Industria y Comercio

SUPERINTENDENCIA

05-29755

Delegatura de propiedad Industrial

División de Nuevas Creaciones

PCT QF

SOLICITUD

PATENTE DE INVENCIÓN

21. **EXPEDIENTE No.** 05-29755

54. **TÍTULO** Derivados de la prodroga 1,3-Diamino-2-Hidroxipropano

51. **CLASIFICACIÓN INTERNACIONAL** A61K 31/131//A61P 25/28

71. **SOLICITANTE** Elan Pharmaceuticals, INC. y Pharmacia & UP John LLC.

DOMICILIO San Francisco, California, Estados Unidos de América, y Kalamazoo, Michigan, Estados Unidos de América. Respectivamente.

74. **APODERADO** Emilio Ferrero
C.C. 438.019 de Usaquén

22. **BOGOTÁ, D. C.,**

(FORMA P-10)

Industria y Comercio
SUPERINTENDENCIA

PETITORIO

SUPERINTENDENCIA Y COMERCIO ...ión
Radicación : 03823755 03030000 Folios: 1277
Fecha (AMD): 2005-04-05 17:34:13
Tramite : 011 PCT-PATENT 379 FASE NACI 411 PRESENTA...
Dependencia: 2020 DIVISION DE NUEVAS CREACIONES

FORMULARIO UNICO DE SOLICITUD DE PATENTE PCT ENTRADA EN FASE NACIONAL 06-04-2005

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 LLC**
sociedades constituidas y existente bajo las leyes del estado de Delaware, Estados Unidos de América.
Dirección: 800 Gateway Blvd.., South San Francisco, California 94080, Estados Unidos de América,
y .
301 Henrietta Street, Kalamazoo, Michigan 49007, Estados Unidos de América,
Respectivamente.
Domicilio: San Francisco, California, Estados Unidos de América, y Kalamazoo, Michigan, Estados Unidos de América, respectivamente.

IDENTIFICACIÓN
C.C. ☐ NIT ☐
C.E. ☐ Otro ☐
Cual ____ Número____

3 REPRESENTANTE O APODERADO

Nombre: EMILIO FERRERO
Dirección: Carrera 4ª. No. 72-35
Teléfono: 347 36 11
Fax: 211 86 50
E-mail: clientes@camvp.com.
Domicilio: Bogotá, Colombia.

IDENTIFICACIÓN
C.C. ☒ NIT ☐
C.E. ☐ Otro ☐
Cual ____ No. 438.019 T.P 31.929

4 INVENTOR (ES) (72)

1. **Yvette M. FOBIAN**
580 Eagles Nest Cout,
Wildwood, Misouri 63011,
Estados Unidos de América

2. **John N. FRESKOS**
7572 York Drive,
Clayton, Missouri 63105,
Estados Unidos de América

3. **Barbara JAGODZINSKA**
1485 Kentfield Avenue,
Redwood City, California 94061,
Estados Unidos de América

5 PCT (86)

Solicitud Internacional No. PCT/US2003/028116 Fecha: 8 de Septiembre de 2003
Publicación Internacional No. WO 2004/022523 A2 Fecha: 18 de Marzo de 2004

6 Título (54)

DERIVADOS DE LA PRODROGA 1.3-DIAMINO-2-HIDROXIPROPANO

7 Clasificación Internacional (51) C07C 215/00 A61K31/131 // A61P25/28

8 Prioridad SI☒ NO☐

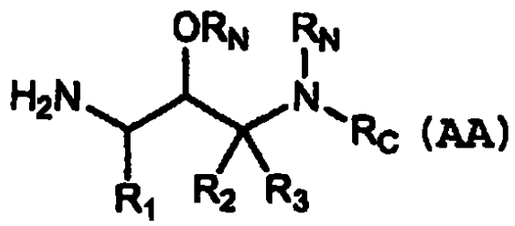
(33) País de Origen	(32) Fecha	(31) Número de Solicitud
US	6 de Septiembre de 2002	60/408.783

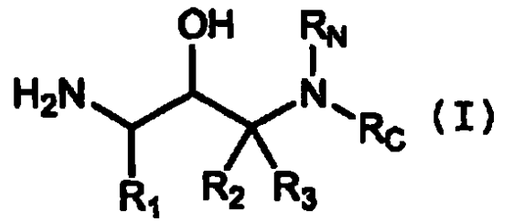
9 **Comprobante de pago No.:** 05 – 19.197 **Fecha:** 14 de Marzo de 2005

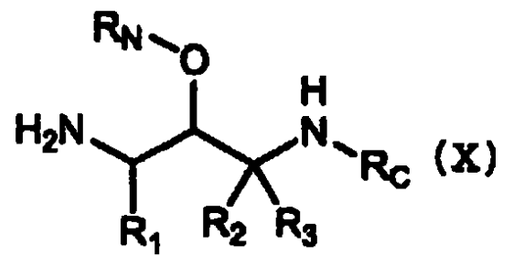
10 **Anexos:**

- ☒ Comprobante de pago de la tasa de presentación de la solicitud por $ 443.000.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 : Forma PCT/IB/306 :

11 **FIGURA CARACTERÍSTICA**







12 Solicito la concesión de la patente,

NOMBRE: EMILIO FERRERO

FIRMA :

C.C. 438.019 de Usaquén T.P. 31.929

PRP

CAVELIER
ABOGADOS
EDIFICIO [illegible]
CARRERA 4ª Nº 72-35
BOGOTÁ, D. - COLOMBIA

For patents, utility models, industrial designs, trademarks, commercial names, trade emblems, slogans.

Para patentes, modelos de utilidad, diseños industriales, marcas de fábrica, nombres comerciales, enseñas, lemas comerciales.

REPRESENTACION Y PODER

REPRESENTATION AND POWER OF ATTORNEY

13170
6654

I (we), the undersigned/Yo (nosotros), abajo firmado (a)

Elan Pharmaceuticals, Inc.

of/domiciliado (a) en 800 Gateway Blvd.,
South San Francisco, California 94080- U.S.A, hereby ratify the patent application No. 02.117.312 filed by Emilio Ferrero on December 30, 2002, and,

por el presente nombramos a GERMAN CAVELIER, tps. 832; GONZALO PERDOMO, tps. 831; EMILIO FERRERO, tps. 31929; y LUZ CLEMENCIA DE PAEZ, tps. 23855; domiciliados en la Carrera 4ª Nº 72-35, Bogotá, Colombia, en obedecimiento a lo dispuesto en el parágrafo 1º del Artículo 543 del Código de Comercio, el primero como principal y los demás como suplentes, en su orden, como mis (nuestros) representantes en todos los asuntos de propiedad industrial con facultad para recibir notificaciones y nombrar apoderados judiciales o extrajudiciales. El primer representante suplente reemplazará al principal en caso de ausencia o impedimento temporal o definitivo de aquél. La misma regla se aplicará cuando el segundo representante suplente haya de reemplazar al primero, o el tercero al segundo. En tales casos, el representante principal, o el primero, o segundo suplente, en su caso, o ambos, declararán su intención de no ejercer la representación mediante declaración autenticada por Notario Público en Colombia, o por Notario u otro Funcionario Público, o Cónsul de Colombia, en el extranjero; y si se tratare de impedimento, con el certificado respectivo. Si alguno de los nombrados faltare definitivamente, el siguiente tomará su lugar. Cuando cesare la ausencia o impedimento del representante principal, o de su primero o segundo suplentes, en su caso, podrán ejercer la representación, en su orden, uno u otros según el caso, asumiéndola por el solo hecho de ejercerla, cesando en ese momento el ejercicio de la representación por el primero, segundo o tercer suplente en su caso.

Además, confiero (conferimos) poder a los abogados arriba nombrados, para obtener la protección de mi (nuestra) propiedad industrial y contra la competencia desleal, a cuyo efecto autorizo (amos) a los dichos apoderados para que me (nos) representen ante cualesquiera entidades o personas, ejerzan o no jurisdicción, especialmente ante las del orden administrativo, contencioso-administrativo y judicial, así como los tribunales de arbitramento, en todo lo concerniente a los siguientes asuntos: a) Obtención de patentes de invención, modelos de utilidad y diseños industriales; el registro de marcas de fábrica, colectivas, defensivas, de servicio, lemas comerciales, nombres comerciales, enseñas, variedades vegetales y denominaciones de origen; su renovación, traspaso, cambio de nombre o domicilio, cancelación voluntaria; y el registro de licencias de uso de esos derechos; b) Las acciones de competencia desleal, protección del consumidor, oposiciones u observaciones, cancelación administrativa y judicial, nulidad, medidas cautelares, concesión de licencias, la tutela, la protección de secretos industriales, y en general los juicios civiles, penales, administrativos o contencioso-administrativos relacionados con estos derechos; acciones y procesos que promovamos o se nos promuevan. Y para que en todos los asuntos arriba determinados, puedan sustituir este mandato, transigir, conciliar, admitir los hechos del proceso, desistir, cancelar, recibir, renunciar, y ratificar los actos de agentes oficiosos.

in due compliance with the terms of paragraph 1st. of article 543 of the Commercial Code, do hereby appoint GERMAN CAVELIER, tps. 832; GONZALO PERDOMO, tps. 831; EMILIO FERRERO, tps. 31929; and LUZ CLEMENCIA DE PAEZ, tps. 23855; domiciled at Carrera 4ª Nº 72-35, Bogotá, Colombia, the first as principal and the others as alternates, in the order of their appointment, as our representatives for all industrial property matters with faculty to receive notifications and to appoint attorneys in and out of Court. The first alternate shall replace the principal in case of his absence or of temporal or definitive impediment. The same rule will apply when the second alternate representative is to replace the first one, or when the third is to replace the first or second alternates in their turn, or both of them, second. In such cases, the principal representative, or the first or second alternates in their turn, or both of them, shall state their intention not to act as representatives through a declaration given before a Notary Public in Colombia, or before a Notary or another Public Official or a Colombian Consul abroad; and in case of impediment, the appropriate certificate shall suffice. If any of the appointees were to be definitely absent, the following alternate shall take his place. When the absence or impediment of the principal representative, or of the first or second alternate in their turn ceases, the representation can be taken again in their sequence, by the principal, the first or second alternate depending on case, and the mere fact or its exercise will suffice. The exercise of the representation by the first, second or third alternates in their turn shall end at such time.

In addition, we grant a Power of Attorney in favor of the above-named attorneys-at-law so that they may obtain the protection of my (our) industrial property and against the unfair competition, for which purpose I (we) authorize the said attorneys to represent me (us) before any authorities or persons, with or without jurisdiction, specially those administrative, administrative contentious and judicial, as well as before Arbitration Court, in all matters concerning the following: a) Obtainment of patents, utility models and industrial designs; the registration of trademarks, collective, defensive and service marks, slogans, commercial names, trade emblems, vegetal varieties and denominations of origin; its renewal, assignment, change of name or domicile, voluntary cancellation; and the registration of licenses of use of the above rights; b) Actions of unfair competition, protection to the consumer, oppositions or observations, administrative or Court cancellation, nullity, infringement, granting of licenses, the action for writ mandamus, the protection of trade secrets, and in general the civil, penal, and administrative suits relating to those rights; actions and suits instituted by me (us) or against me (us). And that in all the above matters they may substitute this Power of Attorney, compromise, conciliate, admit the facts of the case, desist, cancel, receive, resign, and ratify acts done by representatives without a power of attorney.

Given and signed this/Dado y firmado hoy 11-3-03

in/en South San Francisco, CA 94080, USA

Signature

Jean M. Duvall, Executive Vice President and General Counsel

COMO NOTARIO SEXTO DEL CIRCULO DE BOGOTA, HAGO CONSTAR QUE LA FOTOCOPIA CONCUERDA CON EL ORIGINAL QUE ME FUE PRESENTADO A LA VISTA
05 ABR 2005
NOTARIO

© CAVELIER ABOGADOS

Colombia

4

Delaware

PAGE 1

The First State

I, HARRIET SMITH WINDSOR, SECRETARY OF STATE OF THE STATE OF DELAWARE, DO HEREBY CERTIFY "ELAN PHARMACEUTICALS, INC." IS DULY INCORPORATED UNDER THE LAWS OF THE STATE OF DELAWARE AND IS IN GOOD STANDING AND HAS A LEGAL CORPORATE EXISTENCE SO FAR AS THE RECORDS OF THIS OFFICE SHOW, AS OF THE TWENTY-FIRST DAY OF JANUARY, A.D. 2003.

CORO NOTARIO SEXTO DEL CIRCULO DE BOGOTA, HAGO CONSTAR QUE LA FOTOCOPIA CORRESPONDE CON EL ORIGINAL QUE HE TENIDO A LA VISTA

05 ABR 2005

JUAN MANUEL BUTERO MEDINA
NOTARIO

Harriet Smith Windsor

Harriet Smith Windsor, Secretary of State

2104559 8300

030040793

AUTHENTICATION: 2215096

DATE: 01-21-03

5

ALIF RNIA ALL-PURPOSE ACKN WLEDGMENT

State of California

County of San Mateo } ss.

On 11/3/03 (Date), before me, Denise Malatesta (Name and Title of Officer (e.g., "Jane Doe, Notary Public")),

personally appeared Jean M. Duvall (Name(s) of Signer(s)),

X personally known to me

X proved to me on the basis of satisfactory evidence

to be the person(s) whose name(s) is/~~are~~ subscribed to the within instrument and acknowledged to me that ~~he/she/they~~ executed the same in ~~his/her/their~~ authorized capacity(~~ies~~), and that by ~~his/her/their~~ signature(s) on the instrument the person(s), or the entity upon behalf of which the person(s) acted, executed the instrument.

WITNESS my hand and official seal.

DENISE MALATESTA
Commission # 1297750
Notary Public - California
San Francisco County
My Comm. Expires Apr 14, 2005

Place Notary Seal Above

Denise Malatesta
Signature of Notary Public

OPTIONAL

Though the information below is not required by law, it may prove valuable to persons relying on the document and could prevent fraudulent removal and reattachment of this form to another document.

Description of Attached Document

Title or Type of Document: Representation/Power of Attorney

Document Date: 11/3/03 Number of Pages: -1-

Signer(s) Other Than Named Above: —

Capacity(ies) Claimed by Signer

Signer's Name: _____

☐ Individual

☐ Corporate Officer — Title(s): _____

☐ Partner — ☐ Limited ☐ General

☐ Attorney In Fact

☐ Trustee

☐ Guardian or Conservator

☐ Other: _____

Signer Is Representing: _____

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CONSULADO DE COLOMBIA
CONSUL NOTARIO SEXTO DEL CIRCULO
DE BOGOTA, HAGO CONSTAR QUE LA
FOTOCOPIA CONCUERDA CON EL ORIGINAL
QUE HE TENIDO A LA VISTA
05 ABR 2005
JUAN MANUEL ROTERO MEDINA
NOTARIO

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SECRETARY OF STATE

APOSTILLE

(Convention de La Haye du 5 octobre 1961)

1. *Country: United States of America*
   This public document
2. *has been signed by DENISE MALATESTA*
3. *acting in the capacity of Notary Public, State of California*
4. *bears the seal/stamp of DENISE MALATESTA, Notary Public, State of California*

CERTIFIED

5. *At Sacramento, California*
6. *the 19th day of November 2003*
7. *by Deputy Secretary of State, State of California*
8. *No. 174254*
9. *Seal/Stamp:*

10. *Signature*

COMO NOTARIO SEXTO DEL CIRCULO DE BOGOTA, HAGO CONSTAR QUE LA FOTOCOPIA COINCIDE CON EL ORIGINAL QUE ME TENIDO A LA VISTA
05 ABR 2005
JUAN MANUEL BOTERO MEDINA
NOTARIO

KEVIN SHELLEY
Secretary of State

BY _____

OFFICIAL SEAL SECRETARY OF STATE

NP-24 A (REV. 1-03)

TRADUCCIÓN OFICIAL 180/2003 DE UN DOCUMENTO ESCRITO EN INGLÉS Y EN ESPAÑOL, REALIZADA POR EDUARDO CALADO NOGUERA, TRADUCTOR OFICIAL APROBADO POR RESOLUCIÓN 541 DEL 27 DE FEBRERO DE 1976 POR EL MINISTERIO DE JUSTICIA Y DEBIDAMENTE REGISTRADO ANTE EL MINISTERIO DE RELACIONES EXTERIORES DE LA REPÚBLICA DE COLOMBIA Y MEDIANTE EL CUAL **ELAN PHARMACEUTICALS, INC.**, EMPRESA DOMICILIADA EN 800 GATEWAY BLVD., SOUTH SAN FRANCISCO, CALIFORNIA 94080 ESTADOS UNIDOS DE AMÉRICA POR EL PRESENTE RATIFICA LA SOLICITUD DE PATENTE 02.117.312 PRESENTADA POR EMILIO FERRERO EL 30 DE DICIEMBRE DE 2002 Y OTORGA PODER A GERMÁN CAVELIER, TPA 832 GONZALO PERDOMO, TPA 831, EMILIO FERRERO, TPA 31929 Y LUZ CLEMENCIA DE PAEZ TPA 23555. EXPEDIDO Y FIRMADO EN SOUTH SAN FRANCISCO, CA 94080, ESTADOS UNIDOS DE AMÉRICA. FIRMADO: JEAN M. DUVALL, VICEPRESIDENTE EJECUTIVO Y JEFE DE ASUNTOS JURÍDICOS.

DELAWARE, PRIMER ESTADO

YO, HARRIET SMITH WINDSOR, SECRETARIO DE ESTADO DEL PRIMER ESTADO DE DELAWARE, POR EL PRESENTE CERTIFICO QUE "ELAN PHARMACEUTICALS, INC" ESTÁ DEBIDAMENTE CONSTITUIDA DE ACUERDO CON LAS LEYES DEL ESTADO DE DELAWARE Y ES EMPRESA DE BUENA REPUTACIÓN Y ACTUALMENTE EXISTE LEGALMENTE DE ACUERDO CON LO INDICADO POR LOS REGISTROS EXISTENTES EN ESTA OFICINA, A VEINTIUNO DE ENERO DEL AÑO 2003 DE LA ERA CRISTIANA.

DE BOGOTA, PARA CONSTAR QUE LA
05 ABR 2005

FIRMADO: HARRIET SMITH WINDSOR, SECRETARIO DE ESTADO

2104559 8300 - 030040793. AUTENTICACIÓN 2215096. FECHA 21 DE ENERO DE 2003.

RECONOCIMIENTO MULTIPROPÓSITO DE CALIFORNIA

ESTADO DE CALIFORNIA, CONDADO DE LOS ANGELES

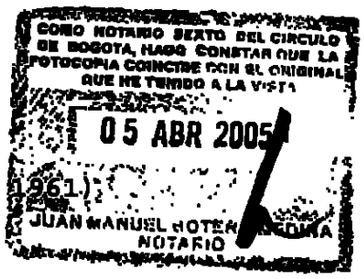
El 3 de noviembre del 2003, ante mí, DENISE MALATESTA, compareció personalmente JEAN M DUVALL, conocido personalmente por mi como la persona cuyo nombre está escrito en el documento adjunto y reconoció ante mí que ella firmó el mismo en su calidad autorizada y que mediante su firma en el documento la persona o entidad a cuyo nombre actuaba, firmó el documento.

Atestiguo mediante mi firma y sello oficial

DENISE MALATESTA

Sello: DENISE MALATESTA, Cargo 1297750 notario público, California. Condado de San Francisco. Mi cargo vence el 16 de abril de 2005.

Descripción del documento adjunto: poder y representación. Fecha del documento 3 de noviembre de 2003. Número de páginas 1.



APOSTILLA:

(Convención de La Haya del 5 de octubre de 1961)

1. Estados Unidos de América

   Este documento público

2. ha sido firmado por DENISE MALATESTA
3. quien actúa en su calidad de NOTARIO PÚBLICO, ESTADO DE CALIFORNIA
4. lleva el sello de DENISE MALATESTA, notario público, estado de California

   CERTIFICADO

5. en Sacramento, California
6. el 19 de noviembre de 2003
7. por el Secretario suplente del estado de California

8. Número 174254

9. Sello:

GRAN SELLO DEL ESTADO DE CALIFORNIA

10. Firma: KEVIN SHELLEY, secretario de estado.

Por: firma ilegible.

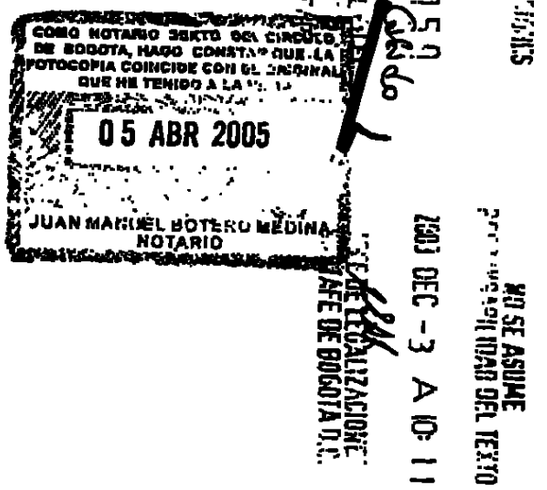
COLO-13562-841-001-5

WPCL002G ID 5096

Bogotá, 2 de diciembre de 2003.

Eduardo Calvo N.

Eduardo Caicedo Noguera
TRADUCTOR OFICIAL
[illegible]
Res. 541 Febrero de 199[illegible]



COMO NOTARIO SEXTO DEL CIRCULO DE SANTAFE
DE BOGOTA, HAGO CONSTAR QUE LA(S)

Eduardo Alberto Vogues

COINCIDE(N) CON LA FIRMA REGISTRADA POR
EL (ELLOS) EN ESTA NOTARIA
SANTAFE DE BOGOTA. 03 DIC. 2003

COPY JUDICIAL

COMO NOTARIO SEXTO DEL CIRCULO
DE BOGOTA, HAGO CONSTAR QUE LA
FOTOCOPIA COINCIDE CON EL ORIGINAL
QUE HE TENIDO A LA VISTA
05 ABR 2005
JUAN MA... NOTARIO ... ENCIRA

DE BOGOTA D.C.

10

CAVELIER
ABOGADOS

EDIFICIO SISKI
CARRERA 4 No. 72 - 35
BOGOTA B, COLOMBIA

WEB SITE: www.cavelier-abogados.com
E-MAIL: cavelier@colomsat.net.co

TELEFONO: (57) (1) 347-3611
TELEFAX: (57) (1) 217-9211 (57) (1) 310-4995

Señora Jefe de la

DIVISION DE NUEVAS CREACIONES

Superintendencia de Industria y Comercio

E. S. D.

Poderdante: **ELAN PHARMACEUTICALS, INC.**

Poder conferido el: **11-03-2003**

Yo, GERMAN CAVELIER, obrando en mi calidad de apoderado principal del poderdante arriba mencionado, atentamente manifiesto a Usted que sustituyo el poder que me ha sido conferido en la persona del doctor EMILIO FERRERO, Abogado con Tarjeta Profesional No. 31.929, con todas las facultades que me fueron conferidas.

Hago la anterior sustitución conforme al artículo 69 del Código de Procedimiento Civil, reasumo los otros sustitutos [illegible] en este momento.

DOMO NOTARIO SEXTO DEL CIRCULO DE BOGOTA, HAGO CONSTAR QUE LA FIRMA ANTES 03 ABR 2005 MECINA NOTARIO

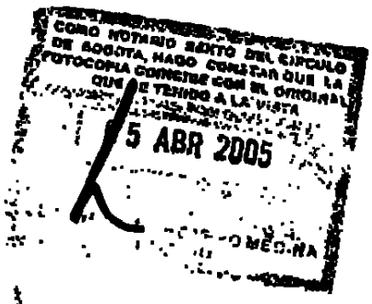
Señora Jefe,

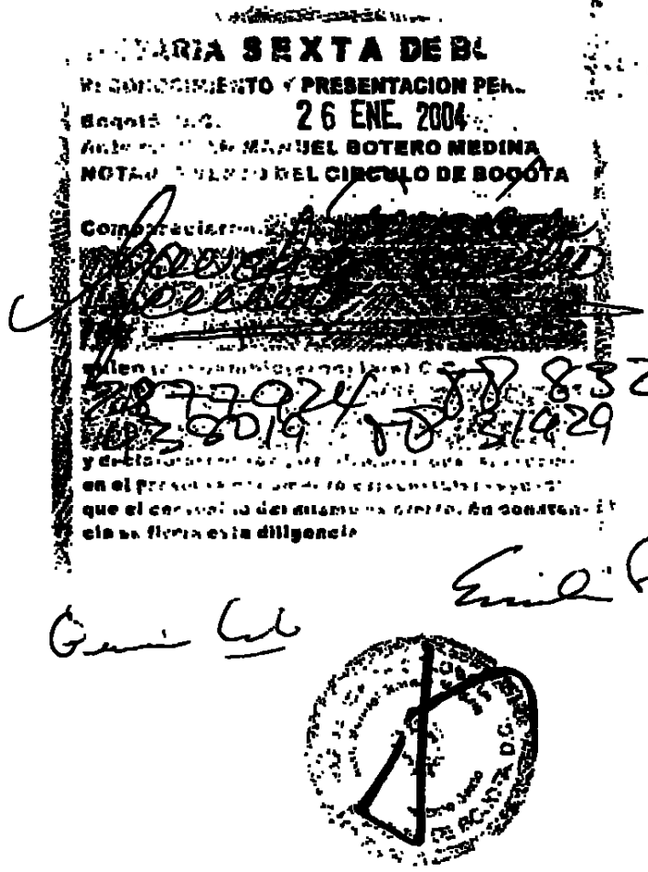
GERMAN CAVELIER
T.P.A. No. 832
C.C. No. 2.877.924 de Bogotá

Acepto:

EMILIO FERRERO
T.P.A. N° 31.929
C.C. No. 438.019 de Usaquén

2e-780-2


COPIA
COMO NOTARIO SEXTO DEL CIRCULO
DE BOGOTA, HAGO CONSTAR QUE LA
FOTOCOPIA CONCUERDA CON EL ORIGINAL
QUE HE TENIDO A LA VISTA
5 ABR 2005


NOTARIA SEXTA DE BO
PRESENTACION PER
Bogotá D.C. 26 ENE. 2004
MANUEL BOTERO MEDINA
NOTARIO SEXTO DEL CIRCULO DE BOGOTA

CAVELIER
ABOGADOS
EDIFICI SISKI
CARRERA 4ª Nº 72-35
BOGOTA, 8 - COLOMBIA

For patents, utility models, industrial designs, trademarks, commercial names, trade emblems, slogans.

Para patentes, modelos de utilidad, diseños industriales, marcas de fábrica, nombres comerciales, enseñas, lemas comerciales.

REPRESENTACION Y PODER

REPRESENTATION AND POWER OF ATTORNEY

1458
5519

I (we), the undersigned/Yo (nosotros), abajo firmado (s)

PHARMACIA & UPJOHN COMPANY LLC

of/domiciliado (s) en 301 Henrietta Street
Kalamazoo, Michigan 49009, U.S.A.

por el presente nombramos a GERMAN CAVELIER, tpa. 832; GONZALO PERDOMO, tpa. 831; EMILIO FERRERO, tpa. 31929; y LUZ CLEMENCIA DE PAEZ, tpa. 23555; domiciliados en la Carrera 4ª Nº 72-35, Bogotá, Colombia, en obedecimiento a lo dispuesto en el parágrafo 1º del Artículo 543 del Código de Comercio, el primero como principal y los demás como suplentes, en su orden, como mis (nuestros) representantes en todos los asuntos de propiedad industrial con facultad para recibir notificaciones y nombrar apoderados judiciales o extrajudiciales. El primer representante suplente reemplazará al principal en caso de ausencia o impedimento temporal o definitivo de aquél. La misma regla se aplicará cuando el segundo representante suplente haya de reemplazar al primero, o el tercero al segundo. En tales casos, el representante principal, o el primero, o segundo suplente, en su caso, o ambos, declararán su intención de no ejercer la representación mediante declaración autenticada por Notario Público en Colombia, o por Notario u otro Funcionario Público, o Cónsul de Colombia, en el extranjero; y si se tratare de impedimento, con el certificado respectivo. Si alguno de los nombrados faltare definitivamente, el siguiente tomará su lugar. Cuando cesare la ausencia o impedimento del representante principal, o de su primero o segundo suplentes, en su caso, podrán ejercer la representación, en su orden, uno u otros según el caso, asumiéndola por el solo hecho de ejercerla, cesando en ese momento el ejercicio de la representación por el primero, segundo o tercer suplente en su caso.

Además, confiero (conferimos) poder a los abogados arriba nombrados, para obtener la protección de mi (nuestra) propiedad industrial y contra la competencia desleal, a cuyo efecto autorizo (amos) a los dichos apoderados para que me (nos) representen ante cualesquiera entidades o personas, ejerzan o no jurisdicción, especialmente ante las del orden administrativo, contencioso-administrativo y judicial, así como los tribunales de arbitramento, en todo lo concerniente a los siguientes asuntos: a) Obtención de patentes de invención, modelos de utilidad y diseños industriales; el registro de marcas de fábrica, colectivas, defensivas, de servicio, lemas comerciales, nombres comerciales, enseñas, variedades vegetales y denominaciones de origen; su renovación, traspaso, cambio de nombre o domicilio, cancelación voluntaria; y el registro de licencias de uso de esos derechos; b) Las acciones de competencia desleal, protección del consumidor, oposiciones u observaciones, cancelación administrativa y judicial, nulidad, medidas cautelares, concesión de licencias, la tutela, la protección de secretos industriales, y en general los juicios civiles, penales, administrativos o contencioso-administrativos relacionados con estos derechos; acciones y procesos que promovamos o se nos promuevan. Y para que en todos los asuntos arriba determinados, puedan sustituir este mandato, transigir, conciliar, admitir los hechos del proceso, desistir, cancelar, recibir, renunciar, y ratificar los actos de agentes oficiosos.

in due compliance with the terms of paragraph 1st. of article 543 of the Commercial Code, do hereby appoint GERMAN CAVELIER, tpa. 832; GONZALO PERDOMO, tpa. 831; EMILIO FERRERO, tpa. 31929; and LUZ CLEMENCIA DE PAEZ, tpa. 23555; domiciled at Carrera 4ª Nº 72-35, Bogotá, Colombia, the first as principal and the others as alternates, in the order of their appointment, as our representatives for all industrial property matters with faculty to receive notifications and to appoint attorneys in and out of Court. The first alternate shall replace the principal in case of his absence or of temporal or definitive impediment. The same rule will apply when the second alternate representative is to replace the first one, or when the third is to replace the first or second alternates in their turn, or both of them, second. In such cases, the principal representative, or the first or second alternates in their turn, or both of them, shall state their intention not to act as representatives through a declaration given before a Notary Public in Colombia, or before a Notary or another Public Official or a Colombian Consul abroad; and in case of impediment, the appropriate certificate shall suffice. If any of the appointees were to be definitely absent, the following alternate shall take his place. When the absence or impediment of the principal representative, or of the first or second alternate in their turn ceases, the representation can be taken again in their sequence, by the principal, the first or second alternate depending on case, and the mere fact or its exercise will suffice. The exercise of the representation by the first, second or third alternates in their turn shall end at such time.

In addition, we grant a Power of Attorney in favor of the above-named attorneys-at-law so that they may obtain the protection of my (our) industrial property and against the unfair competition, for which purpose I (we) authorize the said attorneys to represent me (us) before any authorities or persons, with or without jurisdiction, specially those administrative, administrative contentious and judicial, as well as before Arbitration Court, in all matters concerning the following: a) Obtainment of patents, utility models and industrial designs; the registration of trademarks, collective, defensive and service marks, slogans, commercial names, trade emblems, vegetal varieties and denominations of origin; its renewal, assignment, change of name or domicile, voluntary cancellation; and the registration of licenses of use of the above rights; b) Actions of unfair competition, protection to the consumer, oppositions or observations, administrative or Court cancellation, nullity, infringement, granting of licenses, the action for writ mandamus, the protection of trade secrets, and in general the civil, penal, and administrative suits relating to those rights; actions and suits instituted by me (us) or against me (us). And that in all the above matters they may substitute this Power of Attorney, compromise, conciliate, admit the facts of the case, desist, cancel, receive, resign, and ratify acts done by representatives without a power of attorney.

Given and signed this/Dado y firmado hoy
22 December 2004

in/en New York, NY 10017

Grover F. Fuller, Jr.
Signature / Firma
Assistant Secretary

COMO NOTARIO SEXTO DEL CIRCULO DE BOGOTA, HAGO CONSTAR QUE LA FOTOCOPIA CORRESPONDE CON EL ORIGINAL QUE HE TENIDO A LA VISTA
5 ABR 2005
JUAN MANUEL BOTERO MEDINA
NOTARIO

poder están compareciendo [illegible] mencionada Sociedad/Co[illegible]

2.4. Que el(los) Sr.(Sres.) [illegible]

purposes set forth in the charter of the mentioned Corporation/Company.

2.4. That Mr.(Messrs.) GROVER F. Fuller, Jr.

COUNTY CLERK NEW YORK COUNTY

Nº 414813 Form 1

Attorney.

State of New York
County of New York, ss.:

I, NORMAN GOODMAN, County Clerk and Clerk of the Supreme Court of the State of New York, in and for the County of New York, a Court of Record, having by law a seal,

DO HEREBY CERTIFY pursuant to the Executive Law of the State of New York, that

Sharon B. Fuller

2004

whose name is subscribed to the annexed affidavit, deposition, certificate of acknowledgment or proof, was at the time of taking the same a NOTARY PUBLIC in and for the State of New York duly commissioned, sworn and qualified to act as such; that pursuant to law, a commission or a certificate of his official character, with his autograph signature has been filed in my office; that at the time of taking such proof, acknowledgment or oath, he was duly authorized to take the same; that I am well acquainted with the handwriting of such NOTARY PUBLIC or have compared the signature on the annexed instrument with his autograph signature deposited in my office, and I believe that such signature is genuine.

IN WITNESS WHEREOF, I have hereunto set my hand affixed my official seal this

JAN 14 2005

York

2008

FEE PAID $3.00

County Clerk and Clerk of the Supreme Court, New York County

COMO NOTARIO SEXTO DEL CIRCULO DE BOGOTA, HAGO CONSTAR QUE LA FOTOCOPIA COINCIDE CON EL ORIGINAL QUE HE TENIDO A LA VISTA

5 ABR 2005

JUAN MANUEL BOTERO MEDINA
NOTARIO

CERTIFICADO NOTARIAL (INDIVIDUO)

A los 22 días del mes de Diciembre de 19 2004
ante mí, Notario Público de Estado de Nueva York
compareció(eron) personalmente
Grover F. Fuller, Jr.
a quien(es) doy fe de conocer y me consta que es(son) la(s) persona(s) firmante(s) del documento que precede, y quien(es) tiene(n) capacidad legal para otorgarlo.

Notario Público

NOTARIAL CERTIFICATE (INDIVIDUAL)

On this 22 day of December 2004
before me, a Notary Public of New York STATE
New York County
personally appeared
Grover F. Fuller, JR.
to me known, and known to me to be the person(s) who executed that foregoing document, and who has(have) legal capacity to execute it.

Notary Public Suzan Z. Killian

CERTIFICADO NOTARIAL (SOCIEDAD)

Hoy, 22 de Diciembre de 19 2004
compareció(eron) ante mí
Grover F. Fuller, Jr.
a quien(es) conozco personalmente y que firma(n) este documen en representación de la Sociedad/compañía
denominada Pharmacia & Upjohn Company LLC
Certifico:
1. Que he visto los siguientes documentos:*
Certificado de Secretario Asistente

2. Que dichos documentos prueban lo siguiente:
2.1. Que Pharmacia & Upjohn Company, LLC es una Sociedad/Compañía legalmente constituida y existente de acuerdo con las leyes del Estado de Delaware
2.2. Que el domicilio de dicha Sociedad/Compañía es 301 Henrietta Street, Kalamazoo, Michigan 49009 Estados Unidos
2.3. Que el objeto u objetos para los cuales se otorga el presente poder están comprendidos dentro del objeto social de la mencionada Sociedad/Compañía
2.4. Que el(los) Sr.(Sres.)
Grover F. Fuller, Jr.
está(n) autorizado(s) para otorgar el presente Poder.

Ciudad Nueva York
Estado Nueva York
Hoy 22 Diciembre de 19 2004

Notario Público

* Los documentos deben identificarse con fecha y origen.

NOTARIAL CERTIFICATE (CORPORATION)

On this 22 day of December 2004
appeared before me
Grover F. Fuller, Jr.
who(m) I know personally and who(m) signed this document as representative(s) of the Corporation/Company
named Pharmacia & Upjohn Company LLC
I Certify:
1. That I have seen the following documents:*
Assistant Secretary's Certificate

2. That the above documents duly prove the following:
2.1. That: Pharmacia & Upjohn Company LLC is a Corporation/Company legally constituted and in existence in accordance with the laws of Delaware
2.2. That the domicile of said Corporation/Company is 301 Henrietta Street Kalamazoo, Michigan 49009 USA
2.3. That the purpose of purposes for which the present Power of Attorney is granted are comprised within the corporation purposes set forth in the charter of the mentioned Corporation/Company.
2.4. That Mr.(Messrs.) Grover F. Fuller, Jr.
is(are) authorized to grant the present Power of Attorney.

City New York
State New York
This 22 day of December 2004

COPIA FOTOSTATICA QUE SE CONFORMA EN TODO CON EL ORIGINAL QUE HE TENIDO A LA VISTA
NOV 2005
JUAN MANUEL BOTERO MEDINA
NOTARIO

Notary Public

SUZAN Z. KILLIAN
Notary Public, State of New York
No. 01KI6116521
Qualified in New York County
Commission Expires October 4, 2008

* Documents must be identified with date and origin

Apostille

(Convention de La Haye du 5 Octobre 1961)

1. Country: United States of America

   This public document

2. has been signed by **Norman Goodman**

3. acting in the capacity of **County Clerk**

4. bears the seal/stamp of the county of **New York**

Certified

5. At Albany, New York 6. the 24th day of January 05

7. by Special Deputy Secretary of State, State of New York

8. No. A-132166E

9. Seal/Stamp 10. Signature

Daniel E Shapiro
Special Deputy Secretary of State

COMO NOTARIO SEXTO DEL CIRCULO DE BOGOTA, HAGO CONSTAR QUE LA FOTOCOPIA COINCIDE CON EL ORIGINAL QUE HE TENIDO A LA VISTA
05 ABR 2005
JUAN MANUEL BOTERO MEDINA
NOTARIO

State of Delaware
Secretary of State
Division of Corporations
Delivered 12:48 PM 08/13/2004
FILED 12:48 PM 08/13/2004
SRV 040594300 - 0527510 FILE

CERTIFICATE OF FORMATION

OF

PHARMACIA & UPJOHN COMPANY LLC

This Certificate of Formation of Pharmacia & Upjohn Company LLC is being duly executed and filed by Charles F. Raeburn, as an authorized person, to form a limited liability company under the Delaware Limited Liability Company Act.

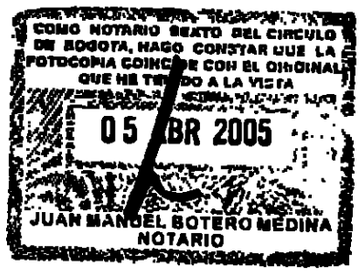
1. The name of the limited liability company is Pharmacia & Upjohn Company LLC.

2. The address of its registered office in the State of Delaware is Corporation Trust Center, 1209 Orange Street, in the City of Wilmington, County of New Castle, Delaware 19801. The name of its registered agent at such address is The Corporation Trust Company.

IN WITNESS WHEREOF, the undersigned has executed this Certificate of Formation of Pharmacia & Upjohn Company LLC as of the date written below.

/s/ Charles F. Raeburn
Name: Charles F. Raeburn
Authorized Person

Dated: August 13, 2004



Delaware

The First State

I, HARRIET SMITH WINDSOR, SECRETARY OF STATE OF THE STATE OF DELAWARE DO HEREBY CERTIFY THAT THE ATTACHED IS A TRUE AND CORRECT COPY OF THE CERTIFICATE OF FORMATION OF "PHARMACIA & UPJOHN COMPANY LLC" FILED IN THIS OFFICE ON THE THIRTEENTH DAY OF AUGUST, A.D. 2004, AT 12:48 O'CLOCK P.M.

COMO NOTARIO SEXTO DEL CIRCULO DE BOGOTA, HAGO CONSTAR QUE LA FOTOCOPIA COINCIDE CON EL ORIGINAL QUE HE TENIDO A LA VISTA
05 ABR 2005
JUAN MANUEL BOTERO MEDINA
NOTARIO

Harriet Smith Windsor

Harriet Smith Windsor, Secretary of State

0527510 8100V

AUTHENTICATION: 3544900

040900672

DATE: 12-14-04

Apostille

(Convention de La Haye du 5 Octobre 1961)

1. *Country: United States of America*

This public document:

2. *has been signed by Harriet Smith Windsor*

3. *acting in the capacity of Secretary of State of Delaware*

4. *bears the seal/stamp of Office of Secretary of State*

Certified

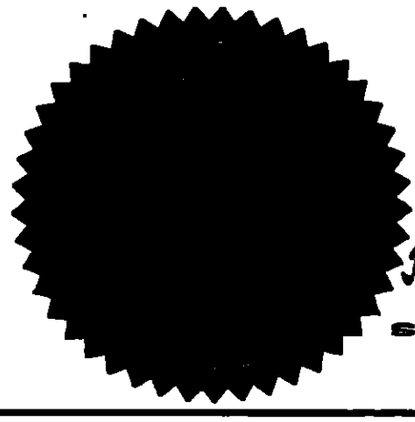
5. *at Dover, Delaware*

6. *the second day of February, A.D. 2005*

7. *by Secretary of State, Delaware Department of State*

8. *No. 0245814*

9. *Seal/Stamp:*



10. *Signature:*

Harriet Smith Windsor

Secretary of State

COMO NOTARIO SEXTO DEL CIRCULO DE BOGOTA, HAGO CONSTAR QUE LA FOTOCOPIA COINCIDE CON EL ORIGINAL QUE HE TENIDO A LA VISTA

05 ABR 2005

JUAN MANUEL ROTERO MEDINA
NOTARIO

TRADUCCIÓN OFICIAL No. 16.02/05 preparada por María Elvira Martelo, Traductora Oficial debidamente aprobada por el Ministerio de Justicia de Colombia mediante Resolución 2879 de 1995 y reconocida por el Ministerio de Relaciones Exteriores.

A continuación se traducen las legalizaciones de un documento escrito en inglés y español, por el cual **PHARMACIA & UPHOHN LLC.**, sociedad domiciliada en 301 Henrietta Street, Kalamazoo, Michigan 49009, Estados Unidos de América, otorga poder a **GERMAN CAVELIER, GONZALO PERDOMO, EMILIO FERRERO Y LUZ CLEMENCIA DE PAEZ.**
Dado y firmado el 22 de diciembre de 2004 en New York, N.Y, 10017.
(Firma ilegible), Grover F. Fuller, Jr., Secretario Asistente.

CERTIFICADO NOTARIAL - SOCIEDAD- otorgado en inglés y español referente a la existencia legal de PHARMACIA & UPJOHN COMPANY, LLC.
Dado en la ciudad de New York, Estado de New York, el 22 de diciembre de 2004.
(Sello notarial en tinta), SUZAN Z. KILLIAN, Notario Público, Estado de New York, No. 01K16116521, Calificada en el Condado de New York, Comisión expira el 4 de octubre del 2006.

COMO NOTARIO SEXTO DEL CIRCULO DE BOGOTA, HAGO CONSTAR QUE LA FOTOCOPIA COINCIDE CON EL ORIGINAL QUE HE TENIDO A LA VISTA
05 ABR 2005
JUAN MANUEL MEDINA NOTARIO

Estado de New York
Condado de New York) ss

Yo, NORMAN GOODMAN, Escribano de Condado y Escribano de la Suprema Corte del Estado de New York, en y para el Condado de New York, una Corte de registro que por ley tiene un sello, POR EL PRESENTE CERTIFICO de acuerdo con la ley ejecutiva del Estado de New York, que SUZAN Z. KILLIAN, cuyo nombre suscribe la declaración juramentada, declaración, certificado de reconocimiento o prueba adjunta, era en el momento de tomarla un NOTARIO PÚBLICO en y para el Estado de New York, debidamente comisionado, juramentado y calificado para actuar como tal; que de acuerdo con la ley, una comisión o certificado de su carácter oficial, con firma autógrafa, ha sido registrada en mi oficina; que estoy familiarizado con la escritura de dicho NOTARIO PÚBLICO o he comparado la firma del instrumento adjunto con su firma autógrafa

depositada en mi oficina y creo que dicha firma es genuina.

EN FE DE LO CUAL, he firmado e impuesto el sello oficial hoy 14 de enero de 2005.

(Firma facsimilar ilegible), Escribano de Condado y Escribano de la Corte Suprema, Condado de New York. (Sello en tinta del Escribano de Condado).

APOSTILLA

(Convención de La Haye du 5 Octobre 1961)

1. País: **Estados Unidos de América**

Este documento público

2. Ha sido firmado por **Norman Goodman**
3. Actuando en su capacidad de **Escribano de Condado**
4. Lleva el sello/timbre de **New York**

CERTIFICADO

5. En New York, New York.
6. el 24 de enero de 2005
7. Por el Subsecretario de Estado Especial, Estado de New York
8. **No. A-132166E**
9. Sello: EL GRAN SELLO DEL ESTADO DE NEW YORK.
10. Firma (Firma ilegible) Daniel E Shapiro, Subsecretario de Estado Especial

María E. Martelo.
TRADUCCION No.: 160205
MARIA ELVIRA MARTELO
Traductora e Interprete Oficial
Inglés - Español - Inglés
Res. No. 2679 Min. Justicia

COMO NOTARIO SEXTO DEL CIRCULO DE BOGOTA, HAGO CONSTAR QUE LA FOTOCOPIA CONCIERE CON EL ORIGINAL QUE HE TENIDO A LA VISTA
05 APR 2005
JUAN MANUEL BOTERO MEDINA
NOTARIO

ESTADO DE DELAWARE
SECRETARIO DE ESTADO
DIVISIÓN DE SOCIEDADES
Entregado 12:48 PM 08/13/2004
PRESENTADO 12:48 PM 08/13/2004
SRV 040594300 - 0527510 ARCHIVO

CERTIFICADO DE FORMACIÓN

DE

PHARMACIA & UPJOHN LLC

Este certificado de formación de Pharmacia & Upjohn Company LLC está siendo debidamente ejecutado por Charles F. Raeburn como

NO SE ASUME RESPONSABILIDAD SOBRE EL TEXTO

2005 FEB 17 P 12:04

COMO NOTARIO SEXTO DEL CIRCULO DE BOGOTA, HAGO CONSTAR QUE LA FOTOCOPIA COINCIDE CON EL ORIGINAL QUE HA TENIDO A LA VISTA

05 ABR 2005

JUAN MANUEL BOTERO MEDINA
NOTARIO

MINISTERIO DE RELACIONES EXTERIORES

32 7789

CON LA FIRMA APARECE EN EL DOCUMENTO DESEMPEÑA LAS FUNCIONES INDICADAS

personal autorizada para formar una compañía de responsabilidad limitada bajo la Ley de Sociedades de Responsabilidad Limitada de Delaware.

1. El nombre de la sociedad es Pharmacia & Upjohn Company LLC.

2. La dirección de la oficina registrada en el Estado de Delaware es Corporation Trust Center, 1209 Orange Street, en la Ciudad de Wilmington, Condado de New Castle, Delaware 19801. El nombre de su agente registrado en dicha dirección es The Corporation Trust Company

EN FE DE LO CUAL, el suscrito firma este Certificado de Formación de Pharmacia & Upjohn Company LLC.

/F/ Charles F. Raeburn

Nombre: Charles F. Raeburn

Funcionario Autorizado

Fecha: 13 de agosto de 2004

Estado de Delaware

El Primer Estado

YO, HARRIET SMITH WINDSOR, SECRETARIO DE ESTADO DEL ESTADO DE DELAWARE, POR EL PRESENTE CERTIFICO QUE LA ADJUNTA ES UNA COPIA FIEL Y CORRECTA DEL CERTIFICADO DE FORMACIÓN DE "PHARMACIA & UPJOHN COMPANY LLC" PRESENTADO EN ESTA OFICINA EL TRECE DE AGOSTO, A.D. 2004, A LAS 12:48 DE LA TARDE.

(Firma) Harriet Smith Windsor, Secretario de Estado

0527510 8100 (ilegible) *Autenticación: 35[illegible]900*

040900672 *Fecha: 12-14-04*

COMO NOTARIO SEXTO DEL CIRCULO DE BOGOTA, DC CERTIFICO QUE LA FOTOCOPIA COINCIDE CON EL ORIGINAL QUE HE TENIDO A LA VISTA

ABR 2005

JUAN MANUEL BOTERO MEDINA
NOTARIO

APOSTILLA

(Convención de La Haya del 5 de octubre de 1961)

1. País: Estados Unidos de América

Este documento público

2. Ha sido firmado por Harriet Smith Windsor

3. Actuando en su capacidad de Secretario de Estado del Estado de Delaware

4. Lleva el sello/timbre de la Secretaría de Estado

CERTIFICADO

5. En Dover, Delaware

6. el dos de febrero, A.D. 2005

7. Por el Secretario de Estado, Departamento de Estado de Delaware

8. No. 0245814

9. Sello: (sello dorado) SECRETARÍA DE ESTADO, DELAWARE 1793 - 1855.

10. Firma: (Firma) Harriet Smith Windsor, Secretario de Estado.

Es traducción fiel y completa de la cual se deja copia en esta oficina para su confrontación.

COLO 14592-841-001-1

WPC 14592 ID. 6

FEB 15/05

María E. Martelo.
TRADUCCION No.: 16.0205
MARIA ELVIRA MARTELO
Traductora e Interprete Oficial
Inglés - Español - Inglés
Res. No. 2879 Min. Justicia

COMO NOTARIO ESTO DEL CIRCULO DE BOGOTA, HAGO CONSTAR QUE LA FOTOCOPIA CONCUERDA CON EL ORIGINAL QUE HE TENIDO A LA VISTA
05 ABR 2005
JUAN MANUEL SUTERO MEDINA
NOTARIO

COMO NOTARIO SEXTO DEL CIRCULO DE SANTAFE DE BOGOTA, HAGO CONSTAR QUE LA(S) FIRMA(S) ...

Mayes Rivera

COINCIDE(N) CON LA FIRMA REGISTRADA POR EL (ELLOS) EN ESTA NOTARIA

SANTAFE DE BOGOTA. 17 FEB 2005

NO SE ASUME RESPONSABILIDAD DEL TEXTO

2005 FEB 17 P 12:05

COMO NOTARIO SEXTO DEL CIRCULO DE BOGOTA, HAGO CONSTAR QUE LA FOTOCOPIA COINCIDE CON LA QUE SE TUVO A LA VISTA

05 ABR 2005

JUAN MANUEL BOTERO MEDINA
NOTARIO

REPUBLICA DE COLOMBIA
SANTAFE DE BOGOTA, D.C.

MINISTERIO DE RELACIONES EXTERIORES

327790

COTEJA FIRMA APARECE EN EL DOCUMENTO DESEMPEÑA LAS FUNCIONES ORDINARIAS

CAVELIER
ABOGADOS

EDIFICIO SISKI
CARRERA 4 No. 72 - 35
BOGOTA B, COLOMBIA

WEB SITE: www.cavelier-abogados.com
E-MAIL: cavelier@colomsat.net.co

TELEFONO: (57) (1) 347-3611
TELEFAX: (57) (1) 217-9211 (57) (1) 310-4995

Señora Jefe de la

DIVISION DE NUEVAS CREACIONES

Superintendencia de Industria y Comercio

E. S. D.

Poderdante: PHARMACIA & UPJOHN COMPANY LLC

Poder conferido el: 22-12-2004

Yo, GERMAN CAVELIER, obrando en mi calidad de apoderado principal del poderdante arriba mencionado, atentamente manifiesto a Usted que sustituyo el poder que me ha sido conferido en la persona del doctor EMILIO FERRERO, Abogado con Tarjeta Profesional No. 31.929, con todas las facultades que me fueron conferidas.

DE BOGOTA, HAGO CONSTAR QUE LA FOTOCOPIA CONCIDE CON EL ORIGINAL QUE HE TENIDO A LA VISTA
05 ABR 2005
JUAN MANUEL BOTERO MEDINA

Hago la anterior sustitución conforme al artículo 66 del Código de Procedimiento Civil ya que los otros sustitutos faltan en este momento.

Señora Jefe,

GERMAN CAVELIER
T.P.A. No. 832
C.C. No. 2.877.924 de Bogotá

Acepto:

EMILIO FERRERO
T.P.A. N° 31.929
C.C. No. 438.019 de Usaquén

2e-780-2

COMO NOTARIO SEXTO DEL CIRCULO DE BOGOTA, HAGO CONSTAR QUE LA FOTOCOPIA COINCIDE CON EL ORIGINAL QUE SE TUVO A LA VISTA

05 ABR 2005

JUAN MANUEL SOTERO MEDINA
NOTARIO

NOTARIA SEXTA DE BOGOTA

RECONOCIMIENTO Y PRESENTACION PERSONAL

18 FEB 2005

JUAN MANUEL SOTERO MEDINA

NOTARIO DEL CIRCULO DE BOGOTA

28792424 BOG 852

49801949 BOG 5/1029

y manifestó(...) que el contenido del mismo es cierto y que la(s) firma(s) que aparece(n) en el presente documento es(son) la(s) suya(s) y que el contenido del mismo es cierto. En constancia se firma esta diligencia.

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
18 March 2004 (18.03.2004)

PCT

(10) International Publication Number
WO 2004/022523 A2

(51) International Patent Classification[7]: C07C 215/00

(21) International Application Number:
PCT/US2003/028116

(22) International Filing Date:
8 September 2003 (08.09.2003)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
60/408,783 6 September 2002 (06.09.2002) US

(71) Applicants *(for all designated States except US)*: ELAN PHARMACEUTICALS, INC. [US/US]; 800 Gateway Blvd., South San Francisco, CA 94080 (US). PHARMACIA & UPJOHN [US/US]; 301 Henrietta Street, Kalamazoo, MI 49007 (US).

