N-2} y R_{N-3} son como se define más abajo,

(8) -OH,

(9) -C≡N,

(10) cicloalquilo con 3 a 7 carbonos, opcionalmente sustituido con 1, 2 o 3 sustituyentes seleccionados a partir del grupo que consiste de -F, -Cl, -OH, -SH, -C≡N, $-CF_3$, alcoxi con 1 a 3 carbonos, y $-NR_{1-a}R_{1-b}$ en donde R_{1-a} y R_{1-b} son -H o alquilo con 1 a 6 carbonos,

(11) -CO-(alquilo con 1 a 4 átomos de carbono),

(12) $-SO_2-NR_{1-a}R_{1-b}$ en donde R_{1-a} y R_{1-b} son como se definió anteriormente,

(13) $-CO-NR_{1-a}R_{1-b}$ en donde R_{1-a} y R_{1-b} son como se definió anteriormente, o

(14) $-SO_2-$(alquilo con 1 a 4 carbonos),

(15) con la condición de que cuando n_1 es cero $R_{1-heterciclo}$ no está ligado a la cadena de carbono por nitrógeno;

en donde R_2 es:

(I)-H,

(II) alquilo con 1 a 3 átomos de carbono, opcionalmente sustituido con 1, 2 o 3 sustituyentes seleccionados a partir del grupo que consiste de alquilo con 1 a 3 carbonos, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, $-CF_3$, alcoxi con 1 a 3 carbonos, y $-NR_{1-a}R_{1-b}$ en donde R_{1-a} y R_{1-b} son como se definió anteriormente,

(III) $-(CH_2)_0-4-R_{2-1}$ en donde R_{2-1} es R1-arilo o R1-heteroarilo en donde $R_{1-arilo}$ y $R_{1-heteroarilo}$ son como se definió anteriormente;

(IV) alquenilo con 2 a 6 carbonos con 1 o 2 enlaces dobles, opcionalmente sustituido con 1, 2 o 3 sustituyentes seleccionados a partir del grupo que consiste de -F, -Cl, -OH, -SH, -C≡N, $-CF_3$, alcoxi con 1 a 3 carbonos, y $-NR_{1-a}R_{1-b}$ en donde R_{1-a} y R_{1-b} son -H o alquilo con 1 a 6 carbonos, -F, -Cl, -OH, -SH, -C≡N, $-CF_3$, alcoxi con 1 a 3 carbonos, y $-NR_{1-a}R_{1-b}$ en donde R_{1-a} y R_{1-b} son -H o alquilo con 1 a 6 carbonos,

(V) alquinilo con 2 a 6 carbonos con uno o dos enlaces triples, opcionalmente sustituido con 1, 2 o 3 sustituyentes seleccionados a partir del grupo que consiste de -F, -Cl, -OH, -SH, -C≡N, $-CF_3$, alcoxi con 1 a 3 carbonos, y $-NR_{1-a}R_{1-b}$ en donde R_{1-a} y R_{1-b} son -H o alquilo con 1 a 6 carbonos, o

(VI) $-(CH_2)_{0-4}-$ cicloalquilo con 3 a 7 átomos de carbono opcionalmente sustituido con 1, 2 o 3 sustituyentes seleccionados a partir del grupo que consiste de -F, -Cl, -OH, -SH, -C≡N, $-CF_3$, alcoxi con 1 a 3 carbonos, y $-NR_{1-a}R_{1-b}$ en donde R_{1-a} y R_{1-b} son -H o alquilo con 1 a 6 carbonos;

en donde R_3 es:

(I) -H,

(II) alquilo con 1 a 6 átomos de carbono, opcionalmente sustituido con 1, 2 o 3 sustituyentes seleccionados a partir del grupo que consiste de alquilo con 1 a 3 carbonos, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, $-CF_3$, alcoxi con 1 a 3 carbonos, y $-NR_{1-a}R_{1-b}$ en donde R_{1-a} y R_{1-b} son como se definió anteriormente,

(III) $-(CH_2)_{0-4}-R_{2-1}$ en donde R_{2-1} es $R_{1-arilo}$ o $R_{1-heterosarilo}$ en donde $R_{1-arilo}$ y $R_{1-heterosarilo}$ son como se definió anteriormente;

(IV) alquenilo con 2 a 6 átomos de carbono con 1 o 2 enlaces dobles,

(V) alquinilo con 2 a 6 carbonos con uno o dos enlaces triples, o

(VI) opcionalmente sustituido con 1, 2 o 3 sustituyentes seleccionados a partir del grupo que consiste de -F, -Cl, -OH, -SH, -C≡N, $-CF_3$, alcoxi con 1 a 3 carbonos, y $-NR_{1-a}R_{1-b}$ en donde R_{1-a} y R_{1-b} son -H o alquilo con 1 a 6 carbonos, o

(VI) $-(CH_2)_{0-4}-$ cicloalquilo con 3 a 7 átomos de carbono opcionalmente sustituido con 1, 2 o 3 sustituyentes seleccionados a partir del grupo que consiste de -F, -Cl, -OH, -SH, -C≡N, $-CF_3$, alcoxi con 1 a 3 carbonos, y $-NR_{1-a}R_{1-b}$ en donde R_{1-a} y R_{1-b} son -H o alquilo con 1 a 6 carbonos, y donde R_2 y R_3 se toman juntos con el carbono al cual ellos están ligados para formar un carbociclo de 3, 4, 5, 6 o 7 átomos de carbono, opcionalmente donde un átomo de

carbono es reemplazado por un heteroátomo seleccionado a partir del grupo que consiste de -O-,

-S-, $-SO_2-$, y $-NR_{N-2}-$, en donde R_{N-2} es como se define más adelante;

en donde R_N es:

(I) $R_{N-1}-X_N-$ en donde X_n se selecciona a partir del grupo que consiste de:

(A) -CO-,

(B) $-SO_2-$

(C) $-(CR'R'')_{1-6}$ en donde R' y R'' son iguales o diferentes y son -H o alquilo con 1 a 4 átomos de carbono,

(D) $-CO-(CR'R'')_{1-6}-X_{N-1}$ en donde X_{N-1} se selecciona a partir del grupo que consiste de -O-, -S- y -NR'- y en donde R' y R'' son como se definió anteriormente, y

(E) un enlace único;

en donde R_{N-1} se selecciona a partir del grupo que consiste de:

(A) $R_{N-arilo}$ en donde $R_{N-arilo}$ es fenilo, 1-naftilo, 2-naftilo, tetralinilo, indanilo, dihidronaftilo o 6, 7, 8, 9- tetrahidro-5H-benzo[a]cicloheptenilo, opcionalmente sustituido con 1, 2 o 3 de los siguientes sustituyentes que pueden ser el mismo o diferentes y son:

(1) alquilo con 1 a 6 átomos de carbono, opcionalmente sustituido con 1, 2 o 3 sustituyentes seleccionados a partir del grupo que consiste de alquilo con 1 a 3 átomos de carbono, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF_3, alcoxi con 1 a 3 carbonos, y $-NR_{1-a}R_{1-b}$ en donde R_{1-a} y R_{1-b} son como se definió anteriormente,

(2) -OH,

(3) $-NO_2$,

(4) -F, -Cl, -Br, o -I,

(5) -CO-OH,

(6) -C≡N,

(7) $(CH_2)_{0-4}$-CO-$NR_{N-2}R_{N-3}$ en donde R_{N2} y R_{N3} son iguales o diferentes y se seleccionan a partir del grupo que consiste de:

(a) -H,

(b) alquilo con 1 a 6 átomos de carbono opcionalmente sustituidos con un sustituyente seleccionado a partir del grupo que consiste de:

(i) -OH, y

(ii) NH_2,

(c) alquilo con 1 a 6 átomos de carbono opcionalmente sustituido con uno a 3 de _f, -Cl, -Br, o -I,

(d) -cicloalquilo con 3 a 7 átomos de carbono,

(e) -(alquilo con 1 a 2 átomos de carbono)-(cicloalquilo con 3 a 7 átomos de carbono),

(f) -(alquilo con 1 a 6 átomos de carbono)-O-(alquilo con 1 a 3 átomos de carbono),

(g) alquenilo con 2 a 6 átomos de carbono con uno o dos enlaces dobles,

(h) alquinilo con 2 a 6 átomos de carbono con uno o dos enlaces triples,

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(i) -cadena de alquilo con 1 a 6 átomos de carbono con un enlace doble o un enlace triple,

(j) $-R_{1\text{-arilo}}$ en donde $R_{1\text{-arilo}}$ es como se definió anteriormente, y

(k) $-R_{1\text{-heteroarilo}}$ en donde $R_{1\text{-heteroarilo}}$ es como se definió anteriormente,

(8) $-(CH_2)_{0-4}-CO-$(alquilo con 1 a 12 carbonos),

(9) $-(CH_2)_{0-4}-CO-$(alquenilo con 2 a 12 átomos de carbono con 1, 2 o 3 enlaces dobles),

(10) $-(CH_2)_{0-4}-CO-$(alquinilo con 2 a 12 átomos de carbono con 1, 2 o 3 enlaces triples),

(11) $-(CH_2)_{0-4}-CO-$(cicloalquilo con 3 a 7 átomos de carbono),

(12) $-(CH_2)_{0-4}-CO-R_{1\text{-arilo}}$ en donde $R_{1\text{-arilo}}$ es como se definió anteriormente,

(13) $-(CH_2)_{0-4}-CO-R_{1\text{-heteroarilo}}$ en donde $R_{1\text{-heteroarilo}}$ es como se definió anteriormente,

(14) $-(CH_2)_{0-4}-CO-R_{1\text{-heterociclo}}$ en donde $R_{1\text{-heterociclo}}$ es como se definió anteriormente,

(15) $-(CH_2)_{0-4}-CO-$RN-4 en donde RN-4 se selecciona a partir del grupo que consiste de mkorfolinilo, tiomorfolinilo, piperazinilo, piperidinilo,

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homomorfolinilo, homotiomorfolinilo, S-óxido homotiomorfolinilo, S,S-dióxido de homotiomorfolinilo, pirrolinilo y pirrolidinilo en donde cada grupo se sustituye opcionalmente con 1, 2, 3 o 4 de: alquilo con 1 a 6 átomos de carbono,

(16) $-(CH_2)_{0-4}-CO-O-R_{N-5}$ en donde R_{N-5} es seleccionado a partir del grupo que consiste de:

(a) alquilo con 1 a 6 átomos de carbono,

(b) $-(CH_2)_{0-2}-(R_{1-arilo})$ en donde R1-arilo es como se definió anteriormente,

(c) alquenilo con 2 a 6 átomos de carbono que contiene 1 o 2 enlaces dobles,

(d) alquinilo con 2 a 6 átomos de carbono que contiene uno o dos enlaces triples,

(e) cicloalquilo con 3 a 7 átomos de carbono, y

(f) $-(CH_2)_{0-2}-(R_{1-heteroarilo})$ en donde $R_{1-heteroarilo}$ es como se definió anteriormente,

(17) $-(CH_2)_{0-4}-SO_{2-N}R_{N-2}R_{N-3}$ en donde R_{N-2} y R_{N-3} son como se definió anteriormente,

(18) $-(CH_2)_{0-4}-SO-$(alquilo con 1 a 8 átomos de carbono),

(19) $-(CH_2)_{0-4}-SO_2-$(alquilo con 1 a 12 átomos de carbono),

(20) $-(CH_2)_{0-4}-SO-$(cicloalquilo con 3 a 7 átomos de carbono),

(21) $-(CH_2)_{0-4}-N(H$ o $R_{N-5})-CO-O-R_{N-5}$ en donde R_{N-5} puede ser igual o diferente como se definió anteriormente,

(22) $-(CH_2)_{0-4}-N(H$ o $R_{N-5})-CO-N(R_{N-5})_2$ en donde R_{N-5} puede ser igual o diferente como se definió anteriormente,.

(23) $-(CH_2)_{0-4}-N-CS-N(R_{N-5})_2$ en donde R_{N-5} puede ser igual o diferente como se definió anteriormente,

(24) $-(CH_2)_{0-4}-N(-H$ o $R_{N-5})-CO-R_{N-2}$ en donde R_{N-5} y R_{N-2} pueden ser iguales o diferentes y son como se definió anteriormente,

(25) $-(CH_2)_{0-4}-NR_{N-2}\ R_{N-3}$ en donde R_{N-2} y R_{N-3} pueden ser los mismos o diferentes y son según se definió anteriormente,

(26) $-(CH_2)_{0-4}-R_{N-4}$ en donde R_{N-4} es según se definió anteriormente,

(27) $-(CH_2)_{0-4}-O-CO-$(alquilo con 1 a 6 átomos de carbono),

(28) $-(CH_2)_{0-4}-OP(O)-(OR_{N-aril-1})_2$ en donde $R_{N-aril-1}$ es -H o alquilo con 1 a 4 átomos de carbono

(29) $-(CH_2)_{0-4}-O-CO-N(R_{N-5})_2$ en donde R_{N-5} es como se definió anteriormente,

(30) $-(CH_2)_{0-4}-O-CS-N(R_{N-5})_2$ en donde R_{N-5} es como se definió anteriormente,

(31) $-(CH_2)_{0-4}-O-(R_{N-5})_2$ en donde R_{N-5} es como se definió anteriormente,

(32) $-(CH_2)_{0-4}-O-(R_{N-5})_2-COOH$ en donde R_{N-5} es como se definió anteriormente,

(33) $-(CH_2)_{0-4}-S-CO-N(R_{N-5})_2$ en donde R_{N-5} es como se definió anteriormente,

(34) $-(CH_2)_{0-4}-O-$(alquilo con 1 a 6 átomos de carbono opcionalmente sustituido con uno, dos, tres, cuatro, o cinco -F),

(35) cicloalquilo con 3 a 7 átomos de carbono,

(36) alquenilo con 2 a 6 átomos de carbono, con uno o dos enlaces dobles opcionalmente sustituido con alquilo con 1 a 3 carbonos, -F, -Cl, -Br,

-I, -OH, -SH, -C≡N, $-CF_3$, alcoxi con 1 a 3 átomos de carbono, o $-NR_{1-a}R_{1-b}$ en donde R_{1-a} y R_{1-b} son como se definió anteriormente,

(37) alquinilo con 2 a 6 átomos de carbono con 1 o 2 enlaces triples opcionalmente sustituido con alquilo con 1 a 3 átomos de carbono, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, $-CF_3$, alcoxi con 1 a 3 carbonos, o $-NR_{1-a}R_{1-b}$ en donde R_{1-a} y R_{1-b} son como se definió anteriormente,

(38) $-(CH_2)_{0-4}-N(-H$ o $R_{N-5})-SO_2-R_{N-2}$ en donde R_{N-5} y R_{N-2} pueden ser iguales o diferentes y son como se definió anteriormente, o

(39) $-(CH_2)_{0-4}$-cicloalquilo con 3 a 7 carbonos,

(B)-$R_{N-heteroarilo}$ en donde $R_{N-heteroarilo}$ se selecciona a partir del grupo que consiste:

piridinilo,

pirimidinilo,

quinolinio,

benzotienilo,

indolilo,

indiolinilo,

priidazinilo,

pirazinilo,

isoindolilo,

isoquinolilo,

quinazolinilo,

quinoxalinilo,

ftalizinilo,

imidazolilo,

isoxazolilo,

pirazolilo,

oxazolilo,

tiazolilo,

indolizinilo,

indazolilo,

benzotiazolilo,

benzimidazolilo,

benzofuranilo,

furanilo,

tienilo,

pirrolilo,

oxadiazolilo,

tiadiazolilo,

triazolilo,

tetrazolilo,

oxazolopiridinilo,

imidazopoiridinilo,

isotiazolilo,

naftiridinilo,

cinolililo,

carbazolilo,

beta-carbolinilo,

isocromanilo,

cromanilo,

tetrahidroiosquinolinilo,

isoindolinilo,

isobenzotetrahidrofuranilo,

isobenzotetrahidrotienilo

isobenzotienilo,

benzooxazolilo,

piridopiridinilo,

benzotetrahidrofuranilo,

benzotetrahidrotienilo,

purinilo,

benzodioxolilo,

triazinilo,

fenoxazinilo,

fenotiazinilo,

pteridinilo,

benzotiazolilo,

imidazopiridinilo,

imidazotiazolilo,

dihidrobenziisoxazinilo,

benzisoxazinilo,

benzoxazinilo,

dihidrobenzisotiazinilo,

benzopiranilo,

benzotiopiranilo,

coumarinilo,

isocoumarinilo,

cromonilo,

cromanonilo, y

piridinil-N-óxido

tetrahidroquinolinilo

dihidroquinolinilo

dihidroquinolinolino

dihidroisoquinolino

dihidrocoumarinilo

dihidroisocoumarinilo

isoindolinonilo

benzodioxanilo

benzooxazolinonilo

N-óxido de pirrolil,

N-óxido de pirimidil,

N-óxido de piridazinil,

N-óxido de pirazinil,

N-óxido de quinolinilo,

N-óxido de indolilo,

N-óxido de indolinil,

N-óxido de isoquinolil,

N-óxido de quinazolinil,

N-óxido de quinoxalinilo,

N-óxido de ftalazinilo,

N-óxido de imidazolilo,

N-óxido de isoxazolilo,

N-óxido de oxazolilo,

N-óxido de tiazolilo,

N-óxido de indolizinilo,

N-óxido de indazolilo,

N-óxido de benzotiazolilo,

N-óxido de benzimidazolilo,

N-óxido de pirrolilo,

N-óxido de oxadiazolilo,

N-óxido de tiadiazolilo,

N-óxido de triazolilo,

N-óxido de tetrazolilo,

S-óxido de benzotiopiranilo,

S,S-dióxido de benzotiopiranilo,

En donde el grupo $R_{N\text{-heteroarilo}}$ está ligado por cualquier átomo de anillo del grupo pariente $R_{N\text{-heteroarilo}}$ sustituido con hidrógeno tal que el nuevo enlace al grupo $R_{N\text{-heteroarilo}}$ reemplaza el átomo hidrógeno y su enlace, en donde el heteroarilo está opcionalmente sustituido con 1, 2, 3, o 4 de:

(1) alquilo con 1 a 6 átomos de carbono opcionalmente sustituido con 1, 2 o 3 sustituyentes seleccionados a partir del grupo que consiste de alquilo con 1 a 3 carbonos, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, $-CF_3$, alcoxi con 1 a 3 carbonos, $-NR_{1-a}R_{1-b}$ en donde R_{1-a} y R_{1-b} son como se definió anteriormente,

(2) -OH,

(3) $-NO_2$,

(4) -F, -Cl, -Br, o -I,

(5) -CO-OH,

(6) -C≡N,

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(7) $(CH_2)_{0-4}$-CO-$NR_{N-2}R_{N-3}$ en donde R_{N2} y R_{N3} son iguales o diferentes y se seleccionan a partir del grupo que consiste de:

(a) -H,

(b) alquilo con 1 a 6 átomos de carbono opcionalmente sustituidos con un sustituyente seleccionado a partir del grupo que consiste de:

(iii) -OH, y

(iv) NH_2,

(c) alquilo con 1 a 6 átomos de carbono opcionalmente sustituido con uno a 3 de _f, -Cl, -Br, o -I,

(d) -cicloalquilo con 3 a 7 átomos de carbono,

(e) -(alquilo con 1 a 2 átomos de carbono)-(cicloalquilo con 3 a 7 átomos de carbono),

(f) -(alquilo con 1 a 6 átomos de carbono)-O-(alquilo con 1 a 3 átomos de carbono),

(g) alquenilo con 2 a 6 átomos de carbono con uno o dos enlaces dobles,

(h) alquinilo con 2 a 6 átomos de carbono con uno o dos enlaces triples,

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(i) -cadena de alquilo con 1 a 6 átomos de carbono con un enlace doble o un enlace triple,

(j) $-R_{1-arilo}$ en donde $R_{1-arilo}$ es como se definió anteriormente, y

(k) $-R_{1-heteroarilo}$ en donde $R_{1-heteroarilo}$ es como se definió anteriormente,

(8) $-(CH_2)_{0-4}-CO-$(alquilo con 1 a 12 carbonos),

(9) $-(CH_2)_{0-4}-CO-$(alquenilo con 2 a 12 átomos de carbono con 1, 2 o 3 enlaces dobles),

(10) $-(CH_2)_{0-4}-CO-$(alquinilo con 2 a 12 átomos de carbono con 1, 2 o 3 enlaces triples),

(11) $-(CH_2)_{0-4}-CO-$(cicloalquilo con 3 a 7 átomos de carbono),

(12) $-(CH_2)_{0-4}-CO-R_{1-arilo}$ en donde $R_{1-arilo}$ es como se definió anteriormente,

(13) $-(CH_2)_{0-4}-CO-R_{1-heteroarilo}$ en donde $R_{1-heteroarilo}$ es como se definió anteriormente,

(14) $-(CH_2)_{0-4}-CO-R_{1-heterociclo}$ en donde $R_{1-heterociclo}$ es como se definió anteriormente,

(15) $-(CH_2)_{0-4}-CO-R_{N-4}$ en donde R_{N-4} se selecciona a partir del grupo que consiste de morfolinilo, tiomorfolinilo, piperazinilo, piperidinilo,

homomorfolinilo, homotiomorfolinilo, S-óxido homotiomorfolinilo, S,S-dióxido de homotiomorfolinilo, pirrolinilo y pirrolidinilo en donde cada grupo se sustituye opcionalmente con 1, 2, 3 o 4 de: alquilo con 1 a 6 átomos de carbono,

(16) $-(CH_2)_{0-4}-CO-O-R_{N-5}$ en donde R_{N-5} es seleccionado a partir del grupo que consiste de:

(a) alquilo con 1 a 6 átomos de carbono,

(b) $-(CH_2)_{0-2}-(R_{1-arilo})$ en donde R1-arilo es como se definió anteriormente,

(c) alquenilo con 2 a 6 átomos de carbono que contiene 1 o 2 enlaces dobles,

(d) alquinilo con 2 a 6 átomos de carbono que contiene uno o dos enlaces triples,

(e) cicloalquilo con 3 a 7 átomos de carbono, y

(f) $-(CH_2)_{0-2}-(R_{1-heteroarilo})$ en donde $R_{1-\ heteroarilo}$ es como se definió anteriormente,

(17) $-(CH_2)_{0-4}-SO_{2-N}R_{N-2}R_{N-3}$ en donde R_{N-2} y R_{N-3} son como se definió anteriormente,

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(18) $-(CH_2)_{0-4}-SO-$(alquilo con 1 a 8 átomos de carbono),

(19) $-(CH_2)_{0-4}-SO_2-$(alquilo con 1 a 12 átomos de carbono),

(20) $-(CH_2)_{0-4}-SO-$(cicloalquilo con 3 a 7 átomos de carbono),

(21) $-(CH_2)_{0-4}-N(H$ o $R_{N-5})-CO-O-R_{N-5}$ en donde R_{N-5} puede ser igual o diferente como se definió anteriormente,

(22) $-(CH_2)_{0-4}-N(H$ o $R_{N-5})-CO-N(R_{N-5})_2$ en donde R_{N-5} puede ser igual o diferente como se definió anteriormente,

(23) $-(CH_2)_{0-4}-N-CS-N(R_{N-5})_2$ en donde R_{N-5} puede ser igual o diferente como se definió anteriormente,

(24) $-(CH_2)_{0-4}-N(-H$ o $R_{N-5})-CO-R_{N-2}$ en donde R_{N-5} y R_{N-2} pueden ser iguales o diferentes y son como se definió anteriormente,

(25) $-(CH_2)_{0-4}-NR_{N-2}\ R_{N-3}$ en donde R_{N-2} y R_{N-3} pueden ser los mismos o diferentes y son según se definió anteriormente,

(26) $-(CH_2)_{0-4}-R_{N-4}$ en donde R_{N-4} es según se definió anteriormente,

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(27) $-(CH_2)_{0-4}-O-CO-$(alquilo con 1 a 6 átomos de carbono),

(28) $-(CH_2)_{0-4}-OP(O)-(OR_{N-aril-1})_2$ en donde $R_{N-aril-1}$ es -H o alquilo con 1 a 4 átomos de carbono

(29) $-(CH_2)_{0-4}-O-CO-N(R_{N-5})_2$ en donde R_{N-5} es como se definió anteriormente,

(30) $-(CH_2)_{0-4}-O-CS-N(R_{N-5})_2$ en donde R_{N-5} es como se definió anteriormente,

(31) $-(CH_2)_{0-4}-O-(R_{N-5})_2$ en donde R_{N-5} es como se definió anteriormente,

(32) $-(CH_2)_{0-4}-O-(R_{N-5})_2-COOH$ en donde R_{N-5} es como se definió anteriormente,

(33) $-(CH_2)_{0-4}-S-CO-N(R_{N-5})_2$ en donde R_{N-5} es como se definió anteriormente,

(34) $-(CH_2)_{0-4}-O-$(alquilo con 1 a 6 átomos de carbono opcionalmente sustituido con uno, dos, tres, cuatro, o cinco -F),

(35) cicloalquilo con 3 a 7 átomos de carbono,

(36) alquenilo con 2 a 6 átomos de carbono, con uno o dos enlaces dobles opcionalmente sustituido con alquilo con 1 a 3 átomos de carbono, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, $-CF_3$, alcoxi con 1

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a 3 átomos de carbono, o $-NR_{1-a}R_{1-b}$ en donde R_{1-a} y R_{1-b} son como se definió anteriormente,

(37) alquinilo con 2 a 6 átomos de carbono con 1 o 2 enlaces triples opcionalmente sustituido con alquilo con 1 a 3 átomos de carbono, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, $-CF_3$, alcoxi con 1 a 3 carbonos, o $-NR_{1-a}R_{1-b}$ en donde R_{1-a} y R_{1-b} son como se definió anteriormente,

(38) $-(CH_2)_{0-4}-N(-H$ o $R_{N-5})-SO_2-R_{N-2}$ en donde R_{N-5} y R_{N-2} pueden ser iguales o diferentes y son como se definió anteriormente, o

(39) $-(CH_2)_{0-4}$-cicloalquilo con 3 a 7 carbonos,

(C) $R_{N-aril}-W-R_{N-aril}$, en donde R_{N-aril} es como se definió anteriormente,

(E) $R_{N-aril}-W-R_{N-heterociclo}$, en donde R_{N-aril} y $R_{N-heterociclo}$ son según se describieron anteriormente,

(F) $R_{N-heteroaril}-W-R_{N-aril}$, en donde $R_{N-heteroaril}$ y R_{N-aril} son según se describieron anteriormente,

(G) $R_{N-heteroaril}-W-R_{N-heteroaril}$, en donde $R_{N-heteroaril}$ es como se describieron anteriormente,

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(H) $R_{N\text{-heteroaril}}$-W-$R_{N\text{-1-heterociclo}}$, en donde $R_{N\text{-1-heterociclo}}$ es como se definió anteriormente como $R_{1\text{-heterociclo}}$, y donde $R_{N\text{-heteroaril}}$ es según se definió anteriormente,

(I) $R_{N\text{-heterociclo}}$-W-$R_{N\text{-arilo}}$, en donde $R_{N\text{-heterociclo}}$ es según se definió como se definió $R_{1\text{-heterociclo}}$ y donde $R_{N\text{-arilo}}$ es según se definió anteriormente,

(J) $R_{N\text{-heterociclo}}$-W-$R_{N\text{-heteroarilo}}$, en donde $R_{N\text{-heterociclo}}$ es según se definió como se definió $R_{1\text{-heterociclo}}$ y donde $R_{N\text{-heteroarilo}}$ es según se definió anteriormente, y

(K) $R_{N\text{-heterociclo}}$-W-$R_{N\text{-1-heterociclo}}$, en donde $R_{N\text{-heterociclo}}$ y $R_{N\text{-1-heterociclo}}$ son como se definió anteriormente,

Donde W es

(1) $-(CH_2)_{0-4}-$,

(2) -O-,

(3) -S(O)0-2,

(4) $-N(R_{N-5})-$ en donde RN-5 es como se definió anteriormente, o

(5) $-CO-_1$

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(II) -CO-(alquilo con 1 a 10 átomos de carbono) en donde el alquilo es opcionalmente sustituido con uno, dos o tres sustituyentes seleccionados del grupo que consiste de:

(A) -OH,

(B) -alcoxi con 1 a 6 átomos de carbono,

(C) -tioalcoxi con 1 a 6 átomos de carbono,

(D) $-CO-O-R_{N-8}$ en donde R_{N-8} es -H, alquilo con 1 a 6 átomos de carbono o -fenilo,

(E) $-CO-NR_{N-2}R_{N-3}$ en donde R_{N-2} y R_{N-3} son iguales o diferentes y son como se definió anteriormente,

(F) $-CO-R_{N-4}$ en donde R_{N-4} es como se definió anteriormente,

(G) $-SO_2-$(alquilo con 1 a 8 átomos de carbono),

(H) $-SO_2-NR_{N-2}R_{N-3}$ en donde R_{N-2} y R_{N-3} son iguales o diferentes y son como se definió anteriormente,

(I) -NH-CO-(alquilo con 1 a 6 átomos de carbono)

(J) $-NH-CO-O-R_{N-8}$ en donde R_{N-8} es como se definió anteriormente,

(K) $NR_{N-2}R_{N-3}$ en donde R_{N-2} y R_{N-3} son iguales o diferentes y son como se definió anteriormente,

(L) $-R_{N-4}$ en donde R_{N-4} es como se definió anteriormente,

(M) -O-CO-(alquilo con 1 a 6 átomos de carbono)

(N) $-O-CO-NR_{N-8}R_{N-8}$ en donde R_{N-8} son iguales o diferentes y son como se definió anteriormente,

(O) -O-(alquilo con 1 a 5 átomos de carbono)-COOH,

(P) -O-(alquilo con 1 a 6 átomos de carbono opcionalmente sustituido con uno, dos, o tres de: -F, -Cl, -Br, o -I),

(Q) $-NH-SO_2$-(alquilo con 1 a 6 átomos de carbono), y

(R) -F, o Cl

(III) -CO-(alquilo con 1 a 6 átomos de carbono)-O-alquilo con 1 a 6 átomos de carbono) en donde el alquilo es opcionalmente sustituido con uno, dos, o tres sustituyentes seleccionados del grupo que consiste de:

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(A) -OH,

(B) -alcoxi con 1 a 6 átomos de carbono,

(C) -tioalcoxi con 1 a 6 átomos de carbono,

(D) $-CO-O-R_{N-6}$ en donde R_{N-6} es -H, alquilo con 1 a 6 átomos de carbono o -fenilo,

(E) $-CO-NR_{N-2}R_{N-3}$ en donde R_{N-2} y R_{N-3} son iguales o diferentes y son como se definió anteriormente,

(F) $-CO-R_{N-4}$ en donde R_{N-4} es como se definió anteriormente,

(G) $-SO_2-$(alquilo con 1 a 8 átomos de carbono),

(H) $-SO_2-NR_{N-2}R_{N-3}$ en donde R_{N-2} y R_{N-3} son iguales o diferentes y son como se definió anteriormente,

(I) -NH-CO-(alquilo con 1 a 6 átomos de carbono)

(J) $-NH-CO-O-R_{N-8}$ en donde R_{N-8} es como se definió anteriormente,

(K) $NR_{N-2}R_{N-3}$ en donde R_{N-2} y R_{N-3} son iguales o diferentes y son como se definió anteriormente,

(L) $-R_{N-4}$ en donde R_{N-4} es como se definió anteriormente,

(M) -O-CO-(alquilo con 1 a 6 átomos de carbono)

(N) $-O-CO-NR_{N-8}R_{N-8}$ en donde R_{N-8} son iguales o diferentes y son como se definió anteriormente,

(O) -O-(alquilo con 1 a 5 átomos de carbono)-COOH,

(P) -O-(alquilo con 1 a 6 átomos de carbono opcionalmente sustituido con uno, dos, o tres de: -F, -Cl, -Br, o -I),

(Q) $-NH-SO_2-$(alquilo con 1 a 6 átomos de carbono), y

(R) -F, o Cl

(IV) -CO-(alquilo con 1 a 6 átomos de carbono)-S-alquilo con 1 a 6 átomos de carbono) en donde el alquilo es opcionalmente, sustituido con uno, dos, o tres sustituyentes seleccionados del grupo que consiste de:

(A) -OH,

(B) -alcoxi con 1 a 6 átomos de carbono,

Fx 10/2

(C) -tioalcoxi con 1 a 6 átomos de carbono,

(D) $-CO-O-R_{N-8}$ en donde R_{N-8} es -H, alquilo con 1 a 6 átomos de carbono o -fenilo,

(E) $-CO-NR_{N-2}R_{N-3}$ en donde R_{N-2} y R_{N-3} son iguales o diferentes y son como se definió anteriormente,

(F) $-CO-R_{N-4}$ en donde R_{N-4} es como se definió anteriormente,

(G) $-SO_2-$(alquilo con 1 a 8 átomos de carbono),

(H) $-SO_2-NR_{N-2}R_{N-3}$ en donde R_{N-2} y R_{N-3} son iguales o diferentes y son como se definió anteriormente,

(I) -NH-CO-(alquilo con 1 a 6 átomos de carbono)

(J) $-NH-CO-O-R_{N-8}$ en donde R_{N-8} es como se definió anteriormente,

(K) $NR_{N-2}R_{N-3}$ en donde R_{N-2} y R_{N-3} son iguales o diferentes y son como se definió anteriormente,

(L) $-R_{N-4}$ en donde R_{N-4} es como se definió anteriormente,

(M) -O-CO-(alquilo con 1 a 6 átomos de carbono)

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(N) $-O-CO-NR_{N-8}R_{N-8}$ en donde R_{N-8} son iguales o diferentes y son como se definió anteriormente,

(O) -O-(alquilo con 1 a 5 átomos de carbono)-COOH,

(P) -O-(alquilo con 1 a 6 átomos de carbono opcionalmente sustituido con uno, dos, o tres de: -F, -Cl, -Br, o -I),

(Q) $-NH-SO_2-$(alquilo con 1 a 6 átomos de carbono), y

(R) -F, o Cl,

(V) $-CO-CH(-(CH_2)_{0-2}-O-R_{N-10})-(CH_2)_{0-2}-R_{N-aril}/R_{N-heteroaril})$ en donde R_{N-aril} y $R_{N-heteroaril}$ son como se definió anteriormente, en donde R_{N-10} es seleccionado del grupo que consiste de:

(A) -H,

(B) alquilo con 1 a 6 átomos de carbono,

(C) cicloalquilo con 3 a 7 átomos de carbono,

(D) alquenilo con 2 a 6 átomos de carbono con un enlace doble,

(E) alquinilo con 2 a 6 átomos de carbono con un enlace triple,

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(F) $R_{1-arilo}$ en donde $R_{1-arilo}$ es como se definió anteriormente, y

(G) RN-heteroaril en donde RN-heteroaril es como se definió anteriormente, o

(VI) -CO-(cicloalquilo con 3 a 8 átomos de carbono) en donde alquilo es opcionalmente sustituida con uno o dos sustituyentes seleccionados del grupo que consiste de:

(A) $-(CH_2)_{0-4}-OH$,

(B) $-(CH_2)_{0-4}$-alcoxi con 1 a 6 átomos de carbono,

(C) $-(CH_2)_{0-4}$-tioalcoxi con 1 a 6 átomos de carbono

(D) $-(CH_2)_{0-4}-CO-O-R_{N-8}$ en donde R_{N-8} es -H, alquilo con 1 a 6 átomos de carbono o -fenilo,

(E) $-(CH_2)_{0-4}-CO-NR_{N-2}R_{N-3}$ en donde R_{N-2} y R_{N-3} son iguales o diferentes y son como se definió anteriormente,

(F) $-(CH_2)_{0-4}-CO-R_{N-4}$ en donde R_{N-4} es como se definió anteriormente

(G) $-(CH_2)_{0-4}-SO_2-$(alquilo con 1 a 8 átomos de carbono)

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(H) $-(CH_2)_{0-4}-SO_2-NR_{N-2}R_{N-3}$ en donde R_{N-2} y R_{N-3} son iguales o diferentes y son como se definió anteriormente,

(I) $-(CH_2)_{0-4}-NH-CO-$(alquilo con 1 a 6 átomos de carbono),

(J) $-NH-CO-R_{N-8}$ en donde R_{N-8} es como se definió anteriormente

(K) $-(CH_2)_{0-4}-NR_{N-2}R_{N-3}$ en donde R_{N-2} y R_{N-3} son iguales o diferentes y son como se definió anteriormente,

(L) $-(CH_2)_{0-4}-R_{N-4}$ en donde R_{N-4} es como se definió anteriormente,

(M) -O-CO-(alquilo con 1 a 6 átomos de carbono)-

(N) $-O-CO-NR_{N-8}R_{N-8}$ en donde R_{N-8} son iguales o diferentes y son como se definió anteriormente,

(O) -O-CO-(alquilo con 1 a 5 átomos de carbono)-COOH,

(P) -O-(alquilo con 1 a 6 átomos de carbono sustituido con uno, dos, o tres de: -F, -Cl, -Br, o -I),

(Q) $-NH-SO_2-$(alquilo con 1 a 6 átomos de carbono), y

(R) -F, o -Cl,

en donde R_c es:

(I) -alquilo con 1 a 10 átomos de carbono opcionalmente sustituido con uno, dos o tres sustituyentes seleccionados del grupo que consiste de alquilo con 1 a 3 átomos de carbono, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, $-CF_3$, alcoxi con 1 a 6 átomos de carbono, -O-fenil, $-NR_{1-a}R_{1-b}$ en donde R_{1-a} y R_{1-b} son como se definió anteriormente, -OC=O $NR_{1-a}R_{1-b}$ en donde R_{1-a} y R_{1-b} son como se definió anteriormente, $-S(=O)_{0-2}$ R_{1-a} en donde R_{1-a} es como se definió anteriormente, $-NR_{1-a}C=O$ $NR_{1-a}R_{1-b}$ en donde R_{1-a} y R_{1-b} son como se definió anteriormente, -CO= $NR_{1-a}R_{1-b}$ en donde R_{1-a} y R_{1-b} son como se definió anteriormente, y $-S(=O)_2$ $N_{R1-a}R_{1-b}$ en donde R_{1-a} y R_{1-b} son como se definió anteriormente,

(II) $-(CH_2)_{0-3}-$(cicloalquilo con 3 a 8 átomos de carbono) donde el cicloalquilo puede ser opcionalmente sustituido con uno, dos o tres sustituyentes seleccionados del grupo que consiste de alquilo con 1 a 3 átomos de carbono, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, $-CF_3$, alcoxi con 1 a 6 átomos de carbono, -CO-OH, -CO-O-

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(alquilo con 1 a 4 átomos de carbono), y $-NR_{1-a}R_{1-b}$ donde R_{1-a} y R_{1-b} son como se definió anteriormente,

(III) $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-aril}$ donde R_{C-x} y R_{C-y} son

-H,

alquilo con 1 a 4 átomos de carbono opcionalmente sustituido con uno o dos -OH,

alcoxi con 1 a 4 átomos de carbono opcionalmente sustituido con uno, dos, o tres de:

-F,

$-(CH_2)_{0-4}$-cicloalquilo con 3 a 7 átomos de carbono,

alquenilo con 2 a 6 átomos de carbono que contiene uno o dos enlaces dobles,

alquinilo con 2 a 6 átomos de carbono que contiene uno o dos enlaces triples,

fenilo-,

en donde R_{C-x} y R_{C-y} se toman juntos con el carbón al cual ellos están adheridos para formar un carbociclo de tres, cuatro, cinco, seis o siete átomos de carbono, opcionalmente donde un átomo de carbono se reemplaza mediante un heteroátomo seleccionado del grupo que

consiste de -O-, -S-, $-SO_2-$, y $-NR_{N-2}-$ y R_{C-aril} es igual que R_{N-aril};

(IV) $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heteroaril}$ donde $R_{C-heteroaril}$ es lo mismo que RN-heteroaril y R_{C-x} y R_{C-y} son como se definió anteriormente,

(V) $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-arilo}-R_{C-arilo}$ donde $R_{C-arilo}$, $R_{C-arilo}$, R_{C-x} y R_{C-y} son como se definió anteriormente,

(VI) $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-arilo}-R_{C-heteroarilo}$ donde $R_{C-arilo}$, $R_{C-heteroarilo}$, R_{C-x} y R_{C-y} son como se definió anteriormente,

(VII) $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heteroarilo}-R_{C-arilo}$ donde $R_{C-heteroarilo}$, $R_{C-arilo}$, R_{C-x} y R_{C-y} son como se definió anteriormente,

(VIII) $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heteroarilo}-R_{C-heteroarilo}$ donde $R_{C-heteroarilo}$, R_{C-x} y R_{C-y} son como se definió anteriormente,

(IX) $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-arilo}-R_{C-heterociclo}$ donde $R_{C-arilo}$, R_{C-x} y R_{C-y} son como se definió anteriormente, y $R_{C-heterociclo}$ es igual que $R_{N-heterociclo}$,

(X) $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heteroarilo}-R_{C-heterociclo}$ donde $R_{C-heteroarilo}$, $R_{C-heterociclo}$, R_{C-x} y R_{C-y} son como se definió anteriormente,

(XI) $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heterociclo}-R_{C-arilo}$ donde $R_{C-heterociclo}$, $R_{C-arilo}$, R_{C-x} y R_{C-y} son como se definió anteriormente,

(XII) $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heterociclo}-R_{C-heteroarilo}$ donde $R_{C-heterociclo}$, $R_{C-heteroarilo}$, R_{C-x} y R_{C-y} son como se definió anteriormente,

(XIII) $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heterociclo}-R_{C-heterociclo}$ donde $R_{C-heterociclo}$, R_{C-x} y R_{C-y} son como se definió anteriormente,

(XIV) $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heterociclo}$ donde $R_{C-heterociclo}$, R_{C-x} y R_{C-y} son como se definió anteriormente,

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(XV) $-[C(R_{C-1})(R_{C-2})]_{1-3}-CO-N(R_{C-3})_2$ en donde R_{C-1} y R_{C-2} son iguales o diferentes y se seleccionan del grupo que consiste de:

(A) -H,

(B) -alquilo con 1 a 6 átomos de carbono, opcionalmente sustituido con uno, dos o tres sustituyentes seleccionado del grupo que consiste de alquilo con 1 a 3 átomos de carbono, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF_3, alcoxi con 1 a 6 átomos de carbono, -O-, fenil, y $-NR_{1-a}R_{1-b}$ donde R_{1-a} y R_{1-b} son como se definió anteriormente,

(C) -alquenilo con 2 a 6 átomos de carbono con uno o dos enlaces dobles, opcionalmente sustituido con uno, dos o tres sustituyentes seleccionados del grupo que consiste de alquilo con 1 a 3 átomos de carbono, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF_3, alcoxi con 1 a 6 átomos de carbono, -O-, fenil, y $-NR_{1-a}R_{1-b}$ donde R_{1-a} y R_{1-b} son como se definió anteriormente,

(D) -alquinilo con 2 a 6 átomos de carbono con uno o dos enlaces triples, opcionalmente sustituido

con uno, dos o tres sustituyentes seleccionados del grupo que consiste de alquilo con 1 a 3 átomos de carbono, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, $-CF_3$, alcoxi con 1 a 6 átomos de carbono, -O-, fenil, y $-NR_{1-a}R_{1-b}$ donde R_{1-a} y R_{1-b} son como se definió anteriormente

(E) $-(CH_2)_{1-2}-S(O)_{0-2}-$(alquilo con 1 a 6 átomos de carbono),

(F) $-(CH_2)_{0-4}-$cicloalquilo con 3 a 7 átomos de carbono, opcionalmente sustituido con uno, dos o tres sustituyentes seleccionados del grupo que consiste de alquilo con 1 a 3 átomos de carbono, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, $-CF_3$, alcoxi con 1 a 6 átomos de carbono, -O-, fenil, y $-NR_{1-a}R_{1-b}$ donde R_{1-a} y R_{1-b} son como se definió anteriormente,

(G) -(alquilo con 1 a 4 átomos de carbono)-$R_{C'-arilo}$ en donde $R_{C'-arilo}$ es como se definió para $R_{1-arilo}$,

(H) -(alquilo con 1 a 4 átomos de carbono)-$R_{C-heteroarilo}$ en donde $R_{C-heteroaril}$ es como se definió anteriormente,

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(I) -(alquilo con 1 a 4 átomos de carbono)-$R_{C-heterociclo}$ donde $R_{C-heterociclo}$ es como se definió anteriormente,

(J) -$R_{C-heteroaril}$ en donde $R_{C-heteroaril}$ es como se definió anteriormente,

(K) -$R_{C-heterociclo}$ en donde $R_{C-heterociclo}$ es como se definió anteriormente,

(M) $-(CH_2)_{1-4}-R_{C-4}-(CH_2)_{0-4}-R_{C'-aril}$ donde R_{C-4} es -O-, -S- o $-NR_{C-5}-$ donde R_{C-5} es alquilo con 1 a 6 átomos de carbono, y donde $R_{C'-arilo}$ es como se definió anteriormente,

(N) $-(CH_2)_{1-4}-R_{C-4}-(CH_2)_{0-4}-R_{C-heteroaril}$ en donde R_{C-4} y $R_{C-heteroaril}$ son como se definió anteriormente, y

(O) -Rc'arilo donde RC'ariloo es como se definió anteriormente, y donde RC-3 es igual o diferente y es:

(A) -H,

(B) -alquilo con 1 a 6 átomos de carbono, opcionalmente sustituido con uno, dos o tres sustituyentes seleccionados del grupo que consiste de alquilo con 1 a 3 átomos de

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carbono, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF_3, alcoxi con 1 a 6 átomos de carbono, -O-, fenil, y $-NR_{1-a}R_{1-b}$ donde R_{1-a} y R_{1-b} son como se definió anteriormente,

(C) -alquenilo con 2 a 6 átomos de carbono con uno o dos enlaces dobles, opcionalmente sustituido con uno, dos o tres sustituyentes seleccionados del grupo que consiste de alquilo con 1 a 3 átomos de carbono, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF_3, alcoxi con 1 a 6 átomos de carbono, -O-, fenil, y $-NR_{1-a}R_{1-b}$ donde R_{1-a} y R_{1-b} son como se definió anteriormente,

(D) -alquinilo con 2 a 6 átomos de carbono con uno o dos enlaces triples, opcionalmente sustituido con uno, dos o tres sustituyentes seleccionados del grupo que consiste de alquilo con 1 a 3 átomos de carbono, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF_3, alcoxi con 1 a 6 átomos de carbono, -O-, fenil, y $-NR_{1-a}R_{1-b}$ donde R_{1-a} y R_{1-b} son como se definió anteriormente

(E) $-(CH_2)_{0-4}$-cicloalquilo con 3 a 7 átomos de carbono, opcionalmente sustituido con uno, dos o tres sustituyentes seleccionados del grupo

que consiste de alquilo con 1 a 3 átomos de carbono, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF_3, alcoxi con 1 a 6 átomos de carbono, -O-, fenil, y $-NR_{1-a}R_{1-b}$ donde R_{1-a} y R_{1-b} son como se definió anteriormente,

(F) $-R_{C'-arilo}$ donde $R_{C'-arilo}$ es como se definió anteriormente,

(G) $-R_{C-heteroarilo}$ donde $R_{C-heteroarilo}$ es como se definió anteriormente,

(H) $-R_{C-heterociclo}$ donde $R_{C-heterociclo}$ es como se definió anteriormente,

(I) -(alquilo con 1 a 4 átomos de carbono)-$R_{C'-arilo}$ donde $R_{C'-arilo}$ es como se definió anteriormente,

(J) -(alquilo con 1 a 4 átomos de carbono)-$R_{C-heteroaril}$ en donde $R_{C-heteroaril}$ es como se definió anteriormente, o

(K) -(alquilo con 1 a 4 átomos de carbono)-$R_{C-heterociclo}$ en donde $R_{C-heterociclo}$ es como se definió anteriormente,

(XVI) $-CH(R_{C-arilo})_2$ en donde $R_{C-arilo}$ son iguales o diferentes y son como se definió anteriormente,

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(XVII) $-CH(R_{C-heteroarilo})_2$ en donde $R_{C-heteroarilo}$ son iguales o diferentes y son como se definió anteriormente,

(XVIII) $-CH(R_{C-arilo})(R_{C-heteroarilo})_2$ en donde $R_{C-arilo}$ y $R_{C-heteroarilo}$ son como se definió anteriormente,

(XIX) anillo -ciclopentil, -ciclohexil, o -cicloheptil fusionado a $R_{C-arilo}$ o $R_{C-heteroarilo}$ o $R_{C-heterociclo}$ en donde $R_{C-arilo}$ o $R_{C-heteroarilo}$ o $R_{C-heterociclo}$ son como se definió anteriormente donde un carbón del ciclopentil, ciclohexil, o -cicloheptil está opcionalmente reemplazado con NH, N_{R-5}, O, o $S(=O)_{0-2}$, y donde el ciclopentil, ciclohexil, o -cicloheptil pueden ser opcionalmente sustituidos con uno o dos -alquilo con 1 a 3 átomos de carbono, -F, -OH-, -SH, -C≡N, $-CF_3$, alcoxi con 1 a 6 átomos de carbono, =O, o $-NR_{1-a}R_{1-b}$ donde R_{1-a} y R_{1-b} son como se definió anteriormente,

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(XX) alquenilo con 2 a 10 átomos de carbono que contienen uno o dos enlaces dobles opcionalmente sustituidos con uno, dos o tres sustituyentes seleccionados del grupo que consiste de alquilo con 1 a 3 átomos de carbono, -F, -Cl, -Br, -I, -OH-, -SH, -C≡N, -CF_3, alcoxi con 1 a 6 átomos de carbono, -O-fenil, y $-NR_{1-a}R_{1-b}$ donde R_{1-a} y R_{1-b} son como se definió anteriormente,

(XXI) alquinilo con 2 a 10 átomos de carbono que contiene uno o dos enlaces triples opcionalmente sustituidos con uno, dos o tres sustituyentes seleccionados del grupo que consiste de alquilo con 1 a 3 átomos de carbono, -F, -Cl, -Br, -I, -OH-, -SH, -C≡N, -CF_3, alcoxi con 1 a 6 átomos de carbono, -O-fenil, y $-NR_{1-a}R_{1-b}$ donde R_{1-a} y R_{1-b} son como se definió anteriormente,

(XXI) $-(CH_2)_{0-1}-CHR_{C-6}(CH_2)_{0-1}-R_{C-aril}$ en donde $R_{C-arilo}$ es como se definió anteriormente y R_{C-6} es como

se definió anteriormente y R_{C-6} es $-(CH_2)_{0-6}-OH$,

(XXII) $-(CH_2)_{0-1}-CHR_{C-6}(CH_2)_{0-1}-R_{C-heteroarilo}$ en donde $R_{C-heteroarilo}$ y R_{C-6} es como se definió anteriormente y R_{C-6} es $-(CH_2)_{0-6}-OH$,

(XXIII) $-CH(-R_{C-arilo}$ o $R_{C-heteroarilo})-CO-O$(alquilo con 1 a 4 átomos de carbono) en donde $R_{C-arilo}$ y $R_{C-heteroarilo}$ son como se definió anteriormente,

(XXIV) $-CH(-CH_2-OH)-CH(-OH)-$fenil$-NO_2$,

(XXV) (alquilo con 1 a 6 átomos de carbono)-O-(alquilo con 1 a 6 átomos de carbono)-OH,

(XXVII) $-CH_2-NH-CH_2-CH(-O-CH_2-CH_3)_2$,

(XXVIII) -H, o

(XXIX) $-(CH_2)_{0-6}-C(=NR_{1-a})(NR_{1-a}R_{1-b})$ en donde R_{1-a} y R_{1-b} son como se describió anteriormente;

o una sal farmacéuticamente aceptable de estos.

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2. Una amina sustituida de la fórmula (X) de acuerdo con la reivindicación 1

donde R_1 es:

$-(CH_2)_{0-1}-(R_{1-aril})$

$-(CH_2)_{n-1}-(R_{1-heteroaril})$

en donde R_N es:

$R_{N-1}-X_N-$ donde X_N se selecciona del grupo que consiste de:

$-CO-$, y

$-SO_2-$,

donde R_{N-1} se selecciona del grupo que consiste de:

$-R_{N-arilo}$, y

$-R_{N-heteroarilo}$, o

$-CO-CH(-(CH_2)_{0-2}-O-R_{N-10})-(CH_2)_{0-2}-R_{N-aril}/R_{N-heteroarilo})$;

donde R_C es:

-alquilo con 1 a 8 átomos de carbono

$-(CH_2)_{0-3}-$(cicloalquilo con 3 a 7 átomos de carbono),

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-arilo}$,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heteroarilo}$,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heterociclo}$, o

anillo -cilopentil o ciclohexil fusionado a $R_{C-arilo}$ o $R_{C-heteroarilo}$ o $R_{C-heterociclo}$.

3. Una amina sustituida de la fórmula (X) de acuerdo con la reivindicación 2

donde R_1 es:

$-(CH_2)-(R_{1-arilo})$, o

$-(CH_2)-(R_{1-heteroarilo})$;

donde R_2 es -H;

donde R_3 es -H;

donde R_N es:

$R_{N-1}-X_N-$ donde X_N es:

-CO-,

donde R_{N-1} se selecciona del grupo que consiste de:

$-R_{N-arilo}$,

$-R_{N-heteroarilo}$,

donde R_C es:

$-(CH_2)_{0-3}-$(cicloalquilo con 3 a 7 átomos de carbono),

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-arilo}$,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heteroarilo}$,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heterociclo}$, o

anillo -cilopentil o ciclohexil fusionado a $R_{C-arilo}$ o $R_{C-heteroarilo}$ o $R_{C-heterociclo}$.

4. Una amina sustituida de fórmula (X) de acuerdo con la reivindicación 3 en donde R_C es:

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-arilo}$,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heteroarilo}$,

anillo -cilopentil o -ciclohexil fusionado a $R_{C-arilo}$ o $R_{C-heteroarilo}$ o $R_{C-heterociclo}$.

5. Una amina sustituida de la fórmula (X) de acuerdo con la reivindicación 1 en donde R_1 es $-(CH_2)-(R_{1-aril})$ donde R_{1-aril} es fenilo.

6. Una amina sustituida de la fórmula (X) de acuerdo con la reivindicación 1 en donde R_1 es $-(CH_2)-(R_{1-aril})$ donde R_{1-aril} es fenilo sustituido con dos -F.

7. Una amina sustituida de la fórmula (X) de acuerdo con la reivindicación 6 en donde sustitución -F es 3,5-difluorobenzil.

8. Una amina sustituida de la fórmula (X) de acuerdo con la reivindicación 1 donde R_2 es -H.

9. Una amina sustituida de la fórmula (X) de acuerdo con la reivindicación 1 donde R_3 es -H.

10. Una amina sustituida de la fórmula (X) de acuerdo con la reivindicación 1 donde R_N es -H.

$R_{N-1}-X_N-$ donde X_N es -CO-, donde R_{N-1} es $R_{N-arilo}$ donde $R_{N-arilo}$ es fenilo sustituido con un $-CO-NR_{N-2}R_{N-3}$ donde la sustitución sobre fenilo es 1,3-.

11. Una amina sustituida de la fórmula (X) de acuerdo con la reivindicación 10 donde R_{N-2} y R_{N-3} son iguales y son alquilo con 3 átomos de carbono.

12. Una amina sustituida de la fórmula (X) de acuerdo con la reivindicación 1 donde R_N es

R_{N-1}-X_N- donde X_N es -CO-, donde R_{N-1} es $R_{N-arilo}$ donde $R_{N-arilo}$ es fenilo sustituido con un alquilo con 1 átomos de carbono y con un -CO-$NR_{N-2}R_{N-3}$ donde la sustitución sobre fenilo es 1,3,5-.

13. Una amina sustituida de la fórmula (X) de cuerdo con la reivindicación 12 en donde R_{N-2} y R_{N-3} son iguales y son alquilo con 3 átomos de carbono.

14. Una amina sustituida de la fórmula (X) de cuerdo con la reivindicación 1 donde R_N es

R_{N-1}-X_N- donde X_N es -CO-, donde R_{N-1} es $R_{N-heteroaril}$ donde $R_{N-heteroaril}$ es sustituido con un -CO-$NR_{N-2}R_{N-3}$.

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15. una amina sustiotuida de la fórmula (X) de acuerdo con la reivindicación 14 en donde R_{N-2} y R_{N-3} son iguales y son alquilo con 3 átomos de carbono.

16. Una amina sustituida de fórmula (X) de acuerdo con la reivindicación 1 donde R_C es:

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-aril}$ donde R_{C-aril} es fenilo,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heteroaril}$,

anillo -ciclopentil o -ciclohexil fusionado a un $R_{C-arilo}$ o $R_{C-heteroarilo}$ o $R_{C-heterociclo}$.

17. Una amina sustituida de fórmula (X) de acuerdo con la reivindicación 16 donde R_C es:

$-(CR_{C-x}R_{C-y})-R_{C-arilo}$ donde $R_{C-arilo}$ es fenilo.

18. Una amina sustituida de fórmula (X) de acuerdo con la reivindicación 17 donde el fenilo es sustituido en la posición 3 o posiciones 3,5.

19. Una amina sustituida de fórmula (X) de acuerdo con la reivindicación 16 donde R_C es:

$-(CH_2)-R_{C-heteroarilo}$.

20. Una amina sustituida de fórmula (X) de acuerdo con la reivindicación 16 donde R_C es:

$-(CH_2)-R_{C-heterociclo}$.

21. Una amina sustituida de fórmula (X) de acuerdo con la reivindicación 16 donde R_C es:

anillo -ciclohexilfusionado a un anillo fenilo.