(72) Inventors; and
(75) Inventors/Applicants *(for US only)*: FOBIAN, Yvette, M. [US/US]; 580 Eagles Nest Court, Wildwood, MO 63011 (US). FRESKOS, John, N. [US/US]; 7572 York Drive, Clayton, MO 63105 (US). JAGODZINSKA, Barbara [US/US]; 1485 Kentfield Avenue, Redwood City, CA 94061 (US).

(74) Agent: SHALTOUT, Rashet, M.; McDonnell Boehnen Hulbert & Berghoff, 300 South Wacker Drive, Suite 3200, Chicago, IL 60606 (US).

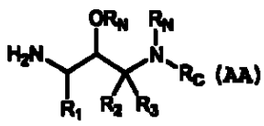
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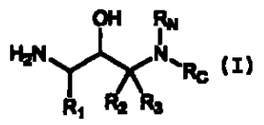
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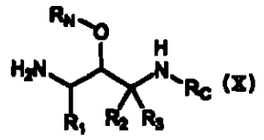
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(54) Title: 1, 3-DIAMINO-2-HYDROXYPROPANE PRODRUG DERIVATIVES







(57) Abstract: The present invention relates to compounds of formula (AA), (I) and (X), useful in treating Alzheimer's disease and other similar diseases. These compounds include inhibitors of the beta-secretase enzyme that are useful in the treatment of Alzheimer's disease and other diseases characterized by deposition of A beta peptide in a mammal. The compounds of the invention are useful in pharmaceutical compositions and methods of treatment to reduce A beta peptide formation.

WO 2004/022523 A2

1,3-DIAMINO-2-HYDROXYPROPANE PRODRUG DERIVATIVES

CROSS REFERENCE TO RELATED APPLICATIONS

This application claims priority from U.S. Provisional Application Serial No. 60/408,783, filed September 6, 2002, which is incorporated herein by reference in its entirety.

BACKGROUND OF THE INVENTION

Field of the Invention

The invention relates to 1,3-diamino-2-hydroxypropane prodrug derivatives and to such compounds that are useful in the treatment of Alzheimer's disease and related diseases. More specifically, it relates to such compounds that are capable of yielding or generating, either in vitro or in vivo, compounds that inhibit beta-secretase, an enzyme that cleaves amyloid precursor protein to produce amyloid beta peptide (A beta), a major component of the amyloid plaques found in the brains of Alzheimer's sufferers.

Background of the Invention

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, judgment, 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 neurodegenerative disorders. Beta-amyloid is a defining feature of AD, now believed to be a causative precursor or factor in the development of 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 treatment 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, *Als. Dis. Rev.* 3, 1-19.

BACE1 knockout mice fail to produce A beta, and present a normal phenotype. When crossed with transgenic mice that over express 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.

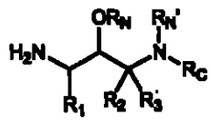
At present there are no effective treatments for halting, preventing, or reversing the progression of Alzheimer's disease. Therefore, there is an urgent need for pharmaceutical agents capable of slowing the progression of Alzheimer's disease and/or preventing it in the first place.

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 THE INVENTION

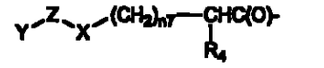
The invention encompasses the compounds of formula (AA), (I) and (X) shown below, pharmaceutical compositions containing the compounds and methods employing such compounds or compositions in the treatment of Alzheimer's disease and more specifically compounds that are capable of inhibiting beta-secretase, an enzyme that cleaves amyloid precursor protein to produce A-beta peptide, a major component of the amyloid plaques found in the brains of Alzheimer's sufferers.

In one aspect, the invention provides compounds of the formula AA:



(AA)

and pharmaceutically acceptable salts thereof, wherein one of R_N and R_N' is hydrogen and

the other is $-C(=O)-(CRR')_{0-6}R_{100}$, $-C(=O)-(CRR')_{1-6}-O-R'_{100}$, $-C(=O)-(CRR')_{1-6}-S-R'_{100}$, $-C(=O)-(CRR')_{1-6}-C(=O)-R_{100}$, $-C(=O)-(CRR')_{1-6}-SO_2-R_{100}$, $-C(=O)-(CRR')_{1-6}-NR_{100}-R'_{100}$, or 

wherein

R_4 is selected from the group consisting of H; NH_2; $-NH-(CH_2)_{n6}-R_{4-1}$; $-NHR_8$; $-NR_{50}C(O)R_5$; C_1-C_4 alkyl-$NHC(O)R_5$; $-(CH_2)_{0-4}R_8$; $-O-C_1-C_4$ alkanoyl; OH; C_6-C_{10} aryloxy optionally substituted with 1, 2, or 3 groups that are independently halogen, C_1-C_4 alkyl, $-CO_2H$, $-C(O)-C_1-C_4$ alkoxy, or C_1-C_4 alkoxy; C_1-C_6 alkoxy; aryl C_1-C_4 alkoxy; $-NR_{50}CO_2R_{51}$; $-C_1-C_4$ alkyl-$NR_{50}CO_2R_{51}$; $-C\equiv N$; $-CF_3$; $-CF_2-CF_3$; $-C\equiv CH$; $-CH_2-CH=CH_2$; $-(CH_2)_{1-4}-R_{4-1}$; $-(CH_2)_{1-4}-NH-R_{4-1}$; $-O-(CH_2)_{n6}-R_{4-1}$; $-S-(CH_2)_{n6}-R_{4-1}$; $-(CH_2)_{0-4}-NHC(O)-(CH_2)_{0-6}-R_{52}$; $-(CH_2)_{0-4}-R_{53}-(CH_2)_{0-4}-R_{54}$;

wherein

n_6 is 0, 1, 2, or 3;

n_7 is 0, 1, 2, or 3;

R_{4-1} is selected from the group consisting of $-SO_2-(C_1-C_8$ alkyl), $-SO-(C_1-C_8$ alkyl), $-S-(C_1-C_8$ alkyl), $-S-CO-(C_1-C_6$ alkyl), $-SO_2-NR_{4-2}R_{4-3}$; $-CO-C_1-C_2$ alkyl; $-CO-NR_{4-3}R_{4-4}$;

R_{4-2} and R_{4-3} are independently H, C_1-C_3 alkyl, or C_3-C_6 cycloalkyl;

R_{4-4} is alkyl, arylalkyl, alkanoyl, or arylalkanoyl;

R_{4-6} is-H or C_1-C_6 alkyl;

R_5 is selected from the group consisting of C_3-C_7 cycloalkyl; C_1-C_6 alkyl optionally substituted with 1, 2, or 3 groups that are independently halogen, $-NR_6R_7$, C_1-C_4 alkoxy, C_3-C_6 heterocycloalkyl, C_3-C_6 heteroaryl, C_6-C_{10} aryl, C_3-C_7 cycloalkyl C_1-C_4 alkyl, $-S$-C_1-C_4 alkyl, $-SO_2$-C_1-C_4 alkyl, $-CO_2H$, $-CONR_6R_7$, $-CO_2$-C_1-C_4 alkyl, C_6-C_{10} aryloxy; heteroaryl optionally substituted with 1, 2, or 3 groups that are independently C_1-C_4 alkyl, C_1-C_4 alkoxy, halogen, C_1-C_4 haloalkyl, or OH; heterocycloalkyl optionally substituted with 1, 2, or 3 groups that are independently C_1-C_4 alkyl, C_1-C_4 alkoxy, halogen, or C_2-C_4 alkanoyl; aryl optionally substituted with 1, 2, 3, or 4 groups that are independently halogen, OH, C_1-C_4 alkyl, C_1-C_4 alkoxy, or C_1-C_4 haloalkyl; and $-NR_6R_7$; wherein

R_6 and R_7 are independently selected from the group consisting of H, C_1-C_6 alkyl, C_2-C_6 alkanoyl, phenyl, $-SO_2$-C_1-C_4 alkyl, phenyl C_1-C_4 alkyl;

R_8 is selected from the group consisting of $-SO_2$-heteroaryl, $-SO_2$-aryl, $-SO_2$-heterocycloalkyl, $-SO_2$-C_1-C_{10} alkyl, $-C(O)NHR_9$, heterocycloalkyl, $-S$-C_1-C_6 alkyl, $-S$-C_2-C_4 alkanoyl, wherein

R_9 is aryl C_1-C_4 alkyl, C_1-C_6 alkyl, or H;

R_{50} is H or C_1-C_6 alkyl;

R_{51} is selected from the group consisting of aryl C_1-C_4 alkyl; C_1-C_6 alkyl optionally substituted with 1, 2, or 3 groups that are independently halogen, cyano, heteroaryl, $-NR_6R_7$, $-C(O)NR_6R_7$, C_3-C_7 cycloalkyl, or $-C_1$-C_4 alkoxy;

heterocycloalkyl optionally substituted with 1 or 2 groups that are independently C_1-C_4 alkyl, C_1-C_4 alkoxy, halogen, C_2-C_4 alkanoyl, aryl C_1-C_4 alkyl, and $-SO_2$ C_1-C_4 alkyl; alkenyl; alkynyl; heteroaryl optionally substituted with 1, 2, or 3 groups that are independently OH, C_1-C_4 alkyl, C_1-C_4 alkoxy, halogen, NH_2, $NH(C_1$-C_6 alkyl) or $N(C_1$-C_6 alkyl)$(C_1$-C_6 alkyl); heteroarylalkyl optionally substituted with 1, 2, or 3 groups that are independently C_1-C_4 alkyl, C_1-C_4 alkoxy, halogen, NH_2, $NH(C_1$-C_6 alkyl) or $N(C_1$-C_6 alkyl)$(C_1$-C_6 alkyl); aryl; heterocycloalkyl; C_3-C_8 cycloalkyl; and cycloalkylalkyl; wherein the aryl; heterocycloalkyl, C_3-C_8 cycloalkyl, and cycloalkylalkyl groups are optionally substituted with 1, 2, 3, 4 or 5 groups that are independently halogen, CN, NO_2, C_1-C_6 alkyl, C_1-C_6 alkoxy, C_2-C_6 alkanoyl, C_1-C_6 haloalkyl, C_1-C_6 haloalkoxy, hydroxy, C_1-C_6 hydroxyalkyl, C_1-C_6 alkoxy C_1-C_6 alkyl, C_1-C_6 thioalkoxy, C_1-C_6 thioalkoxy C_1-C_6 alkyl, or C_1-C_6 alkoxy C_1-C_6 alkoxy;

R_{52} is heterocycloalkyl, heteroaryl, aryl, cycloalkyl, $-S(O)_{0-2}$-C_1-C_6 alkyl, CO_2H, $-C(O)NH_2$, $-C(O)NH$(alkyl), $-C(O)N$(alkyl)(alkyl), $-CO_2$-alkyl, $-NHS(O)_{0-2}$-C_1-C_6 alkyl, $-N$(alkyl)$S(O)_{0-2}$-C_1-C_6 alkyl, $-S(O)_{0-2}$-heteroaryl, $-S(O)_{0-2}$-aryl, $-NH$(arylalkyl), $-N$(alkyl)(arylalkyl), thioalkoxy, or alkoxy, each of which is optionally substituted with 1, 2, 3, 4, or 5 groups that are independently alkyl, alkoxy, thioalkoxy, halogen, haloalkyl, haloalkoxy,

alkanoyl, NO_2, CN, alkoxycarbonyl, or aminocarbonyl;

R_{53} is absent, -O-, -C(O)-, -NH-, -N(alkyl)-, -NH-$S(O)_{0-2}$-, -N(alkyl)-$S(O)_{0-2}$-, -$S(O)_{0-2}$-NH-, -$S(O)_{0-2}$- N(alkyl)-, -NH-C(S)-, or -N(alkyl)-C(S)-;

R_{54} is heteroaryl, aryl, arylalkyl, heterocycloalkyl, CO_2H, -CO_2-alkyl, -C(O)NH(alkyl), -C(O)N(alkyl)(alkyl), -$C(O)NH_2$, C_1-C_8 alkyl, OH, aryloxy, alkoxy, arylalkoxy, NH_2, NH(alkyl), N(alkyl)(alkyl), or -C_1-C_6 alkyl-CO_2-C_1-C_6 alkyl, each of which is optionally substituted with 1, 2, 3, 4, or 5 groups that are independently alkyl, alkoxy, CO_2H, -CO_2-alkyl, thioalkoxy, halogen, haloalkyl, haloalkoxy, hydroxyalkyl, alkanoyl, NO_2, CN, alkoxycarbonyl, or aminocarbonyl;

X is selected from the group consisting of -C_1-C_6 alkylidenyl optionally optionally substituted with 1, 2, or 3 methyl groups; and -NR_{4-6}-; or

R_4 and R_{4-6} combine to form -$(CH_2)_{n10}$-, wherein

n_{10} is 1, 2, 3, or 4;

Z is selected from the group consisting of a bond; SO_2; SO; S; and C(O);

Y is selected from the group consisting of H; C_1-C_4 haloalkyl; C_5-C_6 heterocycloalkyl; C_6-C_{10} aryl; OH; -N(Y_1)(Y_2); C_1-C_{10} alkyl optionally substituted with 1 thru 3 substituents which can be the same or different and are selected from the group consisting of halogen, hydroxy, alkoxy, thioalkoxy, and haloalkoxy; C_3-C_8 cycloalkyl optionally substituted with 1, 2, or 3 groups independently selected from C_1-C_3 alkyl, and halogen; alkoxy; aryl optionally substituted with halogen, alkyl, alkoxy, CN or NO_2;

arylalkyl optionally substituted with halogen, alkyl, alkoxy, CN or NO_2; wherein

Y_1 and Y_2 are the same or different and are H; C_1-C_{10} alkyl optionally substituted with 1, 2, or 3 substituents selected from the group consisting of halogen, C_1-C_4 alkoxy, C_3-C_8 cycloalkyl, and OH; C_2-C_6 alkenyl; C_2-C_6 alkanoyl; phenyl; -SO_2-C_1-C_4 alkyl; phenyl C_1-C_4 alkyl; or C_3-C_8 cycloalkyl C_1-C_4 alkyl; or

Y_1, Y_2 and the nitrogen to which they are attached form a ring selected from the group consisting of piperazinyl, piperidinyl, morpholinyl, and pyrolidinyl, wherein each ring is optionally substituted with 1, 2, 3, or 4 groups that are independently C_1-C_6 alkyl, C_1-C_6 alkoxy, C_1-C_6 alkoxy C_1-C_6 alkyl, or halogen;

R_1 is -$(CH_2)_{1-2}$-$S(O)_{0-2}$-(C_1-C_6 alkyl), or

C_1-C_{10} alkyl optionally substituted with 1, 2, or 3 groups independently selected from halogen, OH, =O, -SH, -C≡N, -CF_3, -C_1-C_3 alkoxy, amino, mono- or dialkylamino, -N(R)C(O)R'-, -OC(=O)-amino and -OC(=O)-mono- or dialkylamino, or

C_2-C_6 alkenyl or C_2-C_6 alkynyl, each of which is optionally substituted with 1, 2, or 3 groups independently selected from halogen, -OH, -SH, -C≡N, -CF_3, C_1-C_3 alkoxy, amino, and mono- or dialkylamino, or

aryl, heteroaryl, heterocyclyl, -C_1-C_6 alkyl-aryl, -C_1-C_6 alkyl-heteroaryl, or -C_1-C_6 alkyl-heterocyclyl, where the ring portions of each are optionally substituted with 1, 2, 3, or 4 groups independently selected from halogen, -OH, -SH, -C≡N, -$NR_{10S}R'_{10S}$, -CO_2R, -N(R)COR', or

$-N(R)SO_2R'$, $-C(=O)-(C_1-C_4)$ alkyl, $-SO_2$-amino, $-SO_2$-mono or dialkylamino, $-C(=O)$-amino, $-C(=O)$-mono or dialkylamino, $-SO_2-(C_1-C_4)$ alkyl, or

$-C_1-C_6$ alkoxy optionally substituted with 1, 2, or 3 groups which are independently a halogen, or

C_3-C_7 cycloalkyl optionally substituted with 1, 2, or 3 groups independently selected from halogen, -OH, -SH, -C≡N, $-CF_3$, C_1-C_3 alkoxy, amino, $-C_1-C_6$ alkyl and mono- or dialkylamino, or

C_1-C_{10} alkyl optionally substituted with 1, 2, or 3 groups independently selected from halogen, -OH, -SH, -C≡N, $-CF_3$, $-C_1-C_3$ alkoxy, amino, mono- or dialkylamino and $-C_1-C_3$ alkyl, or

C_2-C_{10} alkenyl or C_2-C_{10} alkynyl each of which is optionally substituted with 1, 2, or 3 groups independently selected from halogen, -OH, -SH, -C≡N, $-CF_3$, C_1-C_3 alkoxy, amino, C_1-C_6 alkyl and mono- or dialkylamino; and

the heterocyclyl group is optionally further substituted with oxo;

R and R' independently are hydrogen or C_1-C_{10} alkyl;

R_2 is selected from the group consisting of H; C_1-C_6 alkyl, optionally substituted with 1, 2, or 3 substituents that are independently selected from the group consisting of C_1-C_2 alkyl, halogen, -OH, -SH, -C≡N, $-CF_3$, C_1-C_3 alkoxy, and $-NR_{1-a}R_{1-b}$; wherein R_{1-a} and R_{1-b} are -H or C_1-C_6 alkyl;

$-(CH_2)_{0-4}$-aryl; $-(CH_2)_{0-4}$-heteroaryl; C_2-C_6 alkenyl; C_2-C_6 alkynyl; $-CONR_{B-2}R_{B-3}$; $-SO_2NR_{B-2}R_{B-3}$; $-CO_2H$; and $-CO_2$-(C_1-C_4 alkyl);

R_3 is selected from the group consisting of H; C_1-C_6 alkyl, optionally substituted with 1, 2, or 3 substituents independently selected from the group consisting of C_1-C_3 alkyl, halogen, -OH, -SH, -C≡N, $-CF_3$, C_1-C_3 alkoxy, and $-NR_{1-a}R_{1-b}$; $-(CH_2)_{0-4}$-aryl; $-(CH_2)_{0-4}$-heteroaryl; C_2-C_6 alkenyl; C_2-C_6 alkynyl; $-CO-NR_{B-2}R_{B-3}$; $-SO_2-NR_{B-2}R_{B-3}$; $-CO_2H$; and $-CO-O-(C_1-C_4$ alkyl);

or

R_2, R_3 and the carbon to which they are attached form a carbocycle of three thru seven carbon atoms, wherein one carbon atom is optionally replaced by a group selected from-O-, -S-, $-SO_2-$, or $-NR_{B-2}-$;

R_C is selected from the group consisting of C_1-C_{10} alkyl optionally substituted with 1, 2, or 3 groups independently selected from the group consisting of R_{205}, $-OC=ONR_{235}R_{240}$, $-S(=O)_{0-2}(C_1-C_6$ alkyl), -SH, $-NR_{235}C=ONR_{235}R_{240}$, $-C=ONR_{235}R_{240}$, and $-S(=O)_2NR_{235}R_{240}$; $-(CH_2)_{0-3}-(C_3-C_8)$ cycloalkyl wherein the cycloalkyl is optionally substituted with 1, 2, or 3 groups independently selected from the group consisting of R_{205}, $-CO_2H$, and $-CO_2-(C_1-C_4$ alkyl); $-(CR_{245}R_{250})_{0-4}$-aryl; $-(CR_{245}R_{250})_{0-4}$-heteroaryl; $-(CR_{245}R_{250})_{0-4}$-heterocycloalkyl; $-(CR_{245}R_{250})_{0-4}$-aryl-heteroaryl; $-(CR_{245}R_{250})_{0-4}$-aryl-heterocycloalkyl; $-(CR_{245}R_{250})_{0-4}$-aryl-aryl; $-(CR_{245}R_{250})_{0-4}$-heteroaryl-aryl; $-(CR_{245}R_{250})_{0-4}$-heteroaryl-heterocycloalkyl; $-(CR_{245}R_{250})_{0-4}$-heteroaryl-heteroaryl; $-(CR_{245}R_{250})_{0-4}$-heterocycloalkyl-heteroaryl; $-(CR_{245}R_{250})_{0-4}$-heterocycloalkyl-heterocycloalkyl; $-(CR_{245}R_{250})_{0-4}$-

heterocycloalkyl-aryl; -[C(R_{265})(R_{260})]$_{1-3}$-CO-N-(R_{255})$_2$; -CH(aryl)$_2$; -CH(heteroaryl)$_2$; -CH(heterocycloalkyl)$_2$; -CH(aryl)(heteroaryl); cyclopentyl, cyclohexyl, or cycloheptyl ring fused to aryl, heteroaryl, or heterocycloalkyl wherein one carbon of the cyclopentyl, cyclohexyl, or cycloheptyl is optionally replaced with NH, NR_{215}, O, or S(=O)$_{0-2}$, and wherein the cyclopentyl, cyclohexyl, or cycloheptyl group can be optionally substituted with 1 or 2 groups that are independently R_{205} or =O; -CO-$NR_{235}R_{240}$; -SO_2-(C_1-C_4 alkyl); C_2-C_{10} alkenyl optionally substituted with 1, 2, or 3 R_{205} groups; C_2-C_{10} alkynyl optionally substituted with 1, 2, or 3 R_{205} groups; -(CH_2)$_{0-1}$-CH(((CH_2)$_{0-6}$-OH)-(CH_2)$_{0-1}$-aryl; -(CH_2)$_{0-1}$-CHR_{C-6}-(CH_2)$_{0-1}$-heteroaryl; -CH(-aryl or -heteroaryl)-CO-O(C_1-C_4 alkyl); -CH(-CH_2-OH)-CH(OH)-phenyl-NO_2; (C_1-C_6 alkyl)-O-(C_1-C_6 alkyl)-OH; -CH_2-NH-CH_2-CH(-O-CH_2-CH_3)$_2$; -H; and -(CH_2)$_{0-6}$-C(=NR_{235})($NR_{235}R_{240}$); wherein

each aryl is optionally substituted with 1, 2, or 3 R_{200};

each heteroaryl is optionally substituted with 1, 2, 3, or 4 R_{200};

each heterocycloalkyl is optionally substituted with 1, 2, 3, or 4 R_{210};

R_{200} at each occurrence is independently selected from the group consisting of C_1-C_6 alkyl optionally substituted with 1, 2, or 3 R_{205} groups; OH; -NO_2; halogen; -CO_2H; C≡N; -(CH_2)$_{0-4}$-CO-$NR_{220}R_{225}$; -(CH_2)$_{0-4}$-CO-(C_1-C_{12} alkyl); -(CH_2)$_{0-4}$-CO-(C_2-C_{12} alkenyl); -(CH_2)$_{0-4}$-CO-(C_2-C_{12} alkynyl); -(CH_2)$_{0-4}$-CO-(C_3-C_7 cycloalkyl); -(CH_2)$_{0-4}$-CO-aryl; -(CH_2)$_{0-4}$-CO-heteroaryl; -(CH_2)$_{0-4}$-CO-

heterocycloalkyl; $-(CH_2)_{0-4}-CO_2R_{215}$; $-(CH_2)_{0-4}-SO_2-NR_{220}R_{225}$; $-(CH_2)_{0-4}-SO-(C_1-C_8$ alkyl); $-(CH_2)_{0-4}-SO_2-(C_1-C_{12}$ alkyl); $-(CH_2)_{0-4}-SO_2-(C_3-C_7$ cycloalkyl); $-(CH_2)_{0-4}-N(H$ or $R_{215})-CO_2R_{215}$; $-(CH_2)_{0-4}-N(H$ or $R_{215})-CO-N(R_{215})_2$; $-(CH_2)_{0-4}-N-CS-N(R_{215})_2$; $-(CH_2)_{0-4}-N(-H$ or $R_{215})-CO-R_{220}$; $-(CH_2)_{0-4}-NR_{220}R_{225}$; $-(CH_2)_{0-4}-O-CO-(C_1-C_6$ alkyl); $-(CH_2)_{0-4}-O-P(O)-(OR_{240})_2$; $-(CH_2)_{0-4}-O-CO-N(R_{215})_2$, $-(CH_2)_{0-4}-O-CS-N(R_{215})_2$; $-(CH_2)_{0-4}-O-(R_{215})_2$; $-(CH_2)_{0-4}-O-(R_{215})_2-COOH$; $-(CH_2)_{0-4}-S-(R_{215})_2$; $-(CH_2)_{0-4}-O-(C_1-C_6$ alkyl optionally substituted with 1, 2, 3, or 5 -F); C_3-C_7 cycloalkyl; C_2-C_6 alkenyl optionally substituted with 1 or 2 R_{205} groups; C_2-C_6 alkynyl optionally substituted with 1 or 2 R_{205} groups; $-(CH_2)_{0-4}-N(H$ or $R_{215})-SO_2-R_{220}$; and $-(CH_2)_{0-4}-C_3-C_7$ cycloalkyl;

wherein each aryl group at each occurrence is optionally substituted with 1, 2, or 3 groups that are independently R_{205}, R_{210} or C_1-C_6 alkyl substituted with 1, 2, or 3 groups that are independently R_{205} or R_{210};

wherein each heterocycloalkyl group at each occurrence is optionally substituted with 1, 2, or 3 groups that are independently R_{210};

wherein each heteroaryl group at each occurrence is optionally substituted with 1, 2, or 3 groups that are independently R_{205}, R_{210}, or C_1-C_6 alkyl substituted with 1, 2, or 3 groups that are independently R_{205} or R_{210};

R_{205} at each occurrence is independently selected from the group consisting of C_1-C_6 alkyl, halogen, -OH, -O-phenyl, -SH, -C≡N, $-CF_3$, C_1-C_6

alkoxy, NH_2, $NH(C_1-C_6$ alkyl), and $N-(C_1-C_6$ alkyl)$(C_1-C_6$ alkyl);

R_{210} at each occurrence is independently selected from the group consisting of C_1-C_6 alkyl optionally substituted with 1, 2, or 3 R_{205} groups; C_2-C_6 alkenyl optionally substituted with 1, 2, or 3 R_{205} groups; C_2-C_6 alkynyl optionally substituted with 1, 2, or 3 R_{205} groups; halogen; C_1-C_6 alkoxy; C_1-C_6 haloalkoxy; $-NR_{220}R_{225}$; OH; C≡N; C_3-C_7 cycloalkyl optionally substituted with 1, 2, or 3 R_{205} groups; $-CO-(C_1-C_4$ alkyl); $-SO_2NR_{215}R_{240}$; $-CO-NR_{215}R_{240}$; $-SO_2-(C_1-C_4$ alkyl); and =O; wherein

R_{215} at each occurrence is independently selected from the group consisting of C_1-C_6 alkyl, $-(CH_2)_{0-2}-$(aryl), C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_3-C_7 cycloalkyl, and $-(CH_2)_{0-2}-$(heteroaryl), $-(CH_2)_{0-2}-$(heterocycloalkyl); wherein the aryl group at each occurrence is optionally substituted with 1, 2, or 3 groups that are independently R_{205} or R_{210}; wherein the heterocycloalkyl group at each occurrence is optionally substituted with 1, 2, or 3 R_{210}; wherein each heteroaryl group at each occurrence is optionally substituted with 1, 2, or 3 R_{210};

R_{220} and R_{225} at each occurrence are independently selected from the group consisting of -H, $-C_1-C_6$ alkyl, hydroxy C_1-C_6 alkyl, amino C_1-C_6 alkyl; halo C_1-C_6 alkyl; $-C_3-C_7$ cycloalkyl, $-(C_1-C_2$ alkyl)$-(C_3-C_7$ cycloalkyl), $-(C_1-C_6$ alkyl)$-O-(C_1-C_3$ alkyl), $-C_2-C_6$ alkenyl, $-C_2-C_6$ alkynyl, $-C_1-C_6$ alkyl chain with one double bond and one triple

bond, -aryl, -heteroaryl, and -heterocycloalkyl; wherein the aryl group at each occurrence is optionally substituted with 1, 2, or 3 R_{270} groups, wherein

R_{270} at each occurrence is independently R_{205}, C_1-C_6 alkyl optionally substituted with 1, 2, or 3 R_{205} groups; C_2-C_6 alkenyl optionally substituted with 1, 2, or 3 R_{205} groups; C_2-C_6 alkynyl optionally substituted with 1, 2, or 3 R_{205} groups; halogen; C_1-C_6 alkoxy; C_1-C_6 haloalkoxy; $NR_{235}R_{240}$; OH; CN; C_3-C_7 cycloalkyl optionally substituted with 1, 2, or 3 R_{205} groups; -CO-(C_1-C_4 alkyl); $-SO_2-NR_{235}R_{240}$; $-CO-NR_{235}R_{240}$; $-SO_2-(C_1-C_4$ alkyl); and =O; wherein the heterocycloalkyl group at each occurrence is optionally substituted with 1, 2, or 3 R_{205} groups; wherein each heteroaryl group at each occurrence is optionally substituted with 1, 2, or 3 R_{205} groups;

R_{235} and R_{240} at each occurrence are independently H, or C_1-C_6 alkyl;

R_{245} and R_{250} at each occurrence are independently selected from the group consisting of H, C_1-C_4 alkyl, C_1-C_4 hydroxyalkyl, C_1-C_4 alkoxy, C_1-C_4 haloalkoxy, $-(CH_2)_{0-4}-C_3-C_7$ cycloalkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, aryl C_1-C_4 alkyl, heteroaryl C_1-C_4 alkyl, and phenyl; or

R_{245} and R_{250} are taken together with the carbon to which they are attached to form a carbocycle of 3, 4, 5, 6, or 7 carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of -O-, -S-, $-SO_2-$, and $-NR_{220}-$;

R_{255} and R_{260} at each occurrence are independently selected from the group consisting of H; C_1-C_6 alkyl optionally substituted with 1, 2, or 3 R_{205} groups; C_2-C_6 alkenyl optionally substituted with 1, 2, or 3 R_{205} groups; C_2-C_6 alkynyl optionally substituted with 1, 2, or 3 R_{205} groups; $-(CH_2)_{1-2}-S(O)_{0-2}-(C_1-C_6$ alkyl); $-(CH_2)_{0-4}-C_3-C_7$ cycloalkyl optionally substituted with 1, 2, or 3 R_{205} groups; $-(C_1-C_4$ alkyl)-aryl; $-(C_1-C_4$ alkyl)-heteroaryl; $-(C_1-C_4$ alkyl)-heterocycloalkyl; -aryl; -heteroaryl; -heterocycloalkyl; $-(CH_2)_{1-4}-R_{265}-(CH_2)_{0-4}$-aryl; $-(CH_2)_{1-4}-R_{265}-(CH_2)_{0-4}$-heteroaryl; and; $-(CH_2)_{1-4}-R_{265}-(CH_2)_{0-4}$-heterocycloalkyl; wherein

R_{265} at each occurrence is independently -O-, -S- or -N(C_1-C_6 alkyl)-;

each aryl or phenyl is optionally substituted with 1, 2, or 3 groups that are independently R_{205}, R_{210}, or C_1-C_6 alkyl substituted with 1, 2, or 3 groups that are independently R_{205} or R_{210};

each heteroaryl is optionally substituted with 1, 2, 3, or 4 R_{200}, each heterocycloalkyl is optionally substituted with 1, 2, 3, or 4 R_{210};

R_{100} and R'_{100} independently represent aryl, heteroaryl, heterocyclyl, -aryl-W-aryl, -aryl-W-heteroaryl, -aryl-W-heterocyclyl, -heteroaryl-W-aryl, -heteroaryl-W-heteroaryl, -heteroaryl-W-heterocyclyl, -heterocyclyl-W-aryl, -heterocyclyl-W-heteroaryl, -heterocyclyl-W-heterocyclyl, $-CH[(CH_2)_{0-2}-O-R_{150}]-(CH_2)_{0-2}$-aryl, $-CH[(CH_2)_{0-2}-O-R_{150}]-(CH_2)_{0-2}$-heterocyclyl or $-CH[(CH_2)_{0-2}-O-R_{150}]-(CH_2)_{0-2}$-heteroaryl, where the ring portions of each are

optionally substituted with 1, 2, or 3 groups independently selected from

-OR, $-NO_2$, C_1-C_6 alkyl, halogen, -C≡N, $-OCF_3$, $-CF_3$, $-(CH_2)_{0-4}-O-P(=O)(OR)(OR')$, $-(CH_2)_{0-4}-CO-NR_{105}R'_{105}$, $-(CH_2)_{0-4}-O-(CH_2)_{0-4}-CONR_{102}R_{102}'$, $-(CH_2)_{0-4}-CO-(C_1-C_{12}$ alkyl), $-(CH_2)_{0-4}-CO-(C_2-C_{12}$ alkenyl), $-(CH_2)_{0-4}-CO-(C_2-C_{12}$ alkynyl), $-(CH_2)_{0-4}-CO-(CH_2)_{0-4}(C_3-C_7$ cycloalkyl), $-(CH_2)_{0-4}-R_{110}$, $-(CH_2)_{0-4}-R_{120}$, $-(CH_2)_{0-4}-R_{130}$, $-(CH_2)_{0-4}-CO-R_{110}$, $-(CH_2)_{0-4}-CO-R_{120}$, $-(CH_2)_{0-4}-CO-R_{130}$, $-(CH_2)_{0-4}-CO-R_{140}$, $-(CH_2)_{0-4}-CO-O-R_{150}$, $-(CH_2)_{0-4}-SO_2-NR_{105}R'_{105}$, $-(CH_2)_{0-4}-SO-(C_1-C_6$ alkyl), $-(CH_2)_{0-4}-SO_2-(C_1-C_{12}$ alkyl), $-(CH_2)_{0-4}-SO_2-(CH_2)_{0-4}-(C_3-C_7$ cycloalkyl), $-(CH_2)_{0-4}-N(R_{150})-CO-O-R_{150}$, $-(CH_2)_{0-4}-N(R_{150})-CO-N(R_{150})_2$, $-(CH_2)_{0-4}-N(R_{150})-CS-N(R_{150})_2$, $-(CH_2)_{0-4}-N(R_{150})-CO-R_{105}$, $-(CH_2)_{0-4}-NR_{105}R'_{105}$, $-(CH_2)_{0-4}-R_{140}$, $-(CH_2)_{0-4}-O-CO-(C_1-C_6$ alkyl), $-(CH_2)_{0-4}-O-P(O)-(O-R_{110})_2$, $-(CH_2)_{0-4}-O-CO-N(R_{150})_2$, $-(CH_2)_{0-4}-O-CS-N(R_{150})_2$, $-(CH_2)_{0-4}-O-(R_{150})$, $-(CH_2)_{0-4}-O-R_{150}'-COOH$, $-(CH_2)_{0-4}-S-(R_{150})$, $-(CH_2)_{0-4}-N(R_{150})-SO_2-R_{105}$, $-(CH_2)_{0-4}-$ C_3-C_7 cycloalkyl, (C_2-C_{10})alkenyl, and (C_2-C_{10})alkynyl, or

R_{100} is C_1-C_{10} alkyl optionally substituted with 1, 2, or 3 R_{115} groups, or

R_{100} is $-(C_1-C_6$ alkyl)$-O-C_1-C_6$ alkyl) or $-(C_1-C_6$ alkyl$)-S-(C_1-C_6$ alkyl), each of which is optionally substituted with 1, 2, or 3 R_{115} groups, or

R_{100} is C_3-C_8 cycloalkyl optionally substituted with 1, 2, or 3 R_{115} groups;

W is $-(CH_2)_{0-4}-$, -O-, $-S(O)_{0-2}-$, $-N(R_{135})-$, -CR(OH)- or -C(O)-;

R_{102} and R_{102}' independently are hydrogen, or

C_1-C_{10} alkyl optionally substituted with 1, 2, or 3 groups that are independently halogen, aryl or $-R_{110}$;

R_{105} and R'_{105} independently represent -H, $-R_{110}$, $-R_{120}$, C_3-C_7 cycloalkyl, $-(C_1$-C_2 alkyl$)-(C_3$-C_7 cycloalkyl$)$, $-(C_1$-C_6 alkyl$)$-O-$(C_1$-C_3 alkyl$)$, C_2-C_6 alkenyl, C_2-C_6 alkynyl, or C_1-C_6 alkyl chain with one double bond and one triple bond, or

C_1-C_6 alkyl optionally substituted with -OH or $-NH_2$; or,

C_1-C_6 alkyl optionally substituted with 1, 2, or 3 groups independently selected from halogen, or

R_{105} and R'_{105} together with the atom to which they are attached form a 3 to 7 membered carbocylic ring, where one member is optionally a heteratom selected from -O-, $-S(O)_{0-2}$-, $-N(R_{135})$-, the ring being optionally substituted with 1, 2 or 3 independently selected R_{140} groups;

R_{115} at each occurrence is independently halogen, -OH, $-CO_2R_{102}$, $-C_1$-C_6 thioalkoxy, $-CO_2$-phenyl, $-NR_{105}R'_{135}$, $-SO_2-(C_1$-C_8 alkyl$)$, $-C(=O)R_{130}$, R_{130}, $-CONR_{105}R'_{105}$, $-SO_2NR_{105}R'_{105}$, -NH-CO-$(C_1$-C_6 alkyl$)$, -NH-C(=O)-OH, -NH-C(=O)-OR, -NH-C(=O)-O-phenyl, -O-C(=O)-$(C_1$-C_6 alkyl$)$, -O-C(=O)-amino, -O-C(=O)-mono- or dialkylamino, -O-C(=O)-phenyl, -O-$(C_1$-C_6 alkyl$)-CO_2H$, $-NH-SO_2-(C_1$-C_6 alkyl$)$, C_1-C_6 alkoxy or C_1-C_6 haloalkoxy;

R_{135} is C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_3-C_7 cycloalkyl, $-(CH_2)_{0-2}$-(aryl), $-(CH_2)_{0-2}$-(heteroaryl), or $-(CH_2)_{0-2}$-(heterocyclyl);

R_{140} is heterocyclyl optionally substituted with 1, 2, 3, or 4 groups independently selected from C_1-C_6 alkyl, C_1-C_6 alkoxy, halogen, hydroxy, cyano, nitro, amino,

mono(C_1-C_6)alkylamino, di(C_1-C_6)alkylamino, C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_1-C_6 haloalkyl, C_1-C_6 haloalkoxy, amino(C_1-C_6)alkyl, mono(C_1-C_6)alkylamino(C_1-C_6)alkyl, di(C_1-C_6)alkylamino(C_1-C_6)alkyl, and =O;

R_{145} is C_1-C_6 alkyl or CF_3;

R_{150} is hydrogen, C_3-C_7 cycloalkyl, -(C_1-C_2 alkyl)-(C_3-C_7 cycloalkyl), C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_1-C_6 alkyl with one double bond and one triple bond, -R_{110}, -R_{120}, or

C_1-C_6 alkyl optionally substituted with 1, 2, 3, or 4 groups independently selected from -OH, -NH_2, C_1-C_3 alkoxy, R_{110}, and halogen;

$R_{150'}$ is C_3-C_7 cycloalkyl, -(C_1-C_3 alkyl)-(C_3-C_7 cycloalkyl), C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_1-C_6 alkyl with one double bond and one triple bond, -R_{110}, -R_{120}, or

C_1-C_6 alkyl optionally substituted with 1, 2, 3, or 4 groups independently selected from -OH, -NH_2, C_1-C_3 alkoxy, R_{110}, and halogen;

R_{155} is C_3-C_7 cycloalkyl, -(C_1-C_2 alkyl)-(C_3-C_7 cycloalkyl), C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_1-C_6 alkyl with one double bond and one triple bond, -R_{110}, -R_{120}, or

C_1-C_6 alkyl optionally substituted with 1, 2, 3, or 4 groups independently selected from -OH, -NH_2, C_1-C_3 alkoxy, and halogen;

R_{160} is selected from morpholinyl, thiomorpholinyl, piperazinyl, piperidinyl, homomorpholinyl, homothiomorpholinyl, homothiomorpholinyl S-oxide, homothiomorpholinyl S,S-dioxide, pyrrolinyl and pyrrolidinyl, each of which is optionally substituted with 1, 2, 3, or 4 groups independently selected from C_1-C_6 alkyl, C_1-C_6 alkoxy, halogen,

hydroxy, cyano, nitro, amino, mono(C_1-C_6)alkylamino, di(C_1-C_6)alkylamino, C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_1-C_6 haloalkyl, C_1-C_6 haloalkoxy, amino(C_1-C_6)alkyl, mono(C_1-C_6)alkylamino(C_1-C_6)alkyl, di(C_1-C_6)alkylamino(C_1-C_6)alkyl, and =O;

R_{110} is aryl optionally substituted with 1 or 2 R_{125} groups;

R_{125} at each occurrence is independently halogen, amino, mono- or dialkylamino, -OH, -C≡N, $-SO_2-NH_2$, $-SO_2-NH-C_1-C_6$ alkyl, $-SO_2-N(C_1-C_6$ alkyl$)_2$, $-SO_2-(C_1-C_4$ alkyl), $-CO-NH_2$, $-CO-NH-C_1-C_6$ alkyl, or $-CO-N(C_1-C_6$ alkyl$)_2$, or

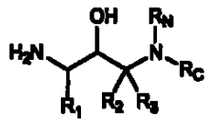
C_1-C_6 alkyl, C_2-C_6 alkenyl or C_2-C_6 alkynyl, each of which is optionally substituted with 1, 2, or 3 groups that are independantly selected from C_1-C_3 alkyl, halogen, -OH, -SH, -C≡N, $-CF_3$, C_1-C_3 alkoxy, amino, and mono- and dialkylamino, or

C_1-C_6 alkoxy optionally substituted with one, two or three of halogen;

R_{120} is heteroaryl, which is optionally substituted with 1 or 2 R_{125} groups; and

R_{130} is heterocyclyl optionally substituted with 1 or 2 R_{125} groups.

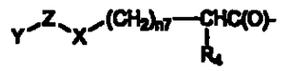
The invention also provides compounds of the formula I:



(I)

and pharmaceutically acceptable salts thereof, wherein R_1, R_2, R_3, and R_C are as defined for formula (AA), and

R_M is $-C(=O)-(CRR')_{0-6}R_{100}$, $-C(=O)-(CRR')_{1-6}-O-R'_{100}$, $-C(=O)-(CRR')_{1-6}-S-R'_{100}$, $-C(=O)-(CRR')_{1-6}-C(=O)-R_{100}$, $-C(=O)-(CRR')_{1-6}-SO_2-R_{100}$, $-C(=O)-(CRR')_{1-6}-NR_{100}-R'_{100}$, or

R_M is 

wherein

R_4 is selected from the group consisting of H; NH_2; $-NH-(CH_2)_{n6}-R_{4-1}$; $-NHR_5$; $-NR_{50}C(O)R_5$; C_1-C_4 alkyl-$NHC(O)R_5$; $-(CH_2)_{0-4}R_5$; $-O-C_1-C_6$ alkanoyl; OH; C_6-C_{10} aryloxy optionally substituted with 1, 2, or 3 groups that are independently halogen, C_1-C_4 alkyl, $-CO_2H$, $-C(O)-C_1-C_4$ alkoxy, or C_1-C_4 alkoxy; C_1-C_6 alkoxy; aryl C_1-C_4 alkoxy; $-NR_{50}CO_2R_{51}$; $-C_1-C_4$ alkyl-$NR_{50}CO_2R_{51}$; $-C\equiv N$; $-CF_3$; $-CF_2-CF_3$; $-C\equiv CH$; $-CH_2-CH=CH_2$; $-(CH_2)_{1-4}-R_{4-1}$; $-(CH_2)_{1-4}-NH-R_{4-1}$; $-O-(CH_2)_{n6}-R_{4-1}$; $-S-(CH_2)_{n6}-R_{4-1}$; $-(CH_2)_{0-4}-NHC(O)-(CH_2)_{0-6}-R_{52}$; $-(CH_2)_{0-4}-R_{53}-(CH_2)_{0-4}-R_{54}$;

wherein

n_6 is 0, 1, 2, or 3;

n_7 is 0, 1, 2, or 3;

R_{4-1} is selected from the group consisting of $-SO_2-(C_1-C_8$ alkyl), $-SO-(C_1-C_8$ alkyl), $-S-(C_1-C_8$ alkyl), $-S-CO-(C_1-C_6$ alkyl), $-SO_2-NR_{4-2}R_{4-3}$; $-CO-C_1-C_2$ alkyl; $-CO-NR_{4-2}R_{4-4}$;

R_{4-2} and R_{4-3} are independently H, C_1-C_3 alkyl, or C_3-C_6 cycloalkyl;

R_{4-4} is alkyl, arylalkyl, alkanoyl, or arylalkanoyl;

R_{4-5} is-H or C_1-C_6 alkyl;

R_5 is selected from the group consisting of C_3-C_7 cycloalkyl; C_1-C_6 alkyl optionally substituted with 1, 2, or 3 groups that are independently halogen, $-NR_6R_7$, C_1-C_4 alkoxy, C_5-C_6

3 groups that are independently OH, C_1-C_4 alkyl, C_1-C_4 alkoxy, halogen, NH_2, $NH(C_1$-C_6 alkyl) or $N(C_1$-C_6 alkyl)(C_1-C_6 alkyl); heteroarylalkyl optionally substituted with 1, 2, or 3 groups that are independently C_1-C_4 alkyl, C_1-C_4 alkoxy, halogen, NH_2, $NH(C_1$-C_6 alkyl) or $N(C_1$-C_6 alkyl)(C_1-C_6 alkyl); aryl; heterocycloalkyl; C_3-C_8 cycloalkyl; and cycloalkylalkyl; wherein the aryl; heterocycloalkyl, C_3-C_8 cycloalkyl, and cycloalkylalkyl groups are optionally substituted with 1, 2, 3, 4 or 5 groups that are independently halogen, CN, NO_2, C_1-C_6 alkyl, C_1-C_6 alkoxy, C_2-C_6 alkanoyl, C_1-C_6 haloalkyl, C_1-C_6 haloalkoxy, hydroxy, C_1-C_6 hydroxyalkyl, C_1-C_6 alkoxy C_1-C_6 alkyl, C_1-C_6 thioalkoxy, C_1-C_6 thioalkoxy C_1-C_6 alkyl, or C_1-C_6 alkoxy C_1-C_6 alkoxy;

R_{32} is heterocycloalkyl, heteroaryl, aryl, cycloalkyl, $-S(O)_{0-2}$-C_1-C_6 alkyl, CO_2H, $-C(O)NH_2$, $-C(O)NH$(alkyl), $-C(O)N$(alkyl)(alkyl), $-CO_2$-alkyl, $-NHS(O)_{0-2}$-C_1-C_6 alkyl, $-N$(alkyl)$S(O)_{0-2}$-C_1-C_6 alkyl, $-S(O)_{0-2}$-heteroaryl, $-S(O)_{0-2}$-aryl, $-NH$(arylalkyl), $-N$(alkyl)(arylalkyl), thioalkoxy, or alkoxy, each of which is optionally substituted with 1, 2, 3, 4, or 5 groups that are independently alkyl, alkoxy, thioalkoxy, halogen, haloalkyl, haloalkoxy, alkanoyl, NO_2, CN, alkoxycarbonyl, or aminocarbonyl;

R_{33} is absent, -O-, -C(O)-, -NH-, -N(alkyl)-, $-NH$-$S(O)_{0-2}$-, $-N$(alkyl)$-S(O)_{0-2}$-, $-S(O)_{0-2}-NH$-, $-S(O)_{0-2}$- N(alkyl)-, -NH-C(S)-, or -N(alkyl)-C(S)-;

heterocycloalkyl, C_8-C_8 heteroaryl, C_6-C_{10} aryl, C_3-C_7 cycloalkyl C_1-C_4 alkyl, -S-C_1-C_4 alkyl, -SO_2-C_1-C_4 alkyl, -CO_2H, -$CONR_6R_7$, -CO_2-C_1-C_4 alkyl, C_6-C_{10} arylcoxy; heteroaryl optionally substituted with 1, 2, or 3 groups that are independently C_1-C_4 alkyl, C_1-C_4 alkoxy, halogen, C_1-C_4 haloalkyl, or OH; heterocycloalkyl optionally substituted with 1, 2, or 3 groups that are independently C_1-C_4 alkyl, C_1-C_4 alkoxy, halogen, or C_2-C_4 alkanoyl; aryl optionally substituted with 1, 2, 3, or 4 groups that are independently halogen, OH, C_1-C_4 alkyl, C_1-C_4 alkoxy, or C_1-C_4 haloalkyl; and -NR_6R_7; wherein

R_6 and R_7 are independently selected from the group consisting of H, C_1-C_6 alkyl, C_2-C_6 alkanoyl, phenyl, -SO_2-C_1-C_4 alkyl, phenyl C_1-C_4 alkyl;

R_8 is selected from the group consisting of -SO_2-heteroaryl, -SO_2-aryl, -SO_2-heterocycloalkyl, -SO_2-C_1-C_{10} alkyl, -C(O)NHR_9, heterocycloalkyl, -S-C_1-C_6 alkyl, -S-C_2-C_4 alkanoyl, wherein

R_9 is aryl C_1-C_4 alkyl, C_1-C_6 alkyl, or H;

R_{50} is H or C_1-C_6 alkyl;

R_{51} is selected from the group consisting of aryl C_1-C_4 alkyl; C_1-C_8 alkyl optionally substituted with 1, 2, or 3 groups that are independently halogen, cyano, heteroaryl, -NR_6R_7, -C(O)NR_6R_7, C_3-C_7 cycloalkyl, or -C_1-C_4 alkoxy; heterocycloalkyl optionally substituted with 1 or 2 groups that are independently C_1-C_4 alkyl, C_1-C_4 alkoxy, halogen, C_2-C_4 alkanoyl, aryl C_1-C_4 alkyl, and -SO_2 C_1-C_4 alkyl; alkenyl; alkynyl; heteroaryl optionally substituted with 1, 2, or

R_{24} is heteroaryl, aryl, arylalkyl, heterocycloalkyl, CO_2H, $-CO_2$-alkyl, -C(O)NH(alkyl), -C(O)N(alkyl)(alkyl), $-C(O)NH_2$, C_1-C_8 alkyl, OH, aryloxy, alkoxy, arylalkoxy, NH_2, NH(alkyl), N(alkyl)(alkyl), or -C_1-C_6 alkyl-CO_2-C_1-C_6 alkyl, each of which is optionally substituted with 1, 2, 3, 4, or 5 groups that are independently alkyl, alkoxy, CO_2H, $-CO_2$-alkyl, thioalkoxy, halogen, haloalkyl, haloalkoxy, hydroxyalkyl, alkanoyl, NO_2, CN, alkoxycarbonyl, or aminocarbonyl;

X is selected from the group consisting of -C_1-C_6 alkylidenyl optionally optionally substituted with 1, 2, or 3 methyl groups; and $-NR_{4-6}-$; or

R_4 and R_{4-6} combine to form $-(CH_2)_{n10}-$, wherein

n_{10} is 1, 2, 3, or 4;

Z is selected from the group consisting of a bond; SO_2; SO; S; and C(O);

Y is selected from the group consisting of H; C_1-C_4 haloalkyl; C_5-C_6 heterocycloalkyl; C_6-C_{10} aryl; OH; $-N(Y_1)(Y_2)$; C_1-C_{10} alkyl optionally substituted with 1 thru 3 substituents which can be the same or different and are selected from the group consisting of halogen, hydroxy, alkoxy, thioalkoxy, and haloalkoxy; C_3-C_8 cycloalkyl optionally substituted with 1, 2, or 3 groups independently selected from C_1-C_3 alkyl, and halogen; alkoxy; aryl optionally substituted with halogen, alkyl, alkoxy, CN or NO_2; arylalkyl optionally substituted with halogen, alkyl, alkoxy, CN or NO_2; wherein

Y_1 and Y_2 are the same or different and are H; C_1-C_{10} alkyl optionally substituted with 1, 2, or 3 substituents selected from the group consisting of halogen, C_1-C_4 alkoxy, C_3-C_8 cycloalkyl, and

OH; C_2-C_6 alkenyl; C_2-C_6 alkanoyl; phenyl; -SO_2-C_1-C_4 alkyl; phenyl C_1-C_4 alkyl; or C_3-C_8 cycloalkyl C_1-C_4 alkyl; or

Y_1, Y_2 and the nitrogen to which they are attached form a ring selected from the group consisting of piperazinyl, piperidinyl, morpholinyl, and pyrolidinyl, wherein each ring is optionally substituted with 1, 2, 3, or 4 groups that are independently C_1-C_6 alkyl, C_1-C_6 alkoxy, C_1-C_6 alkoxy C_1-C_6 alkyl, or halogen;

R_{100} and R'_{100} independently represent aryl, heteroaryl, heterocyclyl, -aryl-W-aryl, -aryl-W-heteroaryl, -aryl-W-heterocyclyl, -heteroaryl-W-aryl, -heteroaryl-W-heteroaryl, -heteroaryl-W-heterocyclyl, -heterocyclyl-W-aryl, -heterocyclyl-W-heteroaryl, -heterocyclyl-W-heterocyclyl, $-CH[(CH_2)_{0-2}-O-R_{150}]-(CH_2)_{0-2}$-aryl, $-CH[(CH_2)_{0-2}-O-R_{150}]-(CH_2)_{0-2}$-heterocyclyl or $-CH[(CH_2)_{0-2}-O-R_{150}]-(CH_2)_{0-2}$-heteroaryl, where the ring portions of each are optionally substituted with 1, 2, or 3 groups independently selected from

-OR, $-NO_2$, C_1-C_6 alkyl, halogen, -CN, $-OCF_3$, $-CF_3$, $-(CH_2)_{0-4}-O-P(=O)(OR)(OR')$, $-(CH_2)_{0-4}-CO-NR_{105}R'_{105}$, $-(CH_2)_{0-4}-O-(CH_2)_{0-4}-CONR_{102}R_{102}'$, $-(CH_2)_{0-4}-CO-(C_1-C_{12}$ alkyl), $-(CH_2)_{0-4}-CO-(C_2-C_{12}$ alkenyl), $-(CH_2)_{0-4}-CO-(C_2-C_{12}$ alkynyl), $-(CH_2)_{0-4}-CO-(CH_2)_{0-4}(C_3-C_7$ cycloalkyl), $-(CH_2)_{0-4}-R_{110}$, $-(CH_2)_{0-4}-R_{120}$, $-(CH_2)_{0-4}-R_{130}$, $-(CH_2)_{0-4}-CO-R_{110}$, $-(CH_2)_{0-4}-CO-R_{120}$, $-(CH_2)_{0-4}-CO-R_{130}$, $-(CH_2)_{0-4}-CO-R_{140}$, $-(CH_2)_{0-4}-CO-O-R_{150}$, $-(CH_2)_{0-4}-SO_2-NR_{105}R'_{105}$, $-(CH_2)_{0-4}-SO-(C_1-C_8$ alkyl), $-(CH_2)_{0-4}-SO_2-(C_1-C_{12}$ alkyl), $-(CH_2)_{0-4}-SO_2-(CH_2)_{0-4}-(C_3-C_7$ cycloalkyl), $-(CH_2)_{0-4}-N(R_{150})-CO-O-R_{150}$, $-(CH_2)_{0-4}-N(R_{150})-CO-N(R_{150})_2$, $-(CH_2)_{0-4}-$

$N(R_{150})$-CS-$N(R_{150})_2$, $-(CH_2)_{0-4}-N(R_{150})$-CO-R_{105}, $-(CH_2)_{0-4}-NR_{105}R'_{105}$, $-(CH_2)_{0-4}-R_{140}$, $-(CH_2)_{0-4}-O-CO-(C_1-C_6$ alkyl), $-(CH_2)_{0-4}-O-P(O)-(O-R_{110})_2$, $-(CH_2)_{0-4}-O-CO-N(R_{150})_2$, $-(CH_2)_{0-4}-O-CS-N(R_{150})_2$, $-(CH_2)_{0-4}-O-(R_{150})$, $-(CH_2)_{0-4}-O-R_{150}'$-COOH, $-(CH_2)_{0-4}-S-(R_{150})$, $-(CH_2)_{0-4}-N(R_{150})-SO_2-R_{105}$, $-(CH_2)_{0-4}-$ C_3-C_7 cycloalkyl, (C_2-C_{10})alkenyl, and (C_2-C_{10})alkynyl, or

R_{100} is C_1-C_{10} alkyl optionally substituted with 1, 2, or 3 R_{115} groups, or

R_{100} is $-(C_1-C_6$ alkyl)-O-C_1-C_6 alkyl) or $-(C_1-C_6$ alkyl)-S-$(C_1-C_6$ alkyl), each of which is optionally substituted with 1, 2, or 3 R_{115} groups, or

R_{100} is C_3-C_8 cycloalkyl optionally substituted with 1, 2, or 3 R_{115} groups;

W is $-(CH_2)_{0-4}-$, -O-, $-S(O)_{0-2}-$, $-N(R_{135})-$, -CR(OH)- or -C(O)-;

R_{102} and R_{102}' independently are hydrogen, or

C_1-C_{10} alkyl optionally substituted with 1, 2, or 3 groups that are independently halogen, aryl or $-R_{110}$;

R_{105} and R'_{105} independently represent -H, $-R_{110}$, $-R_{120}$, C_3-C_7 cycloalkyl, $-(C_1-C_2$ alkyl)-$(C_3-C_7$ cycloalkyl), $-(C_1-C_6$ alkyl)-O-$(C_1-C_3$ alkyl), C_2-C_6 alkenyl, C_2-C_6 alkynyl, or C_1-C_6 alkyl chain with one double bond and one triple bond, or

C_1-C_6 alkyl optionally substituted with -OH or $-NH_2$; or,

C_1-C_6 alkyl optionally substituted with 1, 2, or 3 groups indspendently selected from halogen, or

R_{105} and R'_{105} together with the atom to which they are attached form a 3 to 7 membered carbocylic ring, where one member is optionally a heteratom selected

from -O-, -S(O)$_{0-2}$-, -N(R_{135})-, the ring being optionally substituted with 1, 2 or 3 independently selected R_{140} groups;

R_{115} at each occurrence is independently halogen, -OH, -CO_2R_{102}, -C_1-C_6 thioalkoxy, -CO_2-phenyl, -$NR_{105}R'_{105}$, -SO_2-(C_1-C_6 alkyl), -C(=O)R_{150}, R_{150}, -$CONR_{105}R'_{105}$, -$SO_2NR_{105}R'_{105}$, -NH-CO-(C_1-C_6 alkyl), -NH-C(=O)-OH, -NH-C(=O)-OR, -NH-C(=O)-O-phenyl, -O-C(=O)-(C_1-C_6 alkyl), -O-C(=O)-amino, -O-C(=O)-mono- or dialkylamino, -O-C(=O)-phenyl, -O-(C_1-C_6 alkyl)-CO_2H, -NH-SO_2-(C_1-C_6 alkyl), C_1-C_6 alkoxy or C_1-C_6 haloalkoxy;

R_{135} is C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_3-C_7 cycloalkyl, -(CH_2)$_{0-2}$-(aryl), -(CH_2)$_{0-2}$-(heteroaryl), or -(CH_2)$_{0-2}$-(heterocyclyl);

R_{140} is heterocyclyl optionally substituted with 1, 2, 3, or 4 groups independently selected from C_1-C_6 alkyl, C_1-C_6 alkoxy, halogen, hydroxy, cyano, nitro, amino, mono(C_1-C_6)alkylamino, di(C_1-C_6)alkylamino, C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_1-C_6 haloalkyl, C_1-C_6 haloalkoxy, amino(C_1-C_6)alkyl, mono(C_1-C_6)alkylamino(C_1-C_6)alkyl, di(C_1-C_6)alkylamino(C_1-C_6)alkyl, and =O;

R_{145} is C_1-C_6 alkyl or CF_3;

R_{150} is hydrogen, C_3-C_7 cycloalkyl, -(C_1-C_2 alkyl)-(C_3-C_7 cycloalkyl), C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_1-C_6 alkyl with one double bond and one triple bond, -R_{110}, -R_{120}, or

C_1-C_6 alkyl optionally substituted with 1, 2, 3, or 4 groups independently selected from -OH, -NH_2, C_1-C_3 alkoxy, R_{110}, and halogen;

R_{150}' is C_3-C_7 cycloalkyl, -(C_1-C_3 alkyl)-(C_3-C_7 cycloalkyl), C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_1-C_6 alkyl

with one double bond and one triple bond, -R_{110}, -R_{120}, or

C_1-C_6 alkyl optionally substituted with 1, 2, 3, or 4 groups independently selected from -OH, -NH_2, C_1-C_3 alkoxy, R_{110}, and halogen;

R_{155} is C_3-C_7 cycloalkyl, -(C_1-C_2 alkyl)-(C_3-C_7 cycloalkyl), C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_1-C_6 alkyl with one double bond and one triple bond, -R_{110}, -R_{120}, or

C_1-C_6 alkyl optionally substituted with 1, 2, 3, or 4 groups independently selected from -OH, -NH_2, C_1-C_3 alkoxy, and halogen;

R_{180} is selected from morpholinyl, thiomorpholinyl, piperazinyl, piperidinyl, homomorpholinyl, homothiomorpholinyl, homothiomorpholinyl S-oxide, homothiomorpholinyl S,S-dioxide, pyrrolinyl and pyrrolidinyl, each of which is optionally substituted with 1, 2, 3, or 4 groups independently selected from C_1-C_6 alkyl, C_1-C_6 alkoxy, halogen, hydroxy, cyano, nitro, amino, mono(C_1-C_6)alkylamino, di(C_1-C_6)alkylamino, C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_1-C_6 haloalkyl, C_1-C_6 haloalkoxy, amino(C_1-C_6)alkyl, mono(C_1-C_6)alkylamino(C_1-C_6)alkyl, di(C_1-C_6)alkylamino(C_1-C_6)alkyl, and =O;

R_{110} is aryl optionally substituted with 1 or 2 R_{125} groups;

R_{125} at each occurrence is independently halogen, amino, mono- or dialkylamino, -OH, -CmN, -SO_2-NH_2, -SO_2-NH-C_1-C_6 alkyl, -SO_2-N(C_1-C_6 alkyl)$_2$, -SO_2-(C_1-C_4 alkyl), -CO-NH_2, -CO-NH-C_1-C_6 alkyl, or -CO-N(C_1-C_6 alkyl)$_2$, or

C_1-C_6 alkyl, C_2-C_6 alkenyl or C_2-C_6 alkynyl, each of which is optionally substituted with 1, 2, or 3 groups that are independently selected from C_1-

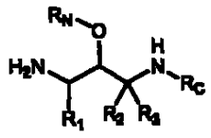
C_3 alkyl, halogen, -OH, -SH, -CN, $-CF_3$, C_1-C_3 alkoxy, amino, and mono- and dialkylamino, or

C_1-C_6 alkoxy optionally substituted with one, two or three of halogen;

R_{120} is heteroaryl, which is optionally substituted with 1 or 2 R_{125} groups; and

R_{130} is heterocyclyl optionally substituted with 1 or 2 R_{125} groups.

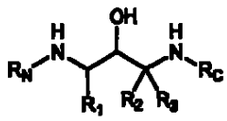
The invention also provides compounds of the formula X:



(X)

and pharmaceutically acceptable salts thereof, wherein R_1, R_2, R_3, R_N and R_C are as defined for formula (I).

The invention also provides methods of generating compounds of formula (Y) from the compounds of formulae (AA), (I) or (X):



(Y)

wherein R_1, R_2, R_3, R_N and R_C are as defined for formula (I). The generation of compounds of formula (Y) from compounds of formulae (AA), (I) or (X) can occur *in vivo* or *in vitro*. Compounds of formula Y are useful for treating and/or preventing Alzheimer's disease.

The invention also provides processes for converting compounds of formula AA, I or X to the compounds of

formula Y. The conversion and/or generation of compounds of formula Y involves contacting the compounds of formula I and/or X with an aqueous medium. The conversion can occur *in vitro* or *in vivo*.

The invention also provides methods for the treatment or prevention of Alzheimer's disease, mild cognitive impairment Down's syndrome, Hereditary Cerebral Hemorrhage with Amyloidosis of the Dutch-Type, cerebral amyloid angiopathy, other degenerative dementias, 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 compriseing administration of a therapeutically effective amount of a compound or salt of formula AA, I or X, to a patient in need thereof.

Preferably, the patient is a human.

More preferably, the disease is Alzheimer's disease.

More preferably, the disease is dementia.

The invention also provides pharmaceutical compositions comprising a compound or salt of formula AA, I or X and at least one pharmaceutically acceptable carrier, solvent, adjuvant or diluent.

The invention also provides the use of a compound or salt according to formula AA, I or X for the manufacture of a medicament.

The invention also provides the use of a compound or salt of formula (AA), formula (I) or formula (X) for the treatment or prevention of Alzheimer's disease, mild cognitive impairment Down's syndrome, Hereditary Cerebral Hemorrhage with Amyloidosis of the Dutch-Type, cerebral amyloid angiopathy, other degenerative dementias,

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.

The invention also provides compounds, pharmaceutical 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 invention also provides methods of preparing the compounds of the invention and the intermediates used in those methods.

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, and for treating frontotemporal dementias with parkinsonism (FTDP).

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

Unless the substituents for a particular formula are expressly defined for that formula, they are understood to carry the definitions set forth in connection with the preceding formula to which the particular formula makes reference.

DETAILED DESCRIPTION OF THE INVENTION

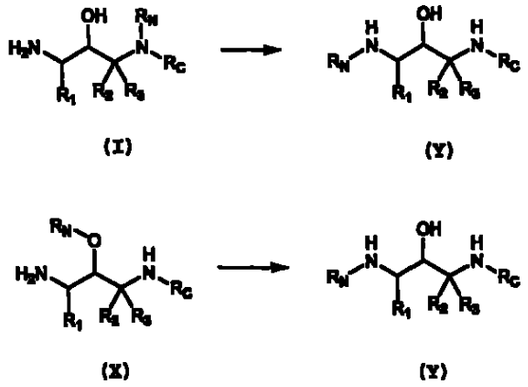
As noted above, the invention provides compounds of formulae (AA), (I) and (X) that are useful in the treatment and prevention of Alzheimer's disease. These compounds can be viewed as prodrugs of the active compounds of Formula Y since they generate the active compound both in vivo and in vitro.

The compounds of formula AA, I and X undergo acyl group migration of the R_B group when in contact with water, as depicted in Scheme I. The migration associated with compounds of formula (I) is referred to herein as "N-acyl migration." The migration associated with compounds of formula (X) is referred to herein as "O-acyl migration."

The migrations depicted in SCHEME 1 can occur either *in vitro* or *in vivo* and occur when the compounds are contacted with aqueous media, including water itself. The aqueous medium can be neutral, acidic or basic. It is preferred that the media have a pH of about 2 to about 10, more preferably, about 3 to about 7. The amount of water required for the migration is not critical. A catalytic amount of aqueous media will suffice to cause the migration. Aqueous buffer solutions as well as gastric fluid are satisfactory media for the migration to occur.

The products of the rearrangements of the compounds of formula AA, formula I and/or formula X are the compounds of formula (Y). The substituents R_1, R_2, R_3, R_B and R_C in the compounds (Y) are as defined above for compounds of formula (I).

SCHEME 1



(I) (Y)

(X) (Y)

Preferred compounds of formula AA include those of formula AA-1, i.e., compounds of formula AA wherein

R_1 is aryl, heteroaryl, heterocyclyl, -C_1-C_6 alkyl-aryl, -C_1-C_6 alkyl-heteroaryl, or -C_1-C_6 alkyl-heterocyclyl, where the ring portions of each are optionally substituted with 1, 2, 3, or 4 groups independently selected from halogen, -OH, -SH, -C≡N, -NO_2, -$NR_{100}R'_{100}$, -CO_2R, -N(R)COR', or -N(R)SO_2R', -C(=O)-(C_1-C_4) alkyl, -SO_2-amino, -SO_2-mono or dialkylamino, -C(=O)-amino, -C(=O)-mono or dialkylamino, -SO_2-(C_1-C_4) alkyl, or

C_1-C_6 alkoxy optionally substituted with 1, 2, or 3 groups which are independently selected from halogen, or

C_3-C_7 cycloalkyl optionally substituted with 1, 2, or 3 groups independently selected from halogen, -OH, -SH, -C≡N, -CF_3, C_1-C_3 alkoxy, amino, -C_1-C_6 alkyl and mono- or dialkylamino, or

C_1-C_{10} alkyl optionally substituted with 1, 2, or 3 groups independently selected from halogen, -OH, -SH, -C≡N, -CF_3, -C_1-C_3 alkoxy, amino, mono- or dialkylamino and -C_1-C_3 alkyl, or

C_2-C_{10} alkenyl or C_2-C_{10} alkynyl each of which is optionally substituted with 1, 2, or 3 groups independently selected from halogen, -OH, -SH, -C≡N, -CF_3, C_1-C_3 alkoxy, amino, C_1-C_6 alkyl and mono- or dialkylamino; and the heterocyclyl group is optionally further substituted with oxo.

Preferred compounds of formula AA-1 also include those wherein

R_1 is -C_1-C_6 alkyl-aryl, -C_1-C_6 alkyl-heteroaryl, or -C_1-C_6 alkyl-heterocyclyl, where the ring portions of each are optionally substituted with 1, 2, 3, or 4 groups independently selected from halogen, -OH, -SH, -C≡N, -NO_2, -$NR_{10s}R'_{10s}$, -CO_2R, -N(R)COR', or -N(R)SO_2R', -C(=O)-(C_1-C_4) alkyl, -SO_2-amino, -SO_2-mono or dialkylamino, -C(=O)-amino, -C(=O)-mono or dialkylamino, -SO_2-(C_1-C_4) alkyl, or

C_1-C_6 alkoxy optionally substituted with 1, 2, or 3 groups which are independently selected from halogen, or

C_3-C_7 cycloalkyl optionally substituted with 1, 2, or 3 groups independently selected from halogen, -OH, -SH, -C≡N, -CF_3, C_1-C_3 alkoxy, amino, -C_1-C_6 alkyl and mono- or dialkylamino, or

C_1-C_{10} alkyl optionally substituted with 1, 2, or 3 groups independently selected from halogen, -OH, -SH, -C≡N, -CF_3, -C_1-C_3 alkoxy, amino, mono- or dialkylamino and -C_1-C_3 alkyl, or

C_2-C_{10} alkenyl or C_2-C_{10} alkynyl each of which is optionally substituted with 1, 2, or 3 groups independently selected from halogen, -OH, -SH, -C≡N, -CF_3, C_1-C_3 alkoxy, amino, C_1-C_6 alkyl and mono- or dialkylamino; and the heterocyclyl group is optionally further substituted with oxo.

Preferred compounds of formula AA-1 further include those wherein

R_1 is -(CH_2)-aryl, -(CH_2)-heteroaryl, or -(CH_2)-heterocyclyl, where the ring portions of each are optionally substituted with 1, 2, 3, or 4 groups independently selected from halogen, -OH, -SH, -C≡N, -NO_2, -$NR_{10s}R'_{10s}$, -CO_2R, -N(R)COR', or -N(R)SO_2R', -C(=O)-(C_1-C_4) alkyl, -SO_2-amino, -SO_2-mono or dialkylamino, -C(=O)-amino, -C(=O)-mono or dialkylamino, -SO_2-(C_1-C_4) alkyl, or

C_1-C_6 alkoxy optionally substituted with 1, 2, or 3 groups which are independently selected from halogen, or

C_3-C_7 cycloalkyl optionally substituted with 1, 2, or 3 groups independently selected from halogen, -OH, -SH, -C≡N, -CF_3, C_1-C_3 alkoxy, amino, -C_1-C_6 alkyl and mono- or dialkylamino, or

C_1-C_{10} alkyl optionally substituted with 1, 2, or 3 groups independently selected from halogen, -OH, -SH, -C≡N, -CF_3, -C_1-C_3 alkoxy, amino, mono- or dialkylamino and -C_1-C_3 alkyl, or

C_2-C_{10} alkenyl or C_2-C_{10} alkynyl each of which is optionally substituted with 1, 2, or 3 groups independently selected from halogen, -OH, -SH, -C≡N, -CF_3, C_1-C_3 alkoxy, amino, C_1-C_6 alkyl and mono- or dialkylamino; and the heterocyclyl group is optionally further substituted with oxo.

Preferred compounds of formula AA-1 also include those wherein

R_1 is -CH_2-phenyl or -CH_2-pyridinyl where the ring portions of each are optionally substituted with 1, 2, 3, or 4 groups independently selected from halogen, C_1-C_4 alkoxy, hydroxy, -NO_2, and

C_1-C_4 alkyl optionally substituted with 1, 2, or 3 substituents independently selected from halogen, OH, SH, NH_2, NH(C_1-C_6 alkyl), N-(C_1-C_6 alkyl)(C_1-C_6 alkyl), C≡N, CF_3.

Preferred compounds of formula AA-1 further include those wherein

R_1 is -CH_2-phenyl or -CH_2-pyridinyl where the phenyl or pyridinyl rings are each optionally substituted with 1 or 2 groups independently selected from halogen, C_1-C_2 alkyl, C_1-C_2 alkoxy, hydroxy, -CF_3, and -NO_2.

Preferred compounds of formula AA-1 include those wherein

R_1 is -CH_2-phenyl where the phenyl ring is optionally substituted with 2 groups independently selected

from halogen, C_1-C_2 alkyl, C_1-C_2 alkoxy, hydroxy, and $-NO_2$.

Preferred compounds of formula AA-1 also include those wherein R_1 is benzyl, or 3,5-difluorobenzyl.

Preferred compounds of formula AA and AA-1 include those of formula AA-2, i.e., compounds of formula AA or AA-1 wherein

R_2 and R_3 are independently selected from H or C_1-C_6 alkyl optionally substituted with 1, 2, or 3 substituents selected from the group consisting of C_1-C_2 alkyl, halogen, -OH, -SH, -C≡N, $-CF_3$, C_1-C_3 alkoxy, and $-NR_{1-a}R_{1-b}$.

Preferred compounds of formula AA-2 include those wherein

R_C is selected from the group consisting of C_1-C_{10} alkyl optionally substituted with 1, 2, or 3 groups independently selected from the group consisting of R_{205}, $-OC(=O)NR_{235}R_{240}$, $-S(=O)_{0-2}(C_1$-C_6 alkyl), -SH, $-NR_{235}C(=O)NR_{235}R_{240}$, $-C(=O)NR_{235}R_{240}$, and $-S(=O)_2NR_{235}R_{240}$; $-(CH_2)_{0-3}-(C_3$-$C_8)$ cycloalkyl wherein the cycloalkyl is optionally substituted with 1, 2, or 3 groups independently selected from the group consisting of R_{205}, $-CO_2H$, and $-CO_2-(C_1$-C_4 alkyl); $-(CR_{245}R_{250})_{0-4}$-aryl; $-(CR_{245}R_{250})_{0-4}$-heteroaryl; $-(CR_{245}R_{250})_{0-4}$-heterocycloalkyl; $-[C(R_{255})(R_{260})]_{1-3}-CO-N-(R_{255})_2$; $-CH(aryl)_2$; $-CH(heteroaryl)_2$; $-CH(heterocycloalkyl)_2$; -CH(aryl)(heteroaryl); $-CO-NR_{235}R_{240}$; $-(CH_2)_{0-1}-CH((CH_2)_{0-6}-OH)-(CH_2)_{0-1}$-aryl; $-(CH_2)_{0-1}-CHR_{C-6}-(CH_2)_{0-1}$-heteroaryl; -CH(-aryl or -heteroaryl)-CO-O(C_1-C_4 alkyl); $-CH(-CH_2-OH)-CH(OH)$-phenyl-NO_2; (C_1-C_6 alkyl)-O-(C_1-C_6 alkyl)-OH; $-CH_2-NH-CH_2-CH(-O-CH_2-CH_3)_2$; -H; and $-(CH_2)_{0-6}-C(=NR_{235})(NR_{235}R_{240})$; wherein

each aryl is optionally substituted with 1, 2, or 3 R_{200};

each heteroaryl is optionally substituted with 1, 2, 3, or 4 R_{200};

each heterocycloalkyl is optionally substituted with 1, 2, 3, or 4 R_{210};

R_{200} at each occurrence is independently selected from the group consisting of C_1-C_6 alkyl optionally substituted with 1, 2, or 3 R_{205} groups; OH; $-NO_2$; halogen; $-CO_2H$; CmN; $-(CH_2)_{0-4}$-$CO-NR_{220}R_{225}$; $-(CH_2)_{0-4}-CO-(C_1-C_{12}$ alkyl); $-(CH_2)_{0-4}$-CO_2R_{215}; and $-(CH_2)_{0-4}-O-(C_1-C_6$ alkyl optionally substituted with 1, 2, 3, or 5 -F);

wherein each aryl group at each occurrence is optionally substituted with 1, 2, or 3 groups that are independently R_{205}, R_{210} or C_1-C_6 alkyl substituted with 1, 2, or 3 groups that are independently R_{205} or R_{210};

wherein each heterocycloalkyl group at each occurrence is optionally substituted with 1, 2, or 3 groups that are independently R_{210};

wherein each heteroaryl group at each occurrence is optionally substituted with 1, 2, or 3 groups that are independently R_{205}, R_{210}, or C_1-C_6 alkyl substituted with 1, 2, or 3 groups that are independently R_{205} or R_{210};

R_{205} at each occurrence is independently selected from the group consisting of C_1-C_6 alkyl, halogen, -OH, -O-phenyl, -SH, -CmN, $-CF_3$, C_1-C_6 alkoxy, NH_2, $NH(C_1-C_6$ alkyl), and $N-(C_1-C_6$ alkyl)$(C_1-C_6$ alkyl);

R_{210} at each occurrence is independently selected from the group consisting of C_1-C_6 alkyl optionally substituted with 1, 2, or 3 R_{205} groups; halogen; C_1-C_6 alkoxy; C_1-C_6 haloalkoxy; $-NR_{220}R_{225}$; OH; CN; C_3-C_7 cycloalkyl optionally substituted with 1, 2, or 3 R_{205} groups; $-CO-(C_1$-C_4 alkyl); $-SO_2-NR_{220}R_{240}$; $-CO-NR_{220}R_{240}$; $-SO_2-(C_1$-C_4 alkyl); and =O; wherein

R_{215} at each occurrence is independently selected from the group consisting of C_1-C_6 alkyl, $-(CH_2)_{0-2}-$(aryl), C_3-C_7 cycloalkyl, and $-(CH_2)_{0-2}-$(heteroaryl), $-(CH_2)_{0-2}-$(heterocycloalkyl); wherein the aryl group at each occurrence is optionally substituted with 1, 2, or 3 groups that are independently R_{205} or R_{210}; wherein the heterocycloalkyl group at each occurrence is optionally substituted with 1, 2, or 3 R_{210}; wherein each heteroaryl group at each occurrence is optionally substituted with 1, 2, or 3 R_{210};

R_{220} and R_{225} at each occurrence are independently selected from the group consisting of -H, $-C_1$-C_6 alkyl, hydroxy C_1-C_6 alkyl, amino C_1-C_6 alkyl; halo C_1-C_6 alkyl; $-C_3$-C_7 cycloalkyl, $-(C_1$-C_6 alkyl)-O-(C_1-C_2 alkyl), -aryl, -heteroaryl, and -haterocycloalkyl; wherein the aryl group at each occurrence is optionally substituted with 1, 2, or 3 R_{270} groups, each heteroaryl is optionally substituted with 1, 2, 3, or 4 R_{260}, each heterocycloalkyl is optionally substituted with 1, 2, 3, or 4 R_{210} wherein

R_{270} at each occurrence is independently R_{205}, C_1-C_6 alkyl optionally substituted with 1, 2, or 3

R_{205} groups; halogen; C_1-C_6 alkoxy; C_1-C_6 haloalkoxy; $NR_{235}R_{240}$; OH; CN; -CO-(C_1-C_4 alkyl); and =O; wherein the heterocycloalkyl group at each occurrence is optionally substituted with 1, 2, or 3 R_{205} groups; wherein each heteroaryl group at each occurrence is optionally substituted with 1, 2, or 3 R_{205} groups;

R_{235} and R_{240} at each occurrence are independently H, or C_1-C_6 alkyl;

R_{245} and R_{250} at each occurrence are independently selected from the group consisting of H, C_1-C_4 alkyl, C_1-C_4 hydroxyalkyl, C_1-C_4 alkoxy, C_1-C_4 haloalkoxy, or

R_{245} and R_{250} are taken together with the carbon to which they are attached to form a carbocycle of 3, 4, 5, 6, or 7 carbon atoms, wherein the carbocycle is optionally substituted with 1 or 2 groups that are independently OH, methyl, Cl, F, OCH_3, CF_3, NO_2, or CN;

R_{255} and R_{260} at each occurrence are independently selected from the group consisting of H; C_1-C_6 alkyl optionally substituted with 1, 2, or 3 R_{205} groups; -$(CH_2)_{0-4}$-C_3-C_7 cycloalkyl optionally substituted with 1, 2, or 3 R_{205} groups; -(C_1-C_4 alkyl)-aryl; -(C_1-C_4 alkyl)-heteroaryl; -(C_1-C_4 alkyl)-heterocycloalkyl; aryl; heteroaryl; heterocycloalkyl; -$(CH_2)_{1-4}$-R_{265}-$(CH_2)_{0-4}$-aryl; -$(CH_2)_{1-4}$-R_{265}-$(CH_2)_{0-4}$-heteroaryl; and; -$(CH_2)_{1-4}$-R_{265}-$(CH_2)_{0-4}$-heterocycloalkyl; wherein

R_{265} at each occurrence is independently -O-, -S- or -N(C_1-C_6 alkyl)-;

each aryl or phenyl is optionally substituted with 1, 2, or 3 groups that are

independently R_{205}, R_{210}, or C_1-C_6 alkyl substituted with 1, 2, or 3 groups that are independently R_{205} or R_{210}.

Preferred compounds of formula AA-2 include those wherein:

R_C is $-(CR_{245}R_{250})_{0-4}$-aryl, or $-(CR_{245}R_{250})_{0-4}$-heteroaryl, wherein aryl and heteroaryl are optionally substituted with 1, 2, or 3 R_{300} groups.

Preferred compounds of formula AA-2 also include compounds wherein

R_C is $-(CR_{245}R_{250})$-aryl, or $-(CR_{245}R_{250})$-heteroaryl wherein each aryl and heteroaryl is optionally substituted with 1, 2, or 3 R_{300} groups.

Preferred compounds of formula AA-2 also include compounds wherein

R_C is $-(CH_2)$-aryl, or $-(CH_2)$-heteroaryl, wherein each aryl and heteroaryl is optionally substituted with 1, 2, or 3 groups selected from OH, $-NO_2$, halogen, $-CO_2H$, C≡N, $-(CH_2)_{0-4}$-CO-$NR_{220}R_{225}$, $-(CH_2)_{0-4}$-CO-(C_1-C_{12} alkyl), and $-(CH_2)_{0-4}$-SO_2-$NR_{220}R_{225}$.

Preferred compounds of formula AA-2 also include compounds wherein

R_C is $-(CH_2)$-aryl, wherein aryl is optionally substituted with 1, 2, or 3 groups selected from OH, $-NO_2$, halogen, $-CO_2H$, and C≡N.

Preferred compounds of formula AA-2 also include compounds wherein

R_C is $-(CH_2)$-phenyl, wherein phenyl is optionally substituted with 1, 2, or 3 groups selected from OH, $-NO_2$, halogen, $-CO_2H$, and C≡N.

Preferred compounds of formula AA-2 also include compounds wherein R_C is benzyl.

Other preferred compounds of formulas AA, AA-1 and AA-2 include compounds of formula AA-3, i.e., those of formulas AA, AA-1 or AA-2 wherein

one of R_8 and R_8' is hydrogen and the other is:



wherein

R_4 is NH_2; $-NH-(CH_2)_{n6}-R_{4-1}$; $-NHR_5$; $-NR_{50}C(O)R_5$; or $-NR_{50}CO_2R_{51}$;

wherein

n_6 is 0, 1, 2, or 3;

n_7 is 0, 1, 2, or 3;

R_{4-1} is selected from the group consisting of $-SO_2-(C_1-C_8$ alkyl), $-SO-(C_1-C_8$ alkyl), $-S-(C_1-C_8$ alkyl), $-S-CO-(C_1-C_6$ alkyl), $-SO_2-NR_{4-2}R_{4-3}$; $-CO-C_1-C_2$ alkyl; $-CO-NR_{4-3}R_{4-4}$;

R_{4-2} and R_{4-3} are independently H, C_1-C_3 alkyl, or C_3-C_6 cycloalkyl;

R_{4-4} is alkyl, phenylalkyl, C_2-C_4 alkanoyl, or phenylalkanoyl;

R_5 is cyclopropyl; cyclobutyl; cyclopentyl; and cyclohexyl; wherein each cycloalkyl group is optionally substituted with one or two groups that are C_1-C_6 alkyl, more preferably C_1-C_2 alkyl, C_1-C_6 alkoxy, more preferably C_1-C_2 alkoxy, CF_3, OH, NH_2, $NH(C_1-C_6$ alkyl), $N(C_1-C_6$ alkyl)$(C_1-C_6$ alkyl), halogen, CN, or NO_2; or the cycloalkyl group is substituted with 1 or 2 groups that are independently CF_3, Cl, F, methyl, ethyl or cyano; C_1-C_6 alkyl optionally substituted with 1, 2, or 3 groups that are independently halogen, $-NR_6R_7$, C_1-C_4 alkoxy, C_3-C_6 heterocycloalkyl, C_3-C_6 heteroaryl, phenyl, C_3-C_7

cycloalkyl, -S-C_1-C_4 alkyl, -SO_2-C_1-C_4 alkyl, -CO_2H, -$CONR_6R_7$, -CO_2-C_1-C_4 alkyl, or phenyloxy; heteroaryl optionally substituted with 1, 2, or 3 groups that are independently C_1-C_4 alkyl, C_1-C_4 alkoxy, halogen, C_1-C_4 haloalkyl, or OH; heterocycloalkyl optionally substituted with 1, 2, or 3 groups that are independently C_1-C_4 alkyl, C_1-C_4 alkoxy, halogen, or C_2-C_4 alkanoyl; phenyl optionally substituted with 1, 2, 3, or 4 groups that are independently halogen, OH, C_1-C_4 alkyl, C_1-C_4 alkoxy, or C_1-C_4 haloalkyl; and -NR_6R_7; wherein

R_6 and R_7 are independently selected from the group consisting of H, C_1-C_6 alkyl, C_2-C_6 alkanoyl, phenyl, -SO_2-C_1-C_4 alkyl, and phenyl C_1-C_4 alkyl;

R_8 is selected from the group consisting of -SO_2-heteroaryl optionally substituted with 1 or 2 groups that are independently C_1-C_4 alkyl or halogen;, -SO_2-aryl, -SO_2-heterocycloalkyl, -C(O)NHR_9, heterocycloalkyl, -S-C_2-C_4 alkanoyl, wherein

R_9 is phenyl C_1-C_4 alkyl, C_1-C_6 alkyl, or H;

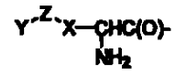
R_{80} is H or C_1-C_6 alkyl;

R_{81} is selected from the group consisting of phenyl C_1-C_4 alkyl; C_1-C_6 alkyl optionally substituted with 1, 2, or 3 groups that are independently halogen, cyano, -NR_6R_7, -C(O)NR_6R_7, C_3-C_7 or -C_1-C_4 alkoxy; heterocycloalkyl optionally substituted with 1 or 2 groups that are independently C_1-C_4 alkyl, C_1-C_4 alkoxy, halogen, C_2-C_4 alkanoyl, phenyl C_1-C_4 alkyl, and -SO_2 C_1-C_4 alkyl; heterocycloalkylalkyl optionally substituted with 1 or 2 groups that are independently C_1-C_4 alkyl, C_1-C_4 alkoxy, halogen,

C_2-C_4 alkanoyl, phenyl C_1-C_4 alkyl, and -SO_2 C_1-C_4 alkyl; alkenyl; alkynyl; heteroaryl optionally substituted with 1, 2, or 3 groups that are independently OH, C_1-C_4 alkyl, C_1-C_4 alkoxy, halogen, NH_2, $NH(C_1$-C_6 alkyl) or $N(C_1$-C_6 alkyl)(C_1-C_6 alkyl); heteroarylalkyl optionally substituted with 1, 2, or 3 groups that are independently C_1-C_4 alkyl, C_1-C_4 alkoxy, halogen, NH_2, $NH(C_1$-C_6 alkyl) or $N(C_1$-C_6 alkyl)(C_1-C_6 alkyl); phenyl; C_3-C_8 cycloalkyl, and cycloalkylalkyl, wherein the phenyl; C_3-C_8 cycloalkyl, and cycloalkylalkyl groups are optionally substituted with 1, 2, 3, 4 or 5 groups that are independently halogen, CN, NO_2, C_1-C_6 alkyl, C_1-C_6 alkoxy, C_2-C_6 alkanoyl, C_1-C_6 haloalkyl, C_1-C_6 haloalkoxy, hydroxy, C_1-C_6 hydroxyalkyl, C_1-C_6 alkoxy C_1-C_6 alkyl, C_1-C_6 thioalkoxy, C_1-C_6 thioalkoxy C_1-C_6 alkyl, or C_1-C_6 alkoxy C_1-C_6 alkoxy.

Preferred compounds of formula AA-3 include compounds wherein

one of R_8 and R_8' is hydrogen and the other is



wherein

X is C_1-C_4 alkylidenyl optionally substituted with 1, 2, or 3 methyl groups; or -NR_{4-5}-; or

R_4 and R_{4-5} combine to form -$(CH_2)_{n10}$-, wherein

n_{10} is 1, 2, 3, or 4;

Z is selected from a bond; SO_2; SO; S; and C(O);

Y is selected from H; C_1-C_4 haloalkyl; C_3-C_6 heterocycloalkyl containing at least one N, O, or S; phenyl; OH; -$N(Y_1)(Y_2)$; C_1-C_{10} alkyl optionally

substituted with 1 thru 3 substituents which can be the same or different and are selected from halogen, hydroxy, alkoxy, thioalkoxy, and haloalkoxy; C_3-C_8 cycloalkyl optionally substituted with 1, 2, or 3 groups indspendantly selected from C_1-C_3 alkyl, and halogen; alkoxy; phenyl optionally substituted with halogen, C_1-C_4 alkyl, C_1-C_4 alkoxy, CN or NO_2; phenyl C_1-C_4 alkyl optionally substituted with halogen, C_1-C_4 alkyl, C_1-C_4 alkoxy, CN or NO_2; wherein

Y_1 and Y_2 are the same or different and are H; C_1-C_{10} alkyl optionally substituted with 1, 2, or 3 substituents selected from the group consisting of halogen, C_1-C_4 alkoxy, C_3-C_8 cycloalkyl, and OH; C_2-C_6 alkenyl; C_2-C_6 alkanoyl; phenyl; -SO_2-C_1-C_4 alkyl; phenyl C_1-C_4 alkyl; and C_3-C_8 cycloalkyl C_1-C_4 alkyl; or

-$N(Y_1)(Y_2)$ forms a ring selected from piperazinyl, piperidinyl, morpholinyl, and pyrolidinyl, wherein each ring is optionally substituted with 1, 2, 3, or 4 groups that are independently C_1-C_6 alkyl, C_1-C_6 alkoxy, C_1-C_6 alkoxy C_1-C_6 alkyl, or halogen.

Preferred compounds of formula AA-3 include compounds wherein

X is C_1-C_4 alkylidenyl optionally optionally substituted with 1, 2, or 3 methyl groups;

Z is selected from SO_2; SO; S; and C(O);

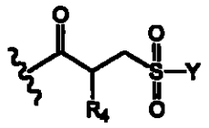
Y is selected from H; C_1-C_4 haloalkyl; C_5-C_6 heterocycloalkyl containing at least one N, O, or S; phenyl; OH; -$N(Y_1)(Y_2)$; C_1-C_{10} alkyl optionally substituted with 1 thru 3 substituents which can be the same or different and are selected from the group consisting of halogen, hydroxy, alkoxy,

thioalkoxy, and haloalkoxy; C_3-C_8 cycloalkyl optionally substituted with 1, 2, or 3 groups independently selected from C_1-C_3 alkyl, and halogen; alkoxy; phenyl optionally substituted with halogen, C_1-C_4 alkyl, C_1-C_4 alkoxy, CN or NO_2; phenyl C_1-C_4 alkyl optionally substituted with halogen, C_1-C_4 alkyl, C_1-C_4 alkoxy, CN or NO_2; wherein

Y_1 and Y_2 are the same or different and are H; C_1-C_6 alkyl optionally substituted with 1, 2, or 3 substituents selected from the group consisting of halogen, C_1-C_4 alkoxy, C_3-C_8 cycloalkyl, and OH; C_2-C_6 alkenyl; C_2-C_6 alkanoyl; phenyl; -SO_2-C_1-C_4 alkyl; phenyl C_1-C_4 alkyl; or C_3-C_8 cycloalkyl C_1-C_4 alkyl; or

-$N(Y_1)(Y_2)$ forms a ring selected from piperazinyl, piperidinyl, morpholinyl, and pyrolidinyl, wherein each ring is optionally substituted with 1, 2, 3, or 4 groups that are independently C_1-C_6 alkyl, C_1-C_6 alkoxy, C_1-C_6 alkoxy C_1-C_6 alkyl, or halogen.

Preferred compounds of formula AA-3 include compounds one of R_8 and R_8' is hydrogen and the other is:



wherein R_4 is NH_2; -NH-$(CH_2)_{n8}$-R_{4-1}; -NHR_8; -$NR_{50}C(O)R_5$; or -$NR_{50}CO_2R_{51}$ wherein

n_6 is 0, 1, 2, or 3;

n_7 is 0, 1, 2, or 3;

R_{4-1} is selected from the group consisting of -SO_2-(C_1-C_8 alkyl), -SO-(C_1-C_8 alkyl), -S-(C_1-C_8

alkyl), -S-CO-(C_1-C_6 alkyl), -SO_2-$NR_{4-2}R_{4-3}$; -CO-C_1-C_3 alkyl; -CO-$NR_{4-3}R_{4-4}$;

R_{4-2} and R_{4-3} are independently H, C_1-C_3 alkyl, or C_3-C_6 cycloalkyl;

R_{4-4} is alkyl, phenylalkyl, C_2-C_4 alkanoyl, or phenylalkanoyl;

R_3 is cyclopropyl; cyclobutyl; cyclopentyl; or cyclohexyl; wherein each cycloalkyl group is optionally substituted with one or two groups that are C_1-C_6 alkyl, more preferably C_1-C_2 alkyl, C_1-C_6 alkoxy, more preferably C_1-C_2 alkoxy, CF_3, OH, NH_2, NH(C_1-C_6 alkyl), N(C_1-C_6 alkyl)(C_1-C_6 alkyl), halogen, CN, or NO_2; or the cycloalkyl group is substituted with 1 or 2 groups that are independently CF_3, Cl, F, methyl, ethyl or cyano; C_1-C_6 alkyl optionally substituted with 1, 2, or 3 groups that are independently halogen, -NR_6R_7, C_1-C_4 alkoxy, C_3-C_8 heterocycloalkyl, C_3-C_6 heteroaryl, phenyl, C_3-C_7 cycloalkyl, -S-C_1-C_4 alkyl, -SO_2-C_1-C_4 alkyl, -CO_2H, -$CONR_6R_7$, -CO_2-C_1-C_4 alkyl, or phenyloxy; heteroaryl optionally substituted with 1, 2, or 3 groups that are independently C_1-C_4 alkyl, C_1-C_4 alkoxy, halogen, C_1-C_4 haloalkyl, or OH; heterocycloalkyl optionally substituted with 1, 2, or 3 groups that are independently C_1-C_4 alkyl, C_1-C_4 alkoxy, halogen, or C_2-C_4 alkanoyl; phenyl optionally substituted with 1, 2, 3, or 4 groups that are independently halogen, OH, C_1-C_4 alkyl, C_1-C_4 alkoxy, or C_1-C_4 haloalkyl; and -NR_6R_7; wherein

R_6 and R_7 are independently selected from the group consisting of H, C_1-C_6 alkyl, C_2-C_6 alkanoyl, phenyl, -SO_2-C_1-C_4 alkyl, and phenyl C_1-C_4 alkyl;

R_8 is selected from the group consisting of -SO_2-heteroaryl optionally substituted with 1 or 2 groups that are independently C_1-C_4 alkyl or halogen;, -SO_2-aryl, -SO_2-heterocycloalkyl, -C(O)NHR_9, heterocycloalkyl, -S-C_2-C_4 alkanoyl, wherein

R_9 is phenyl C_1-C_4 alkyl, C_1-C_6 alkyl, or H;

R_{50} is H or C_1-C_6 alkyl; and

R_{51} is selected from the group consisting of phenyl C_1-C_4 alkyl; C_1-C_6 alkyl optionally substituted with 1, 2, or 3 groups that are independently halogen, cyano, -NR_6R_7, -C(O)NR_6R_7, C_3-C_7 or -C_1-C_4 alkoxy; heterocycloalkyl optionally substituted with 1 or 2 groups that are independently C_1-C_4 alkyl, C_1-C_4 alkoxy, halogen, C_2-C_4 alkanoyl, phenyl C_1-C_4 alkyl, and -SO_2 C_1-C_4 alkyl; heterocycloalkylalkyl optionally substituted with 1 or 2 groups that are independently C_1-C_4 alkyl, C_1-C_4 alkoxy, halogen, C_2-C_4 alkanoyl, phenyl C_1-C_4 alkyl, and -SO_2 C_1-C_4 alkyl; alkenyl; alkynyl; heteroaryl optionally substituted with 1, 2, or 3 groups that are independently OH, C_1-C_4 alkyl, C_1-C_4 alkoxy, halogen, NH_2, NH(C_1-C_6 alkyl) or N(C_1-C_6 alkyl)(C_1-C_6 alkyl); heteroarylalkyl optionally substituted with 1, 2, or 3 groups that are independently C_1-C_4 alkyl, C_1-C_4 alkoxy, halogen, NH_2, NH(C_1-C_6 alkyl) or N(C_1-C_6 alkyl)(C_1-C_6 alkyl); phenyl; C_3-C_8 cycloalkyl, and cycloalkylalkyl, wherein the phenyl; C_3-C_8

cycloalkyl, and cycloalkylalkyl groups are optionally substituted with 1, 2, 3, 4 or 5 groups that are independently halogen, CN, NO_2, C_1-C_6 alkyl, C_1-C_6 alkoxy, C_2-C_6 alkanoyl, C_1-C_6 haloalkyl, C_1-C_6 haloalkoxy, hydroxy, C_1-C_6 hydroxyalkyl, C_1-C_6 alkoxy C_1-C_6 alkyl, C_1-C_6 thioalkoxy, C_1-C_6 thioalkoxy C_1-C_6 alkyl, or C_1-C_6 alkoxy C_1-C_6 alkoxy; and

Y is C_1-C_{10} alkyl optionally substituted with 1 thru 3 substituents which can be the same or different and are selected from halogen, hydroxy, alkoxy, thioalkoxy, and haloalkoxy.

Preferred compounds of formula AA-3 further include compounds wherein

R_C is C_1-C_8 alkyl optionally substituted with 1, 2, or 3 groups independently selected from the group consisting of R_{205}, $-OC(=O)NR_{235}R_{240}$, $-S(=O)_{0-2}(C_1$-C_6 alkyl), $-SH$, $-C(=O)NR_{235}R_{240}$, and $-S(=O)_2NR_{235}R_{240}$; $-(CH_2)_{0-3}-(C_3$-$C_8)$ cycloalkyl wherein the cycloalkyl is optionally substituted with 1, 2, or 3 groups independently selected from the group consisting of R_{205}, $-CO_2H$, and $-CO_2-(C_1$-C_4 alkyl); $-(CR_{245}R_{250})_{0-4}$-phenyl; $-(CR_{245}R_{250})_{0-4}$-heteroaryl; $-(CR_{245}R_{250})_{0-4}$-heterocycloalkyl; $-(CH_2)_{0-1}-CH((CH_2)_{0-4}-OH)-(CH_2)_{0-1}$-phenyl; $-(CH_2)_{0-1}-CHR_{C-6}-(CH_2)_{0-1}$-heteroaryl; $-CH(-CH_2-OH)-CH(OH)$-phenyl-NO_2; $(C_1$-C_6 alkyl)$-O-(C_1$-C_6 alkyl)$-OH$; or $-(CH_2)_{0-6}-C(=NR_{235})(NR_{235}R_{240})$; wherein

each aryl is optionally substituted with 1, 2, or 3 R_{200};

each heteroaryl is optionally substituted with 1, 2, 3, or 4 R_{200};

each heterocycloalkyl is optionally substituted with 1, 2, 3, or 4 R_{210};

R_{208} at each occurrence is independently C_1-C_6 alkyl optionally substituted with 1, 2, or 3 R_{205} groups; OH; $-NO_2$; halogen; $-CO_2H$; C≡N; $-(CH_2)_{0-4}$-CO-$NR_{220}R_{225}$; $-(CH_2)_{0-4}$-CO-(C_1-C_{12} alkyl); $-(CH_2)_{0-4}$-CO_2R_{215}; or $-(CH_2)_{0-4}$-O-(C_1-C_6 alkyl optionally substituted with 1, 2, 3, or 5 -F);

R_{205} at each occurrence is independently C_1-C_6 alkyl, halogen, -OH, -O-phenyl, -SH, -C≡N, $-CF_3$, C_1-C_6 alkoxy, NH_2, $NH(C_1$-C_6 alkyl), or N-(C_1-C_6 alkyl)(C_1-C_6 alkyl);

R_{210} at each occurrence is independently C_1-C_6 alkyl optionally substituted with 1, 2, or 3 R_{205} groups; halogen; C_1-C_6 alkoxy; C_1-C_6 haloalkoxy; $-NR_{220}R_{225}$; OH; C≡N; C_3-C_7 cycloalkyl optionally substituted with 1, 2, or 3 R_{205} groups; $-CO$-(C_1-C_4 alkyl); $-SO_2NR_{235}R_{240}$; $-CO-NR_{235}R_{240}$; $-SO_2$-(C_1-C_4 alkyl); and =O; wherein

R_{215} at each occurrence is independently C_1-C_6 alkyl, $-(CH_2)_{0-2}$-(phenyl), C_3-C_7 cycloalkyl, and $-(CH_2)_{0-2}$-(heteroaryl), $-(CH_2)_{0-2}$-(heterocycloalkyl); wherein the phenyl group at each occurrence is optionally substituted with 1, 2, or 3 groups that are independently R_{205} or R_{210}; wherein the heterocycloalkyl group at each occurrence is optionally substituted with 1, 2, or 3 R_{210}; wherein each heteroaryl group at each occurrence is optionally substituted with 1, 2, or 3 R_{210};

R_{220} and R_{225} at each occurrence are independently -H, -C_1-C_6 alkyl, hydroxy C_1-C_6 alkyl, halo C_1-C_6

alkyl; -C_2-C_7 cycloalkyl, and -(C_1-C_6 alkyl)-O-(C_1-C_3 alkyl);

R_{235} and R_{240} at each occurrence are independently H, or C_1-C_6 alkyl;

R_{245} and R_{250} at each occurrence are independently H, C_1-C_4 alkyl, C_1-C_4 hydroxyalkyl, C_1-C_4 alkoxy, C_1-C_4 haloalkoxy, or

R_{245} and R_{250} are taken together with the carbon to which they are attached to form a carbocycle of 3, 4, 5, 6, or 7 carbon atoms.

Preferred compounds of formula AA-3 include compounds wherein

R_1 is benzyl which is optionally substituted with 1, 2, 3, or 4 groups independently selected from halogen, C_1-C_4 alkoxy, hydroxy, and C_1-C_4 alkyl optionally substituted with 1, 2, or 3 substituents halogen, OH, SH, NH_2, NH(C_1-C_6 alkyl), N-(C_1-C_6 alkyl)(C_1-C_6 alkyl), C≡N, CF_3;

R_2 and R_3 are independently selected from H or C_1-C_4 alkyl optionally substituted with 1 substituent selected from halogen, -OH, -SH, -C≡N, -CF_3, C_1-C_3 alkoxy, NH_2, NH(C_1-C_6 alkyl), and NH(C_1-C_6 alkyl)(C_1-C_6 alkyl);

R_C is C_1-C_8 alkyl optionally substituted with 1, 2, or 3 groups independently selected from R_{205}, -SH, -C=ONR$_{235}$R$_{240}$, and -S(=O)$_2$NR$_{235}$R$_{240}$; -(CH_2)$_{0-3}$-(C_3-C_6) cycloalkyl wherein the cycloalkyl is optionally substituted with 1, 2, or 3 groups independently selected from R_{205}, -CO_2H, and -CO_2-(C_1-C_4 alkyl); -(CR$_{245}$R$_{250}$)$_{0-4}$-phenyl optionally substituted with 1, 2, or 3 R_{200}; -(CR$_{245}$R$_{250}$)$_{0-2}$-pyridyl; -(CR$_{245}$R$_{250}$)$_{0-3}$-pyridazinyl; -(CR$_{245}$R$_{250}$)$_{0-3}$-pyrimidinyl; -(CR$_{245}$R$_{250}$)$_{0-3}$-pyrazinyl; -(CR$_{245}$R$_{250}$)$_{0-3}$-furyl; -(CR$_{245}$R$_{250}$)$_{0-3}$-indolyl; -(CR$_{245}$R$_{250}$)$_{0-3}$-thienyl; -(CR$_{245}$R$_{250}$)$_{0-3}$-pyrrolyl;

$-(CR_{245}R_{250})_{0-3}$-pyrazolyl; $(CR_{245}R_{250})_{0-3}$-benzoxazolyl; $-(CR_{245}R_{250})_{0-3}$-imidazolyl; each of the above heteroaryl groups is optionally substituted with 1, 2, 3, or 4 R_{200}; $-(CR_{245}R_{250})_{0-3}$-imidazolidinyl; $(CR_{245}R_{250})_{0-3}$-tetrahydrofuryl; $(CR_{245}R_{250})_{0-3}$-tetrahydropyranyl; $(CR_{245}R_{250})_{0-3}$-piperazinyl; $(CR_{245}R_{250})_{0-3}$-pyrrolidinyl; $(CR_{245}R_{250})_{0-3}$-piperidinyl; $(CR_{245}R_{250})_{0-3}$-indolinyl; each of the above heterocycloalkyl groups is optionally substituted with 1, 2, 3, or 4 R_{210}; $(CH_2)_{0-1}$-CH($(CH_2)_{0-4}$-OH)-$(CH_2)_{0-1}$-phenyl; $-(CH_2)_{0-1}$-CH(C_1-C_4 hydroxyalkyl)-$(CH_2)_{0-1}$-pyridyl;

R_{200} at each occurrence is independently C_1-C_6 alkyl optionally substituted with 1, 2, or 3 R_{205} groups; OH; $-NO_2$; halogen; $-CO_2H$; C≡N; $-(CH_2)_{0-4}$-CO-$NR_{220}R_{225}$; $-(CH_2)_{0-4}$-CO-(C_1-C_8 alkyl); $-(CH_2)_{0-4}$-CO_2R_{215}; and $-(CH_2)_{0-4}$-O-(C_1-C_6 alkyl optionally substituted with 1, 2, 3, or 5 -F);

R_{205} at each occurrence is independantly C_1-C_6 alkyl, halogen, -OH, -O-phenyl, -SH, -C≡N, $-CF_3$, C_1-C_6 alkoxy, NH_2, NH(C_1-C_6 alkyl), and N-(C_1-C_6 alkyl)(C_1-C_6 alkyl);

R_{210} at each occurrence is independently C_1-C_6 alkyl optionally substituted with 1 or 2 R_{205} groups; halogen; C_1-C_4 alkoxy; C_1-C_4 haloalkoxy; $-NR_{220}R_{225}$; OH; C≡N; C_3-C_7 cycloalkyl optionally substituted with 1 or 2 R_{205} groups; -CO-(C_1-C_4 alkyl); $-SO_2NR_{235}R_{240}$; $-CO-NR_{235}R_{240}$; $-SO_2$-(C_1-C_4 alkyl); and =O; wherein

R_{215} at each occurrence is independantly C_1-C_6 alkyl, $-(CH_2)_{0-2}$-(phenyl), C_3-C_6 cycloalkyl, $-(CH_2)_{0-2}$-(pyridyl), $-(CH_2)_{0-2}$-(pyrrolyl), $-(CH_2)_{0-2}$-(imidazolyl), $-(CH_2)_{0-2}$-(pyrimidyl), $-(CH_2)_{0-2}$-

(pyrrolidinyl), -$(CH_2)_{0-2}$-(imidazolidinyl) -$(CH_2)_{0-2}$-(piperazinyl), -$(CH_2)_{0-2}$-(piperidinyl), and -$(CH_2)_{0-2}$-(morpholinyl); wherein the phenyl group at each occurrence is optionally substituted with 1 or 2 groups that are independently R_{205} or R_{210}; wherein each heterocycloalkyl group at each occurrence is optionally substituted with 1 or 2 R_{210}; wherein each heteroaryl group at each occurrence is optionally substituted with 1 or 2 R_{210};

R_{220} and R_{225} at each occurrence are independently -H, -C_1-C_4 alkyl, hydroxy C_1-C_4 alkyl, halo C_1-C_4 alkyl; -C_3-C_8 cycloalkyl, and -(C_1-C_4 alkyl)-O-(C_1-C_2 alkyl);

R_{235} and R_{240} at each occurrence are independently H, or C_1-C_6 alkyl;

R_{245} and R_{250} at each occurrence are independently H, C_1-C_4 alkyl, C_1-C_4 hydroxyalkyl, C_1-C_4 alkoxy, C_1-C_4 haloalkoxy, or

R_{245} and R_{250} are taken together with the carbon to which they are attached to form a carbocycle of 3, 4, 5, or 6 carbon atoms.