22. Una amina sustituida de fórmula (X) de acuerdo con la reivindicación 1 donde la sal farmacéuticamente aceptable se selecciona del grupo que consiste de sales de los siguientes ácidos acético, aspártico, bencenosulfónico, benzoico, bicarbónico, bisulfúrico, bitartárico, butírico, edetato de calcio, camsílico, carbónico, clorobenzoico, cítrico, edético, edisílico, estólico, esilo, esílico, fórmico, fumárico, glucéptico, glucónico, gultámico, glicolilarsanílico, hexámico, hexilresorcioico, hidrabrámico, hidrobrómico, hidroclórico,

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hidroyódico, hidronaftoico, isetiónico, láctico, lactobiónico, maléico, málico, malónico, mandélico, metanosulfónico, metilnítrico, metilsulfúrico, mucico, mucónico, napsílico, nítrico, oxálico, p-nitrometanosulfónico, pamoico, pantotenico, fosfórico, fosfórico monohidrógeno, fosfórico dihidrógeno, ftálico, poligalacturónico, propiónico, salicílico, esteárico, succínico, sulfámico, sulfanílico, sulfónico, sulfúrico, tánico, tartárico, teólico y toluenosulfónico.

23. Una amina sustituda de la fórmula (X) de acuerdo con la reivindicación 1 la cual se selecciona del grupo que consiste de:

N_1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil]-5-metil-N3,N3-dipropilisoftalamida,

N_1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(2-furilmetil)amino]-2-hidroxipropil}-5-metil-N^3,N^3-dipropilisoftalamida,

N_1-[(1S,2R)-1-benzil-3-(etilamino)-2-hidroxipropil]--N^3,N^3-dipropilisoftalamida,

N_1-[(1S,2R)-1-benzil-3-(benzilamino)-2-hidroxipropil]-N^3,N^3-dipropilisoftalamida,

N_1-[(1S,2R)-1-benzil-2-hidroxi-3-(isopropilamino)propil]-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-1-benzil-2-hidroxi-3-(4-toluidino)propil]-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-benzil-2-hidroxi-3-{[2-(4-metoxifenil)etil]amino}propil)-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropilisoftalaniida,

etil{[(3S)-3-({3-[(dipropilamino)carbonil]benzoil}amino)-2-hidroxi-4-fenilbutil]amino}(fenil)acetato,

N^1-((1S)-1-benzil-2-hidroxi-3-{[(1S)-2-hidroxi-1-(hidroximetil)-2-(4-nitrofenil)etil]amino}propil)-N^3,N^3-

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dipropilisoftalamida,

N^1-{(1s,2R)-1-benzil-3-[(2-clorobenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida,

N^1 -{(is,2R)-1-benzil-3-[(4-clorobenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-benzil-2-hidroxi-3-{[2-(2-hidroxietoxi)etil]amino}propil)-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-1-benzil-3-(2,3-dihidro-1H-inden-1-ilamino)-2-hidroxipropil]-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(2-hidroxipropil)amino]propil}-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-bezil-2-hidroxi-3-[(tetrahidro-2-furanilmetil)amino]propil}-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-3-[(2,2-dietoxietil)amino]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-1-benzil-3-(butilamino)-2-hidroxipropil]-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-1-benzil-3-(ciclohexilamino)-2-hidroxipropil]-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(2-piridinilmetil)amino]propil}-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-3-[(2-aminobenzil)amino]-1-benzil-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-piridinilmetil)amino]propil}-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-benzil-2-hidroxi-3-{[2-(1-pirrolidinil)etil]amino}propil)-N^3,N^3-dipropilisoftalamida,

N^1{(1S,2R)-1-benzil-2-hidroxi-3-[(2-hidroxi-2-feniletil)amino]propil}-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-3-[(3-butoxipropil)amino]-2-

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hidroxipropil}-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-isopropoxipropil)amino]propil}-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-1benzil-2-hidroxi-3-(isopentilamino)propil]-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-fenilpropil)amino]propil}-N^3,N^3-dipropilisoftalamida,

N^1{(1S,2R)-1-benzil-2-hidroxi-3-[(2-metoxietil)amino]propil}-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(2-fenoxietil)amino]propil}-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(2-propoxietil)amino]propil}-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-3-[(3,3-dimetilbutil)-amino]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(4-

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fenilbutil)amino]propil}-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-yodobenzil)amino]propil}-N^3,N^3-dipropilisoftalamida,

N^1-{(1S)-1-benzil-2-hidroxi-3-[(4-nitrobenzil)amino]propil}-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-benzil-3-[(3-clorobenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-benzil-3-{[2-(-clorofenil)etil]amino}-2-hidroxipropil)-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-benzil-2-hidroxi-3-{[2-(2-piridinil)etil]amino}propil)-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(4-piridinilmetil)amino]propil}-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-benzil-2-hidroxi-3-{[2-(1-metil-2-pirrolidinil)etil]amino}propil)-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-3-[(2,3-dimetilbenzil)amino]-2-hidroxipropil}-N^3,N^3-di-propilisoftalamida,

N^1-((1S,2R)-1-benzil-2-hidroxi-3-{[2-(trifluorometoxi)benzil]amino}propil)-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-3-[(2-cloro-6-fenoxibenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-benzil-2-hidroxi-3-{[4-(trifluorometil)benzil]amino}propil)-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-3-[(2,3-diclorobenzil)aimno]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-3-[(3,5-diclorobenzil)amino]-2-hidroxipropil}-N^3,N^3-ipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-3-[(3,5-difluorobenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida,

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N^1-((1S,2R)-1-benzil-2-hidroxi-3-{[4-(trifluorometoxi)benzil]amino}propil)-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-({2-[4-(aminosulfonil)fenil]etil}amino)-1-benzil-2-hidroxipropil]-N^3,N^3-dipropilisoftalamida-

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(-metoxibenzil)amino]propil}-N^3,N^3-propilisoftalamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(-metilbenzil)amino]propil}-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3,4,5-trimetoxibenzil)amino]propil}-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-benzil-2-hidroxi-3-{[3-(trifluorometoxi)benzil]amino}propil)-N^3,N^3-dipropilisoftalamida,

N^1{(1S,2R)-1-benzil-3-[(3,5-dinietoxibenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R-1-benzil-3-[(2,4-dimetoxibenzil)amino]-2-hidroxipropil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-3-[([1,1'-bifenil]-3-ilmetil)amino]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida,

N_1-{(1S,2R)-1-benzil-3-[(3,4-diclorobenzil)amino]-2-hidroxipropil}-N^3,N^3-diptopilisoftalainide,

N_1-{(1S,2R)-1-benzil-3-[(2-fluorobenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-benzil-2-hidroxi-3-{[3-(trifluorometil)benzil]amino}propil)-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(2-metilbenzil)amino]propil}-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-benzil-2-hidroxi-3-{[(1R)-1-feniletil]amino}propil)-N^3,N^3-dipropilisoftalamida,

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N^1-((1S,2R)-1-benzil-2-hidroxi-3-{[(1S)-1-feniletil]amino}propil)-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-benzil-3-{[3,5-bis(trifluorometil)benzil]amino}-2-hidroxipropil)-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-benzil-2-hidroxi-3-{[2-(trifluorometil)benzil]amino}propil)-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-benzil-2-hidroxi-3-{-(1S)-1-(1-naftil)etil]amino}propil)-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-benzil-2-hidroxi-3-{[(1R)-1(1-naftil)etil]amino}propil)-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(4-hidroxi-3-metoxibenzil)amino]propil}-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-3-[(3,4-dihidroxibenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida,

N^1-{(1S)-1-benzil-2-hidroxi-3-[(3-metoxipropil)amino]propil}-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-benzil-2-hidroxi-3-{[(1S-2-hidroxi-1-metiletilamino}propil)-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R-1-benzil-2-hidroxi-3-{[(1R)-2-hidroxi-1-metiletil]amino}propil)-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-1-benzil-2-hidroxi-3-(2-propinil)amino]propil]-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)1-benzil-3-{[2-(2-fluorofenil)etil]amino}-2-hidroxipropil)-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)1-benzil-3-{[2-(3-fluorofenil)etil]amino}-2-hidroxipropil)-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-benzil-3-{[2-(4-fluorofenil)etil]amino}-2-hidroxipropil)-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-benzil-3-{[2-(-bromofenil)etil]amino}-2-hidroxipropil)-N^3,N^3-dipropilisoftalamida,

N^1-((1S)-1-benzil-2-hidroxi-3-{[2-(3-metoxifenil)etil]amino}propil)-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-benzil-3-{[2-(2,4-diclorofenil)etil]amino}-2-hidroxipropil)-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-benzil-3-{[2-(3-clorofenil)etil]amino}-2-hidroxipropil)-N^3,N^3-dipropilisoftalamida,

N^1-((1S)-1-benzil-3-{[2-(2,S-dimetoxifenil)etil]amino}-2-hidroxipropil)-N^3,N^{3-}dipropilisoftalamida,

N^1-((1S,2R)-1-benzil-2-hidroxi-3-{[2-(4-metilfenil)etil]amino}propil)-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-benzil-3-{[(1R)-1-benzil-2-hidroxietil]amino}-2-hidroxipropil)-N^3,N^3-

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dipropilisoftalamida,

N^1-((1S,2R)-1-benzil-2-hidroxi-3 {[3-(4-morfolinil-propil]amino}propil)-N^3,N^3-dipropilisoftalamida,

N-[(1S-2R)-1-benzil-2-hidroxi-3-(isobutilamino)propil]-N^3-N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-benzil-2-hidroxi-3-{[2-(4-morfolinil)etil]amino}propil)-N^3,N^3-dipropilisoftalmida,

N^1-{(1 S,2R-1-benzil-2-hidroxi-3-[(2-hidroxibutil)amino]propil}-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-benzil-2-hidroxi-3{[2-(2-tienil)etil]amino}propil)-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-benzil-2-hidroxi-3-[(-hidroxibutil)amino]propil}-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R-1-benzil-2-hidroxi-3-{[(1S)-2-hidroxi-1-feniletil]amino}propil)-N^3,N^3-dipropilisoftalamida-

N^1-{(1S,2R)-1-benzil-3-[(2,4-diclorobenzil)amino]-

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2-hidroxipropil}-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-benzil-2-hidroxi-3-{[(1R)-2-hidroxi-1-feniletil]amino}propil)-N^3,N^3-dipropilisoftalamida,

N^1{(1S,2R)-1-benzil-3-[(4-tett-butilbenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(1-feniletil)amino]propil)-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-benzil-2-hidroxi-3{[(1R,2S)-2-hidroxi-2,3-dihidro-1H-inden-1-il]amino}propil)-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-3-[(3,4-dimetilbenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[2-(isobutilamino)-1-metil-2-oxoetil]amino}propil)-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(1S)-2-(isobutilamino)-1-metil-2-oxoetil]amino}propil-

N^3,N^{3-} dipropilisoftalamida,

N^3-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(1S)-2-(isobutilamino)-1-metil-2-oxoetil]amino}propil-N^5,N^{5-}dipropil-3,5-piridinodicarboxamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[2-(isobutilamino)-1,1-dimetil-2-oxoetil]amino)propil)-5-metil-N^3,N^{3-}dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil-2-hidroxi-3-((2-(isobutilamino)-2-oxoetil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-({(1S)-1-[(isobutilamino)carbonil]propil}amino)propil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-({(1R)-1-[(isobutilamino)propil}amino)propil]-5-metil-N^3,N^3-dipropilisoftalainide,

N^1-[(1S,2R)-3-(benzilamino)1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

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N^1-[(1S,2R)-1-(3,5-difluorometil)-3-(etilamino)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamjde,

N^1-[(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-(isobutilamino)propil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[3-(isobutilamino)-2-metil-3-oxopropil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[-(dimetilamino)benzil]amino}-2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-{[(1 8)-1-benzil-2-(isobutilamino)-2-oxoetil]amino}-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-({(1S)-1-[(isobutilamino)carbonil]-2-metilpropil}amino)propil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[2-(dimetilamino)etil]amino}-2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-fluorobenzil)-2-hidroxi-3-[(3-piridinilmetil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-{[(1S)-1-[(benziloxi)metil]-2-(isobutilamino)-2-oxoetil]amino}-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(1-metil-1-feniletil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-({(1R)-1-[(isobutilamino)carbonil]-2-metilpropil}amino)propil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-({(1S)-1-[(isobutilamino)carbonil]butil}aminopropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil-2-hidroxi-3-{[(1S)-1-(hidroximetil)-2-(isobutilamino)-2-oxoetil]amino}propil-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil-2-hidroxi-3-[(2-feniletil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-([(1S)-2-(benzilamino)-1-metil-2-oxoetil]amino}-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-meti-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(1S)-1-fenilpropil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[(1S)-2-(etilamino)-1-metil-2-oxoetil]amino}-2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(1S)-2-(isobutilamino)-2-oxo-1-feniletil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

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N^1-[(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-(isopentilamino)propil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-(ciclohexilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-(butilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxipropil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(2-hidroxi-2-feniletil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[(3R,5S)-3,5-dimetoxiciclohexil]amino}-2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida,

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dimetil (1R,3S)-5-{[(2R,3S)-4-(3,5-difluorofenil)-3-({3-[(dipropilamino)carbonil]-5-metilbenzoil}amino)-2-hidroxibutil]amino}-1,3-ciclohexanodicarboxilato,

ácido (1R,3S)-5-{[(2R,3S)-4-(3,5-difluorofenil)-3-({3-[(dipropilamino)carbonil]-5-metilbenzoil}amino-2-hidroxibutil]amino}-1,3-ciclohexanodicarboxilico,

N^1-((1S,2R)-1-(3,5-difluorobenil-2-hidroxi-3-{[(1R)-1-fenilpropil]amino}propil{5-metil-N^3,N^3-dipropilisoftalaiiiide,

N^1-[(1S,2R)-3-[(3-clorobenzil)amino]-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N-{(1S,2R)-1-(3,5-diuorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3-[(2-propilpentil)sulfonil]benzamida,

N^1-[(1S,2R)-3-[([1,1'-bifenil]-3-ilmetil)amino]-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

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N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metilbenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(2-fenilpropil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(1,3-tiazol-5-ilmetil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(2-tienilmetil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil-2-hidroxi-3-[(5-metoxi-1,2,3,4-tetrahidro-1-naftalenil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

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N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(2-pirazinilmetil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-[(3,5-difluorobenzil)amino]-2-hidroxipropil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-3-[(1,3-benzodioxol-5-ilmetil)amino]-1-benzil-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobennil)-3-[(3,5-dimetoxibenzil)amino]-2-hidroxipropil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil-2-hidroxi-3-{[3-(ftrifluorometil)benzil]amino}propil-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-3,5-difluorobenzil)-2-hidroxi-3-[(7-metoxi-1,2,3,4-tetrahidro-1-naftalenil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[3-

(trifluorometoxi)benzil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-fluorobenzil)amino]-2-hidroxipropil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-isopropoxibennil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-[(3-bromobenzil)amino]-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(5-metil-2-fenil)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(5-metoxi-1,2,3,4-tetrahidro-1-naftalenil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(5-

metoxi-1,2,3,4-tetrahidro-1-naftalenil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-(1,2,3,4-tetrahidro-1-naftalenilamino)propil]-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-(benzilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metoxi-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-(benzilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil]-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-(benzilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-cloro-N^3,N^3-dipropilisoftalamida,

N^3-[(1S,2R)-3-(benzilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil]-N^5,N^5-dipropil-3,5-piridinodicarboxamida,

N^1-(1S,2R)-3-(benzilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-fluoro,-N^3,N^3-dipropilisoftalamida,

N^2-[(1S,2R)-3-(benzilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil]-N^5,N^5-dipropil-2,5-tiofenedicarboxamida,

N^4-[(1S,2R)-3-(benzilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil]-N^2,N^2-dipropil-2,4-piridinodicarboxamida,

N^4-[(1S,2R)-3-(benzilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil]-N^6,N^6-dipropil-4,6-pirimidinodicarboxamida,

N-[(1S,2R)-3-(benzilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil]-3-(4-morfolinilcarbonil)benzamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metilbenzil)amino]propil}-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^5,N^5-dipropilpentanodiamida,

N^1-[(1S,2R)-3-{[(1R)-1-[(benziloxi)metil]-2-(isobutilamino)-2-oxoetil]amino}-1-(3,5-difluorobenzil{2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(1R)-1-(hidroximetil)-2-(isobutilamino-2-oxoetil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

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N^1-[(1S,2R)-1-benzil-2-hidroxi-3-(pentilamino)propil]-N^3,N^3-dipropilisoftalamida,-

N^1-[(1S)-3-({2-[4-(aminosulfonil)fenil]etil}amino)-1-b-nril-2-hidroxipropil]-N^3,N^3-dipropilisoftalamida-

N^3-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(1,3-tiazol-5-ilmetil)amino]propil}-N^5,N^5-dipropil-3,5-piridinodicarboxamida,

3-benzoil-N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}benzamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}[1,1'-bifenil]-3-carboxamida,

N^1-[(1S,2R)-3-(benzilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil]-N^3-(2-metoxietil)-N^3-propilisoftalamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3-etoxibenzamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-

metoxibenzil)amino]propil}-2-naftamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(1R)-1,2,3,4-tetrahidro-1-naftalenilamino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1R)-3-{[3,5-bis(trifluorometil)benzil]amino}-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-benzil-3-{[2-fluor-5-(trifluorometil)benzil]amino}-2-hidroxipropil)-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-3-[(2,3-difluorobenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-benzil-3-{[3-fluoro-4-(trifluorometil)benzil]amino}-2-hidroxipropil)-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-3-[(2,5-difluorobenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida,

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N^1-((1S,2R)-1-benzil-3-{[3-fluoro-5-(trifluorometil)benzil]amino}-2-hidroxipropil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-3-[(3,4-difluorobenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-benzil-3-{[4-fluoro-3-(trifluorometil)benzil]amino}-2-hidroxipropil)-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-benzil-3-{[2-cloro-5-(trifluorometil)benzil]amino}-2-hidroxipropil)-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-benzil-3-{[4-cloro-3-(trifluorometil)benzil]amino}-2-hidroxipropil)-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-1-benzil-3-(2,3-dihidro-1H-inden-2-ilamino)-2-hidroxipropil]-N^3,N^3-dipropilisoftalamida

N^1-{(1S)-1-benzil-2-[(nitrobenzil)amino]propil}-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-1-benzil-3-{[3-(difluorometoxi)benzil]amino}-2-hidroxipropil]-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-benzil-3[(etoxibenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-1-benzil-2-hidroxi-3-{[5-metil-2-pirazinil)metil]amino}propil)-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-(difluorobenzil)-3-[(3,5-dimetilbenzil)amino]-2-hidroxipropil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etoxibenzil)amino]-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-(difluorobenzil)-2-hidroxi-3-[(2-fenoxietil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-

isobutoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-(difluorobenzil)-3-[(3,5-dimetilbenzil)amino]-2-hidroxipropil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etoxibenzil)amino]-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-(difluorobenzil)-3-[(3,5-dimetilbenzil)amino]-2-hidroxipropil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etoxibenzil)amino]-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(4-metil-1,3-tiazol-2-il)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-(benzilamino)-1-[(3,5-

difluorobenzil)-2-hidroxipropil]-N^3-metil-N^3-dipropilisoftalamida

N^2-[(1S,2R)-3-(benzilamino)-1-[(3,5-difluorobenzil)-2-hidroxipropil]-N^5,N^5-dipropil-2,5-furandicarboxamida,

N^3-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[3-(trifluorometil)benzil]amino}propil)-N^5,N^5-dipropil-3,5-piridinadicarboxamida

N^3-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(1-metil-1-feniletil)amino]propil}-N^5,N^5-dipropil-3,5-piridinadicarboxamida

N^1-[(1S,2R)-3-amino-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(difeniletil)amino]-2-hidroxipropil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(7-metoxi-1,2,3,4-tetrahidro-1-naftalenil)amino]propil}-5-

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metil-N^3, N^3-dipropilisoftalamida,

Isómero A

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(7-metoxi-1,2,3,4-tetrahidro-1-naftalenil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

Isómero B

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3-(dimetilamino)benzamida,

N-[(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil]-2-metil-1H-benzamidasol-5-carboxamida,

3-(aminosulfonil)-N-{(1S)}-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-4-clorobenzamida,

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3-cianobenzamida,

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-4-cloro-3-nitrobenzamida,

Metil 3-[({(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}carbonil]-5-nitrobenzoato,

Tert-butil 3-[({(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}carbonil]fenilcarbamato,

N-[(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil]-9,10-dihidro-2-antracenilcarboxamida,

N-[(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil]-1H-1,2,3-benzotriazol-6-carboxamida,

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-4-(3-metil-5-oxo-4,5-dihidro-1H-pirazol-1-il)benzamida,

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-indol-5-carboxamida,

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-1H-1,2,3-benzotriazol-6-carboxamida,

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-1H-1,2,3-benzotriazol-6-carboxamida,

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3-fluoro-5-trifluorometil)benzamida,

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3-(trifluorometil)benzamida,

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-4-(butilamino)benzamida,

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3-(trifluorometoxi)benzamida,

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3,5-dimetoxibenzamida,

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3,5-dimetilbenzamida,

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3,5-difluorobenzamida,

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3,5-diclorobenzamida,

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-4-(benziloxi)benzamida,

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-1,3-benzodioxol-5-carboxamida,

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3,5-dimetoxibenzamida,

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3,5-dimetoxibenzamida,

3-(acetilamino)N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3,5-dimetoxibenzamida,

4-(acetilamino)N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}benzamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[(3,5-dimetil-4-isoxazolil)metil]amino}-2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-fenilpropil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-furimetil)amino]-2-hidroxipropil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(tetrahidro-3-furanilmetil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-propoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(2-piridinilmetil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-(benzilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-hidroxi-N^3, N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[1-metil-1-(3-metilfenil)etil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(1S)-1,2,3,4-tetrahidro-1-naftalenilamino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-[2,5-dimetilbenzil)amino]-2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-{[2-cloro-5-(trifluorometil)benzil]amino}-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[2-hidroxi-5-metilbenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-

{[1S,2R)-2-hidroxi-2,3-dihidro-1H-inden-1-il]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-[(1R)-2,3-dihidro-1H-inden-1-ilamino]-2-hidroxipropil}-5-metil-N^3,N^3-dipropilisoftalamida,

5-cloro-N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(1-metil-1-feniletil)amino]propil}-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-[(1-benzofurano-2-ilmetil)amino]-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-3-{[(1R)-1-(3-bromofenil)etil]amino}-1-(3,5-difluorobenzil)-2-hidroxipropil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(4-fluorobenzil)-2-hidroxi-3-[(3-iodobenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-

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metoxibenzil)amino]propil}-3-[butil(butiril)amino]-5-metilbenzamida,

N^1-{1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-4-metil-N^3,N^3-dipropilisoftalamida,

N^3-{1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-4-metil-N^1,N^1-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-4-metil-N^3,N^3-dipropilisoftalamida,

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-1-butil-1H-indol-6-carboxamida,

N^1-[(1S,2R)-3-anilino-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

5-bromo-N^1-[(1S,2R)-3-[(3-bromobenzil)amino]-1-(3,5-difluorobenzil)-2-hidroxipropil]-N^3,N^3-

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dipropilisoftalamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-iodobenzil)amino]propil}-4-metil- metilpentanamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-iodobenzil)amino]propil}-3-metil- metilpentanamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-hidroxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-ciano-N^3,N^3- hidrocloruro de dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

1-N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-oidobenzil)amino]propil}-5-oxo-5-(piperidinil)pentanamida trifluoroacetato,

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5-(aminosulfonil)-N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-5-(pirrodinilsulfonil)isoftalamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-[(metilamino)sulfonil]-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-[(dimetilamino)sulfonil]-N^3,N^3-dipropilisoftalamida,

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-2-metil-3-(metilsulfonil)propanamida,

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3-(metilsulfonil)propanamida,

2-amino-N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-1,3-tiazol-4-carboxamida,

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-(metilsulfonil)pentanamida,

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^4-ffeenilsuccinamida,

(3R)-N^4-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-2,2,3-trimetilbutanodiamida,

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3[(dipropilamino)sulfonil]propanamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^5,N^5-dipropilpentanediamida,

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-4-oxo-4-(1-piperidinil)butanamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^4,N^4-dipropilsuccinamida,

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-oxo-5-(1-piperidinil)pentanamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^5-fenilpentanediamida,

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3,3-dimetil-4-oxo-4-(1-piperidinil)butanamida,

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-4-(isopentilsulfonil)butanamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-2,2-dimetil-N^4,N^4-dipropilsuccinamida,

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-4-[(dipropilamino)sulfonil]butanamida,

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-

metoxibenzil)amino]propil}-4-
[(metilanilino)sulfonil]butanamida,

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-
metoxibenzil)amino]propil}-4-
[(dipropilamino)sulfonil]propanamida,

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-
metoxibenzil)amino]propil}acetamida,

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-
metoxibenzil)amino]propil}-3-
(isopentilsulfonil)propanamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-
iodobenzil)amino]propil}-5-oxo-5-(1-
piperidinil)pentanamida,

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-
iodobenzil)amino]propil}-5-oxo-5-(1-
piperidinil)pentanamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-
iodobenzil)amino]propil}-3-

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[(dipropilamino)sulfonil]propanamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-etil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-isobutil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-tert-butil-N^3,N^3-dipropilisoftalamida,

N^1{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-ciano-N^3-propilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-1,3,5-benzenotricarboxamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dimetil-N^5,N^5-dipropil-1,3,5-benzenotricarboxamida,

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N^1-[(1S,2R)-3-amino-1-benzil)-2-hidroxipropil]-N^3,N^3-dipropil-1,3,5-benzenotricarboxamida,

N^1-[(1S,2R)-1-benzil)-2-hidroxi-3-(isopentilamino)propil]-N^3,N^3-dipropil-1,3,5-benzenotricarboxamida,

N^1-{(1S,2R)-1-benzil)-2-hidroxi-3-[(metoxibenzil)amino]propil}-N^3,N^3-dipropil-1,3,5-benzenotricarboxamida,

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3-[butiril(propil)amino]-5-metilbenzamida,

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-1-propil-1H-indol-6-carboxamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-1-propil-1H-indol-6-carboxamida,

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N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3,4-dimetilbenzil)amino]-2-hidroxipropil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-3-[(3-aminobenzil(amino]-1-(3,5-difluorobenzil)-2-hidroxipropil}-5-metil-N^3,N^3-dipropilisoftalamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-iodobenzil)amino]propil}octanamida,

N^3-[(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[{1-metil-1-[3-(trifluorometil)fenil]etil}amino)propil]-N^5,N^5-dipropil-3,5-piridindicarboxamida,

N^1-[(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[{1-metil-1-[3-(trifluorometil)fenil]etil}amino)propil]-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(1R,2S)-2-hidroxi-2,3-dihidro-1H-inden-1-il]amino}propil]-5-metil-N^3,N^3- dipropilisoftalamida,

N^1-[(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-

{[(1R)-2,3-dihidro-1H-inden-1-ilamino]-2-hidroxipropil}-5-metil-N^3,N^3-dipropilisoftalamida,

N-[(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-iodobenzil)amino]propil}-3-metilbenzamida,

N^1-[(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-(1H-isoindol-3-ilamino)propil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(1R,2S,5R)-2-isopropil-5-metilciclohexa]amino}propil)-5-metil-N^3,N^3- dipropilisoftalamida,

N^1,N^1-dialil-5-cloro-N^3[(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(1-metil-1-feniletil)amino]propil]isoftalamida,

N^1,N^1-dialil-5-cloro-N^3-[(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(1-metil-1-feniletil)amino]propil]isoftalamida,

N^3-[(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(1-fenilciclopentil)amino]propil}-N^5,N^5-dipropil-3,5-

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piridinocarboxamida,

N^1-[(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbencil)amino]-2-hidroxipropil}5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-dimetilamino)bencil]amino}-2-hidroxipropil}5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-1-(3,5-difluorobenzil)-3-{[(4,5-dimetil-2-furil)metil]amino}-2-hidroxipropil}5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(1-fenilciclopentil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-(ciclopropilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil}5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-[(ciclopropilmetil)amino]-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-

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dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi[(3-yodobencil)amino]propil}-N^5,N^5-dipropilisoftalamida,

N^3-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(2-furilmetil)amino]-2-hidroxipropil}-N^5,N^5-dipropil-3,5-piridinocarboxamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(tetrahidro-2-furanilmetil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^3-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(1-fenilciclopropil)amino]propil}-N^5,N^5-dipropil-3,5-piridinocarboxamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(2-oxo-3-azefanil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(3-metil-2-furil)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

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N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(2S)-tetrahidro-2-furanilmetil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

5-cloro-N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(1-metil-1-feniletil)amino]propil}-N^3,N^3-di(2-propinil)isoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-isopropenilbenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(2-propoxietil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-1-(3,5-difluorobenzil)-3-(hexilamino)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-iodobenzil)amino]propil}-4-(3-metil-5-oxo-4,5-dihidro-1H-pirazol-1-il)benzamida,

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Metil 4-({[(2R,3S)-4-(3,5-difluorofenil)-3-({3-[(dipropilamino)carbonil]-5-metilbenzoil}amino)-2-hidroxibutil]amino}metil)benzoato,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(2-metoxietil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(5-isoxazolmetil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

(1R,2R)-N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-iodobenzil)amino]propil}-N^2,N^2-dipropil-1,2-ciclopropanodicarboxamida,

N^3-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(2S)-tetrahidro-2-furanilmetil]amino}propil)-N^5,N^5-dipropil-3,5-piridinodicarboxamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(2-metoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-isopropilbenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

4-(butirilamino)-N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-iodobenzil)amino]propil}benzamida,

N^1-[(1S,2R)-3-[(3-amino-oxopropil)amino]-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^3-[(1S,2R)-3-(benzilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil]-N^5,N^5-1-oxido de dipropil-3,5-piridinodicarboxamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-iodobenzil)amino]propil}-5-etinil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(7-oxabiciclo[2.2.1]heeept-2-ilmetil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-

etilbenzil)amino]-2-hidroxipropil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(2-metil-1,3-tiazol-5-il)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[(2-etil-1,3-tiazol-5-il)metil]amino}-2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(3R)-2-oxoazepanil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-(ciclobutilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-etinil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-(butilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-etinil-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-eeetilbenzil)amino]-2-hidroxipropil}-5-etinil-N^3,N^3-

dipropilisoftalamida,

N^1-[(1S,2R)-1-(3,5-difluorobenzil)-3-(5-hexinilamino)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^3-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(5-metil-2-furil)metil]amino}propil)-N^5,N^5-dipropil-3,5-piridinocarboxamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(1-metil-1-feniletil)amino]propil}-N^5,N^5-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[1-(2-furil)-1-metiletil]amino}-2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(3-isobutil-5-isoxazolil)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(2-isobutil-1,3-tiazol-5-il)metil]amino}propil)-5-

metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-[(dipropilamino)sulfonil]propanamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(2-feniletil)amino]propil}-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-benzil-3-{[2-(2-clorofenil)etil]amino}-2-hidroxipropil)-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(2-oxo-3-azefanil)amino]propil}-N^3,N^3-dipropilisoftalamida,

N-[(1S,2R)-3-(benzilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil]-3-(butilsulfonil)benzamida,

N^1-((1S,2R)-1-benzil-3-({2-[(2-etilhexil)oxi]etil}amino)-2-hidroxipropil]-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-benzil-2-hidroxi-3-{[(1S,2R)-2-

hidroxi-2,3-dihidro-1H-inden-1-il]amino}propil)-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-benzil-2-hidroxi-3-{[1-(4-hidrofenil)etil]amino}propil)-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-3-(cicloheptilamino)-2-hidroxipropil]-N^3,N^3- dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-3-[([1,1'-bifenil]-2-ilmetil)amino]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-3-[(2-fluorobenzil)amino]-2-hidroxipropil}-N^3,N^3- dipropilisoftalamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3-(dimetilamino)benzamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-1-naftalamida,

N^1-[(1S,2R)-1-benzil-3-({2-[({5-[(dimetilamino)metil]-2-furil}metil)sulfanil]etil}amino)-

2-hidroxipropil]-N^3,N^3- dipropilisoftalamida,

N^1-[(1S,2R)-1-benzil-3-({2-[(2-cloro-6-fluorobenzil)sulfanil]etil}amino)-2-hidroxipropil]-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-[([1,1'-bifenil]-4-ilmetil)amino]-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3- (1-naftilamino)propil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(1H-imidazol-5-ilmetil]amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(2-fenil-1H-imidazol-5-il)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(2-metil-1H-imidazol-2-il)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

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N^1-[(1S,2R)-3-{[(2-butil-4-cloro-1H-imidazol-5-il)metil]amino}-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-{[(6-cloroimidazol[2,1b][1,3]tiazol-5-il)metil]amino}-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(1-metil-1H-benzimidazol-2-il)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(4-oxo-4H-chromen-3-il)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(1,5-dimetil-3-oxo-2-fenil-2,3-dihidro-1H-pirazol-4-il)metil]amino}-2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-({[5-ciano-6-(metilsulfonil)-2-piridinil]metil}amino)-1-(3,5-difluorobenzil)-2-

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hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

[5-({[(2R,3S)-4-(3,5-difluorofenil)-3-(8{3-[(dipropilamino)carbonil]-5-metilbenzoil}amino)-2-hidroxibutil]amino}metil)-2-]metil acetato,

N^1-[(1S,2R)-3-[(1-benzofuran-3-ilmetil)amino]-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

Metil 4-({[(2R,3S)-4-(3,5-difluorofenil)-3-({3-[(dipropilamino)carbonil]-5-metilbenzoil}amino)-2-hidroxibutil]amino}metil)-1-metil-1H-pirrol-2-carboxilato,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-({[1-(fenilsulfonil)-1H-pirrol-2-il]metil}amino)propil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(1-metil-1H-pirrol-2-il)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-3-{[(4-cloro-1-metil-1H-pirazol-3-il)

metil]amino}-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[(3,5-dimetil-1-fenil-1H-pirazol-4-il)metil]amino}-2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-3-{[(5-cloro-2-tienil)metil]amino}-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[(3-fenoxi-2-tienil)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-quinolinilmetil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(2-quinolinilmetil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-

{[(1-metil-1H-indol-2-il)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-3-{[(1-benzil-1H-indol-3-il)metil]amino}-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(1-metil-1H-indol-3-il)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[({1-[(4-metilfenil)sulfonil]-1H-indol-3-il}metil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-{[(2-butil-1H-imidazol-5-il)metil]amino}-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

Metil 3-({[(2R,3S)-4-(3,5-difluorofenil)-3-({3-[(dipropilamino)carbonil]-5-metilbenzoil}amino)-2-hidroxibutil]amino}metil)-1H-indol-6-carboxilato,

3-[({(1S,2R)-1-benzil-2-hidroxi-3-[(3-

metoxibenzil)amino]propil}-amino)carbonil-[butil(butiril)amino]benzil dietil fosfato,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-(cianometil)-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-(hidroximetil)-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-etinil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-iodobenzil)amino]propil}-N^3,N^3-dipropil-5-prop-1-inilsoftalamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-trifluorometil)benzil]amino}propil}-5-etinil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-

iodobenzil)amino]propil}-5-etinil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-fluorobenzil)amino]-2-hidroxipropil}-5-etinil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil—5-(8-quinolil) isoftalamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-4'-metoxi-N^5,N^5-dipropil [1,1'-bifenil]-3,5-dicarboxamida,

N^3-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^5,N^5-dipropil[1,1'-bifenil]-3,5-dicarboxamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^5,N^5-dipropil[1,1'-bifenil]-3,5-dicarboxamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-

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metoxibenzil)amino]propil}-4'-[(dimetilamino)sulfonil]-N^5,N^5-dipropil-1,1'-bifenil-3,5-dicarboxamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-iodobenzil)amino]propil}-4'-[(dimetilamino)sulfonil]-N^5,N^5-dipropil-1,1'-bifenil-3,5-dicarboxamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-,5-(3-tienil)isoftlamida,

N-{(1R,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3-metil-5-pentanoilbenzamida,

N^1-(4-hidroxibutil)-N^3-{(1S)-2-hidroxi-1-(4-hidroxibenzil)-3-[(3-metoxibenzil)amino]propil}-5-metil-N^1-propilisoftlamida,

N^1-{(1S,2R)-2-hidroxi-1-(4-hidroxibenzil)-3-[(3-metoxibenzil)amino]propil}-N^3-(3-hidroxipropil)-5-metil-N^3-propilisoftlamida,

N^1-{(1S,2R)-2-hidroxi-1-(4-hidroxibenzil)-3-[(3-metoxibenzil)amino]propil}-5-metil-N^3,N^3-

dipropilisoftlamida,

N^1-((1S,2R)-1-benzil-3-{[3-(2,4-dimetilfenil)propil] amino}-2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftlamida,

N^1-((1S,2R)-1-benzil-2-hidroxi-3-{[3-(4-metilfenil)propil]amino}propil)-5-metil-N^3,N^3-dipropilisoftlamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftlamida,

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-1,3-dioxo-2-propil-5-isoindolincarboxamida,

N-{(1R,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3-bromo-5-metilbenzamida,

3-bromo-N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-metilbenzamida,

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N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-4-metil-N^3,N^3-dipropilisoftlamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-4-metil-N^3,N^3-dipropilisoftlamida,

N^3-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-4-metil-N^1,N^1-dipropilisoftlamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3-(2-furil)-5-metilbenzamida,

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3',5',5'-trimetil-1,1'-bifenil-3-carboxamida,

3'-Acetil-N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-metil[1,1'-bifenil]-3-carboxamida,

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3'metoxi-5-metil[1,1'-bifenil]-3-carboxamida,

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-metil[1,1'-bifenil]-3-carboxamida,

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3-metil-5-(2-tienil)benzamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3-metil-5-(3-tienil)benzamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-iodobenzil)amino]propil}-3-metil-5-(3-tienil)benzamida,

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-4-metil-3-(3-tienil)benzamida,

N^1-{(1S,2R)-1-Benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3,N^5,N^5-tetrapropilbenceno-1,3,5-tricarboxamida,

N^1-{(1S,2R)-1-(3,5-Difluorbenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropilbenceno-1,3,5-tricarboxamida,

Etil 3-[({(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}amino)carbonil]benzoato,

N^1-{(1S,2R)-2-hidroxi-1-(4-hidroxibenzil)-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropilbenceno-1,3,5-tricarboxamida,

N^1-{(1S,2R)-1-Benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3,-dipropil-5-{[(trifluorometil)sulfonil]amino}isoftalamida,

5-Amino-N^1-{(1S,2R)-1-Benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-Benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3,-dipropil-5-[(trifluoroacetil)sulfonil]amino}isoftalamida,

N^1-{(1S,2R)-1-Benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-[(metilsulfonil)amino]-N^3,N^3-

dipropilisoftalamida,

N^1-{(1S,2R)-1-Benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3,-dipropil-5-[(tien-2-ilsulfonil)amino]isoftalamida,

N^1-{(1S,2R)-1-Benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3,-dipropil-5-[(tien-2-ilsulfonil)amino]isoftalamida,

N^1-{(1S,2R)-1-Benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-[(metacrilolamino)-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-Benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-[(2,2-dimetilpropanoil)amino]-N^3,N^3-dipropilisoflamida,

N^1-{(1S,2R)-1-Benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-[(fenilsulfonil)amino]-N^3,N^3-dipropilisoflamida,

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-(metilitio)pentanamida,

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Tert-butil (2R,3S)-3-({3-[(dipropilamino)sulfonil]-propanoil}amino)-2-hidroxi-4-fenilbutil(3-metozibenzil)carbamato,

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3-metil-5-[propionil(propil)amino]benzamida,

N-{(1S,2R)-1-Benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-1-butil-1H-indol-5-carboxamida,

N-{(1S,2R)-1-Benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-1-[(metilsulfonil)amino]-N^3,N^3-dipropilisoflamida,

N-{(1S,2R)-1-Benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3-bromo-5-metilbenzamida,

N-{(1S,2R)-1-Benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3-[butil(propionil)amino]-5-metilbenzamida,

N-{(1S,2R)-1-Benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-4-metil-1-propil-1H-indol-6-carboxamida,

N-{(1S,2R)-1-Benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-1-(1-propilbutil)-1H-indol-6-carboxamida,

N-{(1S,2R)-1-Benzil-2-hidroxi-3-{[(2-oxo-2,3-dihidro-1,3-benzoxazol-6-il)metil]amino}propil}-5-[metil-N^3,N^3-dipropilisoflamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropil-5-{[(trifluorometil)sulfonil]amino}isoftalamida,

3-[({(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}amino)carbonil]-5-[(dipropilamino)carbonil]ácido benzoico,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropil-5-prop-1-inilsoftalamida,

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-2-(dipropilamino)isonicotinamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-iodobenzil)amino]propil}-2-hidroxi-2-(4-metilfenil)acetamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-iodobenzil)amino]propil}-4-hidroxi-N3-metilisoftalamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-iodobenzil)amino]propil}-2-hidroxi-2-(4-metoxi-3-nitrofenil)acetamida,

5-(aminosulfonil)-N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-iodobenzil)amino]propil}-2-metoxibenzamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-iodobenzil)amino]propil}-4-hidroxi-3-(pirrolidin-1-ilcarbonil)benzamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-iodobenzil)amino]propil}-2-[((metilsulfonil)amino]-1,3-oxazol-4-carboxamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-metoxibenzil)amino]propil}-5-(3,5-dimetilisoxazol-4-il)-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-5-)1,3-tiazol-2-il)isoftalamida,

3-(ciclohexilcarbonil)-N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-metilbenzamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-metil-N^3-propilisoftalamida,

3-[ciclohexil(hidroxi)metil]-N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-metilbenzamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-

etilbenzil)amino]-2-hidroxipropil]-5-(4-metil-1,3-oxazol-2-il)-N^3,N^3-dipropilisoftalamida,

N^3-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil]-N^5,N^5-dipropilpiridina-3,5-dicarboxamida,

N^3-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-isopropilbenzil)amino]propil}-N^5,N^5-dipropilpiridina-3,5-dicarboxamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[3-(4-hidroxibut-1-inil)benzil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

1-{3-[({(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}amino)carbonil]-5-metilbenzoil}-L-prolinamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3-isopropil-5-metilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-

etilbenzil)amino]-2-hidroxipropil}-N^3-etil-N^3,5-dimetilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3,5-dimetil-N^3-prop-2-inilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3-isopropil-5-metilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3-isopropil-5-metilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3-isobutil-5-metilisoftalamida,

N^1-((sec-butil)-N^3-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-5-metilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-

etilbenzil)amino]-2-hidroxipropil}-N^3,N^3-dietil-5-metilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3,5-dimetil-N^3-propilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3,isopropil-N^3,5-dimetilisoftalamida,

N^1-butil-N^3-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^1,5-dimetilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(1S)-2-(isobutilamino)-1-metil-2-oxoetil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^3-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(1S)-2-(isobutilamino)-1-metil-2-oxoetil]amino}propil)-N^5,N^5-dipropil-3,5-piridindicarboxamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-

{[(1S)-2-(isobutilamino)-1,1-dimetil-2-oxoetil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(1S)-1-(isobutilamino)carbonil]propil}amino)propil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-({[(1R)-1-(isobutilamino)carbonil]propil}amino)propil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-(benzilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[3-(isobutilamino)-2-metil-3-oxopropil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-{[(1S)-1-benzil-2-(isobutilamino)-2-oxoetil]amino}-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-({(1S)-1-isobutilamino)carbonil]-2-

metilpropil}amino)propil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[3-(isobutilamino)-2-metil-3-oxopropil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-piridinilmetil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-{[(1S)-1-[(benziloxi)metil]-2-(isobutilamino)-2-oxoetil]amino}-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(1-metil-1-feniletil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-({(1S)-1-(isobutilamino)carbonil]butil}amino)propil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(1S)-1-(hidroximetil)-2-(isobutilamino)-2-oxoetil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(2-feniletil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-(isopentilamino)propil]-5-metil-N^3,N^3-dipropilisoftalamida,

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N^1-[(1S,2R)-3-(ciclohexilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-(butilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxipropil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

(1R,3S)-5-{[(2R,3S)-4-(3,5-difluorobenzil)-3-({3-[[(dipropilamino)carbonil]-5-metimbenzoil}amino)-hidroxibutil]amino}-1,3-acido ciclohexanodicarboxilico,

N^1-[(1S,2R)-3-[([1,1'-bifenil]-3-ilmetil)amino]-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-iodobenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-

metilbenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(2-fenilpropil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(1,3-tiazol-5-ilmetil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(2-tienilmetil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(5-metoxi-1,2,3,4-tetrahidro-1-naftalenil)amino]propil}-5-metil- N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3,5-dimetoxibenzil)amino]-2-hidroxipropil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[3-

(trifluorometil)benzil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(7-metoxi-1,2,3,4-tetrahidro-1-naftalenil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[3-(trifluorometil)benzil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[3-(trifluorometoxi)benzil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-fluorobenzil)amino]-2-hidroxipropil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(3-isopropoxibencil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-3-[(3-bromobenzil)amino]-1-(3,5-

difluorobenzil)-2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(5-metoxi-1,2,3,4-tetrahidro-1-naftalenil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-3-(benzilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-3-(benzilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil)-5-metoxi-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-3-(benzilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil)-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-3-(benzilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil)-5-cloro-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-3-(benzilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil)-N^5,N^5-dipropil-3,5-piridinodicarboxamida,

N^1-{(1S,2R)-3-(benzilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil)-5-fluoro-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metilbenzil)amino]propil}-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^5,N^5-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(1,3-tiazol-5-ilmetil)amino]propil}-N^5,N^5-dipropil-3,5-piridindicarboxamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}[1,1'-bifenil]-3-carboxamida,

N^1-[(1S,2R)-3-(bencilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil]-N^3-propilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(1R)-1,2,3,4-tetrahidro-1-naftalenilamino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1R)-3-{[3,5-bis(trifluorometil)benzil]amino}-1-(3,5-difluorobenzil)2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-benzil-3-{[2-fluoro-5-(trifluorometil)benzil]amino}-2-hidroxipropil)-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-benzil-3-{[3-fluoro-5-(trifluorometil)benzil]amino}-2-hidroxipropil)-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-benzil-3-{[4-fluoro-3-(trifluorometil)benzil]amino}-2-hidroxipropil)-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-benzil-3-{[4-cloro-3-(trifluorometil)benzil]amino}-2-hidroxipropil)-N^3,N^3-dipropilisoftalamida,

N^1-{(1S)-1-benzil-2-hidroxi-3-[(3-nitrobenzil)amino]propil}-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-benzil-3-{[3-(difluorometoxi)benzil]amino}-2-hidroxipropil)-N^3,N^3-dipropilisoftalamida,

N^1-{1S,2R)-1-benzil-3-[(3-etoxibenzilamino]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-3-[(3-bromo-4-fluorobenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3,5-dimetilbenzil)amino]-2-hidroxipropil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etoxibenzil)amino]-2-hidroxipropil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(2-fenoxietil)amino]propil}-5-metil-N^3,N^3-

dipropilisoftalamida,

N^1-((1S,2R)-1(3,5-difluorobenzil)-2-hidroxi-3-{[(2-metil-1,3-tiazol-2-il)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-(benzilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil]-N^3-metil-N^3-propilisoftalamida,

N^3-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[3-(trifluorometil)benzil]amino)propil)-N^5,N^5-dipropil-3,5-piridinodicarboxamida,

N^3-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(1-metil-1-feniletil)amino]propil}-N^5,N^5-dipropil-3,5-piridinodicarboxamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(7-metoxi-1,2,3,4-tetrahidro-1-naftalenil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

Isómero B,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-

furilmetil)amino]-2-hidroxipropil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(tetrahidro-3-furanilmetil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-propoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(2-piridinilmetil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-(benzilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-hidroxi-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-{1-(3,5-difluorobenzil)-2-hidroxi-3-{[1-metil-1-(3-metilfenil)etil]amino}propil-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(1S)-1,2,3,4-tetrahidro-1-naftalenilamino]propil}-5-

metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(2,5-dimetilbenzil)amino]-2-hidroxipropil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-{[2-cloro-5-(trifluorometil)benzil]amino}-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(2-hidroxi-5-metilbenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

5-cloro-N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(1-metil-1-feniletil)amino]propil}-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-{[(1R)-1-(3-bromofenil)etil]amino}-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-hidroxibenzil)amino]propil}-5-metil-N^3,N^3-

dipropilisoftalamida,

Hidriocloruro N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-ciano-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

5-(aminosulfonil)-N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-5-(1-pirrolidinilsulfonil)isoftalamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-[(metilamino)sulfonil]-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-[(dimetilamino)sulfonil]-N^3,N^3-dipropilisoftalamida,

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3-[(dipropilamino)sulfonil]propanamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-5-oxo-5-(1-piperidinil)pentanamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-3-[(dipropilamino)sulfonil]propanamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-etil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-tert-butil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-ciano-N^3-propilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil-2-hidroxi-3-[(3-metoxibenzil)amino)propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-1-propil-1H-indol-6-carboxamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3,4-dimetilbenzil)amino]-2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-[(3-aminobenzil)amino]-1-(3,5-difluorobenzil)-2-hidroxipropil-5-metil-N^3,N^3-dipropilisoftalamida,

N^3-[(1S,2R)-1-(3,5-difluorobenzil-2-hidroxi-3-({1-metil-1-[3-(trifluorometil)fenil]etil}amino)propil]-N^5,N^5-dipropil-3,5-piridinodicarboxamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-([(1R,2S)-2-hidroxi-2,3-dihidro-1H-inden-1-il]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(1R)-2,3-ihidro-1H-inden-1-ilamino]-2-hidroxipropil}-5-metil-N^3,N^3-dipropilisoftalamida,

5-cloro-N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(1-metil-1-feniletil)amino]propil}-N^3,N^3-bis(2-metoxietil)isoftalamida,

N^3-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(1-fenilciclopentil)amino]propil}-N^5,N^5-dipropil-3,5-piridinodicarboxamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[3-(dimetilamino)benzil]amino}-2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[(4,5-dimetil-2-furil)metil]amino}2-hidroxipropil-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(1-fenilciclopentil)aminolpropil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)aminopropil}-N^5,N^5-dipropilpentanodiamida,

N^3-{(1S,2R)-1-(3,5-difluorobenzil-2-hidroxi-3-[(1-fenilciclopropilamino)propil}-N^5,N^5-dipropil-3,5-piridinodiearboxamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(2S)-tetrahidro-2-furanilmetil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-isopropenilbenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(2-propoxietil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-1-(3,5-difluorobenzil)-3-(hexilamino)-2-hidroxipropi)]-5-metil-N^3,N^3-dipropilisoftalamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-4-(3-metil-5-oxo-4,5-dihidro-1H-

pirazol-1-il)benzamida,

metil 4-({[(2R,3S)-4-(3,5-difluorofenil)-3-({3-[(dipropilamino)carbonil]-5-metilbenzoil}amino)-2-hidroxibutil]amino}metil)benzoato,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(2-metoxietil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(5-isoxazolilmetil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

(1R,2R)-N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-N^2,N^2-dipropil-1,2-ciclopropanodicarboxamida,

N^3-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(2S)-tetrahidro-2-furanilmetil]amino}propil)-N^5,N^5-dipropil-3,5-piridinodicarboxamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(2-

metoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-isopropilbenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

1-óxido N^3-[(1S,2R)-3-(benzilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil]-N^5,N^5-dipropil-3,5-piridinodicarboxamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)aminopropil}-5-etinil-N^3,N^3-dipropilisoftalamida,

N^1-({1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(7-oxabiciclo[2.2.1]hept-2-ilmetil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etinilbenzil)amino]-2-hidroxipropil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1(3,5-difluorobenzil)-2-hidroxi-3-([(2-

metil-il,3-tiazol-5-il)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[(2-etil-1,3-tiazol-il)metil]amino}-2-hidroxipropil-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-(butilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-etinil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-5-etinil-N^3,N^3-dlpropilisoftalamida,

N^1-[(1S,2R)-1-(3,5-difluorobenzil)-3-(5-hexinilamino)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^3-((1S,2R)-1(3,5-difluorobenzil)-2-hidroxi-3-([(5-metil-2-furil)metil]amino}propil)-N^5,N^5-dipropil-3,5-piridinodicarboxamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(1-metil-1-feniletil)amino]propil}-N^5,N^5-

dipropilpentanodiamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[1-(2-furil-1-metiletil]amino}-2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(3-isobutil-5-isoxazolil)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(2-isobutil-1,3-tiazol-5-il)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N-((1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-[(dipropilamino)sulfonil]propanamida,

N^1-[(1S,2R)-3-[([1,1'-bifenil]-4-ilmetil)amino]-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(1H-imidazol-5-ilmetil)amino]propil}-5-metil-N^3,N^3-

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dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(2-fenil-1H-imidazol-5-il)metil]amino}propil)-5-metil-N^3,N^3-ipropilisoftalamida,

N^1-[(1S,2R)-3-{[(2-butil-cloro-1H-imidazol-5-il)metil]amino}-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-({[5-ciano-6-(metilsulfanil)-2-piridinil]metil}amino)-1-(3,5-difluorobenzil-2-hidroxipropil]-5-metil-N^3,N^3-ipropilisoftalamida,

Acetato [5-({[(2R,3S)-4-(3,5-difluorofenil)-3-({3-[(dipropilamino)carbonil]-5-metilbenzoil}amino)-2-hidroxibutil]amino}metil)-2-furil]metil,

N^1-[(1S,2R)-3-[(1-benzofuran-3-ilmetil)amino]-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

metil 4-({[(2R,3S)-4-(3,5-difluorofenil)-3-{(3-[(dipropilamino)carbonil]-5-metilbenzoil}amino)-2-

hidroxibutil]amino}metil)-1-metil-1H-pirrol-2-carboxilato,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(1-metil-1H-pirrol-2-il)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-{[(5-cloro-2-tienil)metil]amino}-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(1-metil-1H-indol-2-il)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-([(1-benzil-1H-indol-3-il)metil]amino}-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(1-metil-1H-indol-3-il)metilamino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-{[(2-butil-1H-imidazol-5-

il)metil]amino}-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

metil 3-({[(2R,3S)-4-(3,5-difluorofenil)-3-((3-[(dipropilamino)carbonil]-5-metilbenzoil}amino)-2-hidroxibutil]amino}metil)-1H-indole-6-carboxilato,

N^1-((1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-(cianometil)-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-(hidroximetil)-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-etinil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-yodobenzil)amino]propil}-N^3,N^3-dipropil-5-prop-1-inilisoftalamida,

hidrocloruro N^3-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-

metoxibenzil)amino]propil}-4'-metoxi-N^5,N^5-dipropil[1,1'-bifenil]-3,5-dicarboxamida,

N^3-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^5,N^5-dipropil[1,1'-bifenil]-3,5-dicarboxamida,

N^3-((1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^5,N^5-propil[1,1'-bifenil]-3,5-dicarboxamida,

N^3-((1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-4'-[(dimetilamino)sulfonil]-N^5,N^5-dipropil-1,1'-bifenil-3,5-dicarboxamida,

N^3-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-yodobenzil)amino]propil}-4'-[(dimetilamino)sulfonil]-N^5,N^5-dipropil-1,1'-bifenil-3,5-dicarboxamida,

N-{(1R,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3-metil-5-pentanoilbenzamida,

N^1-{(1S,2R)-2-hidroxi-1-(4-hidroxibenzil)-3-[(3-metoxibenzil)amino]propil}-N^3-(3-hidroxipropil)-5-metil-

N^3-propilisoftalamida,

N^1-{(1S,2R)-2-hidroxi-1-(4-idroxibenzil)-3-[(3-metoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-4-metil-N^3,N^3-dipropilisoftalamida,

N1-{(1S,2R)-1-Benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3,N^5,N^5-tetrapropilbenceno-1,3,5-tricarboxamida,

N^1-{(1S,2R)-1-(3,5-Difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropilbenceno-1,3,5-tricarboxamida,

etil 3-[({(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}amino)carbonil]-5-

[(dipropilamino)carbonil]benzoate,

N^1-((1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-5-([(trifluorometil)sulfonil]amino}isoftalamida,

5-amino-N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-[(metilsulfonil)amino]-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-5-[(tien-2-ilsulfonil)amino]isoftalamida,

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-5-[(tien-2-ilcarbonil)amino]isoftalamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil-5-(metacriloilamino)-N^3,N^3-dipropilisoftalamida,

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N^1-((1S,2R)-1-Benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-[(fenilsulfonil)amino]-N^3,N^3-dipropilisoftalamida,

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-(metiltio)pentanamida,

3-amino-N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-2-metilbutanamida,

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-2-etilhexanamida,

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-yodobenzil)amino]propil}-3-[(isobutilsulfonil)amino]propanamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-N^3-(isobutilsulfonil)-beta-alaninamida,

5-bromo-N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-N^3,N^3-

dipropilisoftalamida,

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N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(1-fenilciclopropil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-benzil-2-hidroxi-3-{[(2-oxo-2,3-dihidro-1,3-benzoxazol-6-il)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropil-5-{[(trifluorometil)sulfonil]amino}isoftalamida,

Ácido 3-[({(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenil)amino]propil}amino)carbonil]-5-[(dipropilamino)carbonil]benzoico,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropil-5-prop-1-inilisoftalamida,

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N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzilamino]propil}-4-hidroxi-3-(pirrolidin-1-ilcarbonil)benzamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-2-[(metilsulfonil)amino]-1,3-oxazol-4-carboxamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-5-(1,3-tiazol-2-il)isoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-metil-N^3-propilisoftalamida,

N^3-((1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^5,N^5-dipropilpiridino-3,5-dicarboxamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(3-isobutil-1,2,4-oxadiazol-5-il)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^3-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-

etinilbenzil)amino]-2-hidroxipropil}-N^5,N^5-dipropilpiridino-3,5-dicarboxamida,

N^3-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-isopropilbenzil)amino]propil}-N^5,N^5-dipropilpiridino-3,5-dicarboxamida,

N^{1-}((1S,2R)-1-(3,5-difluorobenzil-2-hidroxi-3-{[3-(4-hidroxibut-1-inil)benzil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

1-{3-[({(1S,2R)-1-(3,5-difluorobenziD-3-[(3-etilbenzil)amino]-2-hidroxiptopil}amino)carbonil-5-metilbenzoil}-1-prolinamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3-isopropil-5-metilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3-etil-N^3,5-dimetilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-

etilbenzil)amino]-2-hidroxipropil}-N^3,5-dimetil-N^3-prop-2-inilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3-isobutil-5-metilisoftalamida,

N^1-(sec-butil)-N^3-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-5-metilisoftalamida,

N^1-butil-N^3-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-5-metilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3,N^3-dietil-5-metilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3,N^5-dimetil-N^3-propilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3-isopropil-N^3,5-

dimetilisoftalamida,

N^1-butil-N^3-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxiprppil}-N^1,5-dimetilisoftalamida,

N^{1-}((1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3-isobutil-N^3,5-dimetilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3-etil-5-metil-N^3-propilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3-etil-N^3-isopropil-5-metilisoftalamida,

N^1,N^1-diailil-N^3-{(1S,2R)-1(-3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-5-metilisoftalamida,

3-(azepan-1-ilcarbonil)-N^3-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-

5-metilbenzamida

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-[(4-hidroxipiperidin-1-il)-carbonil]-5-metilbenzamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-[(3-hidroxipiperidin-1-il)carbonil]-5-metilbenzamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3,N^3-dilsopropil-5-metilisoftalamida,

N^1-butil-N^3-{(1S,2R)1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^1-etil-5-metilisoftalamida,

N^1-(ciclopropilmetil)-N^3-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-5-metil-N^1-propilisoftalamida,

N^1-ciclohexil-N^3-((1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^1,5-

dimetilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[1-(3-metilfenil)ciclopropil]amino}propil)-5-metil-$N^{3'}N^3$-dipropilisoftalamida,

y

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-{[(trifluorometil)sulfonil]amino}benzamida.