Other preferred compounds of formula AA-3 include compounds wherein

X is-C_1-C_3 alkylidenyl optionally optionally substituted with 1 or 2 methyl groups;

Z is SO_2; SO; S; or C(O);

Y is C_1-C_4 haloalkyl; OH; -$N(Y_1)(Y_2)$; C_1-C_{10} alkyl optionally substituted with 1 or 2 substituents which can be the same or different and are selected from halogen, hydroxy, C_1-C_4 alkoxy, C_1-C_4 thioalkoxy, and C_1-C_4 haloalkoxy; C_1-C_4 alkoxy; phenyl optionally

substituted with halogen, C_1-C_4 alkyl, C_1-C_4 alkoxy, CN or NO_2; and benzyl optionally substituted with halogen, C_1-C_4 alkyl, C_1-C_4 alkoxy, CN or NO_2; wherein

Y_1 and Y_2 are the same or different and are H; C_1-C_6 alkyl optionally substituted with 1, 2, or 3 substituents selected from halogen, C_1-C_2 alkoxy, C_3-C_6 cycloalkyl, and OH; C_2-C_6 alkanoyl; phenyl; -SO_2-C_1-C_4 alkyl; benzyl; and C_3-C_6 cycloalkyl C_1-C_2 alkyl; or

-$N(Y_1)(Y_2)$ forms a ring selected from piperazinyl, piperidinyl, morpholinyl, and pyrolidinyl, wherein each ring is optionally substituted with 1, 2, 3, or 4 groups that are independently C_1-C_6 alkyl, C_1-C_6 alkoxy, C_1-C_6 alkoxy C_1-C_6 alkyl, or halogen.

Preferred compounds of formula AA-3 also include those of formula AA-4, i.e., compounds of formula AA-3 wherein

X is-C_1-C_3 alkylidenyl optionally optionally substituted with 1 methyl group;

Z is SO_2; SO; S; or C(O);

Y is OH; -$N(Y_1)(Y_2)$; phenyl; benzyl; or C_1-C_{18} alkyl optionally substituted with 1 or 2 substituents which can be the same or different and are selected from halogen, hydroxy, methoxy, ethoxy, thiomethoxy, thioethoxy, and CF_3; wherein

Y_1 and Y_2 are the same or different and are H; C_1-C_4 alkyl optionally substituted with 1 or 2 substituents selected from halogen, methoxy, ethoxy, cyclopropyl, and OH; or

-$N(Y_1)(Y_2)$ forms a ring selected from piperazinyl, piperidinyl, morpholinyl, and pyrolidinyl, wherein each ring is optionally substituted

with 1 or 2 groups that are independently C_1-C_4 alkyl, C_1-C_4 alkoxy, or halogen;

R_1 is benzyl which is optionally substituted with 1, 2, or 3 groups independently selected from methyl, ethyl, n-propyl, isopropyl, hydroxymethyl, monohalomethyl, dihalomethyl, trihalomethyl, $-CH_2CF_3$, methoxymethyl, halogen, methoxy, ethoxy, n-propyloxy, isopropyloxy, and OH;

R_2 and R_3 are independently H or C_1-C_4 alkyl,

R_C is C_1-C_6 alkyl optionally substituted with 1, 2, or 3 R_{205} groups; cyclopropyl, cyclopropylmethyl, cyclopentyl, cyclopentylmethyl, cyclohexyl, cyclohexylmethyl; $-(CR_{245}R_{250})_{0-3}$-phenyl optionally substituted with 1 or 2 R_{200} groups; $-(CR_{245}R_{250})_{0-3}$-pyridyl optionally substituted with 1 or 2 R_{200}; $-(CR_{245}R_{250})_{0-3}$-piperazinyl; or $(CR_{245}R_{250})_{0-3}$-pyrrolidinyl; $-(CR_{245}R_{250})_{0-3}$-piperidinyl; each of the above heterocycloalkyl groups is optionally substituted with 1 or 2 R_{210} groups;

R_{200} at each occurrence is independently selected from C_1-C_4 alkyl optionally substituted with 1 or 2 R_{205} groups; OH; and halogen;

R_{205} at each occurrence is independently selected from C_1-C_4 alkyl, halogen, -OH, -SH, -C≡N, $-CF_3$, and C_1-C_4 alkoxy;

R_{210} at each occurrence is independently selected from C_1-C_4 alkyl optionally substituted with 1 or 2 R_{205} groups; halogen; C_1-C_4 alkoxy; OCF_3; NH_2, $NH(C_1$-C_6 alkyl); $N(C_1$-C_6 alkyl)(C_1-C_6 alkyl); OH; and $-CO-(C_1$-C_4 alkyl); wherein

R_{245} and R_{250} at each occurrence are independently selected from H, C_1-C_4 hydroxyalkyl, C_1-C_4 alkoxy, or

R_{245} and R_{250} are taken together with the carbon to which they are attached to form a carbocycle of 3, 5,or 6 carbon atoms.

Preferred compounds of formulas AA, AA-1 and AA-2 include compounds of formula AA-5, i.e., those of formulae AA, AA-1 or AA-2 wherein

one of R_M and R_M' is hydrogen and the other is $-C(=O)-(CRR')_{0-6}R_{100}$; and

R_{100} represents aryl, heteroaryl, or heterocyclyl, where the ring portions of each are optionally substituted with 1, 2, or 3 groups independently selected from $-OR$, $-NO_2$, C_1-C_6 alkyl, halogen, $-C\equiv N$, $-OCF_3$, $-CF_3$, $-(CH_2)_{0-4}-O-P(=O)(OR)(OR')$, $-(CH_2)_{0-4}-CO-NR_{105}R'_{105}$, $-(CH_2)_{0-4}-O-(CH_2)_{0-4}-CONR_{102}R_{102}'$, $-(CH_2)_{0-4}-CO-(C_1-C_{12}$ alkyl), $-(CH_2)_{0-4}-CO-(C_2-C_{12}$ alkenyl), $-(CH_2)_{0-4}-CO-(C_2-C_{12}$ alkynyl), $-(CH_2)_{0-4}-CO-(CH_2)_{0-4}(C_3-C_7$ cycloalkyl), $-(CH_2)_{0-4}-R_{110}$, $-(CH_2)_{0-4}-R_{120}$, $-(CH_2)_{0-4}-R_{130}$, $-(CH_2)_{0-4}-CO-R_{110}$, $-(CH_2)_{0-4}-CO-R_{120}$, $-(CH_2)_{0-4}-CO-R_{130}$, $-(CH_2)_{0-4}-CO-R_{140}$, $-(CH_2)_{0-4}-CO-O-R_{150}$, $-(CH_2)_{0-4}-SO_2-NR_{105}R'_{105}$, $-(CH_2)_{0-4}-SO-(C_1-C_6$ alkyl), $-(CH_2)_{0-4}-SO_2-(C_1-C_{12}$ alkyl), $-(CH_2)_{0-4}-SO_2-(CH_2)_{0-4}-(C_3-C_7$ cycloalkyl), $-(CH_2)_{0-4}-N(R_{150})-CO-O-R_{150}$, $-(CH_2)_{0-4}-N(R_{150})-CO-N(R_{150})_2$, $-(CH_2)_{0-4}-N(R_{150})-CS-N(R_{150})_2$, $-(CH_2)_{0-4}-N(R_{150})-CO-R_{105}$, $-(CH_2)_{0-4}-NR_{105}R'_{105}$, $-(CH_2)_{0-4}-R_{140}$, $-(CH_2)_{0-4}-O-CO-(C_1-C_6$ alkyl), $-(CH_2)_{0-4}-O-P(O)-(O-R_{110})_2$, $-(CH_2)_{0-4}-O-CO-N(R_{150})_2$, $-(CH_2)_{0-4}-O-CS-N(R_{150})_2$, $-(CH_2)_{0-4}-O-(R_{150})$, $-(CH_2)_{0-4}-O-R_{150}'-COOH$, $-(CH_2)_{0-4}-S-(R_{150})$, $-(CH_2)_{0-4}-N(R_{150})-SO_2-R_{105}$, $-(CH_2)_{0-4}-C_3-C_7$ cycloalkyl, (C_2-C_{10})alkenyl, or (C_2-C_{10})alkynyl.

Preferred compounds of formula AA-5 include compounds wherein

one of R_{99} and R_{99}' is hydrogen and the other is $-C(=O)-R_{100}$; and

R_{100} represents aryl, or heteroaryl, where the ring portions of each are optionally substituted with 1, 2, or 3 groups independently selected from

$-OR$, $-NO_2$, C_1-C_6 alkyl, halogen, $-C\equiv N$, $-OCF_3$, $-CF_3$, $-(CH_2)_{0-4}-O-P(=O)(OR)(OR')$, $-(CH_2)_{0-4}-CO-NR_{105}R'_{105}$, $-(CH_2)_{0-4}-O-(CH_2)_{0-4}-CONR_{102}R_{102}'$, $-(CH_2)_{0-4}-CO-(C_1-C_{12}$ alkyl), $-(CH_2)_{0-4}-CO-(C_2-C_{12}$ alkenyl), $-(CH_2)_{0-4}-CO-(C_2-C_{12}$ alkynyl), $-(CH_2)_{0-4}-CO-(CH_2)_{0-4}(C_3-C_7$ cycloalkyl), $-(CH_2)_{0-4}-R_{110}$, $-(CH_2)_{0-4}-R_{120}$, $-(CH_2)_{0-4}-R_{130}$, $-(CH_2)_{0-4}-CO-R_{110}$, $-(CH_2)_{0-4}-CO-R_{120}$, $-(CH_2)_{0-4}-CO-R_{130}$, $-(CH_2)_{0-4}-CO-R_{140}$, $-(CH_2)_{0-4}-CO-O-R_{150}$, $-(CH_2)_{0-4}-SO_2-NR_{105}R'_{105}$, $-(CH_2)_{0-4}-SO-(C_1-C_6$ alkyl), $-(CH_2)_{0-4}-SO_2-(C_1-C_{12}$ alkyl), $-(CH_2)_{0-4}-SO_2-(CH_2)_{0-4}-(C_3-C_7$ cycloalkyl), $-(CH_2)_{0-4}-N(R_{150})-CO-O-R_{150}$, $-(CH_2)_{0-4}-N(R_{150})-CO-N(R_{150})_2$, $-(CH_2)_{0-4}-N(R_{150})-CS-N(R_{150})_2$, $-(CH_2)_{0-4}-N(R_{150})-CO-R_{105}$, $-(CH_2)_{0-4}-NR_{105}R'_{105}$, $-(CH_2)_{0-4}-R_{140}$, $-(CH_2)_{0-4}-O-CO-(C_1-C_6$ alkyl), $-(CH_2)_{0-4}-O-P(O)-(O-R_{110})_2$, $-(CH_2)_{0-4}-O-CO-N(R_{150})_2$, $-(CH_2)_{0-4}-O-CS-N(R_{150})_2$, $-(CH_2)_{0-4}-O-(R_{150})$, $-(CH_2)_{0-4}-O-R_{150}'-COOH$, $-(CH_2)_{0-4}-S-(R_{150})$, $-(CH_2)_{0-4}-N(R_{150})-SO_2-R_{105}$, $-(CH_2)_{0-4}-C_3-C_7$ cycloalkyl, (C_2-C_{10})alkenyl, or (C_2-C_{10})alkynyl.

Preferred compounds of formula AA-5 also include compounds wherein

one of R_{99} and R_{99}' is hydrogen and the other is $-C(=O)-$aryl or $-C(=O)-$heteroaryl where the ring portions of each are optionally substituted with 1, 2, or 3 groups independently selected from

$-OR$, $-NO_2$, C_1-C_6 alkyl, halogen, $-C\equiv N$, $-OCF_3$, $-CF_3$, $-(CH_2)_{0-4}-CO-NR_{105}R'_{105}$, $-(CH_2)_{0-4}-O-(CH_2)_{0-4}-CONR_{102}R_{102}'$, $-(CH_2)_{0-4}-CO-(C_1-C_{12}$ alkyl), $-(CH_2)_{0-4}-$

CO-(C_2-C_{12} alkenyl), -$(CH_2)_{0-4}$-CO-(C_2-C_{12} alkynyl), -$(CH_2)_{0-4}$-R_{110}, -$(CH_2)_{0-4}$-R_{120}, -$(CH_2)_{0-4}$-R_{130}, -$(CH_2)_{0-4}$-CO-R_{110}, -$(CH_2)_{0-4}$-CO-R_{120}, -$(CH_2)_{0-4}$-CO-R_{130}, -$(CH_2)_{0-4}$-CO-R_{140}, -$(CH_2)_{0-4}$-CO-O-R_{150}, -$(CH_2)_{0-4}$-SO_2-$NR_{105}R'_{105}$, -$(CH_2)_{0-4}$-SO-(C_1-C_8 alkyl), -$(CH_2)_{0-4}$-SO_2-(C_1-C_{12} alkyl), -$(CH_2)_{0-4}$-N(R_{150})-CO-O-R_{150}, -$(CH_2)_{0-4}$-N(R_{150})-CO-N(R_{150})$_2$, -$(CH_2)_{0-4}$-N(R_{150})-CO-R_{105}, -$(CH_2)_{0-4}$-$NR_{105}R'_{105}$, -$(CH_2)_{0-4}$-R_{140}, -$(CH_2)_{0-4}$-O-CO-(C_1-C_6 alkyl), -$(CH_2)_{0-4}$-O-CO-N(R_{150})$_2$, -$(CH_2)_{0-4}$-O-(R_{150}), -$(CH_2)_{0-4}$-N(R_{150})-SO_2-R_{105}, -$(CH_2)_{0-4}$- C_3-C_7 cycloalkyl, (C_2-C_{10})alkenyl, or (C_2-C_{10})alkynyl.

Other preferred compounds of formula AA-5 include compounds wherein

one of R_N and R_N' is hydrogen and the other is -C(=O)-aryl or -C(=O)-heteroaryl where the ring portions of each are optionally substituted with 1 or 2 groups independently selected from

C_1-C_6 alkyl, halogen, -$(CH_2)_{0-4}$-CO-$NR_{105}R'_{105}$, -$(CH_2)_{0-4}$-O-CO-N(R_{150})$_2$, -$(CH_2)_{0-4}$-N(R_{150})-SO_2-R_{105}, -$(CH_2)_{0-4}$-SO_2-$NR_{105}R'_{105}$, C_3-C_7 cycloalkyl, (C_2-C_{10})alkenyl, -$(CH_2)_{0-4}$-R_{110}, -$(CH_2)_{0-4}$-R_{120}, -$(CH_2)_{0-4}$-R_{130}, or (C_2-C_{10})alkynyl.

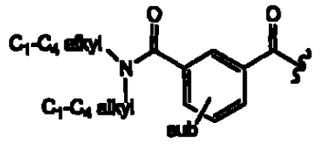
Other preferred compounds of formula AA-5 include compounds wherein

one of R_N and R_N' is hydrogen and the other is -C(=O)-phenyl, where the phenyl ring is optionally substituted with 1 or 2 groups independently selected from

C_1-C_6 alkyl, halogen, -$(CH_2)_{0-4}$-CO-$NR_{105}R'_{105}$, -$(CH_2)_{0-4}$-O-CO-N(R_{150})$_2$, -$(CH_2)_{0-4}$-N(R_{150})-SO_2-R_{105}, -$(CH_2)_{0-4}$-SO_2-$NR_{105}R'_{105}$, C_3-C_7 cycloalkyl, (C_2-C_{10})alkenyl,

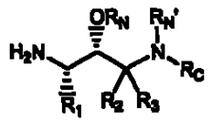
$-(CH_2)_{0-4}-R_{110}$, $-(CH_2)_{0-4}-R_{120}$, $-(CH_2)_{0-4}-R_{130}$, or (C_2-C_{10})alkynyl.

Other preferred compounds of formula AA-5 also include compounds wherein one of R_N and R_N' is hydrogen and the other is:



wherein sub is hydrogen or is C_1-C_6 alkyl, halogen, $-(CH_2)_{0-4}-CO-NR_{105}R'_{105}$, $-(CH_2)_{0-4}-O-CO-N(R_{150})_2$, $-(CH_2)_{0-4}-N(R_{150})-SO_2-R_{105}$, $-(CH_2)_{0-4}-SO_2-NR_{105}R'_{105}$, C_3-C_7 cycloalkyl, $-(C_2-C_{10})$alkenyl, $-(CH_2)_{0-4}-R_{110}$, $-(CH_2)_{0-4}-R_{120}$, $-(CH_2)_{0-4}-R_{130}$, or (C_2-C_{10})alkynyl.

A preferred stereochemistry for compounds of formula AA is as follows:



(AA)

Preferred compounds of formula I include those of formula I-1, i.e., compounds of formula I wherein

R_1 is aryl, heteroaryl, heterocyclyl, $-C_1-C_6$ alkyl-aryl, $-C_1-C_6$ alkyl-heteroaryl, or $-C_1-C_6$ alkyl-heterocyclyl, where the ring portions of each are optionally substituted with 1, 2, 3, or 4 groups independently selected from halogen, -OH, -SH, -C≡N, $-NO_2$, $-NR_{105}R'_{105}$, $-CO_2R$, $-N(R)COR'$, or $-N(R)SO_2R'$, $-C(=O)-(C_1-C_4)$ alkyl, $-SO_2$-amino, $-SO_2$-mono or dialkylamino, $-C(=O)-$

amino, -C(=O)-mono or dialkylamino, -SO_2-(C_1-C_4) alkyl, or

C_1-C_6 alkoxy optionally substituted with 1, 2, or 3 groups which are independently selected from halogen, or

C_3-C_7 cycloalkyl optionally substituted with 1, 2, or 3 groups independently selected from halogen, -OH, -SH, -C≡N, -CF_3, C_1-C_3 alkoxy, amino, -C_1-C_6 alkyl and mono- or dialkylamino, or

C_1-C_{10} alkyl optionally substituted with 1, 2, or 3 groups independently selected from halogen, -OH, -SH, -C≡N, -CF_3, -C_1-C_3 alkoxy, amino, mono- or dialkylamino and -C_1-C_2 alkyl, or

C_2-C_{10} alkenyl or C_2-C_{10} alkynyl each of which is optionally substituted with 1, 2, or 3 groups independently selected from halogen, -OH, -SH, -C≡N, -CF_3, C_1-C_3 alkoxy, amino, C_1-C_6 alkyl and mono- or dialkylamino; and the heterocyclyl group is optionally further substituted with oxo.

Preferred compounds of formula I-1 also include those wherein

R_1 is -C_1-C_6 alkyl-aryl, -C_1-C_6 alkyl-heteroaryl, or -C_1-C_6 alkyl-heterocyclyl, where the ring portions of each are optionally substituted with 1, 2, 3, or 4 groups independently selected from halogen, -OH, -SH, -C≡N, -NO_2, -$NR_{10s}R'_{10s}$, -CO_2R, -N(R)COR', or -N(R)SO_2R', -C(=O)-(C_1-C_4) alkyl, -SO_2-amino, -SO_2-mono or dialkylamino, -C(=O)-

amino, -C(=O)-mono or dialkylamino, -SO_2-(C_1-C_4) alkyl, or

C_1-C_6 alkoxy optionally substituted with 1, 2, or 3 groups which are independently selected from halogen, or

C_3-C_7 cycloalkyl optionally substituted with 1, 2, or 3 groups independently selected from halogen, -OH, -SH, -C≡N, $-CF_3$, C_1-C_3 alkoxy, amino, -C_1-C_6 alkyl and mono- or dialkylamino, or

C_1-C_{10} alkyl optionally substituted with 1, 2, or 3 groups independently selected from halogen, -OH, -SH, -C≡N, $-CF_3$, -C_1-C_3 alkoxy, amino, mono- or dialkylamino and -C_1-C_3 alkyl, or

C_2-C_{10} alkenyl or C_2-C_{10} alkynyl each of which is optionally substituted with 1, 2, or 3 groups independently selected from halogen, -OH, -SH, -C≡N, $-CF_3$, C_1-C_3 alkoxy, amino, C_1-C_6 alkyl and mono- or dialkylamino; and the heterocyclyl group is optionally further substituted with oxo.

Preferred compounds of formula I-1 also include those wherein

R_1 is $-CH_2$-phenyl or $-CH_2$-pyridinyl where the ring portions of each are optionally substituted with 1, 2, 3, or 4 groups independently selected from halogen, C_1-C_4 alkoxy, hydroxy, $-NO_2$, and

C_1-C_4 alkyl optionally substituted with 1, 2, or 3 substituents independently selected from halogen, OH, SH, NH_2, NH(C_1-C_6 alkyl), N-(C_1-C_6 alkyl)(C_1-C_6 alkyl), C≡N, CF_3.

Preferred compounds of formula I-1 further include those wherein

R_1 is $-CH_2$-phenyl or $-CH_2$-pyridinyl where the phenyl or pyridinyl rings are each optionally substituted with 1 or 2 groups independently selected from halogen, C_1-C_2 alkyl, C_1-C_2 alkoxy, hydroxy, $-CF_3$, and $-NO_2$.

Preferred compounds of formula I-1 include those wherein

R_1 is $-CH_2$-phenyl where the phenyl ring is optionally substituted with 2 groups independently selected from halogen, C_1-C_2 alkyl, C_1-C_2 alkoxy, hydroxy, and $-NO_2$.

Preferred compounds of formula I-1 also include those wherein R_1 is benzyl, or 3,5-difluorobenzyl.

Preferred compounds of formula I and I-1 include those of formula I-2, i.e., compounds of formula I or I-1 wherein

R_2 and R_3 are independently selected from H or C_1-C_6 alkyl optionally substituted with 1, 2, or 3 substituents selected from the group consisting of C_1-C_3 alkyl, halogen, -OH, -SH, -CN, $-CF_3$, C_1-C_3 alkoxy, and $-NR_{1\text{-}a}R_{1\text{-}b}$.

Preferred compounds of formula I-2 include those wherein

R_C is selected from the group consisting of C_1-C_{10} alkyl optionally substituted with 1, 2, or 3 groups independently selected from the group consisting of R_{205}, $-OC(=O)NR_{235}R_{240}$, $-S(=O)_{0\text{-}2}(C_1\text{-}C_6$ alkyl), -SH, $-NR_{235}C(=O)NR_{235}R_{240}$, $-C(=O)NR_{235}R_{240}$, and $-S(=O)_2NR_{235}R_{240}$; $-(CH_2)_{0\text{-}3}-(C_3\text{-}C_8)$ cycloalkyl wherein the cycloalkyl is optionally substituted with 1, 2, or 3 groups independently selected from the group consisting of

R_{205}, $-CO_2H$, and $-CO_2-(C_1-C_4$ alkyl); $-(CR_{245}R_{250})_{0-4}$-aryl; $-(CR_{245}R_{250})_{0-4}$-heteroaryl; $-(CR_{245}R_{250})_{0-4}$-heterocycloalkyl; $-[C(R_{255})(R_{260})]_{1-3}$-CO-N-$(R_{255})_2$; $-CH(aryl)_2$; $-CH(heteroaryl)_2$; $-CH(heterocycloalkyl)_2$; -CH(aryl)(heteroaryl); $-CO-NR_{235}R_{240}$; $-(CH_2)_{0-1}$-$CH((CH_2)_{0-6}-OH)-(CH_2)_{0-1}$-aryl; $-(CH_2)_{0-1}-CHR_{C-6}-(CH_2)_{0-1}$-heteroaryl; -CH(-aryl or -heteroaryl)-CO-O(C_1-C_4 alkyl); -CH(-CH_2-OH)-CH(OH)-phenyl-NO_2; (C_1-C_6 alkyl)-O-(C_1-C_6 alkyl)-OH; $-CH_2-NH-CH_2-CH(-O-CH_2-CH_3)_2$; -H; and $-(CH_2)_{0-6}-C(=NR_{235})(NR_{235}R_{240})$; wherein

each aryl is optionally substituted with 1, 2, or 3 R_{200};

each heteroaryl is optionally substituted with 1, 2, 3, or 4 R_{200};

each heterocycloalkyl is optionally substituted with 1, 2, 3, or 4 R_{210};

R_{200} at each occurrence is independently selected from the group consisting of C_1-C_6 alkyl optionally substituted with 1, 2, or 3 R_{205} groups; OH; $-NO_2$; halogen; $-CO_2H$; CN; $-(CH_2)_{0-4}$-$CO-NR_{220}R_{225}$; $-(CH_2)_{0-4}-CO-(C_1-C_{12}$ alkyl); $-(CH_2)_{0-4}$-CO_2R_{215}; and $-(CH_2)_{0-4}-O-(C_1-C_6$ alkyl optionally substituted with 1, 2, 3, or 5 -F);

wherein each aryl group at each occurrence is optionally substituted with 1, 2, or 3 groups that are independently R_{205}, R_{210} or C_1-C_6 alkyl substituted with 1, 2, or 3 groups that are independently R_{205} or R_{210};

wherein each heterocycloalkyl group at each occurrence is optionally substituted with 1, 2, or 3 groups that are independently R_{210};

wherein each heteroaryl group at each occurrence is optionally substituted with 1, 2, or 3 groups that are independently R_{205}, R_{210}, or C_1-C_6 alkyl substituted with 1, 2, or 3 groups that are independantly R_{205} or R_{210};

R_{205} at each occurrence is independantly selected from the group consisting of C_1-C_6 alkyl, halogen, -OH, -O-phenyl, -SH, -C≡N, $-CF_3$, C_1-C_6 alkoxy, NH_2, $NH(C_1$-C_6 alkyl), and N-(C_1-C_6 alkyl)(C_1-C_6 alkyl);

R_{210} at each occurrence is independantly selected from the group consisting of C_1-C_6 alkyl optionally substituted with 1, 2, or 3 R_{205} groups; halogen; C_1-C_6 alkoxy; C_1-C_6 haloalkoxy; $-NR_{220}R_{225}$; OH; C≡N; C_3-C_7 cycloalkyl optionally substituted with 1, 2, or 3 R_{205} groups; -CO-(C_1-C_4 alkyl); $-SO_2-NR_{215}R_{240}$; $-CO-NR_{215}R_{240}$; $-SO_2-(C_1$-C_4 alkyl); and =O; wherein

R_{215} at each occurrence is independantly selected from the group consisting of C_1-C_6 alkyl, $-(CH_2)_{0-2}-$(aryl), C_3-C_7 cycloalkyl, and $-(CH_2)_{0-2}-$(heteroaryl), $-(CH_2)_{0-2}-$(heterocycloalkyl); wherein the aryl group at each occurrence is optionally substituted with 1, 2, or 3 groups that are independantly R_{205} or R_{210}; wherein the heterocycloalkyl group at each occurrence is optionally substituted with 1, 2, or 3 R_{210}; wherein each heteroaryl group at each occurrence is optionally substituted with 1, 2, or 3 R_{210};

R_{220} and R_{225} at each occurrence are independantly selected from the group consisting of -H, -C_1-C_6

alkyl, hydroxy C_1-C_6 alkyl, amino C_1-C_6 alkyl; halo C_1-C_6 alkyl; -C_3-C_7 cycloalkyl, -(C_1-C_6 alkyl)-O-(C_1-C_3 alkyl), -aryl, -heteroaryl, and -heterocycloalkyl; wherein the aryl group at each occurrence is optionally substituted with 1, 2, or 3 R_{270} groups, each heteroaryl is optionally substituted with 1, 2, 3, or 4 R_{280}, each heterocycloalkyl is optionally substituted with 1, 2, 3, or 4 R_{210} wherein

R_{270} at each occurrence is independently R_{205}, C_1-C_6 alkyl optionally substituted with 1, 2, or 3 R_{208} groups; halogen; C_1-C_6 alkoxy; C_1-C_6 haloalkoxy; $NR_{235}R_{240}$; OH; C≡N; -CO-(C_1-C_4 alkyl); and =O; wherein the heterocycloalkyl group at each occurrence is optionally substituted with 1, 2, or 3 R_{205} groups; wherein each heteroaryl group at each occurrence is optionally substituted with 1, 2, or 3 R_{206} groups;

R_{235} and R_{240} at each occurrence are independently H, or C_1-C_6 alkyl;

R_{245} and R_{250} at each occurrence are independently selected from the group consisting of H, C_1-C_4 alkyl, C_1-C_4 hydroxyalkyl, C_1-C_4 alkoxy, C_1-C_4 haloalkoxy, or

R_{245} and R_{250} are taken together with the carbon to which they are attached to form a carbocycle of 3, 4, 5, 6, or 7 carbon atoms, wherein the carbocycle is optionally substituted with 1 or 2 groups that are independently OH, methyl, Cl, F, OCH_3, CF_3, NO_2, or CN;

R_{255} and R_{260} at each occurrence are independently selected from the group consisting of H; C_1-C_6 alkyl optionally substituted with 1, 2, or 3

R_{205} groups; $-(CH_2)_{0-4}-C_3-C_7$ cycloalkyl optionally substituted with 1, 2, or 3 R_{205} groups; $-(C_1-C_4$ alkyl)-aryl; $-(C_1-C_4$ alkyl)-heteroaryl; $-(C_1-C_4$ alkyl)-heterocycloalkyl; aryl; heteroaryl; heterocycloalkyl; $-(CH_2)_{1-4}-R_{265}-(CH_2)_{0-4}$-aryl; $-(CH_2)_{1-4}-R_{265}-(CH_2)_{0-4}$-heteroaryl; and; $-(CH_2)_{1-4}-R_{265}-(CH_2)_{0-4}$-heterocycloalkyl; wherein

R_{265} at each occurrence is independently -O-, -S- or $-N(C_1-C_6$ alkyl)-;

each aryl or phenyl is optionally substituted with 1, 2, or 3 groups that are independently R_{205}, R_{210}, or C_1-C_6 alkyl substituted with 1, 2, or 3 groups that are independently R_{205} or R_{210}.

Preferred compounds of formula I-2 include those wherein:

R_C is $-(CR_{245}R_{250})_{0-4}$-aryl, or $-(CR_{245}R_{250})_{0-4}$-heteroaryl, wherein aryl and heteroaryl are optionally substituted with 1, 2, or 3 R_{200} groups.

Preferred compounds of formula I-2 also include compounds wherein

R_C is $-(CR_{245}R_{250})$-aryl, or $-(CR_{245}R_{250})$-heteroaryl wherein each aryl and heteroaryl is optionally substituted with 1, 2, or 3 R_{200} groups.

Preferred compounds of formula I-2 also include compounds wherein

R_C is $-(CH_2)$-aryl, or $-(CH_2)$-heteroaryl, wherein

each aryl and heteroaryl is optionally substituted with 1, 2, or 3 groups selected from OH, $-NO_2$, halogen, $-CO_2H$, CN, $-(CH_2)_{0-4}-CO-NR_{220}R_{225}$, $-(CH_2)_{0-4}-CO-(C_1-C_{12}$ alkyl), and $-(CH_2)_{0-4}-SO_2-NR_{220}R_{225}$.

Preferred compounds of formula I-2 also include compounds wherein

R_C is $-(CH_2)$-aryl, wherein aryl is optionally substituted with 1, 2, or 3 groups selected from OH, $-NO_2$, halogen, $-CO_2H$, and C≡N.

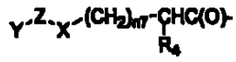
Preferred compounds of formula I-2 also include compounds wherein

R_C is $-(CH_2)$-phenyl, wherein phenyl is optionally substituted with 1, 2, or 3 groups selected from OH, $-NO_2$, halogen, $-CO_2H$, and C≡N.

Preferred compounds of formula I-2 also include compounds wherein R_C is benzyl.

Other preferred compounds of formulas I, I-1 and I-2 include compounds of formula I-3, i.e., those of formulas I, I-1 or I-2 wherein

R_M is:



wherein

R_4 is NH_2; $-NH-(CH_2)_{n6}-R_{4-1}$; $-NHR_8$; $-NR_{50}C(O)R_8$; or $-NR_{50}CO_2R_{51}$;

wherein

n_6 is 0, 1, 2, or 3;

n_7 is 0, 1, 2, or 3;

R_{4-1} is selected from the group consisting of $-SO_2-(C_1-C_8$ alkyl), $-SO-(C_1-C_8$ alkyl), $-S-(C_1-C_8$ alkyl), $-S-CO-(C_1-C_6$ alkyl), $-SO_2-NR_{4-2}R_{4-3}$; $-CO-C_1-C_2$ alkyl; $-CO-NR_{4-2}R_{4-4}$;

R_{4-2} and R_{4-3} are independently H, C_1-C_3 alkyl, or C_3-C_6 cycloalkyl;

R_{4-4} is alkyl, phenylalkyl, C_2-C_4 alkanoyl, or phenylalkanoyl;

R_5 is cyclopropyl; cyclobutyl; cyclopentyl; and cyclohexyl; wherein each cycloalkyl group is optionally substituted with one or two groups that are C_1-C_6 alkyl, more preferably C_1-C_2 alkyl, C_1-C_6 alkoxy, more preferably C_1-C_2 alkoxy, CF_3, OH, NH_2, $NH(C_1$-C_6 alkyl), $N(C_1$-C_6 alkyl)$(C_1$-C_6 alkyl), halogen, CN, or NO_2; or the cycloalkyl group is substituted with 1 or 2 groups that are independently CF_3, Cl, F, methyl, ethyl or cyano; C_1-C_6 alkyl optionally substituted with 1, 2, or 3 groups that are independently halogen, $-NR_6R_7$, C_1-C_4 alkoxy, C_3-C_8 heterocycloalkyl, C_5-C_6 heteroaryl, phenyl, C_3-C_7 cycloalkyl, $-S$-C_1-C_4 alkyl, $-SO_2$-C_1-C_4 alkyl, $-CO_2H$, $-CONR_6R_7$, $-CO_2$-C_1-C_4 alkyl, or phenyloxy; heteroaryl optionally substituted with 1, 2, or 3 groups that are independently C_1-C_4 alkyl, C_1-C_4 alkoxy, halogen, C_1-C_4 haloalkyl, or OH; heterocycloalkyl optionally substituted with 1, 2, or 3 groups that are independently C_1-C_4 alkyl, C_1-C_4 alkoxy, halogen, or C_2-C_4 alkanoyl; phenyl optionally substituted with 1, 2, 3, or 4 groups that are independently halogen, OH, C_1-C_6 alkyl, C_1-C_4 alkoxy, or C_1-C_4 haloalkyl; and $-NR_6R_7$; wherein

R_6 and R_7 are independently selected from the group consisting of H, C_1-C_6 alkyl, C_2-C_6 alkanoyl, phenyl, $-SO_2$-C_1-C_4 alkyl, and phenyl C_1-C_4 alkyl;

R_8 is selected from the group consisting of $-SO_2$-heteroaryl optionally substituted with 1 or 2 groups that are independently C_1-C_4 alkyl or halogen;, $-SO_2$-aryl, $-SO_2$-heterocycloalkyl, $-C(O)NHR_9$, heterocycloalkyl, $-S$-C_2-C_4 alkanoyl, wherein

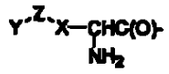
R_9 is phenyl C_1-C_4 alkyl, C_2-C_6 alkyl, or H;

R_{50} is H or C_1-C_6 alkyl;

R_{51} is selected from the group consisting of phenyl C_1-C_4 alkyl; C_1-C_6 alkyl optionally substituted with 1, 2, or 3 groups that are independently halogen, cyano, $-NR_6R_7$, $-C(O)NR_6R_7$, C_3-C_7 or $-C_1$-C_4 alkoxy; heterocycloalkyl optionally substituted with 1 or 2 groups that are independently C_1-C_4 alkyl, C_1-C_4 alkoxy, halogen, C_2-C_4 alkanoyl, phenyl C_1-C_4 alkyl, and $-SO_2$ C_1-C_4 alkyl; heterocycloalkylalkyl optionally substituted with 1 or 2 groups that are independently C_1-C_4 alkyl, C_1-C_4 alkoxy, halogen, C_2-C_4 alkanoyl, phenyl C_1-C_4 alkyl, and $-SO_2$ C_1-C_4 alkyl; alkenyl; alkynyl; heteroaryl optionally substituted with 1, 2, or 3 groups that are independently OH, C_1-C_4 alkyl, C_1-C_4 alkoxy, halogen, NH_2, $NH(C_1$-C_6 alkyl) or $N(C_1$-C_6 alkyl)$(C_1$-C_6 alkyl); heteroarylalkyl optionally substituted with 1, 2, or 3 groups that are independently C_1-C_4 alkyl, C_1-C_4 alkoxy, halogen, NH_2, $NH(C_1$-C_6 alkyl) or $N(C_1$-C_6 alkyl)$(C_1$-C_6 alkyl); phenyl; C_3-C_8 cycloalkyl, and cycloalkylalkyl, wherein the phenyl; C_3-C_8 cycloalkyl, and cycloalkylalkyl groups are optionally substituted with 1, 2, 3, 4 or 5 groups that are independently halogen, CN, NO_2, C_1-C_6 alkyl, C_1-C_6 alkoxy, C_2-C_6 alkanoyl, C_1-C_6 haloalkyl, C_1-C_6 haloalkoxy, hydroxy, C_1-C_6 hydroxyalkyl, C_1-C_6 alkoxy C_1-C_6 alkyl, C_1-C_6 thioalkoxy, C_1-C_6 thioalkoxy C_1-C_6 alkyl, or C_1-C_6 alkoxy C_1-C_6 alkoxy.

Preferred compounds of formula I-3 include compounds wherein

R_8 is



wherein

X is C_1-C_4 alkylidenyl optionally substituted with 1, 2, or 3 methyl groups; or $-NR_{4-6}-$; or

R_4 and R_{4-6} combine to form $-(CH_2)_{n10}-$, wherein

n_{10} is 1, 2, 3, or 4;

Z is selected from a bond; SO_2; SO; S; and C(O);

Y is selected from H; C_1-C_4 haloalkyl; C_3-C_6 heterocycloalkyl containing at least one N, O, or S; phenyl; OH; $-N(Y_1)(Y_2)$; C_1-C_{10} alkyl optionally substituted with 1 thru 3 substituents which can be the same or different and are selected from halogen, hydroxy, alkoxy, thioalkoxy, and haloalkoxy; C_3-C_8 cycloalkyl optionally substituted with 1, 2, or 3 groups independently selected from C_1-C_3 alkyl, and halogen; alkoxy; phenyl optionally substituted with halogen, C_1-C_4 alkyl, C_1-C_4 alkoxy, CN or NO_2; phenyl C_1-C_4 alkyl optionally substituted with halogen, C_1-C_4 alkyl, C_1-C_4 alkoxy, CN or NO_2; wherein

Y_1 and Y_2 are the same or different and are H; C_1-C_{10} alkyl optionally substituted with 1, 2, or 3 substituents selected from the group consisting of halogen, C_1-C_4 alkoxy, C_3-C_8 cycloalkyl, and OH; C_2-C_6 alkenyl; C_2-C_6 alkanoyl; phenyl; $-SO_2-C_1$-C_4 alkyl; phenyl C_1-C_4 alkyl; and C_3-C_8 cycloalkyl C_1-C_4 alkyl; or

$-N(Y_1)(Y_2)$ forms a ring selected from piperazinyl, piperidinyl, morpholinyl, and pyrolidinyl, wherein each ring is optionally substituted with 1, 2, 3, or 4 groups that are

independently C_1-C_6 alkyl, C_1-C_6 alkoxy, C_1-C_6 alkoxy C_1-C_6 alkyl, or halogen.

Preferred compounds of formula I-3 include compounds wherein

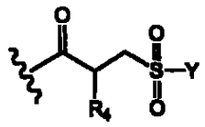
X is C_1-C_4 alkylidenyl optionally optionally substituted with 1, 2, or 3 methyl groups;

Z is selected from SO_2; SO; S; and C(O);

Y is selected from H; C_1-C_6 haloalkyl; C_3-C_6 heterocycloalkyl containing at least one N, O, or S; phenyl; OH; $-N(Y_1)(Y_2)$; C_1-C_{10} alkyl optionally substituted with 1 thru 3 substituents which can be the same or different and are selected from the group consisting of halogen, hydroxy, alkoxy, thioalkoxy, and haloalkoxy; C_3-C_8 cycloalkyl optionally substituted with 1, 2, or 3 groups independently selected from C_1-C_3 alkyl, and halogen; alkoxy; phenyl optionally substituted with halogen, C_1-C_4 alkyl, C_1-C_4 alkoxy, CN or NO_2; phenyl C_1-C_4 alkyl optionally substituted with halogen, C_1-C_4 alkyl, C_1-C_4 alkoxy, CN or NO_2; wherein

Y_1 and Y_2 are the same or different and are H; C_1-C_6 alkyl optionally substituted with 1, 2, or 3 substituents selected from the group consisting of halogen, C_1-C_4 alkoxy, C_3-C_8 cycloalkyl, and OH; C_2-C_6 alkenyl; C_2-C_6 alkanoyl; phenyl; $-SO_2$-C_1-C_4 alkyl; phenyl C_1-C_4 alkyl; or C_3-C_8 cycloalkyl C_1-C_4 alkyl; or

$-N(Y_1)(Y_2)$ forms a ring selected from piperazinyl, piperidinyl, morpholinyl, and pyrolidinyl, wherein each ring is optionally substituted with 1, 2, 3, or 4 groups that are independently C_1-C_6 alkyl, C_1-C_6 alkoxy, C_1-C_6 alkoxy C_1-C_6 alkyl, or halogen.

Preferred compounds of formula I-3 include compounds wherein R_x is 

and wherein R_4 is NH_2; $-NH-(CH_2)_{n6}-R_{4-1}$; $-NHR_8$; $-NR_{80}C(O)R_8$; or $-NR_{80}CO_2R_{81}$ wherein

n_6 is 0, 1, 2, or 3;

n_7 is 0, 1, 2, or 3;

R_{4-1} is selected from the group consisting of $-SO_2-(C_1-C_8$ alkyl), $-SO-(C_1-C_8$ alkyl), $-S-(C_1-C_8$ alkyl), $-S-CO-(C_1-C_6$ alkyl), $-SO_2-NR_{4-2}R_{4-3}$; $-CO-C_1-C_2$ alkyl; $-CO-NR_{4-3}R_{4-4}$;

R_{4-2} and R_{4-3} are independently H, C_1-C_3 alkyl, or C_3-C_6 cycloalkyl;

R_{4-4} is alkyl, phenylalkyl, C_2-C_4 alkanoyl, or phenylalkanoyl;

R_8 is cyclopropyl; cyclobutyl; cyclopentyl; or cyclohexyl; wherein each cycloalkyl group is optionally substituted with one or two groups that are C_1-C_6 alkyl, more preferably C_1-C_2 alkyl, C_1-C_6 alkoxy, more preferably C_1-C_2 alkoxy, CF_3, OH, NH_2, $NH(C_1-C_6$ alkyl), $N(C_1-C_6$ alkyl)$(C_1-C_6$ alkyl), halogen, CN, or NO_2; or the cycloalkyl group is substituted with 1 or 2 groups that are independently CF_3, Cl, F, methyl, ethyl or cyano; C_1-C_6 alkyl optionally substituted with 1, 2, or 3 groups that are independently halogen, $-NR_6R_7$, C_1-C_4 alkoxy, C_5-C_6 heterocycloalkyl, C_5-C_6 heteroaryl, phenyl, C_3-C_7 cycloalkyl, $-S-C_1-C_4$ alkyl, $-SO_2-C_1-C_4$ alkyl, $-CO_2H$, $-CONR_6R_7$, $-CO_2-C_1-C_4$ alkyl, or phenyloxy; heteroaryl optionally substituted

with 1, 2, or 3 groups that are independently C_1-C_4 alkyl, C_1-C_4 alkoxy, halogen, C_1-C_4 haloalkyl, or OH; heterocycloalkyl optionally substituted with 1, 2, or 3 groups that are independently C_1-C_4 alkyl, C_1-C_4 alkoxy, halogen, or C_2-C_4 alkanoyl; phenyl optionally substituted with 1, 2, 3, or 4 groups that are independently halogen, OH, C_1-C_4 alkyl, C_1-C_4 alkoxy, or C_1-C_4 haloalkyl; and $-NR_6R_7$; wherein

R_6 and R_7 are independently selected from the group consisting of H, C_1-C_6 alkyl, C_2-C_6 alkanoyl, phenyl, $-SO_2$-C_1-C_4 alkyl, and phenyl C_1-C_4 alkyl;

R_8 is selected from the group consisting of $-SO_2$-heteroaryl optionally substituted with 1 or 2 groups that are independently C_1-C_4 alkyl or halogen;, $-SO_2$-aryl, $-SO_2$-heterocycloalkyl, $-C(O)NHR_9$, heterocycloalkyl, -S-C_2-C_4 alkanoyl, wherein

R_9 is phenyl C_1-C_4 alkyl, C_1-C_6 alkyl, or H;

R_{80} is H or C_1-C_6 alkyl; and

R_{81} is selected from the group consisting of phenyl C_1-C_4 alkyl; C_1-C_6 alkyl optionally substituted with 1, 2, or 3 groups that are independently halogen, cyano, $-NR_6R_7$, $-C(O)NR_6R_7$, C_2-C_7 or -C_1-C_4 alkoxy; heterocycloalkyl optionally substituted with 1 or 2 groups that are independently C_1-C_4 alkyl, C_1-C_4 alkoxy, halogen, C_2-C_4 alkanoyl, phenyl C_1-C_4 alkyl, and $-SO_2$ C_1-C_4 alkyl; heterocycloalkylalkyl optionally substituted with 1 or 2 groups that are independently C_1-C_4 alkyl, C_1-C_4 alkoxy, halogen, C_2-C_4 alkanoyl, phenyl C_1-C_4 alkyl, and $-SO_2$ C_1-C_4 alkyl; alkenyl; alkynyl; heteroaryl optionally

substituted with 1, 2, or 3 groups that are independently OH, C_1-C_4 alkyl, C_1-C_4 alkoxy, halogen, NH_2, $NH(C_1$-C_6 alkyl) or $N(C_1$-C_6 alkyl)(C_1-C_6 alkyl); heteroarylalkyl optionally substituted with 1, 2, or 3 groups that are independently C_1-C_4 alkyl, C_1-C_4 alkoxy, halogen, NH_2, $NH(C_1$-C_6 alkyl) or $N(C_1$-C_6 alkyl)(C_1-C_6 alkyl); phenyl; C_3-C_8 cycloalkyl, and cycloalkylalkyl, wherein the phenyl; C_3-C_8 cycloalkyl, and cycloalkylalkyl groups are optionally substituted with 1, 2, 3, 4 or 5 groups that are independently halogen, CN, NO_2, C_1-C_6 alkyl, C_1-C_6 alkoxy, C_2-C_6 alkanoyl, C_1-C_6 haloalkyl, C_1-C_6 haloalkoxy, hydroxy, C_1-C_6 hydroxyalkyl, C_1-C_6 alkoxy C_1-C_6 alkyl, C_1-C_6 thioalkoxy, C_1-C_6 thioalkoxy C_1-C_6 alkyl, or C_1-C_6 alkoxy C_1-C_6 alkoxy; and

Y is C_1-C_{10} alkyl optionally substituted with 1 thru 3 substituents which can be the same or different and are selected from halogen, hydroxy, alkoxy, thioalkoxy, and haloalkoxy.

Preferred compounds of formula I-3 further include compounds wherein

R_C is C_1-C_8 alkyl optionally substituted with 1, 2, or 3 groups independently selected from the group consisting of R_{305}, $-OC{=}ONR_{235}R_{240}$, $-S(=O)_{0-2}(C_1$-C_6 alkyl), -SH, $-C{=}ONR_{235}R_{240}$, and $-S(=O)_2NR_{235}R_{240}$; $-(CH_2)_{0-3}$-(C_3-C_8) cycloalkyl wherein the cycloalkyl is optionally substituted with 1, 2, or 3 groups independently selected from the group consisting of R_{305}, $-CO_2H$, and $-CO_2-(C_1$-C_4 alkyl); $-(CR_{245}R_{250})_{0-4}$-phenyl; $-(CR_{245}R_{250})_{0-4}$-heteroaryl; $-(CR_{245}R_{250})_{0-4}$-

heterocycloalkyl; $-(CH_2)_{0-1}-CH((CH_2)_{0-4}-OH)-(CH_2)_{0-1}$-phenyl; $-(CH_2)_{0-1}-CHR_{C-6}-(CH_2)_{0-1}$-heteroaryl; $-CH(-CH_2-OH)-CH(OH)$-phenyl-NO_2; (C_1-C_6 alkyl)-O-(C_1-C_6 alkyl)-OH; or $-(CH_2)_{0-6}-C(=NR_{235})(NR_{215}R_{240})$; wherein

each aryl is optionally substituted with 1, 2, or 3 R_{200};

each heteroaryl is optionally substituted with 1, 2, 3, or 4 R_{200};

each heterocycloalkyl is optionally substituted with 1, 2, 3, or 4 R_{210};

R_{200} at each occurrence is independently C_1-C_6 alkyl optionally substituted with 1, 2, or 3 R_{205} groups; OH; $-NO_2$; halogen; $-CO_2H$; C≡N; $-(CH_2)_{0-4}-CO-NR_{220}R_{225}$; $-(CH_2)_{0-4}-CO-(C_1-C_{12}$ alkyl); $-(CH_2)_{0-4}-CO_2R_{215}$; or $-(CH_2)_{0-4}-O-(C_1-C_6$ alkyl optionally substituted with 1, 2, 3, or 5 -F);

R_{205} at each occurrence is independently C_1-C_6 alkyl, halogen, -OH, -O-phenyl, -SH, -C≡N, $-CF_3$, C_1-C_6 alkoxy, NH_2, $NH(C_1$-C_6 alkyl), or $N-(C_1$-C_6 alkyl)(C_1-C_6 alkyl);

R_{210} at each occurrence is independently C_1-C_6 alkyl optionally substituted with 1, 2, or 3 R_{205} groups; halogen; C_1-C_6 alkoxy; C_1-C_6 haloalkoxy; $-NR_{220}R_{225}$; OH; C≡N; C_3-C_7 cycloalkyl optionally substituted with 1, 2, or 3 R_{205} groups; $-CO-(C_1-C_4$ alkyl); $-SO_2-NR_{215}R_{240}$; $-CO-NR_{215}R_{240}$; $-SO_2-(C_1-C_4$ alkyl); and =O; wherein

R_{215} at each occurrence is independently C_1-C_6 alkyl, $-(CH_2)_{0-2}-$(phenyl), C_3-C_7 cycloalkyl, and $-(CH_2)_{0-2}-$(heteroaryl), $-(CH_2)_{0-2}-$(heterocycloalkyl); wherein the phenyl group at each occurrence is optionally substituted with 1, 2, or 3 groups that are independently R_{205} or R_{210}; wherein the

heterocycloalkyl group at each occurrence is optionally substituted with 1, 2, or 3 R_{210}; wherein each heteroaryl group at each occurrence is optionally substituted with 1, 2, or 3 R_{210};

R_{220} and R_{225} at each occurrence are independently -H, -C_1-C_6 alkyl, hydroxy C_1-C_6 alkyl, halo C_1-C_6 alkyl; -C_3-C_7 cycloalkyl, and -(C_1-C_6 alkyl)-O-(C_1-C_3 alkyl);

R_{235} and R_{240} at each occurrence are independently H, or C_1-C_6 alkyl;

R_{245} and R_{250} at each occurrence are independently H, C_1-C_4 alkyl, C_1-C_4 hydroxyalkyl, C_1-C_4 alkoxy, C_1-C_4 haloalkoxy, or

R_{245} and R_{250} are taken together with the carbon to which they are attached to form a carbocycle of 3, 4, 5, 6, or 7 carbon atoms.