25. Una amina sustituida de la fórmula (X) de acuerdo con la reivindicación 1 en la cual se selecciona del grupo que consiste de:

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3-metil-5-(2-propilpentanoil)benzamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-(2-etilpentanoil)-5-

metilbenzamida,

N-{(1S,2R)-1-benzil-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-metil-5-(2-propilpentanoil)benzamida,

N-{(1S,2R)-1-benzil-3-[(3-etinilbenzil)amino]-2-hidroxipropil}-3-metil-5-(2-propilpentanoil)benzamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-(2-etilbutanoil)-5-metilbenzamida,

N^{1-}{(1S,2R)-1-benzil-3-[(3-etilbenzil)amino]-2-hidroxipropil}-5-(2-propilpentanoil)isoftalamida,

N-{(1S,2R)-1-benzil-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-(2-etilpentanoil)-5-metilbenzamida,

N^{1-}{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-5-(2-propilpentanoil)isoftalamida,

N^{1-}((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-(2-

propilpentanoil)isoftalamida,

N-[(1S,2R)-3-[(3-etilbenzil)amino]-2-hidroxi-1-(4-hidroxibenzil)propil]-3-metil-5-(2-propilpentanoil)benzamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3-metil-5-2-propilpentanoil)benzamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-metil-5-(2-propilpentanoil)benzamida,

N^{1-}((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[3-(3-

piridinil)benzil]amino}propil)-5-metil-N^3,N^3-ipropilisoftalamida,

N^{1-}((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[3-(4-piridinil)benzil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^{1-}((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-

metoxibenzil)amino]propil}-N^3,N^3-dipropil-5-(1-propinil)isoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropil-5-(1-propinil)isoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)aino]-2-hidroxipropil}-N^3,N^3-dipropil-5-(2-propinil)isoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-5-(2-propinil)isoftalamida,

N^1-{(1S,2R)-1-(ciclohexilmetil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-nietil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-(benzilamino)-2-hidroxi-1-(3-tienilmetil)propil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-(2-tienilmetil)propil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S)-1-[(1R)-2-(benzilamino)-1-hidroxietil]-3-butinil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-[(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-(3-tienilmetil)propil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-(benzilamino)-2-hidroxi-1(2-tienilmetil)propil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1(3-furilmetil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-3-(benzilamino)-1-[4-(benziloxi)benzil]-2-hidroxipropil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-{(1S,2R)-1-(2-furilmetil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-(benzilamino)-1-(ciclohexilmetil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^{1-}{(1S,2R)-2-hidroxi-1-(4-hidroxibenzil)-3-[(3-metoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^{1-}[(1S,2R)-3-(benzilamino)-2-hidroxi-1-(1-naftilmetil)propil]N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

2,3,5-tridaoxi-3-({3-[(dipropilamino)carbonil]-5-metilbenzoil}amino)-5-[(3-metoxibenzil)amino]-1-5-fenil-1-tio-D-eritro-pentitol,

N^{1-}[(1S,2R)-3-(benzilamino)-1-(3-filrilmetil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S)-1-((1R)-1-hidroxi-2-[(3-metoxibenzil)amino]etil}-3-metilbutil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^{1-}[(1S,2R)-3-(benzilamino)-1-(4-fluorobenzil)-2-hidroxipropil]-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^{1-}((1S,2R)-1-(4-fluorobenzil)-2-hidroxi-3-[(3-

metoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-benzilamino)-1-(2-furilmetil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-(1-naftilmetilpropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S)-1-[(1R)-2-(benzilamino)-1-hidroxietil]-3-metilbutil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-{(1S,2R)-1-[4-(benziloxi)benzil]-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-(benzilamino)-2-hidroxi-1-(4-hidroxibenzil)propil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S)-1-{(1R)-1-hidroxi-2-[(3-metoxibenzil)amino]etil}-3-butinil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S)-1-((1R)-1-hidroxi-2-[(3-

metoxibenzil)amino]etil}-3-butinil)-N^3,N^3-dipropil-1,3,5-bencenotricaiboxamida,

5-(benzilamino)-2,3,5-tridaoxi-3-({3-[(dipropilamino)carbonil]-5-metilbenzoil}amino)-1-S-fenil-1-tio-D-eritro-pentitol,

N^{1-}((1S,2R)-1-[4-benziloxi)benzil]-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^{1-}[(1S,2R)-3-benzilamino)-2-hidroxi-1-(4-hidroxibenzilpropil]-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-[(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]1-(1-naftilmetil)propil]-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-{(1S)-1-[(1R)-2-(benzilamino)-1-hidroxietil]-3-metilbutil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(4-fluorobenzil)-2-hidroxi-3-[(3-metoxibenzilamino]propil}-N^3,N^3-dipropil-1,3,5-

bencenotricarboxamida,

N^1-[(1S,2R)-3-(benzilamino)-1-(3-furilmetil)-2-hidroxipropil]-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-((1S)-1-{(1R)-1-hidroxi-2-[(3-metoxibenzil)amino]etil}-3-metilbutil)-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-[(1S,2R)-3-(benzilamino)-1-(4-fluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-(benzilamino)-1-(2-furilmetil)-2-hidroxipropil]-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-{(1S,2R)-2-hidroxi-1-(4-hidroxibenzil)-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-[(1S,2R)-3-benzilamino)-2-hidroxi-1-(1 naftilmetil)propil]-5-metil-N^3,N^3 -dipropilisoftalamida,

N^1-((1S,2R)-1-(ciclohexilmetil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-1,3,5-

bencenotricarboxamida,

N^1-[(1S,2R)-3-(benzilamino)-2-hidroxi-1-(2-tienilmetil)propil]-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-{(1S,2R)-1-(3-furilmetil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-{(1S,2R)-3-(benzilamino)-1-[4-(benziloxi)benzil]-2-hidroxipropil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(2-furilmetil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-[(1S,2R)-3-(benzilamino)-2-hidroxi-1-(3-tienilmetil)propil]-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-[(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-(2-tienilmetil)propil]-N^3,N^3-dipropil-1,3,5-

bencenotricarboxamida,

N^1-{(1S)-1-[(1R)-2-benzilamino-1-hidroxietil]-3-butinil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-(3-tienilmetil)propil]-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-{(1S,2R)-1-(ciciohexilmetil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-[(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-(3-tienilmetil)propil]-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-(2-tienilmetil)propil]-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-{(1S,2R)-1-(2-furilmetil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-{(1S,2R)-1-(3-furilmetil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-((1S,2R)-2-hidroxi-1-(4-hidroxibenzil)-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-((1S)-1-{(1R)-1hidroxi-2-[(3-metoxibenzil)amino]etil}-3-metilbutil)-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-{(1S,2R)-1-(4-fluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-[(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-(1-naftilmetil)propil]-N^3,N^3-propil-1,3,5-bencenotricarboxamida,

N^1-{(1S,2R)-1-[benziloxi)benzil]-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-1,3,5-

bencenotricarboxamida,

N^1-{(1S,2R)-2-hidroxi-1-[3-(hidroximetil)benzil]-3-[(3-metoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-3-[(3-etilbenzil)amino]-2-hidroxi-1-[3-(hidroximetil)benzil]propil}-5-metil-N^3,N^3-dipropilisofta~ida,

N^1-{(1S,2R)-2-hidroxi-1-[3-(hidroximetil)benzil]-3-[(3-

yodobenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-2-hidroxi-1-[4-(hidroximetil)benzil]-3-[(3-yodobenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-3-[(3-etilbenzil)amino]-2-hidroxi-1-[4-(hidroximetil)benzil]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-2-hidroxi-1-[4-(hidroximetil)benzil]-3-

[(3-metoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3-fluoro-5-hidroxibenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-[(3-etilbenzil)amino]-1-(3-fluoro-5-hidroxibenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3-fluoro-5-hidroxibenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-[3-benziloxi)-5-fluorobenzil]-2-hidroxi-3-[(3-yodobenzil)amino]propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-[3-benziloxi)-5-fluorobenzil]-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N-{(1S,2R)-1-[4-(benziloxi)benzil]-2-hidroxi-3-[(3-

metoxibenzil)amino]propil}-3-[(dipropilamino)sulfonil]propanamida,

N^1-{(1S,2R)-1-[4-(benziloxi)benzil]-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^5,N^5-dipropilpentanodiamida,

3-[(dipropilamino)sulfonil]-N-[(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-(1-naftilmetil)propil]propanamida,

N^1-[(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-(1-naftilmetil)propil]-N^5,N^5-dipropilpentanodiamida,

3-[(dipropilamino)sulfonil]-N-{(1S,2R)-1-(4-fluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}propanamida,

N^1-((1S,2R)-1-(4-fluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino)propil}-N^5,N^5-dipropilpentanodiamida,

3-[(dipropilamino)sulfonil]-N-((1S,2R)-2-hidroxi-1-(4-hidroxibenzil)-3-[(3-metoxibenzil)amino]propil}propanamida,

N^1-{(1S,2R)-2-hidroxi-1-(4-hidroxibenzil)-3-[(3-metoxibenzil)amino]propil}-N^5,N^5-dipropilpentanodiamida,

3-[(dipropilamino)sulfonil]-N-{(1S,2R)-1-(3-furilmetil)-2-hidioxi-3-[(3-metoxibenzil)amino]propil}propanamida,

N^1-{(1S,2R)-1-(2-furilmetil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^5,N^5-dipropilpentanodiamida,

3-[(dipropilamino)sulfonil]-N-{(1S,2R)-1-(2-furilmetil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}propanamida,

N^1-{(1S,2R)-1-(3-furilmetil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^5,N^5-dipropilpentanodiamida,

3-[(dipropilamino)sulfonil]-N-[(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-(2-tienilmetil)propil]propanamida,

N^1-[(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-

(3-tienilmetil)propil]-N^5,N^5-dipropilpentanodiamida,

3-[(dipropilamino)sulfonil]-N-[(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1(3-tienilmetil)propil]propanamida,

N^1-[(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-(2-tienilmetil)propil]-N^5,N^5-dipropilpentanodiamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3-{[(2R)-1-etilpirrolidinil]carbonil}-5-metilbenzamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3-{[(2S)-1-etilpirrolidinil]carbonil}-5-metilbenzamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3-[(1-etil-1H-imidazol-2-il)carbonil]-5-metilbenzamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3-[(1-etil-4-metil-1H-1Rnjdazol-5-il)carbonil]-5-metilbenzaida,

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N^1-((1S,2S)1-(3,5-difluorobenzil-2-hidroxi-2-{1-[(3-metoxibenzil)amino]ciclopropil}etil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2S)-1-(3,5-difluorobenzil)-2-{1-[(3-etilbenzil)amino]ciclopropil}-2-hidroxietil)-5-metil-N^3,N^3-dipropilisoftalamida,

(1R,2R,3R)-N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^2,N^2-dipropil-1,2,3-ciclopropanotricarboxamida,

(1R,2R,3R)-N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3-fenil-N^2,N^2-dipropil-1,2-ciclopropanodicarboxamida,

(1R,2R,3R)-N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3 [(3-metoxibenzil)amino]propil}-3-metil-N^2,N^2-dipropil-1,2-ciclopropanodicarboxamida,

(1R,2R,3S)-N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3 [(3-metoxibenzil)amino]propil}-3-metil-N^2,N^2-dipropil-1,2-ciclopropanodicarboxamida,

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(1R,2R,3S)-N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3-fenil-N^2,N^2-dipropil-1,2-ciclopropanodicarboxamida,

(1R,2R,3S)-N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^2,N^2-dipropil-1,2,3-ciclopropanotricarboxamida,

(1R,2R,3S)-3-(2-amino-2-oxoetil)-N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^2,N^2-dipropil-1,2-ciclopropanodicarboxamida,

(1R,2R,3R)-3-(2-amino-2-oxoetil)-N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^2,N^2-dipropil-1,2-ciclopropanodicarboxamida,

(1R,2R,3S)-N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-2-[2-(dipropilamino)-2-oxoetil]-3-metilciclopropanocarboxamida,

(1R,2R,3R)-N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-2-[2-(dipropilamio-2-oxo etil]-3-metilciclopropanocarboxamida,

(1S,2R,3R)-N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-2-[2-(dipropilamino)-2-oxoetil]-3-fenilciclopropanocarboxamida,

(1S,2R,3S)-N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-2-[2-(dipropilamino)-2-oxoetil]-3-fenilciclopropanocarboxamida,

(1S,2R,3R)-N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3-[2-(dipropilamino)-2-oxoetil]-1,2-ciclopropanodicarboxamida,

(1S,2R,3S)-N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3-[2-(dipropilamino)-2-oxoetil]-1,2-ciclopropanodicarboxamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-5-

{[(trifluorometil)sulfonil]amino} isoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropil-5-{[(trifluorometil)sulfonil]amino}isoftalamida,

N^1-{(1S,2R)-1-benzil-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropil-5-{[(trifluorometil)sulfonil]amino}isoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3 etilbenzil)amino]-2-hidroxipropil}-5-(metil [(trifluorometil)sulfonil]amino}-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-{metil[(trifluorometil)sulfonil]amino}-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-5-{propil[(trifluorometil)sulfonil]amino}isoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-[(metilsulfonil)amino]-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-[(metilsulfonil)amino]-N^3,N^3-dipropilisoftalamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-isopropilbenzil)amino]propil}-3-[(dipropilamino)sulfonil]propanamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etinilbenzil)amino]-2-hidroxipropil}-3-[(dipropilamino)sulfonil]propanamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-{[3-(dimetilamino)benzil]amino}-2-hidroxipropil}-3-[(dipropilamino)sulfonil]propanamida,

N-((1S,2R)-1(3,5-difluorobenzil)-3-{[(2-etil-1,3-tiazol-5-il)metil]amino}-2-hidroxipropil)-3-[(dipropilamino)sulfonil]propanamida,

N-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(2-isobutil-1,3-tiazol-5-il)metil]amino}propil)-3-[(dipropilamino)sulfonil]propanamida,

N-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(3-isobutil-5-isoxazolil)metil]amino}propil)-3-[(dipropilamino)sulfonil]propanamida,

N-[(1S,2R)-3-[(3-ciclopropilbenzil)amino]-1-(3,5-difluorobenzil)-2-hidroxipropil]-3-[(dipropilamino)sulfonil]propanamida,

N^1-[(1S,2R)-3-[(3-ciclopropilbenzil)amino]-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[3-(1,3-tiazol-2-il)benzil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[3-(1,3-oxazol-2-il)benzil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-[(3-acetilbenzil)amino]-1(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-[(3-acetilbenzil)amino]1-(3,5-difluorobenzil)-2-hidroxipropil]-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-[(1S,2R)-3-[(3-acetilbenzil)amino]-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-(aminosulfonil)-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-[(3-acetilbenzil)amino]-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-(metilsulfonil)-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-{[3-(dietilamino)benzil]amino}-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[3-(4-morfolinil)benzil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

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N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[3-(1-piperazinil)benzil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-{[3-(aminosulfonil)benzil]amino}-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-1-(3,5-difluorobenzil)-3-({3-[(dimetilamino)sulfonil]benzil}amino)-2-hidroxipropil]-5-metil-N^3,N^3-5 dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[3-(1-piperidinilsulfonil)benzil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[3-(metilsulfonil)benzil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^{1-}((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[3-(isopropilsulfonil)benzil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-{[3-(aminocarbonil)benzil]amino}-1-(3,5-dffluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-1-(3,5-difluorobenzil)-3-({3-[(dimetilamino)carbonil]benzil}amino)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-[(3-cianobenzil)amino]-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

3-({[(2R,3S)-4-(3,5-difluorofenil)-3-({3-[(dipropilamino)carbonil)-5-metilbenzoil}amino)-2-hidroxibutil]amino}metil)fenilcarbamato,

3-({[(2R,35)-4-(3,5-difluorofenil)-3-({3-[(dipropilamino)carbonil-5-metilbenzoil}amino)-2-hidroxibutil]amino}metil)fenil dimetilcarbamato,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[3-(1-propinil)benzil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[3-(3-metil-1-butinil)benzil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[3-(2-propinil)benzil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[3-(5-isobutil-1,3,4-oxadiazol-2-il)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[3-(5-etil-1,3,4-oxadiazol-2-il)metil]amino}-2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[3-(5-etil-1,3,4-tiadiazol-2-il)metil]amino}-2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[3-(5-isobutil-1,3,4-tiadiazol-2-il)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^{1-}((1S,2R)-1-(3,5-ifluorobenzil)-3-{[3-(3-etil-1,2,4-tiadiazol-5-il)metil]amino}-2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^{1-}((1S,2R)-1-(3,5-difluorobenzil-2-hidroxi-3-{[3-(3-isobutil-1,2,4-tiadiazol-5-il) metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^{1-}((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[3-(3-isobutil-1,2,4-oxadiazol-5-il) metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^{1-}((1S,2R)-1-(3,5-difluorobenzil)-3-{[3-(3-etil-1,2,4-oxadiazol-5-il)metil]amino}-2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[(2-etil-1,3-oxazol-5-il)metil]amino}-2-hidroxipropil-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(2-isobutil-1,3-oxazol-5-il)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^{1-}((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(S4sobutil-1,3,4-oxadiazol-2-il)metil]amino}propil)-5-metil-N^3,N^{3-}dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(5-isobutil-1,3,4-tiadiazol-2-il)metil]amino}propil)-5-metil-N^3'N^3-dipropilisoftalamida,

N^{1-}((1S,2R)-1-(3,5-difluorobenzil)-3-{[(5-etil-1,3,4-tiadiazol-2-il)metil]amino}-2-hidroxipropil)-5-metil-N^3'N^3-dipropilisoftalamida,

N^{1-}((1S,2R)1-(3,5-difluorobenzil)-3-{[(5-etil-1,3,4-oxadiazol-2-1-(metil]amino}-2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[(3-etil-i,2,4-oxadiazoI-5-il)metil]amino}-2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[(3-etil-1,2,4-tiadiazol-5-il)metil]amino}-2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(3-isobutil-1,2,4-tiadiazol-5-il)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(3-isobutil-1,2,4-oxadiazol-5-il)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[(2-etil-2H-tetraazol-5-il)metil]amino}-2-hidroxipropil-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(2-isobutil-2H-tetraazol-5-il)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[(2-etil-4-pirimidinil)metil]amino}-2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(2-isopropil-4-pirimidinil)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[(2-etinil-4-pirimidinil)metil]amino}-2-hidroxipropil)-5-metil-N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(-isopropil-4-pirimidinil)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-1-(3,5-difluorobenzil)-3-({[6-(dimetilamino)-4-pirimidinil]metil}amino)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-1-(3,5-fluorobenzil)-3-({[2-(dimetilamino)4-pirimidinil]metil}amino)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-1-(3,5-difluorobenzil)-3-({[4-(dimetilamino)-2-pirimidinil]metil}amino)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(4-isopropil-2-pirimidinil)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[(4-etil-2-pirimidinil)metil]amino}-2-hidroxipropil)-5-metil-N^3,N^{3-}5 dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[(5-etil-3-piridazinil)metil]amino}-2-hidroxipropil)-5-metil-N^3,N^{3-} dipropilisoftalamida,

N^3-((1S,2R)-1-(3,5-difluorobenzil)-3-([3-(dimetilamino)benzil]amino}-2-hidroxipropil)-N^5,N^5-dipropil-3,5-piridinodicarboxamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(5-isopropil-3-piridazinil)metil]amino}propil)-5-metil-N^3,N^{3-}dipropilisoftalamida,

N^3-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[3-(1-propinil)benzil]amino}propil-)-N^5,N^5-dipropil-3,5-piridinodicarboxamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(6-isopropil-4-piridazinil)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^3-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etinilbenzil)amino]-2-hidroxipropil}-N^5,N^5-dipropil-3,5-piridinodicarboxamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[(6-etil-4-piridazinil)metil]amino}-2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^3-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-isopropilbenzil)amino]propil}-N^5,N^5-dipropil-3,5-piridinodicarboxamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[(6-etil-2-pirazinil)metil]amino}2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^3-((1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^5,N^5-dipropil-3,5-piridinodicarboxamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(6-isopropil-2-pirazinil)metil]amino}propil-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-(3,4,5-trifluorobenzil)propil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-2-hidroxi-1-(3,4,5-triuorobenzil)-3-{[3-(trifluorometil)benzil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-2-hidroxi-1-(2,3,5,6-tetrafluorobenzil)-3-([3-(trifluorometil)benzil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-(2,3,5,6-tetrafluorobenzil)propil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(1R,2S)-2-hidroxi-6-metoxi-2,3-dihidro-1H-inden-1-il]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(1R,2S)-2-hidroxi-6-metoxi-2,3-dihidro-1H-inden-1-il]amino}propil)-N^3,N^3-dipropil-1,3,5-

b~ncenotricarboxamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[(1R,2S)-6-etil-2-hidroxi-2,3-dihidro-1H-inden-1-il]amino}-2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^{1-}((1S,2R)-1-(3,5-difluorobenzil)-3-{[(1R,2S)-6-etil-2-hidroxi-2,3-dihidro-1H-inden-1-il]amino}-2-hidroxipropil)-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-{(1S,2R)-2-hidroxi-1-(1H-indol-5-ilmetil)-3-[(3-metoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-[(3-etilbenzil)amino]-2-hidroxi-1-(1H-indol-5-ilmetil)propil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-(3-metilbenzil)propil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-(3-metilbenzil)propil]-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-((1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-[3-(trifluorometil)benzil]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-[3-(trifluorometil)benzil]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-[(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-(2-piridinilmetil)propil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-(2-piridinilmetil)propil]-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-{(1S,2R)-1-[3-fluoro-5-(trifluorometil)benzil]-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-[3-fluoro-5-(trifluorometil)benzil]-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-{(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-[3-(trifluorometoxi)benzil]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-[3-(trifluorometoxi)benzil]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-{(1S,2R)-2-hidroxi-1-(3-hidroxibenzil)-3-[(3-metoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-2-hidroxi-1-(3-hidroxibenzil)-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-[(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-(4-metilbenzil)propil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-(metilbenzil)propil]-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-{(1S,2R)-1-(4-fluoro-3-metilbenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1(4-fluoro-3-metilbenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-{(1S,2R)-1-(4-clorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(4-clorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-{(1S,2R)-2-hidroxi-1-(3-metoxibenzil)-3-[(3-metoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-2-hidroxi-1-(3-metoxibenzil)-3-[(3-metoxibenzil)amino]propil)-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

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N^1-{(1S,2R)-2-hidroxi-1-(4-metoxibenzil)-3-[(3-metoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoft~amida,

N^1-{(1S,2R)-2-hidroxi-1-(4-metoxibenzil)-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-{(1S,2R)-1-(3-cloro-5-fluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3-cloro-5-fluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-{(1S,2R)-1-(4-cloro-3-fluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(4-cloro-3-fluorobenzil)-2-hidroxi-3-[(3-metlioxibenzil)amino]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-{(1S,2R)-1-(3,5-diclorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoft~amida,

N^1-{(1S,2R)-1-(3,5-diclorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-{(1S,2R)-1[4-(dimetilamino)benzil]-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-[4~(dietilamino)benzil]-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-{(1S,2R)-1-(3~clorobenzil)-2-hidroxi-3-(3-metoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1(3-clorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1(3-fluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3-fluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-{(1S,2R)-2-hidroxi-1-(4-isopropilbenzil)-3-[(3-metoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-2-hidroxi-1-(4-isopropilbenzil)-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-{(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-[(6-inetoxi-2-piridinil)metil]propil}-5-metil-N^3,N^3-dipropilisoftal&nida,

N^1-{(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-[(6-metoxi-2-piridinil)metil]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-{(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-[(5-metil-2-piridinil)metil]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-[(5-metil-2-piridinil)metil]propil)-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-{(1S,2R)-1-(3-fluoro-4-metilbenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalaznida,

N^1-{(1S,2R)-1-(3-fluoro-4-inetilbenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-{(1S,2R)-1-(3-fluoro-4-metoxibenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3-fluoro-4-metoxibenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-[(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-(2-metoxi-5-metilbenzil)propil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-(2-metoxi-5-metilbenzil)propil]-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-[(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-(1,3-tiazol-2-ilmetil)propil]-5-metil-N^3,N^3 dipropilisoftalamida,

N^1-[(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-(1,3-tiazol-2-ilmetil)propil]-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-{(1S,2R)-1-[(5-cloro-2-tienil)metil]-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-[(5-cloro-2-tienil)metil]-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

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N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-4-hidroxi-3-(1-pirrolidinilcarbonil)benzamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-5-metil-2-[(metilsulfollil)amino]-1,3-tiazol-4-carboxamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-2-[(metilsulfonil)amino]-1,3-oxazol-4-carboxamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-2-[propilsulfonil)amino]-1,3-tiazol-4-carboxamida,

N-{(1S,2R)-1-(3,5-difluorobenzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-4-hidroxi-3-(1-pirrolidinilcarbonilbenzamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-(3-metoxibenzil)amino]propil}-2-[(propilsulfonil)amino]-1,3-tiazol-4-carboxamida,

N-{(1S,2R)-1-benzil-3-[(3-etilbenzil)amino]-2-hidroxipropil}-2-[(metilsulfonil)amino]-1,3-oxazolcarboxamida,

N-((1S,2R)-1-(3,5-difluorobenzil)-3-{[1-(3-etilfenil)ciclopropil]amino}-2-hidroxipropil)-2-[(metilsulfonil)amino]-1,3-oxazol-4-carboxamida,

N-((1S,2R)-1-(3,5-difluorobenzil)-3-{[1-(3-etilfenil)-1-metiletil]amino}-2-hidroxipropil)-4-hidroxi-3-(1-pirrolidinilcarbonil)benzamida,

N-((1S,2R)-1-(3,5-difluorobenzil)-3-{[1-(3-etilfenil)-1-metiletil]amino}-2-hidroxipropil)-2-[(metilsulfonil)amino]-1,3-oxazol-4-carboxamida,

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-2-[(metilsulfonil)amino]-1,3-oxazol-4-carboxamida,

N-((1S,2R)-1-(3,5-difluorobenzil)-3-{[1-(3-etilfenil)-1-metiletil]amino}-2-hidroxipropil)-5-metil-2-[(metilsulfonil)amino]-1,3-oxazol-4-carboxamida,

N-((1S,2R)-1-(3,5-difluorobenzil)-3-{[1-(3-etilfenil)ciclopropil]amino}-2-hidroxipropil)-4-hidroxi-3-(1-pirrolidinilcarbonil)benzamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etinilbenzil)amino]-2-hidroxipropil}-2-[(metilsulfonil)amino]-1,3-oxazol-4-carboxamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-2-[(metilsulfonil)amino]-1,3-oxazol-4-carboxamida,

N-{(1S,2R)-1(3,5-difluorobenzil)-3-[(3-etinilbenzil)amino]-2-hidroxipropil}-5-metil-2-[(metilsulfonil)amino]-1,3-oxazol-4-carboxamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-4-hidroxi-3-(1-piperidinilcarbonil)benzamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-4-[(metilsulfonil)amino]-1,3-oxazol-2-carboxamida,

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-yodobenzil)amino]propil}-2-[(metilsulfonil)amino]-1,3-oxazol-4-carboxamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-5-metil-4-[(metilsulfonil)amino]-1,3-oxazol-2-carboxamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-4-hidroxi-3-(1-piperidinilcarbonil)benzamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil)-[(metilsulfonil)amino]-1,3-oxazol-2-carboxamida,

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-yodobenzil)amino]propil}-5-metil-2-[(metilsulfonil)amino]-1,3-oxazol-4-carboxamida,

N-((1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-5-metil-4-[(metilsulfonil)amino]-1,3-oxazol-2-carboxamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-4-hidroxi-3-(4-morfolinilcarbonil)benzamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-4-[(etilsulfonil)amino]-1,3-oxazol-2-carboxamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-5-metil-2-[(metilsulfonil)amino]-1,3-oxazol-4-carboxamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-4-[(etilsulfonil)amino]-1,3-oxazol-2-carboxamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-4-hidroxi-3-(4-morfolinilcarbonil)benzamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-4-[(propilsulfonil)amino]-1,3-oxazol-2-carboxamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-metil-2-[(metilsulfonil)amino]-1,3-oxazol-4-carboxamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-4-[(metilsulfonil)amino]-1,3-tiazol-2-carboxamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-4-hidroxi-3-(1-piperazinilcarbonil)benzamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-(3-etilbenzil)amino]-2-hidroxipropil}-4-[(metilsulfonil)amino]-1,3-tiazol-2-carboxamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-5-metil-2-[(metilsulfonil)amino]-1,3-oxazol-4-carboxamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-2-[(metilsulfonil)amino]-1,3-oxazol-5-carboxamida,

N-{(1S,2R){1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-4-hidroxi-3-(1 piperazinilcarbonil)benzamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-4-metil-2-[(metilsufonil)amino]-1,3-oxazol-5-carboxamida,

N^4-{(1S,2R)-1(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-2-[(metilsulfonil)amino]-1,3-oxazol-4,5-dicarboxamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-2-[(metilsulfonil)amino]-1,3-oxazol-5-carboxamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-4-hidroxi-N^3-metilisoftalamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-4-metil-2-[(metilsulfonil)amino]-1,3-oxazol-5-carboxamida,

N-{(1S,2R)-1-(3,5-fluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-2-[(etilsulfonil)amino]-1,3-oxazol-4-carboxamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-5-[(metilsulfonilamino]-1,3-oxazol-2-carboxamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-hidroxi-N^3-metilisoftalamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-4-metil-5-[(metilsulfonil)amino]-1,3-oxazol-2-carboxamida,

N-{(1S,2R)-1-(3,5difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-2-[(etilsulfonil)amino]-1,3-oxazol-4-carboxamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-4-metil-5-[(metilsulfonil)amino]-1,3-oxazol-2-carboxamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3-etil-4-hidroxiisoftalamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-(3-etilbenzil)amino]-2-hidroxipropil}-5-[(metilsulfonil)amino]-1,3-oxazol-2-carboxamida,

N-{(1S,2R)-1-(3,5-difluorobenzil-2-hidroxi-3-[(3-yodobenzil)amino]propil}-2-[(etilsulfonil)amino-1,3-oxazol-4-carboxamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-5-[(metilsulfonil)amino]-3-isoxazolcarboxamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3-etil-4-hidroxiisoftalamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-5-[(metilsulfonil)amino]-3-isoxazolcarboxamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-

yodobenzil)amino]propil}-2-[propilsulfonil)amino]-1,3-oxazol-4-carboxamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-3-[(metilsulfonil)amino]-5-isoxazolcarboxamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3 [(3-yodobenzil)amino]propil}-N^3-etil-4-hidroxiisoftalamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-[(metilsulfonil)amino]-5-isoxazolcarboxamida,

N-{(1S,2R)-1-(3,5-difluorobenzil-{2-hidroxi-3-[(3-metoxibenzil)amino]propil}-2-[(propilsulfonil)amino]-1,3-oxazol-4-carboxamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-5-(hidroximetil)-2-[(metilsulfonil)amino]-1,3-oxazol-4-carboxamida,

N^3-(ciclopropilmetil)-N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-

4-hidroxiisoftalamida,

5-ciclopropil-N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzilamino)propil}-2-[(metilsulfonil)amino]-1,3-oxazol-4-carboxamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-2-[(propilsulfonil)amino]-1,3-oxazol-4-carboxamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-5-isopropil-2-[(metilsulfonil)amino]-1,3-oxazol-4-carboxamida,

N^3-(ciclopropilmetil)-N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-4-hidroxiisoftalamida,

N-[(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-(isopentilamino)propil]-2-[(metilsulfonil)amino]-1,3-oxazol-4-carboxamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-5-metil-2-

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[(propilsulfonil)amino]-1,3-oxazol-4-carboxamida,

N-[(1S,2R)-3-(ciclopropilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil]-2-[(metilsulfonil)amino-1,3-oxazol-4-carboxamida,

N-[(1S,2R)-3-[(3-etilbenzil)amino]-2-hidroxi-1-(4-hidroxibenzil)propil]-2-[(metilsulfonil)amino]-1,3-oxazol-4-carboxamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-4-hidroxi-N^3-isobutilisoftalamida,

2-{[(ciclopropilmetil)sulfonil]amino}-N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-1,3-oxazol-4-carboxamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-4-hidroxi-N^3-isobutil-N^3-metilisoftalamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-2-

[(isobutilsulfonil)amino]-1,3-oxazol-4-carboxamida,

N^3-(ciclopropilmetil)-N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-4-hidroxi-N^3-metilisoftalamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-2-((isobutilsulfonil)amino]-1,3-oxazol-4-carboxamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-4-hidroxi-N^3-metil-N^3-propilisoftalamida,

N-{(1S,2R)-1-(3,5-difluorobenzil-2-hidroxi-3-[(3-yodobenzil)amino]propil}-2-[(isobutilsulfonil)amino]-1,3-oxazol-4-carboxamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-4-hidroxi-N^3-metil-N^3-propilisoftalamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-2-[(fenilsulfonil)amino]-1,3-

oxazol-4-carboxamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3-etil-4-hidroxi-N^3-propilisoftalamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-2-{[(4-metilfenil)sulfonil]amino}-1,3-oxazol-4-carboxamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3-etil-4-hidroxi-N^3-propilisoftalamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-2-{[(4-metilfenil)sulfonil]amino}-1,3-oxazol-4-carboxamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-2-[4-(fenilsulfonil)amino]-1,3-oxazol-4-carboxamida,

N^1-[(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil)-4-hidroxi-N^3,N^3-

dipropilisoftalamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-2-[metil(metilsulfonil)amino]-1,3-oxazol-4-carboxamida,

N^1-{(1S,2R)-1-(3,54ifluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-4-hidroxi-N^3,N^3-dipropilisoftalamida,

N-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-2-[metil(metilsulfonil)amino]-1,3-oxazol-4-carboxamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)aminopropil}-4-hidroxi-N^3,N^3-dipropilisoftalamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-2-[(metilsulfonil)amino]-1,3-tiazol-4-carboxamida,

N-((1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-2-

[(metilsulfonil)amino]-1,3-tiazol-4-carboxamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-5-[(metilsulfonil)amino]-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-5-[(etilsulfonil)amino]-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[3-etilbenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropil-5-[(propilsulfonil)amino]isoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino)-2-hidroxipropil}-5-[(isopropilsulfonil)amino]-N^3,N^3-dipiopilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-5-[(isobutilsulfonil)amino]-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropil-5-

[(tien-2-ilsulfonil)amino]isoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-5-[(2-furilsulfonil)amino]-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropil-5-[(1,3-tiazol-5-ilsulfonil)amino]isoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-5-[(1,3-oxazol-5-ilsulfonil)amino]-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobeilzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-5-[(1,3-oxazol-4-ilsulfonil)amino]-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropil-5-[(1,3-tiazol-4-ilsulfonil)amino]isoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-5-([(1-metil-1-H-

imidazol-4-il)sulfonil]amino}-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-5-[(fenilsulfonil)amino]-N^3,N^3-dipropilisoftalamida,

5-{[(5-cianopiridin-2-il)sulfonil]amino}-N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3 N^3-dipropil-5-({[5-(trifluorometil)piridin-2-il]sulfonil}amino)isoftalamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-{[(1-metil-1H-imidazol-4-il)sulfonil]amino}benzamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-({[5-(trifluorometil)piridin-2-il]sulfonil}amino)benzamida,

3-{[(5-cianopiridin-2-il)sulfonil]amino}-N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-

2-hidroxipropil}benzamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-[(fenilsulfonil)amino]benzamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-[(metilsulfonil)amino]benzamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3 etilbenzil)amino]-2-hidroxipropil} 3-[(etilsulfonil)amino]benzamida,

N-{(1S,2R)-1-(3,5-difluorobeilzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-[(propilsulfonil)amino]benzamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-[(isobutilsulfonil)amino]benzamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-

[(isopropilsulfonil)amino]benzamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-{[(1-etilpropil)sulfonil]amino}benzamida,

3-[(ciclohexilsulfonil)amino]-N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}benzamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-{[(1-propilbutil)sulfonil]amino} benzamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-[(tien-2-ilsulfonil)amino]benzamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-[(2-furilsulfonil)amino]benzamida,

N-{(1S,2R)-1(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil} 3-[(isoxazoI-5-

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ilsulfonil)amino]benzamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-[(isoxazol-3-ilsulfonil)amino]benzamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-[(3-furilsulfonil)amino]benzamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-[(tien-3-il-sulfonil)amino]benzamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-[(1,3-tiazol-4-ilsulfonil)amino]benzamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-[(1,3-tiazol-5-ilsulfonil)amino]benzamida,

N-{(1S,2R)-1-(3,5-fluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-[(1,3-tiazol-2-

ilsulfonil)amino]benzamida,

N^1-[(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-(isopentilamino)propil]-N^3,N^3-dipropil-5-{[(trifluorometil)sulfonil]amino} softalamida,

N^1-[(1S,2R)-3-amino-1-(3,5-difluorobenzil)-2-hidroxipropil]-N^3,N^3-dipropil-5-{[(trifluorometil)sulfonil]amino}isoftalamida,

N^1-[(1S,2R)-3-amino-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-[(metilsulfonil)amino]-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-(isopentilamino)propil]-5-[(metilsulfonil)amino]-N^3,N^3-dipropilisoftalamida,

N^1-(tert-butil)-N^3-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}isoftalamida,

N^1-(tert-butil)-N^3-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-5-metilisoftalamida,

5-bromo-N^1-(tert-butil)-N^3-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil} isoftalamida,

3-tert-butoxi-N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil} benzamida,

3-tert-butoxi-N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-5-metilbenzamida,

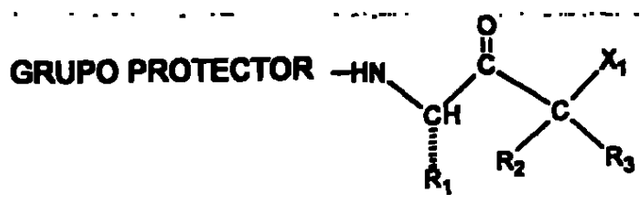
N-{(1S,2R)-1-(3,5-dilluorob~)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-([(trifluorometil)sulfonil]amino} benzamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-(trifluorometoxi)benzamida,

y

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilb~nzi~amino]-2-hidroxipropil}-3-metil-5-(trifluorometoxi)benzamida.

26. Un compuesto protegido de la fórmula (III)



(III)

Donde R_1, R_2, y R_3 son como se definió en la reivindicación 1;

Donde X_1 es -Cl, -Br, -I, -O-tosilato, -O-mesilato, o -O-nosilato;

Donde el GRUPO PROTECTOR se selecciona del grupo que consiste de t-butoxicarbonil, benziloxicarbonil, formil, tritilo, acetilo, tricloroacetilo, dicloroacetilo, cloroacetilo, trifluoroacetilo,

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difluoroacetilo, fluoroacetilo, 4-fenilbenziloxicarbonilo, 2-metilbenziloxicarbonilo, 4-etoxibenziloxicarbonilo, 4-fluorobenziloxicarbonilo, 4-clorobenziloxicarbonilo, 3-clorobenziloxicarbonilo, 2-clorobenziloxicarbonilo, 2,4-diclorobenziloxicarbonilo, 4-bromobenziloxicarbonilo, 3-bromobenziloxicarbonilo, 4-nitrobenziloxicarbonilo, 4-cianobenciloxicarbonilo, 2-(4-xenil)isopropoxicarbonil, 1,1-difenilet-1-iloxicarbonilo, 1,1-difenilprop-1-iloxicarbonilo, 2-fenilprop-2-iloxicarbonil, 2-(p-toluil)prop-2-iloxicarbonilo, ciclopentaniloxicarbonilo, 1-metilciclopentaniloxicarbonilo, ciclohexaniloxicarbonilo, 1-metilciclohexaniloxicabonil, 2-metilciclohexaniloxicarbonilo, 2-(4-toluilsulfonil)etoxicarbonilo, 2-(metilsulfonil)etoxicarbonilo, 2-(trifenilfosfino)etoxicarbonilo, fluorenilmetoxicarbonilo, 2-(trimetilsilil)etoxicarbonilo, aliloxicarbonilo, 1-(trimetilsililmetil)prop-1-eniloxicarbonilo, 5-benzisoxalilmetoxicarbonilo, 4-acetoxibenziloxicarbonil, 2,2,2-tricloroetoxicarbonilo, 2-etinil-2-propoxicarbonil, ciclopropilmetoxicarbonilo, 4-(deciloxil)benziloxicarbonilo, isoborniloxicarbonilo y 1-

piperidiloxicarbonilo, carbonato 9-fluorenilmetil, $-CH-CH=CH_2$ y fenil-C(=N-)-H.

27. Un compuesto protegido de la fórmula (III) de acuerdo con la reivindicación 26 donde R_1 es:

$-CH_2-(R_{1\text{-arilo}})$, o

$-CH_2-(R_{1\text{-heteroaril}})$.

28. Un compuesto protegido de la fórmula (III) de acuerdo con la reivindicación 27 en donde R1-arilo es fenilo.

29. Un compuesto protegido de la fórmula (III) de acuerdo con la reivindicación 28 donde el fenilo es sustituido con uno, dos o tres -F, -Cl, -Br o -I.

30. Un compuesto protegido de la fórmula (III) de acuerdo con la reivindicación 29 donde el fenilo es sustituido con uno o dos de -F.

31. Un compuesto protegido de la fórmula (III) de acuerdo con la reivindicación 30 donde el fenilo es sustituido con dos -F, en las posiciones 3- y 5- dando 3,5-difluorobenzil.

32. Un compuesto protegido de la fórmula (III) de acuerdo con la reivindicación 26 donde R_2 y R_3 son ambos -H.

33. Un compuesto protegido de la fórmula (III) de acuerdo con la reivindicación 26 donde el GRUPO PROTECTOR es t-butoxicarbonil.

34. Un compuesto protegido de la fórmula (III) de acuerdo con la reivindicación 26 donde el GRUPO PROTECTOR es benziloxicarbonil.

35. Un compuesto protegido de la fórmula (III) de acuerdo con la reivindicación 26 donde X_1 es -Cl o -Br.

36. Un compuesto protegido de la fórmula (III) de acuerdo a la reivindicación 26 el cual es seleccionado del grupo que consiste de:

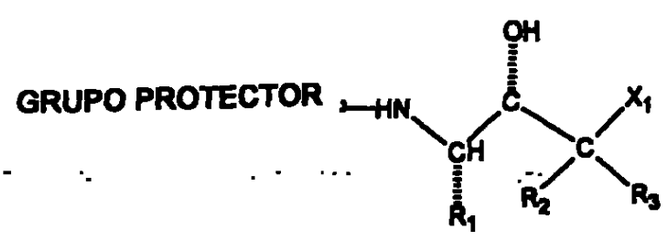
tert-butil (1S)-3-bromo-1-(3,5-difluorobenzil)-2-oxopropilcarbamato,

tert-butil (1S)-3-cloro-1-(3,5-difluorobenzil)-2-oxopropilcarbamato,

benzil (1S)-3-bromo-1-(3,5-difluorobenzil)-2-oxopropilcarbamato, y

benzil (1S)-3-cloro-1-(3,5-difluorobenzil)-2-oxopropilcarbamato.

37. Un alcohol de la fórmula (IV)



(IV)

donde R_1, R_2 y R_3 son como se definió en la reivindicación 1;

donde X_1 y el GRUPO PROTECTOR son como definió en la reivindicación 26.

38. Un alcohol de fórmula (IV) de acuerdo con la reivindicación 37 donde R1 es:

$$-CH_2-(R_{1\text{-arilo}}),\ \text{o}$$

$$-CH_2-(R_{1\text{-heteroaril}}).$$

39. Un alcohol de fórmula (IV) de acuerdo con la reivindicación 38 donde $R_{1\text{-arilo}}$ es fenilo.

40. Un alcohol de fórmula (IV) de acuerdo con la reivindicación 39 donde el fenilo es sustituido con uno, dos o tres -F, -Cl, -Br o -I.

41. Un alcohol de fórmula (IV) de acuerdo con la reivindicación 40 donde el fenilo es sustituido con uno o dos -F.

42. Un alcohol de fórmula (IV) de acuerdo con la reivindicación 41 donde el fenilo es sustituido con dos -F en las posiciones 3- y 5- dando 3,5-difluorobenzil.

43. Un alcohol de fórmula (IV) de acuerdo con la reivindicación 37 donde R_2 y R_3 son ambos -H.

44. Un alcohol de fórmula (IV) de acuerdo con la reivindicación 37 donde el GRUPO PROTECTOR es t-butoxicarbonilo.

45. Un alcohol de fórmula (IV) de acuerdo con la reivindicación 37 benziloxicarbonilo.

46. Un alcohol de fórmula (IV) de acuerdo con la reivindicación 37 donde X^1 es -Cl o -Br.

47. Un alcohol de fórmula (IV) de acuerdo con la reivindicación 37 el cual se selecciona del grupo que consiste de:

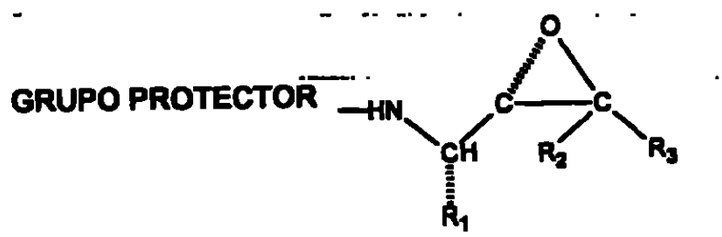
tert-butil (1S, 2S)-3-bromo-1-(3,5-difluorobenzil)-2-hidroxipropilcarbamato,

tert-butil (1S, 2S)-3-cloro-1-(3,5-difluorobenzil)-2- hidroxipropilcarbamato,

benzil (1S, 2S)-3-bromo-1-(3,5-difluorobenzil)-2-hidroxipropilcarbamato, y

benzil (1S, 2S)-3-cloro-1-(3,5-difluorobenzil)-2-hidroxipropilcarbamato.

48. Un epóxido de la fórmula (V)



(V)

donde R_2 y R_3 son como se definió en la reivindicación 1;

donde el GRUPO PORTECTOR es como se definió en la reivindicación 26 y

donde $_{R1}$ es:

$-CH_2$-fenil donde -fenil es sustituido con dos -F,

$-(CH_2)_{n1}-R_{1\text{-heteroarilo}}$ donde $R_{1\text{-heteroarilo}}$ es como se definió en la reivindicación 1 o

$-(CH_2)_{n1}-R_{1\text{-heterociclo}}$ donde $R_{1\text{-heterociclo}}$ es como se definió en la reivindicación 1.

49. Un epóxido de la fórmula (V) de acuerdo con la reivindicación 48 en donde $_{n1}$ es 1.

50. Un epóxido de la fórmula (V) de acuerdo con la reivindicación 48 en donde $_{n1}$ es 1.

51. Un epóxido de la fórmula (V) de acuerdo con la reivindicación 48 en donde R_1 es:

$-(CH_2)_{n1}-(R_{1\text{-heterociclo}})$

52. Un epóxido de la fórmula (V) de acuerdo con la reivindicación 48 en donde $_{n1}$ es 1.

53. Un epóxido de la fórmula (V) de acuerdo con la reivindicación 48 en donde el fenilo es sustituido en las posiciones -3 y -5 dando 3,5-difluorobenzil.

54. Un epóxido de la fórmula (V) de acuerdo con la reivindicación 48 en donde R_2 y R_3 son ambos -H.

55. Un epóxido de la fórmula (V) de acuerdo con la reivindicación 48 en donde el GRUPO PROTECTOR es t-butoxicarbonilo.

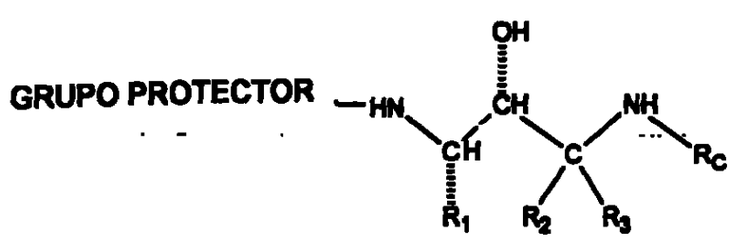
56. Un epóxido de la fórmula (V) de acuerdo con la reivindicación 48 en donde el GRUPO PROTECTOR es benziloxicarbonilo.

57. Un epóxido de la fórmula (V) de acuerdo con la reivindicación 48 el cual se selecciona del grupo quie consiste de:

tert-butil (1S)-2-(3,5-difluorobenzil)-1-[(2S)-oxiranil]etilcarbamato, y

benzil (1S)-2-(3,5-difluorobenzil)-1-[(2S)-oxiranil]etilcarbamato.

58. Un alcohol protegido de la fórmula (VII)



(VII)

donde R_2, R_3 y R_o son como se definió en la reivindicación 1,

donde el GRUPO PROTECTOR es como se definió en la reivindicación 26 y donde R_1 es:

$-CH_2$-fenil donde -fenil es sustituido con dos -F,

$-(CH_2)_{n1}-R_{1\text{-heteroarilo}}$ donde $R_{1\text{-heteroarilo}}$ es como se definió en la reivindicación 1 o

$-(CH_2)_{n1}-R_{1\text{-heterociclo}}$ donde $R_{1\text{-heterociclo}}$ es como se definió en la reivindicación 1,

sales químicamente aceptables de este.

59. Un alcohol protegido de la fórmula (VII) de acuerdo con la reivindicación 58 donde R_1 es:

$$-(CH_2)_{n1}-(R_{1\text{-heteroaril}}).$$

60. Un alcohol protegido de la fórmula (VII) de acuerdo con la reivindicación 59 donde $_{n1}$ es 1.

61. Un alcohol protegido de la fórmula (VII) de acuerdo con la reivindicación 58 donde R_1 es:

$$-(CH_2)_{n1}-(R_{1\text{-heterociclo}})$$

62. Un alcohol protegido de la fórmula (VII) de acuerdo con la reivindicación 61 donde $_{n1}$ es 1.

63. Un alcohol protegido de la fórmula (VII) de acuerdo con la reivindicación 58 donde el fenilo es sustituido en las posiciones 3- y 5- dando 3,5-difluorobenzil.

64. Un alcohol protegido de la fórmula (VII) de acuerdo con la reivindicación 58 donde R_2 y R_3 son ambos -H.

65. Un alcohol protegido de la fórmula (VII) de acuerdo con la reivindicación 58 donde el GRUPO PROTECTOR es t-butoxicarbonil.

66. Un alcohol protegido de la fórmula (VII) de acuerdo con la reivindicación 58 donde el GRUPO PROTECTOR es benziloxicarbonil.

67. Un alcohol protegido de la fórmula (VII) de acuerdo con la reivindicación 58 donde R_c es:

-H,

alquilo con 1 a 8 átomos de carbono,

$-(CH_2)_{0-3}$-cicloalquilo con 3 a 7 átomos de carbono,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-arilo}$,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heteroarilo}$,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heterociclo}$, o

anillo -ciclopentilo, -ciclohexilo, cicloheptilo fusionado a $R_{C-arilo}$ o $R_{C-heteroarilo}$ o $R_{C-heterociclo}$ donde $R_{C-arilo}$ o $R_{C-heteroarilo}$ o $R_{C-heterociclo}$ son como se definió en la reivindicación 1.

68. Un alcohol protegido de la fórmula (VII) de acuerdo con la reivindicación 67 donde R_c es:

alquilo con 1 a 8 átomos de carbono,

$-(CH_2)_{0-3}$-cicloalquilo con 3 a 7 átomos de carbono,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-arilo}$,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heteroarilo}$,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heterociclo}$, o

anillo -ciclopentilo, -ciclohexilo, cicloheptilo fusionado a $R_{C-arilo}$ o $R_{C-heteroarilo}$ o $R_{C-heterociclo}$ donde $R_{C-arilo}$ o $R_{C-heteroarilo}$ o $R_{C-heterociclo}$ son como se definió en la reivindicación 1.

69. Un alcohol protegido de la fórmula (VII) de acuerdo con la reivindicación 68 donde R_c es:

alquilo con 1 a 8 átomos de carbono,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-arilo}$,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heteroarilo}$,

anillo -ciclopentilo, -ciclohexilo, cicloheptilo fusionado a $R_{C-arilo}$ o $R_{C-heteroarilo}$ o $R_{C-heterociclo}$ donde $R_{C-arilo}$ o $R_{C-heteroarilo}$ o $R_{C-heterociclo}$ son como se definió en la reivindicación 1.