Preferred compounds of formula I-3 include compounds wherein

R_1 is benzyl which is optionally substituted with 1, 2, 3, or 4 groups independently selected from halogen, C_1-C_4 alkoxy, hydroxy, and C_1-C_4 alkyl optionally substituted with 1, 2, or 3 substituents halogen, OH, SH, NH_2, NH(C_1-C_6 alkyl), N-(C_1-C_6 alkyl)(C_1-C_6 alkyl), C≡N, CF_3;

R_2 and R_3 are independently selected from H or C_1-C_4 alkyl optionally substituted with 1 substituent selected from halogen, -OH, -SH, -C≡N, -CF_3, C_1-C_3 alkoxy, NH_2, NH(C_1-C_6 alkyl), and NH(C_1-C_6 alkyl)(C_1-C_6 alkyl);

R_C is C_1-C_6 alkyl optionally substituted with 1, 2, or 3 groups independently selected from R_{205}, -SH, -C=ONR$_{235}$R$_{240}$, and -S(=O)$_2$NR$_{235}$R$_{240}$; -$(CH_2)_{0-3}$-(C_3-C_6) cycloalkyl wherein the cycloalkyl is optionally

substituted with 1, 2, or 3 groups independently selected from R_{205}, $-CO_2H$, and $-CO_2-(C_1-C_4$ alkyl); $-(CR_{245}R_{250})_{0-4}$-phenyl optionally substituted with 1, 2, or 3 R_{200}; $-(CR_{245}R_{250})_{0-3}$-pyridyl; $-(CR_{245}R_{250})_{0-3}$-pyridaxinyl; $-(CR_{245}R_{250})_{0-3}$-pyrimidinyl; $-(CR_{245}R_{250})_{0-3}$-pyraxinyl; $-(CR_{245}R_{250})_{0-3}$-furyl; $-(CR_{245}R_{250})_{0-3}$-indolyl; $-(CR_{245}R_{250})_{0-3}$-thienyl; $-(CR_{245}R_{250})_{0-3}$-pyrrolyl; $-(CR_{245}R_{250})_{0-3}$-pyrazolyl; $(CR_{245}R_{250})_{0-3}$-benzoxazolyl; $-(CR_{245}R_{250})_{0-3}$-imidazolyl; each of the above heteroaryl groups is optionally substituted with 1, 2, 3, or 4 R_{200}; $-(CR_{245}R_{250})_{0-3}$-imidazolidinyl; $(CR_{245}R_{250})_{0-3}$-tetrahydrofuryl; $(CR_{245}R_{250})_{0-3}$-tetrahydropyranyl; $(CR_{245}R_{250})_{0-3}$-piperazinyl; $(CR_{245}R_{250})_{0-3}$-pyrrolidinyl; $(CR_{245}R_{250})_{0-3}$-piperidinyl; $(CR_{245}R_{250})_{0-3}$-indolinyl; each of the above heterocycloalkyl groups is optionally substituted with 1, 2, 3, or 4 R_{210}; $(CH_2)_{0-1}-CH((CH_2)_{0-4}-OH)-(CH_2)_{0-1}$-phenyl; $-(CH_2)_{0-1}-CH(C_1-C_4$ hydroxyalkyl$)-(CH_2)_{0-1}$-pyridyl;

R_{200} at each occurrence is independently C_1-C_8 alkyl optionally substituted with 1, 2, or 3 R_{205} groups; OH; $-NO_2$; halogen; $-CO_2H$; C≡N; $-(CH_2)_{0-4}-CO-NR_{220}R_{225}$; $-(CH_2)_{0-4}-CO-(C_1-C_8$ alkyl); $-(CH_2)_{0-4}-CO_2R_{215}$; and $-(CH_2)_{0-4}-O-(C_1-C_6$ alkyl optionally substituted with 1, 2, 3, or 5 -F);

R_{205} at each occurrence is independently C_1-C_6 alkyl, halogen, -OH, -O-phenyl, -SH, -C≡N, $-CF_3$, C_1-C_6 alkoxy, NH_2, $NH(C_1-C_6$ alkyl), and $N-(C_1-C_6$ alkyl$)(C_1-C_6$ alkyl);

R_{210} at each occurrence is independently C_1-C_6 alkyl optionally substituted with 1 or 2 R_{205} groups; halogen; C_1-C_4 alkoxy; C_1-C_4 haloalkoxy; $-NR_{220}R_{225}$; OH; C≡N; C_3-C_7 cycloalkyl optionally

substituted with 1 or 2 R_{205} groups; -CO-(C_1-C_4 alkyl); $-SO_2-NR_{235}R_{240}$; $-CO-NR_{235}R_{240}$; $-SO_2-$(C_1-C_4 alkyl); and =O; wherein

R_{215} at each occurrence is independently C_1-C_6 alkyl, $-(CH_2)_{0-2}-$(phenyl), C_3-C_6 cycloalkyl, $-(CH_2)_{0-2}-$(pyridyl), $-(CH_2)_{0-2}-$(pyrrolyl), $-(CH_2)_{0-2}-$(imidazolyl), $-(CH_2)_{0-2}-$(pyrimidyl), $-(CH_2)_{0-2}-$(pyrrolidinyl), $-(CH_2)_{0-2}-$(imidazolidinyl) $-(CH_2)_{0-2}-$(piperazinyl), $-(CH_2)_{0-2}-$(piperidinyl), and $-(CH_2)_{0-2}-$(morpholinyl); wherein the phenyl group at each occurrence is optionally substituted with 1 or 2 groups that are independently R_{205} or R_{210}; wherein each heterocycloalkyl group at each occurrence is optionally substituted with 1 or 2 R_{210}; wherein each heteroaryl group at each occurrence is optionally substituted with 1 or 2 R_{210};

R_{220} and R_{225} at each occurrence are independently -H, $-C_1$-C_4 alkyl, hydroxy C_1-C_4 alkyl, halo C_1-C_4 alkyl; $-C_3$-C_6 cycloalkyl, and $-(C_1$-C_4 alkyl)-O-(C_1-C_2 alkyl);

R_{235} and R_{240} at each occurrence are independently H, or C_1-C_6 alkyl;

R_{245} and R_{250} at each occurrence are independently H, C_1-C_4 alkyl, C_1-C_4 hydroxyalkyl, C_1-C_4 alkoxy, C_1-C_4 haloalkoxy, or

R_{245} and R_{250} are taken together with the carbon to which they are attached to form a carbocycle of 3, 4, 5, or 6 carbon atoms.

Other preferred compounds of formula I-3 include compounds wherein

X is-C_1-C_3 alkylidenyl optionally optionally substituted with 1 or 2 methyl groups;

Z is SO_2; SO; S; or C(O);

Y is C_1-C_4 haloalkyl; OH; -$N(Y_1)(Y_2)$; C_1-C_{10} alkyl optionally substituted with 1 or 2 substituents which can be the same or different and are selected from halogen, hydroxy, C_1-C_4 alkoxy, C_1-C_4 thioalkoxy, and C_1-C_4 haloalkoxy; C_1-C_4 alkoxy; phenyl optionally substituted with halogen, C_1-C_4 alkyl, C_1-C_4 alkoxy, CN or NO_2; and benzyl optionally substituted with halogen, C_1-C_4 alkyl, C_1-C_4 alkoxy, CN or NO_2; wherein

Y_1 and Y_2 are the same or different and are H; C_1-C_6 alkyl optionally substituted with 1, 2, or 3 substituents selected from halogen, C_1-C_2 alkoxy, C_3-C_6 cycloalkyl, and OH; C_2-C_6 alkanoyl; phenyl; -SO_2-C_1-C_4 alkyl; benzyl; and C_3-C_6 cycloalkyl C_1-C_2 alkyl; or

-$N(Y_1)(Y_2)$ forms a ring selected from piperazinyl, piperidinyl, morpholinyl, and pyrolidinyl, wherein each ring is optionally substituted with 1, 2, 3, or 4 groups that are independently C_1-C_6 alkyl, C_1-C_6 alkoxy, C_1-C_6 alkoxy C_1-C_6 alkyl, or halogen.

Preferred compounds of formula I-3 also include those of formula I-4, i.e., compounds of formula I-3 wherein

X is-C_1-C_3 alkylidenyl optionally optionally substituted with 1 methyl group;

Z is SO_2; SO; S; or C(O);

Y is OH; -$N(Y_1)(Y_2)$; phenyl; benzyl; or C_1-C_{10} alkyl optionally substituted with 1 or 2 substituents which can be the same or different and are selected

from halogen, hydroxy, methoxy, ethoxy, thiomethoxy, thioethoxy, and CF_3; wherein

Y_1 and Y_2 are the same or different and are H; C_1-C_4 alkyl optionally substituted with 1 or 2 substituents selected from halogen, methoxy, ethoxy, cyclopropyl, and OH; or

$-N(Y_1)(Y_2)$ forms a ring selected from piperazinyl, piperidinyl, morpholinyl, and pyrolidinyl, wherein each ring is optionally substituted with 1 or 2 groups that are independently C_1-C_4 alkyl, C_1-C_4 alkoxy, or halogen;

R_1 is benzyl which is optionally substituted with 1, 2, or 3 groups independently selected from methyl, ethyl, n-propyl, isopropyl, hydroxymethyl, monohalomethyl, dihalomethyl, trihalomethyl, $-CH_2CF_3$, methoxymethyl, halogen, methoxy, ethoxy, n-propyloxy, isopropyloxy, and OH;

R_2 and R_3 are independently H or C_1-C_4 alkyl,

R_C is C_1-C_6 alkyl optionally substituted with 1, 2, or 3 R_{205} groups; cyclopropyl, cyclopropylmethyl, cyclopentyl, cyclopentylmethyl, cyclohexyl, cyclohexylmethyl; $-(CR_{245}R_{250})_{0-3}$-phenyl optionally substituted with 1 or 2 R_{200} groups; $-(CR_{245}R_{250})_{0-3}$-pyridyl optionally substituted with 1 or 2 R_{200}; $-(CR_{245}R_{250})_{0-3}$-piperazinyl; or $(CR_{245}R_{250})_{0-3}$-pyrrolidinyl; $-(CR_{245}R_{250})_{0-3}$-piperidinyl; each of the above heterocycloalkyl groups is optionally substituted with 1 or 2 R_{210} groups;

R_{200} at each occurrence is independently selected from C_1-C_4 alkyl optionally substituted with 1 or 2 R_{205} groups; OH; and halogen;

R_{305} at each occurrence is independently selected from C_1-C_4 alkyl, halogen, -OH, -SH, -C≡N, -CF_3, and C_1-C_4 alkoxy;

R_{210} at each occurrence is independently selected from C_1-C_4 alkyl optionally substituted with 1 or 2 R_{305} groups; halogen; C_1-C_4 alkoxy; OCF_3; NH_2, $NH(C_1$-C_6 alkyl); $N(C_1$-C_6 alkyl)(C_1-C_6 alkyl); OH; and -CO-(C_1-C_4 alkyl); wherein

R_{345} and R_{350} at each occurrence are independently selected from H, C_1-C_4 hydroxyalkyl, C_1-C_4 alkoxy, or

R_{345} and R_{350} are taken together with the carbon to which they are attached to form a carbocycle of 3, 5,or 6 carbon atoms.

Preferred compounds of formulas I, I-1 and I-2 include compounds of formula I-5, i.e., those of formulae I, I-1 or I-2 wherein

R_W is $-C(=O)-(CRR')_{0-6}R_{100}$; and

R_{100} represents aryl, heteroaryl, or heterocyclyl, where the ring portions of each are optionally substituted with 1, 2, or 3 groups independently selected from -OR, -NO_2, C_1-C_6 alkyl, halogen, -C≡N, -OCF_3, -CF_3, -$(CH_2)_{0-4}$-O-P(=O)(OR)(OR'), -$(CH_2)_{0-4}$-CO-$NR_{105}R'_{105}$, -$(CH_2)_{0-4}$-O-$(CH_2)_{0-4}$-$CONR_{102}R_{102}'$, -$(CH_2)_{0-4}$-CO-(C_1-C_{12} alkyl), -$(CH_2)_{0-4}$-CO-(C_2-C_{12} alkenyl), -$(CH_2)_{0-4}$-CO-(C_2-C_{12} alkynyl), -$(CH_2)_{0-4}$-CO-$(CH_2)_{0-4}$(C_3-C_7 cycloalkyl), -$(CH_2)_{0-4}$-R_{110}, -$(CH_2)_{0-4}$-R_{120}, -$(CH_2)_{0-4}$-R_{130}, -$(CH_2)_{0-4}$-CO-R_{110}, -$(CH_2)_{0-4}$-CO-R_{120}, -$(CH_2)_{0-4}$-CO-R_{130}, -$(CH_2)_{0-4}$-CO-R_{140}, -$(CH_2)_{0-4}$-CO-O-R_{150}, -$(CH_2)_{0-4}$-SO_2-$NR_{105}R'_{105}$, -$(CH_2)_{0-4}$-SO-(C_1-C_6 alkyl), -$(CH_2)_{0-4}$-SO_2-(C_1-C_{12} alkyl), -$(CH_2)_{0-4}$-SO_2-$(CH_2)_{0-4}$-(C_3-C_7 cycloalkyl), -$(CH_2)_{0-4}$-N(R_{150})-CO-O-R_{150}, -$(CH_2)_{0-4}$-N(R_{150})-CO-N(R_{150})$_2$, -$(CH_2)_{0-4}$-

$N(R_{150})-CS-N(R_{150})_2$, $-(CH_2)_{0-4}-N(R_{150})-CO-R_{105}$, $-(CH_2)_{0-4}-NR_{105}R'_{105}$, $-(CH_2)_{0-4}-R_{140}$, $-(CH_2)_{0-4}-O-CO-(C_1-C_6$ alkyl), $-(CH_2)_{0-4}-O-P(O)-(O-R_{110})_2$, $-(CH_2)_{0-4}-O-CO-N(R_{150})_2$, $-(CH_2)_{0-4}-O-CS-N(R_{150})_2$, $-(CH_2)_{0-4}-O-(R_{150})$, $-(CH_2)_{0-4}-O-R_{150}'-COOH$, $-(CH_2)_{0-4}-S-(R_{150})$, $-(CH_2)_{0-4}-N(R_{150})-SO_2-R_{105}$, $-(CH_2)_{0-4}-$ C_3-C_7 cycloalkyl, (C_2-C_{10})alkenyl, or (C_2-C_{10})alkynyl.

Preferred compounds of formula I-5 include compounds wherein

R_{α} is $-C(=O)-R_{100}$; and

R_{100} represents aryl, or heteroaryl, where the ring portions of each are optionally substituted with 1, 2, or 3 groups independently selected from

-OR, $-NO_2$, C_1-C_6 alkyl, halogen, -CN, $-OCF_3$, $-CF_3$, $-(CH_2)_{0-4}-O-P(=O)(OR)(OR')$, $-(CH_2)_{0-4}-CO-NR_{105}R'_{105}$, $-(CH_2)_{0-4}-O-(CH_2)_{0-4}-CONR_{102}R_{102}'$, $-(CH_2)_{0-4}-CO-(C_1-C_{12}$ alkyl), $-(CH_2)_{0-4}-CO-(C_2-C_{12}$ alkenyl), $-(CH_2)_{0-4}-CO-(C_2-C_{12}$ alkynyl), $-(CH_2)_{0-4}-CO-(CH_2)_{0-4}(C_3-C_7$ cycloalkyl), $-(CH_2)_{0-4}-R_{110}$, $-(CH_2)_{0-4}-R_{120}$, $-(CH_2)_{0-4}-R_{130}$, $-(CH_2)_{0-4}-CO-R_{110}$, $-(CH_2)_{0-4}-CO-R_{120}$, $-(CH_2)_{0-4}-CO-R_{130}$, $-(CH_2)_{0-4}-CO-R_{140}$, $-(CH_2)_{0-4}-CO-O-R_{150}$, $-(CH_2)_{0-4}-SO_2-NR_{105}R'_{105}$, $-(CH_2)_{0-4}-SO-(C_1-C_6$ alkyl), $-(CH_2)_{0-4}-SO_2-(C_1-C_{12}$ alkyl), $-(CH_2)_{0-4}-SO_2-(CH_2)_{0-4}-(C_3-C_7$ cycloalkyl), $-(CH_2)_{0-4}-N(R_{150})-CO-O-R_{150}$, $-(CH_2)_{0-4}-N(R_{150})-CO-N(R_{150})_2$, $-(CH_2)_{0-4}-N(R_{150})-CS-N(R_{150})_2$, $-(CH_2)_{0-4}-N(R_{150})-CO-R_{105}$, $-(CH_2)_{0-4}-NR_{105}R'_{105}$, $-(CH_2)_{0-4}-R_{140}$, $-(CH_2)_{0-4}-O-CO-(C_1-C_6$ alkyl), $-(CH_2)_{0-4}-O-P(O)-(O-R_{110})_2$, $-(CH_2)_{0-4}-O-CO-N(R_{150})_2$, $-(CH_2)_{0-4}-O-CS-N(R_{150})_2$, $-(CH_2)_{0-4}-O-(R_{150})$, $-(CH_2)_{0-4}-O-R_{150}'-COOH$, $-(CH_2)_{0-4}-S-(R_{150})$, $-(CH_2)_{0-4}-N(R_{150})-SO_2-R_{105}$, $-(CH_2)_{0-4}-$ C_1-C_7 cycloalkyl, (C_2-C_{10})alkenyl, or (C_2-C_{10})alkynyl.

Preferred compounds of formula I-5 also include compounds wherein

R_{II} is -C(=O)-aryl or -C(=O)-heteroaryl where the ring portions of each are optionally substituted with 1, 2, or 3 groups independently selected from

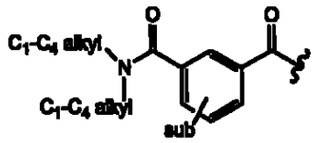
-OR, $-NO_2$, C_1-C_6 alkyl, halogen, -C≡N, $-OCF_3$, $-CF_3$, $-(CH_2)_{0-4}-CO-NR_{105}R'_{105}$, $-(CH_2)_{0-4}-O-(CH_2)_{0-4}-CONR_{102}R_{102}'$, $-(CH_2)_{0-4}-CO-(C_1-C_{12}$ alkyl), $-(CH_2)_{0-4}-CO-(C_2-C_{12}$ alkenyl), $-(CH_2)_{0-4}-CO-(C_2-C_{12}$ alkynyl), $-(CH_2)_{0-4}-R_{110}$, $-(CH_2)_{0-4}-R_{120}$, $-(CH_2)_{0-4}-R_{130}$, $-(CH_2)_{0-4}-CO-R_{110}$, $-(CH_2)_{0-4}-CO-R_{120}$, $-(CH_2)_{0-4}-CO-R_{130}$, $-(CH_2)_{0-4}-CO-R_{140}$, $-(CH_2)_{0-4}-CO-O-R_{150}$, $-(CH_2)_{0-4}-SO_2-NR_{105}R'_{105}$, $-(CH_2)_{0-4}-SO-(C_1-C_6$ alkyl), $-(CH_2)_{0-4}-SO_2-(C_1-C_{12}$ alkyl), $-(CH_2)_{0-4}-N(R_{150})-CO-O-R_{150}$, $-(CH_2)_{0-4}-N(R_{150})-CO-N(R_{150})_2$, $-(CH_2)_{0-4}-N(R_{150})-CO-R_{105}$, $-(CH_2)_{0-4}-NR_{105}R'_{105}$, $-(CH_2)_{0-4}-R_{140}$, $-(CH_2)_{0-4}-O-CO-(C_1-C_6$ alkyl), $-(CH_2)_{0-4}-O-CO-N(R_{150})_2$, $-(CH_2)_{0-4}-O-(R_{150})$, $-(CH_2)_{0-4}-N(R_{150})-SO_2-R_{105}$, $-(CH_2)_{0-4}-$ C_3-C_7 cycloalkyl, (C_2-C_{10})alkenyl, or (C_2-C_{10})alkynyl.

Other preferred compounds of formula I-5 include compounds wherein

R_{II} is -C(=O)-aryl or -C(=O)-heteroaryl where the ring portions of each are optionally substituted with 1 or 2 groups independently selected from

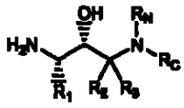
C_1-C_6 alkyl, halogen, $-(CH_2)_{0-4}-CO-NR_{105}R'_{105}$, $-(CH_2)_{0-4}-O-CO-N(R_{150})_2$, $-(CH_2)_{0-4}-N(R_{150})-SO_2-R_{105}$, $-(CH_2)_{0-4}-SO_2-NR_{105}R'_{105}$, C_3-C_7 cycloalkyl, (C_2-C_{10})alkenyl, $-(CH_2)_{0-4}-R_{110}$, $-(CH_2)_{0-4}-R_{120}$, $-(CH_2)_{0-4}-R_{130}$, or (C_2-C_{10})alkynyl.

Other preferred compounds of formula I-5 also include compounds wherein R_{II} is:



wherein sub is hydrogen or is C_1-C_6 alkyl, halogen, -$(CH_2)_{0-4}$-CO-$NR_{105}R'_{105}$, -$(CH_2)_{0-4}$-O-CO-$N(R_{150})_2$, -$(CH_2)_{0-4}$-$N(R_{150})$-SO_2-R_{105}, -$(CH_2)_{0-4}$-SO_2-$NR_{105}R'_{105}$, C_3-C_7 cycloalkyl, -$(C_2$-$C_{10})$alkenyl, -$(CH_2)_{0-4}$-R_{110}, -$(CH_2)_{0-4}$-R_{120}, -$(CH_2)_{0-4}$-R_{130}, or $(C_2$-$C_{10})$alkynyl.

A preferred stereochemistry for compounds of formula I is as follows:



(I)

Preferred compounds of formula X include those of formula X-1, i.e., compounds of formula X wherein

R_1 is aryl, heteroaryl, heterocyclyl, -C_1-C_6 alkyl-aryl, -C_1-C_6 alkyl-heteroaryl, or -C_1-C_6 alkyl-heterocyclyl, where the ring portions of each are optionally substituted with 1, 2, 3, or 4 groups independently selected from halogen, -OH, -SH, -C≡N, -NO_2, -$NR_{105}R'_{105}$, -CO_2R, -N(R)COR', or -N(R)SO_2R', -C(=O)-(C_1-C_4) alkyl, -SO_2-amino, -SO_2-mono or dialkylamino, -C(=O)-amino, -C(=O)-mono or dialkylamino, -SO_2-(C_1-C_4) alkyl, or

C_1-C_6 alkoxy optionally substituted with 1, 2, or 3 groups which are independently selected from halogen, or

C_3-C_7 cycloalkyl optionally substituted with 1, 2, or 3 groups independantly selected from halogen, -OH, -SH, -C≡N, $-CF_3$, C_1-C_3 alkoxy, amino, -C_1-C_6 alkyl and mono- or dialkylamino, or

C_1-C_{10} alkyl optionally substituted with 1, 2, or 3 groups independently selected from halogen, -OH, -SH, -C≡N, $-CF_3$, -C_1-C_3 alkoxy, amino, mono- or dialkylamino and -C_1-C_3 alkyl, or

C_2-C_{10} alkenyl or C_2-C_{10} alkynyl each of which is optionally substituted with 1, 2, or 3 groups independently selected from halogen, -OH, -SH, -C≡N, $-CF_3$, C_1-C_3 alkoxy, amino, C_1-C_6 alkyl and mono- or dialkylamino; and the heterocyclyl group is optionally further substituted with oxo.

Preferred compounds of formula X-1 also include those wherein

R_1 is -C_1-C_6 alkyl-aryl, -C_1-C_6 alkyl-heteroaryl, or -C_1-C_6 alkyl-heterocyclyl, where the ring portions of each are optionally substituted with 1, 2, 3, or 4 groups independently selected from halogen, -OH, -SH, -C≡N, $-NO_2$, $-NR_{105}R'_{105}$, $-CO_2R$, -N(R)COR', or $-N(R)SO_2R'$, -C(=O)-(C_1-C_6) alkyl, $-SO_2$-amino, $-SO_2$-mono or dialkylamino, -C(=O)-amino, -C(=O)-mono or dialkylamino, $-SO_2$-(C_1-C_6) alkyl, or

C_1-C_6 alkoxy optionally substituted with 1, 2, or 3 groups which are independently selected from halogen, or

C_3-C_7 cycloalkyl optionally substituted with 1, 2, or 3 groups independently selected from halogen, -OH, -SH, -C≡N, $-CF_3$, C_1-C_3 alkoxy, amino, -C_1-C_6 alkyl and mono- or dialkylamino, or

C_1-C_{10} alkyl optionally substituted with 1, 2, or 3 groups independently selected from halogen, -OH, -SH, -C≡N, $-CF_3$, -C_1-C_3 alkoxy, amino, mono- or dialkylamino and -C_1-C_3 alkyl, or

C_2-C_{10} alkenyl or C_2-C_{10} alkynyl each of which is optionally substituted with 1, 2, or 3 groups independently selected from halogen, -OH, -SH, -C≡N, $-CF_3$, C_1-C_3 alkoxy, amino, C_1-C_6 alkyl and mono- or dialkylamino; and the heterocyclyl group is optionally further substituted with oxo.

Preferred compounds of formula X-1 further include those wherein

R_1 is -(CH_2)-aryl, -(CH_2)-heteroaryl, or -(CH_2)-heterocyclyl, where the ring portions of each are optionally substituted with 1, 2, 3, or 4 groups independently selected from halogen, -OH, -SH, -C≡N, $-NO_2$, $-NR_{10S}R'_{10S}$, $-CO_2R$, -N(R)COR', or $-N(R)SO_2R'$, -C(=O)-(C_1-C_4) alkyl, $-SO_2$-amino, $-SO_2$-mono or dialkylamino, -C(=O)-amino, -C(=O)-mono or dialkylamino, $-SO_2$-(C_1-C_4) alkyl, or

C_1-C_6 alkoxy optionally substituted with 1, 2, or 3 groups which are independently selected from halogen, or

C_3-C_7 cycloalkyl optionally substituted with 1, 2, or 3 groups independently selected from halogen, -OH, -SH, -C≡N, $-CF_3$, C_1-C_3 alkoxy, amino, -C_1-C_6 alkyl and mono- or dialkylamino, or

C_1-C_{10} alkyl optionally substituted with 1, 2, or 3 groups independently selected from halogen, -OH, -SH, -C≡N, $-CF_3$, -C_1-C_3 alkoxy, amino, mono- or dialkylamino and -C_1-C_3 alkyl, or

C_2-C_{10} alkenyl or C_2-C_{10} alkynyl each of which is optionally substituted with 1, 2, or 3 groups independently selected from halogen, -OH, -SH, -C≡N, $-CF_3$, C_1-C_3 alkoxy, amino, C_1-C_6 alkyl and mono- or dialkylamino; and the heterocyclyl group is optionally further substituted with oxo:

Preferred compounds of formula X-1 also include those wherein

R_1 is $-CH_2$-phenyl or $-CH_2$-pyridinyl where the ring portions of each are optionally substituted with 1, 2, 3, or 4 groups independently selected from halogen, C_1-C_4 alkoxy, hydroxy, $-NO_2$, and

C_1-C_4 alkyl optionally substituted with 1, 2, or 3 substituents independently selected from halogen, OH, SH, NH_2, NH(C_1-C_6 alkyl), N-(C_1-C_6 alkyl)(C_1-C_6 alkyl), C≡N, CF_3.

Preferred compounds of formula X-1 further include those wherein

R_1 is $-CH_2$-phenyl or $-CH_2$-pyridinyl where the phenyl or pyridinyl rings are each optionally substituted with

1 or 2 groups independently selected from halogen, C_1-C_2 alkyl, C_1-C_2 alkoxy, hydroxy, $-CF_3$, and $-NO_2$.

Preferred compounds of formula X-1 include those wherein

R_1 is $-CH_2$-phenyl where the phenyl ring is optionally substituted with 2 groups independently selected from halogen, C_1-C_2 alkyl, C_1-C_2 alkoxy, hydroxy, and $-NO_2$.

Preferred compounds of formula X-1 also include those wherein R_1 is benzyl, or 3,5-difluorobenzyl.

Preferred compounds of formula X or X-1 include those of formula X-2, i.e., compounds of formula X or X-1 wherein

R_2 and R_3 are independently selected from H or C_1-C_6 alkyl optionally substituted with 1, 2, or 3 substituents selected from the group consisting of C_1-C_3 alkyl, halogen, -OH, -SH, -C≡N, $-CF_3$, C_1-C_2 alkoxy, and $-NR_{1-a}R_{1-b}$.

Preferred compounds of formula X-2 include those wherein

R_C is selected from the group consisting of C_1-C_{10} alkyl optionally substituted with 1, 2, or 3 groups independently selected from the group consisting of R_{205}, $-OC(=O)NR_{235}R_{240}$, $-S(=O)_{0-2}(C_1$-C_6 alkyl), -SH, $-NR_{235}C(=O)NR_{235}R_{240}$, $-C(=O)NR_{235}R_{240}$, and $-S(=O)_2NR_{235}R_{240}$; $-(CH_2)_{0-3}-(C_3$-$C_6)$ cycloalkyl wherein the cycloalkyl is optionally substituted with 1, 2, or 3 groups independently selected from the group consisting of R_{205}, $-CO_2H$, and $-CO_2-(C_1$-C_4 alkyl); $-(CR_{245}R_{250})_{0-4}$-aryl; $-(CR_{245}R_{250})_{0-4}$-heteroaryl; $-(CR_{245}R_{250})_{0-4}$-heterocycloalkyl; $-[C(R_{255})(R_{260})]_{1-3}-CO-N-(R_{255})_2$; $-CH(aryl)_2$; $-CH(heteroaryl)_2$; $-CH(heterocycloalkyl)_2$; -CH(aryl)(heteroaryl); $-CO-NR_{235}R_{240}$; $-(CH_2)_{0-1}-$

$CH((CH_2)_{0-6}-OH)-(CH_2)_{0-1}-aryl$; $-(CH_2)_{0-1}-CHR_{C-6}-(CH_2)_{0-1}-$heteroaryl; -CH(-aryl or -heteroaryl)-CO-O(C_1-C_6 alkyl); $-CH(-CH_2-OH)-CH(OH)-phenyl-NO_2$; ($C_1$-$C_6$ alkyl)-O-(C_1-C_6 alkyl)-OH; $-CH_2-NH-CH_2-CH(-O-CH_2-CH_3)_2$; -H; and $-(CH_2)_{0-6}-C(=NR_{235})(NR_{235}R_{240})$; wherein

each aryl is optionally substituted with 1, 2, or 3 R_{200};

each heteroaryl is optionally substituted with 1, 2, 3, or 4 R_{200};

each heterocycloalkyl is optionally substituted with 1, 2, 3, or 4 R_{210};

R_{200} at each occurrence is independently selected from the group consisting of C_1-C_6 alkyl optionally substituted with 1, 2, or 3 R_{205} groups; OH; $-NO_2$; halogen; $-CO_2H$; CN; $-(CH_2)_{0-4}-CO-NR_{220}R_{225}$; $-(CH_2)_{0-4}-CO-(C_1-C_{12}$ alkyl); $-(CH_2)_{0-4}-CO_2R_{215}$; and $-(CH_2)_{0-4}-O-(C_1-C_6$ alkyl optionally substituted with 1, 2, 3, or 5 -F);

wherein each aryl group at each occurrence is optionally substituted with 1, 2, or 3 groups that are independently R_{205}, R_{210} or C_1-C_6 alkyl substituted with 1, 2, or 3 groups that are independently R_{205} or R_{210};

wherein each heterocycloalkyl group at each occurrence is optionally substituted with 1, 2, or 3 groups that are independently R_{210};

wherein each heteroaryl group at each occurrence is optionally substituted with 1, 2, or 3 groups that are independently R_{205}, R_{210}, or C_1-C_6 alkyl substituted with 1, 2, or 3 groups that are independently R_{205} or R_{210};

R_{205} at each occurrence is independently selected from the group consisting of C_1-C_6 alkyl, halogen, -OH, -O-phenyl, -SH, -CN, $-CF_3$, C_1-C_6 alkoxy, NH_2, $NH(C_1$-C_6 alkyl), and N-$(C_1$-C_6 alkyl)$(C_1$-C_6 alkyl);

R_{210} at each occurrence is independently selected from the group consisting of C_1-C_6 alkyl optionally substituted with 1, 2, or 3 R_{205} groups; halogen; C_1-C_6 alkoxy; C_1-C_6 haloalkoxy; $-NR_{220}R_{225}$; OH; CN; C_3-C_7 cycloalkyl optionally substituted with 1, 2, or 3 R_{205} groups; -CO-$(C_1$-C_4 alkyl); $-SO_2NR_{220}R_{240}$; $-CO-NR_{220}R_{240}$; $-SO_2-(C_1$-C_4 alkyl); and =O; wherein

R_{215} at each occurrence is independently selected from the group consisting of C_1-C_6 alkyl, $-(CH_2)_{0-2}$-(aryl), C_3-C_7 cycloalkyl, and $-(CH_2)_{0-2}$-(heteroaryl), $-(CH_2)_{0-2}$-(heterocycloalkyl); wherein the aryl group at each occurrence is optionally substituted with 1, 2, or 3 groups that are independently R_{205} or R_{210}; wherein the heterocycloalkyl group at each occurrence is optionally substituted with 1, 2, or 3 R_{210}; wherein each heteroaryl group at each occurrence is optionally substituted with 1, 2, or 3 R_{210};

R_{220} and R_{225} at each occurrence are independently selected from the group consisting of -H, $-C_1$-C_6 alkyl, hydroxy C_1-C_6 alkyl, amino C_1-C_6 alkyl; halo C_1-C_6 alkyl; $-C_3$-C_7 cycloalkyl, $-(C_1$-C_6 alkyl)-O-$(C_1$-C_3 alkyl), -aryl, -heteroaryl, and -heterocycloalkyl; wherein the aryl group at each occurrence is optionally substituted with 1, 2, or 3 R_{270} groups, each heteroaryl is

optionally substituted with 1, 2, 3, or 4 R_{260}, each heterocycloalkyl is optionally substituted with 1, 2, 3, or 4 R_{310} wherein

R_{270} at each occurrence is independently R_{265}, C_1-C_6 alkyl optionally substituted with 1, 2, or 3 R_{265} groups; halogen; C_1-C_6 alkoxy; C_1-C_6 haloalkoxy; $NR_{235}R_{240}$; OH; C≡N; -CO-(C_1-C_4 alkyl); and =O; wherein the heterocycloalkyl group at each occurrence is optionally substituted with 1, 2, or 3 R_{265} groups; wherein each heteroaryl group at each occurrence is optionally substituted with 1, 2, or 3 R_{265} groups;

R_{235} and R_{240} at each occurrence are independently H, or C_1-C_6 alkyl;

R_{245} and R_{250} at each occurrence are independently selected from the group consisting of H, C_1-C_4 alkyl, C_1-C_4 hydroxyalkyl, C_1-C_4 alkoxy, C_1-C_4 haloalkoxy, or

R_{245} and R_{250} are taken together with the carbon to which they are attached to form a carbocycle of 3, 4, 5, 6, or 7 carbon atoms, wherein the carbocycle is optionally substituted with 1 or 2 groups that are independently OH, methyl, Cl, F, OCH_3, CF_3, NO_2, or CN;

R_{255} and R_{260} at each occurrence are independently selected from the group consisting of H; C_1-C_6 alkyl optionally substituted with 1, 2, or 3 R_{265} groups; -$(CH_2)_{0-4}$-C_3-C_7 cycloalkyl optionally substituted with 1, 2, or 3 R_{265} groups; -(C_1-C_4 alkyl)-aryl; -(C_1-C_4 alkyl)-heteroaryl; -(C_1-C_4 alkyl)-heterocycloalkyl; aryl; heteroaryl; heterocycloalkyl; -$(CH_2)_{1-4}$-R_{265}-$(CH_2)_{0-4}$-aryl;

$-(CH_2)_{1-4}-R_{265}-(CH_2)_{0-4}$-heteroaryl; and; $-(CH_2)_{1-4}-R_{265}-(CH_2)_{0-4}$-heterocycloalkyl; wherein

R_{265} at each occurrence is independently -O-, -S- or $-N(C_1-C_6$ alkyl)-;

each aryl or phenyl is optionally substituted with 1, 2, or 3 groups that are independently R_{205}, R_{210}, or C_1-C_6 alkyl substituted with 1, 2, or 3 groups that are independently R_{205} or R_{210}.

Preferred compounds of formula X-2 include those wherein:

R_C is $-(CR_{245}R_{250})_{0-4}$-aryl, or $-(CR_{245}R_{250})_{0-4}$-heteroaryl, wherein aryl and heteroaryl are optionally substituted with 1, 2, or 3 R_{200} groups.

Preferred compounds of formula X-2 also include compounds wherein

R_C is $-(CR_{245}R_{250})$-aryl, or $-(CR_{245}R_{250})$-heteroaryl wherein each aryl and heteroaryl is optionally substituted with 1, 2, or 3 R_{200} groups.

Preferred compounds of formula X-2 also include compounds wherein

R_C is $-(CH_2)$-aryl, or $-(CH_2)$-heteroaryl, wherein

each aryl and heteroaryl is optionally substituted with 1, 2, or 3 groups selected from OH, $-NO_2$, halogen, $-CO_2H$, C≡N, $-(CH_2)_{0-4}-CO-NR_{220}R_{225}$, $-(CH_2)_{0-4}-CO-(C_1-C_{12}$ alkyl), and $-(CH_2)_{0-4}-SO_2-NR_{220}R_{225}$.

Preferred compounds of formula X-2 also include compounds wherein

R_C is $-(CH_2)$-aryl, wherein aryl is optionally substituted with 1, 2, or 3 groups selected from OH, $-NO_2$, halogen, $-CO_2H$, and C≡N.

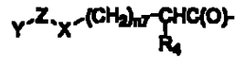
Preferred compounds of formula X-2 also include compounds wherein

R_C is $-(CH_2)$-phenyl, wherein phenyl is optionally substituted with 1, 2, or 3 groups selected from OH, $-NO_2$, halogen, $-CO_2H$, and C≡N.

Preferred compounds of formula X-2 also include compounds wherein R_C is benzyl.

Other preferred compounds of formulas X, X-1 or X-2 include compounds of formula X-3, i.e., those of formulas X, X-1 or X-2 wherein

R_B is:



wherein

R_4 is NH_2; $-NH-(CH_2)_{n6}-R_{4-1}$; $-NHR_6$; $-NR_{50}C(O)R_5$; or $-NR_{50}CO_2R_{51}$;

wherein

n_6 is 0, 1, 2, or 3;

n_7 is 0, 1, 2, or 3;

R_{4-1} is selected from the group consisting of $-SO_2-(C_1-C_6$ alkyl), $-SO-(C_1-C_6$ alkyl), $-S-(C_1-C_6$ alkyl), $-S-CO-(C_1-C_6$ alkyl), $-SO_2-NR_{4-2}R_{4-3}$; $-CO-C_1-C_2$ alkyl; $-CO-NR_{4-3}R_{4-4}$;

R_{4-2} and R_{4-3} are independently H, C_1-C_3 alkyl, or C_3-C_6 cycloalkyl;

R_{4-4} is alkyl, phenylalkyl, C_2-C_4 alkanoyl, or phenylalkanoyl;

R_5 is cyclopropyl; cyclobutyl; cyclopentyl; or cyclohexyl; wherein each cycloalkyl group is optionally substituted with one or two groups that are C_1-C_6 alkyl, more preferably C_1-C_2 alkyl, C_1-C_6 alkoxy, more preferably C_1-C_2 alkoxy, CF_3, OH, NH_2, $NH(C_1-C_6$ alkyl), $N(C_1-C_6$ alkyl)$(C_1-C_6$ alkyl), halogen, CN, or

NO_2; or the cycloalkyl group is substituted with 1 or 2 groups that are independently CF_3, Cl, F, methyl, ethyl or cyano; C_1-C_6 alkyl optionally substituted with 1, 2, or 3 groups that are independently halogen, $-NR_6R_7$, C_1-C_4 alkoxy, C_5-C_6 heterocycloalkyl, C_5-C_6 heteroaryl, phenyl, C_3-C_7 cycloalkyl, $-S-C_1-C_4$ alkyl, $-SO_2-C_1-C_4$ alkyl, $-CO_2H$, $-CONR_6R_7$, $-CO_2-C_1-C_4$ alkyl, or phenyloxy; heteroaryl optionally substituted with 1, 2, or 3 groups that are independently C_1-C_4 alkyl, C_1-C_4 alkoxy, halogen, C_1-C_4 haloalkyl, or OH; heterocycloalkyl optionally substituted with 1, 2, or 3 groups that are independently C_1-C_4 alkyl, C_1-C_4 alkoxy, halogen, or C_2-C_4 alkanoyl; phenyl optionally substituted with 1, 2, 3, or 4 groups that are independently halogen, OH, C_1-C_4 alkyl, C_1-C_4 alkoxy, or C_1-C_4 haloalkyl; and $-NR_6R_7$; wherein

R_6 and R_7 are independently selected from the group consisting of H, C_1-C_6 alkyl, C_2-C_6 alkanoyl, phenyl, $-SO_2-C_1-C_4$ alkyl, and phenyl C_1-C_4 alkyl;

R_8 is selected from the group consisting of $-SO_2$-heteroaryl optionally substituted with 1 or 2 groups that are independently C_1-C_4 alkyl or halogen;, $-SO_2$-aryl, $-SO_2$-heterocycloalkyl, $-C(O)NHR_9$, heterocycloalkyl, $-S-C_2-C_4$ alkanoyl, wherein

R_9 is phenyl C_1-C_4 alkyl, C_1-C_6 alkyl, or H;

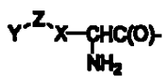
R_{50} is H or C_1-C_6 alkyl;

R_{51} is selected from the group consisting of phenyl C_1-C_4 alkyl; C_1-C_6 alkyl optionally substituted with 1, 2, or 3 groups that are independently halogen, cyano, $-NR_6R_7$, $-C(O)NR_6R_7$, C_3-C_7 or $-C_1$-C_4 alkoxy; heterocycloalkyl optionally

substituted with 1 or 2 groups that are independently C_1-C_4 alkyl, C_1-C_4 alkoxy, halogen, C_2-C_4 alkanoyl, phenyl C_1-C_4 alkyl, and $-SO_2$ C_1-C_4 alkyl; heterocycloalkylalkyl optionally substituted with 1 or 2 groups that are independently C_1-C_4 alkyl, C_1-C_4 alkoxy, halogen, C_2-C_4 alkanoyl, phenyl C_1-C_4 alkyl, and $-SO_2$ C_1-C_4 alkyl; alkenyl; alkynyl; heteroaryl optionally substituted with 1, 2, or 3 groups that are independently OH, C_1-C_4 alkyl, C_1-C_4 alkoxy, halogen, NH_2, $NH(C_1$-C_6 alkyl) or $N(C_1$-C_6 alkyl)(C_1-C_6 alkyl); heteroarylalkyl optionally substituted with 1, 2, or 3 groups that are independently C_1-C_4 alkyl, C_1-C_4 alkoxy, halogen, NH_2, $NH(C_1$-C_6 alkyl) or $N(C_1$-C_6 alkyl)(C_1-C_6 alkyl); phenyl; C_3-C_8 cycloalkyl, and cycloalkylalkyl, wherein the phenyl; C_3-C_8 cycloalkyl, and cycloalkylalkyl groups are optionally substituted with 1, 2, 3, 4 or 5 groups that are independently halogen, CN, NO_2, C_1-C_6 alkyl, C_1-C_6 alkoxy, C_2-C_6 alkanoyl, C_1-C_6 haloalkyl, C_1-C_6 haloalkoxy, hydroxy, C_1-C_6 hydroxyalkyl, C_1-C_6 alkoxy C_1-C_6 alkyl, C_1-C_6 thioalkoxy, C_1-C_6 thioalkoxy C_1-C_6 alkyl, or C_1-C_6 alkoxy C_1-C_6 alkoxy.

Preferred compounds of formula X-3 include compounds wherein

R_m is



wherein

X is C_1-C_4 alkylidenyl optionally substituted with 1, 2, or 3 methyl groups; or $-NR_{4-6}-$; or

R_4 and R_{4-5} combine to form $-(CH_2)_{n10}-$, wherein

n_{10} is 1, 2, 3, or 4;

Z is selected from a bond; SO_2; SO; S; and C(O);

Y is selected from H; C_1-C_4 haloalkyl; C_3-C_6 heterocycloalkyl containing at least one N, O, or S; phenyl; OH; $-N(Y_1)(Y_2)$; C_1-C_{10} alkyl optionally substituted with 1 thru 3 substituents which can be the same or different and are selected from halogen, hydroxy, alkoxy, thioalkoxy, and haloalkoxy; C_3-C_8 cycloalkyl optionally substituted with 1, 2, or 3 groups independently selected from C_1-C_3 alkyl, and halogen; alkoxy; phenyl optionally substituted with halogen, C_1-C_4 alkyl, C_1-C_4 alkoxy, CN or NO_2; phenyl C_1-C_4 alkyl optionally substituted with halogen, C_1-C_4 alkyl, C_1-C_4 alkoxy, CN or NO_2; wherein

Y_1 and Y_2 are the same or different and are H; C_1-C_{10} alkyl optionally substituted with 1, 2, or 3 substituents selected from the group consisting of halogen, C_1-C_4 alkoxy, C_3-C_8 cycloalkyl, and OH; C_2-C_6 alkenyl; C_2-C_6 alkanoyl; phenyl; $-SO_2$-C_1-C_4 alkyl; phenyl C_1-C_4 alkyl; and C_3-C_8 cycloalkyl C_1-C_4 alkyl; or

$-N(Y_1)(Y_2)$ forms a ring selected from piperazinyl, piperidinyl, morpholinyl, and pyrolidinyl, wherein each ring is optionally substituted with 1, 2, 3, or 4 groups that are independently C_1-C_6 alkyl, C_1-C_6 alkoxy, C_1-C_6 alkoxy C_1-C_6 alkyl, or halogen.

Preferred compounds of formula X-3 include compounds wherein

X is C_1-C_4 alkylidenyl optionally substituted with 1, 2, or 3 methyl groups;

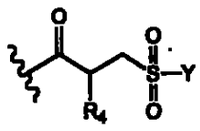
Z is selected from SO_2; SO; S; and C(O);

Y is selected from H; C_1-C_4 haloalkyl; C_5-C_6 heterocycloalkyl containing at least one N, O, or S; phenyl; OH; $-N(Y_1)(Y_2)$; C_1-C_{10} alkyl optionally substituted with 1 thru 3 substituents which can be the same or different and are selected from the group consisting of halogen, hydroxy, alkoxy, thioalkoxy, and haloalkoxy; C_3-C_8 cycloalkyl optionally substituted with 1, 2, or 3 groups independently selected from C_1-C_3 alkyl, and halogen; alkoxy; phenyl optionally substituted with halogen, C_1-C_4 alkyl, C_1-C_4 alkoxy, CN or NO_2; phenyl C_1-C_4 alkyl optionally substituted with halogen, C_1-C_4 alkyl, C_1-C_4 alkoxy, CN or NO_2; wherein

Y_1 and Y_2 are the same or different and are H; C_1-C_6 alkyl optionally substituted with 1, 2, or 3 substituents selected from the group consisting of halogen, C_1-C_4 alkoxy, C_3-C_8 cycloalkyl, and OH; C_2-C_6 alkenyl; C_2-C_6 alkanoyl; phenyl; $-SO_2$-C_1-C_4 alkyl; phenyl C_1-C_4 alkyl; or C_3-C_8 cycloalkyl C_1-C_4 alkyl; or

$-N(Y_1)(Y_2)$ forms a ring selected from piperazinyl, piperidinyl, morpholinyl, and pyrolidinyl, wherein each ring is optionally substituted with 1, 2, 3, or 4 groups that are independently C_1-C_6 alkyl, C_1-C_6 alkoxy, C_1-C_6 alkoxy C_1-C_6 alkyl, or halogen.

Preferred compounds of formula X-3 include compounds wherein R_3 is



and wherein R_4 is NH_2; $-NH-(CH_2)_{n4}-R_{4-1}$; $-NHR_5$; $-NR_{50}C(O)R_5$; or $-NR_{50}CO_2R_{51}$ wherein

n_6 is 0, 1, 2, or 3;

n_7 is 0, 1, 2, or 3;

R_{4-1} is selected from the group consisting of $-SO_2-(C_1-C_8$ alkyl), $-SO-(C_1-C_8$ alkyl), $-S-(C_1-C_8$ alkyl), $-S-CO-(C_1-C_8$ alkyl), $-SO_2-NR_{4-2}R_{4-3}$; $-CO-C_1-C_2$ alkyl; $-CO-NR_{4-3}R_{4-4}$;

R_{4-2} and R_{4-3} are independently H, C_1-C_3 alkyl, or C_3-C_6 cycloalkyl;

R_{4-4} is alkyl, phenylalkyl, C_2-C_4 alkanoyl, or phenylalkanoyl;

R_5 is cyclopropyl; cyclobutyl; cyclopentyl; or cyclohexyl; wherein each cycloalkyl group is optionally substituted with one or two groups that are C_1-C_6 alkyl, more preferably C_1-C_2 alkyl, C_1-C_6 alkoxy, more preferably C_1-C_2 alkoxy, CF_3, OH, NH_2, $NH(C_1-C_6$ alkyl), $N(C_1-C_6$ alkyl)$(C_1-C_6$ alkyl), halogen, CN, or NO_2; or the cycloalkyl group is substituted with 1 or 2 groups that are independently CF_3, Cl, F, methyl, ethyl or cyano; C_1-C_6 alkyl optionally substituted with 1, 2, or 3 groups that are independantly halogen, $-NR_6R_7$, C_1-C_4 alkoxy, C_5-C_6 heterocycloalkyl, C_5-C_6 heteroaryl, phenyl, C_3-C_7 cycloalkyl, $-S-C_1-C_4$ alkyl, $-SO_2-C_1-C_4$ alkyl, $-CO_2H$, $-CONR_6R_7$, $-CO_2-C_1-C_4$ alkyl, or phenyloxy; heteroaryl optionally substituted with 1, 2, or 3 groups that are independantly C_1-C_4 alkyl, C_1-C_4 alkoxy, halogen, C_1-C_4 haloalkyl, or OH; heterocycloalkyl optionally substituted with 1, 2, or 3 groups that are independently C_1-C_4 alkyl, C_1-C_4 alkoxy, halogen, or C_2-C_6 alkanoyl; phenyl optionally substituted with 1, 2, 3, or 4 groups that are

independently halogen, OH, C_1-C_4 alkyl, C_1-C_4 alkoxy, or C_1-C_4 haloalkyl; and $-NR_6R_7$; wherein

R_6 and R_7 are independently selected from the group consisting of H, C_1-C_6 alkyl, C_2-C_6 alkanoyl, phenyl, $-SO_2$-C_1-C_4 alkyl, and phenyl C_1-C_4 alkyl;

R_8 is selected from the group consisting of $-SO_2$-heteroaryl optionally substituted with 1 or 2 groups that are independently C_1-C_4 alkyl or halogen;, $-SO_2$-aryl, $-SO_2$-heterocycloalkyl, $-C(O)NHR_9$, heterocycloalkyl, -S-C_2-C_4 alkanoyl, wherein

R_9 is phenyl C_1-C_4 alkyl, C_1-C_6 alkyl, or H;

R_{50} is H or C_1-C_6 alkyl; and

R_{51} is selected from the group consisting of phenyl C_1-C_4 alkyl; C_1-C_6 alkyl optionally substituted with 1, 2, or 3 groups that are independently halogen, cyano, $-NR_6R_7$, $-C(O)NR_6R_7$, C_3-C_7 or -C_1-C_4 alkoxy; heterocycloalkyl optionally substituted with 1 or 2 groups that are independently C_1-C_4 alkyl, C_1-C_4 alkoxy, halogen, C_2-C_4 alkanoyl, phenyl C_1-C_4 alkyl, and $-SO_2$ C_1-C_4 alkyl; heterocycloalkylalkyl optionally substituted with 1 or 2 groups that are independently C_1-C_4 alkyl, C_1-C_4 alkoxy, halogen, C_2-C_4 alkanoyl, phenyl C_1-C_4 alkyl, and $-SO_2$ C_1-C_4 alkyl; alkenyl; alkynyl; heteroaryl optionally substituted with 1, 2, or 3 groups that are independently OH, C_1-C_4 alkyl, C_1-C_4 alkoxy, halogen, NH_2, $NH(C_1$-C_6 alkyl) or $N(C_1$-C_6 alkyl)(C_1-C_6 alkyl); heteroarylalkyl optionally substituted with 1, 2, or 3 groups that are independently C_1-C_4 alkyl, C_1-C_4 alkoxy, halogen, NH_2, $NH(C_1$-C_6 alkyl) or $N(C_1$-C_6 alkyl)(C_1-C_6

alkyl); phenyl; C_3-C_8 cycloalkyl, and cycloalkylalkyl, wherein the phenyl; C_3-C_8 cycloalkyl, and cycloalkylalkyl groups are optionally substituted with 1, 2, 3, 4 or 5 groups that are independently halogen, CN, NO_2, C_1-C_6 alkyl, C_1-C_6 alkoxy, C_2-C_6 alkanoyl, C_1-C_6 haloalkyl, C_1-C_6 haloalkoxy, hydroxy, C_1-C_6 hydroxyalkyl, C_1-C_6 alkoxy C_1-C_6 alkyl, C_1-C_6 thioalkoxy, C_1-C_6 thioalkoxy C_1-C_6 alkyl, or C_1-C_6 alkoxy C_1-C_6 alkoxy; and

Y is C_1-C_{10} alkyl optionally substituted with 1 thru 3 substituents which can be the same or different and are selected from halogen, hydroxy, alkoxy, thioalkoxy, and haloalkoxy.

Preferred compounds of formula X-3 further include compounds wherein

R_C is C_1-C_8 alkyl optionally substituted with 1, 2, or 3 groups independently selected from the group consisting of R_{205}, $-OC(=O)NR_{235}R_{240}$, $-S(=O)_{0-2}(C_1$-C_6 alkyl), -SH, $-C(=O)NR_{235}R_{240}$, and $-S(=O)_2NR_{235}R_{240}$; $-(CH_2)_{0-3}-(C_3$-$C_8)$ cycloalkyl wherein the cycloalkyl is optionally substituted with 1, 2, or 3 groups independently selected from the group consisting of R_{205}, $-CO_2H$, and $-CO_2-(C_1$-C_4 alkyl); $-(CR_{245}R_{250})_{0-4}$-phenyl; $-(CR_{245}R_{250})_{0-4}$-heteroaryl; $-(CR_{245}R_{250})_{0-4}$-heterocycloalkyl; $-(CH_2)_{0-1}-CH((CH_2)_{0-4}-OH)-(CH_2)_{0-1}$-phenyl; $-(CH_2)_{0-1}-CHR_{C-5}-(CH_2)_{0-1}$-heteroaryl; $-CH(-CH_2-OH)-CH(OH)$-phenyl-NO_2; $(C_1$-C_6 alkyl)-O-$(C_1$-C_6 alkyl)-OH; or $-(CH_2)_{0-5}-C(=NR_{235})(NR_{235}R_{240})$; wherein

each aryl is optionally substituted with 1, 2, or 3 R_{200};

each heteroaryl is optionally substituted with 1, 2, 3, or 4 R_{200};

each heterocycloalkyl is optionally substituted with 1, 2, 3, or 4 R_{210};

R_{200} at each occurrence is independently C_1-C_6 alkyl optionally substituted with 1, 2, or 3 R_{205} groups; OH; $-NO_2$; halogen; $-CO_2H$; C≡N; $-(CH_2)_{0-4}$-CO-$NR_{220}R_{225}$; $-(CH_2)_{0-4}$-CO-(C_1-C_{12} alkyl); $-(CH_2)_{0-4}$-CO_2R_{215}; or $-(CH_2)_{0-4}$-O-(C_1-C_6 alkyl optionally substituted with 1, 2, 3, or 5 -F);

R_{205} at each occurrence is independently C_1-C_6 alkyl, halogen, -OH, -O-phenyl, -SH, -C≡N, $-CF_3$, C_1-C_6 alkoxy, NH_2, NH(C_1-C_6 alkyl), or N-(C_1-C_6 alkyl)(C_1-C_6 alkyl);

R_{210} at each occurrence is independently C_1-C_6 alkyl optionally substituted with 1, 2, or 3 R_{205} groups; halogen; C_1-C_6 alkoxy; C_1-C_6 haloalkoxy; $-NR_{220}R_{225}$; OH; C≡N; C_3-C_7 cycloalkyl optionally substituted with 1, 2, or 3 R_{205} groups; -CO-(C_1-C_4 alkyl); $-SO_2-NR_{235}R_{240}$; $-CO-NR_{235}R_{240}$; $-SO_2$-(C_1-C_4 alkyl); and =O; wherein

R_{215} at each occurrence is independently C_1-C_6 alkyl, $-(CH_2)_{0-2}$-(phenyl), C_3-C_7 cycloalkyl, and $-(CH_2)_{0-2}$-(heteroaryl), $-(CH_2)_{0-2}$-(heterocycloalkyl); wherein the phenyl group at each occurrence is optionally substituted with 1, 2, or 3 groups that are independently R_{205} or R_{210}; wherein the heterocycloalkyl group at each occurrence is optionally substituted with 1, 2, or 3 R_{210}; wherein each heteroaryl group at each occurrence is optionally substituted with 1, 2, or 3 R_{210};

R_{230} and R_{235} at each occurrence are independently -H, -C_1-C_6 alkyl, hydroxy C_1-C_6 alkyl, halo C_1-C_6 alkyl; -C_3-C_7 cycloalkyl, and -(C_1-C_6 alkyl)-O-(C_1-C_3 alkyl);

R_{235} and R_{240} at each occurrence are independently H, or C_1-C_6 alkyl;

R_{245} and R_{250} at each occurrence are independently H, C_1-C_4 alkyl, C_1-C_4 hydroxyalkyl, C_1-C_4 alkoxy, C_1-C_4 haloalkoxy, or

R_{245} and R_{250} are taken together with the carbon to which they are attached to form a carbocycle of 3, 4, 5, 6, or 7 carbon atoms.

Preferred compounds of formula X-3 include compounds wherein

R_1 is benzyl which is optionally substituted with 1, 2, 3, or 4 groups independently selected from halogen, C_1-C_4 alkoxy, hydroxy, and C_1-C_4 alkyl optionally substituted with 1, 2, or 3 substituents halogen, OH, SH, NH_2, NH(C_1-C_6 alkyl), N-(C_1-C_6 alkyl)(C_1-C_6 alkyl), C≡N, CF_3;

R_2 and R_3 are independently selected from H or C_1-C_4 alkyl optionally substituted with 1 substituent selected from halogen, -OH, -SH, -C≡N, -CF_3, C_1-C_3 alkoxy, NH_2, NH(C_1-C_6 alkyl), and NH(C_1-C_6 alkyl)(C_1-C_6 alkyl);

R_C is C_1-C_8 alkyl optionally substituted with 1, 2, or 3 groups independently selected from R_{205}, -SH, -C=ON$R_{235}R_{240}$, and -S(=O)$_2$N$R_{235}R_{240}$; -(CH_2)$_{0-1}$-(C_3-C_6) cycloalkyl wherein the cycloalkyl is optionally substituted with 1, 2, or 3 groups independently selected from R_{205}, -CO_2H, and -CO_2-(C_1-C_4 alkyl); -($CR_{245}R_{250}$)$_{0-4}$-phenyl optionally substituted with 1, 2, or 3 R_{200}; -($CR_{245}R_{250}$)$_{0-3}$-pyridyl; -($CR_{245}R_{250}$)$_{0-3}$-pyridazinyl; -($CR_{245}R_{250}$)$_{0-3}$-pyrimidinyl; -($CR_{245}R_{250}$)$_{0-1}$-

pyrazinyl; -($CR_{245}R_{250}$)$_{0-3}$-furyl; -($CR_{245}R_{250}$)$_{0-3}$-indolyl; -($CR_{245}R_{250}$)$_{0-3}$-thienyl; -($CR_{245}R_{250}$)$_{0-3}$-pyrrolyl; -($CR_{245}R_{250}$)$_{0-3}$-pyrazolyl; ($CR_{245}R_{250}$)$_{0-3}$-benzoxazolyl; -($CR_{245}R_{250}$)$_{0-3}$-imidazolyl; each of the above heteroaryl groups is optionally substituted with 1, 2, 3, or 4 R_{200}; -($CR_{245}R_{250}$)$_{0-3}$-imidazolidinyl; ($CR_{245}R_{250}$)$_{0-3}$-tetrahydrofuryl; ($CR_{245}R_{250}$)$_{0-3}$-tetrahydropyranyl; ($CR_{245}R_{250}$)$_{0-3}$-piperazinyl; ($CR_{245}R_{250}$)$_{0-3}$-pyrrolidinyl; ($CR_{245}R_{250}$)$_{0-3}$-piperidinyl; ($CR_{245}R_{250}$)$_{0-3}$-indolinyl; each of the above heterocycloalkyl groups is optionally substituted with 1, 2, 3, or 4 R_{210}; (CH_2)$_{0-1}$-CH((CH_2)$_{0-4}$-OH)-(CH_2)$_{0-1}$-phenyl; -(CH_2)$_{0-1}$-CH(C_1-C_4 hydroxyalkyl)-(CH_2)$_{0-1}$-pyridyl;

R_{200} at each occurrence is independently C_1-C_6 alkyl optionally substituted with 1, 2, or 3 R_{205} groups; OH; -NO_2; halogen; -CO_2H; C≡N; -(CH_2)$_{0-4}$-CO-$NR_{220}R_{225}$; -(CH_2)$_{0-4}$-CO-(C_1-C_6 alkyl); -(CH_2)$_{0-4}$-CO_2R_{215}; and -(CH_2)$_{0-4}$-O-(C_1-C_6 alkyl optionally substituted with 1, 2, 3, or 5 -F);

R_{205} at each occurrence is independently C_1-C_6 alkyl, halogen, -OH, -O-phenyl, -SH, -C≡N, -CF_3, C_1-C_6 alkoxy, NH_2, NH(C_1-C_6 alkyl), and N-(C_1-C_6 alkyl)(C_1-C_6 alkyl);

R_{210} at each occurrence is independently C_1-C_6 alkyl optionally substituted with 1 or 2 R_{205} groups; halogen; C_1-C_4 alkoxy; C_1-C_4 haloalkoxy; -$NR_{220}R_{225}$; OH; C≡N; C_3-C_7 cycloalkyl optionally substituted with 1 or 2 R_{205} groups; -CO-(C_1-C_4 alkyl); -SO_2-$NR_{215}R_{240}$; -CO-$NR_{215}R_{240}$; -SO_2-(C_1-C_4 alkyl); and =O; wherein

R_{215} at each occurrence is independently C_1-C_6 alkyl, -(CH_2)$_{0-2}$-(phenyl), C_3-C_6 cycloalkyl, -(CH_2)$_{0-2}$-

(pyridyl), $-(CH_2)_{0-2}$-(pyrrolyl), $-(CH_2)_{0-2}$-(imidazolyl), $-(CH_2)_{0-2}$-(pyrimidyl), $-(CH_2)_{0-2}$-(pyrrolidinyl), $-(CH_2)_{0-2}$-(imidazolidinyl) $-(CH_2)_{0-2}$-(piperazinyl), $-(CH_2)_{0-2}$-(piperidinyl), and $-(CH_2)_{0-2}$-(morpholinyl); wherein the phenyl group at each occurrence is optionally substituted with 1 or 2 groups that are independently R_{205} or R_{210}; wherein each heterocycloalkyl group at each occurrence is optionally substituted with 1 or 2 R_{210}; wherein each heteroaryl group at each occurrence is optionally substituted with 1 or 2 R_{210};

R_{220} and R_{225} at each occurrence are independently -H, $-C_1$-C_4 alkyl, hydroxy C_1-C_4 alkyl, halo C_1-C_4 alkyl; $-C_3$-C_6 cycloalkyl, and $-(C_1$-C_4 alkyl)-O-(C_1-C_2 alkyl);

R_{235} and R_{240} at each occurrence are independantly H, or C_1-C_6 alkyl;

R_{245} and R_{250} at each occurrence are independently H, C_1-C_4 alkyl, C_1-C_4 hydroxyalkyl, C_1-C_4 alkoxy, C_1-C_4 haloalkoxy, or

R_{245} and R_{250} are taken together with the carbon to which they are attached to form a carbocycle of 3, 4, 5, or 6 carbon atoms.

Other preferred compounds of formula X-3 include compounds wherein

X is-C_1-C_3 alkylidemyl optionally optionally substituted with 1 or 2 methyl groups;

Z is SO_2; SO; S; or C(O);

Y is C_1-C_4 haloalkyl; OH; $-N(Y_1)(Y_2)$; C_1-C_{10} alkyl optionally substituted with 1 or 2 substituents which can be the same or different and are selected

from halogen, hydroxy, C_1-C_4 alkoxy, C_1-C_4 thioalkoxy, and C_1-C_4 haloalkoxy; C_1-C_4 alkoxy; phenyl optionally substituted with halogen, C_1-C_4 alkyl, C_1-C_4 alkoxy, CN or NO_2; and benzyl optionally substituted with halogen, C_1-C_4 alkyl, C_1-C_4 alkoxy, CN or NO_2; wherein

Y_1 and Y_2 are the same or different and are H; C_1-C_6 alkyl optionally substituted with 1, 2, or 3 substituents selected from halogen, C_1-C_2 alkoxy, C_3-C_6 cycloalkyl, and OH; C_2-C_6 alkanoyl; phenyl; -SO_2-C_1-C_4 alkyl; benzyl; and C_3-C_6 cycloalkyl C_1-C_2 alkyl; or

-$N(Y_1)(Y_2)$ forms a ring selected from piperazinyl, piperidinyl, morpholinyl, and pyrolidinyl, wherein each ring is optionally substituted with 1, 2, 3, or 4 groups that are independently C_1-C_6 alkyl, C_1-C_6 alkoxy, C_1-C_6 alkoxy C_1-C_6 alkyl, or halogen.

Preferred compounds of formula X-3 also include those of formula X-4, i.e., compounds of formula X-3 wherein

X is-C_1-C_2 alkylidenyl optionally optionally substituted with 1 methyl group;

Z is SO_2; SO; S; or C(O);

Y is OH; -$N(Y_1)(Y_2)$; phenyl; benzyl; or C_1-C_{10} alkyl optionally substituted with 1 or 2 substituents which can be the same or different and are selected from halogen, hydroxy, methoxy, ethoxy, thiomethoxy, thioethoxy, and CF_3; wherein

Y_1 and Y_2 are the same or different and are H; C_1-C_4 alkyl optionally substituted with 1 or 2 substituents selected from halogen, methoxy, ethoxy, cyclopropyl, and OH; or.

$-N(Y_1)(Y_2)$ forms a ring selected from piperazinyl, piperidinyl, morpholinyl, and pyrolidinyl, wherein each ring is optionally substituted with 1 or 2 groups that are independently C_1-C_4 alkyl, C_1-C_4 alkoxy, or halogen;

R_1 is benzyl which is optionally substituted with 1, 2, or 3 groups independently selected from methyl, ethyl, n-propyl, isopropyl, hydroxymethyl, monohalomethyl, dihalomethyl, trihalomethyl, $-CH_2CF_3$, methoxymethyl, halogen, methoxy, ethoxy, n-propyloxy, isopropyloxy, and OH;

R_2 and R_3 are independently H or C_1-C_4 alkyl;

R_C is C_1-C_6 alkyl optionally substituted with 1, 2, or 3 R_{205} groups; cyclopropyl, cyclopropylmethyl, cyclopentyl, cyclopentylmethyl, cyclohexyl, cyclohexylmethyl; $-(CR_{245}R_{250})_{0-3}$-phenyl optionally substituted with 1 or 2 R_{200} groups; $-(CR_{245}R_{250})_{0-3}$-pyridyl optionally substituted with 1 or 2 R_{200}; $-(CR_{245}R_{250})_{0-3}$-piperazinyl; or $(CR_{245}R_{250})_{0-1}$-pyrrolidinyl; $-(CR_{245}R_{250})_{0-3}$-piperidinyl; each of the above heterocycloalkyl groups is optionally substituted with 1 or 2 R_{210} groups;

R_{200} at each occurrence is independently selected from C_1-C_4 alkyl optionally substituted with 1 or 2 R_{205} groups; OH; and halogen;

R_{205} at each occurrence is independently selected from C_1-C_4 alkyl, halogen, -OH, -SH, -CN, $-CF_3$, and C_1-C_4 alkoxy;

R_{210} at each occurrence is independently selected from C_1-C_4 alkyl optionally substituted with 1 or 2 R_{205} groups; halogen; C_1-C_4 alkoxy; OCF_3; NH_2, $NH(C_1$-C_6 alkyl); $N(C_1$-C_6 alkyl)$(C_1$-C_6 alkyl); OH; and $-CO-(C_1$-C_4 alkyl); wherein

R_{245} and R_{250} at each occurrance are independently selected from H, C_1-C_4 hydroxyalkyl, C_1-C_4 alkoxy, or

R_{245} and R_{250} are taken together with the carbon to which they are attached to form a carbocycle of 3, 5,or 6 carbon atoms.

Preferred compounds of formulas X, X-1 and X-2 include compounds of formula X-5, i.e., those of formulae X, X-1 or X-2 wherein

R_W is $-C(=O)-(CRR')_{0-6}R_{100}$; and

R_{100} represents aryl, heteroaryl, or heterocyclyl, where the ring portions of each are optionally substituted with 1, 2, or 3 groups independently selected from

-OR, $-NO_2$, C_1-C_6 alkyl, halogen, -CN, $-OCF_3$, $-CF_3$, $-(CH_2)_{0-4}-O-P(=O)(OR)(OR')$, $-(CH_2)_{0-4}-CO-NR_{105}R'_{105}$, $-(CH_2)_{0-4}-O-(CH_2)_{0-4}-CONR_{102}R_{102}'$, $-(CH_2)_{0-4}-CO-(C_1-C_{12}$ alkyl), $-(CH_2)_{0-4}-CO-(C_2-C_{12}$ alkenyl), $-(CH_2)_{0-4}-CO-(C_2-C_{12}$ alkynyl), $-(CH_2)_{0-4}-CO-(CH_2)_{0-4}(C_3-C_7$ cycloalkyl), $-(CH_2)_{0-4}-R_{110}$, $-(CH_2)_{0-4}-R_{120}$, $-(CH_2)_{0-4}-R_{130}$, $-(CH_2)_{0-4}-CO-R_{110}$, $-(CH_2)_{0-4}-CO-R_{120}$, $-(CH_2)_{0-4}-CO-R_{130}$, $-(CH_2)_{0-4}-CO-R_{140}$, $-(CH_2)_{0-4}-CO-O-R_{150}$, $-(CH_2)_{0-4}-SO_2-NR_{105}R'_{105}$, $-(CH_2)_{0-4}-SO-(C_1-C_6$ alkyl), $-(CH_2)_{0-4}-SO_2-(C_1-C_{12}$ alkyl), $-(CH_2)_{0-4}-SO_2-(CH_2)_{0-4}-(C_3-C_7$ cycloalkyl), $-(CH_2)_{0-4}-N(R_{150})-CO-O-R_{150}$, $-(CH_2)_{0-4}-N(R_{150})-CO-N(R_{150})_2$, $-(CH_2)_{0-4}-N(R_{150})-CS-N(R_{150})_2$, $-(CH_2)_{0-4}-N(R_{150})-CO-R_{105}$, $-(CH_2)_{0-4}-NR_{105}R'_{105}$, $-(CH_2)_{0-4}-R_{140}$, $-(CH_2)_{0-4}-O-CO-(C_1-C_6$ alkyl), $-(CH_2)_{0-4}-O-P(O)-(O-R_{110})_2$, $-(CH_2)_{0-4}-O-CO-N(R_{150})_2$, $-(CH_2)_{0-4}-O-CS-N(R_{150})_2$, $-(CH_2)_{0-4}-O-(R_{150})$, $-(CH_2)_{0-4}-O-R_{150}'-COOH$, $-(CH_2)_{0-4}-S-(R_{150})$, $-(CH_2)_{0-4}-N(R_{150})-SO_2-R_{105}$, $-(CH_2)_{0-4}-$ C_3-C_7 cycloalkyl, (C_2-C_{10})alkenyl, or (C_2-C_{10})alkynyl.

Preferred compounds of formula X-5 include compounds wherein

R_W is $-C(=O)-R_{100}$; and

R_{100} represents aryl, or heteroaryl, where the ring portions of each are optionally substituted with 1, 2, or 3 groups independantly selected from

-OR, $-NO_2$, C_1-C_6 alkyl, halogen, -C≡N, $-OCF_3$, $-CF_3$, $-(CH_2)_{0-4}-O-P(=O)(OR)(OR')$, $-(CH_2)_{0-4}-CO-NR_{105}R'_{105}$, $-(CH_2)_{0-4}-O-(CH_2)_{0-4}-CONR_{102}R_{102}'$, $-(CH_2)_{0-4}-CO-(C_1-C_{12}$ alkyl), $-(CH_2)_{0-4}-CO-(C_2-C_{12}$ alkenyl), $-(CH_2)_{0-4}-CO-(C_2-C_{12}$ alkynyl), $-(CH_2)_{0-4}-CO-(CH_2)_{0-4}(C_3-C_7$ cycloalkyl), $-(CH_2)_{0-4}-R_{110}$, $-(CH_2)_{0-4}-R_{120}$, $-(CH_2)_{0-4}-R_{130}$, $-(CH_2)_{0-4}-CO-R_{110}$, $-(CH_2)_{0-4}-CO-R_{120}$, $-(CH_2)_{0-4}-CO-R_{130}$, $-(CH_2)_{0-4}-CO-R_{140}$, $-(CH_2)_{0-4}-CO-O-R_{150}$, $-(CH_2)_{0-4}-SO_2-NR_{105}R'_{105}$, $-(CH_2)_{0-4}-SO-(C_1-C_6$ alkyl), $-(CH_2)_{0-4}-SO_2-(C_1-C_{12}$ alkyl), $-(CH_2)_{0-4}-SO_2-(CH_2)_{0-4}-(C_3-C_7$ cycloalkyl), $-(CH_2)_{0-4}-N(R_{150})-CO-O-R_{150}$, $-(CH_2)_{0-4}-N(R_{150})-CO-N(R_{150})_2$, $-(CH_2)_{0-4}-N(R_{150})-CS-N(R_{150})_2$, $-(CH_2)_{0-4}-N(R_{150})-CO-R_{105}$, $-(CH_2)_{0-4}-NR_{105}R'_{105}$, $-(CH_2)_{0-4}-R_{140}$, $-(CH_2)_{0-4}-O-CO-(C_1-C_6$ alkyl), $-(CH_2)_{0-4}-O-P(O)-(O-R_{110})_2$, $-(CH_2)_{0-4}-O-CO-N(R_{150})_2$, $-(CH_2)_{0-4}-O-CS-N(R_{150})_2$, $-(CH_2)_{0-4}-O-(R_{150})$, $-(CH_2)_{0-4}-O-R_{150}'-COOH$, $-(CH_2)_{0-4}-S-(R_{150})$, $-(CH_2)_{0-4}-N(R_{150})-SO_2-R_{105}$, $-(CH_2)_{0-4}-C_3-C_7$ cycloalkyl, (C_2-C_{10})alkenyl, or (C_2-C_{10})alkynyl.

Preferred compounds of formula X-5 also include compounds wherein

R_W is $-C(=O)-$aryl or $-C(=O)-$heteroaryl where the ring portions of each are optionally substituted with 1, 2, or 3 groups independantly selected from

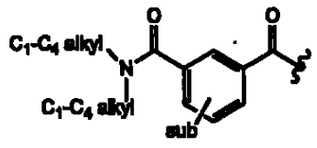
-OR, $-NO_2$, C_1-C_6 alkyl, halogen, -C≡N, $-OCF_3$, $-CF_3$, $-(CH_2)_{0-4}-CO-NR_{105}R'_{105}$, $-(CH_2)_{0-4}-O-(CH_2)_{0-4}-CONR_{102}R_{102}'$, $-(CH_2)_{0-4}-CO-(C_1-C_{12}$ alkyl), $-(CH_2)_{0-4}-$

CO-(C_2-C_{12} alkenyl), -$(CH_2)_{0-4}$-CO-(C_2-C_{12} alkynyl), -$(CH_2)_{0-4}$-R_{110}, -$(CH_2)_{0-4}$-R_{120}, -$(CH_2)_{0-4}$-R_{130}, -$(CH_2)_{0-4}$-CO-R_{110}, -$(CH_2)_{0-4}$-CO-R_{120}, -$(CH_2)_{0-4}$-CO-R_{130}, -$(CH_2)_{0-4}$-CO-R_{140}, -$(CH_2)_{0-4}$-CO-O-R_{150}, -$(CH_2)_{0-4}$-SO_2-$NR_{105}R'_{105}$, -$(CH_2)_{0-4}$-SO-(C_1-C_8 alkyl), -$(CH_2)_{0-4}$-SO_2-(C_1-C_{12} alkyl), -$(CH_2)_{0-4}$-N(R_{150})-CO-O-R_{150}, -$(CH_2)_{0-4}$-N(R_{150})-CO-N(R_{150})$_2$, -$(CH_2)_{0-4}$-N(R_{150})-CO-R_{105}, -$(CH_2)_{0-4}$-$NR_{105}R'_{105}$, -$(CH_2)_{0-4}$-R_{160}, -$(CH_2)_{0-4}$-O-CO-(C_1-C_8 alkyl), -$(CH_2)_{0-4}$-O-CO-N(R_{150})$_2$, -$(CH_2)_{0-4}$-O-(R_{150}), -$(CH_2)_{0-4}$-N(R_{150})-SO_2-R_{105}, -$(CH_2)_{0-4}$- C_3-C_7 cycloalkyl, (C_2-C_{10})alkenyl, or (C_2-C_{10})alkynyl.

Other preferred compounds of formula X-5 include compounds wherein

R_M is -C(=O)-aryl or -C(=O)-heteroaryl where the ring portions of each are optionally substituted with 1 or 2 groups independently selected from C_1-C_8 alkyl, halogen, -$(CH_2)_{0-4}$-CO-$NR_{105}R'_{105}$, -$(CH_2)_{0-4}$-O-CO-N(R_{150})$_2$, -$(CH_2)_{0-4}$-N(R_{150})-SO_2-R_{105}, -$(CH_2)_{0-4}$-SO_2-$NR_{105}R'_{105}$, C_3-C_7 cycloalkyl, (C_2-C_{10})alkenyl, -$(CH_2)_{0-4}$-R_{110}, -$(CH_2)_{0-4}$-R_{120}, -$(CH_2)_{0-4}$-R_{130}, or (C_2-C_{10})alkynyl.

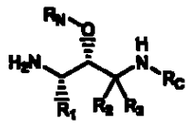
Other preferred compounds of formula X-5 also include compounds wherein R_M is:



wherein sub is hydrogen or is C_1-C_8 alkyl, halogen, -$(CH_2)_{0-4}$-CO-$NR_{105}R'_{105}$, -$(CH_2)_{0-4}$-O-CO-N(R_{150})$_2$, -$(CH_2)_{0-4}$-N(R_{150})-SO_2-R_{105}, -$(CH_2)_{0-4}$-SO_2-$NR_{105}R'_{105}$,

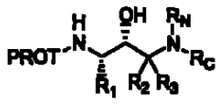
C_3-C_7 cycloalkyl, -(C_2-C_{10})alkenyl, -$(CH_2)_{0-4}$-R_{110}, -$(CH_2)_{0-4}$-R_{120}, -$(CH_2)_{0-4}$-R_{130}, or (C_2-C_{10})alkynyl.

A preferred stereochemistry for compounds of formula X is as follows:



(X)

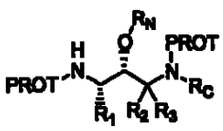
In another aspect, the invention provides intermediates of the formula (IA):



(IA)

wherein R_1, R_2, R_3, R_N, and R_C are as defined above for compounds of formula I, and PROT is an amine protecting group as defined below.

In another aspect, the invention provides intermediates of the formula (XA):



(XA)

wherein R_1, R_2, R_3, R_M, and R_C are as defined above for compounds of formula I, and PROT is an amine protecting group as defined below

The invention also provides methods of generating compounds of formula (Y) from the compounds of formula (AA), formula (I) or formula (X), which are useful for treating and/or preventing Alzheimer's disease. The generation of compounds of formula (Y) from compounds of formulae (AA), (I) or (X) can occur *in vivo* or *in vitro*.

The invention also provides processes for converting compounds of formula AA, I or X to the compounds of formula Y by exposing compounds of formula AA, I or X to aqueous media. The conversion can occur *in vitro* or *in vivo*.

The invention also provides methods for 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 includes administration of a therapeutically effective amount of a compound of formula (AA), (I) or (X) or a pharmaceutically acceptable salts thereof.

In an embodiment, this method of treatment can be used where the disease is Alzheimer's disease.

In an embodiment, this method of treatment can help prevent or delay the onset of Alzheimer's disease.

In an embodiment, this method of treatment can be used where the disease is mild cognitive impairment.

In an embodiment, this method of treatment can be used where the disease is Down's syndrome.

In an embodiment, this method of treatment can be used where the disease is Hereditary Cerebral Hemorrhage with Amyloidosis of the Dutch-Type.

In an embodiment, this method of treatment can be used where the disease is cerebral amyloid angiopathy.

In an embodiment, this method of treatment can be used where the disease is degenerative dementias.

In an embodiment, this method of treatment can be used where the disease is diffuse Lewy body type of Alzheimer's disease.

In an embodiment, this method of treatment can treat an existing disease.

In an embodiment, this method of treatment can prevent a disease from developing.

In an embodiment, this method of treatment can employ therapeutically effective amounts: for oral administration from about 0.1 mg/day to about 1,000 mg/day; for parenteral, sublingual, intranasal, intrathecal administration from about 0.5 to about 100 mg/day; for depo administration and implants from about 0.5 mg/day to about 50 mg/day; for topical administration

from about 0.5 mg/day to about 200 mg/day; for rectal administration from about 0.5 mg to about 500 mg.

In an embodiment, this method of treatment can employ therapeutically effective amounts: for oral administration from about 1 mg/day to about 100 mg/day; and for parenteral administration from about 5 to about 50 mg daily.

In an embodiment, this method of treatment can employ therapeutically effective amounts for oral administration from about 5 mg/day to about 50 mg/day.

The invention also includes pharmaceutical compositions which include a compound of formula (AA), (I) or (X) or a pharmaceutically acceptable salts thereof.

The invention also includes the use of a compound of formula (AA), (I) or (X) or pharmaceutically acceptable salts thereof for the manufacture of a medicament.

The invention also includes 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. These methods each include administration of a therapeutically effective amount of a compound of formula (AA), (I) or (X) or a pharmaceutically acceptable salts thereof.

The invention also includes a method for inhibiting beta-secretase activity, including exposing said beta-

secretase to a compound of formula (AA), (I) or (X), under conditions whereby an effective inhibitory amount of a compound of formula (Y), or a pharmaceutically acceptable salt thereof, is formed.

In an embodiment, this method employs a compound that inhibits 50% of the enzyme's activity at a concentration of less than 50 micromolar.

In an embodiment, this method employs a compound that inhibits 50% of the enzyme's activity at a concentration of 10 micromolar or less.

In an embodiment, this method employs a compound that inhibits 50% of the enzyme's activity at a concentration of 1 micromolar or less.

In an embodiment, this method employs a compound that inhibits 50% of the enzyme's activity at a concentration of 10 nanomolar or less.

In an embodiment, this method includes exposing said beta-secretase to said compound *in vitro*.

In an embodiment, this method includes exposing said beta-secretase to said compound in a cell.

In an embodiment, this method includes exposing said beta-secretase to said compound in a cell in an animal.

In an embodiment, this method includes exposing said beta-secretase to said compound in a human.

The invention also includes 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, including exposing said reaction mixture to an effective inhibitory amount of a compound of formula (AA), (I) or (X), or a pharmaceutically acceptable salt thereof.

In an embodiment, this method employs a cleavage site: 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.

In an embodiment, this method exposes said reaction mixture *in vitro*.

In an embodiment, this method exposes said reaction mixture in a cell.

In an embodiment, this method exposes said reaction mixture in an animal cell.

In an embodiment, this method exposes said reaction mixture in a human cell.

The invention also includes a method for inhibiting production of amyloid beta peptide (A beta) in a cell, including administering to said cell a compound of formula (AA), (I) or (X), under conditions whereby an effective inhibitory amount of a compound of formula (X), or a pharmaceutically acceptable salt thereof, is formed.

In an embodiment, this method includes administering to an animal.

In an embodiment, this method includes administering to a human.

The invention also includes a method for inhibiting the production of beta-amyloid plaque in an animal, including administering to said animal a compound of formula (AA), (I) or (X), under conditions whereby an effective inhibitory amount of a compound of formula (X), or a pharmaceutically acceptable salt thereof, is formed.

In an embodiment, this method includes administering to a human.

The invention also includes a method for treating or preventing a disease characterized by beta-amyloid deposits in the brain including administering to a patient an effective therapeutic amount of a compound of formula (AA), (I) or (X), under conditions whereby an effective inhibitory amount of a compound of formula (Y), or a pharmaceutically acceptable salt thereof, is formed.

In an embodiment, this method results in a compound of formula (Y) that inhibits 50% of the enzyme's activity at a concentration of less than 50 micromolar.

In an embodiment, this method results in a compound of formula (Y) that inhibits 50% of the enzyme's activity at a concentration of 10 micromolar or less.

In an embodiment, this method results in a compound of formula (Y) that inhibits 50% of the enzyme's activity at a concentration of 1 micromolar or less.

In an embodiment, this method results in a compound of formula (Y) that inhibits 50% of the enzyme's activity at a concentration of 10 nanomolar or less.

In an embodiment, this method employs a compound at a therapeutic amount in the range of from about 0.1 to about 1500 mg/day.

In an embodiment, this method employs a compound at a therapeutic amount in the range of from about 15 to about 1000 mg/day.

In an embodiment, this method employs a compound at a therapeutic amount in the range of from about 1 to about 100 mg/day.

In an embodiment, this method employs a compound at a therapeutic amount in the range of from about 5 to about 50 mg/day.

In an embodiment, this method can be used where said disease is Alzheimer's disease.

In an embodiment, this method can be used where said disease is Mild Cognitive Impairment, Down's Syndrome, or Hereditary Cerebral Hemorrhage with Amyloidosis of the Dutch Type.

The invention also includes a component kit including component parts capable of being assembled, in which at least one component part includes a compound of formula AA, I or X enclosed in a container.

In an embodiment, this component kit includes lyophilized compound, and at least one further component part includes a diluent.

The invention also includes a container kit including a plurality of containers, each container including one or more unit dose of a compound of formula (AA), (I) or (X):, or a pharmaceutically acceptable salt thereof.

In an embodiment, this container kit includes each container adapted for oral delivery and includes a tablet, gel, or capsule.

In an embodiment, this container kit includes each container adapted for parenteral delivery and includes a depot product, syringe, ampoule, or vial.

In an embodiment, this container kit includes each container adapted for topical delivery and includes a patch, medipad, ointment, or cream.

The invention also includes an agent kit including a compound of formula (AA), (I) or (X), or a pharmaceutically acceptable salt thereof; and one or more therapeutic agent selected from the group consisting of an antioxidant, an anti-inflammatory, a gamma secretase inhibitor, a neurotrophic agent, an acetyl cholinesterase inhibitor, a statin, an A beta peptide, and an anti-A beta antibody.

The invention also includes a composition including a compound of formula (AA), (I) or (X), or a pharmaceutically acceptable salt thereof; and an inert diluent or edible carrier.

In an embodiment, this composition includes a carrier that is an oil.

The invention also includes a composition including: a compound of formula (AA), (I) or (X), or a pharmaceutically acceptable salt thereof; and a binder, excipient, disintegrating agent, lubricant, or gildant.

The invention also includes a composition including a compound of formula (AA), (I) or (X), or a pharmaceutically acceptable salt thereof; disposed in a cream, ointment, or patch.

The invention provides compounds of formula (AA), formula (I) and (X) that can be used to generate compounds of formula (Y), that are useful in treating and preventing Alzheimer's disease. The compounds of the invention can be prepared by one skilled in the art based only on knowledge of the compound's chemical structure. The chemistry for the preparation of the compounds of this invention is known to those skilled in the art. In fact, there is more than one process to prepare the compounds of the invention. Specific examples of methods of preparation can be found in the art. For examples, see *J. Org. Chem.* 1998, *63*, 4898-4906; *J. Org. Chem.* 1997, *62*, 9348-9353; *J. Org. Chem.* 1996, *61*, 5528-5531; *J. Med. Chem.* 1993, *36*, 320-330; *J. Am. Chem. Soc.* 1999, *121*, 1145-1155; and references cited therein. See also U.S. Patent Nos. 6,150,530, 5,892,052, 5,696,270, and

5,362,912, which are incorporated herein by reference, and references cited therein.

Examples of various processes that can be used to prepare the compounds of the invention are set forth below.

A general process to prepare the compounds of formula I and X is set forth in SCHEME A. The chemistry is straight forward and in summary involves the steps of N-protecting the amino acid (A) 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 halide 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).

Compounds of formula (I) can be prepared by reacting protected alcohol (VII) with an amide forming agent such as, for example, $(R_B-)_2O$ or R_B-X or R_B-OH (IX) to produce alcohol (IA). Alcohol (IA) then has the nitrogen protecting group removed to produce the corresponding compounds of formula (I).

Compounds of formula (X) can be prepared by further N-protecting alcohol (VII) to form the diprotected alcohol (XB). Diprotected alcohol (XB) is reacted with an amide forming agent such as, for example, $(R_B-)_2O$ or R_B-X or R_B-OH (IX) to produce compound (XA). Compound (XA) then has the nitrogen protecting groups removed to produce the corresponding compounds of formula (X).

One skilled in the art will appreciate that these are all known reactions in organic chemistry. A chemist

skilled in the art, knowing the chemical structure of the compounds (AA), (I) and (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 invention.

The backbone of the intermediate (VII), from which the compounds of formula (AA), (I) and (X) can be readily prepared, can be considered a hydroxyethylamine moiety, -NH-CH(R)-CH(OH)-. Such backbones can be prepared by methods disclosed in the literature and known to those skilled in the art. For example, *J. Med. Chem.*, 36, 288-291 (1993), *Tetrahedron Letters*, 28, 5569-5572 (1987), *J. Med. Chem.*, 38, 581-584 (1995) and *Tetrahedron Letters*, 38, 619-620 (1997) and WO 02/02506 all disclose processes to prepare hydroxyethylamine type compounds and/or their intermediates.

SCHEME A sets forth a general method used in the invention to prepare the appropriately substituted amines I and X. The compounds of the invention are prepared by starting with the corresponding amino acid (A). The amino acids (A) are known to those skilled in the art or can be readily prepared by methods known to those skilled in the art. The compounds of the invention have at least two chiral centers, which give 2 sets of diastereomers, each of which is racemic for a total of at least four stereoisomers. While biologically active end products result from all stereoisomers, the (S,R) configuration is preferred. The first of these chiral centers (the carbon carrying R_1) derives from the amino acid starting material (A). It is preferred to commercially obtain or produce the desired enantiomer

rather than produce an enantiomerically impure mixture and then have to separate out the desired enantiomer. Thus it is preferred to start the process with enantiomerically pure (S)-amino acid (A) of the same configuration as that of the desired X product.

In Scheme A, the protection of free amine (A) to produce the (S)-protected amino acid (II) is depicted. Amino protecting groups are known to those skilled in the art, as discussed below. 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 (A) which would not proceed 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 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 known to those skilled in the art. Suitable amino PROTECTING GROUPs are discussed below.

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

R_2 and R_3 can be the same or different. It is preferred that R_2 and R_3 both be -H. If R_2 and R_3 are not the same, an additional chiral or stereogenic center is added to the molecule. To produce compounds of formula (III) where R_2 and R_3 are both -H, the (S)-protected amino

acid (II) is reacted with diazomethane, as is 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 and -O-brosylate. 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 about -78° to about 20-25°. It is preferred to conduct the reactions for a period of time between 1-4 hours and at temperatures between -30° to -10°. 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 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°. 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 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° to elevated temperature up to the reflux point of the solvent employed. It is preferred to conduct the reduction between -78° and 0°. 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 SCHEME A is the (S,S)-alcohol (IV) since this enantiomer will give the desired (S,R)-substituted compound I or 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° up to the reflux temperature of the alcohol employed; preferred temperature ranges are between -20° and 40°.

An alternative, and preferable process for preparing the epoxide (V) when R_1 is 3,5-difluorobenzyl, is set forth in SCHEME D. The first step of the process is to protect the free amino group of the (S)-amino acid (A) with an amino protecting group, PROTECTING GROUP, as previously discussed to produce the (S)-protected amino acid (II).

In the alternative process, the (S)-protected amino acid (A) is transformed to the corresponding (S)-protected ester (XVII) in one of a number of ways. One method involves the use of lithium hydroxide. Using lithium hydroxide, the (S)-protected amino acid (A) and the lithium hydroxide are mixed and cooled to from about -20° to about 10°. Next a methylating agent, selected from the group consisting of dimethylsulfate, methyl iodide and methyl triflate, is added. It is more preferred that the methylating agent is dimethylsulfate. This is followed by heating to from about 20° to about 50°.

Alternatively, the (S)-protected amino acid (A) is contacted with a weak base such as bicarbonate or preferably carbonate. This is followed by addition of the methylating agent. Heat is not necessary but can be used to facilitate the reaction. The carbonate method is known to those skilled in the art. For those (S)-protected esters (XVII) where Z_1 is not methyl, one skilled in the art knowing the chemical structure would know how to prepare the desired compounds from known starting materials. In one known method the (S)-protected amino acid (A) is contacted with an activating agent, such as DCC, followed by addition of the appropriate alcohol, Z_1-OH. This method is operable when Z_1 is C_1-C_4 alkyl (optionally substituted), $-CH_2-CH=CH_2$ or phenyl (optionally substituted).

SCHEME E sets forth an alternative process for the preparation of the ester (II). In the process of SCHEME E, the aldehyde (XX), which is known to those skilled in the art, is reacted with the phosphorous compound (XXI), where X_3 is a good leaving group, to produce the olefin (XXII). The phosphorous compounds (XXI) are known to

those skilled in the art. It is preferred that X_3 is C_1-C_3 alkyl; it is more preferred that X_3 is C_1 alkyl. The aldehyde (XX) and the phosphate (XXI) are combined in an organic solvent then cooled to about 0°. A base such as DBU or TMG is added and the contents of the reaction mixture are warmed to about 20-25° and stirred until the reaction is complete. Once the reaction is complete, it is preferred to separate the E- and Z-olefin isomers (XXII). The separation is done by methods known to those skilled in the art, such as by silica gel chromatography. Next the olefin (XXII) is hydrogenated with a suitable hydrogenation catalyst to obtain the desired ester (II). Some hydrogenation reactions will give racemic ester (II). The desired stereochemistry of the ester (II) is (S)-, and therefore it is preferable to use the Z-olefin (XXII) with a hydrogenation catalyst. It is preferred that the hydrogenation catalyst is a compound of the formula $[Rh(diene)L]^+X^-$

where Rh is rhodium;

where diene is cyclootediene and nonbornadiene;

where L is DIPMAP, MeDuPhos, EtDuPhos, Binaphane, f-Binaphane, Me-KetalPhos, Me-f-KetalPhos, BINAP, DIOP, BPPFA, BPPM, CHIRAPHOS, PROPHOS, NORPHOS, CYCLOPHOS, BDPP, DEGPHOS, PNNP and where X^- is ClO_4^-, BF_4^-, CF_3-SO_3^-, Cl^-, Br^-, PF_6^- and SbF_6^-. It is preferred that the hydrogenation catalyst be either DIPMAP or EtDuPhos. Suitable solvents include polar solvents such as alcohols, preferably C_1-C_5 alcohols and THF, more preferably methanol, ethanol, isopropanol and THF. The chiral hydrogenation is performed in a temperature range of from about -20° to about reflux. It is preferred that the reaction be performed in the temperature range from about 0° to about room temperature (25°). The chiral

hydrogenation is performed under a pressure of from about one atmosphere to about 100 psig; it is more preferred that the chiral hydrogenation be performed under a pressure of from about 10 psig to about 40 psig.

The (S)-protected ester (II) is then transformed to the corresponding (S)-protected ketone (III) by reaction with a slight excess of a compound of the formula CH_2ClX^2 where X^2 is -Br and -I in one of two different ways. In one process, no exogenous nucleophile is used. That process requires (1) the presence of three or more equivalents of strong base which has a pK_b of greater than about 30 followed by (2) adding acid. The other process requires (1) the presence of about 2 to about 2.5 equivalents of strong base which has a pK_b of greater than about 30, (2) contacting the mixture of step (1) with about 1 to about 1.5 equivalents of an exogenous nucleophile and (3) adding acid. Suitable strong bases are those which has a pK_b of greater than about 30. It is preferred that the strong base be selected from the group consisting of LDA, LiHMDS and KHMDS; it is more preferred that the strong base be LDA. Suitable acids are those, which have a pk_a of less than about 10. It is preferred the acid be selected from the group consisting of acetic, sulfuric, hydrochloric, citric, phosphoric and benzoic acids; it is more preferred that the acid be acetic acid. The preferred solvent for the process is THF. The reaction can be performed in the temperature range from about -80° to about -50°; it is preferred to perform the reaction in the temperature range of from about -75° to about -65°. Suitable nucleophiles include alkyl lithium, aryl lithium, alkyl-Grignard and aryl-Grignard reagents. It is preferred that the nucleophile be selected from the group consisting of phenyl lithium, n-butyl lithium,

methyl magnesium bromide, methyl magnesium chloride, phenyl magnesium bromide, phenyl magnesium chloride; it is more preferred that the nucleophile be n-butyl lithium.

The (S)-protected ketone (III) is then reduced to the corresponding (S)-alcohol (IV) by means known to those skilled in the art for reduction of a ketone to the corresponding secondary alcohol. 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, zinc borohydride and lithium aluminium hydride. Sodium borohydride is the preferred reducing agent. The reductions are carried out for a period of time between about 1 hour and about 3 days at temperatures ranging from about -78° to elevated temperature up to the reflux point of the solvent employed. It is preferred to conduct the reduction between about -78° and about 0°. 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 a second chiral center. The reduction of the (S)-protected compound (III) produces a mixture of diastereomers at the second center, (S, R/S)-alcohol (IV). This diastereomeric mixture is then separated by means known to those skilled in the art such as selective low-temperature recrystallization or chromatographic

separation, most preferably by recrystallization or by employing commercially available chiral columns. The diastereomer that is used in the remainder of the process of SCHEME A is the (S,S)-alcohol (IV) since this stereochemistry will give the desired epoxide (V).

The 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 about -45° up to the reflux temperature of the alcohol employed; preferred temperature ranges are between about -20° and about 40°.

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 which 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. 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° up to the reflux temperature of the alcohol employed. The preferred temperature range for conducting the reaction is between 50° 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 preferably prepared as follows. To dimethyl-5-isophthalate 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 thick layer of celite cake 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 preferably following the general procedure above and making non-critical variations but starting with 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-aryl, is not commercially available it is preferably prepared as follows. A suitable starting material is the (appropriately substituted) aralkyl compound. The first step is bromination of the alkyl substituent 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-$R_{C\text{-}aryl}$.

SCHEME 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).

SCHEME 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 SCHEME 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. Last, the

(S,R)-amine (XIII) is transformed to the corresponding protected alcohol (VII) by nitrogen alkylation with a compound of the formula R_C-X_3. 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 (XIII) via the known reductive amination procedure gives the protected (S,R)-alcohol (VII).

In the formation of compounds of formula (I), the protected alcohol (VII) is reacted with an appropriately substituted amide forming agent (IX) such as, for example, an anhydride, acyl halide, or acid of the formulas $(R_B)_2O$ or R_BX or R_BOH (IX) respectively, by means known to those skilled in the art to produce the corresponding (S,R)-substituted amine (IA). Nitrogen acylation conditions for reaction of the alcohol (VII) with an amide forming agent (IX) to produce the corresponding compound (IA) are known to those skilled in the art and can be found, for example, in R.C. Larock in Comprehensive Organic Transformations, VCH Publishers, 1989, p. 981, 979, and 972. The (S,R)-protected amine (IA) is deprotected to the corresponding compounds (I) by means known to those skilled in the art for removal of amine protecting group. Suitable means for removal of the amine protecting group depend 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 amine (IA) in a trifluoroacetic acid/dichloromethane (1/1) mixture. When complete, the solvents are removed under reduced pressure to give the corresponding (S,R)-amine (I) (as the

corresponding salt, i.e. trifluoroacetic acid salt) which is used without further purification. However, if desired, the (S,R)-amine (I) can be purified further by means known to those skilled in the art, such as for example, recrystallization. Further, if the non-salt form is desired that also can be obtained by means known to those skilled in the art, such as for example, preparing the free base amine via treatment of the salt with mild basic conditions. Additional BOC deprotection conditions and deprotection conditions for other protecting groups can be found in T.W. Green and P.G.M. Wuts in "Protective Groups in Organic Chemistry, John Wiley and Sons, 1991, p. 309. Suitable chemically suitable salts include trifluoroacetate, and the anion of mineral acids such as chloride, sulfate, phosphate; preferred is trifluoroacetate.

In the formation of compounds of formula (X), alcohol (VII) is further protected as described above to form the diprotectred compound (XB). Compound (XB) is then reacted with an appropriately substituted amide forming agent (IX) to form compound (XA), as described above for compound (IA). Deprotection of (XA) to compunds (X) is conducted as described for the transformation of compound (IA) to compounds (I).

The protection of amines is conducted, where appropriate, by methods known to those skilled in the art. Amino protecting groups are 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. When the amino protecting group is no longer needed, it is removed by methods known to those skilled in the

art. By definition the amino protecting group must be readily removable. A variety of suitable methodologies are known to those skilled in the art; see also T.W. Green and P.G.M. Wuts in "Protective Groups in Organic Chemistry, John Wiley and Sons, 1991. Suitable amino protecting groups include t-butoxycarbonyl, benzyloxycarbonyl, formyl, trityl, phthalimido, trichloroacetyl, chloroacetyl, bromoacetyl, iodoacetyl, 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, isobrornyloxycarbonyl, 1-piperidyloxycarbonyl, 9-fluoroenylmethyl carbonate, -CH-CH=CH_2 and phenyl-C(=N-)-H.

It is preferred that the protecting group be t-butoxycarbonyl (BOC) and/or benzyloxycarbonyl (CBZ), it is more preferred that the protecting group be t-

butoxycarbonyl. One skilled in the art will recognize suitable 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 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. Further, the invention includes pure enantiomers and diastereomers as well as mixtures thereof, including racemic mixtures. The individual geometric isomers, enantiomers or diastereomers may be prepared or isolated by methods known to those skilled in the art, including but not limited to chiral chromatography, preparing diastereomers, separating the diastereomers and converting the diastereomers into enantiomers through the use of a chiral resolving agent.

Compounds of the invention with designated stereochemistry can be included in mixtures, including racemic mixtures, with other enantiomers, diastereomers, geometric isomers or tautomers. In a preferred aspect, compounds of the invention with (S, R, R), (S, S, S), or (S, R, S) stereochemistry are typically present in these mixtures in excess of 50 percent. Preferably, compounds of the invention with designated stereochemistry are present in these mixtures in excess of 80 percent. More preferably, compounds of the invention with designated stereochemistry are present in these mixtures in excess of 90 percent. Even more preferably, compounds of the invention with designated stereochemistry are present in these mixtures in excess of 99 percent.

The invention encompasses pharmaceutically acceptable salts of the compounds of formula (AA), (I) and (X). Pharmaceutically acceptable salts are preferred over the corresponding amines of formula (AA), (I) or (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 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.

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 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 onset of the disease.

Dosage Forms and Amounts

The compounds of the invention can be administered orally, parenterally, (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 parenteral 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, ampoules, vials, and the like for parenteral

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 parenteral, 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. Parenteral 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, parenterally (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 U.S. Patent No. 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 parenteral 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.

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.

In addition, the compounds of formula (AA), (I) or (X) can also be used with inhibitors of P-glycoprotein (P-gp). P-gp inhibitors and the use of such compounds are known to those skilled in the art. See for example, *Cancer Research*, 53, 4595-4602 (1993), *Clin. Cancer Res.*, 2, 7-12 (1996), *Cancer Research*, 56, 4171-4179 (1996), International Publications WO99/64001 and WO01/10387. The important thing is that the blood level of the P-gp inhibitor be such that it exerts its effect in inhibiting P-gp from decreasing brain blood levels of the compounds of formula (A). To that end the P-gp inhibitor and the compounds of formula (A) can be administered at the same time, by the same or different route of administration, or at different times. The important thing is not the time of administration but having an effective blood level of the P-gp inhibitor.

Suitable P-gp inhibitors include cyclosporin A, verapamil, tamoxifen, quinidine, Vitamin E-TGPS, ritonavir, megestrol acetate, progesterone, rapamycin,

10,11-methanodibenzosuberane, phenothiazines, acridine derivatives such as GF120918, FK506, VX-710, LY335979, PSC-833, GF-102,918 and other steroids. It is to be understood that additional agents will be found that have the same function and therefore achieve the same outcome; such compounds are also considered to be useful.

The P-gp inhibitors can be administered orally, parenterally, (IV, IM, IM-depo, SQ, SQ-depo), topically, sublingually, rectally, intranasally, intrathecally and by implant.

The therapeutically effective amount of the P-gp inhibitors is from about 0.1 to about 300 mg/kg/day, preferably about 0.1 to about 150 mg/kg daily. It is understood that while a patient may be started on one dose, that dose may have to be varied over time as the patient's condition changes.

When administered orally, the P-gp inhibitors can be administered in usual dosage forms for oral administration as is 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 P-gp inhibitors need to be administered only once or twice daily. The oral dosage forms are administered to the patient one thru four times daily. It is preferred that the P-gp inhibitors be administered either three or fewer times a day, more preferably once or twice daily. Hence, it is preferred that the P-gp inhibitors be administered in solid dosage form and further it is preferred that the solid dosage form be a sustained release form which permits once or twice daily dosing. It is preferred that

what ever dosage form is used, that it be designed so as to protect the P-gp inhibitors 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.

In addition, the P-gp inhibitors can be administered parenterally. When administered parenterally they can be administered IV, IM, depo-IM, SQ or depo-SQ.

The P-gp inhibitors can be given sublingually. When given sublingually, the P-gp inhibitors should be given one thru four times daily in the same amount as for IM administration.

The P-gp inhibitors can be given intranasally. When given by this route of administration, the appropriate dosage forms are a nasal spray or dry powder as is known to those skilled in the art. The dosage of the P-gp inhibitors for intranasal administration is the same as for IM administration.

The P-gp inhibitors can be given intrathecally. When given by this route of administration the appropriate dosage form can be a parenteral dosage form as is known to those skilled in the art.

The P-gp inhibitors can be given topically. When given by this route of administration, the appropriate dosage form is a cream, ointment or patch. Because of the amount of the P-gp inhibitors needed to be administered the patch is preferred. However, the amount that can be delivered by a patch is limited. Therefore, two or more patches may be required. The number and size of the patch is not important, what is important is that

a therapeutically effective amount of the P-gp inhibitors be delivered as is known to those skilled in the art.

The P-gp inhibitors can be administered rectally by suppository as is known to those skilled in the art.

The P-gp inhibitors can be administered by implants as is known to those skilled in the art.

There is nothing novel about the route of administration nor the dosage forms for administering the P-gp inhibitors. Given a particular P-gp inhibitor, and a desired dosage form, one skilled in the art would know how to prepare the appropriate dosage form for the P-gp inhibitor.

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, fluorometric 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.

Preferred rearranged compounds are effective to inhibit about 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 beta-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.

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

The definitions and explanations below are for the terms as used throughout this entire document including both the specification and the claims.

It should be noted that, as used in this specification and the appended claims, the singular forms "a," "an," and "the" include plural referents unless the content clearly dictates otherwise. Thus, for example, reference to a composition containing "a compound" includes a mixture of two or more compounds. It should also be noted that the term "or" is generally employed in its sense including "and/or" unless the content clearly dictates otherwise.

The symbol "-" in general represents a bond between two atoms in the chain. Thus CH_3-O-CH_2-CH(R_1)-CH_3 represents a 2-substituted-1-methoxypropane compound. In addition, the symbol "-" represents the point of attachment of the substituent to a compound. Thus for example aryl(C_1-C_6)alkyl- indicates an alkylaryl group, such as benzyl, attached to the compound at the alkyl moiety.