70. Un alcohol protegido de la fórmula (VII) de acuerdo con la reivindicación 58 el cual se selecciona del grupo que consiste de:

Tert-butil(1S,2R)-1-benzil-3-(etilamino)-2-hidroxipropilcarbamato

Tert-butil(1S,2R)-1-benzil-3-(benzilamino)-2-hidroxipropilcarbamato

Tert-butil(1S,2R)-1-benzil-3-(tert-butilamino)-2-hidroxipropilcarbamato

Tert-butil(1S,2R)-1-benzil-2-hidroxi-3-[(4-metilbenzil)amino]propilcarbamato

Tert-butil(1S,2R)-1-benzil-2-hidroxi-3-{[2-(4-metoxifenil)etil]amino}propilcarbamato

Tert-butil(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propilcarbamato

Etil({(2R,3S)-3-[(tert-butoxicarbonil)amino]-2-hidroxi-4-fenilbutil}amino)(fenil)acetato

Tert-butil(1S,2R)-1-benzil-2-hidroxi-3-[(2-feniletil)amino]propilcarbamato

Tert-butil(1S,2R)-1-benzil-2-hidroxi-3-{[(1S)-2-hidroxi-1-(hidroximetil)-2-(4-nitrofenil)etil]amino}propilcarbamato

Tert-butil(1S,2R)-1-benzil-3-[(2-clorobenzil)amino]-2-hidroxipropilcarbamato

Tert-butil(1S,2R)-1-benzil-3-[(4-clorobenzil)amino]-2-hidroxipropilcarbamato

Tert-butil(1S,2R)-1-benzil-2-hidroxi-3-{[2-(2-hidroxietoxi)etil]amino}propilcarbamato

Tert-butil(1S,2R)-1-benzil-3-(2,3-dihidro-1H-inden-1-ilamino)-2-hidroxipropilcarbamato

Tert-butil(1S,2R)-1-benzil-2-hidroxi-3-[(2-(2-hidroxipropil)amino]propilcarbamato

Tert-butil(1S,2R)-1-benzil-2-hidroxi-3-[(tetrahidro-2-furanilmetil)amino]propilcarbamato

Tert-butil(1S,2R)-1-benzil-3-(2,2-dietoxietil)amino]-2-hidroxipropilcarbamato

Tert-butil(1S,2R)-1-benzil-2-hidroxi-3-(pentilamino)propilcarbamato

Tert-butil(1S,2R)-1-benzil-3-(ciclohexilamino)-2-hidroxipropilcarbamato

Tert-butil(1S,2R)-1-benzil-2-hidroxi-3-[(2-piridinilmetil)amino]propilcarbamato

Tert-butil(1S,2R)-3-[(2-aminobenzil)amino]-1-benzil-2-hidroxipropilcarbamato

Tert-butil(1S,2R)-1-benzil-2-hidroxi-3-[(3-piridinilmetil)amino]propilcarbamato

Tert-butil(1S,2R)-1-benzil-2-hidroxi-3-{[2-(1-pirrolidinil)etil]amino}propilcarbamato

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Tert-butil(1S,2R)-1-benzil-2-hidroxi-3-[(2-hidroxi-2-feniletil)amino]propilcarbamato

Tert-butil(1S,2R)-1-benzil-3-[(3-butoxipropil)amino]-2-hidroxipropilcarbamato

Tert-butil(1S,2R)-1-benzil-2-hidroxi-3-[(3-isopropoxipropil)amino]propilcarbamato

Tert-butil(1S,2R)-1-benzil-2-hidroxi-3-(3-isopentilamino)propilcarbamato

Tert-butil(1S,2R)-1-benzil-2-hidroxi-3-[(3-fenilpropil)amino]propilcarbamato

Tert-butil(1S,2R)-1-benzil-2-hidroxi-3-[(2-metoxietil)amino]propilcarbamato

Tert-butil(1S,2R)-1-benzil-2-hidroxi-3-[(2-fenoxietil)amino]propilcarbamato

Tert-butil(1S,2R)-1-benzil-2-hidroxi-3-[(2-propoxietil)amino]propilcarbamato

Tert-butil(1S,2R)-1-benzil-3-[(3,3-dimetilbutil)amino]-2-hidroxipropilcarbamato

Tert-butil(1S,2R)-1-benzil-2-hidroxi-3-[(4-fenilbutil)amino]propilcarbamato

Tert-butil(1S,2R)-1-benzil-2-hidroxi-3-[(3-yodobenzil)amino]propilcarbamato

Tert-butil(1S,2R)-1-benzil-2-hidroxi-3-[(4-nitrobenzil)amino]propilcarbamato

Tert-butil(1S,2R)-1-benzil-3-[(3-clorobenzil)amino]-2-hidroxipropilcarbamato

Tert-butil(1S,2R)-1-benzil-3-[(4-clorobenzil)amino]-2-hidroxipropilcarbamato

Tert-butil(1S,2R)-1-benzil-2-hidroxi-3-{[2-(2-piridinil)etil]amino}propilcarbamato

Tert-butil(1S,2R)-1-benzil-2-hidroxi-3-[(4-piridinilmetil)amino]propilcarbamato

Tert-butil(1S,2R)-1-benzil-2-hidroxi-3-{[2-(1-metil-2-pirrolidinil)etil]amino}propilcarbamato

Tert-butil(1S,2R)-1-benzil-3-[(2,3-dimetilbenzil)amino]-2-hidroxipropilcarbamato

Tert-butil(1S,2R)-1-benzil-2-hidroxi-3-{[2-(trifluorometoxi)benzil]amino}propilcarbamato

Tert-butil(1S,2R)-1-benzil-3-[(2-cloro-6-fenoxibenzil)amino]-2-hidroxipropilcarbamato

Tert-butil(1S,2R)-1-benzil-2-hidroxi-3-{[4-(trifluorometil)benzil]amino}propilcarbamato

Tert-butil(1S,2R)-1-benzil-3-[(2,3-diclorobenzil)amino]-2-hidroxipropilcarbamato

Tert-butil(1S,2R)-1-benzil-3-[(3,5-diclorobenzil)amino]-2-hidroxipropilcarbamato

Tert-butil(1S,2R)-1-benzil-3-[(3,5-difluorobenzil)amino]-2-hidroxipropilcarbamato

Tert-butil(1S,2R)-1-benzil-2-hidroxi-3-{[4-(trifluorometoxi)benzil]amino}propilcarbamato

Tert-butil(1S,2R)-3-{[4-(aminosulfonil)benzil]amino}-1-benzil-2-hidroxipropilcarbamato

Tert-butil(1S,2R)-1-benzil-2-hidroxi-3-[(4-(metoxibenzil)amino]propilcarbamato

Tert-butil(1S,2R)-1-benzil-2-hidroxi-3-[(4-(metilbenzil)amino]propilcarbamato

Tert-butil(1S,2R)-1-benzil-2-hidroxi-3-[(3,4,5-(trimetoxibenzil)amino]propilcarbamato

Tert-butil(1S,2R)-1-benzil-2-hidroxi-3-{[3-(trifluorometoxi)benzil]amino}propilcarbamato

Tert-butil(1S,2R)-1-benzil-3-[(3,5-dimetoxibenzil)amino]-2-hidroxipropilcarbamato

Tert-butil(1S,2R)-1-benzil-3-[(2,4-dimetoxibenzil)amino]-2-hidroxipropilcarbamato

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Tert-butil(1S,2R)-1-benzil-3-[([1,1'-bifenil]-3-ilmetil)amino]-2-hidroxipropilcarbamato

Tert-butil(1S,2R)-1-benzil-3-[(3,4-diclorobenzil)amino]-2-hidroxipropilcarbamato

Tert-butil(1S,2R)-1-benzil-3-[(4-fluorobenzil)amino]-2-hidroxipropilcarbamato

Tert-butil(1S,2R)-1-benzil-2-hidroxi-3-{[3-(trifluorometil)benzil]amino}propilcarbamato

Tert-butil(1S,2R)-1-benzil-2-hidroxi-3-[(2-metilbenzil)amino]propilcarbamato

Tert-butil(1S,2R)-1-benzil-2-hidroxi-3-{[(1R)-1-feniletil]amino}propilcarbamato

Tert-butil(1S,2R)-1-benzil-2-hidroxi-3-{[(1S)-1-feniletil]amino}propilcarbamato

Tert-butil(1S,2R)-1-benzil-3-{[3,5-bis(trifluorometil)benzil]amino}-2-hidroxipropilcarbamato

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Tert-butil(1S,2R)-1-benzil-2-hidroxi-3-{[2-(trifluorometil)benzil]amino}propilcarbamato

Tert-butil(1S,2R)-1-benzil-2-hidroxi-3-{[(1S)-1-(1-naftil)etil]amino}propilcarbamato

Tert-butil(1S,2R)-1-benzil-2-hidroxi-3-{[(1R)-1-(1-naftil)etil]amino}propilcarbamato

Tert-butil(1S,2R)-1-benzil-2-hidroxi-3-[(4-hidroxi-3-metoxibenzil)amino]propilcarbamato

Tert-butil(1S,2R)-1-benzil-3-[(3,4-dihidroxibenzil)amino]-2-hidroxipropilcarbamato

Tert-butil(1S,2R)-1-benzil-2-hidroxi-3-[(3-hidroxi-3-metoxibenzil)amino]propilcarbamato

Tert-butil(1S,2R)-1-benzil-2-hidroxi-3-{[(1S)-2-hidroxi-1-metiletil]amino}propilcarbamato

Tert-butil(1S,2R)-1-benzil-2-hidroxi-3-{[(1R)-2-hidroxi-1-metiletil]amino}propilcarbamato

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Tert-butil(1S,2R)-1-benzil-2-hidroxi-3-(2-propinilamino)propilcarbamato

Tert-butil(1S,2R)-1-benzil-3-{[2-(2-fluorofenil)etil]-amino}-2-hidroxipropilcarbamato

Tert-butil(1S,2R)-1-benzil-3-{[2-(3-fluorofenil)etil]-amino}-2-hidroxipropilcarbamato

Tert-butil(1S,2R)-1-benzil-3-{[2-(4-fluorofenil)etil]-amino}-2-hidroxipropilcarbamato

Tert-butil(1S,2R)-1-benzil-3-{[2-(4-bromofenil)etil]-amino}-2-hidroxipropilcarbamato

Tert-butil(1S,2R)-1-benzil-2-hidroxi-3-{[2-(3-metoxifenil)etil]amino}propilcarbamato

Tert-butil(1S,2R)-1-benzil-3-{[2-(2,4-diclorofenil)etil]amino}-2-hidroxipropilcarbamato

Tert-butil(1S,2R)-1-benzil-3-{[2-(3-cloroofenil)etil]amino}-2-hidroxipropilcarbamato

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Tert-butil(1S,2R)-1-benzil-3-{[2-(2,6-dimetoxifenil)etil]amino}-2-hidroxipropilcarbamato

Tert-butil(1S,2R)-1-benzil-2-hidroxi-3-{[2-(4-metilfenil)etil]amino}propilcarbamato

Tert-butil(1S,2R)-1-benzil-3-{[(1R)-1-benzil-2-hidroxietil]amino}-2-hidroxipropilcarbamato

Tert-butil(1S,2R)-1-benzil-2-hidroxi-3-{[3-(4-morfolinil)propil]amino}propilcarbamato

Tert-butil(1S,2R)-1-benzil-3-[(3,3-dimetilbutil)amino]-2-hidroxipropilcarbamato

Tert-butil(1S,2R)-1-benzil-2-hidroxi-3-{[2-(4-morfolinil)etil]amino}propilcarbamato

Tert-butil(1S,2R)-1-benzil-2-hidroxi-3-[(1-hidroxipropil)amino]propilcarbamato

Tert-butil(1S,2R)-1-benzil-2-hidroxi-3-[(2-tienilmetil)amino]propilcarbamato

Tert-butil(1S,2R)-1-benzil-2-hidroxi-3-[(4-hidroxibutil)amino]propilcarbamato

Tert-butil(1S,2R)-1-benzil-2-hidroxi-3-{[(1S)-2-hidroxi-1-feniletil]amino}propilcarbamato

Tert-butil(1S,2R)-1-benzil-3-[(2,4-diclorobenzil)amino]-2-hidroxipropilcarbamato

Tert-butil(1S,2R)-1-benzil-2-hidroxi-3-{[(1R)-2-hidroxi-1-feniletil]amino}propilcarbamato

Tert-butil(1S,2R)-1-benzil-3-[(3-tert-butilbenzil)amino]-2-hidroxipropilcarbamato

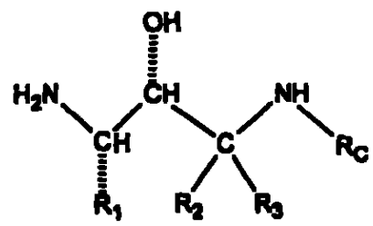
Tert-butil(1S,2R)-1-benzil-2-hidroxi-3-[(1-feniletil)amino]propilcarbamato

Tert-butil(1S,2R)-1-benzil-2-hidroxi-3-{[(1R,2S)-2-hidroxi-2,3-dihidro-1H-inden-1-il]amino}propilcarbamato

Tert-butil(1S,2R)-1-benzil-3-[(3,4-dimetilbenzil)amino]-2-hidroxipropilcarbamato

1239

71. Una amina de la fórmula (VIII)



(VIII)

donde R_2, R_3 y R_C son como se definió en la reivindicación 1, y donde R_1 es:

$-CH_2$-fenilo donde -fenilo se sustituye con dos -F,

$-(CH_2)_{n1}-R_{1\text{-heteroarilo}}$ donde $R_{1\text{-heteroarilo}}$ es como se definió en la reivindicación 1 o

$-(CH_2)_{n1}-R_{1\text{-heterociclo}}$ donde $R_{1\text{-heterociclo}}$ es como se definió en la reivindicación 1, y sales aceptables químicamente de ella.

72. Una amina de la fórmula (VIII) de acuerdo con la reivindicación 71 donde R_1 es:

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$-(CH_2)_{n1}-(R_{1\text{-heteroarilo}})$.

73. Una amina de la fórmula (VIII) de acuerdo con la reivindicación 72 donde n_1 es 1.

74. Una amina de la fórmula (VIII) de acuerdo con la reivindicación 71 donde R_1 es

$-(CH_2)_{n1}-(R_{1\text{-heterociclo}})$.

75. Una amina de la fórmula (VIII) de acuerdo con la reivindicación 74 donde n_1 es 1.

76. Una amina de la fórmula (VIII) de acuerdo con la reivindicación 71 donde el fenilo es sustituido con las posiciones -3 y -5 dando 3,5-difluorofenil.

77. Una amina de la fórmula (VIII) de acuerdo con la reivindicación 71 donde R_2 y R_3 son ambos -H.

78. Una amina de la fórmula (VIII) de acuerdo con la reivindicación 71 donde R_c es:

-H,

-alquilo con 1 a 8 átomos de carbono,

$-(CH_2)_{0-3}$-cicloalquilo con 3 a 7 átomos de carbono,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-arilo}$,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heteroarilo}$,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heterociclo}$, o

anillo -ciclopentil, -ciclohexil, o -cicloheptil fusionado a $R_{C-arilo}$ o $R_{C-heteroarilo}$ o $R_{C-heterocilo}$ donde $R_{C-arilo}$ o $R_{C-heteroarilo}$ o $R_{C-heterocilo}$ son como se definió en la reivindicación 1.

79. Una amina de la fórmula (VIII) de acuerdo con la reivindicación 78 donde R_C es:

-alquilo con 1 a 8 átomos de carbono,

$-(CH_2)_{0-3}$-cicloalquilo con 3 a 7 átomos de carbono,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-arilo}$,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heteroarilo}$,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heterociclo}$, o

anillo -ciclopentil, -ciclohexil, o -cicloheptil fusionado a $R_{C-arilo}$ o $R_{C-heteroarilo}$ o $R_{C-heterocilo}$ donde $R_{C-arilo}$ o $R_{C-heteroarilo}$ o $R_{C-heterocilo}$ son como se definió en la reivindicación 1.

80. Una amina de la fórmula (VIII) de acuerdo con la reivindicación 79 donde R_C es:

-alquilo con 1 a 8 átomos de carbono,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-arilo}$,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heteroarilo}$, o

anillo -ciclopentil, -ciclohexil, o -cicloheptil fusionado a $R_{C-arilo}$ o $R_{C-heteroarilo}$ o $R_{C-heterocilo}$ donde $R_{C-arilo}$ o $R_{C-heteroarilo}$ o $R_{C-heterocilo}$ son como se definió anteriormente.

81. Una amina de la fórmula (VIII) de acuerdo con la reivindicación 71 la cual se selecciona del grupo que consiste de:

(2R,3S)-3-amino-4-(3,5-difluorofenil)-1-[(3-metoxibenzil)amino]-2-butanol

(2R,3S)-3-amino-1-(etilamino)-4-fenil-2-butanol

(2R,3S)-3-amino-1-(benzilamino)-4-fenil-2-butanol

(2R,3S)-3-amino-1-(isopropilamino)-4-fenil-2-butanol

(2R,3S)-3-amino-1-[(4-metilbenzil)amino]-4-fenil-2-butanol

(2R,3S)-3-amino-1-{[2-(4-metoxifenil)etil]amino}-4-fenil-2-butanol

(2R,3S)-3-amino-1-[(3-metoxibenzil)amino]-4-fenil-2-butanol

Etil{[(2R,3S)-3-amino-2-hidroxi-4-fenilbutil]amino}(fenil)acetato

(2R,3S)-3-amino-4-fenil-1-[(2-feniletil)amino]-2-butanol

(2S)-2-{[(2R,3S)-3-amino-2-hidroxi-4-fenilbutil]amino}-1-(4-nitrofenil)-1,3-propanediol

(2R,3S)-3-amino-1-[(2-clorobenzil)amino]-4-fenil-2-butanol

(2R,3S)-3-amino-1-[(4-clorobenzil)amino]-4-fenil-2-butanol

1244

(2R,3S)-3-amino-1-{[2-(2-hidroxietoxi)etil]amino}-4-fenil-2-butanol

(2R,3S)-3-amino-1-(2,3-dihidro-1H-inden-1-ilamino)-4-fenil-2-butanol

(2R,3S)-3-amino-1-[(2-hidroxipropil)amino]-4-fenil-2-butanol

(2R,3S)-3-amino-4-fenil-1-[(tetrahidro-2-furanilmetil)amino]-2-butanol

(2R,3S)-3-amino-1-[(2,2-dietoxietil)amino]-4-fenil-2-butanol

(2R,3S)-3-amino-1-(butilamino)-4-fenil-2-butanol

(2R,3S)-3-amino-1-(ciclohexilamino)-4-fenil-2-butanol

(2R,3S)-3-amino-4-fenil-1-[(2-piridinilmetil)amino]-2-butanol

1245

(2R,3S)-3-amino-1-[(2-aminobenzil)amino]-4-fenil-2-butanol

(2R,3S)-3-amino-4-fenil-1-[(3-piridinilmetil)amino]-2-butanol

(2R,3S)-3-amino-4-fenil-1-{[2-(1-pirrolidinil)etil]amino}-2-butanol

(2R,3S)-3-amino-1-[(2-hidroxi-feniletil)amino]-4-fenil-2-butanol

(2R,3S)-3-amino-1-[(3-butoxipropil)amino]-4-fenil-2-butanol

(2R,3S)-3-amino-1-[(3-isopropoxipropil)amino]-4-fenil-2-butanol

(2R,3S)-3-amino-1-[(2-isopentilamino)-4-fenil-2-butanol

(2R,3S)-3-amino-4-fenil-1-[(3-fenilpropil)amino]-2-butanol

1246

(2R,3S)-3-amino-1-[(2-metoxietil)amino]-4-fenil-2-butanol

(2R,3S)-3-amino-1-[(2-fenoxietil)amino]-4-fenil-2-butanol

(2R,3S)-3-amino-4-fenil-1-[(2-propoxietil)amino]-2-butanol

(2R,3S)-3-amino-1-[(3,3-dimetilbutil)amino]-4-fenil-2-butanol

(2R,3S)-3-amino-1-[(3-yodobenzil)amino]-4-fenil-2-butanol

(2R,3S)-3-amino-1-[(4-nitrobenzil)amino]-4-fenil-2-butanol

(2R,3S)-3-amino-1-[(3-clorobenzil)amino]-4-fenil-2-butanol

(2R,3S)-3-amino-1-{[2-(4-clorofenil)etil]amino}-4-fenil-2-butanol

1247

(2R,3S)-3-amino-4-fenil-1-{[2-(2-piridinil)etil]amino}-2-butanol

(2R,3S)-3-amino-4-fenil-1-[(4-piridinilmetil)amino]-2-butanol

(2R,3S)-3-amino-1-{[2-(1-metil-2-pirrolidinil)etil]amino}-4-fenil-2-butanol

(2R,3S)-3-amino-1-[(2,3-dimetilbenzil)amino]-4-fenil-2-butanol

(2R,3S)-3-amino-4-fenil-1-{[2-(trifluorometoxi)benzil]amino}-2-butanol

(2R,3S)-3-amino-1-[(2-cloro-6-fenoxibenzil)amino]-4-fenil-2-butanol

(2R,3S)-3-amino-4-fenil-1-{[4-(trifluorometil)benzil]amino}-2-butanol

(2R,3S)-3-amino-1-[(2,3-(diclorobenzil)amino]-4-fenil-2-butanol

(2R,3S)-3-amino-1-[(3,5-(diclorobenzil)amino]-4-fenil-2-butanol

(2R,3S)-3-amino-1-[(3,5-(difluorobenzil)amino]-4-fenil-2-butanol

(2R,3S)-3-amino-1-{[4-(trifluorometoxi)benzil]amino}-2-butanol

4-({[(2R,3S)-3-amino-2-hidroxi-4-fenilbutil]amino}metil)bencenosulfonamida

(2R,3S)-3-amino-1-[(4-metoxibenzil)amino]-4-fenil-2-butanol

(2R,3S)-3-amino-1-[(4-metilbenzil)amino]-4-fenil-2-butanol

(2R,3S)-3-amino-4-fenil-1-[(3,4,5-trimetoxibenzil)amino]-2-butanol

(2R,3S)-3-amino-4-fenil-1-{[3-(trifluorometoxi)benzil]amino}-2-butanol

1249

(2R,3S)-3-amino-1-[(3,5-dimetoxibenzil)amino]-4-fenil-2-butanol

(2R,3S)-3-amino-1-[(2,4-dimetoxibenzil)amino]-4-fenil-2-butanol

(2R,3S)-3-amino-1-[([1,1'-bifenil]-3-ilmetil)amino]-4-fenil-2-butanol

(2R,3S)-3-amino-1-[(3,4-diclorobenzil)amino]-4-fenil-2-butanol

(2R,3S)-3-amino-1-[(2-fluorobenzil)amino]-4-fenil-2-butanol

(2R,3S)-3-amino-4-fenil-1-{[3-(trifluorometil)benzil]amino}-2-butanol

(2R,3S)-3-amino-1-[(2-metilbenzil)amino]-4-fenil-2-butanol

(2R,3S)-3-amino-4-fenil-1-{[(1R)-1-feniletil]amino}-2-butanol

1250

(2R,3S)-3-amino-4-fenil-1-{[(1S)-1-feniletil]amino}-2-butanol

(2R,3S)-3-amino-1-{[3,5-bis(trifluorometil)benzil]amino}-4-fenil-2-butanol

(2R,3S)-3-amino-4-fenil-1-{[2(trifluorometil)benzil]amino}-2-butanol

(2R,3S)-3-amino-1-{[(1S)-1-(1-naftil)etil]amino}-4-fenil-2-butanol

(2R,3S)-3-amino-1-{[(1R)-1-(1-naftilo)etil]amino}-4-fenil-2-butanol

4-({[(2R,3S)-3-amino-2-hidroxi-4-fenilbutil]amino}metil)-2-metoxifenol

4-({[(2R,3S)-3-amino-2-hidroxi-4-fenilbutil]amino}metil)-1,2-bencenodiol

(2R,3S)-3-amino-1-[(3-metoxipropil)amino]-4-fenil-2-butanol

(2R,3S)-3-amino-1-{[(1S)-2-hidroxi-1-metiletil]amino}-4-fenil-2-butanol

(2R,3S)-3-amino-1-{[(1R)-2-hidroxi-1-metiletil]amino}-4-fenil-2-butanol

(2R,3S)-3-amino-4-fenil-1-(2-propinilamino)-2-butanol

(2R,3S)-3-amino-1-{[2-(2-fluorofenil)etil]amino}-4-fenil-2-butanol

(2R,3S)-3-amino-1-{[2-(3-fluorofenil)etil]amino}-4-fenil-2-butanol

(2R,3S)-3-amino-1-{[2-(4-fluorofenil)etil]amino}-4-fenil-2-butanol

(2R,3S)-3-amino-1-{[2-(4-bromofenil)etil]amino}-4-fenil-2-butanol

(2R,3S)-3-amino-1-{[2-(3-metoxifenil)etil]amino}-4-fenil-2-butanol

1252

(2R,3S)-3-amino-1-{[2-(2,4-diclorofenil)etil]amino}-4-fenil-2-butanol

(2R,3S)-3-amino-1-{[2-(3-clorofenil)etil]amino}-4-fenil-2-butanol

(2R,3S)-3-amino-1-{[2-(2,5-dimetoxifenil)etil]amino}-4-fenil-2-butanol

(2R,3S)-3-amino-1-{[2-(4-metilfenil)etil]amino}-4-fenil-2-butanol

(2R,3S)-3-amino-1-{[(1R)-1-benzil-2-hidroxietil]amino}-4-fenil-2-butanol

(2R,3S)-3-amino-1-{[3-(4-morfolinil)propil]amino}-4-fenil-2-butanol

(2R,3S)-3-amino-1-(isobutilamino)-4-fenil-2-butanol

(2R,3S)-3-amino-1-{[2-(4-morfolinil)etil]amino}-4-fenil-2-butanol

~~1252~~
1253

(2R,3S)-3-amino-4-fenil-1-[(2-hidroxibutil)amino]-2-butanol

(2R,3S)-3-amino-4-fenil-1-{[2-(2-tienil)etil]amino}-2-butanol

4-{[(2R,3S)-3-amino-2-hidroxi-4-fenilbutil]amino}-1-butanol

(2R,3S)-3-amino-1-{[(1S)-2-hidroxi-1-feniletil]amino}-4-fenil-2-butanol

(2R,3S)-3-amino-1-[(2,4-diclorobenzil)amino]-4-fenil-2-butanol

(2R,3S)-3-amino-1-{[(1R)-2-hidroxi-1-feniletil]amino}-4-fenil-2-butanol

(2R,3S)-3-amino-1-[(4-tert-butilbenzil)amino]-4-fenil-2-butanol

(2R,3S)-3-amino-4-fenil-1-[(1-feniletil)amino]-2-butanol

(1R,2S)-1-{[(2R,3S)-3-amino-2-hidroxi-4-fenilbutil]amino}-2,3-dihidro-1H-inden-2-ol

(2R,3S)-3-amino-1-[(3,4-dimetilbenzil)amino]-4-fenil-2-butanol

Metil 7-{[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]amino}heptanoato

2-{[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]amino}-N-isobutilpropanamida

(2S)-2-{[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]amino}-N-isobutilpropanamida

2-{[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]amino}-N-isobutil-2-metilpropanamida

2-{[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]amino}-N-isobutilacetamida

(2S)-2-{[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]amino}-N-isobutilbutanamida

(2R)-2-{[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]amino}-N-isobutilbutanamida

(2R,3S)-3-amino-1-(benzilamino)-4-(3,5-difluorofenil)-2-butanol

(2R,3S)-3-amino-4-(3,5-difluorofenil)-1-(etilamino)-2-butanol

(2R,3S)-3-amino-4-(3,5-difluorofenil)-1-(isobutilamino)-2-butanol

3-{[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]amino}-N-isobutil-2-metilpropanamida

(2R,3S)-3-amino-4-(3,5-difluorofenil)-1-{[4-(dimetilamino)benzil}-2-butanol

(2S)-2-{[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]amino}-N-isobutil-3-fenilpropanamida

(2S)-2-{[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]amino}-N-isobutil-3-metilbutanamida

(2R,3S)-3-amino-4-(3,5-difluorofenil)-1-{[2-(dimetilamino)etil]amino}-2-butanol

(2R,3S)-3-amino-4-(3,5-difluorofenil)-1-{[3-(piridinilmetil)amino]-2-butanol

(2S)-2-{[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]amino}-3-(benziloxi)-N-isobutilpropanamida

(2R,3S)-3-amino-4-(3,5-difluorofenil)-1-[(1-metil-1-feniletil)amino]-2-butanol

(2R)-2-{[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]amino}-N-isobutil-3-metilbutanamida

(2S)-2-{[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]amino}-N-isobutilpentanamida

(2S)-2-{[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]amino}-3-hidroxi-N-isobutilpropanamida

(2R,3S)-3-amino-4-(3,5-difluorofenil)-1-[(2-feniletil)amino]-2-butanol

(2S)-2-{[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]amino}-N-benzilpropanamida

(2R,3S)-3-amino-4-(3,5-difluorofenil)-1-{[(1S)-1-fenilpropil]amino-2-butanol

(2S)-2-{[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]amino}-N-etilpropanamida

(2R,3S)-3-amino-4-(3,5-difluorofenil)-1-[(3-metoxibenzil)amino]-2-butanol

(2S)-2-{[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]amino}-N-isobutil-2-feniletanamida

(2R,3S)-3-amino-4-(3,5-difluorofenil)-1-(isopentilamino)-2-butanol

(2R,3S)-3-amino-1-(ciclohexilamino)-4-(3,5-difluorofenil)-2-butanol

(2R,3S)-3-amino-1-(butilamino)-4-(3,5-difluorofenil)-2-butanol

(2R,3S)-3-amino-4-(3,5-difluorofenil)-1-[(3-metoxopropil)amino]-2-butanol

(2R,3S)-3-amino-4-(3,5-difluorofenil)-1-[(2-hidroxi-2-feniletil)amino]-2-butanol

(2R,3S)-3-amino-1-(3,5-difluorofenil)-1-{[(3R,5S)-3,5-dimetoxiciclohexil]amino}-2-butanol

Dimetil (1R,3S)-5-{[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]amino}-1,3-ciclohexanodicarboxilato

Ácido (1R,3S)-5-{[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]amino}-1,3-ciclohexanodicarboxílico

(2R,3S)-3-amino-4-[(3,5-difluorofenil)-1-{[(1R)-1-fenilpropil]amino}-2-butanol

(2R,3S)-3-amino-1-[(3-clorobenzil)amino]-4-(3,5-difluorofenil)-2-butanol

(2R,3S)-3-amino-4-(3,5-difluorofenil)-1-[(3-metoxibenzil)amino]-2-butanol

(2R,3S)-3-amino-1-[([1,1'-bifenil]-3-ilmetil)amino]-4-(3,5-difluorofenil)-2-butanol

(2R,3S)-3-amino-4-(3,5-difluorofenil)-1-[(3-yodobenzil)amino]-2-butanol

(2R,3S)-3-amino-4-(3,5-difluorofenil)-1-[(3-metilbenzil)amino]-2-butanol

(2R,3S)-3-amino-4-(3,5-difluorofenil)-1-[(2-fenilpropil)amino]-2-butanol

(2R,3S)-3-amino-4-(3,5-difluorofenil)-1-[(1,3-tiazol-5-ilmetil)amino]-2-butanol

(2R,3S)-3-amino-4-(3,5-difluorofenil)-1-[(2-tienilmetil)amino]-2-butanol

(2R,3S)-3-amino-4-(3,5-difluorofenil)-1-[(5-metoxi-1,2,3,4-tetrahidro-1-naftalenil)amino]-2-butanol

(2R,3S)-3-amino-4-(3,5-difluorofenil)-1-[(2-pirazinilmetil)amino]-2-butanol

(2R,3S)-3-amino-1-[(3,5-difluorobenzil)amino]-4-(3,5-difluorofenil)-2-butanol

(2R,3S)-3-amino-1-[(1,3-benzodioxol-5-ilmetil)amino]-4-(3,5-difluorofenil)-2-butanol

(2R,3S)-3-amino-4-(3,5-difluorofenil)-1-[(3,5-dimetoxobenzil)amino]-2-butanol

(2R,3S)-3-amino-4-(3,5-difluorofenil)-1-{[3-(trifluorometil)benzil]amino}-2-butanol

(2R,3S)-3-amino-4-(3,5-difluorofenil)-1-[(2-furilmetil)amino]-2-butanol

(2R,3S)-3-amino-4-(3,5-difluorofenil)-1-[(7-metoxi-1,2,3,4-tetrahidro-1-naftalenilo)amino]-2-butanol

(2R,3S)-3-amino-4-(3,5-difluorofenil)-1-{[3-(trifluorometoxi)benzil]amino}-2-butanol

(2R,3S)-3-amino-4-(3,5-difluorofenil)-1-[(3-fluorobenzil)amino]-2-butanol

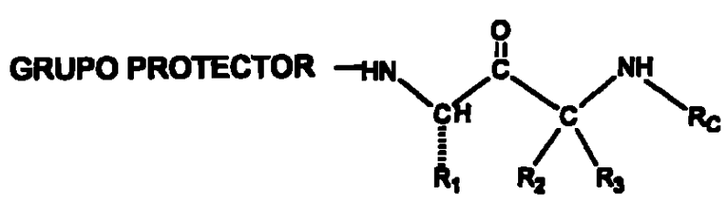
(2R,3S)-3-amino-4-(3,5-difluorofenil)-1-[(3-isopropoxibenzil)amino]-2-butanol

(2R,3S)-3-amino-1-[(3-bromobenzil)amino]-4-(3,5-difluorofenil)-2-butanol

(2R,3S)-3-amino-4-(3,5-difluorofenil)-1-[(5-metil-2-furilmetil)amino]-2-butanol

(2R,3S)-3-amino-4-(3,5-difluorofenil)-1-[(5-metoxi-1,2,3,4-tetrahidro-1-naftalenil)amino]-2-butanol.

82. una cetona protegida de la fórmula (XI)



(XI)

Donde R_2, R_3, y R_C y son como se definió en la reivindicación 1;

Donde EL GRUPO PROTECTOR es como se definió en la reivindicación 26 y

Donde R_1 es:

$-CH_2$-fenilo donde -fenilo esta sustituido con dos -F,

$-(CH_2)_{n1}$ $R_{1\text{-heteroarilo}}$ donde $R_{1\text{-heteroarilo}}$ es como se definió anteriormente en la reivindicación 1 o

$-(CH_2)_{n1}-R_{1\text{-heterociclo}}$ donde $R_{1\text{-heterociclo}}$ es como se definió en la reivindicación 1.

83. Una cetona protegida de la fórmula (XI) de acuerdo a la reivindicación 83 donde n_1 es 1.

85. Una cetona de fórmula (XI) de acuerdo con la reivindicación 82 donde R_1 es:

$$-(CH_2)_{n1}-(R_{1\text{-heterociclo}})$$

86. Una cetona producida de la fórmula (XI) de acuerdo con la reivindicación 85 donde n_1 es 1.

87. Una cetona producida de la fórmula (XI) de acuerdo con la reivindicación 82 donde el fenilo se sustituye las posiciones 3- y 5- dando 3,5-difluorofenil

88. Una cetona producida de la fórmula (XI) de acuerdo con la reivindicación 82 donde R_2 y R_3 son ambos -H.

89. Una cetona producida de la fórmula (XI) de acuerdo con la reivindicación 82 donde EL GRUPO PROTECTOR es t-butoxicarbonil.

90. Una cetona producida de la fórmula (XI) de acuerdo con la reivindicación 82 donde EL GRUPO PROTECTOR es benziloxicarbonil.

91. Una cetona producida de la fórmula (XI) de acuerdo con la reivindicación 82 donde R_C es:

-H,

-alquilo con 1 a 8 átomos de carbono,

$-(CH_2)_{0-3}$-cicloalquilo con 3 a 7 átomos de carbono,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-arilo}$,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heteroarilo}$,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heterociclo}$, o

anillo -ciclopentil, -ciclohexil, o -cicloheptil fusionado a $R_{C-arilo}$ o $R_{C-heteroarilo}$ o $R_{C-heterocilo}$ donde $R_{C-arilo}$ o $R_{C-heteroarilo}$ o $R_{C-heterocilo}$ son como se definió anteriormente.

92. Una cetona protegida de la fórmula (XI) de acuerdo con la reivindicación 91 donde R_C es:

-alquilo con 1 a 8 átomos de carbono,

$-(CH_2)_{0-3}$-cicloalquilo con 3 a 7 átomos de carbono,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-arilo}$,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heteroarilo}$,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heterociclo}$, o

anillo -ciclopentil, -ciclohexil, o -cicloheptil fusionado a $R_{C-arilo}$ o $R_{C-heteroarilo}$ o $R_{C-heterocilo}$ donde $R_{C-arilo}$ o $R_{C-heteroarilo}$ o $R_{C-heterocilo}$ son como se definió en la reivindicación 1.

93. Una cetona protegida de la fórmula (XI) de acuerdo a la reivindicación 92 donde R_C es:

-alquilo con 1 a 8 átomos de carbono,

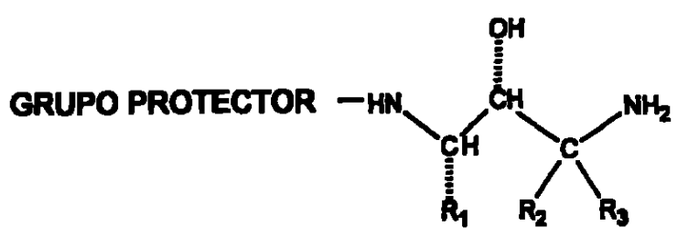
$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-arilo}$,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heteroarilo}$, o

anillo -ciclopentil, -ciclohexil, o -cicloheptil fusionado a $R_{C\text{-arilo}}$ o $R_{C\text{-heteroarilo}}$ o $R_{C\text{-heterocilo}}$ donde $R_{C\text{-arilo}}$ o $R_{C\text{-heteroarilo}}$ o $R_{C\text{-heterocilo}}$ son como se definió en la reivindicación 1.

94. Una cetona protegida de la fórmula (XI) de acuerdo a la reivindicación 82 la cual es tert-butil (1S)-1-(3,5-difluorobenzil)-3-[(3-metoxibenzil)amino]-2-oxopropilcarbamato.

95. Una azida protegida de la fórmula (XII)



(XII)

donde R_1, R_2 y R_3 son como se definió en la reivindicación 1;

donde el GRUPO PROTECTOR es como se definió en la reivindicación 26.

$$-CH_2-R_{1\text{-arilo}}, \text{ o}$$

$$-(CH_2)_{n1}-R_{1\text{-heteroarilo}}.$$

97. Una azida protegida de fórmula (XII) de acuerdo con la reivindicación 96 donde $R_{1\text{-arilo}}$ es fenilo.

98. Una azida protegida de fórmula (XII) de acuerdo con la reivindicación 97 donde el fenilo se sustituye con uno, dos o tres -F, -Cl, -Br o -I.

99. Una azida protegida de fórmula (XII) de acuerdo con la reivindicación 98 donde el fenilo se sustituye con uno o dos -F.

100. Una azida protegida de fórmula (XII) de acuerdo con la reivindicación 99 donde el fenilo se sustituye con dos -F, las posiciones 3- y 5- dando 3,5-difluorofenil.

101. Una azida protegida de fórmula (XII) de acuerdo con la reivindicación 95 donde R_2 y R_3 son ambos -H.

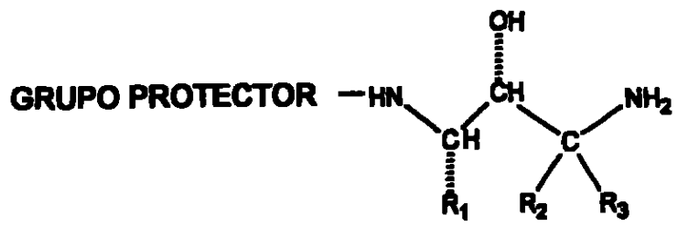
102. Una azida protegida de fórmula (XII) de acuerdo con la reivindicación 95 donde EL GRUPO PROTECTOR es t-butoxicarbonil.

103. Una azida protegida de fórmula (XII) de acuerdo con la reivindicación 95 donde EL GRUPO PROTECTOR es t-benziloxicarbonil.

104. Una azida protegida de fórmula (XII) de acuerdo con la reivindicación 95 la cual es:

tert-butil-(1S,2R)-3-azido-1-(3,5-difluorobenzil)-2-hidroxipropilcarbamato, o benzil-(1S,2R)-3-azido-1-(3,5-difluorobenzil)-2- hidroxipropilcarbamato.

105. una amina protegida de la fórmula (XIII)



(XIII)

donde R_2 y R_3 son como se definió en la reivindicación 1;

donde el GRUPO PROTECTOR es como se definió en la reivindicación 26; y

Donde R_1 es:

$-CH_2$-fenilo donde -fenilo se sustituye con dos -F,

$-(CH_2)_{n1}-R_{1\text{-heteroarilo}}$ donde $R_{1\text{-heteroarilo}}$ es como se definió en la reivindicación 1 o

$-(CH_2)_{n1}-R_{1\text{-heterociclo}}$ donde $R_{1\text{-heterociclo}}$ es como se definió en la reivindicación 1.

106. Una amina protegida de fórmula (XIII) de acuerdo con la reivindicación 105 donde R1 es:

$-(CH_2)_{n1}-R_{1\text{-heteroarilo}}$.

107. Una amina protegida de fórmula (XIII) de acuerdo con la reivindicación 106 donde n_1 es 1.

108. Una amina protegida de fórmula (XIII) de acuerdo con la reivindicación R_1 es:

$-(CH_2)_{n1}-R_{1\text{-heterociclo}}$.

109. Una amina protegida de fórmula (XIII) de acuerdo con la reivindicación 108 donde n_1 es 1.

110. Una amina protegida de fórmula (XIII) de acuerdo con la reivindicación 105 donde el fenilo se sustituye en las posiciones 3- y 5- dando 3,5-difluorofenil.

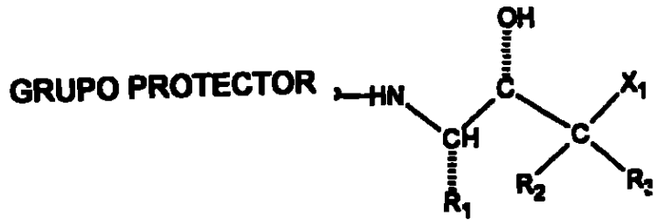
111. Una amina protegida de fórmula (XIII) de acuerdo con la reivindicación 105 donde R_2 y R_3 son ambos -H.

112. Una amina protegida de fórmula (XIII) de acuerdo con la reivindicación 105 donde el GRUPO PROTECTOR es t-butoxicarbonil.

113. Una amina protegida de fórmula (XIII) de acuerdo con la reivindicación 105 donde el GRUPO PROTECTOR es benziloxicarbonil.

114. Una amina protegida de fórmula (XIII) de acuerdo con la reivindicación 105 la cual es tert-butil (1S,2R)-3-amino-(3,5-difluorobenzil)-2-hidroxipropilcarbamato.

115. Una azida no protegida de la fórmula (XIV)



(XIV)

donde R_1, R_2 y R_3 son como se definió en la reivindicación 1, y

donde el GRUPO PROTECTOR es como se definió en la reivindicación 26.

116. Una azida no protegida de la fórmula (XIV) de acuerdo con la reivindicación 115 donde R_1 es:

$-CH_2-(R_{1\text{-arilo}})$, o

$-CH_2-(R_{1\text{-heteroarilo}})$.

117. Una azida no protegida de la fórmula (XIV) de acuerdo con la reivindicación 116 donde $R_{1\text{-aril}}$ es fenil.

118. Una azida no protegida de la fórmula (XIV) de acuerdo con la reivindicación 117 donde el fenilo se sustituye con uno, dos o tres -F, -Cl, -Br o I.

119. Una azida no protegida de la fórmula (XIV) de acuerdo con la reivindicación 118 donde el fenilo se sustituye con uno o dos -F.

120. Una azida no protegida de la fórmula (XIV) de acuerdo con la reivindicación 119 donde el fenilo se sustituye en las posiciones 3- y 5- dando 3,5-difluorofenil.

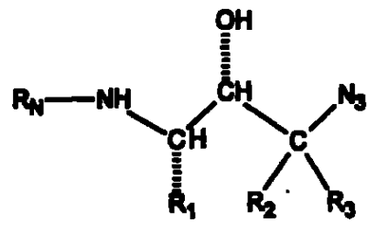
121. Una azida no protegida de la fórmula (XIV) de acuerdo con la reivindicación 115 donde R_2 y R_3 son ambos -H.

122. Una azida no protegida de la fórmula (XIV) de acuerdo con la reivindicación 115 la cual es (2S,3S)-3-amino-1-azido-4-(3,5-difluorofenil)-2-butanol.

123. Una azida de la fórmula (XV)

1273

~~1272~~



(XV)

donde R_1, R_2, R_3 y R_N son como se definió en la reivindicación 1.

124. Una azida de la fórmula (XV) de acuerdo con la reivindicación 123 donde R_1 es:

$-CH_2-(R_{1\text{-arilo}})$, o

$-CH_2-(R_{1\text{-heteroarilo}})$.

125. Una azida de la fórmula (XV) de acuerdo con la reivindicación 124 donde $R_{1\text{-aril}}$ es fenil.

126. Una azida de la fórmula (XV) de acuerdo con la reivindicación 123 donde el fenilo se sustituye con uno, dos o tres -F, -Cl, -Br o I.

127. Una azida de la fórmula (XV) de acuerdo con la reivindicación 126 donde el fenilo se sustituye con uno o dos -F.

128. Una azida de la fórmula (XV) de acuerdo con la reivindicación 127 donde el fenilo se sustituye con dos -F en las posiciones 3- y 5- dando 3,5-difluorofenil.

129. Una azida de la fórmula (XV) de acuerdo con la reivindicación 123 donde R_2 y R_3 son ambos -H.

130. Una azida de la fórmula (XV) de acuerdo con la reivindicación 123 donde R_N es:

R_{N-1}-X_N- donde X_N se selecciona del grupo que consiste de:

-CO-, y

-SO_2-,

donde R_{N-1} se selecciona del grupo que consiste de:

$R_{N-arilo}$, y

-$R_{N-heterosarilo}$.

131. Una azida de la fórmula (XV) de acuerdo con la reivindicación 130 donde R_N es:

R_{N-1}-X_N- donde X_N se selecciona del grupo que consiste de:

-CO-,

donde R_{N-1} se selecciona del grupo que consiste de:

$R_{N-arilo}$, y

-$R_{N-heteroarilo}$.

132. Una azida de la fórmula (XV) de acuerdo con la reivindicación 131 donde R_N es:

(a) R_{N-1}-X_N- donde X_N es -CO, donde R_{N-1} es $R_{N-arilo}$ donde $R_{N-arilo}$ es fenilo sustituido con un -CO-$NR_{N-2}R_{N-3}$ donde la sustitución sobre fenilo es 1,3- y donde R_{N-2} y R_{N-3} son lo mismo y son alquilo con 3 átomos de carbono, o

(b) R_{N-1}-X_N- donde X_N es -CO, donde R_{N-1} es $R_{N-arilo}$ donde $R_{N-arilo}$ es fenilo sustituido con un alquilo-C_1 y con

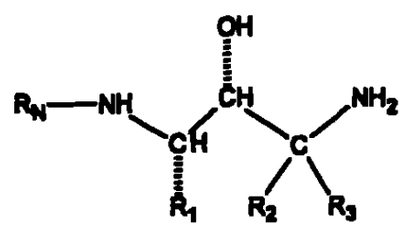
un $-CO-NR_{N-2}R_{N-3}$ donde la sustitución sobre fenilo es 1,3,5- y donde R_{N-2} y R_{N-3} son lo mismo y son alquilo con 3 átomos de carbono.

133. Una azida de la fórmula (XV) de acuerdo con la reivindicación 123 la cual es

N^1-[(1S,2R)-3-azido-1-(3,5-difluorobenzil)-2-hidroxipropil]5-metil-N^3,N^3-dipropilisoftalamida.

134. Una amina libre de la fórmula (XVI)

(XVI)



donde R_2, R_3 y R_N son como se definió en la reivindicación 1; y

donde R_1 es:

$-CH_2$-fenil donde -fenil se sustituye con dos -F,

$-(CH_2)_{n1}-R_{1\text{-heteroaril}}$ donde $R_{1\text{-heteroaril}}$ es como se definió en la reivindicación 1 o

$-(CH_2)_{n1}-R_{1\text{-heterociclo}}$ donde $R_{1\text{-heterociclo}}$ es como se defición en la reivindicación 1.

135. Una amina libre de la fórmula (XVI) de acuerdo con la reivindicación 134 donde R_1 es:

$$-(CH_2)_{n1}-R_{1\text{-heteroaril}}.$$

136. Una amina libre de la fórmula (XVI) de acuerdo con la reivindicación 135 donde n_1 es 1.

137. Una amina libre de la fórmula (XVI) de acuerdo con la reivindicación 134 donde R_1 es:

$$-(CH_2)_{n1}-(R_{1\text{-heterociclo}}).$$

138. Una amina libre de la fórmula (XVI) de acuerdo con la reivindicación 137 donde n_1 es 1.

139. Una amina libre de la fórmula (XVI) de acuerdo con la reivindicación 134 donde el fenilo se sustituye

con dos -F en las posiciones 3- y 5- dando 3,5-difluorofenil.

140. Una azida de la fórmula (XVI) de acuerdo con la reivindicación 134 donde R_2 y R_3 son ambos -H.

141. Una amina libre de la fórmula (XVI) de acuerdo con la reivindicación 134 donde R_N es:

R_{N-1}-X_N- donde X_N se selecciona del grupo que consiste de:

$-\overset{O}{C}O-$, y

$-SO_2-$,

donde R_{N-1} se selecciona del grupo que consiste de:

$R_{N\text{-arilo}}$, y

$-R_{N\text{-heteroarilo}}$.

142. Una amina libre de la fórmula (XVI) de acuerdo con la reivindicación 134 donde R_N es:

R_{N-1}-X_N- donde X_N se selecciona del grupo que consiste de:

-CO-,

donde R_{N-1} se selecciona del grupo que consiste de:

$R_{N-arilo}$, y

-$R_{N-heteroarilo}$.

143. Una amina libre de la fórmula (XVI) de acuerdo con la reivindicación 142 donde R_N es:

(a) R_{N-1}-X_N- donde X_N es -CO, donde R_{N-1} es $R_{N-arilo}$ donde $R_{N-arilo}$ es fenilo sustituido con un -CO-$NR_{N-2}R_{N-3}$ donde la sustitución sobre fenilo es 1,3- y donde R_{N-2} y R_{N-3} son lo mismo y son alquilo con 3 átomos de carbono, o

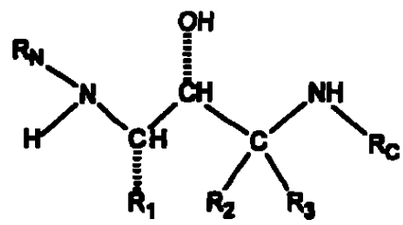
(b) R_{N-1}-X_N- donde X_N es -CO, donde R_{N-1} es $R_{N-arilo}$ donde $R_{N-arilo}$ es fenilo sustituido con un alquilo-C_1 y con un -CO-$NR_{N-2}R_{N-3}$ donde la sustitución sobre fenilo es 1,3,5- y donde R_{N-2} y R_{N-3} son lo mismo y son alquilo con 3 átomos de carbono.

144. Una amina libre de la fórmula (XVI) de acuerdo con la reivindicación 134 la cual es:

N^1-[(1S,2R)-3-azido-1-(3,5-difluorobenzil)-2-hidroxipropil]5-metil-N^3,N^3-dipropilisoftalamida.

145. Un método para el tratamiento de un paciente el cual tiene, o en la prevención de un paciente de obtener una enfermedad o condición seleccionada del grupo que consiste de enfermedades de Alzheimer, para ayudar a prevenir o demorar el ataque enfermedades de Alzheimer, para tratar pacientes con deterioro cognitivo benigno (MCI) y revenir o retardar el ataque de enfermedades de Alzheimer en aquellos que progresarían de MCI a AD, para tratar el síndrome de Down, para el tratamiento de humanos quienes tienen hemorragia cerebral hereditaria con amiloidosisdel tipo Duth, par el tratamiento de angiopatía amiloide cerebral y prevención de estas consecuencias potenciales, por ejemplo, hemorragia pulmonar recurrente, para el tratamiento de otras demencias degenerativas, incluyendo demencia de origen degenerativo y vascular, demencia asociada con enfermedad de Parkinson, demencia asociada con parálisis supranuclear progresiva, demencia asociada con generación

basal cortical, tipo de cuerpo difuso de Lewy de enfermedad de Alzheimer y quienes están en necesidad de tal tratamiento que comprende la administración de una cantidad efectiva de un compuesto seleccionado del grupo que consiste de una amina sustituida de la fórmula (X)



(X)

donde R_1, R_2, R_3, R_N y R_C son como se definió en la reivindicación 1,

y sales farmacéuticamente aceptables de estas.

146. Un método de tratamiento de acuerdo con la reivindicación 145, en donde la enfermedad es enfermedad de Alzheimer.

147. Un método para el tratamiento de acuerdo a la reivindicación 145, en donde el método consiste en ayudar

a prevenir o retrazar el ataque de la enfermedad de Alzheimer.

148. Un método de tratamiento de acuerdo con la reivindicación 145, en donde la enfermedad es deterioro cognitivo benigno.

149. Un método de tratamiento de acuerdo con la reivindicación 145, donde la enfermedad es síndrome de Down.

150. Un método de tratamiento de acuerdo con la reivindicación 145, en donde la enfermedad es hemorragia cerebral hereditaria con amiloidosis del tipo Dutch.

151. Un método de tratamiento de acuerdo con la reivindicación 145, en donde la enfermedad es angiopatía amiloide cerebral.

152. Un método de tratamiento de acuerdo con la reivindicación 145, en donde la enfermedad es demencia degenerativa.

153. Un método de tratamiento de acuerdo con la reivindicación 14, en donde la enfermedad es tipo de cuerpo difuso de Lewy de enfermedades de Alzheimer.

154. Un método de tratamiento de acuerdo con la reivindicación 145, en donde el método es tratar una enfermedad existente.

155. Un método de tratamiento de acuerdo con la reivindicación 145, en donde el método es método es prevenir el desarrollo de una enfermedad.

156. Un método de tratamiento de acuerdo a la reivindicación 145, en donde la cantidad terapéuticamente efectiva de administración oral está entre aproximadamente 0,1 mg/día a aproximadamente 1,000 mg/día; para administración parenteral, sublingual, intranasal, intratecal está entre aproximadamente 0,5 mg/día a aproximadamente 100 mg/día; para administración depo e implantes está entre aproximadamente 0,5 mg/día a aproximadamente 50 mg/día; para administración tópica está entre aproximadamente 0,5 mg/día a aproximadamente 200 mg/día; para administración rectal está entre aproximadamente 0,5 mg a aproximadamente 500 mg.

157. Un método de tratamiento de acuerdo a la reivindicación 156, en donde la cantidad terapéuticamenteefctiva para administración oral está entre aproximadamente 1 mg/día a aproximadamente 100 mg7día y para administración parenteral está entre aproximadamente 5 a aproximadamente 50 mg diario.

158. Un método de tratamiento de acuerdo a la reivindicación 157 donde la cantidad terapéuticamente efectiva para administración oral está entre aproximadamente 5 mg/día a aproximadamente 50 mg/día.

159. Un método de tratamiento de acuerdo a la reivindicación 145 donde:

donde R_1 es:

$$-(CH_2)_{0-1}-(R_{1-arilo}),\ o$$

$$-(CH_2)_{n1}-(R_{1-heteroarilo})$$

donde R_N es:

$R_{N-1}-X_N-$ donde X_N se selecciona del grupo que consiste de:

$-CO-$, y

$-SO_2-$,

donde R_{N-1} se selecciona del grupo que consiste de:

$R_{N-arilo}$, y

$-R_{N-heteroarilo}$,

$-CO-CH(-(CH_2)_{0-2}-O-R_{N-10}-(CH_2)_{0-2}-R_{N-arilo}/R_{N-heteroarilo})$, y

donde R_C es:

-alquilo con 1 a 8 átomos de carbono,

$-(CH_2)_{0-3}$-cicloalquilo con 3 a 7 átomos de carbono

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-arilo}$,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heteroarilo}$,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heterociclo}$, o

anillo -ciclopentil, o -ciclohexil, fusionado a $R_{C-arilo}$ o $R_{C-heteroarilo}$ o $R_{C-heterocilo}$.

160. Un método de tratamiento de acuerdo a la reivindicación 159 donde:

donde R_1 es:

$-(CH_2)-(R_{1-arilo})$, o

$-(CH_2)-(R_{1-heteroaril})$;

donde R_2 es $-H$;

donde R_3 es $-H$;

donde R_N es:

$R_{N-1}-X_N-$ donde X_N es:

$-CO-$,

donde R_{N-1} se selecciona del grupo que consiste de:

$-R_{N-arilo}$, y

$-R_{N-heteroarilo}$;

donde R_C es:

$-(CH_2)_{0-3}-$cicloalquilo con 3 a 7 átomos de carbono

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-arilo}$,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heteroarilo}$,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heterociclo}$, o

anillo -ciclopentil, o -ciclohexil, fusionado a $R_{C-arilo}$ o $R_{C-heteroarilo}$ o $R_{C-heterocilo}$.

161. Un método de tratamiento de acuerdo a la reivindicación 160 donde R_C es:

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-arilo}$,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heteroarilo}$, o

anillo -ciclopentil, o -ciclohexil, fusionado a $R_{C-arilo}$ o $R_{C-heteroarilo}$ o $R_{C-heterocilo}$.

162. Un método de tratamiento de acuerdo a la reivindicación 145 donde R_1 es:

$-(CH_2)-(R_{1-arilo})$ donde $R_{1-arilo}$ es fenilo.

163. Un método de tratamiento de acuerdo a la reivindicación 145 donde R_1 es:

$-(CH_2)-(R_{1-arilo})$ donde $R_{1-arilo}$ es fenilo sustituido con dos -F.

164. Un método de tratamiento de acuerdo a la reivindicación 163 donde la sustitución de -F es 3,5-difluorobenzil.

165. Un método de tratamiento de acuerdo a la reivindicación 145 donde R_2 es -H.

166. Un método de tratamiento de acuerdo a la reivindicación 145 donde R_3 es -H.

167. Un método de tratamiento de acuerdo a la reivindicación 145 donde R_N es

R_{N-1}-X_N- donde X_N es -CO-, donde R_{N-1} es $R_{N-arilo}$ donde $R_{N-arilo}$ es fenilo sustituido con un -CO-$NR_{N-2}R_{N-3}$ donde la sustitución sobre el fenilo es 1,3-.

168. Un método de tratamiento de acuerdo a la reivindicación 167 donde R_{N-2} y R_{N-3} son iguales y son alquilo con 3 átomos de carbono.

169. Un método de tratamiento de acuerdo a la reivindicación 145 donde R_N es

R_{N-1}-X_N- donde X_N es -CO-, donde R_{N-1} es $R_{N-arilo}$ donde $R_{N-arilo}$ es fenilo sustituido con alquilo con 1 átomos de carbono, y con un -CO-$NR_{N-2}R_{N-3}$ donde la sustitución sobre el fenilo es 1,3,5-.

170. Un método de tratamiento de acuerdo a la reivindicación 169 donde R_{N-2} y R_{N-3} son iguales y son alquilo con 3 átomos de carbono.

171. Un método de tratamiento de acuerdo a la reivindicación 145 donde R_N es

R_{N-1}-X_N- donde X_N es -CO-, donde R_{N-1} es $R_{N-heteroarilo}$ donde $R_{N-heteroarilo}$ es sustituido con un -CO-$NR_{N-2}R_{N-3}$.

172. Un método de tratamiento de acuerdo a la reivindicación 171 donde R_{N-2} y R_{N-3} son lo mismo y son alquilo con 3 átomos de carbono.

173. Un método de tratamiento de acuerdo a la reivindicación 145 donde R_C es:

-$(CR_{C-x}R_{C-y})_{0-4}$-$R_{C-arilo}$, donde $R_{C-arilo}$ es fenilo

-$(CR_{C-x}R_{C-y})_{0-4}$-$R_{C-heteroarilo}$, o

anillo -ciclopentil, o -ciclohexil, fusionado a $R_{C-arilo}$ o $R_{C-heteroarilo}$ o $R_{C-heterocilo}$.

174. Un método de tratamiento de acuerdo a la reivindicación 173 donde R_C es:

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-arilo}$, donde $R_{C-arilo}$ es fenilo.

175. Un método de tratamiento de acuerdo a la reivindicación 174 donde el fenilo se sustituye en las posiciones 3- o 5-.

176. Un método de tratamiento de acuerdo a la reivindicación 173 donde R_C es: $-(CH_2)-R_{C-heteroarilo}$.

177. Un método de tratamiento de acuerdo a la reivindicación 173 donde R_C es:

$-(CH_2)-R_{C-heterociclo}$.

178. Un método de tratamiento de a cuerdo a la reivindicación 173 donde R_C es:

anillo -ciclohexil fusionado a un anillo fenilo.

179. Un método de tratamiento de acuerdo a la reivindicación 145 donde la sal farmacéuticamente aceptable se selecciona del grupo que consiste de sales de los siguientes ácidos acético, aspartico, benzenosulfónico, benzoico, bicarbonico, bisulfurico, bitartarico, butírico, edato de calcio, camsilico, carbónico, clorobenzoico, cítrico, edetico, idisilico, estolico, eilo, etílico, formica, fumarico, luceptico, gluconico, glutanico, glicolilarsanilico, hexaminico, hexilresorcionoico, hidrabamico, hydrobromico, hidroclorhidrico, yodiridico, hidroxinaftoico, isetinoico, láctico, lactobionico, maleico, malico, malonico, mandelico, metanosulfonico, metilnitrico, metilsulfurico, mucico, muconico, napsilico, nitrico, oxalico, p-nitrometanosulfonico, pamoico, pantotenico, fosforico, mono hidrogenofosforico, dihidrogeno fosforico, ftálico, poligalacturonico, propionico, saliciclico, estearico, succinico, sulfanico, sulfanilico, sulfonico, sulfurico, tanico, taartanico, teoclico y toluenosulfónico.

180. Un método de tratamiento de acuerdo a la reivindicación 145 donde la amina sustituida (X) se selecciona del grupo que consiste de:

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(2-furimetil)amino]-2-hidroxipropil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-1-benzil-3-(etilamino)-2-hidroxipropil]-N^3,N^3-dipropilisoftalamida

N^1-[(1S,2R)-1-benzil-3-(benzilamino)-2-hidroxipropil]-N^3,N^3-dipropilisoftalamida

N^1-[(1S,2R)-1-benzil-2-hidroxi-3-(isopropilamino)propil]-N^3,N^3-dipropilisoftalamida

N^1-[(1S,2R)-1-benzil-2-hidroxi-3-(4-toluidino)propil]-N^3,N^3-dipropilisoftalamida

N^1-((1S,2R)-1-benzil-2-hidroxi-3-{[2-(4-metoxifenil)etil]amino}propil)-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropilisoftalamida

Etil {[(3S)-3-({3-[(dipropilamino)carbonil]benzoil}amino)-2-hidroxi-4-fenilbutil]amino}(fenil)acetato

N^1-((1S)-1-benzil-2-hidroxi-3-{[(1S)-2-hidroxi-1-(hidroximetil)-2-(4-nitrofenil)etil]amino}propil)-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-benzil-3-[(2-clorobenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-benzil-3-[(4-clorobenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida

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N^1-((1S,2R)-1-benzil-2-hidroxi-3-{[2-(2-hidroxietoxi)etil]amino}propil)-N^3,N^3-dipropilisoftalamida

N^1-[(1S,2R)-1-benzil-3-(2,3-dihidro-1H-inden-1-ilamino)-2-hidroxipropil]-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(2-hidroxipropil)amino]propil}-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(tetrahidro-2-furanilmetil)amino]propil}-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-benzil-3-[(2,2-dietoxietil)amino]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida

N^1-[(1S,2R)-1-benzil-3-(butilamino)-2-hidroxipropil]-N^3,N^3-dipropilisoftalamida

N^1-[(1S,2R)-1-benzil-3-(ciclohexilamino)-2-hidroxipropil]-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(2-piridinilmetil)amino]propil}-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-3-[(2-aminobenzil)amino]-1-benzil-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-piridinilmetil)amino]propil}-N^3,N^3-dipropilisoftalamida

N^1-((1S,2R)-1-benzil-2-hidroxi-3-{[2-(1-pirrolidinil)etil]amino}propil}-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(2-hidroxi-2-feniletil)amino]propil}-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-benzil-3-[(3-butoxipropil)amino]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-isopropoxipropil)amino]propil}-N^3,N^3-dipropilisoftalamida

N^1-[(1S,2R)-1-benzil-2-hidroxi-3-(isopentilamino)propil]-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-fenilpropil)amino]propil}-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxietil)amino]propil}-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(2-fenoxietil)amino]propil}-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(2-propoxietil)amino]propil}-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-benzil-3-[(3,3-dimetilbutil)amino]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(4-fenilbutil)amino]-propil}-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-yodobenzil)amino]-propil}-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(4-nitrobenzil)amino]-propil}-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-benzil-3-[(3-clorobenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida

N^1-((1S,2R)-1-benzil-3-{[2-(4-clorofenil)etil]amino]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida

N^1-((1S,2R)-1-benzil-2-hidroxi-3-{[2-(2-piridinil)etil]amino}propil)-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(4-piridinilmetil)amino]propil}-N^3,N^3-dipropilisoftalamida

N^1-((1S,2R)-1-benzil-2-hidroxi-3-{[2-(1-metil-2-pirrolidinil)etil]amino}propil)-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-benzil-3-[(2,3-dimetilbenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida

N^1-((1S,2R)-1-benzil-2-hidroxi-3-{[2-(trifluorometoxi)benzil]amino}propil)-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-benzil-3-[(2-cloro-6-fenoxibenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida

N^1-((1S,2R)-1-benzil-2-hidroxi-3-{[4-(trifluorometil)benzil]amino}propil)-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-benzil-3-[(2,3-diclorobenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-benzil-3-[(3,5-diclorobenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-benzil-3-[(3,5-difluorobenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida

N^1-((1S,2R)-1-benzil-2-hidroxi-3-{[4-(trifluorometoxi)benzil]amino}propil)-N^3,N^3-dipropilisoftalamida

N^1-[(1S,2R)-3-({2-[4-(aminosulfonil)fenil]etil}amino)-1-benzil-2-hidroxipropil]-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(4-metoxibenzil)amino]propil}-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(4-metilbenzil)amino]propil}-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3,4,5-trimetoxibenzil)amino]propil}-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-{[3-(trifluorometoxi)benzil]amino}propil)-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-benzil-3-[(3,5-dimetoxibenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-benzil-3-[(2,4-dimetoxibenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-benzil-3-[([1,1'-bifenil-3-ilmetil)amino]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-benzil-3-[(3,4-diclorobenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-benzil-3-[(2-fluorobenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida

N^1-((1S,2R)-1-benzil-2-hidroxi-3-{[3-(trifluorometil)benzil]amino}propil)-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(2-metilbenzil)amino]propil}-N^3,N^3-dipropilisoftalamida

N^1-((1S,2R)-1-benzil-2-hidroxi-3-{[(1R)-1-feniletil]amino}propil)-N^3,N^3-dipropilisoftalamida

N^1-((1S,2R)-1-benzil-2-hidroxi-3-{[(1S)-1-feniletil]amino}propil)-N^3,N^3-dipropilisoftalamida

N^1-((1S,2R)-1-benzil-3-{[3,5-bis(trifluorometil)benzil]amino}-2-hidroxipropil)-N^3,N^3-dipropilisoftalamida

N^1-((1S,2R)-1-benzil-2-hidroxi-3-{[2-(trifluorometil)benzil]amino}propil)-N^3,N^3-dipropilisoftalamida

N^1-((1S,2R)-1-benzil-2-hidroxi-3-{[(1S)-1-(1-naftil)etil]amino}propil)-N^3,N^3-dipropilisoftalamida

N^1-((1S,2R)-1-benzil-2-hidroxi-3-{[(1R)-1-(1-naftil)etil]amino}propil)-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(4-hidroxi-3-metoxibenzil)amino]propil}-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-benzil-3-[(3,4-dihidroxibenzil)amino]-2-hidroxipropil)-N^3,N^3-dipropilisoftalamida

N^1-{(1S)-1-benzil-2-hidroxi-3-[(3-metoxipropil)amino]propil}-N^3,N^3-dipropilisoftalamida

N^1-((1S,2R)-1-benzil-2-hidroxi-3-{[(1S)-2-hidroxi-1-metiletil)amino}propil)-N^3,N^3-dipropilisoftalamida

N^1-((1S,2R)-1-benzil-2-hidroxi-3-{[(1R)-2-hidroxi-1-metiletil]amino}propil)-N^3,N^3-dipropilisoftalamida

N^1-[(1S,2R)-1-benzil-2-hidroxi-3-(2-propinilamino)propil]-N^3,N^3-dipropilisoftalamida

N^1-((1S,2R)-1-benzil-3-{[2-(2-fluorofenil)etil]amino}-2-hidroxipropil)-N^3,N^3-dipropilisoftalamida

N^1-((1S,2R)-1-benzil-3-{[2-(3-fluorofenil)etil]amino}-2-hidroxipropil)-N^3,N^3-dipropilisoftalamida

N^1-((1S,2R)-1-benzil-3-{[2-(4-fluorofenil)etil]amino}-2-hidroxipropil)-N^3,N^3-dipropilisoftalamida

N^1-((1S,2R)-1-benzil-3-{[2-(4-bromofenil)etil]amino}-2-hidroxipropil)-N^3,N^3-dipropilisoftalamida

N^1-((1S)-1-benzil-2-hidroxi-3-{[2-(3-metoxifenil)etil]amino}propil)-N^3,N^3-dipropilisoftalamida

N^1-((1S,2R)-1-benzil-3-{[2-(2,4-diclorofenil)etil]amino}propil)-N^3,N^3-dipropilisoftalamida

N^1-((1S,2R)-1-benzil-3-{[2-(3-clorofenil)etil]amino}-2-hidroxipropil)-N^3,N^3-dipropilisoftalamida

N^1-((1S)-1-benzil-3-{[2-(2,5-dimetoxifenil)etil]amino}-2-hidroxipropil)-N^3,N^3-dipropilisoftalamida

N^1-((1S,2R)-1-benzil-2-hidroxi-3-{[2-(4-metilfenil)etil]amino}propil)-N^3,N^3-dipropilisoftalamida

N^1-((1S,2R)-1-benzil-2-{[(1R)-1-benzil-2-hidroxietil]amino}-2-hidroxipropil)-N^3,N^3-dipropilisoftalamida

N^1-((1S,2R)-1-benzil-2-hidroxi-3-{[3-(4-morfolinil)propil]amino}propil)-N^3,N^3-dipropilisoftalamida

N^1-[(1S,2R)-1-benzil-2-hidroxi-3-(isobutilamino)propil]-N^3,N^3-dipropilisoftalamida

N^1-[(1S,2R)-1-benzil-2-hidroxi-3-{[2-(4-morfolinil)etil]amino}propil}propil)-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(2-hidroxibutil)amino]propil}-N^3,N^3-dipropilisoftalamida

N^1-((1S,2R)-1-benzil-2-hidroxi-3-{[2-tienil)etil]amino}propil)-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(4-hidroxibutil)amino]propil}-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-{[(1S)-2-hidroxi-1-feniletil]amino}propil)-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-benzil-3-[(2,4-diclorobenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-{[(1R)-2-hidroxi-1-feniletil]amino}propil)-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-benzil-3-[(4-tertbutilbenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(1-feniletil)amino]propil}-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-{[(1R,2S)-2-hidroxi-2,3-dihidro-1H-inden-1-il]amino}propil)-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-benzil-3-[(3,4-dimetilbenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[2-(isobutilamino)-1-metil-2-oxoetil]amino}propil)-N^3,N^3-dipropilisoftalamida

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(1S)-2-(isobutilamino)-1-metil-2-oxoetil]amino}propil)-N^3,N^3-dipropilisoftalamida

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(1S)-2-(isobutilamino)-1-metil-2-oxoetil]amino}propil)-N^5,N^5-dipropil-3,5-piridinodicarboxamida

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[2-(isobutilamino)-1,1-dimetil-2-oxoetil]amino}propil)-N^3,N^3-dipropilisoftalamida

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[2-(isobutilamino)-2-oxoetil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida

N^1-[(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-({(1S)-1-[(isobutilamino)carbonil]propil}amino)propil]-5-metil-N^3,N^3-dipropilisoftalamida

N^1-[(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-({(1R)-1-[(isobutilamino)carbonil]propil}amino)propil]-5-metil-N^3,N^3-dipropilisoftalamida

N^1-[(1S,2R)-3-(benzilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida

N^1-[(1S,2R)-1-(3,5-difluorobenzil)-3-(etilamino)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida

N^1-[(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-(isobutilamino)propil]-5-metil-N^3,N^3-dipropilisoftalamida

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{(3-(isobutilamino)-2-metil-3-oxopropil]-amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida

N^1-[(1S,2R)-1-(3,5-difluorobenzil)-3-{[4-(dimetilamino)benzil]amino}-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida

N^1-[(1S,2R)-3-{[(1S)-1-benzil-2-(isobutilamino)-2-oxoetil]amino}-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida

N^1-[(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-({(1S)-1-benzil-[(isobutilamino)carbonil]-2-metilpropil}amino)propil]-5-metil-N^3,N^3-dipropilisoftalamida

N^1-[(1S,2R)-1-(3,5-difluorobenzil)-3-{[2-dimetilamino)etil]amino}-2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-piridinilmetil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida

N^1-[(1S,2R)-3-{[(1S)-1-[(benziloxi)metil]-2-(isobutilamino)-2-oxoetil]amino}-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(1-metil-1-feniletil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida

N^1-[(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-({(1R)-1-[(isobutilamino)carbonil]-2-

metilpropil}amino)propil]-5-metil-N^3,N^3-dipropilisoftalamida

N^1-[(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-({(1S)-1-[(isobutilamino)carbonil]butil}amino)propil]-5-metil-N^3,N^3-dipropilisoftalamida

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(1S)-1-hidroximetil)-2-(isobutilamino)-2-oxoetil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(2-feniletil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida

N^1-[(1S,2R)-3-{[(1S)-2-(benzilamino)-1-metil-2-oxoetil]amino}-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(1S)-1-fenilpropil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida

N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[(1S)-2-(etilamino)-1-metil-2-oxoetil]amino}-2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(1S)-2-(isobutilamino)-2-oxo-1-feniletil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida

N^1-[(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-(isopentilamino)propil]-5-metil-N^3,N^3-dipropilisoftalamida

N^1-[(1S,2R)-3-(ciclohexilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida

N^1-[(1S,2R)-3-(butilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida

N^1-[(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxipropil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(2-hidroxi-2-feniletil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida

N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[(3R,5S)-3,5-dimetoxiciclohexil]amino}-2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida

Dimetil(1R,3S)-5-{[(2R,3S)-4-(3,5-difluorofenil)-3-({3-[(dipropilamino)carbonil]-5-metilbenzoil}amino)-2-hidroxibutil]amino}-1,3-ciclohexanodicarboxilato

Ácido (1R,3S)-5-{[(2R,3S)-4-(3,5-difluorofenil)-3-({3-[(dipropilamino)carbonil]-5-metilbenzoil}amino)-2-hidroxibutil]amino}-1,3-ciclohexanodicarboxílico

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(1R)-1-fenilpropil]amino}-propil)-5-metil-N^3,N^3-dipropilisoftalamida

N^1-[(1S,2R)-3-[(3-clorobenzil)amino]-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3-[(2-propilpentil)sulfonil]benzamida

N^1-[(1S,2R)-3-[([1,1'-bifenil]-3-ilmetil)3amino]-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida

N^1-[(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metilbenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(2-fenilpropil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(1,3-tiazol-5-ilmetil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(2-tienilmetil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(5-metoxi-1,2,3,4-tetrahidro-1-naftalenil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(2-pirazinilmetil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3,5-difluorobenzil)amino]-2-hidroxipropil}-5-metil-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-3-[(1,3-benzodioxol-5-ilmetil)amino]-1-benzil-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3,5-dimetoxibenzil)amino]-2-hidroxipropil}-5-N^3,N^3-dipropilisoftalamida

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[3-(trifluorometil)benzil]amino}propil)-5-metilN^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(7-metoxi-1,2,3,4-tetrahidro-1-naftalenil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[3-(trifluorometoxi)benzil]amino}propil)-5-metilN^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-fluorobenzil)amino]-2-hidroxipropil}-5-metil-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-isopropoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida

N^1-[(1S,2R)-3-[(3-bromobenzil)amino]-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(5-metil-2-furil)metil]amino}-propil)-5-metil-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(5-metoxi-1,2,3,4-tetrahidro-1-naftalenil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(5-metoxi-1,2,3,4-tetrahidro-1-

naftalenil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida

N^1-[(1S,2R)-1-benzil-2-hidroxi-3-1,2,3,4-tetrahidro-1-naftalenilamino)propil]-N^3,N^3-dipropilisoftalamida

N^1-[(1S,2R)-3-(benzilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metoxi-N^3,N^3-dipropilisoftalamida

N^1-[(1S,2R)-3-(benzilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil]-N^3,N^3-dipropilisoftalamida

N^1-[(1S,2R)-3-(benzilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-cloro-N^3,N^3-dipropilisoftalamida

N^3-[(1S,2R)-3-(benzilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil]-N^5,N^5-dipropil-3,5-piridinidicarboxamida

N^1-[(1S,2R)-3-(benzilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-fluoro-N^3,N^3-dipropilisoftalamida

N^2-[(1S,2R)-3-(benzilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil]-N^5,N^5-dipropil-2,5-tiofenodicarboxamida

N^4-[(1S,2R)-3-(benzilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil]-N^2,N^2-dipropil-2,4-piridinidicarboxamida

N^4-[(1S,2R)-3-(benzilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil]-N^6,N^6-dipropil-4,6-pirimidinidicarboxamida

N-[(1S,2R)-3-(benzilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil]-3-(4-morfolinilcarbonil)benzamida

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metilbenzil)amino]propil}-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^5,N^5-dipropilpentanodiamida

N^1-[(1S,2R)-3-{[(1R)-1-[(benziloxi)metil]-2-(isobutilamino)-2-oxoetil]amino}-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^5,N^5-dipropilisoftalamida

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(1R)-1-(hidroximetil)-2-(isobutilamino)-2-oxoetil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida

N^1-[(1S,2R)-1-benzil-2-hidroxi-3-(pentilamino)propil]-N^3,N^3-dipropilisoftalamida

N^1-[(1S)-3-({2-[4-aminosulfonil)fenil]etil}amino)-1-benzil-2-hidroxipropil]-N^3,N^3-dipropilisoftalamida

N^3-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(1,3-tiazol-5-ilmetil)amino]propil}-N^5,N^5-dipropil-3,5-piridinodicarboxamida

3-benzoil-N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}benzamida

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-1,1'-difenil)-3-carboxamida

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metilbenzil)amino]propil}-N^3,N^3-dipropilisoftalamida

N^1-[(1S,2R)-3-(benzilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil]-N^3-(2-metoxietil)-N^3-propilisoftalamida

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3-etoxibenzamida

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-2-naftamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(1R)-1,2,3,4-tetrahidro-1-naftalenilamino]propil}-5-metil-N^3,N^3-dipropilisoftalamida

N^1-[(1R)-3-{[3,5-bis(trifluorometil)benzil]amino}-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida

N^1-((1S,2R)-1-benzil-3-{[2-fluoro-5-(trifluorometil)benzil]amino}-2-hidroxipropil]-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-benzil-3-[(2,3-difluorobenzil)amino]-2-hidroxipropil]-N^3,N^3-dipropilisoftalamida

N^1-((1S,2R)-1-benzil-3-{[3-fluoro-4-(trifluorometil)benzil]amino}-2-hidroxipropil]-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-benzil-3-[(2,5-difluorobenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida

N^1-((1S,2R)-1-benzil-3-{[3-fluoro-5-(trifluorometil)benzil]amino}-2-hidroxipropil)-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-benzil-3-[(3,4-difluorobenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida

N^1-((1S,2R)-1-benzil-3-{[4-fluoro-3-(trifluorometil)benzil]amino}-2-hidroxipropil)-N^3,N^3-dipropilisoftalamida

N^1-((1S,2R)-1-benzil-3-{[2-cloro-5-(trifluorometil)benzil]amino}-2-hidroxipropil]-N^3,N^3-dipropilisoftalamida

N^1-((1S,2R)-1-benzil-3-{[4-cloro-3-(trifluorometil)benzil]amino}-2-hidroxipropil]-N^3,N^3-dipropilisoftalamida

N^1-[(1S,2R)-1-benzil-3-(2,3-dihidro-1-inden-2-ilamino-2-hidroxipropil]-N^3,N^3-dipropilisoftalamida

N^1-[(1S)-1-benzil-2-hidroxi-3-[(3-nitrobenzil)amino]propil}-N^3,N^3-dipropilisoftalamida

N^1-((1S,2R)-1-benzil-3-{(3-(difluorometoxi)benzil]amino}-2-hidroxipropil)-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-benzil-3-[(3-etoxibenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida

N^1-((1S,2R)-1-benzil-2-hidroxi-3-{[(5-metil-2-pirazinil)metil]amino}propil)-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-benzil-3-[(3-bromo-4-fluorobenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3,5dimetilbenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etoxibenzil)amino]-2-hidroxipropil}-5-metil-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(2-fenoxietil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-isobutoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(4-metil-1,3-tiazol-2-il)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida

N^1-[(1S,2R)-3-(benzilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil]-N^3-metil-N^3-propilisoftalamida

N^2-[(1S,2R)-3-(benzilamino)-1-(3,5-difluorobenzil)-hidroxipropil]-N^5,N^5-dipropil-2,5-furandicarboxamida

N^3-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[3-(trifluorometil)benzil]amino}propil)-N^5,N^5-dipropil-3,5-piridinodicarboxamida

N^3-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(1-metil-1-feniletil)amino]propil}-N^5,N^5-dipropil-3,5-piridinodicarboxamida

N^1-[(1S,2R)-3-amino-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(1,2-difeniletil)amino]-2-hidroxipropil}-5-metil-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(7-metoxi-1,2,3,4-tetrahidro-1-naftalenil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida, isómero A

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(7-metoxi-1,2,3,4-tetrahidro-1-naftalenil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida, isómero B

Benzil-(1S,2R)-3-azido-1-(3,5-difluorobenzil)-2-hidroxipropilcarbamato (XII)

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3-(dimetilamino)benzamida

N-(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil]-2-metil-1H-benzimidazol-5-carboxamida

3-(aminosulfonil)-N-{(1S)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-4-clorobenzamida

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3-cianobenzamida

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]-propil}-4-cloro-3-nitrobenzamida

Metil 3-[({(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]-propil}amino)carbonil]-5-nitrobenzoato

Tert-butil 3-[({(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}amino)carbonil]-fenilcarbamato

N-[(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil]-9,10-dioxo-9,10-dihidro-2-antourancenilcarboxamida

N-[(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil]-1H-1,2,3-benzotriazol-6-carboxamida

N-[(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil]-4-(3-metil-5-oxo-4,5-dihidro-1H-pirazol-1-il)benzamida

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]-propil]-1H-indol-5-carboxamida

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3-fluoro-5-(trifluorometil)benzamida

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]-propil}-3-(trifluorometil)benzamida

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]-propil}-4-(butilamino)benzamida

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]-propil}-3-(trifluorometoxi)benzamida

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]-propil}-3,5-dimetoxibenzamida

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]-propil}-3,5-dimetoxibenzamida

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]-propil}-3,5-difluorobenzamida

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]-propil}-3,5-diclorobenzamida

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]-propil}-4-(benziloxi)benzamida

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]-propil}-1,3-benzodioxol-5-carboxamida

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]-propil}-1,3-benzodioxol-5-carboxamida

3-(acetilamino)-N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]-propil}benzamida

4-(acetilamino)-N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}benzamida

N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[(3,5-dimetil-4-isoxazolil)metil]amino}-2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-fenilpropil)amino]propil}-5-metil {[(3,5-dimetil-4-

isoxazol)metil]amino}-2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-furilmetil)amino]-2-hidroxipropil}-5-metil-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(tetrahidro-3-furanilmetil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-propoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(2-piridinilmetil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida

N^1-[(1S,2R)-3-(benzilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-hidroxi-N^3,N^3-dipropilisoftalamida

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[1-metil-1-(3-metilfenil)etil]amino}propilo)-5-metil-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(1S)-1,2,3,4-tetrahidro-1-naftalenilamino]propil}-5-metil-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(2,5-dimetilbenzil)amino]-2-hidroxipropil}-5-metil-N^3,N^3-dipropilisoftalamida

N^1-[(1S,2R)-3-{[2-cloro-5-(trifluorometil)benzil]amino}-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(2-hidroxi-5-metilbenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(1S,2R)-2-hidroxi-2,3-dihidro-1H-inden-1-il]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(1R)-2,3-dihidroxi-1H-inden-1-ilamino]-2-hidroxipropil}-5-metil-N^3,N^3-dipropilisoftalamida

5-cloro-N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(1-metil-1-feniletil)amino]propil}-N^3,N^3-dipropilisoftalamida

N^1-[(1S,2R)-3-[(1-benzofuran-2-ilmetil)amino]-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida

N^1-[(1S,2R)-3-{[(1R)-1-bromofenil)etil]amino}-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-(4-fluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3-[butil(butiril)amino-5-metilbenzamida

N^1-{1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-4-metil-N^3,N^3-dipropilisoftalamida

N^3-{1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-4-metil-N^1,N^1-dipropilisoftalamida(X)

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-4-metil-N^3,N^3-dipropilisoftalamida(X)

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-1-butil-1H-indol-6-carboxamida(X)

N^1-[(1S,2R)-3-anilino-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida

5-bromo-N^1-[(1S,2R)-3-[(3-bromobenzil)amino]-1-(3,5-difluorobenzil)-2-hidroxipropil]-N^3,N^3-dipropilisoftalamida

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-4-metilpentanamida

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-3-metilpentanamida

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-hidroxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-ciano-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida

Trifluoroacetato 1-N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propoil}-5-oxo-5-)1-piperidinil)pentanamida

5-(aminosulfonil)-N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N-dipropilisoftalamida

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N-dipropil-5-(1-pirrolidinilsulfonil)isoftalamida

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-[(metilamino)sulfonil]-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-[(dimetilamino)sulfonil]--N^3,N^3-dipropilisoftalamida

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-2-metil-3-(metilsulfonil)propanamida

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3-(metilsulfonil)propanamida

2-amino-N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-1,3-tiazol-4-carboxamida

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N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-(metilsulfonil)pentanamida

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^4-fenilsuccinamida

(3R)-N^4-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-2,2,3-trimetilbutanamida

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3-[(dipropilamino)sulfonil]-propanamida

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^5,N^5-dipropilpentanadiamida

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-4-oxo-4-(1-piperidinil)butanamida

N-1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^4,N^4-dipropilsuccinamida

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxbenzil)amino]propil}-5-oxo-5-(1-piperidinil)pentanamida

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^5-oxo-5-fenilpentanamida

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3,3-dimetil-4-oxo-4-(1-piperidinil)butanamida

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-4-(isopentilsulfonil)butanamida

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-2,2-dimetil-N^4,N^4-dipropilsuccinamida

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-4-[(dipropilamino)sulfonil]butanamida

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N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-4-[(metilanilino)sulfonil]butanamida

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3-[(metilanilino)sulfonil]propanamida

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil} acetamida

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3-(isopentilsulfonil)propanamida

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-yodobenzil)amino]propil}-5-oxo-5-(1-piperidinil)pentanamida

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-yodobenzil)amino]propil}-5-oxo-5-(1-piperidinil)pentanamida

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-3-[(dipropilamino)sulfonil]propanamida

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-etil-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-isobutil-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-tert-butil-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-ciano-N^3-propilisoftalamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida

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N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dimetil-N^5,N^5-dipropil-1,3,5-bencenotricarboxamida

N^1-[(1S,2R)-3-amino-1-benzil-2-hidroxipropil]-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida

N^1-[(1S,2R)-1-benzil-2-hidroxi-3-(isopentilamino)propil]-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida

N^1-[(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil]-N^3-propil-1,3,5-bencenotricarboxamida

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3-[butiril(propil)amino]-5-metilbenzamida

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-1-propil}-1-propil-1H-indol-6-carboxamida

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N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-1-propil}-1-propil-1H-indol-6-carboxamida

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-[(3,4-dimetilbenzil)amino]-2-hidroxipropil}-5-hidroxipropil}-5-metil-N^3,N^3-dipropilisoftalamida

N-[(1S,2R)-3-[(3-aminobenzil)amino]-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}octanamida

N^3-[(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-({1-metil-1-[3-(trifluorometil)fenil]etil}amino)propil]-N^5,N^5-dipropil-3,5-piridinodicarboxamida

N^1-[(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-({1-metil-1-[3-

(trifluorometil)fenil]etil}amino)propil]-5-metil-N^3,N^3-dipropilisoftalamida

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(1R,2S)-2-hidroxi-2,3-dihidro-1H-inden-1-il]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(1R)-2,3-dihidro-1H-inden-1-ilamino]-2-hidroxipropil}-5-metil-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-3-metilbenzamida

N^1-[(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-(1H-isoindol-3-ilamino)propil]-5-metil-N^3,N^3-dipropilisoftalamida

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(1R,2S,5R)-2-isopropil-5-metilciclohexil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida

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N^1,N^1-dialil-5-cloro-N^3-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(1-metil-1-feniletil)amino]propil}isoftalamida

N^1,N^1-dialil-5-cloro-N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(1-metil-1-feniletil)amino]propil}-N^3,N^3-bis(2-metoxietil)isoftalamida

N^3-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(1-fenilciclopentil)amino)propil}-N^5,N^5-dipropil-3,5-piridinodicarboxamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-5-metil-N^3,N^3-dipropilisoftalamida

N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[3-(dimetilamino)benzil]amino}-2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida

N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[(4,5-dimetil-2-furil)metil]amino}-2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(1-fenilciclopentil)-amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida

N^1-[(1S,2R)-3-(ciclopropilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida

N^1-[(1S,2R)-3-[(ciclopropilmetil)amino]-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-N^5,N^5-dipropilpentanodiamida

N^3-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(2-furilmetil)amino]-2-hidroxipropil}-N^5,N^5-dipropil-3,5-piridinodicarboxamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(tetrahidro-2-furanilmetil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida

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N^3-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(1-fenilciclopropil)amino]propil}-N^5,N^5-dipropil-3,5-piridinodicarboxamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(2-oxo-3-azepanil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(3-metil-2-furil)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(2S)-tetrahidro-2-furanilmetil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida

5-cloro-N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(1-metil-1-feniletil)amino]propil}-N^3,N^3-di(2-propinil)isoftalamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-isopropenilbenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(2-propoxietil)amino]propil}-5-metil-metil-N^3,N^3-dipropilisoftalamida

N^1-[(1S,2R)-1-(3,5-difluorobenzil)-3-(hexilamino)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-4-(3-metil-5-oxo-4,5-dihidro-1H-pirazol-1-il)benzamida

Metil 4-({[(2E,3S)-4-(3,5-difluorobenzil)-3-({3-[(dipropilamino)carbonil]-5-metilbenzoil}amino-2-hidroxibutil]amino}metil)benzoato

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(2-metoxietil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(5-isoxazolilmetil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida

(1R,2R)-N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-N^2,N^2-dipropil-1,2-ciclopropanodicarboxamida

N^3-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(2S)-tetrahidro-2-furanilmetil]amino}propil)-N^5,N^5-dipropil-3,5-piridinodicarboxamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(2-metoxietil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-isopropilbenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida

4-(butirilamino)-N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}benzamida

N^1-[(1S,2R)-3-[(3-amino-3-oxopropil)amino]-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida

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N^3-[(1S,2R)-3-(benzilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil]-N^5,N^5-dipropil-3,5-piridinodicarboxamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-5-etinil-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(7-oxabiciclo[2.2.1]hept-2-ilmetil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etinilbenzil)amino]-2-hidroxipropil}-5-metil-N^3,N^3-dipropilisoftalamida

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(2-metil-1,3-tiazol-5-il)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida

N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[(2-etil-1,3-tiazol-5-il)metil]amino}-2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(3R)-2-oxoazepanil]amino}-propil)-5-metil-N^3,N^3-dipropilisoftalamida

N^1-[(1S,2R)-3-(ciclobutilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida

N^1-[(1S,2R)-3-(butilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-etinil-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-5-etinil-N^3,N^3-dipropilisoftalamida

N^1-[(1S,2R)-3-(3,5-difluorobenzil)-3-(5-hexinilamino)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida

N^3-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(5-metil-2-furil)metil]amino}propil)-N^5,N^5-dipropil-3,5-piridinodicarboxamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(1-metil-1-feniletil)amino]propil}-N^5,N^5-dipropilpentanodiamida

N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[1-(2-furil)-1-metiletil]amino}-2-hidroxipropil)-5-metil-N^3,N^3-dipropil-3,5-piridinodicarboxamida

N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[(3-isobutil-5-isoxazol)metil]amino}propil-5-metil-N^3,N^3-dipropilisoftalamida

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(2-isobutil-1,3-tiazol-5-il)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-[(dipropilamino)sulfonil]propanamida

N^1-((1S,2R)-1-benzil-2-hidroxi-3-[(2-feniletil)amino]propil}-N^3,N^3-dipropilisoftalamida

N^1-((1S,2R)-1-benzil-3-{[2-(2-clorofenil)etil]amino}-2-hidroxipropil)-N^3,N^3-dipropilisoftalamida

N^1-((1S,2R)-1-benzil-2-hidroxi-3-{[3-(2-oxo-1-porrolidinil)propil]amino}propil)-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-benzil-3-[(ciclohexilmetil)amino]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida

N^1-[(1S,2R)-1-benzil-3-[(ciclopropilamino)-2-hidroxipropil]-N^3,N^3-dipropilisoftalamida

N^1-[(1S,2R)-1-benzil-3-[(ciclopropilamino)-2-hidroxipropil]-N^3,N^3-dipropilisoftalamida

N^1-[(1S,2R)-3-(benzilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil]-3-butilsulfonil)benzamida

N^1-[(1S,2R)-1-benzil-3-({2-[(2-etilhexil)oxi]etil}amino)-2-hidroxipropil]-N^3,N^3-dipropilisoftalamida

N^1-((1S,2R)-1-benzil-2-hidroxi-3-{[(1S,2R)-2-hidroxi-2,3-dihidro-1H-inden-1-il]amino}propil)-N^3,N^3-dipropilisoftalamida

N^1-((1S,2R)-1-benzil-2-hidroxi-3-{[1-(4-hidroxifenil)etil]amino}propil)-N^3,N^3-dipropilisoftalamida

N^1-[(1S,2R)-1-benzil-3-(ciclopentilamino)-2-hidropropil]-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-benzil-3-[([1,1'-bifenil]-2-ilmetil)amino]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-benzil-3-[(2-fluorobenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3-(dimetilamino)benzamida

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-1-naftamida

N^1-[(1S,2R)-1-benzil-3-({2-[({5-[(dimetilamino)metil]-2-furil}metil)sulfanil]etil}amino)-2-hidroxipropil]-N^3,N^3-dipropilisoftalamida

N^1-[(1S,2R)-1-benzil-3-({2-cloro-6-fluorobenzil)sulfaniletil}amino)-2-hidroxipropil]-N^3,N^3- dipropilisoftalamida

N^1-[(1S,2R)-3-[([1,1'-bifenil]-4-ilmetil)amino]-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida

N^1-[(1S,2R)-3-(3,5-difluorobenzil)-2-hidroxi-3-(1-naftilamino)propil]-5-metil-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(1H-imidazol-5-ilmetil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(2-fenil-1H-imidazol-5-il-)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(1-metil-1H-imidazol-2-il)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida

N^1-[(1S,2R)-3-{[(2-butil-4-cloro-1H-imidazol-5-il)metil]amino}-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida

N^1-[(1S,2R)-3-{[(6-cloroimidazo[2,1-b][1,3]tiazol-5-il)metil]amino}-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(1-metil-1H-benzimidazol-2-il)metil]amino}-1-propil)-5-metil-N^3,N^3-dipropilisoftalamida

N^1-((1S,2R)-1(3,5-difluorobenzil)-2-hidroxi-3-{[(2-hidroxi-1-naftil)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(4-oxo-4H-couromen-3-il)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida

N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[(1,5-dimetil-3-oxo-2-fenil-2,3-dihidro-1H-pirazol-4-il)metil]amino}-2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida

N^1-[(1S,2R)-3-({[5-ciano-6-(metilsulfanil)-2-piridinil]metil}amino)-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida

[5-({[(2R,3S)-4-(3,5-difluorobenzil)-3-({3-[(dipropilamino)carebonil]-5-metilbenzoil}amino)-2-hidroxibutil]amino}metil)-2-furil]metil acetato

N^1-[(1S,2R)-3-[(1-benzofuran-3-ilmetil)amino]-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida

Metil 4-({[(2R,3S)-4-(3,5-difluorofenil)-3-({3-[(dipropilamino)carbonil]-5-metilbenzoil}amino)-2-hidroxibutil]amino}metil)-1-metil-1H-pirrol-2-carboxilato

N^1-[(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-({[1-(fenilsulfonil)-1H-pirrol-2-il]metil}amino)propil]-5-metil-N^3,N^3-dipropilisoftalamida

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(1-metil-1H-pirrol-2-il)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida

N^1-[(1S,2R)-3-{[(4-cloro-1-metil-1H-pirazol-3-il)metil]amino}-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida

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N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[(3,5-dimetil-1-fenil-1H-pirazol-4-il)metil]amino}-2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida

N^1-[(1S,2R)-3-{[(5-cloro-3-metil-1-fenil-1H-pirazol-4-il)metil]amino}-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(3-fenil-1H-pirazol-4-il)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida

N^1-[(1S,2R)-3-{[(5-cloro-2-tienil)metil]amino}-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(3-fenoxi-2-tienil)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-quinolinilmetil)amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida

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N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(2-quinolinilmetil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(1-metil-1H-indol-2-il)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida

N^1-[(1S,2R)-3-{[(1-benzil-1H-indol-3-il)metil]amino}-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(1-metil-1H-indol-3-il)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[({1-[(4-metilfenil)sulfonil]-1H-indol-3-il}metil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida

N^1-[(1S,2R)-3-{[(2-butil-1H-imidazol-5-il)metil]amino}-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida

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Metil 3-({[{(2R,3S)-4-(3,5-difluorobenzil)-3-({3-[(dipropilamino)carbonil]-5-metilbenzoil}amino)-2-hidroxibutil]amino}metil)-1H-indol-6-carboxilato

3-[({(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}amino)carbonil]-5-[butil(butiril)amino]benzil dietil fosfato

N^1-{(1S,2R)-1-benzil-2-hidroxi-[(3-metoxibenzil)amino]propil}-5-(cianometil)-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-benzil-2-hidroxi-[(3-metoxibenzil)amino]propil}-5-(hidroximetil)-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-benzil-2-hidroxi-[(3-metoxibenzil)amino]propil}-5-etinil-N^3,N^3-dipropilisoftalamida

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N^1-{(1S,2R)-1-benzil-2-hidroxi-[(3-yodobenzil)amino]propil}-N^3,N^3-dipropil-5-prop-1-inilisoftalamida

N^1-((1S,2R)-1-benzil-2-hidroxi-[(3-trifluorometil)benzil]amino}propil)-5-etinil-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-benzil-2-hidroxi-[(3-yodobenzil)amino]propil}-5-etinil-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-benzil-[(3-fluorobenzil)amino]-2-hidroxipropil}-5-etinil-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-5-(8-quinolinil)isoftalamida

N^3-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-4'-metoxi-N^5,N^5-dipropil[1,1'-bifenil]-3,5-dicarboxamina

N^3-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^5,N^5-dipropil[1,1'-bifenil]3,5-dicarboxamida

N^3-{(1S,2R)-1-benzil-2-hidroxi-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^5,N^5-dipropil[1,1'-bifenil]3,5-dicarboxamida

N^3-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-4'-[(dimetilamino)sulfonil]-N^5,N^5-dipropil-1,1'-bifenil-3,5-dicarboxamida

N^3-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-yodobenzil)amino]propil}-4'-[(dimetilamino)sulfonil]-N^5,N^5-dipropil-1,1'-bifenil-3,5-dicarboxamida

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-5-(3-tienil)isoftalamida

N-{(1S,2R)-1-(3,5-difluorobenzil)2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3-metil-5-pentanoilbenzamida

N^1-(4-hidroxibutil)-N^3-{(1S)-2-hidroxi-1-(4-hidroxibenzil)-1-[(3-metoxibenzil)amino]propil}-5-metil-N^1-propilisoftalamida

N^1-{(1S,2R)-2-hidroxi-1-(4-hidroxibenzil)-3-[(3-metoxibenzil)amino]propil}-N^3-(3-hidroxipropil)-5-metil-N^3-propilisoftalamida

N^1-{(1S,2R)-2-hidroxi-1-(4-hidroxibenzil)-3-[(3-metoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida

N^1-((1S,2R)-1-benzil-3-{[3-(2,4-dimetilfenil)propil]amino}-2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida

N^1-((1S,2R)-1-benzil-2-hidroxi-3-{[3{(4-metilfenil)propil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-1,3-dioxo-2-propil-5-isoindolinocarboxamida

N-{(1R,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3-bromo-5-metilbenzamida

3-bromo-N-N-{(1R,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-metilbenzamida

N^1-{(1R,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-4-metil-N^3,N^3-propilisoftalamida

N^1-{(1R,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-4-metil-N^3,N^3-propilisoftalamida

N^3-{(1R,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-4-metil-N^1,N^1-propilisoftalamida

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3-(2-furil)-5-metilbenzamida

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3',5',5'-trimetil-1,1'-bifenil-3-carboxamida

3'-Acetil-N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-metil[1,1'-bifenil]-3-carboxamida

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3'-metoxi-5-metil[1,1'-bifenil]-3-carboxamida

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-metil[1,1'-bifenil]-3-carboxamida (X

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3-metil-5-(2-tienil)benzamida

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3-metil-5-(3-tienil)benzamida

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-3-metil-5-(3-tienil)benzamida

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-4-metil-3-(3-tienil)benzamida

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}N^3,N^3,N^5,N^5-4-tetrapropilbenceno-1,3,5,-tricarboxamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3-,N^3-dipropilbenceno-1,3,5-tricarboxamida

Etil 3-[({(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}amino)carbonil]-5-[(dipropilamino)carbonil]benzoato

N^1-{(1S,2R)-2-hidroxi-1-(4-hidroxibenzil)-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropilbenceno-1,3,5-tricarboxamida

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-5-{[(trifluorometil)sulfonil]amino}isoftalamida

5-amino-N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-5-[(trifluoroacetil)amino]isoftalamida

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-[(metilsulfonil)amino]-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-5-[(tien-2-ilsulfonil)amino]isoftalamida

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-5-[(tien-2-ilcarbonil)amino]isoftalamida

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-(metacriloilamino)-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-[(2,2-dimetilpropanoil)amino]-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-[(fenilsulfonil)amino]-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-(metiltio)pentanamida

Tert-butil (2R,3S)-3-({3-[(dipropilamino)sulfonil]propanoil}amino)-2-hidroxi-4-fenilbutil(3-metoxibenzil)carbamato

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3-metil-5-[propionil(propil)amino]-benzamida

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-1-butil-1H-indol-5-carboxamida

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3-bromo-5-metilbenzamida

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3-[butil(propionil)amino]-5-metilbenzamida

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-4-metil-1-propil-1H-indol-6-carboxamida

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-1-(1-propilbutil)-1H-indol-6-carboxamida

N^1-((1S,2R)-1-benzil-2-hidroxi-3-{[(2-oxo-2,3-dihidro-1,3-benzoxazol-6-il)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropil-5-{[(trifluorometil)sulfonil]amino}isoftalamida

Ácido 3-[({(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}amino)carbonil]-5-[(dipropilamino)carbonil]benzoico

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropil-5-prop-1-inilisoftalamida

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-2-(dipropilamino)isonicotinamida

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-2-hidroxi-2-(4-metilfenil)acetamida

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-4-hidroxi-N3-metilisoftalamida

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N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-2-hidroxi-2-(4-metoxi-3-nitrofenil)acetamida

5-(aminosulfonil)-N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-2-metoxibenzamida

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-4-hidroxi-3-(pirrolidin-1-ilcarbonil)benzamida

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-2-[(metilsulfonil)amino]-1,3-oxazol-4-carboxamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-(3,5-dimetilisoxazol-4-il)-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-5-(1,3-tiazol-2-il) isoftalamida

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3-(ciclohexilcarbonil)-N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-metilbenzamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-metil-N^3-propilisoftalamida

3-[ciclohexil(hidroxi)metil]-N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-metilbenzamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-5-(4-metil-1,3-oxazol-2-il)-N^3,N^3-dipropilisoftalamida

N^3-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^5,N^5-dipropilpiridina-3,5-dicarboxamida

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(3-isobutil-1,2,4-oxadiazol-5-il)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida

N^3-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etinilbenzil)amino]-2-hidroxipropil}-N^5,N^5-dipropilpiridino-3,5-dicarboxamida

N^3-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-isopropilbenzil)amino]propil}-N^5,N^5-dipropilpiridino-3,5-dicarboxamida

N^1-((1S,2R)-3-[(1-acetilpiperidin-4-il)amino]-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-pent-1-inilbenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[3-(4-hidroxibut-1-inil)benzil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida

1-{3-[({(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}amino)carbonil]-5-metilbenzoil}-L-prolinamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3-isopropil-5-metilisoftalamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3-etil-N^3-,5-dimetilisoftalamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3,5-dimetil-N^3-prop-2-inilisoftalamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etinilbenzil)amino]-2-hidroxipropil}-N^3-isobutil-5-metilisoftalamida

N^1-(sec-butil)-N^3-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-5- metilisoftalamida

N^1-butil-N^3-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-5-metilisoftalamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3,N^3-dietil-5-metilisoftalamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3,5-dimetil-N^3-propilisoftalamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3-isopropil-N^3,5-dimetilisoftalamida

N^1-butil-N^3-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^1,5-dimetilisoftalamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3-isobutil-N^3,5-dimetilisoftalamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3-etil-5-metil-N^3-propilisoftalamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3-etil-N^3-isopropil-5-metilisoftalamida

N^1,N^1-dialil-N^3-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-5-metilisoftalamida

3-(azepan-1-ilcarbonil)-N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-5-metilbenzamida

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-[(4-hidroxipiperidin-1-il)carbonil]-5-metilbenzamida

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-[(3-hidroxipiperidin-1-il)carbonil]-5-metilbenzamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3,N^3-diisopropil-5-metilisoftalamida

N^1-butil-N^3-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^1-etil-5-metilisoftalamida

N^1-(ciclopropilmetil)-N^3-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-5-metil-N^1-propilisoftalamida

1-{3-[({(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}amino)carbonil]-5-metilbenzoil}-D-prolinamida

N^1-ciclohexil-N^3-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^1,5-dimetilisoftalamida

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[1-(3-metilfenil)ciclopropil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida

N^3-[(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-(1,2,3,4-tetrahidronaftalen-1-ilamino)propil]-N^5,N^5-diisopropilpiridina-3,5-dicarboxamida,

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N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-{[(trifluorometil)sulfonil]amino}benzamida,

181. Un método de tratamiento de acuerdo a la reivindicación 180 donde la amina sustituida (X) se selecciona del grupo que consiste de:

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(furimetil)amino]-2-hidroxipropil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropilisoftalamida

N^1-((1S,2R)-1-benzil-2-hidroxi-3-{[2-(2-hidroxietoxi)etil]amino}propil)-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-3-[(2-aminobenzil)amino]-1-benzil-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-yodobenzil)amino]-propil}-N^3,N^3-dipropilisoftalamida

N^1-((1S,2R)-1-benzil-2-hidroxi-3-{[2-(trifluorometoxi)benzil]amino}propil)-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-benzil-3-[(3,5-diclorobenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida

N^1-((1S,2R)-1-benzil-2-hidroxi-3-{[3-(trifluorometoxi)benzil]amino}propil)-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-benzil-3-[(2,4-dimetoxibenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida

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N^1-{(1S,2R)-1-benzil-3-[([1,1'-bifenil-3-ilmetil)amino]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-benzil-3-[(3,4-diclorobenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida

N^1-((1S,2R)-1-benzil-2-hidroxi-3-{[3-(trifluorometil)benzil]amino}propil)-N^3,N^3-dipropilisoftalamida

N^1-{(1S)-1-benzil-2-hidroxi-3-[(3-metoxipropil)amino]propil}-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-benzil-3-[(3,4-dimetilbenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[2-(isobutilamino)-1-metil-2-oxoetil]amino}propil)-N^3,N^3-dipropilisoftalamida

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(1S)-2-(isobutilamino)-1-metil-2-oxoetil]amino}propil)-N^3,N^3-dipropilisoftalamida

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(1S)-2-(isobutilamino)-1-metil-2-oxoetil]amino}propil)-N^5,N^5-dipropil-3,5-piridinodicarboxamida

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[2-(isobutilamino)-1,1-dimetil-2-oxoetil]amino}propil)-N^3,N^3-dipropilisoftalamida

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[2-(isobutilamino)-2-oxoetil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida

N^1-[(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-({(1S)-1-[(isobutilamino)carbonil]propil}amino)propil]-5-metil-N^3,N^3-dipropilisoftalamida

N^1-[(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-({(1R)-1-

[(isobutilamino)carbonil]propil}amino)propil]-5-metil-N^3,N^3-dipropilisoftalamida

N^1-[(1S,2R)-3-(benzilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{(3-(isobutilamino)-2-metil-3-oxopropil]-amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida

N^1-[(1S,2R)-3-{[(1S)-1-benzil-2-(isobutilamino)-2-oxoetil]amino}-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida

N^1-[(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-({(1S)-1-[(isobutilamino)carbonil]-2-metilpropil}amino)propil]-5-metil-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-piridinilmetil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida

N^1-[(1S,2R)-3-{[(1S)-1-[(benziloxi)metil]-2-(isobutilamino)-2-oxoetil]amino}-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(1-metil-1-feniletil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida

N^1-[(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-({(1S)-1-[(isobutilamino)carbonil]butil}amino)propil]-5-metil-N^3,N^3-dipropilisoftalamida

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(1S)-1-hidroximetil)-2-(isobutilamino)-2-oxoetil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(2-feniletil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida

N^1-[(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-(isopentilamino)propil]-5-metil-N^3,N^3-dipropilisoftalamida

N^1-[(1S,2R)-3-(ciclohexilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida

N^1-[(1S,2R)-3-(butilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxipropil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida

Ácido (1R,3S)-5-{[(2R,3S)-4-(3,5-difluorofenil)-3-({3-[(dipropilamino)carbonil]-5-metilbenzoil}amino)-2-hidroxibutil]amino}-1,3-ciclohexanodicarboxílico

N^1-[(1S,2R)-3-[([1,1'-bifenil]-3-ilmetil)3amino]-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida

N^1-[(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metilbenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-fenilpropil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(1,3-tiazol-5-ilmetil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(2-tienilmetil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(5-metoxi-1,2,3,4-tetrahidro-1-naftalenil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(2-pirazinilmetil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3,5-dimetoxibenzil)amino]-2-hidroxipropil}-5-N^3,N^3-dipropilisoftalamida

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[3-(trifluorometil)benzil]amino}propil)-5-metilN^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(7-metoxi-1,2,3,4-tetrahidro-1-naftalenil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida racémico

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[3-(trifluorometoxi)benzil]amino}propil)-5-metilN^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-fluorobenzil)amino]-2-hidroxipropil}-5-metil-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-isopropoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida

N^1-[(1S,2R)-3-[(3-bromobenzil)amino]-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(5-metoxi-1,2,3,4-tetrahidro-1-naftalenil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida

N^1-[(1S,2R)-3-(benzilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metoxi-N^3,N^3-dipropilisoftalamida

N^1-[(1S,2R)-3-(benzilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil]-N^3,N^3-dipropilisoftalamida

N^1-[(1S,2R)-3-(benzilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-cloro-N^3,N^3-dipropilisoftalamida

N^3-[(1S,2R)-3-(benzilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil]-N^5,N^5-dipropil-3,5-piridinidicarboxamida

N^1-[(1S,2R)-3-(benzilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-fluoro-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metilbenzil)amino]propil}-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^5,N^5-dipropilpentanodiamida

N^3-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(1,3-tiazol-5-ilmetil)amino]propil}-N^5,N^5-dipropil-3,5-piridinodicarboxamida

N^1-{(1S,2R)-1-(3,5-diffluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-metil[1,1'-bifenil]-3-carboxamida

N^1-[(1S,2R)-3-(benzilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil]-N^3-(2-metoxietil)-N^3-propilisoftalamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(1R)-1,2,3,4-tetrahidro-1-naftalenilamino]propil}-5-metil-N^3,N^3-dipropilisoftalamida

N^1-[(1R)-3-{[3,5-bis(trifluorometil)benzil]amino}-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida

N^1-((1S,2R)-1-benzil-3-{[2-fluoro-5-(trifluorometil)benzil]amino}-2-hidroxipropil]-N^3,N^3-dipropilisoftalamida

N^1-((1S,2R)-1-benzil-3-{[3-fluoro-5-(trifluorometil)benzil]amino}-2-hidroxipropil]-N^3,N^3-dipropilisoftalamida

N^1-((1S,2R)-1-benzil-3-{[4-fluoro-3-(trifluorometil)benzil]amino}-2-hidroxipropil]-N^3,N^3-dipropilisoftalamida

N^1-((1S,2R)-1-benzil-3-{[4-cloro-5-(trifluorometil)benzil]amino}-2-hidroxipropil]-N^3,N^3-dipropilisoftalamida

N^1-[(1S)-1-benzil-2-hidroxi-3-[(3-nitrobenzil)amino]propil}-N^3,N^3-dipropilisoftalamida

N^1-((1S,2R)-1-benzil-3-{(3-(difluorometoxi)benzil]amino}-2-hidroxipropil)-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-benzil-3-[(3-etoxibenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida

N^1-((1S,2R)-1-benzil-2-hidroxi-3-{[(5-metil-2-pirazinil)metil]amino}propil)-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-benzil-3-[(3-bromo-4-fluorobenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3,5dimetilbenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etoxibenzil)amino]-2-hidroxipropil}-5-metil-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(2-fenoxietil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(4-metil-1,3-tiazol-2-il)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida

N^1-[(1S,2R)-3-(benzilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil]-N^3-metil-N^3-propilisoftalamida

N^3-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[3-(trifluorometil)benzil]amino}propil)-N^5,N^5-dipropil-3,5-piridinodicarboxamida

N^3-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(1-metil-1-feniletil)amino]propil}-N^5,N^5-dipropil-3,5-piridinodicarboxamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(7-metoxi-1,2,3,4-tetrahidro-1-naftalenil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida, isómero A

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-furilmetil)amino]-2-hidroxipropil}-5-metil-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(tetrahidro-3-furanilmetil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-propoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(2-piridinilmetil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida

N^1-[(1S,2R)-3-(benzilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-hidroxi-N^3,N^3-dipropilisoftalamida

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[1-metil-1-(3-metilfenil)etil]amino}propilo)-5-metil-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(1S)-1,2,3,4-tetrahidro-1-naftalenilamino]propil}-5-metil-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(2,5-dimetilbenzil)amino]-2-hidroxipropil}-5-metil-N^3,N^3-dipropilisoftalamida

N^1-[(1S,2R)-3-{[2-cloro-5-(trifluorometil)benzil]amino}-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(2-hidroxi-5-metilbenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida

5-cloro-N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(1-metil-1-feniletil)amino]propil}-N^3,N^3-dipropilisoftalamida

N^1-[(1S,2R)-3-{[(1R)-1-bromofenil)etil]amino}-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-hidroxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida

Clorhidrato N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-ciano-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida

5-(aminosulfonil)-N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N-dipropilisoftalamida

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N-dipropil-5-(1-pirrolidinilsulfonil)isoftalamida

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-[(metilamino)sulfonil]-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-[(dimetilamino)sulfonil]-N^3,N^3-dipropilisoftalamida

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3-[(dipropilamino)sulfonil]-propanamida

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxbenzil)amino]propil}-5-oxo-5-(1-piperidinil)pentanamida

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-3-[(dipropilamino)sulfonil]propanamida

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N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-etil-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-tert-butil-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-ciano-N^3-propilisoftalamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-1-propil}-1-propil-1H-indol-6-carboxamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-[(3,4-dimetilbenzil)amino]-2-hidroxipropil}-5-hidroxipropil}-5-metil-N^3,N^3-dipropilisoftalamida

N^1-[(1S,2R)-3-[(3-aminobenzil)amino]-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida

N^3-[(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-({1-metil-1-[3-(trifluorometil)fenil]etil}amino)propil]-N^5,N^5-dipropil-3,5-piridinodicarboxamida

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(1R,2S)-2-hidroxi-2,3-dihidro-1H-inden-1-il]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(1R)-2,3-dihidro-1H-inden-1-ilamino]-2-hidroxipropil}-5-metil-N^3,N^3-dipropilisoftalamida

5-cloro-N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(1-metil-1-feniletil)amino]propil}-N^3,N^3-di(2-propinil)isoftalamida

N^3-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(1-fenilciclopentil)amino)propil}-N^5,N^5-dipropil-3,5-piridinodicarboxamida

N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[3-(dimetilamino)benzil]amino}-2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida

N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[(4,5-dimetil-2-furil)metil]amino}-2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(1-fenilciclopentil)-amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-N^5,N^5-dipropilpentanodiamida

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(2S)tetrahidro-2-furanilmetil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-isopropenilbenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(2-propoxietil)amino]propil}-5-metil-metil-N^3,N^3-dipropilisoftalamida

N^1-[(1S,2R)-1-(3,5-difluorobenzil)-3-(hexilamino)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-4-(3-metil-5-oxo-4,5-dihidro-1H-pirazol-1-il)benzamida

Metil 4-({[(2E,3S)-4-(3,5-difluorobenzil)-3-({3-[(dipropilamino)carbonil]-5-metilbenzoil}amino-2-hidroxibutil]amino}metil)benzoato

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(2-metoxietil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(5-isoxazolilmetil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida

(1R,2R)-N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-N^2,N^2-dipropil-1,2-ciclopropanodicarboxamida

N^3-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(2S)-tetrahidro-2-furanilmetil]amino}propil)-N^5,N^5-dipropil-3,5-piridinodicarboxamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(2-metoxietil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-isopropilbenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida

N^3-[(1S,2R)-3-(benzilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil]-N^5,N^5-dipropil-3,5-piridinodicarboxamida 1-óxido

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-5-etinil-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(7-oxabiciclo[2.2.1]hept-2-ilmetil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etinilbenzil)amino]-2-hidroxipropil}-5-metil-N^3,N^3-dipropilisoftalamida

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(2-metil-1,3-tiazol-5-il)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida

N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[(2-etil-1,3-tiazol-5-il)metil]amino}-2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida

N^1-[(1S,2R)-3-(butilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-etinil-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-5-etinil-N^3,N^3-dipropilisoftalamida