Where multiple substituents are indicated as being attached to a structure, it is to be understood that the substituents can be the same or different. Thus for example "R_a optionally substituted with 1, 2 or 3 R_q groups" indicates that R_a is substituted with 1, 2, or 3 R_q groups where the R_q groups can be the same or different.

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 and patient acceptance.

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.

By "alkyl" and "C_1-C_6 alkyl" in the present invention is meant straight or branched chain alkyl groups having 1-6 carbon atoms, such as, methyl, ethyl, propyl, isopropyl, n-butyl, sec-butyl, tert-butyl, pentyl, 2-pentyl, isopentyl, neopentyl, hexyl, 2-hexyl, 3-hexyl, and 3-methylpentyl. It is understood that in cases where an alkyl chain of a substituent (e.g. of an alkyl, alkoxy or alkenyl group) is shorter or longer than 6 carbons, it

will be so indicated in the second "C" as, for example, "C_1-C_{10}" indicates a maximum of 10 carbons.

By "alkoxy" and "C_1-C_6 alkoxy" in the present invention is meant straight or branched chain alkyl groups having 1-6 carbon atoms, attached through at least one divalent oxygen atom, such as, for example, methoxy, ethoxy, propoxy, isopropoxy, n-butoxy, sec-butoxy, tert-butoxy, pentoxy, isopentoxy, neopentoxy, hexoxy, and 3-methylpentoxy.

By the term "halogen" in the present invention is meant fluorine, bromine, chlorine, and iodine.

"Alkenyl" and "C_2-C_6 alkenyl" means straight and branched hydrocarbon radicals having from 2 to 6 carbon atoms and from one to three double bonds and includes, for example, ethenyl, propenyl, 1-but-3-enyl, 1-pent-3-enyl, 1-hex-5-enyl and the like.

"Alkynyl" and "C_2-C_6 alkynyl" means straight and branched hydrocarbon radicals having from 2 to 6 carbon atoms and one or two triple bonds and includes ethynyl, propynyl, butynyl, pentyn-2-yl and the like.

As used herein, the term "cycloalkyl" refers to saturated carbocyclic radicals having three to twelve carbon atoms. The cycloalkyl can be monocyclic, or a polycyclic fused system. Examples of such radicals include cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl and cycloheptyl. The cycloalkyl groups herein are unsubstituted or, as specified, substituted in one or more substitutable positions with various groups. For example, such cycloalkyl groups may be optionally substituted with C_1-C_6 alkyl, C_1-C_6 alkoxy, halogen, hydroxy, cyano, nitro, amino, mono(C_1-C_6)alkylamino, di(C_1-C_6)alkylamino, C_2-C_6alkenyl, C_2-C_6alkynyl, C_1-C_6 haloalkyl, C_1-C_6 haloalkoxy, amino(C_1-C_6)alkyl, mono(C_1-

C_6)alkylamino(C_1-C_6)alkyl or di(C_1-C_6)alkylamino(C_1-C_6)alkyl.

By "aryl" is meant an aromatic carbocyclic group having a single ring (e.g., phenyl), multiple rings (e.g., biphenyl), or multiple condensed rings in which at least one is aromatic, (e.g., 1,2,3,4-tetrahydronaphthyl, naphthyl), which is optionally mono-, di-, or trisubstituted. Preferred aryl groups of the present invention are phenyl, 1-naphthyl, 2-naphthyl, indanyl, indenyl, dihydronaphthyl, tetralinyl or 6,7,8,9-tetrahydro-5H-benzo[a]cycloheptenyl. The aryl groups herein are unsubstituted or, as specified, substituted in one or more substitutable positions with various groups. For example, such aryl groups may be optionally substituted with, for example, C_1-C_6 alkyl, C_1-C_6 alkoxy, halogen, hydroxy, cyano, nitro, amino, mono(C_1-C_6)alkylamino, di(C_1-C_6)alkylamino, C_2-C_6alkenyl, C_2-C_6alkynyl, C_1-C_6 haloalkyl, C_1-C_6 haloalkoxy, amino(C_1-C_6)alkyl, mono(C_1-C_6)alkylamino(C_1-C_6)alkyl, di(C_1-C_6)alkylamino(C_1-C_6)alkyl, -COOH, -C(=O)O(C_1-C_6 alkyl), -C(=O)NH_2, -C(=O)N(mono- or di-C_1-C_6 alkyl), -S(C_1-C_6 alkyl), -SO_2(C_1-C_6 alkyl), -O-C(=O)(C_1-C_6 alkyl), -NH-C(=O)-(C_1-C_6 alkyl), -N(C_1-C_6 alkyl)-C(=O)-(C_1-C_6 alkyl), -NH-SO_2-(C_1-C_6 alkyl), -N(C_1-C_6 alkyl)-SO_2-(C_1-C_6 alkyl), -NH-C(=O)NH_2, -NH-C(=O)N(mono- or di-C_1-C_6 alkyl), -NH(C_1-C_6 alkyl)-C(=O)-NH_2 or -NH(C_1-C_6 alkyl)-C(=O)-N-(mono- or di-C_1-C_6 alkyl).

By "heteroaryl" is meant one or more aromatic ring systems of 5-, 6-, or 7-membered rings which includes fused ring systems of 9-11 atoms containing at least one and up to four heteroatoms selected from nitrogen, oxygen, or sulfur. Preferred heteroaryl groups of the present invention include 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. The heteroaryl groups herein are unsubstituted

or, as specified, substituted in one or more substitutable positions with various groups. For example, such heteroaryl groups may be optionally substituted with C_1-C_6 alkyl, C_1-C_6 alkoxy, halogen, hydroxy, cyano, nitro, amino, mono(C_1-C_6)alkylamino, di(C_1-C_6)alkylamino, C_2-C_6alkenyl, C_2-C_6alkynyl, C_1-C_6 haloalkyl, C_1-C_6 haloalkoxy, amino(C_1-C_6)alkyl, mono(C_1-C_6)alkylamino(C_1-C_6)alkyl or di(C_1-C_6)alkylamino(C_1-C_6)alkyl, -COOH, -C(=O)O(C_1-C_6 alkyl), -C(=O)NH_2, -C(=O)N(mono- or di-C_1-C_6 alkyl), -S(C_1-C_6 alkyl), -SO_2(C_1-C_6 alkyl), -O-C(=O)(C_1-C_6 alkyl), -NH-C(=O)-(C_1-C_6 alkyl), -N(C_1-C_6 alkyl)-C(=O)-(C_1-C_6 alkyl), -NH-SO_2-(C_1-C_6 alkyl), -N(C_1-C_6 alkyl)-SO_2-(C_1-C_6 alkyl), -NH-C(=O)NH_2, -NH-C(=O)N(mono- or di-C_1-C_6 alkyl), -NH(C_1-C_6 alkyl)-C(=O)-NH_2 or -NH(C_1-C_6 alkyl)-C(=O)-N-(mono- or di-C_1-C_6 alkyl).

By "heterocycle", "heterocycloalkyl" or "heterocyclyl" is meant one or more carbocyclic ring systems of 3-, 4-, 5-, 6-, or 7-membered rings which includes fused ring systems of 9-11 atoms containing at least one and up to four heteroatoms selected from nitrogen, oxygen, or sulfur. Preferred heterocycles of the present invention include morpholinyl, thiomorpholinyl, thiomorpholinyl S-oxide, thiomorpholinyl S,S-dioxide, piperazinyl, homopiperazinyl, pyrrolidinyl, pyrrolinyl, tetrahydropyranyl, piperidinyl, tetrahydrofuranyl, tetrahydrothienyl, homopiperidinyl, homomorpholinyl, homothiomorpholinyl, homothiomorpholinyl S,S-dioxide, oxazolidinonyl, dihydropyrazolyl, dihydropyrrolyl, dihydropyrazinyl, dihydropyridinyl, dihydropyrimidinyl, dihydrofuryl, dihydropyranyl, azepanyl, diazepanyl, tetrahydrothienyl S-oxide, tetrahydrothienyl S,S-dioxide and homothiomorpholinyl S-oxide. The heterocycle groups herein maybe unsubstituted

or, as specified, substituted in one or more substitutable positions with various groups. For example, such heterocycle groups may be optionally substituted with C_1-C_6 alkyl, C_1-C_6 alkoxy, halogen, hydroxy, cyano, nitro, amino, mono(C_1-C_6)alkylamino, di(C_1-C_6)alkylamino, C_2-C_6alkenyl, C_2-C_6alkynyl, C_1-C_6 haloalkyl, C_1-C_6 haloalkoxy, amino(C_1-C_6)alkyl, mono(C_1-C_6)alkylamino(C_1-C_6)alkyl, di(C_1-C_6)alkylamino(C_1-C_6)alkyl or =O.

All patents and publications referred to herein are hereby incorporated by reference for all purposes.

Structures were named using Name Pro IUPAC Naming Software, version 5.09, available from Advanced Chemical Development, Inc., 90 Adelaide Street West, Toronto, Ontario, M5H 3V9, Canada.

The present invention may be better understood with reference to the following examples. These examples are intended to be representative of specific embodiments of the invention, and are not intended as limiting the scope of the invention.

CHEMISTRY EXAMPLES

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 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°. 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 hr. The reaction was 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°.

In a 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 zero degree. 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°. 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, filtered, and solvent removed under reduced pressure (with heat). The residue is dissolved in ether (100 mL) and hydrobromous acid (48%, 15 mL, 135 mmole, 2.7 eq,) is added at -20°, the cold bath is removed and the mixture is stirred for another half hour. 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, filtered, 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

PREPARATION 2 tert-Butyl (1S, 2S)-3-bromo-1-(3,5-difluorobenzyl)-2-hydroxypropylcarbamate (IV)

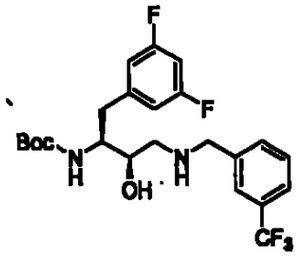
Sodium borohydride (1.32 g, 34.9 mmole, 1.1 eq.) is added to tert-Butyl (1S)-3-bromo-1-(3,5-difluorobenzyl)-2-oxopropylcarbamate (III, PREPARATION 1, 12 g, 31.75 mmole) dissolved in absolute alcohol (500 mL) -78°. The reaction mixture is stirred for 30 minutes and monitored by TLC (ethyl acetate/hexane, 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°) 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

PREPARATION 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, PREPARATION 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°. The cold bath is then removed and the mixture stirred for 30 minutes. 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,

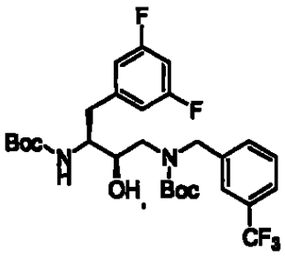
saline, dried over anhydrous sodium sulfate and the solvent removed under reduced pressure. The crude material is purified by flash chromatography on silica gel to give the title compound, TLC (ethyl acetate/hexane, 20/80) R_f = 0.3; MS (MH^+) = 300.4.

PREPARATION 4: tert-Butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-(triflurormethyl)benzyl)amino]-propylcarbamate



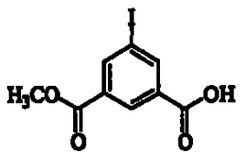
tert-Butyl (1S)-2-(3,5-difluorophenyl)-1-[(2S)-oxiranyl]ethylcarbamate (PREPARATION 3, 8.5 g, 28.4 mmole) is mixed with isopropanol (145 ml). The reaction flask is charged with 3-(trifluoromethyl)benzylamine. The reaction mixture is heated to reflux for 3 hours, HPLC analysis indicates complete disappearance of the epoxide. The reaction mixture is concentrated under reduced pressure and the residue is partitioned between ethyl acetate and aqueous hydrochloric acid. The organic phase is separated and washed with aqueous hydrochloric acid, bicarbonate, and saline then dried over sodium sulfate. Concentration under reduced pressure and recrystallization from hot hexane gives the title compound, MS (MH^+) 475.

PREPARATION 5: tert-Butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{(tert-butyloxy)carbonyl-3-{(trifluoromethyl)benzyl}amino}propylcarbamate



To a solution of tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-(triflurormethyl)benzyl)-amino]propylcarbamate (PREPARATION 4, 6.2 g, 13.1 mmole) in THF (70 ml) at 0° is added di-tert-butyl pyrocarbonate (6.3 g, 28.9 mmole). The reaction mixture is stirred at 20-25° for 18 hours. The reaction mixture is diluted with diethyl ether and washed with bicarbonate, 0.5 M citric acid, and saline then dried over sodium sulfate and concentrated to give the title compound, MS (MNa^+) 597.

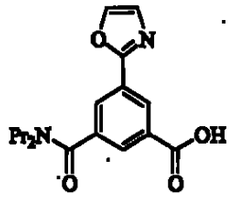
PREPARATION 6: 3-iodo-5-(methoxycarbonyl)benzoic acid



To an ice-cold, stirred solution of commercially available 3-amino-5-(methoxycarbonyl)benzoic acid (5.19 g, 26.59 mmol) in 2 N hydrochloric acid (156 mL) was added a solution of sodium nitrite (1.84 g, 26.67 mmol) in water (10.8 mL). This mixture was then added dropwise to an ice-cold, stirred solution of potassium iodide (8.84 g, 53.25 mmol) in water (26.2 mL). After stirring for 35 min, the reaction mixture was diluted with water

and extracted with ethyl acetate. The organic layer was washed with 5% aqueous sodium thiosulfate, and saturated sodium chloride, dried (sodium sulfate), and concentrated under reduced pressure. Purification by flash column chromatography (silica, 50:50:2 hexanes/ethyl acetate/acetic acid) afforded the title compound (4.48 g, 55% yield) as an off-white solid. ESI-MS (*m/z*): 305 [M + H]$^+$.

PREPARATION 7: 3-[(dipropylamino)carbonyl]-5-(1,3-oxazol-2-yl)benzoic acid

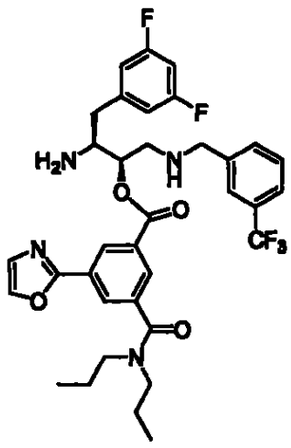


To a -70 °C solution of oxazole (4.0 g, 58 mmol) in tetrahydrofuran (100 mL) was added *n*-butyllithium (1.6 M in hexanes, 40 mL, 64 mmol). After 30 min, zinc chloride (1 M in diethyl ether, 166 mL, 166 mmol) was added and the reaction mixture was warmed to 0 °C for 1 h. To this mixture was added 3-iodo-5-(methoxycarbonyl)benzoic acid (PREPARATION 6, 21.4 g, 55 mmol) and palladium(0) tetrakis(triphenylphosphine) (2.7 g, 2.34 mmol). The reaction mixture was heated at reflux for 1 h. The reaction mixture was diluted with ethyl acetate (300 mL), washed with water, and saturated sodium chloride. The organic layer was dried (sodium sulfate) and concentrated under reduced pressure. Purification by silica gel plug (10-33% ethyl acetate/hexanes) provided an oxazole (17.7 g, 97%) as a light yellow solid: ^{1}H NMR (300 MHz, $CDCl_3$) δ

8.73 (t, J = 2 Hz, 1H), 8.24 (t, J = 2 Hz, 1H), 8.11 (t, J = 2 Hz, 1H), 7.77 (d, J = 1 Hz, 1H), 7.28 (d, J = 1 Hz, 1H), 3.97 (s, 3H), 3.49 (m, 2H), 3.19 (m, 2H), 1.71 (m, 2H), 1.57 (m, 2H), 1.01 (m, 3H), 0.76 (m, 3H).

To a stirred solution of the ester from step 1 (17.7 g, 53.6 mmol) in tetrahydrofuran (50 mL), methanol (25 mL), and water (25 mL) was added lithium hydroxide monohydrate (6.92 g, 165 mmol). The reaction mixture was stirred at room temperature for 2 h, and then concentrated under reduced pressure. The residue was partitioned between water (100 mL) and diethyl ether (100 mL). The aqueous layer was acidified to pH 4-5 with hydrochloric acid and extracted with ethyl acetate (3 x 200 mL). The combined organic layers were washed with saturated sodium chloride, dried (sodium sulfate), and concentrated under reduced pressure to one-half its original volume. The resulting precipitate was collected by filtration and washed with hexanes to provide the title compound (15.5 g, 91%) as an off-white solid: mp 131-133 °C; ^{1}H NMR (300 MHz, CD_3OD) δ 8.72 (s, 1H), 8.22 (s, 1H), 8.10 (s, 1H), 8.06 (d, J = 1 Hz, 1H), 7.36 (d, J = 1 Hz, 1H), 3.52 (m, 2H), 3.25 (m, 2H), 1.76 (m, 2H), 1.62 (m, 2H), 1.02 (m, 3H), 0.76 (m, 3H); APCI MS m/z 317 $[M + H]^+$.

PREPARATION 8: (1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-({[3-(trifluoromethyl)benzyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-(1,3-oxazol-2-yl)benzoate dihydrochloride

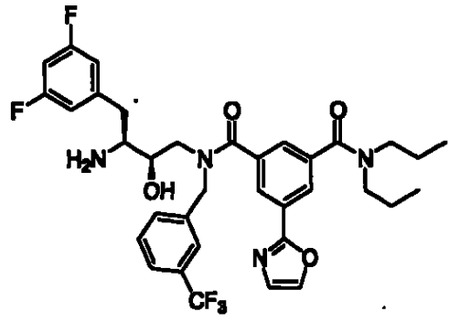


To a solution of tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{(tert-butyloxy)carbonyl-3-{(trifluoromethyl)benzyl}amino}propylcarbamate (PREPARATION 5, 594 mg, 1.0 mmole) in DMF (2 mL) is added 3-[(dipropylamino)carbonyl]-5-(1,3-oxazol-2-yl)benzoic acid (PREPARATION 7, 316 mg, 1.0 mmole), 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride (210 mg, 1.1 mmole), and 4-(dimethylamino)pyridine (146 mg, 1.2 mmole). After ~36 hours, the reaction mixture is diluted with ethyl acetate and washed with bicarbonate (2X) and brine (4X) then dried over sodium sulfate, filtered, and concentrated under reduced pressure. The concentrate is purified on silica gel by flash chromatography using a gradient solvent of ethyl acetate/hexane (20/80 to 50/50) to give (1R,2S)-2-[(tert-butoxycarbonyl)amino]-1-({(tert-butoxycarbonyl)[3-(trifluoromethyl)benzyl]amino}methyl)-3-(3,5-difluorophenyl)propyl 3-[(dipropylamino)carbonyl]-5-(1,3-oxazol-2-yl)benzoate, MS (MNa^+) 895.

(1R,2S)-2-[(tert-butoxycarbonyl)amino]-1-({(tert-butoxycarbonyl)[3-(trifluoromethyl)benzyl]amino}methyl)-3-(3,5-difluorophenyl)propyl 3-[(dipropylamino)carbonyl]-

5-(1,3-oxazol-2-yl)benzoate (482 mg, 0.55 mmole) is dissolved in hydrochloric acid/dioxane (4N, 3 ml) is stirred for 1 hour at 20-25°. The solvent is then removed under reduced pressure to give the title compound, MS (MH^+) 673.

PREPARATION 9: N-1--[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-(1,3-oxazol-2-yl)-N-3-,N-3--dipropyl-N-1--[3-(trifluoromethyl)benzyl]-isophthalamide hydrochloride

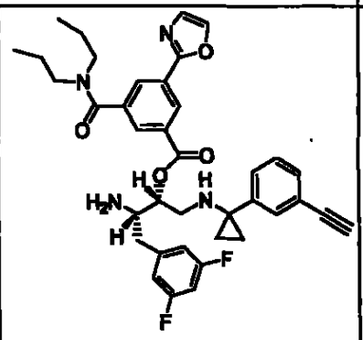


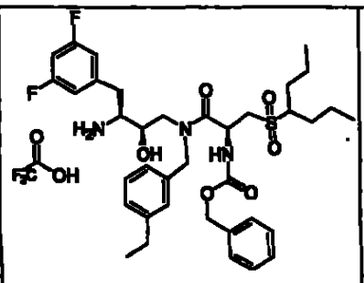
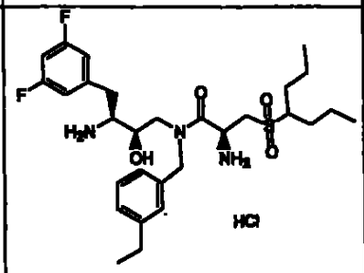
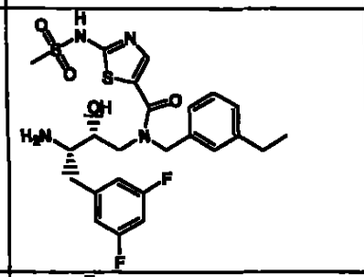
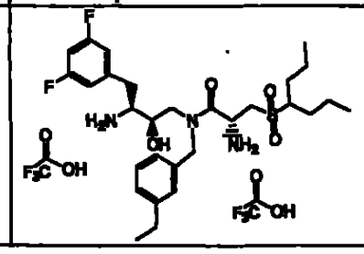
To a solution of tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-(trifluorormethyl)benzyl)amino]propylcarbamate (PREPARATION 4, 393 mg, 0.83 mmole) in DMF (2 mL) is added 3-[(dipropylamino)carbonyl]-5-(1,3-oxazol-2-yl)benzoic acid (PREPARATION 7, 262 mg, 0.83 mmole), 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride (175 mg, 0.91 mmole), and 4-(dimethylamino)pyridine (122 mg, 1.0 mmole). After ~18 hours, the reaction mixture is diluted with ethyl acetate and washed with bicarbonate (2X) and brine (4X) then dried over sodium sulfate, filtered, and concentrated under reduced pressure. The concentrate is purified on silica gel by flash chromatography using a gradient solvent of ethyl acetate/hexane (50/50 to 70/30) to give tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-3-{[3-

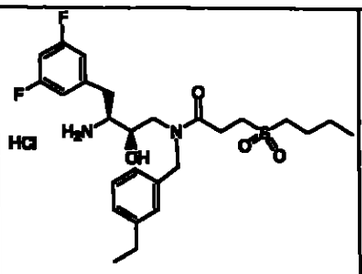
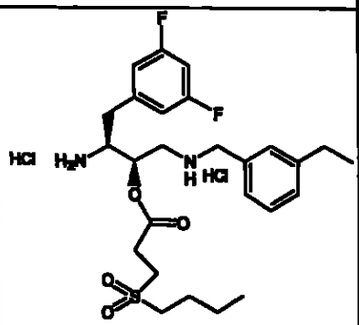
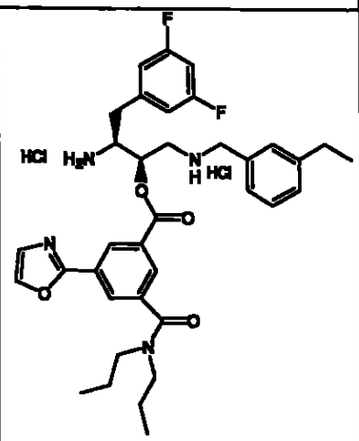
[(dipropylamino)carbonyl]-5-(1,3-oxazol-2-yl)benzoyl][3-(trifluoromethyl)benzyl]amino}-2-hydroxypropylcarbamate., MS (MH^+) 773.

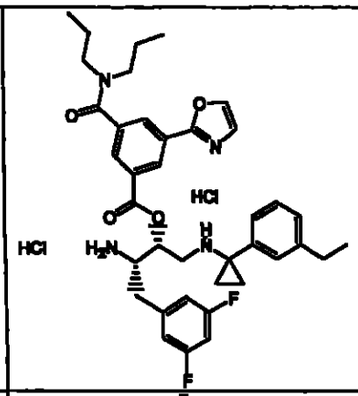
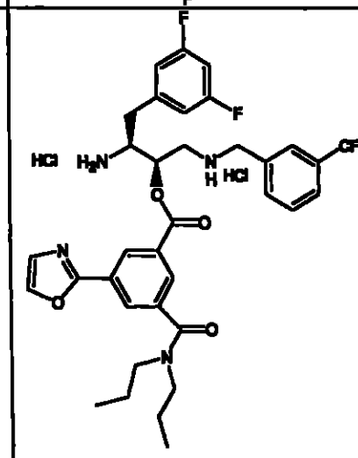
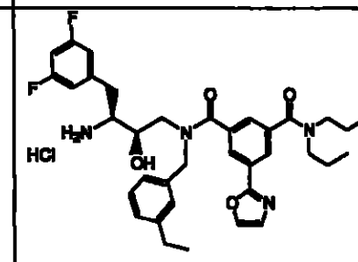
tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-3-{[3-[(dipropylamino)carbonyl]-5-(1,3-oxazol-2-yl)benzoyl][3-(trifluoromethyl)benzyl]amino}-2-hydroxypropylcarbamate (226 mg, 0.29 mmole) is dissolved in hydrochloric acid/dioxane (4N, 2 ml) is stirred for 20 minutes at 20-25°. The solvent is then removed under reduced pressure and the crude material purified by reverse phase HPLC using a gradient solvent of acetonitrile/water with 0.5% trifluoroacetic acid. The trifluoroacetic acid salt obtained is converted to the hydrochloric salt by treatment with HCl in methanol(1.25 M, 5 mL). Concentration under reduced pressure gives the title compound, MS (MH^+) 673.

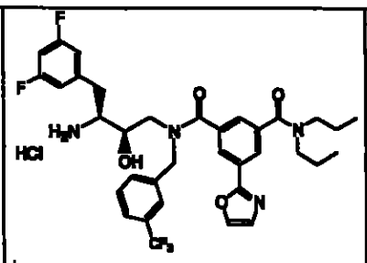
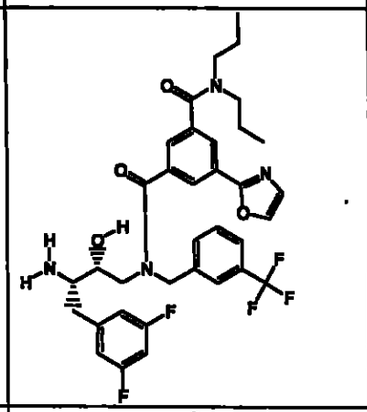
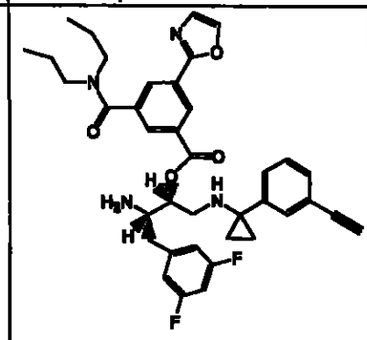
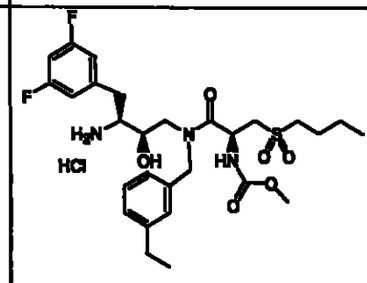
The following compounds are prepared essentially according to the procedures described in the schemes, charts, examples and preparations set forth herein.

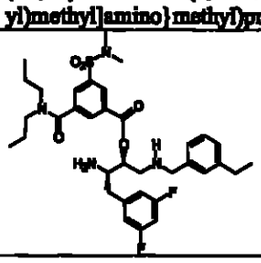
Comp #	Structure	Compound Name(s)	[M+H]+
9		N^1-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-3-(butylsulfonyl)-N^1-(3-ethylbenzyl)-D-alaninamide dihydrochloride	526

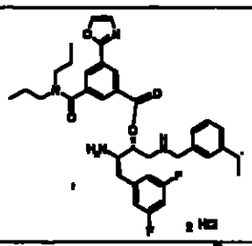
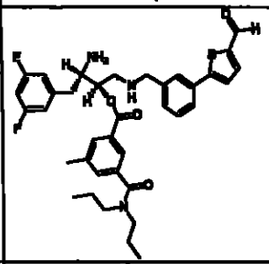
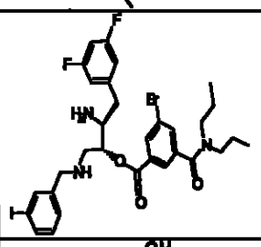
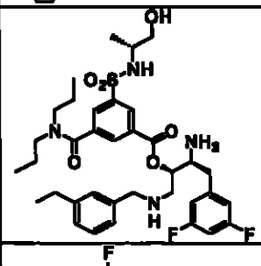
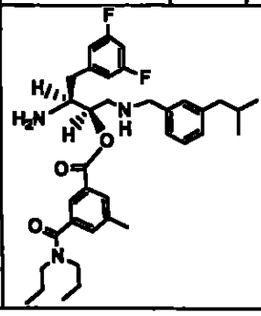
10		N^1-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N^1-(3-ethylbenzyl)-N^2[(benzyloxy)carbonyl]-3-[(1-propylbutyl)sulfonyl]-D-alaninamide trifluoroacetate	702
11		N^1-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N^1-(3-ethylbenzyl)-3-[(1-propylbutyl)sulfonyl]-D-alaninamide hydrochloride	568
12		N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)-2-[(methylsulfonyl)amino]-1,3-thiazole-5-carboxamide	539
13		N^1-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N^1-(3-ethylbenzyl)-3-[(1-propylbutyl)sulfonyl]-L-alaninamide bis(trifluoroacetate)	568

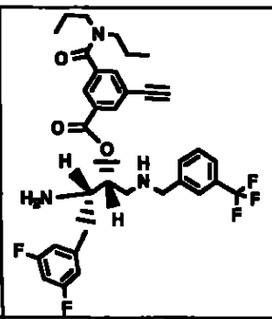
14		N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-3-(butylsulfonyl)-N-(3-ethylbenzyl)propanamide hydrochloride	511
15		(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(butylsulfonyl)propanoate dihydrochloride	511
16		(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-(1,3-oxazol-2-yl)benzoate dihydrochloride	633

17		(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-({[1-(3-ethylphenyl)cyclopropyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-(1,3-oxazol-2-yl)benzoate dihydrochloride	659
18		(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-({[3-(trifluoromethyl)benzyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-(1,3-oxazol-2-yl)benzoate dihydrochloride	673
19		N^1-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N\(u)1\(d)-(3-ethylbenzyl)-5-(1,3-oxazol-2-yl)-N^3,N^3-dipropylisophthalamide hydrochloride	633

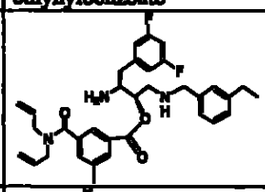
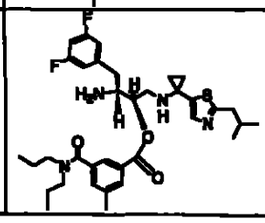
20		N^1-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-(1,3-oxazol-2-yl)-N^3,N^3-dipropyl-N^1-[3-(trifluoromethyl)benzyl]isophthalamide hydrochloride	673
21		N^1-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-(1,3-oxazol-2-yl)-N^3,N^3-dipropyl-N^1-[3-(trifluoromethyl)benzyl]isophthalamide	673
22		(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-({[1-(3-ethynylphenyl)cyclopropyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-(1,3-oxazol-2-yl)benzoate	655
23		N^1-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-3-(butylsulfonyl)-N^1-(3-ethylbenzyl)-N^2[(methoxy)carbonyl]-D-alaninamide dihydrochloride	584

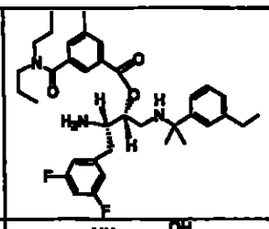
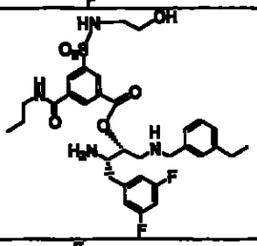
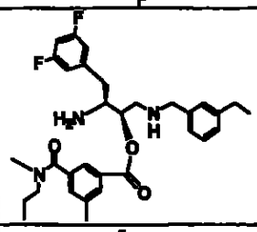
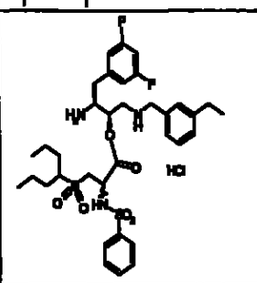
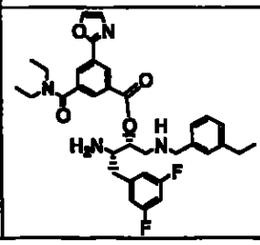
24	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-{[(2-hydroxyethyl)amino]sulfonyl}benzoate	
26	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[(2-isobutyl-1,3-thiazol-5-yl)methyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-ethynylbenzoate	
28	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-isopropylbenzyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-ethynylbenzoate	
30	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-isopropylbenzyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-(1,3-oxazol-2-yl)benzoate	
32	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-{[(2-hydroxy-1,1-dimethylethyl)amino]sulfonyl}benzoate	
34	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-(4-methyl-1,3-oxazol-2-yl)benzoate	
36	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[(2-isobutyl-1,3-thiazol-5-yl)methyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-(1,3-oxazol-2-yl)benzoate	
38	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-{[(3-hydroxypropyl)amino]sulfonyl}benzoate hydrochloride	
40	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-propylbenzyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate	
42	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-{[butyl(methyl)amino]carbonyl}-5-methylbenzoate	
44	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethynylbenzyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-ethynylbenzoate	
46	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[(3-isobutylisoxazol-5-yl)methyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-ethynylbenzoate	
48		(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(dimethylamino)sulfonyl]-5-[(dipropylamino)carbonyl]benzoate

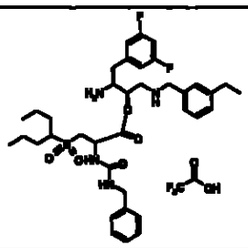
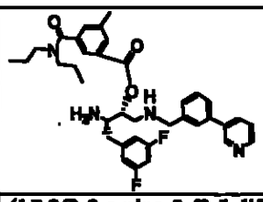
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52		(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[3-(5-formyl-2-thienyl)benzyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
54		(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-iodobenzyl)amino]methyl}propyl 3-bromo-5-[(dipropylamino)carbonyl]benzoate
56		(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-({[(1*R*)-2-hydroxy-1-methylethyl]amino}sulfonyl)benzoate
58		(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-isobutylbenzyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate

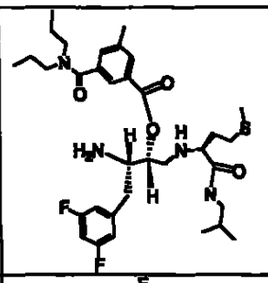
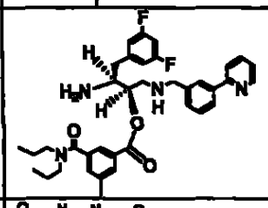
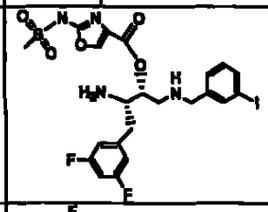
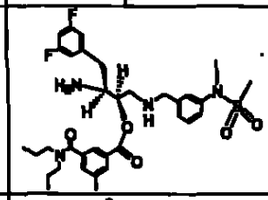
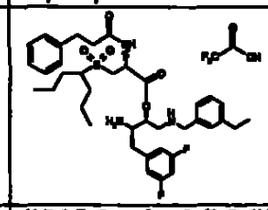
60		(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[3-(trifluoromethyl)benzyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-ethynylbenzoate
62	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-{[(2*R*)-2-(methoxymethyl)pyrrolidin-1-yl]carbonyl}-5-methylbenzoate hydrochloride	
64	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-({[(1*S*)-2-hydroxy-1-methylethyl]amino}sulfonyl)benzoate	
66	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-{[butyl(propyl)amino]carbonyl}-5-methylbenzoate	
68	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(dibutylamino)carbonyl]-5-methylbenzoate	
70	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[3-(3-hydroxyprop-1-yn-1-yl)benzyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate	
72	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-{[(2*S*)-2-(hydroxymethyl)pyrrolidin-1-yl]sulfonyl}benzoate	
74	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-{[butyl(ethyl)amino]carbonyl}-5-methylbenzoate	
76	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethynylbenzyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-(1,3-oxazol-2-yl)benzoate	
78	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-{[cyclohexyl(methyl)amino]carbonyl}-5-methylbenzoate	
80	(1*R*,2*S*)-2-amino-1-({[3-(cyclopropylamino)benzyl]amino}methyl)-3-(3,5-difluorophenyl)propyl 3-[(dipropylamino)carbonyl]-5-ethynylbenzoate	
82	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[3-(3-thienyl)benzyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate	
84	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[3-(trifluoromethyl)benzyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-(1,3-oxazol-2-yl)benzoate	
86	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-(piperazin-1-ylsulfonyl)benzoate dihydrochloride	
88	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[1-(3-	

	iodophenyl)cyclopropyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
90	(1*R*,2*S*)-2-amino-1-{[(3-*sec*-butylbenzyl)amino]methyl}-3-(3,5-difluorophenyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
92	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-(3-methylisoxazol-4-yl)benzoate hydrochloride
94	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[1-(3-isobutylisoxazol-5-yl)cyclopropyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-(1,3-oxazol-2-yl)benzoate
96	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[1-(3-ethylphenyl)cyclopropyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-(1,3-oxazol-2-yl)benzoate
98	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 2-[(dipropylamino)carbonyl]-6-methylisonicotinate
100	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-{[(cyclopropylmethyl)(propyl)amino]carbonyl}-5-methylbenzoate
102	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-methoxybenzyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-(1,3-oxazol-2-yl)benzoate
104	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[1-(3-ethynylphenyl)cyclopropyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-(1,3-oxazol-2-yl)benzoate
106	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(aminosulfonyl)-5-[(dipropylamino)carbonyl]benzoate
108	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-[({3-[(1*Z*)-prop-1-en-1-yl]benzyl}amino)methyl]propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
110	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-(1*H*-pyrazol-4-yl)benzoate hydrochloride
112	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[1-(3-ethylphenyl)-1-methylethyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-ethynylbenzoate
114	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[3-(trifluoromethyl)benzyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
116	(1*R*,2*S*)-1-{[(3-allylbenzyl)amino]methyl}-2-amino-3-(3,5-difluorophenyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
118	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[1-(3-ethylphenyl)cyclopropyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
120	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[1-(3-ethylphenyl)-1-methylethyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-(1,3-oxazol-2-yl)benzoate
122	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-

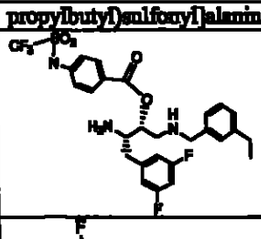
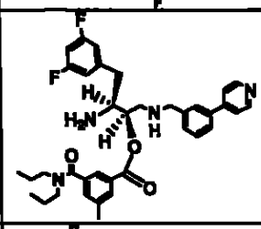
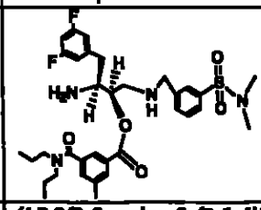
	ethylbenzyl)amino]methyl}propyl 3-{[ethyl(propyl)amino]carbonyl}-5-methylbenzoate	
124	(1*R*,2*S*)-2-amino-1-({[3-(cyclopropylamino)benzyl]amino}methyl)-3-(3,5-difluorophenyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate	
126	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[1-(3-ethynylphenyl)cyclopropyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-ethynylbenzoate	
128	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[1-(3-isobutylisoxazol-5-yl)cyclopropyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate	
130	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[3-(5-formyl-4-methyl-2-thienyl)benzyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate	
132	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-isopropylbenzyl)amino]methyl}propyl 5-[(dipropylamino)carbonyl]nicotinate	
134	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-[({3-[(methylsulfonyl)amino]benzyl}amino)methyl]propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate	
136	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(butylamino)carbonyl]-5-methylbenzoate	
138	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[3-(3-methylbutyl)benzyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate	
140	(1*R*,2*S*)-2-amino-1-{[(biphenyl-3-ylmethyl)amino]methyl}-3-(3,5-difluorophenyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate	
142	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[1-(3-ethynylphenyl)cyclopropyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate	
144	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-({[2-(methylamino)ethyl]amino}sulfonyl)benzoate hydrochloride	
146	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[1-(3-isobutylisoxazol-5-yl)cyclopropyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-ethynylbenzoate	
148		(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(diallylamino)carbonyl]-5-methylbenzoate
150		(1*R*,2*R*)-2-amino-3-(3,5-difluorophenyl)-1-({[1-(2-isobutyl-1,3-thiazol-5-yl)cyclopropyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate

152		(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[1-(3-ethylphenyl)-1-methylethyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
154		(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-{[(2-hydroxyethyl)amino]sulfonyl}-5-[(propylamino)carbonyl]benzoate
156		(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-methyl-5-{[methyl(propyl)amino]carbonyl}benzoate
158		(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-(phenylsulfonyl)-3-[(1-propylbutyl)sulfonyl]alaninate hydrochloride
160		(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(diethylamino)carbonyl]-5-(1,3-oxazol-2-yl)benzoate

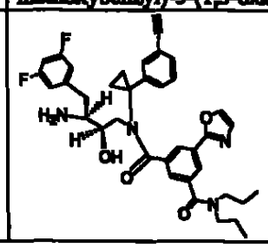
162		(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-[(benzylamino)carbonyl]-3-[(1-propylbutyl)sulfonyl]alaninate trifluoroacetate
164		(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-pyridin-3-ylbenzyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
166	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 5-[(dipropylamino)carbonyl]nicotinate 1-oxide	
168	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[3-(3-formyl-2-furyl)benzyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate	
170	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-(1-methyl-1*H*-imidazol-2-yl)benzoate	
172	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(diethylamino)carbonyl]-5-methylbenzoate	
174	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[3-(ethylsulfinyl)benzyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate	
176	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-{[butyl(ethyl)amino]sulfonyl}propanoate	
178	(1*R*,2*S*)-2-amino-1-{[(3-cyanobenzyl)amino]methyl}-3-(3,5-difluorophenyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate	
180	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(1-propylbutyl)sulfonyl]propanoate hydrochloride	
182	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-{[isobutyl(methyl)amino]carbonyl}-5-methylbenzoate	

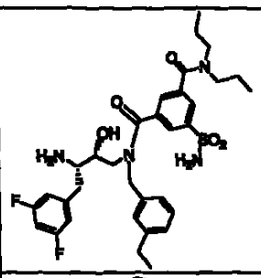
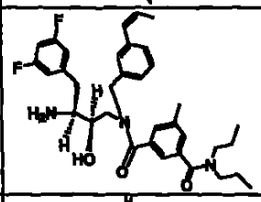
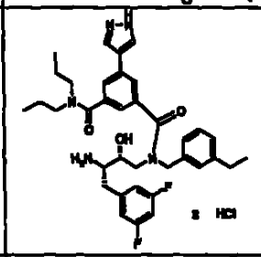
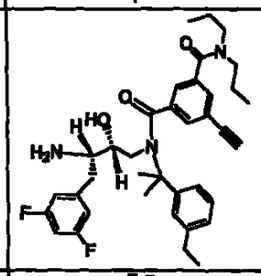
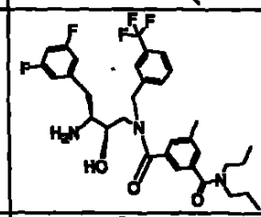
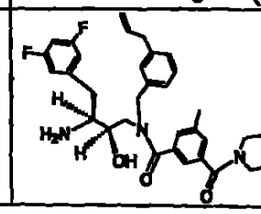
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186		(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-pyridin-2-ylbenzyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
188		(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-iodobenzyl)amino]methyl}propyl 2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxylate
190		(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-[({3-[methyl(methylsulfonyl)amino]benzyl}amino)methyl]propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
192		(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-(3-phenylpropanoyl)-3-[(1-propylbutyl)sulfonyl]alaninate trifluoroacetate
194	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[3-(ethylsulfonyl)benzyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate .	
196	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-[(5-chloro-2-thienyl)sulfonyl]-3-[(1-propylbutyl)sulfonyl]alaninate hydrochloride	
198	(1*R*,2*S*)-1-({[3-(5-acetyl-2-thienyl)benzyl]amino}methyl)-2-amino-3-(3,5-difluorophenyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate	
200	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(*sec*-butylamino)carbonyl]-5-	

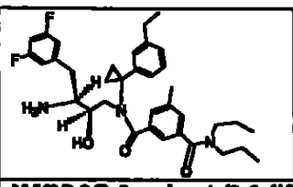
	methylbenzoate
202	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(1,3-oxazol-2-yl)benzoate hydrochloride
204	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-methyl-5-{[methyl(2-phenylethyl)amino]carbonyl}benzoate
206	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[3-(3,5-dimethylisoxazol-4-yl)benzyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
208	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-methyl-5-{[methyl(prop-2-yn-1-yl)amino]carbonyl}benzoate
210	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-{[ethyl(methyl)amino]carbonyl}-5-methylbenzoate
212	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-{[(dimethylamino)carbonyl]oxy}benzyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
214	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-{[benzyl(methyl)amino]carbonyl}-5-methylbenzoate
216	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-{[sec-butyl(propyl)amino]carbonyl}-5-methylbenzoate
218	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[3-(4-methyl-2-thienyl)benzyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
220	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-[({3-[(methoxycarbonyl)(methyl)amino]benzyl}amino)methyl]propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
222	(1*R*,2*S*)-2-amino-1-({[3-(trifluoromethyl)benzyl]amino}methyl)-3-(2,3,5-trifluorophenyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
224	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(diisobutylamino)carbonyl]-5-methylbenzoate
226	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-methyl-5-{[methyl(2-pyridin-2-ylethyl)amino]carbonyl}benzoate
228	(1*R*,2*S*)-2-amino-3-(3-fluoro-5-hydroxyphenyl)-1-{[(3-methoxybenzyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate hydrochloride
230	(1*R*,2*S*)-2-amino-3-(3-chloro-5-fluorophenyl)-1-{[(3-methoxybenzyl)amino]methyl}propyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate
232	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-iodobenzyl)amino]methyl}propyl 4-hydroxy-3-(pyrrolidin-1-ylcarbonyl)benzoate
234	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 5-oxo-D-prolyl-3-[(1-

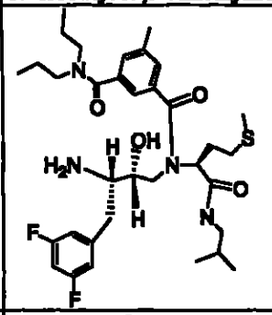
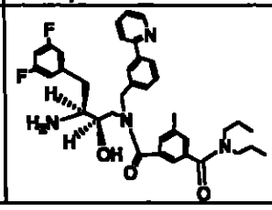
	propylbutyl]sulfonyl]alaninate hydrochloride	
236		
238		(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-pyridin-4-ylbenzyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
240		(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-[({3-[(dimethylamino)sulfonyl]benzyl}amino)methyl]propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
242	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(6-methoxy-1,2,3,4-tetrahydronaphthalen-1-yl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate	
244	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-(phenylacetyl)-3-[(1-propylbutyl)sulfonyl]alaninate	
246	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(azepan-1-ylcarbonyl)-5-methylbenzoate	
248	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-[({3-[(methoxycarbonyl)amino]benzyl}amino)methyl]propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate	
250	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 5-oxo-*L*-prolyl-3-[(1-propylbutyl)sulfonyl]alaninate hydrochloride	
252	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(isobutylamino)carbonyl]-5-methylbenzoate	
254	4-[((1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl)oxy]-4-oxo-3-{[(1-propylbutyl)sulfonyl]methyl}butanoic acid trifluoroacetate	
256	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[methyl(methylsulfonyl)amino]benzoate	
25	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-5-{[(2-hydroxyethyl)amino]sulfonyl}-*N'*,*N'*-dipropylisophthalamide	
27	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-ethynyl-*N*-[(2-	

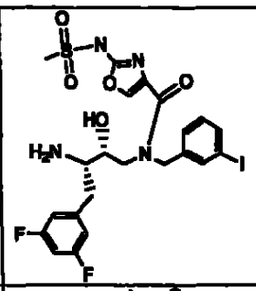
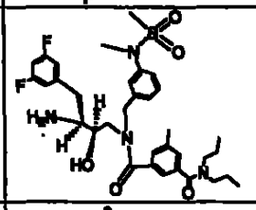
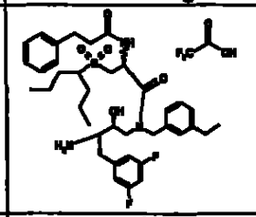
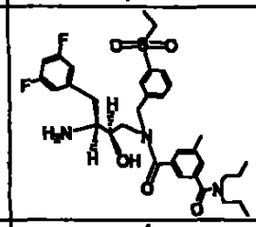
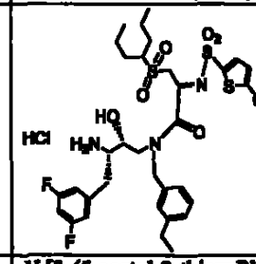
	isobutyl-1,3-thiazol-5-yl)methyl]-*N',N'*-dipropylisophthalamide
29	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-ethynyl-*N*-(3-isopropylbenzyl)-*N',N'*-dipropylisophthalamide
31	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-isopropylbenzyl)-5-(1,3-oxazol-2-yl)-*N',N'*-dipropylisophthalamide
33	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-5-{[(2-hydroxy-1,1-dimethylethyl)amino]sulfonyl}-*N',N'*-dipropylisophthalamide
35	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-5-(4-methyl-1,3-oxazol-2-yl)-*N',N'*-dipropylisophthalamide
37	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-[(2-isobutyl-1,3-thiazol-5-yl)methyl]-5-(1,3-oxazol-2-yl)-*N',N'*-dipropylisophthalamide
39	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-5-{[(3-hydroxypropyl)amino]sulfonyl}-*N',N'*-dipropylisophthalamide hydrochloride
41	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-methyl-*N',N'*-dipropyl-*N*-(3-propylbenzyl)isophthalamide
43	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N'*-butyl-*N*-(3-ethylbenzyl)-*N'*,5-dimethylisophthalamide
45	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-ethynyl-*N*-(3-ethynylbenzyl)-*N',N'*-dipropylisophthalamide
47	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-ethynyl-*N*-[(3-isobutylisoxazol-5-yl)methyl]-*N',N'*-dipropylisophthalamide
49	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-[(dimethylamino)sulfonyl]-*N*-(3-ethylbenzyl)-*N',N'*-dipropylisophthalamide
51	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-5-(1,3-oxazol-2-yl)-*N',N'*-dipropylisophthalamide hydrochloride
53	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-[3-(5-formyl-2-thienyl)benzyl]-5-methyl-*N',N'*-dipropylisophthalamide
55	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-bromo-*N*-(3-iodobenzyl)-*N',N'*-dipropylisophthalamide
57	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-5-({[(1*R*)-2-hydroxy-1-methylethyl]amino}sulfonyl)-*N',N'*-dipropylisophthalamide
59	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-isobutylbenzyl)-5-methyl-*N',N'*-dipropylisophthalamide
61	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-ethynyl-*N',N'*-dipropyl-*N*-[3-(trifluoromethyl)benzyl]isophthalamide
63	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-3-{[(2*R*)-2-(methoxymethyl)pyrrolidin-1-yl]carbonyl}-5-methylbenzamide hydrochloride
65	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-5-({[(1*S*)-2-hydroxy-1-methylethyl]amino}sulfonyl)-*N',N'*-dipropylisophthalamide
67	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N'*-butyl-*N*-(3-ethylbenzyl)-5-methyl-*N'*-propylisophthalamide
69	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N',N'*-dibutyl-*N*-(3-ethylbenzyl)-5-methylisophthalamide

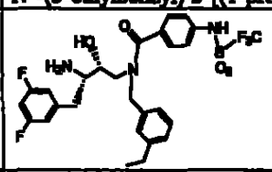
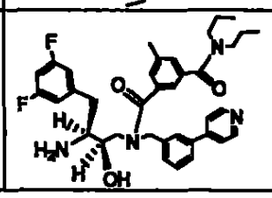
71	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-[3-(3-hydroxyprop-1-yn-1-yl)benzyl]-5-methyl-*N'*,*N'*-dipropylisophthalamide	
73	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-5-{[(2*S*)-2-(hydroxymethyl)pyrrolidin-1-yl]sulfonyl}-*N'*,*N'*-dipropylisophthalamide	
75	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N'*-butyl-*N'*-ethyl-*N*-(3-ethylbenzyl)-5-methylisophthalamide	
77	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethynylbenzyl)-5-(1,3-oxazol-2-yl)-*N'*,*N'*-dipropylisophthalamide	
79	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N'*-cyclohexyl-*N*-(3-ethylbenzyl)-*N'*,5-dimethylisophthalamide	
81	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-[3-(cyclopropylamino)benzyl]-5-ethynyl-*N'*,*N'*-dipropylisophthalamide	
83	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-methyl-*N'*,*N'*-dipropyl-*N*-[3-(3-thienyl)benzyl]isophthalamide	
85	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-(1,3-oxazol-2-yl)-*N'*,*N'*-dipropyl-*N*-[3-(trifluoromethyl)benzyl]isophthalamide	
87	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-5-(piperazin-1-ylsulfonyl)-*N'*,*N'*-dipropylisophthalamide dihydrochloride	
89	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-[1-(3-iodophenyl)cyclopropyl]-5-methyl-*N'*,*N'*-dipropylisophthalamide	
91	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-*sec*-butylbenzyl)-5-methyl-*N'*,*N'*-dipropylisophthalamide	
93	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-5-(3-methylisoxazol-4-yl)-*N'*,*N'*-dipropylisophthalamide hydrochloride	
95	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-[1-(3-isobutylisoxazol-5-yl)cyclopropyl]-5-(1,3-oxazol-2-yl)-*N'*,*N'*-dipropylisophthalamide	
97	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-[1-(3-ethylphenyl)cyclopropyl]-5-(1,3-oxazol-2-yl)-*N'*,*N'*-dipropylisophthalamide	
99	*N*^{5}-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*^{5}-(3-ethylbenzyl)-6-methyl-*N*^{3},*N*^{3}-dipropylpyridine-2,4-dicarboxamide	
101	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N'*-(cyclopropylmethyl)-*N*-(3-ethylbenzyl)-5-methyl-*N'*-propylisophthalamide	
103	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-methoxybenzyl)-5-(1,3-oxazol-2-yl)-*N'*,*N'*-dipropylisophthalamide	
105		*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-[1-(3-ethynylphenyl)cyclopropyl]-5-(1,3-oxazol-2-yl)-*N'*,*N'*-dipropylisophthalamide