N^1-[(1S,2R)-3-(3,5-difluorobenzil)-3-(5-hexinilamino)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida

N^3-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(5-metil-2-furil)metil]amino}propil)-N^5,N^5-dipropil-3,5-piridinodicarboxamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(1-metil-1-feniletil)amino]propil}-N^5,N^5-dipropilpentanodiamida

N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[1-(2-furil)-1-metiletil]amino}-2-hidroxipropil)-5-metil-N^3,N^3-dipropil-3,5-piridinodicarboxamida

N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[(3-isobutil-5-isoxazol)metil]amino}propil-5-metil-N^3,N^3-dipropilisoftalamida

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(2-isobutil-1,3-tiazol-5-il)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida

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N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-[(dipropilamino)sulfonil]propanamida

N^1-[(1S,2R)-3-[([1,1'-bifenil]-4-ilmetil)amino]-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(1H-imidazol-5-ilmetil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(2-fenil-1H-imidazol-5-il-)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida

N^1-[(1S,2R)-3-{[(2-butil-4-cloro-1H-imidazol-5-il)metil]amino}-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida

N^1-[(1S,2R)-3-({[5-ciano-6-(metilsulfanil)-2-piridinil]metil}amino)-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida

[5-({[(2R,3S)-4-(3,5-difluorobenzil)-3-({3-[(dipropilamino)carbonil]-5-metilbenzoil}amino)-2-hidroxibutil]amino}metil)-2-furil]metil acetato

N^1-[(1S,2R)-3-[(1-benzofuran-3-ilmetil)amino]-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida

Metil 4-({[(2R,3S)-4-(3,5-difluorofenil)-3-({3-[(dipropilamino)carbonil]-5-metilbenzoil}amino)-2-hidroxibutil]amino}metil)-1-metil-1H-pirrol-2-carboxilato

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(1-metil-1H-pirrol-2-il)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida

N^1-[(1S,2R)-3-{[(5-cloro-2-tienil)metil]amino}-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(1-metil-1H-indol-2-il)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-{[(1-benzil-1H-indol-3-il)metil]amino}-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(1-metil-1H-indol-3-il]metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-1-{[(2-butil-1H-imidazol-5-il)metil]amino}-1-[(3,5-dimetilbenzil)amino]-2-hidroxipropil-5-metil-N^3,N^3-dipropilisoftalamida,

Metil 3-({[(2R,3S)-4-(3,5-difluorofenil)-3-({3-[(dipropilamino)carbonil]-5-metilbenzoil}amino)-2-hidroxibutil]amino}metil)-1H-indol-6-carboxilato,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-(cianometil)-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-(hidroximetil)-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-etinil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-yodobenzil)amino]propil}-N^3,N^3-dipropil-5-prop-1-inilsoftalamida,

N^3-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-4'-metoxi-N^5,N^5-dipropil [1,1'-bifenil]-3,5-dicloruro de dicarboxamida,

N^3-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^5,N^5-dipropil[1,1'-bifenil]-3,5-dicarboxamida,

N^3-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^5,N^5-dipropil[1,1'-bifenil]-3,5-dicarboxamida,

N^3-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-4'-[(dimetilamino)sulfonil]-N^5,N^5-dipropil-1,1'-bifenil-3,5-dicarboxamida,

N^3-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-yodobenzil)amino]propil}-4'-[(dimetilamino)sulfonil]-N^5,N^5-dipropil-1,1'-bifenil-3,5-dicarboxamida,

N-{(1R,2R)-1-(3,5-difluorobencil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3-metil-5-pentanoilbenzamida,

N^1-{(1S,2R)-2-hidroxi-1-(4-hidroxibenzil)-3-[(3-metoxibenzil)amino]propil}-N^3-(3-hidroxipropil)-5-metil-N^3-propilisoftlamida,

N^1-{(1S,2R)-2-hidroxi-1-(4-hidroxibenzil)-3-[(3-metoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftlamida,

N^1-{(1S,2R)-2-hidroxi-1-(4-hidroxibenzil)-3-[(3-metoxibenzil)amino]propil}-N^3-(3-hidroxipropil)-5-metil-N^3-propilisoftlamida,

N^1-{(1S,2R)-2-hidroxi-1-(4-hidroxibenzil)-3-[(3-metoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftlamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-4-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-Benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3,N^5,N^5-tetrapropilbenceno-1,3,5-tricarboxamida,

N^1-{(1S,2R)-1-(3,5-Difluorbenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropilbenceno-1,3,5-tricarboxamida,

Etil 3-[({(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}amino)carbonil]-5-[(dipropilamino)carbonil]benzoato,

N^1-{(1S,2R)-1-Benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3,-dipropil-5-{[(trifluorometil)sulfonil]amino}isoftalamida,

5-Amino-N^1-{(1S,2R)-1-Benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-[(metilsulfonil)amino]-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3,-dipropil-5-[(tien-2-ilsulfonil)amino]isoftalamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3,-dipropil-5-[(tien-2-ilcarbonil)amino]isoftalamida,

N^1-{(1S,2R)-1-Benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-[(metacrilolamino)-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-Benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-[(fenilsulfonil)amino]-N^3,N^3-dipropilisoflamida,

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-(metilitio)pentanamida,

3-amino-N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-2-metilbutanamida,

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-2-etilhexanamida,

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-yodobenzil)amino]propil}-3-[(isobutilsulfonil)amino]propanamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-N^3-(isobutilsulfonil)-beta-alaninamida,

5-bromo-N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-N^3,N^3-dipropilisoftalamida, y,

N^3-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(1-fenilciclopropil)amino]propil}-5-metil-N^3,N^3-dipropilsoftalamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-{[(2-oxo-2,3-dihidro-1,3-benzoxazol-6-il)metil]amino}propil}-5-[metil-N^3,N^3-dipropilisoflamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropil-5-{[(trifluorometil)sulfonil]amino}isoftalamida,

3-[({(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}amino)carbonil]-5-[(dipropilamino)carbonil]ácido benzoico,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropil-5-prop-1-inilsoftalamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-yodobenzil)amino]propil}-4-hidroxi-3-(pirrolidin-1-ilcarbonil)benzamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-yodobenzil)amino]propil}-2-[((metilsulfonil)amino]-1,3-oxazol-4-carboxamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-5-)1,3-tiazol-2-il)isoftalamida,

N^1-{(1S,2R)-1-(difluorobenzil)-2-hidroxi-3-[(2-metoxibencil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-isobutoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(3-isobutil-1,2,4-oxadiazol-5-il)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-isobutoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^3-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-isopropilbenzil)amino]propil}-N^5,N^5-dipropilpiridina-3,5-dicarboxamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[3-(4-hidroxibut-1-inil)benzil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

1-{3-[({(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}amino)carbonil]-5-metilbenzoil}-L-prolinamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3-isopropil-5-metilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3-etil-N^3,5-dimetilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3,5-dimetil-N^3-prop-2-inilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3-isobutil-5-metilisoftalamida,

N^1-((sec-butil)-N^3-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-5-metilisoftalamida,

N^1-butil-N^3-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-5-gmetilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3,N^3-dietil-5-metilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3,5-dimetil-N^3-propilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3,isopropil-N^3,5-dimetilisoftalamida,

N^1-butil-N^3-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^1,5-dimetilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3-isobutil-N^3-5-dimetilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3-etil-5-metil-N^3-propilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3-etil-N^3-isopropil-5-metilisoftalamida,

N^1,N^1-dialil-N^3-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-5-metilisoftalamida,

3-(azepan-1-ilcarbonil)-N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-5-metilbenzamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-[(4-hidroxipiperidin-1-il)carbonil]-5-metilbenzamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-[(3-hidroxipiperidin-1-il)carbonil]-5-metilbenzamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3,N^3-diisopropil-5-metilisoftalamida,

N^1-butil-N^3-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^1-etil-5-metilisoftalamida,

N^1-(ciclopropilmetil)-N^3-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-5-metil-N^1-propilisoftalamida,

N^1-ciclohexil-N^3-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^1-5-dimetilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[1-(3-metilfenil)ciclopropil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-{[(trifluorometil)sulfonil]amino}benzamida,

182. Un método de tratamiento de acuerdo a la reivindicación 145 donde la amina sustituida (X) se selecciona del grupo que consistente de:

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3-metil-5-(2-propilpentanoil)benzamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-(2-etilpentanoil)-5-metilbenzamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-metil-5-(2-propilpentanoil)benzamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etinilbenzil)amino]-2-hidroxipropil}-3-metil-5-(2-propilpentanoil)benzamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-(2-etilbutanoil)-5-metilbenzamida,

N^1-{(1S,2R)-1-benzil-3-[(3-etilbenzil)amino]-2-hidroxipropil}-5-(2-propilpentanoil)isoftalamida,

N-{(1S,2R)-1-benzil-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-(2-etilpentanoil)-5-metilbenzamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-5-(2-propilpentanoil)isoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibencil)amino]propil}-5-(2-propilpentanoil)isoftalamida,

N^1-[(1S,2R)-3-[(3-etilbenzil)amino]-2-hidroxi-1-[(4-hidroxibenzil)propil]-3-metil-5-(2-propilpentanoil)benzamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibencil)amino]propil}-3-metil-5-(2-propilpentanoil)benzamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-metil-5-(2-propilpentanoil)benzamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(3-piridinil)benzil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(4-piridinil)benzil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-5-(1-propinil)isoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-}-N^3,N^3-dipropil-5-(1-propinil)isoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-}-N^3,N^3-dipropil-5-(2-propinil)isoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-5-(2-propinil)isoftalamida,

N^1-{(1S,2R)-1-(ciclohexilmetil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-(benzilamino)-2-hidroxi-1-[(tienilmetil)propil]-5-metil-N^3-N^3-dipropilisoftalamida,

N^1-[(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-(2-tienilmetil)propil]-5-metil-N^3-N^3-dipropilisoftalamida,

N^1-{(1S)-1-[(1R)-2-(benzilamino)-1-hidroxietil]-3-butinil}-N^3-N^3-dipropil-1,3,5-benzenotricarboxamida,

N^1-[(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-(3-tienilmetil)propil]-5-metil-N^3-N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-(benzilamino)-2-hidroxi-1-(2-tienilmetil)propil]-5-metil-N^3-N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3-furilmetil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-3-(benzilamino)-1-[4-(benziloxi)benzil]-2-hidroxipropil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-{(1S,2R)-1-(2-furilmetil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-(benzilamino)-1-(ciclohexilmetil)-2-hidroxipropil]-5-metil-N^3-N^3-dipropilisoftalamida,

N^1-{(1S,2R)-2-hidroxi-1-(4-hidroxibenzil)-3-[(3-metoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-3-(benzilamino)-1-(1-naftilmetil)propil]-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

2,3,5-trideoxi-3-({3-[(dipropilamino)carbonil]-5-metoxibenzoil}amino)-5-[(3-metoxibenzil)amino]-1-S-fenil-1-tio-D-eritro-pentitol,

N^1-[(1S,2R)-3-(benzilamino)-1-(3-furilmetil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S)-1-{(1R)-1-hidroxi-2-[(3-metoxibenzil)amino]etil}-3-metilbutil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-(benzilamino)-1-(4-fluorobenzil)-2-hidroxipropil]-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-[(1S,2R)-3-(benzilamino)-1-(2-furilmetil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-(naftilmetil)propil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S)-1-{(1R)-2-(benzilamino)1-hidroxietil]-3-metilbutil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-{(1S,2R)-1-[4-(benziloxi)benzil]-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-metil-N^3,N^3-propilisoftalamida,

N^1-[(1S,2R)-3-(benzilamino)-2-hidroxi-1-(4-hidroxibenzil)propil]-5-metil-N^3-N^3-dipropilisoftalamida,

N^1-((1S)-1-{(1R)-1-hidroxi-2-[(3-metoxibenzil)amino]etil}-3-butinil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S)-1-{(1R)-1-hidroxi-2-[(3-metoxibenzil)amino]etil}-3-butinil)N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

5-(benzilamino)-2,3,5-trideoxi-3-({3-[(dipropilamino)carbonil]-5-metoxibenzoil}amino)-1-S-fenil-1-tio-D-eritro-pentitol,

N^1-{(1S,2R)-1-[4-(benziloxi)benzil]-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-[(1S,2R)-2-(benzilamino)-2-hidroxi-1-(4-hidroxibenzil)propil]-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-{(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-(1-naftilmetil)propil]-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-{(1S)-1-[(1R)-2-(benzilamino)1-hidroxietil]-3-metilbutil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(4-fluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-[(1S,2R)-3-(benzilamino)-1-(3-furilmetil)-2-hidroxipropil]-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-((1S)-1-{(1R)-1-hidroxi-2-[(3-metoxibenzil)amino]etil}-3-metilbutil)-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-[(1S,2R)-3-(benzilamino)-1-(4-fluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-(benzilamino)-1-(4-furilmetil)-2-hidroxipropil]-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-[(1S,2R)-2-hidroxi-1-(4-hidroxibenzil)-3-[(3-metoxibenzil)amino]propil}]-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-[(1S,2R)-3-(benzilamino)-2-hidroxi-1-(naftilmetil)propil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(ciclohexilmetil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-[(1S,2R)-3-(benzilamino)-2-hidroxi-1-(2-tienilmetil)propil]-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-{(1S,2R)-1-(3-furilmetil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-{(1S,2R)-3-(benzilamino)-1-[4-(benziloxi)benzil]-2-hidroxipropil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(2-furilmetil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-[(1S,2R)-3-(benzilamino)-2-hidroxi-1-[(tienilmetil)propil]-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-[(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-(2-tienilmetil)propil]-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-{(1S)-1-[(1R)-2-(benzilamino)1-hidroxietil]-3-butinil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-(2-tienilmetil)propil]-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-{(1S)-1-[(1R)-2-(benzilamino)1-hidroxietil]-3-butinil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-(3-tienilmetil)propil]-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-{(1S,2R)-1-(ciclohexilmetil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-[(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-(3-tienilmetil)propil]-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-[(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-(2-tienilmetil)propil]-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-{(1S,2R)-1-(2-furilmetil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-{(1S,2R)-1-(3-furilmetil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-[(1S,2R)-2-hidroxi-1-(4-hidroxibenzil)-3-[(3-metoxibenzil)amino]propil}]-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-((1S)-1-{(1R)-1-hidroxi-2-[(3-metoxibenzil)amino]etil}-3-metilbutil)N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-{(1S,2R)-1-(4-fluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-{(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-(1-naftilmetil)propil]-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-{(1S,2R)-1-[4-(benziloxi)benzil]-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-{(1S,2R)-2-hidroxi-1-[3-((hidroximetil)benzil]-3-[(3-metoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-3-[(3-etilbenzil)amino]-2-hidroxi-1-[(3-hidroximetil)benzil]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-2-hidroxi-1-[3-((hidroximetil)benzil]-3-[(3-yodobenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-2-hidroxi-1-[4-(hidroximetil)benzil]-3-[(3-yodobenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-3-[(3-etilbenzil)amino]-2-hidroxi-1-[(4-hidroximetil)benzil]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-2-hidroxi-1-[4-(hidroximetil)benzil]-3-[(3-metoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3-fluoro-5-hidroxibenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-[(3-etilbenzil)amino]-1-(3-fluoro-5-hidroxibenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3-fluoro-5-hidroxibenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-[3-(benziloxi)-5-fluorobenzil]-2-hidroxi-3-[(3-yodobenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-[3-(benziloxi)-5-fluorobenzil]-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

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N^1-{(1S,2R)-1-[3-(benziloxi)benzil]-2-hidroxi-3-[(3-yodobenzil)amino]propil}-3-[(dipropilamino)sulfonil]propanamida,

N^1-{(1S,2R)-1-[3-(benziloxi)benzil]-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^5,N^5-dipropilpentanadiamida,

3-[(dipropilamino)sulfonil]-N-{(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-(1-naftilmetil)propil]propanamida,

N^1-[(1S,2R)-2-hidroxi-3-[(3-hidroxibenzil)amino]-1-(1-naftilmetil)propil]-N^5,N^5-dipropilpentanadiamida,

3-[(dipropilamino)sulfonil]-N-{(1S,2R)-1-(4-fluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-propanamida,

N^1-{(1S,2R)-1-(4-fluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^5,N^5-dipropilpentanadiamida,

3-[(dipropilamino)sulfonil]-N-{(1S,2R)-1-(4-fluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-propanamida,

N^1-{(1S,2R)-2-hidroxi-1-(4-hidroxibenzil)-3-[(3-metoxibenzil)amino]propil}-N^5,N^5-dipropilpentanadiamida,

3-[(dipropilamino)sulfonil]-N-{(1S,2R)-1-(3-furilmetil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-propanamida,

N^1-{(1S,2R)-1-(2-furilmetil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^5,N^5-dipropilpentanadiamida,

3-[(dipropilamino)sulfonil]-N-{(1S,2R)-1-(2-furilmetil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-propanamida,

N^1-{(1S,2R)-1-(3-furilmetil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^5,N^5-dipropilpentanadiamida,

3-[(dipropilamino)sulfonil]-N-{(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-(2-tienilmetil)propil]-propanamida,

N^1-{(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-(3-tienilmetil)propil]-N^5,N^5-dipropilpentanadiamida,

3-[(dipropilamino)sulfonil]-N-{(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-(3-tienilmetil)propil]-propanamida,

N^1-{(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-(2-tienilmetil)propil]-N^5,N^5-dipropilpentanadiamida,

N-{(1S,2R)-1-(difluorobenzil)-2-hidroxi-3-[(2-menoxibenzil)amino]propil}-3-{[(2R)-1-etilpirrolidinil]carbonil}-5-metilbenzamida,

N-{(1S,2R)-1-(difluorobenzil)-2-hidroxi-3-[(2-menoxibenzil)amino]propil}-3-{[(2S)-1-etilpirrolidinil]carbonil}-5-metilbenzamida,

N-{(1S,2R)-1-(difluorobenzil)-2-hidroxi-3-[(2-menoxibenzil)amino]propil}-3-[(1-etil-1H-imidazol-2-il)carbonil]-5-metilbenzamida,

N-{(1S,2R)-1-(difluorobenzil)-2-hidroxi-3-[(2-menoxibenzil)amino]propil}-3-[(1-etil-4-metil-1H-imidazol-5-il)carbonil]-5-metilbenzamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-2-{1-[(3-metoxibenzil)amino]ciclopropil}etil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-{1-[(3-etilbenzil)amino]ciclopropil}-2-hidroxietil)-5-metil-N^3,N^3-dipropilisoftalamida,

(1R,2R,3R)-N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{1-[(3-metoxibenzil)amino]propil}-N^2,N^2-dipropil-1,2,3-ciclopropantricarbozamida,

(1R,2R,3R)-N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{1-[(3-metoxibenzil)amino]propil}-3-fenil-N^2,N^2-dipropil-1,2-ciclopropantricarbozamida,

(1R,2R,3R)-N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{1-[(3-metoxibenzil)amino]propil}-3-metil-N^2,N^2-dipropil-1,2-ciclopropantricarbozamida,

(1R,2R,3S)-N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{1-[(3-metoxibenzil)amino]propil}-3-metil-N^2,N^2-dipropil-1,2-ciclopropantricarbozamida,

(1R,2R,3S)-N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{1-[(3-metoxibenzil)amino]propil}-3-fenil-N^2,N^2-dipropil-1,2-ciclopropantricarbozamida,

(1R,2R,3S)-N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{1-[(3-metoxibenzil)amino]propil}-N^2,N^2-dipropil-1,2,3-ciclopropantricarbozamida,

(1R,2R,3S)-3-(2-amino-2-oxoetil)-N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{1-[(3-metoxibenzil)amino]propil}-N^2,N^2-dipropil-1,2-ciclopropantricarbozamida,

(1R,2R,3S)-3-(2-amino-2-oxoetil)-N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{1-[(3-metoxibenzil)amino]propil}-N^2,N^2-dipropil-1,2-ciclopropantricarbozamida,

(1R,2R,3S)-N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{1-[(3-metoxibenzil)amino]propil}-2-[2-(dipropilamino)-2-oxoetil]-3-metilciclopropancarboxamida,

(1R,2R,3R)-N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{1-[(3-metoxibenzil)amino]propil}-2-[2-(dipropilamino)-2-oxoetil]-3-metilciclopropancarboxamida,

(1R,2R,3R)-N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{1-[(3-metoxibenzil)amino]propil}-2-[2-(dipropilamino)-2-oxoetil]-3-fenilciclopropancarboxamida,

(1R,2R,3S)-N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{1-[(3-metoxibenzil)amino]propil}-2-[2-(dipropilamino)-2-oxoetil]-3-fenilciclopropancarboxamida,

(1R,2R,3R)-N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{1-[(3-metoxibenzil)amino]propil}-3-[2-(dipropilamino)-2-oxoetil]-1,2-ciclopropancarboxamida,

(1R,2R,3S)-N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{1-[(3-metoxibenzil)amino]propil}-3-[2-(dipropilamino)-2-oxoetil]-1,2-metilciclopropancarboxamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{1-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-5-{[(trifluorometil)sulfonil]amino}isoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropil-5-{[(trifluorometil)sulfonil]amino}isoftalamida,

N^1-{(1S,2R)-1-benzil-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropil-5-{[(trifluorometil)sulfonil]amino}isoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-5-{metil[(trifluorometil)sulfonil]amino}-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-{metil[(trifluorometil)sulfonil]amino}-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-5-{propil[(trifluorometil)sulfonil]amino}isoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-{metilsulfonil]amino}-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-{fenilsulfonil]amino}-N^3,N^3-dipropilisoftalamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-isopropilbenzil)amino]propil}-3-[(dipropilamino)sulfonil]propanamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etinilbenzil)amino]-2-hidroxipropil}-3-[(dipropilamino)sulfonil]propanamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-{[3-(dimetilamino)benzil]amino}-2-hidroxipropil}-3-[(dipropilamino)sulfonil]propanamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-{[(2-etil-1,3-tiazol-5-il)metil]amino}-2-hidroxipropil}-3-[(dipropilamino)sulfonil]propanamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-{[(2-isobutil-1,3-tiazol-5-il)metil]amino}-2-hidroxipropil}-3-[(dipropilamino)sulfonil]propanamida,

N-((1S,2R)-1-(3,5-difluorobenzil)-3-{[(3-isobutil-5-isoxalolil)metil]amino}propil)-3-[(dipropilamino)sulfonil]propanamida,

N-[(1S,2R)-3-[(3-ciclopropilbenzil)amino]-1-(3,5-difluorobenzil)-2-hidroxipropil]-3-[(dipropilamino)sulfonil]propanamida,

N^1-[(1S,2R)-3-[(3-ciclopropilbenzil)amino]-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[3-(1,3-tiazol-2-il)benzil]amino}propil)- 5-metil-N^3,N^3-dipropilisoftalamida,

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N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[3-(1,3-oxazol-2-il)benzil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-[(acetilbenzil)amino]-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-[(acetilbenzil)amino]-1-(3,5-difluorobenzil)-2-hidroxipropil]-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-[(1S,2R)-3-[(acetilbenzil)amino]-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-(aminosulfonil)-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-[(acetilbenzil)amino]-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-(metilsulfonil)-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-{[(dietilamino)benzil]amino}-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[3-(4-morfolinil)benzil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[3-(4-piperazinil)benzil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-{[3-(aminosulfonil)benzil]amino}-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-1-(3,5-difluorobenzil)-3-({3-[(dimetilamino)sulfonil]benzil}amino)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[3-(1-piperidinilsulfonil)benzil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[3-(metilsulfonil)benzil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[3-(isopropilsulfonil)benzil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-{[3-(aminocarbonil)benzil]amino}-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-1-(3,5-difluorobenzil)-3-({3-[(dimetilamino)carbonil]benzil}amino)-2- hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-{[3-(cianobenzil)amino]-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

3-({[(1S,2R)-4-(3,5-difluorofenil)-3-({3-[(dipropilamino)carbonil]-5-metilbenzoil}amino)-2-hidroxibutil]amino}metil)fenilcarbamato,

3-({[(1S,2R)-4-(3,5-difluorofenil)-3-({3-[(dipropilamino)carbonil]-5-metilbenzoil}amino)-2-hidroxibutil]amino}metil)fenil dimetilcarbamato,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[3-(1-propinil)benzil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[3-(metil-1-butinil)benzil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[3-(2-propinil)benzil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[3-(5-isobutil-1,3,4-oxadiazol-2-il)benzil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[3-(5-etil-1,3,4-tiadiazol-2-il)metil]amino}2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[3-(5-isobutil-1,3,4-tiadiazol-2-il)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[3-(5-etil-1,2,4-tiadiazol-5-il)metil]amino}2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[3-(3-isobutil-1,2,4-tiadiazol-5-il)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[3-(5-isobutil-1,2,4-oxadiazol-5-il)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[3-(3-etil-1,2,4-oxadiazol-5-il)metil]amino}2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[(2-etil-1,3-oxazol-5-il)metil]amino}2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(2-isobutil-1,3-oxazol-5-il)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(5-isobutil-1,2,4-oxadiazol-2-il)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(5-isobutil-1,2,4-tiadiazol-5-il)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[(5-etil-1,3,4-tiadiazol-2-il)metil]amino}2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[(5-etil-1,3,4-oxadiazol-2-il)metil]amino}2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[(3-etil-1,2,4-oxadiazol-5-il)metil]amino}2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[(3-etil-1,2,4-tiadiazol-5-il)metil]amino}2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(3-isobutil-1,2,4-tiadiazol-5-il)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(3-isobutil-1,2,4-oxadiazol-5-il)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[(2-etil-2H-tetraazol-5-il)metil]amino}2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(2-isobutil-2H-tetraazol-5-il)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[(2-etil-4-pirimidinil)metil]amino}2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(2-isopropil-4-pirimidinil)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[(2-etinil-4-pirimidinil)metil]amino}2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(6-isopropil-4-pirimidinil)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-1-(3,5-difluorobenzil)-3-({[6-(dimetilamino)-4-pirimidinil]metil}amino)2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-1-(3,5-difluorobenzil)-3-({[2-(dimetilamino)-4-pirimidinil]metil}amino)2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-1-(3,5-difluorobenzil)-3-({[4-(dimetilamino)-4-pirimidinil]metil}amino)2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(4-isopropil-2-pirimidinil)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

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N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[(4-etil-2-pirimidinil)metil]amino}2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[(5-etil-3-piridazinil)metil]amino}2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[3-(dimetilamino)benzil]amino}2-hidroxipropil)-N^5,N^5-dipropil-3,5-piridindicarboxamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(5-isopropil-3-piridazinil)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[3-(1-propinil)benzil]amino}propil)-N^5,N^5-dipropil-3,5-piridindicarboxamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(6-isopropil-3-piridazinil)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

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N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-{[3-(etinilbenzil)amino]2-hidroxipropil}-N^5,N^5-dipropil-3,5-piridindicarboxamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[(6-etil-4-piridazinil)metil]amino}2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-isopropilbenzil)amino]propil}-N^5,N^5-dipropil-3,5-piridindicarboxamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[(6-etil-2-pirazinil)metil]amino}2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^3-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]2-hidroxipropil}-N^5,N^5-dipropil-3,5-piridindicarboxamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(6-isopropil-2-pirazinil)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-(3,4,5-trifluorobenzil)propil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-2-hidroxi-1-(3,4,5-trifluorobenzil)-3-{[3-(trifluorometil)benzil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-2-hidroxi-1-(2,3,5,6-tetrafluorobenzil)-3-{[3-(trifluorometil)benzil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-(2,3,5,6-trifluorobenzil)propil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(1R,2S)-2-hidroxi-6-metoxi-2,3-dihidro-1H-inden-1-il]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(1R,2S)-2-hidroxi-6-metoxi-2,3-dihidro-1H-inden-1-il]amino}propil)-N^5,N^5-dipropil-3,5-piridindicarboxamida,

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N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[(1R,2S)-6-etil-2-hidroxi-2,3-dihidro-1H-inden-1-il]amino}2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[(1R,2S)-6-etil-2-hidroxi-2,3-dihidro-1H-inden-1-il]amino}2-hidroxipropil)-N^5,N^5-dipropil-3,5-piridindicarboxamida,

N^1-{(1S,2R)-2-hidroxi-1-(1H-indol-5-ilmetil)-3-[(3-metoxibenzil)amino]-1-propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-[(3-etilbenzil)amino]-2-hidroxi-1-(1H-indol-5-ilmetil)propil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-(3-metilbenzil)propil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-(3-metilbenzil)propil]-N^5,N^5-dipropil-3,5-piridindicarboxamida,

N^1-{(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-[3-(trifluorometil)benzil]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-[3-(trifluorometil)benzil]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-[(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-(2-piridinilmetil)propil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-(2-piridinilmetil)propil]-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-{(1S,2R)-1-[3-fluoro-5-(trifluorometil)benzil]-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-[3-fluoro-5-(trifluorometil)benzil]-2-hidroxi-3-[(3-metoxibenzilamino]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-{(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-[3-(trifluorometoxi)benzil]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-[3-(trifluorometoxi)benzil]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-((1S,2R)-2-hidroxi-1-(3-hidroxibenzil)-3-[(3-metoxibenzil)amino]propil-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-2-hidroxi-1-(3-hidroxibenzil)-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-[(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-(4-metilbenzil)propil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-(4-metilbenzil)propil]-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-{(1S,2R)-1-(4-fluoro-3-metilbenzil)-2-hidroxi-3-

[(3-metoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(4-fluoro-3-metilbenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-{(1S,2R)-1-(4-clorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(4-clorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-{(1S,2R)-2-hidroxi-1-(3-metoxibenzil)-3-[(3-metoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-2-hidroxi-1-(3-metoxibenzil)-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-{(1S,2R)-2-hidroxi-1-(4-metoxibenzil)-3-[(3-

metoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-2-hidroxi-1-(4-metoxibenzil)-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-{(1S,2R)-1-(3-cloro-5-fluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3-cloro-5-fluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-((1S,2R)-1-(4-cloro-3-fluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(4-cloro-3-fluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-{(1S,2R)-1-(3,5-diclorobenzil)-2-hidroxi-3-[(3-

metoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-diclorobenzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-{(1S,2R)-1-[4-(dietilamino)benzil]-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-[4-(dimetilamino)benzil]-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-{(1S,2R)-1-(3-clorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3-clorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3-fluorobenzil)-2-hidroxi-3-[(3-

metoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3-fluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-{(1S,2R)-2-hidroxi-1-(4-isopropilbenzil)-3-[(3-metoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-2-hidroxi-1-(4-isopropilbenzil)-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-{(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-[(6-metoxi-2-piridinil)metil]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-[(6-metoxi-2-piridinil)metil]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-{(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-

[(5-metil-2-piridinil)metil]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-[(5-metil-2-piridinil)metil]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-{(1S,2R)-1-(3-fluoro-4-metilbenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3-fluoro-4-metilbenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-{(1S,2R)-1-(3-fluoro-4-metoxibenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3-fluoro-4-metoxibenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-[(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-

(2-metoxi-5-metilbenzilpropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino-1-(2-metoxi-5-metilbenzil)propil]-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-[(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-(1,3-tiazol-2-ilmetil)propil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-(1,3-tiazol-2-ilmetil)propil]-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-{(1S,2R)-1-[(5-cloro-2-tienil)metil]-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-[(5-cloro-2-tienil)metil]-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-

etilbenzil)amino]-2-hidroxipropil}-4-hidroxi-3-(1-pirrolidinilcarbonil)benzamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-5-metil-2-[(metilsulfonil)amino]-1,3-tiazol-4-carboxamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-2-[(metilsulfonil)amino]-1,3-oxazol-4-carboxamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-2-[propilsulfonil)amino]-1,3-tiazol-4-carboxamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-4-hidroxi-3-(1-pirrolidinilcarbonil)benzamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-2-[(propilsulfonil)amino]-1,3-tiazol-4-carboxamida,

N-{(1S,2R)-1-benzil-3-[(3-etilbenzil)amino]-2-

hidroxipropil}-2-[(metilsulfonil)amino]-1,3-oxazol-4-carboxamida,

N-((1S,2R)-1-(3,5-difluorobenzil)-3-{[1-(3-etilfenil)ciclopropil]amino}-2-hidroxipropil)-2-[(metilsulfonil)amino]-1,3-oxazol-4-carboxamida,

N-((1S,2R)-1-(3,5-difluorobenzil)-3-{[1-(3-etilfenil)-1-metiletilamino}-2-hidroxipropil)-4-hidroxi-3-(1-pirrolidinilcarbonil)benzamida,

N-((1S,2R)-1-(3,5-difluorobenzil)-3-{[1-(3-etilfenil)-1-metiletil]amino}2-hidroxipropil)-2-[(metilsulfonil)amino]-1,3-oxazol-4-carboxamida,

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-2-[(metilsulfonil)amino]-1,3-oxazol-carboxamida,

N-((1S,2R)-1-(3,5-difluorobenzil)-3-{[1-(3-etilfenil)-1-metiletil]amino}-2-hidroxipropil)-5-metil-2-[(metilsulfonil)amino]-1,3-oxazol-4-carboxamida,

N-((1S,2R)-1-(3,5-difluorobenzil)-3-{[1-(3-

etilfenil)ciclopropil]amino}-2-hidroxipropil)-4-hidroxi-3-(1-pirrolidinilcarbonil)benzamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etinilbenzil)amino]-2-hidroxipropil}-2-[(metilsulfonil)amino]-1,3-oxazol-4-carboxamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-2-[(metilsulfonil)amino]-1,3-oxazol-4-carboxamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etinilbenzil)amino]-2-hidroxipropil}-5-metil-2-[(metilsulfonil)amino]-1,3-oxazol-4-carboxamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-4-hidroxi-3-(1-piperidinilcarbonil)benzamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-4-[(metilsulfonil)amino]1,3-oxazol-2-carboxamida,

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-

yodobenzil)amino]propil}-2-[(metilsulfonil)amino]-1,3-oxazol-4-carboxamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-5-metil-4-[(metilsulfonil)amino]-1,3-oxazol-2-carboxamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-4-hidroxi-3-(1-piperidinilcarbonil)benzamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-4-[(metilsulfonil)ämino]-1,3-oxazol-2-carboxamida,

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-yodobenzil)amino]propil}-5-metil-2-[(metilsulfonil)amino]-1,3-oxazol-4-carboxamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-5-metil4-[(metilsulfonil)amino]-1,3-oxazol-2-carboxamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-

etilbenzil)amino]-2-hidroxipropil}-4-hidroxi-3-(4-morfolinilcarbonil)benzamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-4-[(etilsulfonil)amino]-1,3-oxazol-2-carboxamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-5-metil-2-[(metilsulfonil)amino]-1,3-oxazol-4-carboxamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-4-[(etilsulfonil)amino]-1,3-oxazol-2-carboxamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-4-hidroxi-3-(4-morfolinilcarbonil)benzamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-4-[(propilsulfonil)amino]-1,3-oxazol-2-carboxamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-

metoxibenzil)amino]propil}-5-metil-2-[(metilsulfonil)amino]-1,3-oxazol-4-carboxamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-4-[(metilsulfonil)amino]-1,3-tiazol-2-carboxamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-4-hidroxi-3-(1-piperazinilcarbonil)benzamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-4-[(metilsulfonil)amino]-1,3-tiazol-2-carboxamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-5-metil-2-[(metilsulfonil)amino]-1,3-oxazol-4-carboxamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-2-[(metilsulfonil)amino]-1,3oxazol-5-carboxamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-

etilbenzil)amino]-2-hidroxipropil}-4-hidroxi-3-(1-piperazinilcarbonil)benzamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-4-metil-2-[(metilsulfonil)amino]-1,3-oxazol-5-carboxamida,

N^4-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-2-[(metilsulfonil)amino]-1,3-oxazol-4,5-dicarboxamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-2-[(metilsulfonil)amino]-1,3-oxazol-5-carboxamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-4-hidroxi-N^3-metilisoftalamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-4-metil-2-[(metilsulfonil)amino]-1,3-oxazol-5-carboxamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-

etilbenzil)amino]-2-hidroxipropil}-2-[(etilsulfonil)amino]-1,3-oxazol-4-carboxamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-5-[(metilsulfonil)amino]-1,3-oxazol-2-carboxamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-4-hidroxi-N^3-metilisoftalamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-4-metil-5-[(metilsulfonil)amino]-1,3-oxazol-2-carboxamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-2-[(etilsulfonil)amino]-1,3-oxazol-4-carboxamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-4-metil-5-[(metilsulfonil)amino]-1,3-oxazol-2-carboxamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-

metoxibenzil)amino]propil}-N^3-etil-4-hidroxiisoftalamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-5-[(metilsulfonil)amino]-1,3-oxazol-2-carboxamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-2-[(etilsulfonil)amino]-1,3-oxazol-4-carboxamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-5-[(metilsulfonil)amino]-3-isoxazolcarboxamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3-etil-4-hidroxiisoftalamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-5-[(metilsulfonil)amino]-3-isoxazolcarboxamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-2-[(propilsulfonil)amino]-1,3-

oxazol-4-carboxamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-3-[(metilsulfonil)amino]-5-isoxazolcarboxamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)aminopropil}-N^3-etil-4-hidroxiisoftalamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-[(metilsulfonil)amino]-5-isoxazolcarboxamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-2-[(propilsulfonil)amino]-1,3-oxazol-4-carboxamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-5-(hidroximetil)-2-[(metilsulfonil)amino]-1,3-oxazol-4-carboxamida,

N^3-(ciclopropilmetil)-N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-4-hidroxiisoftalamida,

5-ciclopropil-N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)aminopropil}-2-[(metilsulfonil)amino]-1,3-oxazol-4-carboxamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-2-[(propilsulfonil)amino]-1,3-oxazol-4-carboxamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-5-isopropil-2-[(metilsulfonil)amino]-1,3-oxazol-4-carboxamida,

N^3-(ciclopropilmetil)-N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-4-hidroxiisoftalamida,

N-[(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-(isopentilamino)propil]-2-[(metilsulfonil)amino]-1,3-oxazol-4-carboxamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-5-metil-2-[(propilsulfonil)amino]-1,3-oxazol-4-carboxamida,

N-[(1S,2R)-3-(ciclopropilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil]-2-[(metilsulfonil)amino]-1,3-oxazol-4-carboxamida,

N-[(1S,2R)-3-[(3-etilbenzil)amino]-2-hidroxi-1-(4-hidroxibenzil)propil]-2-[(metilsulfonil)amino]-1,3-oxazol-4-carboxamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-4-hidroxi-N^3-isobutilisoftalamida,

2-{[(ciclopropilmetil)sulfbnil]amino}-N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-1,3-oxazol-4-carboxamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-4-hidroxi-N^3-isobutil-N^3-metilisoftalamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-2-[(isobutilsulfonil)amino]-1,3-oxazol-4-carboxamida,

N^3-(ciclopropilmetil)-N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-4-hidroxi-N^3-metilisoftalamida,

N-{(1S,2R)-1-(3,5-difluorobenzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-2-[(isobutilsulfonil)amino]-1,3-oxazol-4-carboxamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-4-hidroxi-N^3-metil-N^3-propilisoftalamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-2-[(isobutilsulfonil)amino]-1,3-oxazol-4-carboxamida,

N^1-{(1S,2R)-1-(3,5-diuorobenzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-4-hidroxi-N^3-metil-N^3-propilisoftalamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-2-[(fenilsulfonil)amino]-1,3-oxazol-4-carboxamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3-etilA-4-hidroxi-N^3-propilisoftalamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-2-{[(4-metilfenil)sulfonil]amino}-1,3-oxazol-4-carboxamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3-etil-4-hidroxi-N^3-propilisoftalamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-2-{[(4-metilfenil)sulfonil]amino}-1,3-oxazol-4-carboxamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-2-[(fenilsulfonil)amino]-1,3-oxazol-4-carboxamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-4-hidroxi-N^3,N^3-dipropilisoftalamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-2-[metil(metilsulfonil)amino]-1,3-oxazol4-carboxamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-4-hidroxi-N^3,N^3-dipropilisoftalamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-2-[metil(metilsulfonil)amino]-1,3-oxazol-4-carboxamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-4-hidroxi-N^3,N^3-dipropilisoftalamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-2-[(metilsulfonil)amino]-1,3-tiazol-4-carboxamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-2-[(metilsulfonil)amino]-1,3-tiazol-4-carboxamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-5-[(metilsulfonil)amino]-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-5-[(etilsulfonil)amino]-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropil-5-[propilsulfonil)amino]isoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-5-[(isopropilsulfonil)amino]-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-5-[(isobutilsulfonil)amino]-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino)-2-hidroxipropil}-N^3,N^3-dipropil-5-[(tien-2-ilsulfonil)amino]isoftalamida,

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N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-5-[(2-furilsulfonil)amino]-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropil-5-[(1,3-tiazol-5-ilsulfonil)amino)isoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-5-[(1,3-oxazol-5-ilsulfonil)amino]-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-5-[(1,3-oxazol-4-ilsulfonil)amino]-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropil-5-[(1,3-tiazol-4-ilsulfonil)amino]isoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-5-{[(1-metil-1H-imidazol-4-il)sulfonil]amino}-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-diuorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-5-[(fenilsulfonil)amino]-N^3,N^3-dipropilisoftalamida,

5-{[(5-cianopiridin-2-il)sulfonil]amino}-N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropil-5-({[5-(trifluorometil)piridin-2-il]sulfonil}amino)isoftalamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-{[(1-metil-1H-imidazol-4-il)sulfonil]amino}benzamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-bidroxipropil}-3-({[5-(trifluorometil)piridin-2-il]sulfonil}amino)benzamida,

3-{[(5-cianopiridin-2-il)sulfonil]amino}-N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}benzamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-[(fenilsulfonil)amino]benzamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-[(metilsulfonil)amino]benzamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil]-3-[(etilsulfonil)amino)benzamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-[(propilsulfonil)amino]benzamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-[(isobutilsulfonil)amino]benzamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-[(isopropilsulfonil)amino]benzamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]hidroxipropil}-3-{[(1-etilpropil)sulfonil]amino}benzamida,

3-[(ciclohexilsulfonil)amino]-N-{(1S,2R)-1-(3,5-difluorobenzil}-3-[(3-etilbenzil)amino]-2-hidroxipropil}benzamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-{[(1-propilbutil)sulfonil]amino}benzamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-[(tien-2-ilsulfonil)amino]benzamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-[(2-furilsulfonil)amino]benzamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil)-3-[(isoxazol-5-ilsulfonil)amino]benzamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-[(isoxazol-3-ilsulfonil)amino]benzamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-[(3-furilsulfonil)amino]benzamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-[(tien-3-ilsulfonil)amino]benzamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-[(1,3-tiazol4-ilsulfonil)amino]benzamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-[(1,3-tiazol-5-ilsulfonil)amino]benzamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hiroxipropil}-3-[(1,3-tiazol-2-ilsulfonil)amino]benzamida,

N^1-[(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-(isopentilamino)propil]-N^3,N^3-dipropil-5-{[(trifluorometil)sulfonil]amino}isoftalamida,

N^1-[(1S,2R)-3-amino-1-(3,5-difluorobenzil-2-hidroxipropil]-N^3,N^3-dipropil-5-{[(trifluorometil)sulfonil]amino}isoftalamida,

N^1-[(1S,2R)-3-amino-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-[(metilsulfonil)amino]-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-(isopentilamino)propil]-5-[(metilsulfonil)amino]-N^3,N^3-dipropilisoftalamida,

N^1-(tert-butil)-N^3-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}isoftalamida,

N^1-(tert-butil)-N^3-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-5-metilisoftalamida,

5-bromo-N^1-(tert-butil)-N^3-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}isoftalamida,

3-tert-butoxi-N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}benzamida,

3-tert-butoxi-N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-5-metilbenzamida,

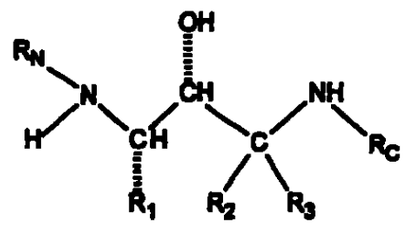
N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-{[(trifluorometil)sulfonil]amino}benzamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-(trifluorometoxi)benzamida,

y

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-metil-5-(trifluorometoxi)benzamida.

183. Una composición farmacéutica que comprende una amina sustituida de la fórmula

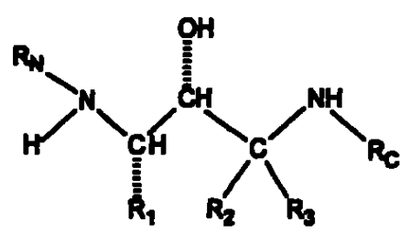


(X)

donde R_1, R_2, R_3, R_N y R_C son como se definió en la reivindicación 1,

o sales farmacéuticamente aceptables de estas, y uno o más portadores inertes farmacéuticamente aceptables.

184. Uso de una amina sustituida de la fórmula (X)



(X)

donde R_1, R_2, R_3, R_N y R_C son como se definió en la reivindicación 1;

y sales farmacéuticamente aceptables de estas para la fabricación de un medicamento para el tratamiento de pacientes quienes tienen, o en la de prevención de un paciente de obtener, una enfermedad o condición seleccionada del grupo que consiste de enfermedades de Alzheimer, para evitar la enfermedad o tratar pacientes con MCI (daño cognitivo medio) y evitar o retrasar el sufrimiento de la enfermedad de Alzheimer en aquellos quienes les progresaría de MCI a AD, para tratar o evitar el síndrome de Down, para tratar humanos quienes tienen hemorragia cerebral hereditaria con aminoidosis del tipo Dutch, para tratar algiopatía amiloide cerebral y para evitar sus consecuencias potenciales, es decir hemorragia global simple y recurrente, para tratar otras demencias degenerativas, que incluyen demencias de origen vascular y degenerativo mezclados, demencia asociada con enfermedad de Parkinson, demencia asociada con palsy supranuclear progresivo, demencia asociada con degeneración basal cortical, y enfermedad de Alzheimer del tipo de cuerpo Lewy difuso.

185. Uso de una amina sustituida de fórmula (X) de acuerdo a la reivindicación 184, en donde la enfermedad es enfermedad de Alzheimer.

186. Uso de una amina sustituida de fórmula (X) de acuerdo a la reivindicación 184, en donde el método es ayudar a prevenir o retardar el ataque de enfermedades de Alzheimer.

187. Uso de una amina sustituida de fórmula (X) de acuerdo a la reivindicación 184, en donde la enfermedad es daño cognitivo medio.

188. Uso de una amina sustituida de fórmula (X) de acuerdo a la reivindicación 184, en donde la enfermdad es síndrome de Down.

189. Uso de una amina sustituida de fórmula (X) de acuerdo a la reivindicación 184, en donde la enfermedad es hemorragia cerebral hereditaria con amiloidosis del tipo Dutch.

190. Uso de una amina sustituida de fórmula (X) de acuerdo a la reivindicación 184, en donde la enfermedad

es angiopatía amolide cerebral.

191. Uso de una amina sustituida de fórmula (X) de acuerdo a la reivindicación 184, en donde demencia degenerativa.

192. Uso de una amina sustituida de fórmula (X) de acuerdo a la reivindicación 184, en donde la enfermedad es tipo de cuerpo Lewy difuso de enfermedades de Alzheimer.

193. Uso de una amina sustituida de fórmula (X) de acuerdo a la reivindicación 184, en donde el compuesto es una amina sustituida de la fórmula (X).

194. Uso de una amina sustituida de fórmula (X) de acuerdo a la reivindicación 184, en donde el compuesto es una amina sustituida con RN ciclizado de fórmula (X').

195. Uso de una amina sustituida de fórmula (X) de acuerdo a la reivindicación 184,:

donde R_1 es:

donde R_1 es:

$-(CH_2)_{0-1}-(R_{1-aril})$

$-(CH_2)_{n-1}-(R_{1-heteroaril})$

en donde R_N es:

$R_{N-1}-X_N-$ donde X_N se selecciona del grupo que consiste de:

$-CO-$, y

$-SO_2-$,

donde R_{N-1} se selecciona del grupo que consiste de:

$-R_{N-arilo}$, y

$-R_{N-heteroarilo}$, o

$-CO-CH(-(CH_2)_{0-2}-O-R_{N-10})-(CH_2)_{0-2}-R_{N-aril}/R_{N-heteroarilo})$;

donde R_C es:

-alquilo con 1 a 8 átomos de carbono

$-(CH_2)_{0-3}-$(cicloalquilo con 3 a 7 átomos de carbono),

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-arilo}$,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heteroarilo}$,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heterociclo}$, o

anillo -cilopentil o ciclohexil fusionado a $R_{C-arilo}$ o $R_{C-heteroarilo}$ o $R_{C-heterociclo}$.

196. Uso de una amina sustituida de fórmula (X) de acuerdo a la reivindicación 184 donde:

donde R_1 es:

$-(CH_2)-(R_{1-arilo})$, o

$-(CH_2)-(R_{1-heteroarilo})$

en donde R_N es:

donde R_2 es -H;

donde R_3 es -H;

donde R_N es:

$R_{N-1}-X_N-$ donde X_N se selecciona del grupo que consiste de:

-CO-,

donde R_{N-1} se selecciona del grupo que consiste de:

$R_{N-arilo}$, y

$-R_{N-heteroarilo}$,

donde R_C es:

$-(CH_2)_{0-3}-$(cicloalquilo con 3 a 7 átomos de carbono),

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-arilo}$,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heteroarilo}$,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heterociclo}$, o

anillo -cilopentil o ciclohexil fusionado a $R_{C-arilo}$ o $R_{C-heteroarilo}$ o $R_{C-heterociclo}$.

197. Uso de una amina sustituida de fórmula (X) de acuerdo a la reivindicación 195 donde R_C es:

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-arilo}$,

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heteroarilo}$,

anillo -cilopentil o ciclohexil fusionado a $R_{C-arilo}$ o $R_{C-heteroarilo}$ o $R_{C-heterociclo}$.

198. Uso de una amina sustituida de fórmula (X) de acuerdo a la reivindicación 184 donde R_1 es:

$-(CH_2)-(R_{1-arilo})$ donde $R_{1-arilo}$ es fenilo.

199. **Uso de una amina sustituida de fórmula (X) de acuerdo a la reivindicación 198 donde R_1 es:**

$-(CH_2)-(R_{1-arilo})$ donde $R_{1-arilo}$ es fenilo sustituido con dos -F.

200. **Uso de una amina sustituida de fórmula (X) de acuerdo a la reivindicación 199 donde la sustitución de -F es 3,5-difluorobenzil.**

201. Uso de una amina sustituida de fórmula (X) de acuerdo a la reivindicación 184 donde R_2 es -H.

202. Uso de una amina sustituida de fórmula (X) de acuerdo a la reivindicación 184 donde R_3 es -H.

203. Uso de una amina sustituida de fórmula (X) de acuerdo a la reivindicación 184 donde R_N es

$R_{N-1}-X_N-$ donde X_N es -CO-, y donde R_{N-1} es $R_{N-arilo}$, donde $R_{N-arilo}$ es fenilo sustituido con un $-CO-NR_{N-2}R_{N-3}$ donde la sustitución sobre el fenilo es 1,3-.

204. Uso de una amina sustituida de fórmula (X) de acuerdo a la reivindicación 203 donde R_{N-2} y R_{N-3} son iguales y son alquilo con 3 átomos de carbono.

205. Uso de una amina sustituida de fórmula (X) de acuerdo a la reivindicación 184 donde R_N es

R_{N-1}-X_N- donde X_N es -CO-, donde R_{N-1} es $R_{N-arilo}$, donde $R_{N-arilo}$ es fenilo sustituido con un alquilo con 1 átomos de carbono y con un -CO-$NR_{N-2}R_{N-3}$ donde la sustitución sobre el fenilo es 1,3,5-.

206. Uso de una amina sustituida de fórmula (X) de acuerdo a la reivindicación 205 donde R_{N-2} y R_{N-3} son iguales y son alquilo con 3 átomos de carbono.

207. Uso de una amina sustituida de fórmula (X) de acuerdo a la reivindicación 184 donde R_N es

R_{N-1}-X_N- donde X_N es -CO-, donde R_{N-1} es $R_{N-heteroarilo}$, donde $R_{N-heteroarilo}$ se sustituye con un -CO-$NR_{N-2}R_{N-3}$.

208. Uso de una amina sustituida de fórmula (X) de

acuerdo a la reivindicación 207 donde R_{N-2} y R_{N-3} son iguales y son alquilo con 3 átomos de carbono.

209. Uso de una amina sustituida de fórmula (X) de acuerdo a la reivindicación 184 donde R_C es:

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-arilo}$, donde $R_{C-arilo}$ es fenilo

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heteroarilo}$, o

anillo -cilopentil o ciclohexil fusionado a $R_{C-arilo}$ o $R_{C-heteroarilo}$ o $R_{C-heterociclo}$.

210. Uso de una amina sustituida de fórmula (X) de acuerdo a la reivindicación 209 donde R_C es:

$-(CR_{C-x}R_{C-y})_{0-4}-R_{C-arilo}$, donde $R_{C-arilo}$ es fenilo.

211. Uso de una amina sustituida de fórmula (X) de acuerdo a la reivindicación 210 donde el fenilo es sustituido en la posición 3- o posiciones 3,5-.

212. Uso de una amina sustituida de fórmula (X) de acuerdo a la reivindicación 209 donde R_C es:

$$-(CH_2)-R_{C-arilo}$$

213. Uso de una amina sustituida de fórmula (X) de acuerdo a la reivindicación 209 donde R_C es:

$$-(CH_2)-R_{C\text{-heterocicloarilo}}$$

214. Uso de una amina sustituida de fórmula (X) de acuerdo a la reivindicación 209 donde R_C es:

anillo -ciclohexil fusionado a un anillo fenilo.

215. Uso de una amina sustituda de la fórmula (X) dea acuerdo a la reivindicación 184 donde la sal farmacéuticamente aceptable se selecciona del grupo que consiste de sales de los siguientes ácidos acético, acético, aspartico, benzenosulfónico, benzoico, bicarbonico, bisulfurico, bitartarico, butírico, edato de calcio, camsilico, carbónico, clorobenzoico, cítrico, edetico, idisilico, estolico, eilo, etílico, formica, fumarico, luceptico, gluconico, glutanico, glicolilarsanilico, hexaminico, hexilresorcionoico, hidrabamico, hydrobromico, hidroclorhidrico, yodiridico, hidroxinaftoico, isetinoico, láctico, lactobionico, maleico, malico, malonico, mandelico, metanosulfonico,

metilnitrico, metilsulfurico, mucico, muconico, napsilico, nitrico, oxalico, p-nitrometanosulfonico, pamoico, pantotenico, fosforico, mono hidrogenofosforico, dihidrogeno fosforico, ftálico, poligalacturonico, propionico, saliciclico, estearico, succinico, sulfanico, sulfanilico, sulfonico, sulfurico, tanico, tartanico, teoclico y toluenosulfónico.

216. Uso de una amina de la fórmula (X) de acuerdo a la reivindicación 184 donde la amina sustituida (X) es:

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(2-furilmetil)amino]-2-hidroxipropil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-1-benzil-3-(etilamino)-2-hidroxipropil]-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-1-benzil-3-(benzilamino)-2-hidroxipropil]-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-1-benzil-2-hidroxi-3-(isopropilaminopropil]-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-1-benzil-2-hidroxi-3-(4-toluidino)propil]-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-benzil-2-hidroxi-3-{[2-(4-metoxifenil)etil]amino}propil)-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil]-N^3,N^3-dipropilisoftalamida,

etil {[(3S)-3-({3-[(dipropilamino)carbonil]benzil}amino)-2-hidroxi-4-fenilbutil]amino}(fenil)acetato,

N^1-((1S)-1-benzil-2-hidroxi-3-{[(1S)-2-hidroxi-1-(hidroximetil)-2-(4-nitrofenil)etil]amino}propil)-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-3-[(2-clorobenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida,

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N^1-{(1S,2R)-1-benzil-3-[(4-clorobenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-benzil-2-hidroxi-3-{[2-(2-hidroxietoxi)etil]amino}propil)-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-1-benzil-3-(2,3-dihidro-1H-inden-1-ilamino)-2-hidroxipropil]-N^3,N^3-propilisoftalamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(2-hidroxipropil)amino)propil}-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(tetrahidro-2-furanilmetil)amino]propil}-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-3-[(2,2-dietoxietil)amino]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-1-benzil-3-(butilamino)-2-hidroxipropil]-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-1-benzil-3-(ciclohexilamino)-2-hidroxipropil]-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-benzil-2-hidroxi-3-[(2-piridinilmetil)amino]propil}-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-3-[(2-aminobenzil)amino]-1-benzil-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-piridinilmetil)amino]propil}-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-benzil-2-hidroxi-3-{[2-(1-pirrolidinil)etil]amino}propil)-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(2-hidroxi-2-feniletil)amino]propil}-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-3-[(3-butoxipropil)amino]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-isopropoxipropil)amino]propil}-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-1-benzil-2-hidroxi-3-

(isopentilamino)propil]-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-fenilpropil)amino]propil}-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(2-metoxietil)amino]propil}-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-benzil-2-hidroxi-3-[(2-fenoxietil)amino]propil}-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(2-propoxietil)amino]propil}-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-3-[(3,3-dimetilbutil)amino]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(4-fenilbutil)amino]propil}-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-yodobenzil)amino]propil}-N^3,N^3-dipropilisoftalamida,

N^1-{(1S)-1-benzil-2-hidroxi-3-[(4-

nitrobenzil)amino]propil}-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-3-[(3-clorobenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-benzil-3-{[2-(4-clorofenil)etil]amino}-2-hidroxipropil)-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-benzil-2-hidroxi-3-{[2-(2-piridinil)etil]amino}propil)-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(4-piridinilmetil)amino]propil}-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-benzil-2-hidroxi-3-{[2-(1-metil-2-pirrolidinil)etil]amino}propil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-3-[(2,3-dimetilbenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-benzil-2-hidroxi-3-{[2-(trifluorometoxi)benzil]amino}propil)-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-3-[(2-cloro-6-fenoxibenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-benzil-2-hidroxi-3-{[4-(trifluorometil)benzil]amino}propil)-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-3-[(2,3-diclorobenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-3-[(3,5-diclorobenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-3-[(3,5-difluorobenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-benzil-2-hidroxi-3-{[4-(trifluorometoxi)benzil]amino}propil)-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-({2-[4-(aminosulfonil)fenil]etil}amino)-1-benzil-2-

hidroxipropil]-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(4-metoxibenzil)amino]propil}-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(4-metilbenzil)amino]propil}-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3,4,5-trimetoxibenzil)amino]propil}-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-benzil-2-hidroxi-3-{[3-(trifluorometoxi)benzil]amino}propil)-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-3-[(3,5-dimetoxibenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-3-[(2,4-dimetoxibenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-3-[([1,1'-bifenil]-3-ilmetil)amino]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida,

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N^1-{(1S,2R)-1-benzil-3-[(3,4-diclorobenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-3-[(2-fluorobenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-benzil-2-hidroxi-3-{[3-(trifluorometil)benzil]amino}propil)-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(2-metilbenzil)anuno]propil}-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-benzil-2-hidroxi-3-{[(1R)-1-feniletil]amino}propil)-N^3,N^3-dipropilisoftalamida;

N^1-((1S,2R)-1-benzil-2-hidroxi-3-{[(1S)-1-feniletil]amino}propil)-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-benzil-3-{[3,5-bis(trifluorometil)benzil]amino}-2-hidroxipropil)-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-benzil-2-hidroxi-3-{[2-

(trifluorometil)benzil]amino}propil)-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-benzil-2-hidroxi-3-{[(1S)-1-(1-naftil)etil]amino}propil)-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-benzil-2-hidroxi-3-{[(1R)-1-(1-naftil)etil]amino}propil)-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(4-hidroxi-3-metoxibenzil)amino]propil}-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-3-[(3,4-dihidroxibenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida,

N^1-{(1S)-1-benzil-2-hidroxi-3-[(3-metoxipropil)amino]propil}-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-benzil-2-hidroxi-3-{[(1S)-2-hidroxi-1-metiletil]amino}propil)-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-benzil-2-hidroxi-3-{[(1R)-2-hidroxi-1-metiletil]amino}propil)-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-1-benzil-2-hidroxi-3-(2-propinilamino)propil]-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-benzil-3-{[2-(2-fluorofenil)etil)amino}-2-hidroxipropil)-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-benzil-3-{[2-(3-fluorofenil)etil]amino}-2-hidroxipropil)-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-benzil-3-{[2-(4-fluorofenil)etil]amino}-2-hidroxipropil)-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-benzil-3-{[2-(4-bromofenil)etil]amino}-2-hidroxipropil)-N^3,N^3-dipropilisoftalamida,

N^1-((1S)-1-benzil-2-hidroxi-3-{[2-(3-metoxifenil)etil]amino}propil)-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-benzil-3-{[2-(2,4-

diclorofenil)etil]amino}-2-hidroxipropil)-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-benzil-3-{[2-(3-clorofenil)etil]amino}-2-hidroxipropil)-N^3,N^3-dipropilisoftalamida,

N^1-((1S)-1-benzil-3-{[2-(2,5-dimetoxifenil)etil]amino}-2-hidroxipropil)-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-benzil-2-hidroxi-3-{[2-(4-metilfenil)etil]amino}propil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-benzil-3-{[(1R)-1-benzil-2-hidroxietil]amino}-2-hidroxipropil)-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-benzil-2-hidroxi-3-{[3-(4-morfolinilpropil]amino}propil)-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-1-benzil-2-hidroxi-3-(isobutilamino)propil]-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-benzil-2-hidroxi-3-{[2-(4-

morfolinil)etil]amino}propil)-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-benzil-2-hidroxi-3-[(2-hidroxibutil)amino]propil}-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-benzil-2-hidroxi-3-{[2-(2-tienil)etil]amino}propil)-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(4-hidroxibutil)amino]propil}-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-benzil-2-hidroxi-3-([(1S)-2-hidroxi-1-feniletil]amino}propil)-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-3-[(2,4-diclorobenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-benzil-2-hidroxi-3-{[(1R)-2-hidroxi-1-feniletil]amino}propil)-N^3,N^3-dipropilisoftalamina,

N^1-{(1S,2R)-1-benzil-3-[(4-tert-butilbenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(1-

feniletil)amino]propil}-N^3,N^3-diprdpilisoftalamida,

N^1-((1S,2R)-1-benzil-2-hidroxi-3-{[(1R,2S)-2-hidroxi-2,3-dihidro-1Hinden-1-il]amino}propil)-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-3-[(3,4-dimetilbenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[2-(isobutilamino)-1-metil-2-oxoetil]amino)propil)-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(1S)-2-(isobutilamino)-1-metil-2-oxoetil]amino}propil)-N^3,N^3-dipropilisoftalamida,

N^3-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(1S)-2-(isobutilamino)-1-metil-2-oxoetil]amino}propil)-N^5,N^5-dipropil-3,5-piridinodicarboxamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[2-(isobutilamino)-1,1-dimetil-2-oxoetil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

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N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[2-(isobutilamino)-2-oxoetil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-({(1S)-1-[(isobutilamino)carbonil]propil}amino)propil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-({(1R)-1-[(isobutilamino)carbonil]propil}amino)propil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-(benzilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-1-(3,5-difluorobenzil)-3-(etilamino)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-(isobutilamino)propil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[3-(isobutilamino)-2-metil-3-oxopropil]amino}propil)-5-

metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[4-(dimetilamino)benzil]amino)-2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-{[(1S)-1-benzil-2-(isobutilamino)-2-oxoetil]amino}-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-({(1S)-1-[(isobutilamino)carbonil]-2-metilpropil}amino)propil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[2-(dimetilamino)etil]amino)-2-hidroxipropil-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-piridinilmetil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-{[(1S)-1-[(benziloxi)metil]-2-

(isobutilamino)-2-oxoetil]amino}-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(1-metil-1-feniletil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-({(1R)-1-[(isobutilamino)carbonil]-2-metilpropil)amino)propil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-({(1S)-1-[(isobutilamino)carbonil]butil}amino)propil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(1S)-1-(hidroximetil)-2-(isobutilamino)-2-oxoetil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(2-feniletil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-{[(1S)-2-(benzilamino)-1-metil-2-

oxoetil]amino}-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(1S)-1-fenilpropil)amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-3{[(1S)-2-(etilamino)-1-metil-2-oxoetil]amino}-2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-(3,5-difluorobenzil)-2-hidroxi-3-([(1S)-2-(isobutilamino)-2-oxo-1-feniletil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-(isopentilamino)propil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-(ciclohexilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-(butilamino)-1-(3,5-difluorobenzil)-

2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxipropil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(2-hidroxi-2-feniletil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-3{[(3R,5S)-3,5-dimetoxiciclohexil]amino}-2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida,

dimetil (1R,3S)-5-{[(2R,3S)-4-(3,5-difluorofenil)-3-({3-[(dipropilamino)carbonil]-5-metilbenzil}amino)-2-hidroxibutil]amino}-1,3-ciclohexanodicarboxilato,

Ácido (1R,3S)-5-{[(2R,3S)-4-(3,5-difluorofenil)-3-({3-[(dipropilamino)carbonil]-5-metilbenzil}amino)-2-hidroxibutil]amino}-1,3-ciclohexanodicarboxilico,

N^1-((1S,2R)-1-(3,5-difluorobenzil-2-hidroxi-3-{[(1R)-1-fenilpropil]amino}propil-5-metil-N^3,N^3-

dipropilisoftalamida,

N^1-[(1S,2R)-3-[(3-clorobenzil)amino]-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N-{(1S,2R)-1(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil-3-[(2-propilpentil)sulfonil]benzamida,

N^1-[(1S,2R)-3-[([1,1'-bifenil]-3-ilmetil)amino]-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)aminopropil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metilbenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(2-fenilpropil)amino]propil}5-metil-N^3,N^3-

dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(1,3-tiazol-5-ilmetil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-4-(3,5-difluorobenzil)-2-hidroxi-3-[(2-tienilmetil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3[(5-metoxi-1,2,3,4-tetrahidro-1-naftalenil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(2-pirazinilmetil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3,5-difluorobenzil)amino]-2-hidroxipropil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-3-[(1,3-benzodioxol-5-ilmetil)amino]-1-benzil-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida,

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N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3,5-dimetoxibenzil)amino]-2-hidroxipropil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[3-(trifluorometil)benzil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(7-metoxi-1,2,3,4-tetrahidro-1-naftalenil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[3-(trifluorometoxi)benzil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-fluorobenzil)amino]-2-hidroxipropil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-isopropoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

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N^1-[(1S,2R)-3-[(3-bromobenzil)amino]-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(5-metil-2-filril)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(5-metoxi-1,2,3,4-tetrahidro-1-naftalenil)amino]propil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(5-metoxi-1,2,3,4-tetrahidro-1-naftalenil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-(1,2,3,4-tetrahidro-1-naftalenilamino)propil]-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-(benzilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metoxi-N^3,N^3-propilisoftalamida,

N^1-[(1S,2R)-3-(benzilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil3-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-(benzilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-cloro-N^3,N^3-dipropilisoftalamida,

N^3-[(1S,2R)-3-(benzilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil]-N^5,N^5-dipropil-3,5-piridinodicarboxamida,

N^1-[(1S,2R)-3-(benzilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-fluoro-N^3,N^3-dipropilisoftalamida,

N^2-[(1S,2R)-3-benzilamido)-1-(3,5-difluorobenzil)-2-hidroxipropil]-N^5,N^5-dipropil-2,5-tiofenodicarboxamida,

N^4-[(1S,2R)-3-(Benzilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil-N^2,N^2-dipropil-2,4-piridinodicarboxamida,

N^4-[(1S,2R)-3-(benzilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil]-N^6,N^6-dipropil-4,6-piridinodicarboxamida,

N-[(1S,2R)-3-(benzilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil]-3-(4-morfolinilcarbonil)benzamida,

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N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metilbenzil)amino]propil}-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^5,N^5-dipropilpentanodiamida,

N^1-[(1S,2R)-3-{[(1R)-1-[(benziloxi)metil]-2-(isobutilamino)-2-oxoetil]amino}-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3{[(1R)-1-(hidroximetil)-2-(isobutilamino)-2-oxoetil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-1-benzil-2-hidroxi-3-(pentilamino)propil]-N^3,N^3-dipropilisoftalamida,

N^1-[(1S)-3-({2-[4-(aminosulfonil)fenil]etil}amino)-1-benzil-2-hidroxipropil]-N^3,N^3-dipropilisoftalamida,

N^3-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(1,3-tiazol-5-ilmetil)amino]propil}-N^5,N^5-dipropil-3,5-piridinodicarboxamida,

3-benzil-N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}benzamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}[1,1'-bifenil]-3-carboxamida,

N^1-[(1S,2R)-3-(benzilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil]-N^3-(2-metoxietil)-N^3-propilisoftalamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3-etoxibenzamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-2-naftamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(1R)-1,2,3,4-tetrahidro-1-naftalenilamino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1R)-3-{[3,5-bis(trifluorometil)benzil]amino}-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-benzil-3-{[2-fluoro-5-

(trifluorometil)benzil]amino}-2-hidroxipropil)-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-3-[(2,3-difluorobenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-benzil-3-{[3-fluoro-4-(trifluorometil)benzil]amino}-2-hidroxipropil)-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-3-[(2,5-difluorobenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-benzil-3-{[3-fluoro-5-(trifluorometil)benzil]amino}-2-hidroxipropil)-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-3-[(3,4-difluorobenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-benzil-3-{[4-fluoro-3-(trifluorometil)benzil]amino}-2-hidroxipropil)-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-benzil-3-{[2-cloro-5-(trifluorometil)benzil]amino}-2-hidroxipropil)-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-benzil-3-{[4-cloro-3-(trifluorometil)benzil]amino}-2-hidroxipropil)-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-1-benzil-3-(2,3-dihidro-1H-inden-2-ilamino)-2-hidroxipropil]-N^3,N^3-dipropilisoftalamida,

N^1-{(1S)-1-benzil-2-hidroxi-3-[(3-nitrobenzil)amino]propil}-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-benzil-3-{[3-(difluorometoxi)benzil]amino)-2-hidroxipropil)-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-3-[(3-etoxibenzil]amino}-2-hidroxipropil)-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-benzil-2-hidroxi-3-{[(5-metil-2-pirazinil)metil]amino}propil)-N^3,N^3-dipropilisoftalamida

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N^1-{(1S,2R)-1-benzil-3-[(3-bromo-4-fluorobenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etoxibenzil)amino]-2-hidroxipropil]-5-metil-N3,N3-dipropilisoftalamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(2-fenoxietil)amino]propil}-5-metil-N^3,N^3-tripropilisoftalamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-isobutoxibenzil)amino]propil}-5-metliil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-([(4-metil-1,3-tiazolil)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-(benzilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil]-N^3-metil-N^3-propilisoftalamida,

N^2-[(1S,2R)-3-(benzilamino)1-(3,5-difluorobenzil)-

2-hidroxipropil]-N^5,N^5-dipropil-2,5-furandicarboxamida,

N^3-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-([3-(trifluorometil)benzil]amino}propil)-N^5,N^5-dipropil-3,5-piridinodicarboxamidamine,

N^3-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(1-metil-1-feniletil)amino]propil}-N^5,N^5-dipropil-3,5-piridinodicarboxamida,

N^1-[(1S,2R)-3-amino-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(1,2-difeniletil)amino]-2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(7-metoxi-1,2,3,4-tetrahidro-1-naftalenil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida, Isómero A,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(7-metoxi-1,2,3,4-tetrahidro-1-naftalenil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida, Isómero B,

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3-(dimetilamino)benzamida,

N-[(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil]-2-metil-1H-benzidazol-5-carboxamida,

3-(aminosulfonil)-N-{(1S)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-4-clorobenzamida,

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3-cianobenzamida,

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil)-4-cloro-3-nitrobenzamida,

metil 3-[({(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}amino)carbonil]-5-nitrobenzoato,

tert-butil 3-[({(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}amino)carbonil]fenilcarbamato,

N-[(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil]-9,10-dioxo-9,10-dihidro-2-antrancenilcarboxamida

N-[(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil]-1H-1,2,3-benzotriazol-6-carboxidamida

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-4-(3-metil-5-oxo-4,5-dihidro-1H-pirazol-1-il)benzamida,

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-1H-indol-5-carboxamida,

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3-fluoro-5-(trifluorometil)benzamida,

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3-(trifluorometil)benzamida,

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-4-(butilamino)benzamida,

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3-(trifluoro)benzamida,

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3,5-dimetoxibenzamida,

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}dimetilbenzamida,

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3,5-difluorobenzamida,

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3,5-diclorobenzamida,

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-4-(benziloxi)benzamida,

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-1,3-benzodioxol-5-carboxamida,

3-(acetilamino)-N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}benzamida,

4-(acetilamino)-N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}benzamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-3{[(3,5-dimetil-4-isoxazolil)metil]amino}-2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-fenilpropil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-furilmetil)amino]-2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobemil)-2-hidroxi-3-[(tetrahidro-3-furanilmetil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-propoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(2-piridinilmetil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-(benzilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-hidroxi-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[1-metil-1-(3-metilfenil)etil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(1S)-1,2,3,4-tetrahidro-1-naftalenilamino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(2,5-dimetilbenzil)amino]-2-hidroxipropil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-{[2-cloro-5-(trifluorometil)benzil]amino}-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(2-

hidroximetilbenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(1S,2R)-2-hidroxi-2,3-dihidro-1H-inden-1-il}amino]propil)-5-metil-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-(3,5-difluorobenzil)-3-[(1R)-2,3-dihidro-1H-inden-1-ilamino]-2-hidroxipropil}-5-metil-N^3,N^3-dipropilisoftalamida,

5-cloro-N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(1-metil-feniletil)amino]propil}-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-[(1-benzofuran-2-ilmetil)amino]-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-([(1R)-1-(3-bromofenil)etil]amino)-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(4-fluorobenzil)-2-hidroxi-3-[(3-

yodobenzil)amino]propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3-[butil(butiril)amino]-5-metilbenzamida,

N^1-{1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-4-metil-N^3,N^3-dipropilisoftalamida,

N^3-{1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil)-4-metil-N^1,N^1-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-4-metil-N^3,N^3-dipropilisoftalamida,

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-1-butil-1H-indol-6-carboxamida,

N^1-[(1S,2R)-3-aanilino-1-(3,5-difluorobenzil)-2-

hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

5-bromo-N^1-[(1S,2R)-3-[(3-bromobenzil)amino]-1-(3,5-difluorobenzil-2-hidroxipropil]-N^3,N^3-propilisoftalamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-4-metilpentanamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-3-metilpentanamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-hidroxibenzil)amino]propil}5-metil-N^3,N^3-dipropilisoftalamida,

clorhidrato N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-ciano-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

trifluroacetato 1-N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-5-oxo-5-(1-piperidinil)pentanamida,

5-(aminosulfonil)-N^1-(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-5-(1-pirrolidinilsulfonil)isoftalamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-[(metilamino)sulfonil]-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-[(dimetilamino)sulfonil]-N^3,N^3-dipropilisoftalamida,

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-2-metil-3-(metilsulfonil)propanamida,

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-

metoxibenzil)amino]propil}-3-(metilsulfonil)propanamida,

2-amino-N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-1,3-tiazol-4-carboxamida,

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-(metilsulfonil)pentanamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^4-fenilsuccinamida,

(3R)-N^4-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-2,2,3-trimetilbutanodiamida,

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)aminopropil}-3-[(dipropilamino)sulfonilpropanamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil-N^5,N^5-dipropilpentanodiamida,

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-4-oxi-4-(1-piperidinil)butanamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^4,N^4-dipropilsuccinamida,

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-oxo-5-(1-piperidinil)pentanamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^5-fenilpentanodiamida,

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3,3-dimetil-4-oxo-4-(1-piperidinil)butanamida,

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-4-(isopentilsulfonil)butanamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-2,2-dimetil-N^4,-dipropilsuccinamida,

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-

metoxibenzil)amino]propil}-4-
[(dipropilamino)sulfonil]butanamida,

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-
metoxibenzil)amino]propil}-4-
[(metilanilino)sulfonil]butanamida,

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-
metoxibenzil)amino]propil}-3-
[(metilanilino)sulfonil]propanamida,

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-
metoxibenzil)amino]propil}acetamida,

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-
metoxibenzil)amino]propil}-3-
(isopentilsulfonil)propanamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-
yodobenzil)amino]propil}-5-oxo-5-(1-
piperidinil)pentanamida,

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N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-yodobenzil)amino]propil}-5-oxo-5-(1-piperidinil)pentanamida

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-3-[(dipropilaruno)sulfonilpropanamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-etil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-isobutil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-tert-butil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-ciano-N^3-propilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-

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metoxibenzil)amino]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-{(1S,2R)-1-benzil-2-bidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dimetil-N^5,N^5-dipropil-1,3,5-bencenotricarboxamida,

N^1-[(1S,2R)-3-amino-1-benzil-2-hidroxipropil]-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-[(1S,2R)-1-benzil-2-hidroxi-3-(isopentilamidno)propil]-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3-propil-1,3,5-bencenotricarboxamida,

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3-[butiril(propil)amino]-5-metilbenzamida,

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-1-propil-1H-indol-6-

carboxamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-1-propil-1H-indol-6-carboxamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3,4-dimetilbenzil)amino]-2-hidroxipropil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-[(3-aminobenzil)amino]-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}octanamida,

N^3-[(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-({1-metil-1-[3-(trifluorometil)fenil]etil}amino)propil]-N^5,N^5-dipropil-3,5-piridinodicarboxamida,

N^1-[(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-({1-metil-1-[3-(trifluorometil)fenil]etil}amino]propil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(1R,25)-2-hidroxi-2,3-dihidro-1H-inden-1-il]axnino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(1R)-2,3-dihidro-1H-inden-1-ilamino]-2-hidroxipropil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-3-metilbenzamida,

N^1-[(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-(1H-isoindol-3-ilamino)propil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(1R,2S,5R)-2-isopropil-5-metilciclohexil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1,N^1-dialil-5-cloro-N^3-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(1-metil-1-feniletil)amino]propil}isoftalamida,

N^1,N^1-dialil-5-cloro-N^3-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(1-metil-1-feniletil)amino]propil}isoftalamida,

N^3-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(1-fenilciclopentil)amino]propil}-N^5,N^5-dipropil-3,5-piridinodicarboxamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[3-(dimetilamino)benzil]amino}-2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-uorobenzil-3-{[(4,5-dimetil-2-furil)metil]amino}2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(1-fenilciclopentil)aminopropil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-(ciclopropilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-[(ciclopropilmetil)amino]-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoplitalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)aminopropil}-N^5,N^5-dipropilpentanodiamida,

N^3-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(2-furilmetil)amino]-2-hidroxipropil}-N^5,N^5-dipropil-3,5-piridinodicarboxamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(tetrahidro-2-furanilmetil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^3-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(1-fenilciclopropil)amino]propil}-N^5,N^5-dipropil-3,5-piridinodicarboxamida,

N^1-{(1S,2R)-1-(3,5-ifluorobenzil)-2-hidroxi-3-[(2-

oxo-3-azepanil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(3-metil-2-furil)i-etil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(2S)-tetrahidro-2-furanilimetil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

5-cloro-N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(1-metil-1-feniletil)amino]propil}-N^3,N^3-di(2-propinil)isoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-isopropenilbenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(2-propoxietil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-1-(3,5-difluorobenzil)-3-(hexilamino)-

2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-4-(3-metil-5-oxo-4,5-dihidro-1H-pirazol-1-il)benzamida,

metil 4-({[(2R,3S)-4-(3,5-difluorofenil)-3-({3-[(dipropilamino)carbonil]-5-metilbenzil}amino)-2-hidroxibutil]amino}metil)benzoato,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(2-metoxietil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(5-isoxazolilmetil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

(1R,2R)-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-N^2,N^2-dipropil-1,2-ciclopropanodicarboxamida,

N^3-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(2S)-tetrahidro-2-furanilmetil]amino}propil)-N^5,N^5-

dipropil-3,5-piridinodicarboxamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(2-metoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-isopropilbenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

4-(butirilamino)-N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}benzamida,

N^1-[(1S,2R)-3-[(3-amino-3-oxopropil)amino]-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

1-óxido N^3-[(1S,2R)-3-(benzilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil]-N^5,N^5-dipropil-3,5-piridinodicarboxamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-5-etinil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(7-oxabiciclo[2,2,1]hept-2-ilmetil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-diuorobenzil)-3-[(3-etinilbenzil)amino}-2-hidroxipropil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(2-metil-1,3-tiazol-5-il)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[(2-etil-1,3-tiazol-5-il)metil]amino}-2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil-2-hidroxi-3 3R)-2-oxoazepanil]amino}propil)-5-metil-N^3,N^3-dipropilisftalamida,

N^1-[(1S,2R)-3-(ciclobutilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

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N^1-[(1S,2R)-3-(butilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-etinil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-5-etinil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-1-(3,5-difluorobenil)-3-(5-hexinilamino-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^3-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(5-metil-2-furil)metil]amino}propil)-N^5,N^5-dipropil-3,5-piridinodicarboxamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(1-metil-1-feniletil)amino]propil}-N^5,N^5-dipropilpentanodiamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[1-(2-fliril)-1-metiletil]amino}-2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(3-isobutil-5-isoxazolil)metil]amino}propil-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(2-isobutil-1,3-tiazol-5-il)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N-{(1S,2R)-1-(3,5-diuorob-)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-[(dipropilamino)sulfonil]propanamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(2-feniletil)amino]propil}-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-benzil-3-{[2-(2-clorofenil)etil]amino}-2-hidroxipropil)-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-benzil-2-hidroxi-3-{[3-(2-oxo-1-pirrolidinil)propil]amino}propil)-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-3-[(ciclohexilmetil)amino]-2-

hidroxipropil}-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-1-benzil-3-(ciclopropilamino)-2-hidroxipropil]-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(2-oxo-3-azepanil)amino]propil}-N^3,N^3-dipropilisoftalamida,

N-[(1S,2R)-3-(benzilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil]-3-(butilsulfonil)benzamida,

N^1-[(1S,2R)-1-benzil-3-({2-[(2-etilhexil)oxi]etil}amino)-2-hidroxipropil]-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-benzil-2-hidroxi-3-{[(1S,2R)-2-hidroxi-2,3-dihidro-1H-inden-1-il]amino}propil)-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-benzil-2-hidroxi-3-{[1-(4-hidroxifenil)etil]amino}propil)-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-1-benzil-3-(cicloheptilamino)-2-

hidroxipropil]-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-3-[([1,1'-bifenil]-2-ilmetil)amino]-2-hidroxipropil}-N-,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-3-[(2-fluorobenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3-(dimetilamino)benzamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-1-naftamida,

N^1-[(1S,2R)-1-benzil-3-({2-[({5-[(dimetilamino)metil]-2-furil}metil)sulfanil]etil}amino)-2-hidroxipropil]-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-1-benzil-3-({2-[(2-cloro-6-fluorobenzil)sulfanil]etil)amino)-2-hidroxipropil]-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-[([1,1'-bifenil]-4-ilmetil)amino]-1-

(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-(1-naftilamino)propil]5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(1H-imidazol-5-ilmetil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3{[(2-fenil-1H-imidazol-5-il)metil]anuno}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(1-metil-1H-imidazol-2-il)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-{[(2-butil-4-cloro-1H-imidazol-5-il)metil]amino}-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-{[(6-cloroimidazol[2,1-b][1,3]tiazol-5-il)metil]amino}-1-(3,5-difluorobenzil)-2-

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hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(1-metil-1H-benzildazol-2-il)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(2-hidroxi-1-naftil)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(4-oxo-4H-cloromen-3-il)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[(1,5-dimetil-3-oxo-2-fenil-2,3-dihidro-1H-pirazol-4-il)metil]amino}-2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-({[5-ciano-6-(metilsulfanil-2-piridinil]metil}amino)-1-(3,5-difluorobenzil-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

[5-({[(2R,3S)-4-(3,5-difluorofenil)-3-({3-

[(dipropilamino)carbonil]-5-metilbenzil}amino-2-hidroxibutil]amino)metil)-2-furil]metil acetato,

N^1-[(1S,2R)-3-[(1-benzofuran-3-ilmetil)amino]-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

metil 4-({[(2R,3S)-4-(3,5-difluorofenil)-3-({3-[(dipropilamino)carbonil]-5-metilbenzoil}amino)-2-hidroxibutil]amino}metil-1-metil-1H-pirrol-2-carboxilato,

N^1-[(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-({[1-(fenilsulfonil)-1H-pirrol-2-il]metil}amino)propil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(1-metil-1H-pirrol-2-il)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-{[(4-cloro-1-metil-1H-pirazol-3-il)metil]amino}-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[(3,5-

dimetil-1-fenil-1H-pirazol-4-il)metil]amino}2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-{[(5-cloro-3-metil-1-fenil-1H-pirazol-4-il)metil]amino}-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(3-fenil-1H-pirazol-4-il)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-{[(5-cloro-2-tienil)metil]amino}-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(3-fenoxi-2-tienil)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-quinolinilmetil)aminopropil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(2-

quinolinilmetil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(1-metil-1H-indol-2-il)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-{[(1-benzil-1H-indol-3-il)metil]amino}-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(1-metil-1H-indol-3-il)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[({1-[(4-metilfenil)sulfonil]-1H-indol-3-il}metil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N-[(1S,2R)-3-{[(2-butil-1H-imidazol-5-il)metil]amino}-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

metil 3-({[(2R,3S)-(3,5-difluorofenil)-3-({

3[(dipropilamino)carbonil]-5-metilbenzoil}amino)-2-hidroxibutil]amino}metil)-1H-indol-6-carboxilato,

3-[({(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-amino)carbonil]-5-[butil-(butiril)amino]benzil dietil fosfato,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-(cianometil)-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-(hidroximetil)-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-etinil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-yodobenzil)amino]propil}-N^3,N^3-dipropil-5-prop-1-inilisoftalamida,

N^1-((1S,2R)-1-benzil-2-hidroxi-3-{[3-

(trifluorometil)benzil]amino}propil)-5-etinil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-yodobenzil)amino]propil}-5-etinil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-3-[(3-fluorobenzil)amino]-2-hidroxipropil}-5-etinil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-5-(8-quinolinil)isoftalamida,

N^3-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-4'-metoxi-N^5,N^5-dipropil[1,1'-bifenil)-3,5-dicarboxamida,

N^3-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil)-N^5,N^5-dipropil[1,1'-bifenil]-3,5-dicarboxamida,

N^3-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^5,N^5-dipropil[1,1'-bifenil]-

3,5-dicarboxamida,

N^3-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-4'-[(dimetilamino)sulfonil]-N^5,N^5-dipropil-1,1'-bifenil-3,5-dicarboxamida,

N^3-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-yodobenzil)amino]propil}-4'-[(dimetilamino)sulfonil]-N^5,N^5-dipropil-1,1'-bifenil-3,5-dicarboxamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-5-(3-tienil)isoftalamida,

N-{(1R,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3-metil-5-pentanoilbenzamida,

N^1-(4-hidroxibutil)-N^3-{(1S)-2-hidroxi-1-(4-hidroxibenzil)-3-[(3-metoxibenzil)aminopropil}-5-metil-N^1-propilisoftalamida,

N^1-{(1S,2R)-2-hidroxi-1-(4-hidroxibenzil)-3-[(3-metoxibenzil)amino]propil}-N^3-(3-hidroxipropil)-5-metil-N^3-propilisoftalamida,

N^1-{(1S,2R)-2-hidroxi-1-(4-hidroxibenzil)-3-[(3-metoxibenzil)aminopropil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-benzil-3-{[3-(2,4-dimetilfenil)propil]amino}-2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-benzil-2-hidroxi-3-{[3-(4-metilfenil)propil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-1,3-dioxo-2-propil-5-isoindolinocarboxamida,

N-{(1R,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3-bromo-5-metilbenzamida,

3-bromo-N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-metilbenzamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-4-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-4-metil-N^3,N^3-dipropilisoftalamida,

N^3-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-4-metil-N^1,N^1-dipropilisoftalamida,

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3-(2-furil)-5-metilbenzamida,

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3',5,5'-trimetil-1,1'-bifenil-3-carboxamida,

3'-Acetil-N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-

metoxibenzil)amino]propil}-5-metil[1,1'-bifenil]-3-carboxamida,

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3'-metoxi-5-metil[1,1'-bifenil]-3-carboxamida,

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-metil[1,1'-bifenil]-3-carboxamida,

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3-metil-5-(2-tienil)benzamida,

N-{(1S,2R)-1-(3,5-difluorobenzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3-metil-5-(3-tienil)benzamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-3-metil-5-(3-tienil)benzamida,

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-4-metil-3-(3-tienil)benzamida,

N^1-{(1S,2R)-1-Benzil-2-hidroxi-3-[(3-

metoxibenzil)amino]propil)-N^3,N^3,N^5,N^5-tetrapropilbenceno-1,3,5-tricarboxamida,

N^1-((1S,2R)-1-(3,5-Difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropilbenceno-1,3,5-tricarboxamida,

Etil 3-[({(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}amino)carbonil]-5-[(dipropilamino)carbonil]benzoato,

N^1-{(1S,2R)-2-Hidroxi-1-(4-hidroxibenzil)-3-[(3-metoxibenzil)amino]propil}-N^3-N^3-dipropilbenceno-1,3,5-tricarboxamida,

N^1-{(1S,2R)-1-Benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-5-{[(trifluorometil)sulfonil]amino)isoftalamida,

5-Amino-N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-Benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-5-

[(trifluoroacetil)amidno]isoftalamida,

N^1-{(1S,2R)-1-Benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-[(metilsulfonil)amino]-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-Benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-5-[(tien-2-ilsulfonil)amino)isoftalamida,

N^1-{(1S,2R)-1-Benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-5-[(tien-2-ilcarbonil)amino]isoftalamida,

N^1-{(1S,2R)-1-Benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-(metacriloilamino)-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-Benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-[(2,2-dimetilpropanoil)amino]-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-Benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-[(fenilsulfonil)amino]-N^3,N^3-

dipropilisoftalamida.

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-(metiltio)pentanamida,

tert-butil (2R,3S)-3-({3-[(dipropilamino)sulfonil]propanoil}amino)-2-hidroxi-4-fenilbutil(3-metoxibenzil)carbamato

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3-metil-5-[propionil(propil)amino]benzamida,

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-1-butil-1H-indol-5-carboxamida,

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3-bromo-5-metilbenzamida,

N-{(1S,2R)-1-benzil-2-bidroxi-3-[(3-metoxibenzil)-amino]propil}-3-[butil(propionil)amino]-5-metilbenzamida,

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-

metoxibenzil)amino]propil}-4-metil-1-propil-1H-indol-6-carboxamida,

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-1-(1-propilbutil)-1H-indol-6-arboxamida,

N^1-((1S,2R)-1-benzil-2-hidroxi-3-{[(2-oxo-2,3-dihidro-1,3-benzoxazol-6-il)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropil-5-{[(trifluorometil)sulfonil]amino}isoftalamida,

Ácido 3-[({(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}amino)carbonil]-5-[(dipropilamino)carbonil]benzoico,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropil-5-prop-1-inilisoftalamida,

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-

metoxibenzil)amino]propil}-2-(dipropilamino)isonicotinamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-2-hidroxi-2-(4-metilfenil)acetamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-bidroxi-3-[(3-yodobenzil)amino]propil}-4-hidroxi-N^3-metilisoftalamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-2-hidroxi-2-(4-metoxi-3-nitrofenil)acetamida,

5-(aminosulfonil)-N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)aminopropil}-2-metoxibenzamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-4-hidroxi-3-(pirrolidi-1-il-carbonil)benzamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-2-[(imetilsulfonil)amino]-1,3-

oxazol-4-carboxamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-(3,5-dimetilisoxazol-4-il)-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-5-1,3-tiazol-2-il)isoftalamida,

3-(ciclohexilcarbonil)-N-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-metilbenzamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-metil-N^3-propilisoftalamida,

3-[ciclohexil(hidroxi)metil]-N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)aminopropil}-5-metilbenzamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-tilbenzil)amino]-2-hidroxipropil}-5-(4-metil-1,3-oxazol-2-il)-N^3,N^3-dipropilisoftalamida

N^3-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-tilbenzil)amino]-2-hidroxipropil}-N^5,N^5-dipropilpiridino-3,5-carboxamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(3-isobutil-1,2,4-oxadiazol-5-il)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^3-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-tinilbenzil)amino]-2-hidroxipropil}-N^5,N^5-dipropilpiridino-3,5-dicarboxamida,

N^3-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-isopropilbenzil)amino]propil}-N^5,N^5-dipropilpiridino-3,5-dicarboxamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[3-(4-hidroxibut-1-inil)benzil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

1-{3-[({(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}amino)carbonil]-5-metilbenzil}-L-prolinamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3-isopropil-5-metilisoftalamida,

N^1-{(1S,2R)-1-(3,5-ifluorobenzil)-3[(3-etilbenzil)amino]-2-hidroxipropil}-N^3-etil-N^3,5-dimetilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3,5-dimetil-N^3-prop-2-inilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3-isobutil-5-metilisoftalamida,

N^1-(sec-butil)-N^3-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-5-metilisoftalamida,

N^1-butil-N^3-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-5-metilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3,N^3-dietil-5-metilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3,5-dimetil-N^3-propilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3-isopropil-N^3,5-dimetilisoftalamida,

N^1-butil-N^3-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^1,5-dimetilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difillorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3-isobutil-N^3,5-dimetilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3-etil-5-metil-N^3-propilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3-etil-N^3-isopropil-5-metilisoftalamida,

N^1,N^1-dialil-N^3-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-5-metilisoftalamida,

3-(azepan-1-ilcarbonil)-N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-5-imetilbenzamida

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-[(4-hidroxipiperidin-1-il)carbonil]-5-metilbenzamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-[(3-hidroxipiperidin-1-il)carbonil]-5-metilbenzamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3,N^3-diisopropil-5-metilisoftalamida,

N^1-butil-N^3-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^1-etil-5-metilisoftalamida,

N^1-(ciclopropilmetil)-N^3-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-5-metil-N^1-propilisoftalamida,

1-{3-[({(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}amino)carbonil]-5-metilbenzil}-D-prolinamida,

N^1-ciclohexil-N^3-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil)-N^1,5-dimetilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[1-(3-metilfenil)ciclopropil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^3-[(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-(1,2,3,4-tetrahidronaftalen-1-ilamino)propil]-N^5,N^5-diisopropilpiridino-3,5-dicarboxamida, y

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-{[(trifluorometil)sulfonil]amino}benzamida.

217. Uso de una amina sustituida de la fórmula (x) de acuerdo a la reivindicación 216 donde la amina sustituida (X) se selecciona del grupo que consiste de:

N^1-{(1S,2R)-1-(3,5-difluorobenzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(2-furilmetil)amino]-2-hidroxipropil}5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-benzil-2-hidroxi-3-{[2-(2-hidroxietoxi)etil]amino}propil)-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-3-[(2-aminobenzil)amino]-1-benzil-2-

hidroxipropil}-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-yodobenzil)amino]propil}-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-benzil-2-hidroxi-3-{[2-(trifluorometoxi)benzil]amino}propil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-3-[(3,5-diclorobenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-benzil-2-hidroxi-3-{[3-(-rifluorometoxi)benzil]amino}propil)-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-3-[(3,5-dimetoxibenzil)amino]-2-hidroxiprop-}-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-3-[([1,1'-bifenil]-3-ilmetil)amino]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-3-[(3,4-diclorobenzil)amino]-

2-hidroxipropil}-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-benzil-2-hidroxi-3-{[3-(trifluorometil)benzil]amino}propil)-N^3,N^3-dipropilisoftalamida,

N^1-{(1S)-1-benzil-2-hidroxi-3-[(3-metoxipropil)amino]propil}-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-3-[(3,4-dimetilbenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[2-(isobutilamino)-1-metil-2-oxoetil]amino}propil)-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(1S)-2-(isobutilamino)-1-metil-2-oxoetil]amino}propil)-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(1S)-2-(isobutilamino)-1-metil-2-oxoetil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^3-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(1S)-2-(isobutilamino)-1-metil-2-oxoetil]amino}propil)-N^5,N^5-dipropil-3,5-piridinodicarboxamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[2-(isobutilamino)-1,1-dimetil-2-oxoetil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[2-(isobutilamino)-2-oxoetil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-({(1S)-1-[(isobutilamino)carbonil]propil}amino)propil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-({(1R)-1-[(isobutilamino)carbonil]propil}amino)propil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-(benzilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[3-

(isobutilamino)-2-metil-3-oxopropil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-{[(1S)-1-benzil-2-(isobutilamino)-2-oxoetil]amino}-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-({(1S)-1-[(isobutilamino)carbonil]-2-metilpropil}amino)propil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-piridinilmetil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-{[(1S)-1-[(benziloxi)metil]-2-(isobutilamino)-2-oxoetil]amino}-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(1-metil-1-feniletil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

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N^1-[(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-({(1S)-1-[(isobutilamino)carbonil]butil}amino)propil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(1S)-1-(hidroximetil)2-(isobutilamino)-2-oxoetil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(2-feniletil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-1-(3,5-difluorob-il)-2-hidroxi-3-(isopentilamino)propil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-(ciclohexilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-(butilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-

metoxipropil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

Ácido (1R,3S)-5-{[(2R,3S)-4-(3,5-difluorofenil)-3-({3-[(dipropilamino)carbonil]-5-metilbenzil}amino)-2-hidroxibutil]amino}-1,3-ciclohexanodicarboxilico,

N^1-[(1S,2R)-3-[([1,1'-bifenil]-3-ilmetil)amino]-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metilbenzil)amino]propil}-5-meti-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(2-fenilpropil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-

[(1,3-tiazol-5-ilmetil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(2-tienilmetil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(5-metoxi-1,2,3,4-tetrahidro-1-naftalenil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(2-pirazinilmetil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3,5-dimetoxibenzil)amino]-2-hidroxipropil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[3-(trifluorometil)benzil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(7-

metoxi-1,2,3,4-tetrahidro-1-naftalenil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[3(trifluorometoxi)benzil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-fluorobenzil)amino]-2-hidroxipropil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-isopropoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-[(3-bromobenzil)amino]-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(5-metoxi-1,2,3,4-tetrahidro-1-naftalenil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-(benzilamino)-1-(3,5-difluorobenzil)-

2-hidroxipropil]-5-metoxi-N^3,N^3-dipropilisoftalamida

N^1-[(1S,2R)-3-(benzilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil]-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-(benzilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-cloro-N^3,N^3-dipropilisoftalamida,

N^3-[(1S,2R)-3-(benzilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil]-N^5,N^5-dipropil-3,5-piridinodicarboxamida,

N^1-[(1S,2R)-3-(benzilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-fluoro-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metilbenzil)amino]propil}-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^5,N^5-dipropilpentanodiamida,

N^3-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(1,3-tiazol-5-ilmetil)amino]propil}-N^5,N^5-dipropil-3,5-piridinodicarboxamida,

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N-{(1S,2R)-1-(3,5-difluorobenzil-2-hidroxi-3-[(3-metoxi-benzil)amino]propil}[1,1'-bifenil]-3-carboxamida,

N^1-[(1S,2R)-3-(benzilamino)-1-(3,5-difluorobenzil)-2-hidroxiprop-]-N^3(2-metoxietil)-N^3-propilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(1R)-1,2,3,-tetrahidro-1-naftalenilamino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1R)-3-{[3,5-bis(trifluorometil)benzil]amino}-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-benzil-3-{[2-fluoro-5-(trifluorometil)benzil]amino}-2-hidroxipropil)-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-benzil-3-{[3-fluoro-5-(trifluorometil)benzil]amino}-2-hidroxipropil)-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-benzil-3-{[4-fluoro-3-(trifluorometil)benzil]amino}-2-hidroxipropil)-N^3,N^3-

dipropilisoftalamida,

N^1-((1S,2R)-1-benzil-3-{[4-cloro-3-(trifluorometil)benzil]amino}-2-hidroxipropil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S)-1-benzil-2-hidroxi-3-[(3-nitrobenzil)amino]propil}-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-benzil-3-{[3-(difluorometoxi)benzil]amino}-2-hidroxipropil)-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-benzil-3-[(3-etoxibenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-3-[(3-bromo4-fluorobenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3,5-dimetilbenzil)amino]-2-hidroxipropil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etoxibenzil)amino]-2-hidroxipropil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(2-fenoxietil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(-metil-1,3-tiazol-2-il)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-(benzilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil]-N^3-metil-N^3-propilisoftalamida,

N^3-((1S,2R)-1-(3,5-difluorobenzi--2-hidroxi-3-{[3-(trifluorometil)benzil]amino}propil)-N^5,N^5-dipropil-3,5-piridinodicarboxamida,

N^3-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(1-metil-1-feniletil)amino]propil}-N^5,N^5-dipropil-3,5-piridinodicarboxamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(7-

metoxi-1,2,3,4-tetrahidro-1-naftalenil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

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N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-furilmetil)amino]-2-hidroxipropil}5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(tetrahidro-3-furanilmetil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-propoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(2-piridinilmetil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-(benzilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-hidroxi-N^3,N^3-dipropilisoftalamida,

N^1((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[1-metil-1-(3-metilfenil)etil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(1S)-1,2,3,4-tetrahidro-1-naftalenilamino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(2,S-dimetilbenzil)amino]-2-hidroxipropil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-{[2-cloro-5-(trifluorometil)benzil]amino}-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(2-hidroxi-5-metilbenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

5-cloro-N^1-(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(1-metil-1-feniletil)amino]propil}-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-{[(1R)-1-(3-bromofenil)etil]amino}-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-diifluorobenzil)-2-hidroxi-3-[(3-hidroxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

clorhidrato N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenil)amino]propil}-5-ciano-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-((3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

5-(aminosulfonil)-N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-5-(1-pirrolidinilsulfonil)isoftalamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-

metoxibenzil)amino]propil}-5-[(metilamino)sulfonil]-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-[(dimetilamino)sulfonil]-N^3,N^3-propilisoftalamida,

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3-[(dipropilamino)sulfonil]propanamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-5-oxo-5-(1-piperidinil)pentanamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-3-[(dipropilamino)sulfonil]propanamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-etil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-

metoxibenzil)amino]propil}-5-tert-butil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-ciano-N^3-propilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-1-propil-1H-indol-6-carboxamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3,4-dimetilbenzil)amino]-2-hidroxipropil}-5-metil-N^3,N^3-dipropilisoftalamida,

N-[(1S,2R)-3-[(3-aminobenzil)amino]-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^3-[(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-({1-metil-1-[3-(trifluorometil)fenil]etil}amino)propil]-N^5,N^5-

dipropil-3,5-piridinodicarboxamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(1R,2S)-2-hidroxi-2,3-dihidro-1H-inden-1-il]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(1R)-2,3-dihidro-1H-inden-1-ilamino]-2-hidroxipropil}-5-metil-N^3,N^3-dipropilisoftalamida,

5-cloro-N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(1-metil-1-feniletil)amino]propil}-N^3,N^3-bis(2-metoxietil)isoftalamida,

N^3-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(1-fenilciclopentil)amino]propil}-N^5,N^5-dipropil-3,5-piridinodicarboxamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[3-(dimetilamino)benzil]amino}-2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-dffluorobenzil)-3-{[(4,5-diimetil-2-furil)metil]amino}-2-hidroxipropil)-5-metil-

N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(1-fenilciclopentil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-N^5,N^5-dipropilpentanodiamida,

N^3-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(1-fenilciclopropil)amino]propil}-N^5,N^5-dipropil-3,5-piridinodicarboxamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(2S)-tetrahidro-2-furanilmetil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-isopropenilbenzil)amino]propil}5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(2-propoxietil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-1-(3,5-difluorobenzil)-3-(hexilamino)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N-{(1S,2R)-1-(3,5-difluorobenzil-2-hidroxi-3-[(3-yodobenzil)amino]propil}-4-(3-metil-5-oxo-4,5-dihidro-1H-pirazol-1-il)benzamida,

metil -({[(2R,3S)-(3,5-difluorofenil)-3-({3-[(dipropilamino)carbonil]-5-metilbenzil}amino)-2-hidroxibutil]amino}metil)benzoato,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(2-metoxietil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(5-isoxazolilmetil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

(1R,2R)-N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-N^2,N^2-dipropil-1,2-ciclopropanodicarboxamida,

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N^3-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(2S)tetrahidro-2-furanilmetil]amino}propil)-N^5,N^5-dipropil-3,5-piridinodicarboxamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(2-metoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-isopropilbenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

1-óxido N^3-[(1S,2R)-3-(benzilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil]-N^5,N^5-dipropil-3,5-piridinodicarboxamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-5-etinil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(7-oxabiciclo[2.2.1]hept-2-ilmetil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etinilbenzil)amino]-2-hidroxipropil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(2-metil-1,3-tiazo-5-il)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[(2-etil-1,3-tiazol-5-il)metil]amino}2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-(butilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-etinil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}5-etinil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-1-(3,5-difluorobenzil)-3-(5-hexinilamino)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^3-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-

{[(5-metil-2-furil)metil]amino}propil)-N^5,N^5-dipropil-3,5-piridinodicarboxamida,

N^1-{(1S,2R)-1(3,5-difluorobenzil)-2-hidroxi-3-[(1-metil-1-feniletil)amino]propil}-N^5,N^5-dipropilpentanodiamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[1-(2-furil)-1-metiletil]amino}-2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(3-isobutil-5-isoxazolil)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(2-isobutil-1,3-tiazol-5-il)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-[(dipropilamino)sulfonil]propanamida,

N^1-[(1S,2R)-3-[([1,1'-bifenil]-4-ilmetil)amino]-1-

(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil-2-hidroxi-3-[(1H-imidazol-5-ilmetil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(2-feni-1H-imidazol-5-il)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida

N^1-[(1S,2R)-3-{[(2-butil-4-cloro-1H-imidazol-5-il)metil]amino}-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-({[5-ciano-6-(metilsulfonil)-2-piridinil]metil}amino)-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida

[5-({[(2R,3S)-4-(3,5-difluorofenil)-3-({3-[(dipropilamino)carbonil]-5-metilbenzil}amino)-2-hidroxibutil]amino}metil)-2-furil]metil acetato,

N^1-[(1S,2R)-3-[(1-benzofuran-3-ilmetil)amino)-1-

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(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

metil 4-({[(2R,3S)-(3,5-diuorofenil)-3-({3-[(dipropilamino)carbonil]-5-metilbenzil}amino)-2-hidroxibutil]amino}metil)-1-metil-1H-pirrol-2-carboxilato,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(1-metil-1H-pirrol-2-il)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-{[(5-cloro-2-tienil)metil]amino}-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(1-metil-1H-indol-2-il)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-{[(1-benzil-1H-indol-3-il)metil]amino}-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(1-metil-1H-indol-3-il)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-{[(2-butil-1H-imidazol-5-il)metil]amino}-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

metil 3-({[(2R,3S)-4-(3,5-difluorofenil)-3-({3-[(dipropilamino)carbonil]-5-metilbenzil}amino)-2-hidroxibutil]amino}metil)-1H-indol-6-carboxilato,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-(cianometil)-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-(hidroximetil)-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-etinil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-yodobenzil)amino]propil}-N^3,N^3-dipropil-5-prop-1-inilisoftalamida,

clorhidrato N^3-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-4'-metoxi-N^5,N^5-dipropil[1,1'-bifenil]-3,5-dicarboxamida,

N^3-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^5,N^5-dipropil[1,1'-bifenil]-3,5-dicarboxamida,

N^3-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^5,N^5-dipropil[1,1'-bifenol]-3,5-dicarboxamida,

N^3-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-4'-[(dimetilamino)sulfonil]-N^5,N^5-dipropil-1,1'-bifenil-3,5-dicarboxamida,

N^3-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-yodobenzil)amino]propil}-4'-[(dimetilamino)sulfonil]-N^5,N^5-dipropil-1,1'-bifenil-3,5-dicarboxamida,

N-{(1R,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3-metil-5-pentanoilbenzamida,

N^1-{(1S,2R)-2-hidroxi-1-(-hidroxibenzil)-3-[(3-metoxibenzil)amino]propil}-N^3-(3-hidroxipropil)-5-metil-N^3-propilisoftalamida,

N^1-{(1S,2R)-2-hidroxi-1-(4-hidroxibenzil)-3-[(3-metoxibenzil)aminopropil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibanzil)amino]propil-4-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-Benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3,N^5,N^5-tetrapropilbenceno-1,3,5-tricarboxamida,

N^1-{(1S,2R)-1-(3,5-Difluorobenzil)-3-[(3-

etilbenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropilbenceno-1,3,5-tricarboxamida,

etil 3-[({(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}amino)carbonil]-5-[(dipropilamino)carbonil]benzoato,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-5-{[(trifluorometil)sulfonil]amino}isoftalamida,

5-amino-N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-[(metilsulfonil)amino]-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-5-[(tien-2-ilsulfonil)amino]isoftalamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-5-[(tien-2-

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ilcarbonil)amino]isoftalamida,

N^1-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-(metacriloilamino)-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-Benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-[(fenilsulfonil)amino]-N^3,N^3-dipropilisoftalamida,

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-(metiltio)pentanamida,

3-amino-N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-2-metilbutanamida,

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-2-etilhexanamida,

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-yodobenzil)amino]propil}-3-[(isobutilsulfonil)amino]propanamida,

-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-

yodobenzil)amino]propil}-N^3-(isobutilsulfonil-beta-alaminamida,

5-bromo-N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-N^3,N^3-dipropilisoftalamida,

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N^1-{(1S,2R)-1-(3,5-difluorobenzil-2-hidroxi-3-[(1-fenilciclopropil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-benzil-2-hidroxi-3-{[(2-oxo-2,3-dihidro-1,3-benzoxazol-6-il)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropil-5-{[(trifluorometil)sulfonil]amino}isoftalamida,

Ácido 3-[({(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}amino)carbonil]-5-[(dipropilamino)carbonil]benzoico,

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N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropil-5-prop-1-inilisoftalamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-4-hidroxi-3-(pirrolidin-1-ilcarbonil)benzamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-2-[(metilsulfonil)amino]-1,3-oxazol-4-carboxamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-5-(1,3-tiazol-2-il)isoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-metil-N^3-propilisoftalamida,

N^3-{(1S,2R)-1-(3,5-difluorohenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^5,N^5-dipropilpiridino-3,5-dicarboxamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil-2-hidroxi-3([(3-isobutil-1,2,4-oxadiazol-5-il)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^3-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etinilbenzil)amino]-2-hidroxipropil}-N^5,N^5-dipropilpiridino-3,5-dicarboxamida,

N^3-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-isopropilbenzil)amino]propil}-N^5,N^5-dipropilpiridino-3,5-dicarboxamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[3-(4-hidroxibut-1-inil)benzil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

1-{3-[({(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}amino)carbonil]-5-metilbenzil}-l-prolinamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3-isopropil-5-metilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difuorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3-etil-N^3,5-dimetilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3[(3-etilbenzil)amino]-2-hidroxipropil}-N^3,5-dimetil-N^3-prop-2-inilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3-isobutil-5-metilisoftalamida,

N^1-(sec-butil)-N^3-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-5-metilisoftalamida,

N^1-butil-N^3-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-5-metilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3,N^3-dietil-5-metilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-

etilbenzil)amino]-2-hidroxipropil}-N^3,5-dimetil-N^3-propilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3--3-etilbenzil)amino]-2-hidroxipropil}-N^3-isopropil-N^3,5-dimetilisoftalamida,

N^1-butil-N^3-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^1,5-dimetilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3-isobutil-N^3,5-dimetilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3-etil-5-metil-N^3-propilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3-etil-N^3-isopropil-5-metilisoftalamida,

N^1,N^1-dialil-N^3-{(1S,2R)-1-(3,5-difluorobenzil)-3-

[(3-etilbenzil)amino]-2-hidroxipropil}-5-metilisoftalamida,

3-(azepan-1-ilcarbonil)-N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-5-metilbenzamida

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-[(4-hidroxipiperidin-1-il)carbonil]-5-metilbenzamida)

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-[(3-hidroxipiperidin-1-il)carbonil]-5-metilbenzamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3,N^3-diisopropil-5-metilisoftalamida,

N^1-butil-N^3-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^1-etil-5-metilisoftalamida,

N^1-(ciclopropilmetil)-N^3-{(1S,2R)-1-(3,5-

difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-5-metil-N^1-propilisoftalamida,

N^1-ciclohexil-N^3-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^1,5-dimetilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[1-(3-metilfenil)ciclopropil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

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N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-{[(trifluorometil)sulfonil]amino}benzamida.

[redacted]

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3-metil-5-(2-propilpentanoil)benzamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-(2-etilpentanoil)-5-metilbenzamida,

N-{(1S,2R)-1-benzil-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-metil-5-(2-propilpentanoil)benzamida,

N-{(1S,2R)-1-benzil-3-[(3-etinilbenzil)amino]-2-hidroxipropil}-3-metil-5-(2-propilpentanoil)benzamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-(2-etilbutanoil)-5-metilbenzamida,

N^1-{(1S,2R)-1-benzil-3-[(3-etilbenzil)amino]-2-hidroxipropil}5-(2-propilpentanoil)isoftalamida,

N-{(1S,2R)-1-benzil-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-(2-etilpentanoil)-5-metilbenzamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-5-(2-propilpentanoil)isoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-(2-propilpentanoil)isoftalamida,

N-[(1S,2R)-3-[(3-etilbenzil)amino]-2-hidroxi-1-(4-hidroxibenzil)propil]-3-metil-5-(2-propilpentanoil)benzamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibexizil)amino]propil}-3-metil-5-(2-propilpentanoil)benzamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-metil-5-(2-propilpentanoil)benzamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[3-(3-piridinil)benzil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[3-(4-piridinil)benzil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-5-(1-propinil)isoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropil-5-(1-propinil)isoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropil-5-(2-propinil)isoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-5-(2-propinil)isoftalamida,

N^1-{(1S,2R)-1-(ciclohexilmetil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-(benzilamino)-2-hidrbxi-1-(3-tienilmetil)propil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-

(2-tienilmetil)propil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S)-1-[(1R)-2-(benzilamino)-1-hidroxietil]-3-butinil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-[(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-(3-tienilmetilpropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-(benzilamino)-2-hidroxi-1-(2-tienilmetil)propil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3-furilmetil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-3-(benzilamino)-1-[(benziloxi)benzil]-2-hidroxipropil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-{(1S,2R)-1-(2-furilmetil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3(benzilamino)-1-(ciclohexilmetil)-2-

hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-2-hidroxi-1-(4-hidroxibenzil)-3-[(3-metoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-(benzilamino)-2-hidroxi-1-(1-naftilmetil)propil]-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

2,3,5-trideoxi-3-({3-[(dipropilamino)carbonil]-5-metilbenzil}amino)-5-[(3-metoxibenzil)amino]-1-5-fenil-1-tio-D-eritro-pentitol,

N^1-[(1S,2R)-3-(benzilamino)-1-(3-furilmetil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S)-1-{(1R)-1-hidroxi-2-[(3-metoxibenzil)amino]etbil}-3-metilbutil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-(benzilamino)-1-(4-fluorobenzil)-2-hidroxipropil]-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-{(1S,2R)-1-(4-fluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-(benzilamino)-1-(2-furilmetil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-(1-naftilmetil)propil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S)-1-[(1R)-2-(benzilamino)-1-hidroxietil]-3-metilbutil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-{(1S,2R)-1-[4-benziloxi)benzil]-2-hidroxi-3-[(3-metoxibenzil)aminci]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-(benzilamino)-2-hidroxi-1-(4-hidroxibenzil)propil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S)-1-{(1R)-1-Hidroxi-2-[(3-metoxibenzil)amino]etil}-3-butinil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S)-1-{(1R)-1-Hidroxi-2-[(3-metoxibenzil)amino]etil}-3-butinil)-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

5-(benzilamino)-2,3,5-trideoxi-3-({3-[(dipropilamino)carbonil]-5-metilbenzil}amino)-1-S-fenil-1-tio-D-eritro-pentitol,

N^1-{(1S,2R)-1-[4-benziloxi)benzil]-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-[(1S,2R)-3-(benzilamino)-2-hidroxi-1-(4-hidroxibenzil)propil]-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-[(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-(1-naftilmetil)propil]-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-{(1S)-1-[(1R)-2-(benzilamino)-1-Hidroxietil]-3-metilbutil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(4-fluorobenzil)-2-hidroxi-3-[(3-

metoxibenzil)amino]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-[(1S,2R)-3-(benzilamino)-1-(3-furilmetil)-2-hidroxipropil]-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-((1S)-1-{(1R)-1-Hidroxi-2-[(3-metoxibenzil)amino]etil}-3-metilbutil)-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-[(1S,2R)-3-benzilamino-1-(4-fluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-(benzilamino)-1-(2-furilmetil)-2-hidroxipropil]-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-{(1S,2R)-2-hidroxi-1-(4-hidroxibenzil)-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-[(1S,2R)-3-(benzilamino)-2-hidroxi-1-(1-naftilmetil)propil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(ciclohexilmetil)-2-hidroxi-3-[(3-

metoxibenzil)amino]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-[(1S,2R)-3-(benzilamino)-2-hidroxi-1-(2-tienilmetil)propil]-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-{(1S,2R)-1-(3-furilmetil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-{(1S,2R)-3-(benzilamino)-1-[4-(benziloxi)benzil]-2-hidroxipropil}-5-metil-N^3,N^3-dipropilisoftalamida,

(1S,2R)-1-(2-furilmetil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-[(1S,2R)-3-(benzilamino)-2-hidroxi-1-(3-tienilmetil)propil]-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-[(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-

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(2-tienilmetil)propil]-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-{(1S)-1-[(1R)-2-(benzilamino)-1-Hidroxietil]-3-butinil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-(3-tienilmetil)propil]-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-{(1S,2R)-1-(ciclohexilmetil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-[(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-(3-tienilmetil)propil]-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-[(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-(2-tienilmetil)propil]-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-{(1S,2R)-1-(2-furilmetil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-1,3,5-

bencenotricarboxamida,

N^1-{(1S,2R)-1-(3-furilmetil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-{(1S,2R)-2-hidroxi-1-(4-hidroxibenzil)-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-((1S)-1-{(1R)-1-Hidroxi-2-[(3-metoxibenzil)amino]etil}-3-metilbutil)-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-{(1S,2R)-1-(-fluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-[(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-(1-naftilmetil)propil]-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-{(1S,2R)-1-[4-(benziloxi)benzil]-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-1,3,5-

bencenotricarboxamida,

N^1-{(1S,2R)-2-hidroxi-1-[3-(hidroximetil)benzil]-3-[(3-metoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-3-[(3-etilbenzil)amino]-2-hidroxi-1-[3-(hidroximetil)benzil]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-2-hidroxi-1-[3-(hidroximetil)benzil]-3-[(3-yodobenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-2-hidroxi-1-[4-(hidroximetil)benzil]-3-[(3-yodobenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-3-[(3-etilbenzil)amino]-2-hidroxi-1-[-(hidroximetil)benzil]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-2-hidroxi-1-[4-(hidroximetil)benzil]-3-[(3-metoxibenzil)amino]propil}-5-metil-N^3,N^3-

dipropilisoftalamida,

N^1-{(1S,2R)-1-(3-fluoro-5-hidroxibenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-[(3-etilbenzil)amino]-1-(3-fluoro-5-hidroxibenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3-fluoro-5-hidroxibenzil-2-hidroxi-3-[(3-yodobenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-[3-(benziloxi)-5-fluorobenzil]-2-hidroxi-3-[(3-yodobenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-[3-(benziloxi)-5-fluorobenzil]-2-hidroxi-3-[(3-metoxibenzil)aminopropil}-5-metil-N^3,N^3-dipropilisoftalamida,

N-{(1S,2R)-1-[4-(benziloxi)benzil]-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3-

[(dipropilamino)sulfonil]propanamida,

N^1-{(1S,2R)-1-[4-(benziloxi)benzil]-2-hidroxi-3[(3-metoxibenzil)amino]propil}-N^5,N^5-dipropilpentanodiamida,

3-[(dipropilamino)sulfonil]-N-[(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-(1-naftilmetil)propil]propanamida,

N^1-[(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-(1-naftilmetil)propil]-N^5,N^5-dipropilpentanodiamida,

3-[(dipropilamino)sulfonil]-N-{(1S,2R)-1-(4-fluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}propanamida,

N^1-{(1S,2R)-1-(4-fluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^5,N^5-dipropilpentanodiamida,

3-[(dipropilamino)sulfonil]-N-{(1S,2R)-2-hidroxi-1-(4-hidroxibenzil)-3[(3-metoxibenzil)amino]propil}propanamida,

N^1-{(1S,2R)-2-hidroxi-1-(-hidroxibenzil)-3-[(3-

metoxibenzil)amino]propil}-N^5,N^5-dipropilpentanodiamida,

3-[(dipropilamino)sulfonil]-N-{(1S,2R)-1-(3-furilmetil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}propanamida,

N^1-{(1S,2R)-1-(2-furilmetil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^5,N^5-dipropilpentanodiamida,

3-[(dipropilamino)sulfonil]-N-{(1S,2R)-1-(2-furilmetil)-2-hidroxi-3-[(3-metoxibenzi)amino]propil}propanamida,

N^1-{(1S,2R)-1-(3-furilmetil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^5,N^5-dipropilpentanodiamida,

3-[(dipropilamino)sulfonil]-N-[(1S,2R)-2-hidroxi-3-[(3-meto-xibenzil)amino]-1-(2-tienilmetil)propil]propanamida,

N^1-[(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-(3-tienilimetil)propil]-N^5,N^5-dipropilpentanodiamida,

3-[(dipropilamino)sulfonil]-N-[(1S,2R)-2-hidroxi-3-

[(3-metoxibenzil)amino]-1-(3-tienilmetil)propil]propanamida,

N^1-[(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-(2-tienilmetil)propil]-N^5,N^5-dipropilpentanodiamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3-{[(2R)-1-etilpirrolidinil]carbonil}-5-metilbenzamida,

N-{(1S,2R)-1-(3,5-difluorobenzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3-{[(2S)-1-etilpirrolidinil]carbonil}5-metilbenzamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3-[(1-etil-1H-imidazol-2-il)carbonil]-5-metilbenzamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3-[(1-etil-4-metil-1H-imidazol-5-il)carbonil]-5-metilbenzamida,

N^1-{(1S,2S)-1-(3,5-difluorobenzil)-2-bidroxi-2-{1-[(3-metoxibenzil)amino]ciclopropil}etil)-5-metil-N^3,N^3-

dipropilisoftalamida,

N^1-((1S,2S)-1-(3,5-difluorobenzil)-2-{1-[(3-etilbenzil)amino]ciclopropil}-2-hidroxietil)-5-metil-N^3,N^3-dipropilisoftalamida,

(1R,2R,3R)-N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino-propil}-N^2,N^2-dipropil-1,2,3-ciclopropanotricarboxamida,

(1R,2R,3R)-N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3-fenil-N^2,N^2-dipropil-1,2-ciclopropanodicarboxamida,

(1R,2R,3R)-N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3-metil-N^{2-2}-dipropil-1,2-ciclopropanodicarboxamida,

(1R,2R,3S)-N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3-metil-N^2,N^2-dipropil-1,2-ciclopropanodicarboxamida,

(1R,2R,3S)-N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3-fenil-N^2,N^2-

dipropil-1,2-ciclopropanodicarboxamida,

(1R,2R,3S)-N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^2,N^2-dipropil-1,2,3-ciclopropanotricarboxamida,

(1R,2R,3S)-3-(2-amino-2-oxoetil)-N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)aminopropil}-N^2,N^2-dipropil-1,2-ciclopropanodicarboxamida,

(1R,2R,3R)-3-(2-amino-2-oxoetil)-N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^2,N^2-dipropil-1,2-ciclopropanodicarboxamida,

(1R,2R,3S)-N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-2-[2-(dipropilamino)-2-oxoetil]-3-metilciclopropanocarboxamida,

(1R,2R,3R)-N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-2-[2-(dipropilamino)-2-oxoetil]-3-

metilciclopropanocarboxamida,

(1S,2R,3R)-N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-2-[2-(dipropilamino)-2-oxoetil]-3-fenilciclopropanocarboxamida,

(1S,2R,3S)-N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-2-[2-(dipropilamino)-2-oxoetil]-3-fenilciclopropanocarboxamida,

(1S,2R,3R)-N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3-[2-(dipropilamino)-2-oxoetil]-1,2-ciclopropanodicarboxamida,

(1S,2R,3S)-N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-3-[2-(dipropilamino)-2-oxoetil]-1,2-ciclopropanodicarboxamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-5-{[(trifluorometil)sulfonil]amino}isoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropil-5-{[(trifluorometil)sulfonil]amino}isoftalamida,

N^1-{(1S,2R)-1-benzil-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropil-5-{[(trifluorometil)sulfonil]amino}isoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-5-{metil[(trifluorometil)sulfonil]amino}-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-{metil[(trifluorometil)sulfonil]amino}-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-5-{propil[(trifluorometil)sulfonil]amino}isoftalamida,

N^1-{(1S,2R)-1-(3,5-Difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-[(metilsulfonil)amino]-N^3,N^3-

dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-[(fenilsulfonil)amino]-N^3,N^3-dipropilisoftalamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidrox-1-3-[(3-isopropilbenzil)amino]propil}-3-[(dipropilamino)sulfonil]propanamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etinilbenzil)amino]-2-hidroxipropil}3-[(dipropilamino)sulfonil]propanamida,

N-((1S,2R)-1-(3,5-difluorobenzil)-3-{[3-(dimetilamino)benzil]amino}-2-hidroxipropil)-3-[(dipropilamino)sulfonil]propanamida,

N-((1S,2R)-1-(3,5-difluorobenzil)-3-{[(2-etil-1,3-tiazol-5-il)metil]amino}-2-hidroxiprop-)-3-[(dipropilamino)sulfonil]propanamida,

N-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(2-isobutil-1,3-tiazol-5-il)metil]amino}propil)-3-

[(dipropilamino)sulfonil]propanamida,

N-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(3-isobutil-5-isoxazolil)metil]amino}propil)-3-[(dipropilamino)sulfonil]propanamida,

N-[(1S,2R)-3-[(3-ciclopropilbenzil)amino]-1-(3,5-difluorobenzil)-2-hidroxipropil]-3-[(dipropilamino)sulfonil]propanamida,

N^1-[(1S,2R)-3-[(3-ciclopropilbenzil)amino]-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[3-(1,3-tiazol-2-il)benzil]amino}propil-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[3-(1,3-oxazol-2-il)benzil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-[(3-acetilbenzil)amino]-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-

dipropilisoftalamida,

N^1-[(1S,2R)-3-[(3-acetilbenzil)amino]-1-(3,5-difluorobenzil)-2-hidroxipropil]-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-[(1S,2R)-3-[(3-acetilbenzil)amino]-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-(aminosulfonil)-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-[(3-acetilbenzil)amino]-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-(metilsulfonil)-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-{[3-(dietilamino)benzil]amino}-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[3-(4-morfolinil)benzil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[3-(1-piperazinil)benzil]amino}propil)-5-metil-N^3,N^3-

dipropilisoftalamida,

N^1-[(1S,2R)-3-{[3-(aminosulfonil)benzil]amino}-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-1-(3,5-difluorobenzil)-3-({3-[(dimetilamino)sulfonil]benzil}amino)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[3-(1-piperidinilsulfonil)benzil]amino}propil)-5-metil-N^3,N^3--dipropilisoftalamida

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[3-(metilsulfonil)benzil]amino}propil-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[3-(isopropilsulfonil)benzil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-{[3-(aminocarbonil)benzil]amino}-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-

dipropilisoftalamida,

N^1-[(1S,2R)-1-(3,5-difluorobenzil)-3-({3-[(dimetilamino)carbonil]benzil}amino)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-[(3-cianobenzil)amino]-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

3-({[(2R,3S)-4-(3,5-difluorofenil)-3-({3-[(dipropilamino)carbonil]-5-metilbenzil}amino-2-hidroxibutil]amino}metil)fenilcarbamato,

3-({[(2R,3S)-(3,5-difluorofenil)-3-({3-[(dipropilamino)carbonil]-5-metilbenzil}amino)-2-hidroxibutil]amino}metil)fenil dimetilcarbamato,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[3-(1-propinil)benzil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[3-(3-metil-1-butinil)benzil]amino}propil)-5-metil-N^3,N^3-

dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[3-(2-propinil)benzil]amino)propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil-2-hidroxi-3-{[3-(5-isobutil-1,3,4-oxadiazol-2-il)metil]ainio}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[3-(5-etil-1,3,4-oxadiazol-2-il)metil]amino}-2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[3-(5-etil-1,3,4-tiadiazol-2-il)metil]amino}2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[3-(5-isobutil-1,3,4-tiadiazol-2-il)metil]amino}propil-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[3-(3-etil-1,2,4-tiadiazol-5-il)metil]amino}-2-hidroxipropil)-5-

metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-([3-(3-isobutil-1,2,4-tiadiaz-5-il)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-diuorobenznz-)-2-hidroxi-3-{[3-(3-isobutil-1,2,4-oxadiazol-5-il)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[3-(3-etil-1,2,4-oxadiazol-5-il)metil]amino}-2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[(2-etil-1,3-oxazol-5-il)metil]amino}-2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)1-(3,5-difluorobenzil)-2-hidroxi-3-{[(2-isobutil-1,3-oxazol-5-il)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(5-isobutil-1,3,4-oxadiazol-2-il)metil]amino}propil)-5-

metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(5-isobutil-1,3,4-tiadiazol-2-il)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[(5-etil-1,3,4-tiadiazol-2-il)metil]amino}-2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-([(5-etil-1,3,4-oxadiazol-2-il)metil]amino}-2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[(3-etil-1,2,4-oxadiazol-5-il)metil]amino}-2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil-3-{[(3-etil-1,2,4-tiadiazol-5-il)metil]amino}-2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(3-isobutil-1,2,4-tiadiazol-5-il)metil]amino}propil)-5-

metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil-2-hidroxi-3-{[(3-isobutil-1,2,4-oxadiazol-5-il)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[(2-etil-2H-tetraazol-5-il)metil]amino}-2-hidroxipropil)-5-imetil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(2-isobutil-2H-tetraazol-5-il)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-(-2-etil-4-pirimidinil)metil]amino}-2-hidroxipropil)-5-metil-N^3,N^3-dlpropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-([(2-isopropil-4-pirimidinil)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamidairiide,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[(2-etinil4-pininidinil)metil]amino}-2-hidroxipropil)-5-metil-N^3,N^3-

dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(6-isopropil-4-pirimidinil)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-1-(3,5-ifluorobenzil)-3-({[6-(dimetilamino)-4-pirimidinil]metil}amino)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-1-(3,5-difluorobenzil)-3-({[2-(dimetilamino)-4-pirimidinil]metil}amino)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-1-(3,5-difluorobanzil)-3-({[4-(dietilamino)-2-pirimidinil]metil}amino)-2-hidroxipropil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(4-isopropil-2-pinmidinil)metil]amino}propil)-5-met^{11-} ^{N3},N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[(4-etil-2-pirimidinil)metil]amino}-2-hidroxipropil)-5-metil-N^3,N^3-

dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[(5-etil-3-piridazinil)metil]amino}-2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^3-((1S,2R)-1-(3,5-difluorobenzil)-3-{[3-(dimetilamino)benzil]amino}-2-hidroxipropil)-N^5,N^5-dipropil-3,5-piridinodicarboxamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(5-isopropil-3-piridazinil)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^3-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[3-(1-propil-il)benzil]amino}propil)-N^5,N^5-dipropil-3,5-piridinodicarboxamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(6-isopropil-4-piridazinil)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^3-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etinilbenzil)amino]-2-hidroxipropil}-N^5,N^5-dipropil-3,5-

piridinodicarboxamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[(6-etil-4-piridazinil)metil]amino}-2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^3-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-isopropilbenzil)amino]propil}-N^5,N^5-dipropil-3,5-piridinodicarboxamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-{[(6-etil-2-pirazinil)metil]amino}2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^3-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^5,N^5-dipropil-3,5-piridinodicarboxamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(6-isopropil-2-pirazinil)metil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-(3,4,5-trifluorobenzil)propil]-5-metil-N^3,N^3-

dipropilisoftalamida,

N^1-((1S,2R)-2-hidroxi-1-(3,4,5-trifluorobenzil)-3-{[3-(trifluorometil)benzil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-2-hidroxi-1-(2,3,5,6-tetrafluorobenzil)-3-{[3-(trifluorometil)benzil]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-(2,3,5,6-tetrafluorobenzil)propil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(1R,2S)-2-hidroxi-6-metoxi-2,3-dihidro-1H-inden-1-il]amino}propil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[(1R,2S)-2-hidroxi-6-metoxi-2,3-dihidro-1H-inden-1-il]amino}propil)-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[(1R,2S)-6-etil-2-hidroxi-2,3-dihidro-1H-inden-1-il]amino}-2-hidroxipropil)-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-((1S,2R)-1-(3,5-difluorobenzil)-3-{[(1R,2S)-6-etil-2-hidroxi-2,3-dihidro-1H-inden-1-il]amino}2-hidroxipropil)-N^3,N^3-dipropil1,3,5-bencenotricarboxamida,

N^1-{(1S,2R)-2-hidroxi-1-(1H-indol-5-ilmetil)-3-[(3-metoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-3-[(3-etilbenzil)amino]-2-hidroxi-1-(1H-indol-5-ilmetil)propil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-(3-metilbenzil)propil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-(3-metilbenzil)propil]-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-{(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-

[3-(trifluorometil)benzil]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-2-bidroxi-3-[(3-metoxibenzil)amino]-1-[3-(trifluorometil)benzil]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-[(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-(2-piridinilmetil)propil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-(2-piridinilmetil)propil]-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-{(1S,2R)-1-[3-fluoro-5-(trifluorometil)benzil]-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-[3-fluoro-5-(trifluorometil)benzil]-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-{(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-

[3-(trifluorometoxi)benzil]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-[3-(trifluorometoxi)benzil]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-{(1S,2R)-2-hidroxi1(3-hidroxibenzil)-3-[(3-metoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-2-hidroxi-1-(3-hidroxibenzil)-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-[(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-(4-metilbenzil)propil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-(4-metilbenzil)propil]-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-{(1S,2R)-1-(4-fluoro-3-metilbenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-metil-N^3,N^3-

dipropilisoftalamida,

N^1-{(1S,2R)-1-(4-fluoro-3-metilbenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-{(1S,2R)-1-(4-clorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(4-clorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-{(1S,2R)-2-hidroxi-1-(3-metoxibenzil)-3-[(3-metoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-2-hidroxi-1-(3-metoxibenzil)-3-[(3-metoxibenzil)aminopropil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-{(1S,2R)-2-hidroxi-1-(4-metoxibenzil)-3-[(3-metoxibenzil)amino]propil}-5-metil-N^3,N^3-

dipropilisoftalamida,

N^1-{(1S,2R)-2-hidroxi-1-(4-metoxibenzil)-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-{(1S,2R)-1-(3-cloro-5-fluorobenzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3-cloro-5-fluorobenzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-{(1S,2R)-1-(4-cloro-3-fluorobenzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(4-cloro-3-fluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-{(1S,2R)-1-(3,5-diclorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-metil-N^3,N^3-

dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-diclorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-{(1S,2R)-1-[4-(dimetilamino)benzil]-2-hidroxi-3-[(3-metoxibenzil)amidno]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-[4-(dimetilamino)benzil]-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-{(1S,2R)-1-(3-clorobenzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3-clorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3-fluorobezzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-metil-N^3,N^3-

dipropilisoftalamida,

N^1-{(1S,2R)-1-(3-fluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-{(1S,2R)-2-hidroxi-1-(4-isopropilbenzil)-3-[(3-metoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-2-hidroxi-1-(4-isopropilbenzil)-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-{(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-[(6-metoxi-2-piridinil)metil]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-[(6-metoxi-2-piridinil)metil]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-{(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-[(5-metil-2-piridinil)metil]propil}-5-metil-N^3,N^3-

dipropilisoftalamida,

N^1-{(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-[(5-metil-2-piridinil)metil]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-{(1S,2R)-1-(3-fluoro-4-metilbenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3-fluoro-4-metilbenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-{(1S,2R)-1-(3-fluoro-4-metoxibenzil)-2-hidroxi-3-[(3-meffloxibenzil)amino]propil}-5-metil-N^3,N^3-propilisoftalamida,

N^1-{(1S,2R)-1-(3-fluoro-4-metoxibenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-[(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-(2-metoxi-5-metilbenzil)propil]-5-metil-N^3,N^3-

dipropilisoftalamida,

N^1-[(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-(2-metoxi-5-imetilbenzilpropil]-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-[(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-(1,3-tiazol-2-ilmetil)propil]-5-metil-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-2-hidroxi-3-[(3-metoxibenzil)amino]-1-(1,3-tiazol-2-ilmetilpropil]-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N^1-{(1S,2R)-1-[(5-cloro-2-tienil)metil]-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-metil-N^3,N^3-dipropilisoftaiamidca,

N^1-{(1S,2R)-1-[(5-cloro-2-tienil)metil]-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3,N^3-dipropil-1,3,5-bencenotricarboxamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-4-hidroxi-3-(1-

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pirrolidinilcarbonil)benzamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3[(3-etilbenzil)amino]-2-hidroxipropil}-5-metil-2-[(metilsulfonil)amino]-1,3-tiazol-4-carboxamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-2-[(metilsulfonil)amido]-1,3-oxazol-4-carboxamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-2-[(propilsulfonil)amino]-1,3-tiazol-4-carboxamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-4-hidroxi-3-(1-pirrolidinilcarbonil)benzamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-2-[(propilsulfonil)amino]-1,3-tiazol-4-carboxamida,

N-{(1S,2R)-1-benzil-3-[(3-etilbenzil)amino]2-hidroxipropil}-2-[(metilsulfonil)amino]-1,3-oxazol-4-

carboxamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-{[1-(3-etilfenil)ciclopropil]amiflo}-2-hidroxipropil)-2-[(metilsulfonil)amino]-1,3-oxazol-4-carboxamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-{[1-(3-etilfenil)-1-metiletil]amino}-2-(hidroxipropil)-4-hidroxi-3-(1-pirrolidinilcarbonil)benzamida,

N-((1S,2R)-1-(3,5-difluorobenzil)-3-{[1-(3-etilfenil)-1-metiletil]amino}2-hidroxipropil)-2-[(metilsulfonil)amino]-1,3-oxazol-4-carboxamida,

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-2-[(metilsulfonil)amino]-1,3-oxazol-4-carboxamida,

N-((1S,2R)-1-(3,5-difluorobenzil)-3-{[1-(3-etilfenil)-1-metiletil]amino}-2-hidroxipropil)-5-metil-2-[(metilsulfonil)amino]-1,3-oxazol-4-carboxamida,

N-((1S,2R)-1-(3,5-difluorobenzil)-3-{[1-(3-etilfenil)ciclopropil]amino}-2-hidroxipropil-hidroxi-3-

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(1-pirrolidinilcarbonil)benzamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etinilbenzil)amino]-2-hidroxipropil}-2-[(metilsulfonil)amino]-1,3-oxazol-4-carboxamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-2-[(metilsulfonil)amino]-1,3-oxazol-4-carboxamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etinilbenzil)amino]-2-hidroxipropil}-5-metil-2-[(metilsulfonil)amino]-1,3-oxazol-4-carboxamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-hidroxi-3-(1-piperidinilcarbonil)benzamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-4-[(metilsulfonil)amino]-1,3-oxazol-2-carboxamida,

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-yodobenzil)amino]propil}-2-[(metilsulfonil)amino]-1,3-

oxazol-4-carboxamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}5-metil-4-[(metilsulfonil)amino]-1,3-oxazol-2-carboxamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-4-hidroxi-3-(1-piperidinilcarbonil)benzamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-[(metilsulfonil)amino]-1,3-oxazol-2-carboxamida,

N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-yodobenzil)amino]propil}-5-metil-2-[(metilsulfonil)amino]-1,3-oxazol-4-carboxamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-5-metil-4-[(metilsulfonil)amino]-1,3-oxazol-2-carboxamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-4-hidroxi-3-(4-

niorfolinilcarbonil)benzamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-4-[(etilsulfonil)amino]-1,3-oxazol-2-carboxamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-5-metil-2-[(metilsulfonil)amino]-1,3-oxazol-4-carboxamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-4-[(etilsulfonil)amino]-1,3-oxazol-2-carboxamida,

N-{(1S,2R)-1-(3,5-difluorobenzil-3-[(3-etilbenzil)amino]-2-hidroxipropil}-4-hidroxi-3-(-morfolinilcarbonil)benzamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-4-[(propilsulfonil)amino]-1,3-oxazol-2-carboxamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-5-metil-2-

[(metilsulfonil)amino]-1,3-oxazol-4-carboxamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-[(metilsulfonil)amino]-1,3-tiazol-2-carboxamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-4-hidroxi-3-(1-piperazinilcarbonil)benzamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-4-[(metilsulfonil)amino]-1,3-tiazol-2-carboxamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-5-metil-2-[(metilsulfonil)amino]-1,3-oxazol-4-carboxamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-2-[(metilsulfonil)amino]-1,3-oxazol-5-carboxamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-hidroxi-3-(1-

piperazinilcarbonil)benzamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-4-metil-2-[(metilsulfonil)amino]-1,3-oxazol-5-carboxamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-2-[(metilsulfonil)amino]-1,3-oxazol-4,5-dicarboxamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-2-[(metilsulfonil)amino]-1,3-oxazol-5-carboxamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-bidroxipropil}-4-hidroxi-N^3-metilisoftalamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodob-nzil)amino]propil}-4-metil-2-[(metilsulfonil)amino]-1,3-oxazol-5-carboxamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-2-

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[(etilsulfonil)amino]-1,3-oxazol-4-carboxamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-5-[(metilsulfonil)amino]-1,3-oxazol-2-carboxamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-4-hidroxi-N^3-metilisoftalamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-4-metil-5-[(metilsulfonil)amino]-1,3-oxazol-2-carboxamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}2-[(etilsulfonil)amino]-1,3-oxazol-4-carboxamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-metil-5-[(metilsulfonil)amino]-1,3-oxazol-2-carboxamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3-etil-4-hidroxiisoftalamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-5-[(metilsulfonil)amino]-1,3-oxazol-2-carboxamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-2-[(etilsulfonil)amino]-1,3-oxazol-4-carboxamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-5-[(metilsulfonil)amino]-3-isoxazolcarboxamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3-etil-hidroxiisoftalamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)aminopropil}-5-[(metilsulfonil)amino]-3-isoxazolcarboxamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-2-[propilsulfonil)amino]-1,3-oxazol-4-carboxamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-3-[(metilsulfonil)amino]-S4soxazolcarboxamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-N^3-etil-4-hidroxiisoftalamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-[(metilsulfonil)amino]-5-isoxazolcarboxamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-2-[(propilsulfonil)amino]-1,3-oxazol-4-carboxamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-5-(hidroximetil)-2-[(metilsulfonil)amino]-1,3-oxazol-4-carboxamida,

N^3-(ciclopropilmetil)-N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-3[(3-yodobenzil)amino]propil}-4-hidroxiisoftalamida,

5-ciclopropil-N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-2-[(metilsulfonil)amino]-1,3-oxazol-4-carboxamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-2-[(propilsulfonil)amino]-1,3-oxazol-4-carboxamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobezizil)amino]propil}-5-isopropil-2-[(metilsulfonil)amino]-1,3-oxazol-4-carboxamida,

N^3-(ciclopropilmetil)-N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-4-hidroxiisoftalamida,

N-[(1S,2R)-1-(3,5-ciifluorobenzil)-2-hidroxi-3-(isopentilamino)propil]-2-[(metilsulfonil)amino]-1,3-oxazol-4-carboxamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-5-metil-2-[(propilsulfonil)amino]-1,3-oxazol-4-carboxamida,

N-[(1S,2R)-3-(ciclopropilamino)-1-(3,5-difluorobenzil)-2-hidroxipropil]-2-[(metilsulfonil)amino]-1,3-oxazol-4-carboxamida,

N-[(1S,2R)-3-[(3-etilbenzil)amino]-2-hidroxi-1-(4-hidroxibenzil)propil]-2-[(metilsulfonil)amino]-1,3-oxazol-4-carboxamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-4-hidroxi-N^3-isobutilisoftalamida,

2-{[(ciclopropilmetil)sulfonil]amino}-N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-1,3-oxazol-4-carboxamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-4-hidroxi-N^3-isobutil-N^3-metilisoftalamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-2-[(isobutilsulfonil)amino]-1,3-oxazol-4-carboxamida,

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N^3-(ciclopropilmetil)-N^1-{(1S,2R)-1-(3,5-difluorobenzil-3-[(3-etilbenzil)amino]-2-hidroxipropil}-4-hidroxi-N^3-metilisoftalamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-2-[(isobutilsulfonil)amino]-1,3-oxazol-4-carboxamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-4-hidroxi-N^3-metil-N^3-propilisoftalamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-2-[(isobutilsulfonil)amino]-1,3-oxazol-4-carboxamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-4-hidroxi-N^3-metil-N^3-propilisoftalamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-2-[(fenilsulfonil)amino]-1,3-oxazol-4-carboxamida,

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N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-N^3-etil-4-hidroxi-N^3-propilisoftalamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-2-{[(4-metilfenil)sulfonil]amino}-1,3-oxazol-4-carboxamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3-etil-4-hidroxi-N^3-propilisoftalamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-2-{[(4-metilfenil)sulfonil]amino}-1,3-xazol4-carboxamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-2-[(fenilsulfonil)amino]-1,3-oxazol-4-carboxamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-4-hidroxi-N^3,N^3-dipropilisoftalamida,

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N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-2-[metil(metilsulfonil)amino]-1,3-oxazol-4-carboxamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-metoxib-nzil)amino]propil}-hidroxi-N^3,N^3-dipropilisoftalamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-2-[metil(metilsulfonil)amino]-1,3-oxazol-4-carboxamida,

N^1-{(1S,2R)-1-(3,5-dffluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-4-hidroxi-N^3,N^3-dipropilisoftalamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-yodobenzil)amino]propil}-2-[(metilsulfonil)amino]-1,3-tiazol-carboxamidairiide,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2hidroxipropil}-2-[(metilsulfonil)amino]-1,3-tiazol-4-carboxamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-5-[(metilsulfonil)amino]-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-5-[(etilsulfonil)amino]-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropil-5-[propilsulfonil)amino]isoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-5-[(isopropilsulfonil)amino]-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-5-[(isobutilsulfonil)amino]-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzilamino]-2-hidroxipropil)-N^3,N^3-dipropil-5-[(tien-2-ilsulfonil)amino]isoftalamida,

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N^1-{(1S,2R)-1-(3,5-difluorobenzil-3-[(3-etilbenzil)amino]-2-hidroxipropil}-5-[(2-furilsulfonil)amino]-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropil-5-[(1,3-tiazol-5-ilsulfonil)amino]isoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbazil)amino]-2-hidroxipropil}-5-[(1,3-oxazol-5-ilsulfonil)amino]-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-5-[(1,3-oxo-4-ilsulfonil)amino]-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropil-5-[(1,3-tiazol-4-ilsulfonil)amino]isoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-5-{[(1-metil-1H-imidazol-4-il)sulfonil]amino}-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-5-[-fenilsulfonil)amino]-N^3,N^3-dipropilisoftalamida,

5-{[(5-cianopiridin-2-il)sulfonil]amino}-N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropilisoftalamida,

N^1-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-N^3,N^3-dipropil-5-({[5-(trifluorometil)piridin-2-il]sulfonil}amino)isoftalamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-{[(1-metil-1H-imidazol-4-il)sulfonil]amino}benzamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-(hidroxipropil)-3-(([5-(trifluorometil)piridin-2-il]sulfonil}amino)benzamida,

3-{[(5-cianopiridin-2-il)sulfonil]amino}-N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}benzamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-[(fenilsulfonil)amino]benzamida,

N-((1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil)-3-[(metilsulfonil)amino]benzamida,

N-{(1S,2R)-1-(3,5-fluorobenzil)-3-[(3-etilberzil)amino]-2-hidroxipropil}-3-[(etilsulfonil)amino]benzamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-[(propilsulfonil)amino]benzamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-[(isobutilsulfonil)amino]benzamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-[(isopropilsulfonil)amino]benzamida,

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N-{(1S,2R)-1-(3,5-ifluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-{[(1-etilpropil)sulfonil]amino)benzamida,

3-[(ciclohexilsulfonil)amino]-N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}benzamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-([(1-propilbutil)sulfonil]amino)benzamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-[(tien-2-ilsulfonil)amino]benzamida,

N-{(1S,2R)-1-(3,5-dffluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-[(2-furilsulfonil)amino]benzamida,

N-{(1S,2R)-1-(3,5-ifluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-[(isoxazol-5-ilsulfonil)amino]benzmide,

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N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-[(isoxazol-3--sulfonil)amino]benzamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-[(3-furilsulfonil)amino]benzamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-[(tien-3-ilsulfonil)amino]benzamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-[(1,3-tiazol-il-4-ilsulfonil)amino]benzamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-[(1,3-tiazol-5-ilsulfonil)amino]benzamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-[(1,3-tiazol-2-ilsulfonil)amino]benzamida,

N^1-[(1S,2R)-1-(3,5-difluorobenzil-2-hidroxi-3-(isopentilamino)propil]-N^3,N^3-dipropil-5-{[(trifluorometil)sulfonil]amino}isoftalamida,

N^1-[(1S,2R)-3-amino-1-(3,5-difluorobenzil)-2-hidroxipropil]-N^3,N^3-dipropil-5-{[(trifluorometil)sulfonil]amino}isoftalamida,

N^1-[(1S,2R)-3-amino-1-(3,5-difluorobenzil)-2-hidroxipropil]-5-[(metilsulfonil)amino]-N^3,N^3-dipropilisoftalamida,

N^1-[(1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-(isopentilamino)propil]-5-[(metilsulfonil)amino]-N^3,N^3-dipropilisoftalamida,

N^1-(tert-butil)-N^3-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}isoftalamida,

N^1-(tert-butil)-N^3{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-5-metilisoftalamida,

5-bromo-N^1-(tert-butil)-N^3{(1S,2R)-1-(3,5-

difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}isoftalamida,

3-tert-butoxi-N-{(1S,2R)-1-(3,5-difluoroberzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}benzamida,

3-tert-butoxi-N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-5-metilbenzamida,

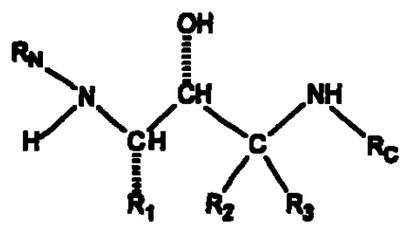
N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-{[(trifluorometil)sulfonil]amino}benzamida,

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-(trifluorometoxi)benzamida,

y

N-{(1S,2R)-1-(3,5-difluorobenzil)-3-[(3-etilbenzil)amino]-2-hidroxipropil}-3-metil-5-(trifluorometoxi)benzamida.

218. Un método para inhibir la actividad beta-secretasa, comprende exponer dicha beta-secretasa a una cantidad inhibitoria efectiva de un compuesto de fórmula (X)



(X)

donde R_1, R_2, R_3, R_N y R_C son como se definió en la reivindicación 1,

y sales farmacéuticamente aceptables de estas.

219. El método de la reivindicación 218, en donde dicha beta-secretasa se expone a dicho compuesto in vitro.

220. El método de la reivindicación 218, en dicha beta-secretasa se expone a dicho compuesto en una célula.

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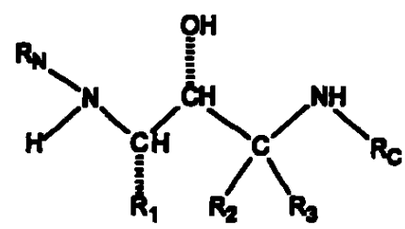
221. El método de la reivindicación 220, en donde dicha célula está en un animal.

222. El método de la reivindicación 221, en donde dicho animal es un humano.

223. Un método para inhibir la división de la proteína precursora amiloide (APP), en una mezcla de reacción, en un sitio entre Met596 y Asp597, numerado para el isotipo de aminoácido APP-695; o un sitio correspondiente de un isótopo o mutante de este, que comprende exponer dicha mezcla de reacción a una cantidad inhibitoria efectiva de un compuesto de fórmula (X).



(X)

Donde R_1, R_2, R_3, R_N Y R_C son como se definió en la reivindicación 1,

o sales farmacéuticamente aceptables de esta.

224. El método de la reivindicación 233, en donde dicho sitio de división está entre 671 y Met672, y Asp653, numerados para el isotipo APP-751; entre Met 671 y Asp 672, numerado para el isotipo APP-770; entre Leu596 y Asp597 de la mutación Suiza APP-695; entre Leu652 y Asp653 de la mutación Suiza APP-751; o entre Leu671 y Asp672 de la mutación Suiza APP-770.

225. El método de la reivindicación 223, en donde dicha mezcla de reacción se expone in vitro.

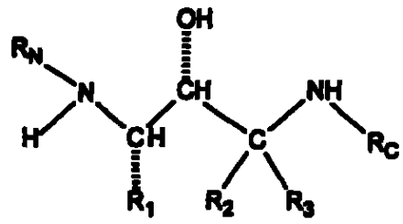
226. El método de la reivindicación 223, en donde dicha mezcla de reacción se expone en una célula.

227. El método de la reivindicación 226, en donde dicha célula es una célula animal.

228. El método de la reivindicación 227, en donde dicha célula es una célula humana.

29. Un método para inhibir la producción péptido beta amiloide (A beta) en una célula, comprende

administrar a dicha célula una cantidad inhibitoria efectiva de un compuesto de fórmula (X).



(X)

Donde R_1, R_2, R_3, R_N Y R_C son como se definió en la reivindicación 1,

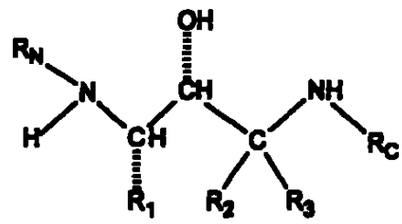
o una sal farmacéuticamente aceptable de esta.

230. El método de la reivindicación 229, en donde dicha administración es a un animal.

231. El método de la reivindicación 230, en donde dicha administración es a un humano.

232. Un método para inhibir la producción de una placa beta-amiloide en un animal, comprende administrar a

dicho animal una cantidad inhibitoria efectiva de un compuesto de fórmula (X)



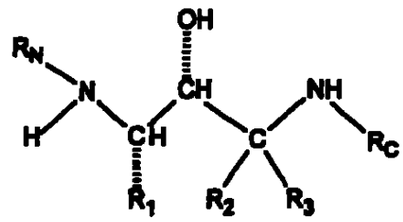
(X)

Donde R_1, R_2, R_3, R_N y R_C son como se definió en la reivindicación 1,

o una sal farmacéuticamente aceptable de esta.

233. El método de la reivindicación 232, en donde dicho animal es un humano.

234. Un método para tratar o prevenir una enfermedad caracterizada por depósitos beta-amiloide en el cerebro que comprende administrar a un paciente una cantidad terapéutica efectiva de un compuesto de fórmula (X)



(X)

Donde R_1, R_2, R_3, R_N y R_C son como se definió en la reivindicación 1,

o una sal farmacéuticamente aceptable de esta.

235. El método de la reivindicación 234, en donde dicha cantidad terapéuticamente efectiva está en el rango de aproximadamente 0,1 a aproximadamente 1000 mg/día.

236. El método de la reivindicación 234, en donde dicha cantidad terapéuticamente efectiva está en el rango de aproximadamente 15 a aproximadamente 1500 mg/día.

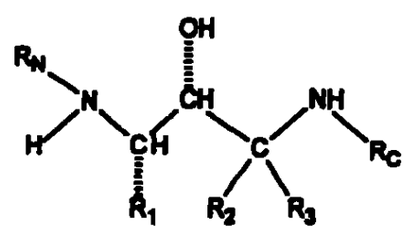
237. El método de la reivindicación 236, en donde dicha cantidad terapéutica está en el rango de aproximadamente 1 a aproximadamente 100 mg/día.

238. El método de la reivindicación 237, en donde dicha cantidad terapéutica está en el rango de aproximadamente 5 a aproximadamente 50 mg/día.

239. El método de la reivindicación 236, en donde dicha enfermedad es la enfermedad de Alzheimer

240. El método de la reivindicación 234, en dicha enfermedad es daño cognitivo medio, síndrome de Down, o hemorragia cerebral hereditaria con amiloidosis del tipo Dutch.

241. Una composición compleja de beta-secretasa con un compuesto de fórmula (X)

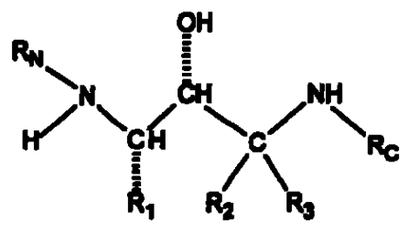


(X)

Donde R_1, R_2, R_3, R_N y R_C son como se definió en la reivindicación 1,

o una sal farmacéuticamente aceptable de esta.

242. Un método para producir un complejo de beta-secretasa comprende: exponer la beta-secretasa, en una mezcla de reacción bajo condiciones adecuada para la producción de dicho complejo, a un compuesto de fórmula (X)



(X)

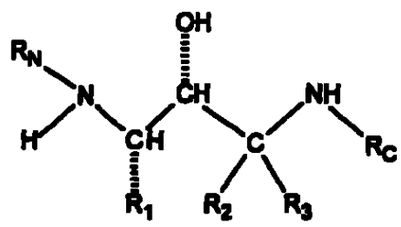
Donde R_1, R_2, R_3, R_N y R_C son como se definió en la reivindicación 1,

o una sal farmacéuticamente aceptable de esta.

243. El método de la reivindicación 242, en donde dicha exposición es in vitro.

244. El método de la reivindicación 242, en donde dicha exposición es in vitro.

245. Un kit que comprende partes componentes capaces de ser ensambladas, en donde al menos un parte componente comprende, incluido un contenedor, un compuesto de fórmula (X)



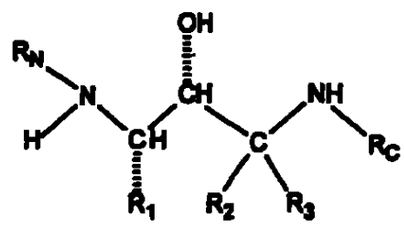
(X)

Donde R_1, R_2, R_3, R_N y R_C son como se definió en la reivindicación 1,

o una sal farmacéuticamente aceptable de esta.

246. El kit de la reivindicación 245 en dicho compuesto es liofilizado y al menos uno componente adicional comprende un diluyente.

247. Un kit comprende una pluralidad de contenedores, cada contenedor comprende uno o más dosis unitarias de un compuesto de fórmula (X)



(X)

Donde R_1, R_2, R_3, R_N y R_C son como se definió en la reivindicación 1,

o una sal farmacéuticamente aceptable de esta.

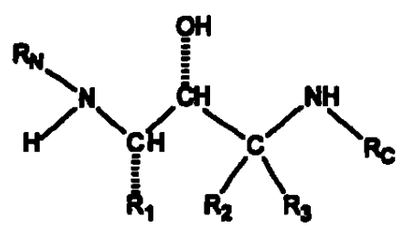
248. El kit de la reivindicación 247, en donde cada contenedor está adaptado para administración oral y comprende una tableta, gel, o cápsula.

249. El kit de la reivindicación 248, en donde cada contenedor está adaptado para administración parenteral y comprende un producto depósito, jeringa ampolla o frasco.

250. El kit de la reivindicación 248, e tópica donde cada contenedor está adaptado para administración tópica y comprende un parche, mediparche, linimento o crema.

251. Un kit que comprende uno o más agentes terapéuticos seleccionados del grupo que consiste de un antioxidante, un antiinflamatorio, un inhibidor de gama secretasa, un agente neurotrófico, un inhibidor de acetilcolinesterasa, una astatina, un péptido A beta, y un anticuerpo anti-A beta; y

un compuesto de la fórmula (X)



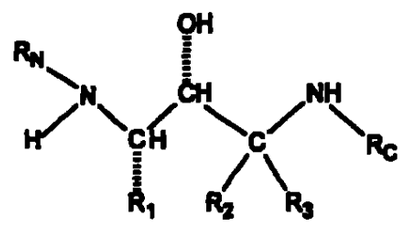
(X)

Donde R_1, R_2, R_3, R_N y R_C son como se definió en la reivindicación 1,

o una sal farmacéuticamente aceptable de esta.

252. Una composición que comprende un diluyente inerte o portador comestible; y

un compuesto de fórmula (X)



(X)

Donde R_1, R_2, R_3, R_N y R_C son como se definió en la reivindicación 1,

o una sal farmacéuticamente aceptable de esta.

253. La composición de la reivindicación 252, en donde dicho portador es un aceite.

254. Un compuesto que comprende un ligador, excipiente, agente desintegrante, lubricante, o gildante; y

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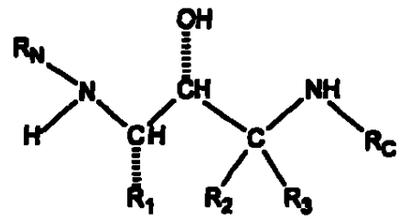
un compuesto de la fórmula (X)

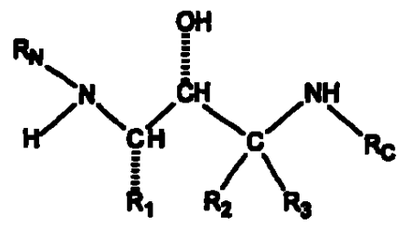


(X)

Donde R_1, R_2, R_3, R_N y R_C son como se definió en la reivindicación 1,

o una sal farmacéuticamente aceptable de esta.

255. Una composición comprende un compuesto de fórmula (X)



(X)

Donde R_1, R_2, R_3, R_N y R_C son como se definió en la reivindicación 1,

o una sal farmacéuticamente aceptable de esta,

y donde el compuesto se deposita en una crema, linimento, o parche.

PATENT COOPERATION TREATY

DOCKETED

DEC 0 9 2002 1687

PCT DUE DATE:

BY: AG CT 1688

From the
INTERNATIONAL PRELIMINARY EXAMININ AUTHORITY

To:
SARUSSI, Stephen J.
McDONNELL BOEHNEN HULBERT
& BERGHOFF
300 South Wacker Drive
Suite 3200
Chicago, IL 60606
ETATS-UNIS D'AMERIQUE

NOTIFICATION OF TRANSMITTAL OF INTERNATIONAL PRELIMINARY EXAMINATION REPORT

(PCT Rule 71.1)

Date of mailing (day/month/year)	04/12/2002
Applicant's or agent's file reference: 13615.25WOU4	IMPORTANT NOTIFICATION

International application No.	International filing date (day/month/year)	Priority date (day/month/year)
PCT/US 01/21012	29/06/2001	30/06/2000

Applicant

ELAN PHARMACEUTICALS, INC. et al.

1. The applicant is hereby notified that this International Preliminary Examining Authority transmits herewith the international preliminary examination report and its annexes, if any, established on the international application.

2. A copy of the report and its annexes, if any, is being transmitted to the International Bureau for communication to all the elected Offices.

3. Where required by any of the elected Offices, the International Bureau will prepare an English translation of the report (but not of any annexes) and will transmit such translation to those Offices.

4. **REMINDER**

The applicant must enter the national phase before each elected Office by performing certain acts (filing translations and paying national fees) within 30 months from the priority date (or later in some Offices)(Article 39(1))(see also the reminder sent by the International Bureau with Form PCT/IB/301).

Where a translation of the international application must be furnished to an elected Office, that translation must contain a translation of any annexes to the international preliminary examination report. It is the applicant's responsibility to prepare and furnish such translation directly to each elected Office concerned.

For further details on the applicable time limits and requirements of the elected Offices, see Volume II of the PCT Applicant's Guide.

The applicant's attention is drawn to Article 33(5), which provides that the criteria of novelty, inventive step and industrial applicability described in Article 33(2) to (4) merely serve the purposes of international preliminary examination and that "any Contracting State may apply additional or different criteria for the purposes of deciding whether, in that State, the claimed inventions is patentable or not" (see also Article 27(5)). Such additional criteria may relate, for example, to exemptions from patentability, requirements for enabling disclosure, clarity and support for the claims.

Name and mailing address of the IPEA/	Authorized officer
European Patent Office D-80298 Munich Tel. (+49-89) 2399-0, Tx: 523656 epmu d Fax: (+49-89) 2399-4465	KENNEDY M B Tel. (+49-89) 2399 2828

PATENT COOPERATION TREATY

PCT

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INTERNATIONAL PRELIMINARY EXAMINATION REPORT

(PCT Article 36 and Rule 70)

(Rationalised Report according to the Notice of the President of the EPO published in the OJ11/2001)

Applicant's or agent's file reference 13615.25WOU4	FOR FURTHER ACTION	See Notification of Transmittal of International Preliminary Examination Report (Form PCT/IPEA/416)
International application No. PCT/US 01/ 21012	International filing date *(day/month/year)* 29/06/2001	Priority date *(day/month/year)* 30/06/2000
International Patent Classification (IPC) or national classification and IPC C07C233/78		
Applicant ELAN PHARMACEUTICALS, INC. et al.		

1. This international preliminary examination report has been prepared by this International Preliminary Examining Authority and is transmitted to the applicant according to Article 36.

2. This REPORT consists of a total of 2 sheets, including this cover sheet.

   ☐ This report is also accompanied by ANNEXES, i.e., sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications made before this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions under the PCT).

   These annexes consists of a total of _____ sheets.

3. This report contains indications relating to the following items:

   I ☒ Basis of the report

   II ☐ Priority

   III ☒ Non-establishment of opinion with regard to novelty, inventive step and industrial applicability

   IV ☐ Lack of unity of invention

   V ☒ Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

   VI ☐ Certain documents cited

   VII ☐ Certain defects in the international application

   VIII ☐ Certain observations on the international application

Date of submission of the demand 24/01/2002	Date of completion of this report 29/11/2002
Name and mailing address of the IPEA/ European Patent Office D-80298 Munich Tel. (+49-89) 2399-0, Tx: 523656 epmu d Fax: (+49-89) 2399-4465	Authorized officer DE BUNDEL E R J Tel. (+49-89) 2399 2828

I. Basis of the report

The basis of this international preliminary examination is the application as originally filed.

III. Non-establishment of opinion with regard to novelty, inventive step and industrial applicability

The question of whether the claimed invention appears to be novel, to involve an inventive step, or to be industrially applicable has not been the subject of the international preliminary examination in respect of the claims which have not been searched (Article 17(2)(a) or (3) and Rule 66.1(e) PCT); see also international search report).

V. Reasoned statement under Rule 66.2(a)(ii) with regard to novelty, inventive step or industrial applicability

To the extent that the international preliminary examination has been carried out (see item III above), the following is pointed out:

In light of the documents cited in the international search report, it is considered that the invention as defined in at least some of the claims, which have been the subject of an international search report, does not appear to meet the criteria mentioned in Article 33(1) PCT, i.e. does not appear to be novel and/or to involve an inventive step (see international search report, in particular the documents cited X and/or Y and corresponding claim references).

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Industria y Comercio

SUPERINTENDENCIA

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NIT : 800176089-2

SUPERINDUSTRIA Y COMERCIO

RECIBO OFICIAL DE CAJA : 02 - 68,675
FECHA : OCTUBRE 11 DE 2002

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Tramite : 311 PCT-PATENT 379 FASE NACI 411 PRESENTAC
Procedencia: 2520 DIVISION DE NUEVAS CREACIONES

C O N S I G N A C I O N

DEPOSITANTE	TIPO PAGO	BANCO	CUENTA	No. PAGO	FECHA PAG	Vr. PAGO
CAVELIER ABOGADOS	CONSIGNACION	BANCO POPULAR	050-00110-6	7030559	11/10/2002	8,620,000.00

C O N C E P T O

CANT.	RENTISTICO	CONCEPTO	TOTAL CONCEPTO
[redacted]005-01-01	SOLICITUDES	7 TRAMITES DE SOL. DE DISENOS INDUS	378,000.00
		TOTAL : $	378,000.00

SON: TRESCIENTOS SETENTA Y OCHO MIL PESOS

RESPONSABLE : _____

RECIBO DE CAJA APLICADO AL EXPEDIENTE No._____

Carrera 13 No. 27-00 Pisos 5, 7 y 10
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Bogotá, D.C., Colombia

Cclo 13562-841-001-5

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REQUISITOS NECESARIOS PARA PRESENTACION Y AGILIZACION DEL TRAMITE

	USUARIO	RADICADOR
PATENTE DE INVENCIÓN ✓ **MODELO DE UTILIDAD**	( )	( )
- Indicación de que se solicita la concesión de una patente.	( )	( )
- Datos de identificación del solicitante o de la persona que se presenta la solicitud.	( )	( )
- Descripción de la invención.	( )	( )
- Dibujos de ser estos pertinentes.	( )	( )
- Comprobante de Pago de las tasas establecidas.		
COMPLETA ( ) **INCOMPLETA**	( )	( )
DISEÑO INDUSTRIAL ( )		
- Indicación de que se solicita el registro de Diseño Industrial	( )	( )
- Datos de identificación del solicitante o de la persona que presenta	( )	( )
- la solicitud.		
- Representación gráfica y fotográfica del Diseño Industrial o muestra del Material que incorpora el diseño.	( )	( )
Comprobante de pago de las tasas establecidas	( )	( )
COMPLETA ( ) **INCOMPLETA**	( )	( )
ESQUEMA DE TRAZADO ( )		
- Indicación de que solicita el registro de un Esquema de trazado.	( )	( )
- Datos de identificación del solicitante o de la persona que presenta La solicitud.	( )	( )
Representación gráfica del esquema de trazado	( )	( )
Comprobante de pago de las tasas establecidas.	( )	( )
COMPLETA ( ) **INCOMPLETA**	( )	( )

Firma Responsable:

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(19) World Intellectual Property Organization
International Bureau

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60/252,736	22 November 2000 (22.11.2000)	US
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60/268,497	13 February 2001 (13.02.2001)	US
60/279,779	29 March 2001 (29.03.2001)	US
60/295,589	4 June 2001 (04.06.2001)	US

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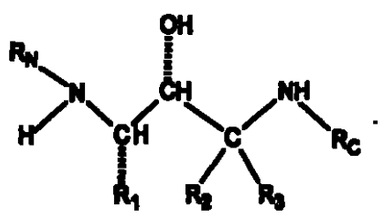
(81) Designated States *(national)*: AE, AG, AL, AM, AT, AT (utility model), AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, CZ (utility model), DE, DE (utility model), DK, DK (utility model), DM, DZ, EC, EE, EE (utility model), ES, FI, FI (utility model), GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK (utility model), SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW.

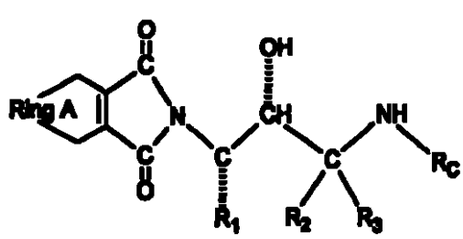
[Continued on next page]

(54) Title: COMPOUNDS TO TREAT ALZHEIMER'S DISEASE

(57) Abstract: The present invention is substituted amines of formula (X) and of formula (X') useful in treating Alzheimer's disease and other similar diseases.



(X)



(X')

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Industria y Comercio
SUPERINTENDENCIA

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2020
Bogotá, D.C.,

Oficio Nº 06404

Doctor:
EMILIO FERRERO
Apoderado
ELAN PHARMACEUTICALS, INC. Y PHARMACIA & UPJOHN COMPANY

ASUNTO:	Radicación Nº	02-117312
	Solicitud internacional	PCT/US01/21012
	Fecha inicio fase nacional	30/01/2003
	Trámite	011
	Evento	379
	Actuación	330
	Folios	001

Como resultado del examen de forma, realizado con fundamento el el artículo 38 de la Decisión 486 de la Comisión de la Comunidad Andina, artículo 27 del Tratado de Cooperación en Materia de Patentes (PCT), regla 51 bis del Reglamento y Circular Única de la Superintendencia de Industria y Comercio, se le comunica que:

- La solicitud no contiene la traducción de los anexos del reporte de examen preliminar internacional (Art. 36.2.b) del tratado PCT).
- La solicitud no contiene la copia del documento en que consta la cesión del derecho a la patente del inventor al solicitante o a su causante. (Art. 26-k) Decisión 486).
- La solicitud no contiene el poder debidamente otorgado, con el lleno de los requisitos exigidos por los artículos 63 y subsiguientes del Código de Procedimiento Civil. Adicionalmente, deberá presentar el documento que acredita la existencia y representación legal de la sociedad solicitante, cuando ésta fuere persona jurídica.

En cumplimiento de lo dispuesto por el artículo 39 de la Decisión 486, se le requiere para que dentro del término de dos meses siguientes a la fecha de notificación del presente oficio, complete los requisitos anteriormente enunciados. En caso de no dar cumplimiento al presente requerimiento, la solicitud se considerará abandonada y perderá su prelación.

Notifíquese el presente oficio conforme a lo dispuesto en el numeral 5.2, literal e), del capítulo quinto del título primero de la Circular Única Nº 10 de 2001.

ALIX CARMENZA CESPEDES DE VERGEL
Jefe de la División de Nuevas Creaciones.

El anterior requerimiento fue notificado por fijación en lista de fecha 19 NOV. 2003.

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