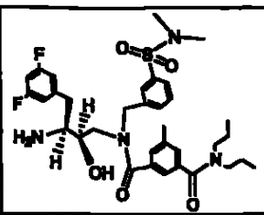
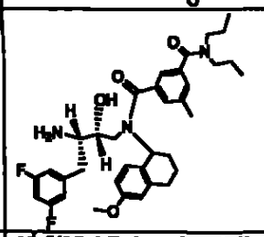
107		*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-(aminosulfonyl)-*N*-(3-ethylbenzyl)-*N'*,*N'*-dipropylisophthalamide
109		*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-methyl-*N*-{3-[(1*Z*)-prop-1-en-1-yl]benzyl}-*N'*,*N'*-dipropylisophthalamide
111		*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-*N'*,*N'*-dipropyl-5-(1*H*-pyrazol-4-yl)isophthalamide dihydrochloride
113		*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-[1-(3-ethylphenyl)-1-methylethyl]-5-ethynyl-*N'*,*N'*-dipropylisophthalamide
115		*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-methyl-*N'*,*N'*-dipropyl-*N*-[3-(trifluoromethyl)benzyl]isophthalamide
117		*N*-(3-allylbenzyl)-*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-methyl-*N'*,*N'*-dipropylisophthalamide

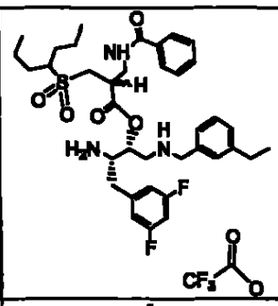
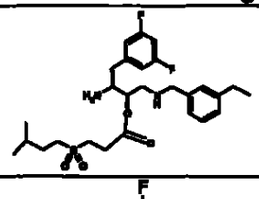
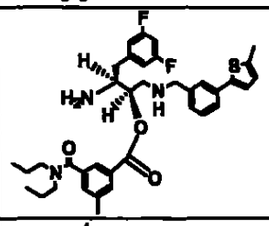
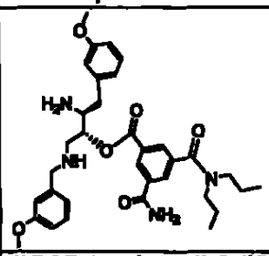
119		*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-[1-(3-ethylphenyl)cyclopropyl]-5-methyl-*N'*,*N'*-dipropylisophthalamide
121	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-[1-(3-ethylphenyl)-1-methylethyl]-5-(1,3-oxazol-2-yl)-*N'*,*N'*-dipropylisophthalamide	
123	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N'*-ethyl-*N*-(3-ethylbenzyl)-5-methyl-*N'*-propylisophthalamide	
125	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-[3-(cyclopropylamino)benzyl]-5-methyl-*N'*,*N'*-dipropylisophthalamide	
127	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-ethynyl-*N*-[1-(3-ethynylphenyl)cyclopropyl]-*N'*,*N'*-dipropylisophthalamide	
129	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-[1-(3-isobutylisoxazol-5-yl)cyclopropyl]-5-methyl-*N'*,*N'*-dipropylisophthalamide	
131	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-[3-(5-formyl-4-methyl-2-thienyl)benzyl]-5-methyl-*N'*,*N'*-dipropylisophthalamide	
133	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-isopropylbenzyl)-*N'*,*N'*-dipropylpyridine-3,5-dicarboxamide	
135	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-methyl-*N*-{3-[(methylsulfonyl)amino]benzyl}-*N'*,*N'*-dipropylisophthalamide	
137	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N'*-butyl-*N*-(3-ethylbenzyl)-5-methylisophthalamide	
139	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-methyl-*N*-[3-(3-methylbutyl)benzyl]-*N'*,*N'*-dipropylisophthalamide	
141	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(biphenyl-3-ylmethyl)-5-methyl-*N'*,*N'*-dipropylisophthalamide	
143	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-[1-(3-ethynylphenyl)cyclopropyl]-5-methyl-*N'*,*N'*-dipropylisophthalamide	
145	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-5-({[2-(methylamino)ethyl]amino}sulfonyl)-*N'*,*N'*-dipropylisophthalamide hydrochloride	
147	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-ethynyl-*N*-[1-(3-isobutylisoxazol-5-yl)cyclopropyl]-*N'*,*N'*-dipropylisophthalamide	
149	*N*,*N*-diallyl-*N'*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N'*-(3-ethylbenzyl)-5-methylisophthalamide	
151	*N*-[(2*R*,3*R*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-[1-(2-isobutyl-1,3-thiazol-5-yl)cyclopropyl]-5-methyl-*N'*,*N'*-dipropylisophthalamide	
153	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-[1-(3-ethylphenyl)-1-methylethyl]-5-methyl-*N'*,*N'*-dipropylisophthalamide	
155	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-5-{[(2-hydroxyethyl)amino]sulfonyl}-*N'*-propylisophthalamide	
157	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-*N'*,5-dimethyl-*N'*-propylisophthalamide	
159	*N*^{1}-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*^{1}-(3-ethylbenzyl)-*N*^{2}-(phenylsulfonyl)-3-[(1-propylbutyl)sulfonyl]alaninamide	

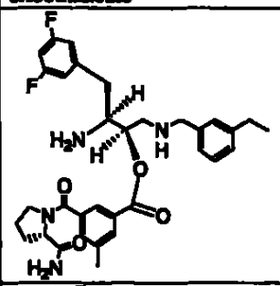
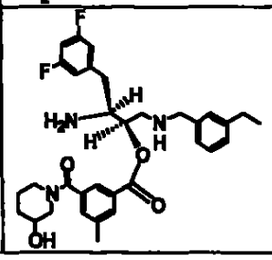
	hydrochloride	
161	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N'*,*N'*-diethyl-*N*-(3-ethylbenzyl)-5-(1,3-oxazol-2-yl)isophthalamide	
163	*N*^{1}-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*^{2}-[(benzylamino)carbonyl]-*N*^{1}-(3-ethylbenzyl)-3-[(1-propylbutyl)sulfonyl]alaninamide trifluoroacetate (salt)	
165	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-methyl-*N'*,*N'*-dipropyl-*N*-(3-pyridin-3-ylbenzyl)isophthalamide	
167	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-*N'*,*N'*-dipropylpyridine-3,5-dicarboxamide 1-oxide	
169	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N'*-ethyl-*N*-[3-(3-furoyl-2-furyl)benzyl]-5-methyl-*N'*-propylisophthalamide	
171	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-5-(1-methyl-1*H*-imidazol-2-yl)-*N'*,*N'*-dipropylisophthalamide	
173	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N'*,*N'*-diethyl-*N*-(3-ethylbenzyl)-5-methylisophthalamide	
175	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-[3-(ethylsulfinyl)benzyl]-5-methyl-*N'*,*N'*-dipropylisophthalamide	
177	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-3-{[butyl(ethyl)amino]sulfonyl}-*N*-(3-ethylbenzyl)propanamide	
179	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-cyanobenzyl)-5-methyl-*N'*,*N'*-dipropylisophthalamide	
181	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-3-[(1-propylbutyl)sulfonyl]propanamide hydrochloride	
183	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-*N'*-isobutyl-*N'*,5-dimethylisophthalamide	
185		
187		*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-methyl-*N'*,*N'*-dipropyl-*N*-(3-pyridin-2-ylbenzyl)isophthalamide

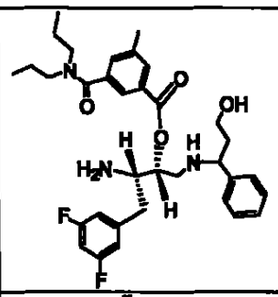
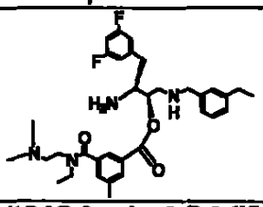
189		*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-iodobenzyl)-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide
191		*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-methyl-*N*-{3-[methyl(methylsulfonyl)amino]benzyl}-*N*',*N*'-dipropylisophthalamide
193		*N*'-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*'-(3-ethylbenzyl)-*N*^{2}-(3-phenylpropanoyl)-3-[(1-propylbutyl)sulfonyl]alaninamide trifluoroacetate (salt)
195		*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-[3-(ethylsulfonyl)benzyl]-5-methyl-*N*',*N*'-dipropylisophthalamide
197		*N*'-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*^{2}-[(5-chloro-2-thienyl)sulfonyl]-*N*'-(3-ethylbenzyl)-3-[(1-propylbutyl)sulfonyl]alaninamide hydrochloride
199	*N*-[3-(5-acetyl-2-thienyl)benzyl]-*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-methyl-*N*',*N*'-dipropylisophthalamide	
201	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*'-(sec-butyl)-*N*-(3-ethylbenzyl)-5-methylisophthalamide	
203	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-3-(1,3-oxazol-2-yl)benzamide hydrochloride	

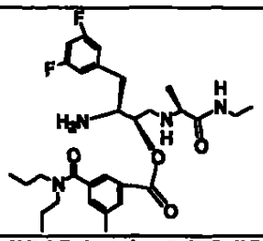
205	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-*N'*,5-dimethyl-*N'*-(2-phenylethyl)isophthalamide	
207	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-[3-(3,5-dimethylisoxazol-4-yl)benzyl]-5-methyl-*N'*,*N'*-dipropylisophthalamide	
209	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-*N'*,5-dimethyl-*N'*-prop-2-yn-1-ylisophthalamide	
211	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N'*-ethyl-*N*-(3-ethylbenzyl)-*N'*,5-dimethylisophthalamide	
213	3-[([(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]{3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)methyl]phenyl dimethylcarbamate	
215	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N'*-benzyl-*N*-(3-ethylbenzyl)-*N'*,5-dimethylisophthalamide	
217	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N'*-(*sec*-butyl)-*N*-(3-ethylbenzyl)-5-methyl-*N'*-propylisophthalamide	
219	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-methyl-*N*-[3-(4-methyl-2-thienyl)benzyl]-*N'*,*N'*-dipropylisophthalamide	
221	methyl {3-[([(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]{3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)methyl]phenyl}methylcarbamate	
223	*N*-[(2*R*,3*S*)-3-amino-2-hydroxy-4-(2,3,5-trifluorophenyl)butyl]-5-methyl-*N'*,*N'*-dipropyl-*N*-[3-(trifluoromethyl)benzyl]isophthalamide	
225	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-*N'*,*N'*-diisobutyl-5-methylisophthalamide	
227	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-*N'*,5-dimethyl-*N'*-(2-pyridin-2-ylethyl)isophthalamide	
229	*N*-[(2*R*,3*S*)-3-amino-4-(3-fluoro-5-hydroxyphenyl)-2-hydroxybutyl]-*N*-(3-methoxybenzyl)-5-methyl-*N'*,*N'*-dipropylisophthalamide hydrochloride	
231	*N*^{1}-[(2*R*,3*S*)-3-amino-4-(3-chloro-5-fluorophenyl)-2-hydroxybutyl]-*N*^{1}-(3-methoxybenzyl)-*N*^{3},*N*^{3}-dipropylbenzene-1,3,5-tricarboxamide	
233	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-4-hydroxy-*N*-(3-iodobenzyl)-3-(pyrrolidin-1-ylcarbonyl)benzamide	
235	5-oxo-D-prolyl-*N*^{1}-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*^{1}-(3-ethylbenzyl)-3-[(1-propylbutyl)sulfonyl]alaninamide hydrochloride	
237		
239		*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-methyl-*N'*,*N'*-dipropyl-*N*-(3-pyridin-4-ylbenzyl)isophthalamide

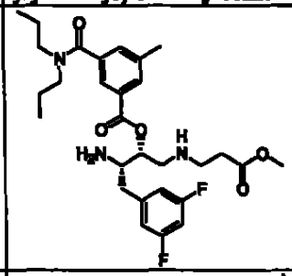
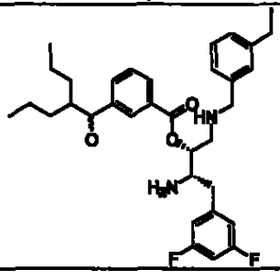
241		*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-{3-[(dimethylamino)sulfonyl]benzyl}-5-methyl-*N'*,*N'*-dipropylisophthalamide
243		*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(6-methoxy-1,2,3,4-tetrahydronaphthalen-1-yl)-5-methyl-*N'*,*N'*-dipropylisophthalamide
245	N^1-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N^1-(3-ethylbenzyl)-N^2-(phenylacetyl)-3-[(1-propylbutyl)sulfonyl]alaninamide	
247	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-3-(azepan-1-ylcarbonyl)-*N*-(3-ethylbenzyl)-5-methylbenzamide	
249	methyl {3-[([(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]{3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)methyl]phenyl}carbamate	
251	5-oxo-L-prolyl-N^1-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N^1-(3-ethylbenzyl)-3-[(1-propylbutyl)sulfonyl]alaninamide hydrochloride	
253	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-*N'*-isobutyl-5-methylisophthalamide	
255	4-[[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl](3-ethylbenzyl)amino]-4-oxo-3-{[(1-propylbutyl)sulfonyl]methyl}butanoic acid trifluoroacetate (salt)	
257	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-3-[methyl(methylsulfonyl)amino]benzamide	
258	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-{[ethyl(isopropyl)amino]carbonyl}-5-methylbenzoate	
260	(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-(2-thienyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate	
262	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-{[(2-hydroxyethyl)(propyl)amino]sulfonyl}propanoate	
264	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-{[isopropyl(methyl)amino]carbonyl}-5-methylbenzoate	
266	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 2-[(methylsulfonyl)amino]-1,3-thiazole-4-carboxylate	
268	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-{[allyl(cyclopentyl)amino]carbonyl}-5-methylbenzoate	

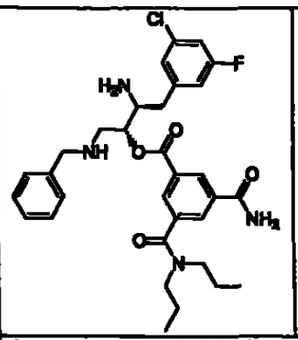
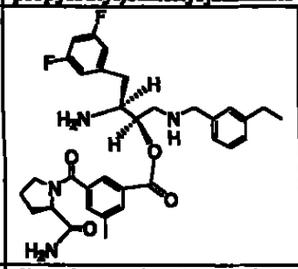
270		
272		(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(3-methylbutyl)sulfonyl]propanoate
274		(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[3-(5-methyl-2-thienyl)benzyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
276		(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-(3-methoxyphenyl)propyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate
278	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(1-methylhexyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate	
280	(1*R*,2*S*)-2-amino-1-({[1-(aminocarbonyl)cyclohexyl]amino}methyl)-3-(3,5-difluorophenyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate	
282	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(2*E*)-hex-2-en-1-ylamino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate	
284	(1*R*,2*S*)-2-amino-3-(4-fluorophenyl)-1-{[(3-methoxybenzyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate	
286	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-hydroxyisoxazole-5-carboxylate	
288	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-[({3-[(1*E*)-hex-1-en-1-yl]benzyl}amino)methyl]propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate	

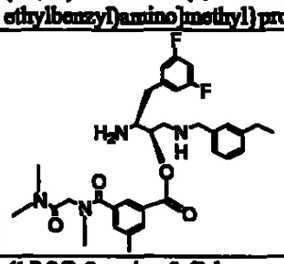
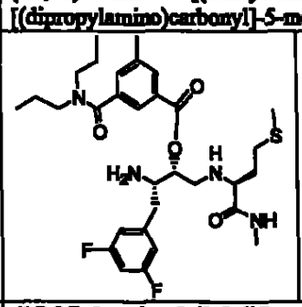
290	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(isopropylamino)carbonyl]-5-methylbenzoate	
292	(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-(2-thienyl)propyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate	
294	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-iodobenzyl)amino]methyl}propyl [3-(2-amino-2-oxoethoxy)phenyl]acetate	
296	(1*R*,2*S*)-2-amino-3-(3-bromophenyl)-1-{[(3-methoxybenzyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate	
298	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(2-ethylhexyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate	
300	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[3-(6-methoxypyridin-3-yl)benzyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate	
302	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[3-(2,4-dimethoxypyrimidin-5-yl)benzyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate	
304	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(2-ethylbutanoyl)benzoate	
306	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(4-hydroxypiperidin-1-yl)carbonyl]-5-methylbenzoate	
308	(1*R*,2*S*)-2-amino-3-(3-bromophenyl)-1-{[(3-methoxybenzyl)amino]methyl}propyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate	
310	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-iodobenzyl)amino]methyl}propyl 4-[2'-(aminocarbonyl)biphenyl-4-yl]-4-oxobutanoate	
312		
314		(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(3-hydroxypiperidin-1-yl)carbonyl]-5-methylbenzoate

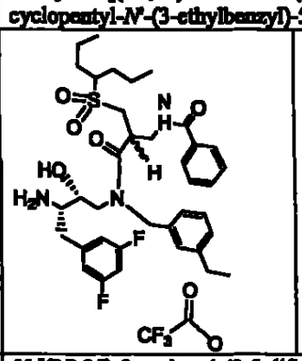
316		(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-hydroxy-1-phenylpropyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
318		(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-{[[2-(dimethylamino)ethyl](ethyl)amino]carbonyl}-5-methylbenzoate
320	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4-methyl-4*H*,6*H*-pyrrolo[1,2-*a*][4,1]benzoxazepine-4-carboxylate	
322	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl (5-acetyl-2-thienyl)acetate	
324	(1*R*,2*S*)-2-amino-3-(3,5-dichlorophenyl)-1-{[(3-methoxybenzyl)amino]methyl}propyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate	
326	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(diisopropylamino)carbonyl]-5-methylbenzoate	
328	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(methylsulfonyl)amino]benzoate	
330	(1*R*,2*S*)-2-amino-3-(4-chlorophenyl)-1-{[(3-methoxybenzyl)amino]methyl}propyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate	
332	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-iodobenzyl)amino]methyl}propyl [4-(2-oxopyrrolidin-1-yl)phenyl]acetate	
334	(1*R*,2*S*)-2-amino-3-(3-chloro-5-fluorophenyl)-1-{[(3-methoxybenzyl)amino]methyl}propyl 3-[(dipropylamino)sulfonyl]propanoate	
336	(1*R*,2*S*)-2-amino-3-(3-chloro-5-fluorophenyl)-1-{[(3-methylbutyl)amino]methyl}propyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate	

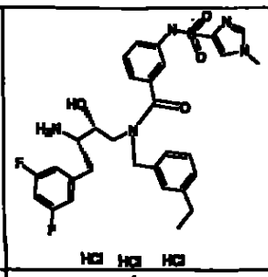
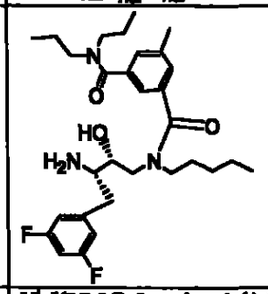
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340	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-{[(1-methyl-1*H*-imidazol-4-yl)sulfonyl]amino}benzoate trihydrochloride	
342	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-[(pentylamino)methyl]propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate	
344	(1*R*,2*S*)-2-amino-3-(4-fluorophenyl)-1-{[(3-methoxybenzyl)amino]methyl}propyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate	
346	(1*R*,2*S*)-2-amino-1-[(benzylamino)methyl]-3-(3-chloro-5-fluorophenyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate	
348	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-{[cyclohexyl(ethyl)amino]carbonyl}-5-methylbenzoate	
350	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[2-({[(2,4-difluorophenyl)amino]carbonyl}oxy)ethyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate	
352	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-{[(2*S*)-2-(methoxymethyl)pyrrolidin-1-yl]carbonyl}-5-methylbenzoate hydrochloride	
354	(1*R*,2*S*)-2-amino-3-(3-fluoro-4-methylphenyl)-1-{[(3-methoxybenzyl)amino]methyl}propyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate	
356	(1*R*,2*S*)-2-amino-3-(3-bromophenyl)-1-{[(3-methylbutyl)amino]methyl}propyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate	
358	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 2,8-dimethylquinoline-3-carboxylate	
360	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(6-hydroxyhexyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate	
362	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[(2*R*)-2-hydroxypropyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate	
364	(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl 3-[(1-propylbutyl)sulfonyl]propanoate	
366	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-{[(2-hydroxy-1,1-dimethylethyl)amino]sulfonyl}benzoate	
368	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(4-phenylbutyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate	

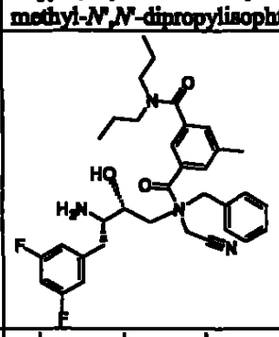
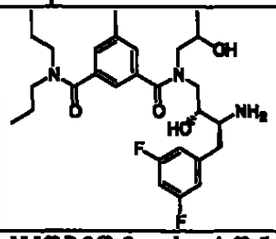
370	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-iodobenzyl)amino]methyl}propyl 7-(1*H*-imidazol-1-yl)-5,6-dihydronaphthalene-2-carboxylate	
372	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(acetylamino)-4-methylbenzoate	
374	(1*R*,2*S*)-2-amino-1-({[2-(aminosulfonyl)ethyl]amino}methyl)-3-(3,5-difluorophenyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate	
376	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[2-(ethylthio)ethyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate	
378	(1*R*,2*S*)-2-amino-3-cyclohexyl-1-{[(3-methoxybenzyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate	
380	(1*R*,2*S*)-2-amino-1-{[benzyl(cyanomethyl)amino]methyl}-3-(3,5-difluorophenyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate	
382	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(2-hydroxypropyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate	
384	(1*R*,2*S*)-2-amino-1-{[(3-butoxypropyl)amino]methyl}-3-(3,5-difluorophenyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate	
386	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-{[2-(2-hydroxyethyl)piperidin-1-yl]carbonyl}-5-methylbenzoate	
388		
390		(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(1-hydroxy-2-propylpentyl)benzoate

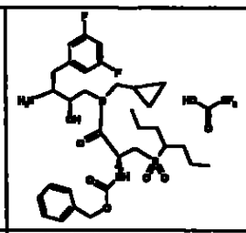
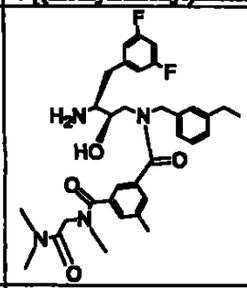
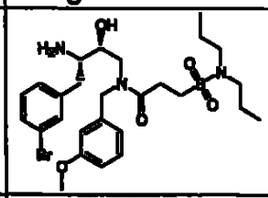
392		(1*R*,2*S*)-2-amino-1-[(benzylamino)methyl]-3-(3-chloro-5-fluorophenyl)propyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate
394	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4-[(methylsulfonyl)amino]butanoate trifluoroacetate	
396	(1*R*,2*S*)-2-amino-1-({[3-(1-benzothien-2-yl)benzyl]amino}methyl)-3-(3,5-difluorophenyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate	
398	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(benzyloxy)isoxazole-5-carboxylate	
400	(1*R*,2*S*)-2-amino-1-{[(cyclopropylmethyl)amino]methyl}-3-(3,5-difluorophenyl)propyl *N*-[(benzyloxy)carbonyl]-3-[(1-propylbutyl)sulfonyl]alaninate trifluoroacetate	
402		
404	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 5-(1*H*-pyrazol-1-yl)pentanoate	
406	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 1-(2-furylmethyl)-5-oxopyrrolidine-3-carboxylate	
408	(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl 2-ethylhexanoate hydrochloride	
410	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(5-hydroxypentyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate	
412	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-methoxybenzyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]piperidine-1-carboxylate	
414	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-methoxybenzyl)amino]methyl}propyl 3-[(diethylamino)carbonyl]piperidine-1-carboxylate	
416	(1*R*,2*S*)-2-amino-3-(pentafluorophenyl)-1-{[3-	

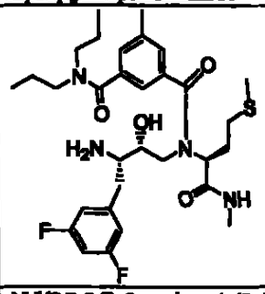
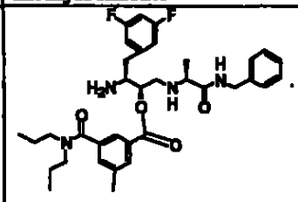
	(trifluoromethyl)benzyl]amino}methyl)propyl 3-bromo-5-[(dipropylamino)carbonyl]benzoate
418	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4-[(methylsulfonyl)amino]benzoate
420	
422	(1*R*,2*S*)-2-amino-3-(3-bromophenyl)-1-{[(3-methoxybenzyl)amino]methyl}propyl 3-[(dipropylamino)sulfonyl]propanoate
424	(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-(2-thienyl)propyl 3-[(dipropylamino)sulfonyl]propanoate
426	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethoxypropyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
428	(1*R*,2*S*)-2-amino-1-[(benzylamino)methyl]-3-(2-thienyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
430	
432	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 2-hydroxy-4-(phenylsulfonyl)butanoate hydrochloride
434	(1*R*,2*S*)-2-amino-3-(3,5-dichlorophenyl)-1-{[(3-methylbutyl)amino]methyl}propyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate
436	(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-[3-(trifluoromethoxy)phenyl]propyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate
259	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*'-ethyl-*N*-(3-ethylbenzyl)-*N*'-isopropyl-5-methylisophthalamide
261	*N*-[(2*R*,3*S*)-3-amino-2-hydroxy-4-(2-thienyl)butyl]-*N*-(3-methoxybenzyl)-5-methyl-*N*',*N*'-dipropylisophthalamide
263	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-3-{[(2-hydroxyethyl)(propyl)amino]sulfonyl}propanamide
265	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-*N*'-isopropyl-*N*',5-dimethylisophthalamide

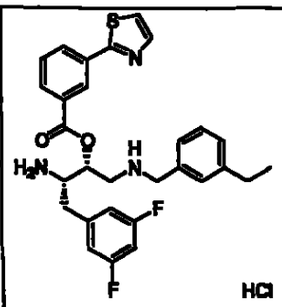
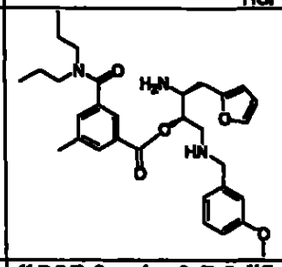
267	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-2-[(methylsulfonyl)amino]-1,3-thiazole-4-carboxamide
269	*N*-allyl-*N'*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-cyclopentyl-*N'*-(3-ethylbenzyl)-5-methylisophthalamide
271	
273	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-3-[(3-methylbutyl)sulfonyl]propanamide
275	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-methyl-*N*-[3-(5-methyl-2-thienyl)benzyl]-*N'*,*N'*-dipropylisophthalamide
277	*N*^{1}-[(2*R*,3*S*)-3-amino-2-hydroxy-4-(3-methoxyphenyl)butyl]-*N*^{1}-(3-methoxybenzyl)-*N*^{3},*N*^{3}-dipropylbenzene-1,3,5-tricarboxamide
279	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-methyl-*N*-(1-methylhexyl)-*N'*,*N'*-dipropylisophthalamide
281	*N*-[1-(aminocarbonyl)cyclohexyl]-*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-methyl-*N'*,*N'*-dipropylisophthalamide
283	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-[(2*E*)-hex-2-en-1-yl]-5-methyl-*N'*,*N'*-dipropylisophthalamide
285	*N*-[(2*R*,3*S*)-3-amino-4-(4-fluorophenyl)-2-hydroxybutyl]-*N*-(3-methoxybenzyl)-5-methyl-*N'*,*N'*-dipropylisophthalamide
287	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-3-hydroxyisoxazole-5-carboxamide
289	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-{3-[(1*E*)-hex-1-en-1-yl]benzyl}-5-methyl-*N'*,*N'*-dipropylisophthalamide
291	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-*N'*-isopropyl-5-methylisophthalamide
293	*N*^{1}-[(2*R*,3*S*)-3-amino-2-hydroxy-4-(2-thienyl)butyl]-*N*^{1}-(3-methoxybenzyl)-*N*^{3},*N*^{3}-dipropylbenzene-1,3,5-tricarboxamide
295	2-(3-{2-[[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl](3-iodobenzyl)amino]-2-oxoethyl}phenoxy)acetamide
297	*N*-[(2*R*,3*S*)-3-amino-4-(3-bromophenyl)-2-hydroxybutyl]-*N*-(3-methoxybenzyl)-5-methyl-*N'*,*N'*-dipropylisophthalamide
299	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(2-ethylhexyl)-5-methyl-*N'*,*N'*-dipropylisophthalamide
301	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-[3-(6-methoxypyridin-3-yl)benzyl]-5-methyl-*N'*,*N'*-dipropylisophthalamide
303	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-[3-(2,4-dimethoxypyrimidin-5-yl)benzyl]-5-methyl-*N'*,*N'*-dipropylisophthalamide

341		*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-3-{[(1-methyl-1*H*-imidazol-4-yl)sulfonyl]amino}benzamide trihydrochloride
343		*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-methyl-*N*-pentyl-*N'*,*N'*-dipropylisophthalamide
345	N^1-[(2*R*,3*S*)-3-amino-4-(4-fluorophenyl)-2-hydroxybutyl]-N^1-(3-methoxybenzyl)-N^3,N^3-dipropylbenzene-1,3,5-tricarboxamide	
347	*N*-[(2*R*,3*S*)-3-amino-4-(3-chloro-5-fluorophenyl)-2-hydroxybutyl]-*N*-benzyl-5-methyl-*N'*,*N'*-dipropylisophthalamide	
349	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N'*-cyclohexyl-*N'*-ethyl-*N*-(3-ethylbenzyl)-5-methylisophthalamide	
351	2-([(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]{3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)ethyl (2,4-difluorophenyl)carbamate	
353	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-3-{[(2*S*)-2-(methoxymethyl)pyrrolidin-1-yl]carbonyl}-5-methylbenzamide hydrochloride	
355	N^1-[(2*R*,3*S*)-3-amino-4-(3-fluoro-4-methylphenyl)-2-hydroxybutyl]-N^1-(3-methoxybenzyl)-N^3,N^3-dipropylbenzene-1,3,5-tricarboxamide	
357	N^1-[(2*R*,3*S*)-3-amino-4-(3-bromophenyl)-2-hydroxybutyl]-N^1-(3-methylbutyl)-N^3,N^3-dipropylbenzene-1,3,5-tricarboxamide	
359	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-2,8-dimethylquinoline-3-carboxamide	
361	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(6-hydroxyhexyl)-5-methyl-*N'*,*N'*-dipropylisophthalamide	
363	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-[(2*R*)-2-hydroxypropyl]-5-methyl-*N'*,*N'*-dipropylisophthalamide	
365	*N*-[(2*R*,3*S*)-3-amino-2-hydroxy-4-phenylbutyl]-*N*-(3-methoxybenzyl)-3-[(1-propylbutyl)sulfonyl]propanamide	
367	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-3-{[(2-hydroxy-1,1-dimethylethyl)amino]sulfonyl}benzamide	
369	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-methyl-*N*-(4-phenylbutyl)-*N'*,*N'*-dipropylisophthalamide	

371	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-7-(1*H*-imidazol-1-yl)-*N*-(3-iodobenzyl)-5,6-dihydronaphthalene-2-carboxamide	
373	3-(acetylamino)-*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-4-methylbenzamide	
375	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-[2-(aminosulfonyl)ethyl]-5-methyl-*N'*,*N'*-dipropylisophthalamide	
377	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-[2-(ethylthio)ethyl]-5-methyl-*N'*,*N'*-dipropylisophthalamide	
379	*N*-[(2*R*,3*S*)-3-amino-4-cyclohexyl-2-hydroxybutyl]-*N*-(3-methoxybenzyl)-5-methyl-*N'*,*N'*-dipropylisophthalamide	
381		
383		*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(2-hydroxypropyl)-5-methyl-*N'*,*N'*-dipropylisophthalamide
385	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-butoxypropyl)-5-methyl-*N'*,*N'*-dipropylisophthalamide	
387	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-3-{[2-(2-hydroxyethyl)piperidin-1-yl]carbonyl}-5-methylbenzamide	
389	methyl *N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-{3-[(dipropylamino)carbonyl]-5-methylbenzoyl}-β-alaninate	
391	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-3-(1-hydroxy-2-propylpentyl)benzamide	
393	N^1-[(2*R*,3*S*)-3-amino-4-(3-chloro-5-fluorophenyl)-2-hydroxybutyl]-N^1-benzyl-N^3,N^3-dipropylbenzene-1,3,5-tricarboxamide	
395	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-4-[(methylsulfonyl)amino]butanamide trifluoroacetate (salt)	
397	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-[3-(1-benzothien-2-yl)benzyl]-5-methyl-*N'*,*N'*-dipropylisophthalamide	
399	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-3-(benzyloxy)-*N*-(3-ethylbenzyl)isoxazole-5-carboxamide	

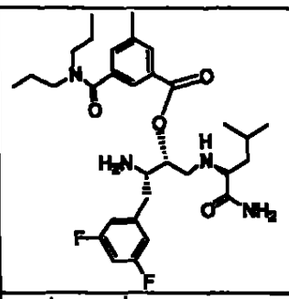
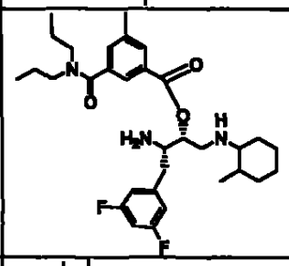
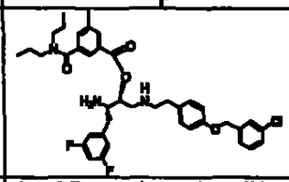
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403	1-(3-{[[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl](3-ethylbenzyl)amino]carbonyl}-5-methylbenzoyl)-D-prolinamide	
405	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-5-(1*H*-pyrazol-1-yl)pentanamide	
407	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-1-(2-furylmethyl)-5-oxopyrrolidine-3-carboxamide	
409	*N*-[(2*R*,3*S*)-3-amino-2-hydroxy-4-phenylbutyl]-2-ethyl-*N*-(3-methoxybenzyl)hexanamide hydrochloride	
411	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(5-hydroxypentyl)-5-methyl-*N*',*N*'-dipropylisophthalamide	
413	N^1-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N^1-(3-methoxybenzyl)-N^3,N^3-dipropylpiperidine-1,3-dicarboxamide	
415	N^1-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N^3,N^3-diethyl-N^1-(3-methoxybenzyl)piperidine-1,3-dicarboxamide	
417	*N*-[(2*R*,3*S*)-3-amino-2-hydroxy-4-(pentafluorophenyl)butyl]-5-bromo-*N*',*N*'-dipropyl-*N*-[3-(trifluoromethyl)benzyl]isophthalamide	
419	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-4-[(methylsulfonyl)amino]benzamide	
421		
423		*N*-[(2*R*,3*S*)-3-amino-4-(3-bromophenyl)-2-hydroxybutyl]-3-[(dipropylamino)sulfonyl]-*N*-(3-methoxybenzyl)propanamide
425	*N*-[(2*R*,3*S*)-3-amino-2-hydroxy-4-(2-thienyl)butyl]-3-[(dipropylamino)sulfonyl]-*N*-(3-methoxybenzyl)propanamide	
427	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethoxypropyl)-5-methyl-*N*',*N*'-dipropylisophthalamide	
429	*N*-[(2*R*,3*S*)-3-amino-2-hydroxy-4-(2-thienyl)butyl]-*N*-benzyl-5-methyl-*N*',*N*'-	

	dipropyliisophthalamide
431	
433	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-2-hydroxy-4-(phenylsulfonyl)butanamide hydrochloride
435	N^1-[(2*R*,3*S*)-3-amino-4-(3,5-dichlorophenyl)-2-hydroxybutyl]-N^1-(3-methylbutyl)-N^3,N^3-dipropylbenzene-1,3,5-tricarboxamide
437	N^1-{(2*R*,3*S*)-3-amino-2-hydroxy-4-[3-(trifluoromethoxy)phenyl]butyl}-N^1-(3-methoxybenzyl)-N^3,N^3-dipropylbenzene-1,3,5-tricarboxamide
438	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3,3-dimethylbutyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
440	(1*R*,2*S*)-2-amino-1-[(benzylamino)methyl]-3-(3-bromophenyl)propyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate
442	(1*R*,2*S*)-2-amino-3-(3-chloro-5-fluorophenyl)-1-{[(3-methylbutyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
444	
446	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(1,3-diphenylpropyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
448	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[(1*S*)-1-(hydroxymethyl)propyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]benzoate
450	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[(3*S*)-2-oxoazepan-3-yl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
452	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 5-(cyclohexylamino)-5-oxopentanoate
454	(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-(3-methylphenyl)propyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate
456	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-[(2-propylpentyl)sulfonyl]-β-alaninate trifluoroacetate

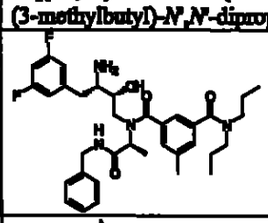
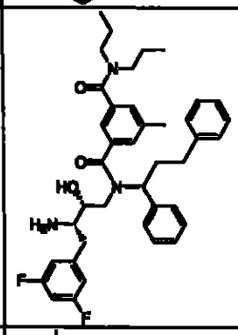
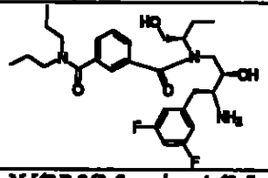
458		(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(1,3-thiazol-2-yl)benzoate dihydrochloride
460		(1*R*,2*S*)-2-amino-3-(2-furyl)-1-{[(3-methoxybenzyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
462	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{({3-[methyl(phenyl)amino]propyl}amino)methyl]propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate	
464	(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-(4-methylphenyl)propyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate	
466	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 5-oxo-1-(2-thienylmethyl)pyrrolidine-3-carboxylate	
468	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4-[(butylthio)methyl]-5-methyl-2-furoate	
470	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-{[(2-hydroxyethyl)amino]sulfonyl}benzoate	
472	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-[3-(trifluoromethyl)benzoyl]glycinate	
474	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-methylcyclohexyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate	
476	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4-(2-oxo-1,3-oxazolidin-3-yl)benzoate	
478	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4-(1*H*-pyrrol-1-yl)benzoate	
480	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(6-methoxy-1,2,3,4-tetrahydronaphthalen-1-yl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate	
482	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-	

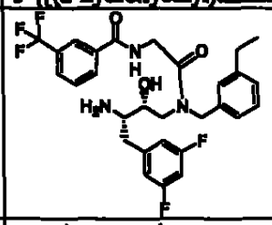
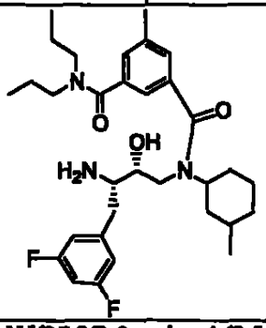
	ethylbenzyl)amino]methyl}propyl 1,3,4,5-tetrahydrothiopyrano[4,3-*b*]indole-8-carboxylate
484	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4-oxo-4-{[2-(trifluoromethyl)phenyl]amino}butanoate
486	(1*R*,2*S*)-2-amino-3-(3-bromophenyl)-1-{[(3-methylbutyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
488	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4,5-dimethyl-2-(1*H*-pyrrol-1-yl)thiophene-3-carboxylate
490	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(2,3-dihydroxypropyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
492	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[(2*S*)-2-hydroxypropyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
494	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[(1*R*)-1-methylpropyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
496	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 2-chloro-4-(methylsulfonyl)benzoate
498	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(2-hydroxyethyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
500	(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-(3-methoxyphenyl)propyl 3-[(dipropylamino)sulfonyl]propanoate
502	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-{methyl[(trifluoromethyl)sulfonyl]amino}benzoate hydrochloride
504	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-hydroxy-6-(1-hydroxy-2,2-dimethylpropyl)pyridine-2-carboxylate
506	(1*R*,2*S*)-2-amino-1-{[(1,3-dicyclohexylpropyl)amino]methyl}-3-(3,5-difluorophenyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
508	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 2,2'-bithiophene-5-carboxylate
510	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4-(1*H*-imidazol-1-yl)butanoate
512	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 2,3-dihydroxy-4-[(4-methoxyphenyl)amino]-4-oxobutanoate
514	(1*R*,2*S*)-2-amino-1-[(benzylamino)methyl]-3-(4-hydroxyphenyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
516	(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-[3-(trifluoromethyl)phenyl]propyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate
518	(1*R*,2*S*)-2-amino-1-[(benzylamino)methyl]-3-(2-thienyl)propyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate

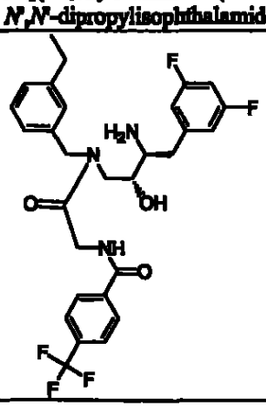
520	(1*R*,2*S*)-2-amino-1-({[2-(aminocarbonyl)-1*H*-indol-6-yl]amino}methyl)-3-(3,5-difluorophenyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
522	(1*R*,2*S*)-2-amino-1-[(benzylamino)methyl]-3-(3-bromophenyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
524	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-[4-(trifluoromethyl)benzoyl]glycinate
526	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 2-(1-oxo-1,3-dihydro-2*H*-isoindol-2-yl)butanoate
528	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-(3,4-dichlorobenzoyl)glycinate
530	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-chloro-4-(methylsulfonyl)thiophene-2-carboxylate
532	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(1-ethylpropyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
534	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{({[(5*R*)-3-ethyl-2-oxo-1,3-oxazolidin-5-yl]methyl}amino)methyl]propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
536	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 5-methyl-7-(trifluoromethyl)pyrazolo[1,5-*a*]pyrimidine-2-carboxylate
538	(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl *N*-[(methylthio)acetyl]-3-[(1-propylbutyl)sulfonyl]alaninate hydrochloride
540	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(2,3-dimethylcyclohexyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
542	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4,5-dimethoxy-1-benzothiophene-2-carboxylate
544	(1*R*,2*S*)-2-amino-3-[3-fluoro-5-(trifluoromethyl)phenyl]-1-{[(3-methylbutyl)amino]methyl}propyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate
546	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-[({[(5*S*)-3-ethyl-2-oxo-1,3-oxazolidin-5-yl]methyl}amino)methyl]propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
548	(1*R*,2*S*)-2-amino-3-(1,3-benzodioxol-5-yl)-1-{[(3-methoxybenzyl)amino]methyl}propyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate
550	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4-(3,5-dioxo-1,2,4-triazolidin-4-yl)benzoate
552	(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl 2-hydroxy-3-[(3-methoxyphenyl)sulfonyl]propanoate hydrochloride

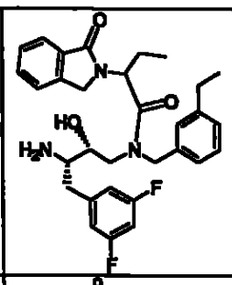
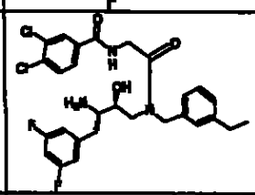
554		
556		(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(2-methylcyclohexyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
558		(1*R*,2*S*)-2-amino-1-{[(2-{4-[(3-chlorobenzyl)oxy]phenyl}ethyl)amino]methyl}-3-(3,5-difluorophenyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
560	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 2-hydroxy-4-oxo-4-(3-thienyl)butanoate	
562	(1*R*,2*S*)-2-amino-3-[3-(benzyloxy)-5-fluorophenyl]-1-{[(3-methoxybenzyl)amino]methyl}propyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate	
564	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 2-hydroxy-4-oxo-4-[3-(trifluoromethyl)phenyl]butanoate	
566	(1*R*,2*S*)-2-amino-1-{[(3-methylbutyl)amino]methyl}-3-[3-(trifluoromethoxy)phenyl]propyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate	
568	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[1-(hydroxymethyl)-3-(methylthio)propyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate	
570	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 2-(1*H*-1,2,3-benzotriazol-1-yl)hexanoate	
572	(1*R*,2*S*)-2-amino-3-(3-fluoro-4-methylphenyl)-1-{[(3-methylbutyl)amino]methyl}propyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate	
574	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(4,4-dimethyl-2,5-dioxoimidazolidin-1-yl)-2-{[(1-propylbutyl)sulfonyl]methyl}propanoate	

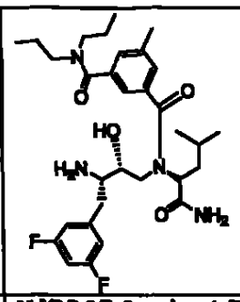
576	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4-{[(trifluoromethyl)sulfonyl]amino}butanoate trifluoroacetate
578	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl (5-methyl-1,3-dioxo-1,3-dihydro-2*H*-isoindol-2-yl)acetate
580	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-hydroxypropyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
582	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[1-(hydroxymethyl)propyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
584	(1*R*,2*S*)-2-amino-1-[(benzylamino)methyl]-3-(3,5-dichlorophenyl)propyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate
586	(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl 3-{[(2-hydroxyethyl)(propyl)amino]sulfonyl}propanoate hydrochloride
588	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 5-(benzylthio)nicotinate
590	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 1*H*-pyrazole-5-carboxylate
592	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 6-chloro-3-methyl-2-oxo-2,3-dihydro-1,3-benzoxazole-5-carboxylate
594	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 1*H*-benzimidazole-2-carboxylate
596	(1*R*,2*S*)-2-amino-3-cyclohexyl-1-{[(3-methoxybenzyl)amino]methyl}propyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate
598	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 6-hydroxy-4,7-dimethoxy-1-benzofuran-5-carboxylate
600	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(4-methylcyclohexyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
602	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl [1,2,4]triazolo[4,3-*a*]pyridine-6-carboxylate
604	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 2-hydroxy-4-oxo-4-(2-thienyl)butanoate
606	(1*R*,2*S*)-2-amino-1-[(benzylamino)methyl]-3-(3,5-dichlorophenyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
608	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4-(2-hydroxy-5-methylphenyl)-4-oxobutanoate
610	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-phenoxybenzoate
612	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4-[(aminocarbonyl)amino]benzoate
614	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[(1*S*)-1-(hydroxymethyl)-3-(methylthio)propyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-

	methylbenzoate
616	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 7-hydroxy-4-oxochromane-2-carboxylate
618	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[(1*S*)-1-(hydroxymethyl)-3-methylbutyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
620	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[(1*R*)-1-(hydroxymethyl)propyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]benzoate
622	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(1-methyl-3-phenylpropyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
439	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3,3-dimethylbutyl)-5-methyl-*N*',*N*'-dipropylisophthalamide
441	*N*^{1}-[(2*R*,3*S*)-3-amino-4-(3-bromophenyl)-2-hydroxybutyl]-*N*^{1}-benzyl-*N*^{3},*N*^{3}-dipropylbenzene-1,3,5-tricarboxamide
443	*N*-[(2*R*,3*S*)-3-amino-4-(3-chloro-5-fluorophenyl)-2-hydroxybutyl]-5-methyl-*N*-(3-methylbutyl)-*N*',*N*'-dipropylisophthalamide
445	
447	 *N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(1,3-diphenylpropyl)-5-methyl-*N*',*N*'-dipropylisophthalamide
449	 *N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-[(1*S*)-1-(hydroxymethyl)propyl]-*N*',*N*'-dipropylisophthalamide
451	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-methyl-*N*-[(3*S*)-2-oxoazepan-3-yl]-*N*',*N*'-dipropylisophthalamide
453	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*'-cyclohexyl-*N*-(3-ethylbenzyl)pentanediamide
455	*N*^{1}-[(2*R*,3*S*)-3-amino-2-hydroxy-4-(3-methylphenyl)butyl]-*N*^{1}-(3-methoxybenzyl)-*N*^{3},*N*^{3}-dipropylbenzene-1,3,5-tricarboxamide

457	N^1-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N^1-(3-ethylbenzyl)-N^3-[(2-propylpentyl)sulfonyl]- β-alaninamide trifluoroacetate (salt)
459	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-3-(1,3-thiazol-2-yl)benzamide dihydrochloride
461	*N*-[(2*R*,3*S*)-3-amino-4-(2-furyl)-2-hydroxybutyl]-*N*-(3-methoxybenzyl)-5-methyl-*N'*,*N'*-dipropylisophthalamide
463	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-methyl-*N*-{3-[methyl(phenyl)amino]propyl}-*N'*,*N'*-dipropylisophthalamide
465	N^1-[(2*R*,3*S*)-3-amino-2-hydroxy-4-(4-methylphenyl)butyl]-N^1-(3-methoxybenzyl)-N^3,N^3-dipropylbenzene-1,3,5-tricarboxamide
467	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-5-oxo-1-(2-thienylmethyl)pyrrolidine-3-carboxamide
469	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-4-[(butylthio)methyl]-*N*-(3-ethylbenzyl)-5-methyl-2-furamide
471	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-3-{[(2-hydroxyethyl)amino]sulfonyl}benzamide
473	
475	 *N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-methyl-*N*-(3-methylcyclohexyl)-*N'*,*N'*-dipropylisophthalamide
477	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-4-(2-oxo-1,3-oxazolidin-3-yl)benzamide
479	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-4-(1*H*-pyrrol-1-yl)benzamide
481	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(6-methoxy-1,2,3,4-tetrahydronaphthalen-1-yl)-5-methyl-*N'*,*N'*-dipropylisophthalamide
483	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-1,3,4,5-tetrahydrothiopyrano[4,3-*b*]indole-8-carboxamide
485	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-*N'*-[2-(trifluoromethyl)phenyl]succinamide
487	*N*-[(2*R*,3*S*)-3-amino-4-(3-bromophenyl)-2-hydroxybutyl]-5-methyl-*N*-(3-methylbutyl)-*N'*,*N'*-dipropylisophthalamide
489	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-4,5-dimethyl-2-(1*H*-pyrrol-1-yl)thiophene-3-carboxamide

491	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(2,3-dihydroxypropyl)-5-methyl-*N'*,*N'*-dipropylisophthalamide
493	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-[(2*S*)-2-hydroxypropyl]-5-methyl-*N'*,*N'*-dipropylisophthalamide
495	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-methyl-*N*-[(1*R*)-1-methylpropyl]-*N'*,*N'*-dipropylisophthalamide
497	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-2-chloro-*N*-(3-ethylbenzyl)-4-(methylsulfonyl)benzamide
499	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(2-hydroxyethyl)-5-methyl-*N'*,*N'*-dipropylisophthalamide
501	*N*-[(2*R*,3*S*)-3-amino-2-hydroxy-4-(3-methoxyphenyl)butyl]-3-[(dipropylamino)sulfonyl]-*N*-(3-methoxybenzyl)propanamide
503	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-3-{methyl[(trifluoromethyl)sulfonyl]amino}benzamide hydrochloride
505	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-3-hydroxy-6-(1-hydroxy-2,2-dimethylpropyl)pyridine-2-carboxamide
507	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(1,3-dicyclohexylpropyl)-5-methyl-*N'*,*N'*-dipropylisophthalamide
509	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-2,2'-bithiophene-5-carboxamide
511	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-4-(1*H*-imidazol-1-yl)butanamide
513	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-2,3-dihydroxy-*N'*-(4-methoxyphenyl)succinamide
515	*N*-[(2*R*,3*S*)-3-amino-2-hydroxy-4-(4-hydroxyphenyl)butyl]-*N*-benzyl-5-methyl-*N'*,*N'*-dipropylisophthalamide
517	*N*^{1}-{(2*R*,3*S*)-3-amino-2-hydroxy-4-[3-(trifluoromethyl)phenyl]butyl}-*N*^{1}-(3-methoxybenzyl)-*N*^{3},*N*^{3}-dipropylbenzene-1,3,5-tricarboxamide
519	*N*^{1}-[(2*R*,3*S*)-3-amino-2-hydroxy-4-(2-thienyl)butyl]-*N*^{1}-benzyl-*N*^{3},*N*^{3}-dipropylbenzene-1,3,5-tricarboxamide
521	*N*-[2-(aminocarbonyl)-1*H*-indol-6-yl]-*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-methyl-*N'*,*N'*-dipropylisophthalamide
523	*N*-[(2*R*,3*S*)-3-amino-4-(3-bromophenyl)-2-hydroxybutyl]-*N*-benzyl-5-methyl-*N'*,*N'*-dipropylisophthalamide
525	

527		*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-2-(1-oxo-1,3-dihydro-2*H*-isoindol-2-yl)butanamide
529		
531	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-3-chloro-*N*-(3-ethylbenzyl)-4-(methylsulfonyl)thiophene-2-carboxamide	
533	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(1-ethylpropyl)-5-methyl-*N*',*N*'-dipropylisophthalamide	
535	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-{[(5*R*)-3-ethyl-2-oxo-1,3-oxazolidin-5-yl]methyl}-5-methyl-*N*',*N*'-dipropylisophthalamide	
537	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-5-methyl-7-(trifluoromethyl)pyrazolo[1,5-*a*]pyrimidine-2-carboxamide	
539	*N*^{1}-[(2*R*,3*S*)-3-amino-2-hydroxy-4-phenylbutyl]-*N*^{1}-(3-methoxybenzyl)-*N*^{2}-[(methylthio)acetyl]-3-[(1-propylbutyl)sulfonyl]alaninamide hydrochloride	
541	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(2,3-dimethylcyclohexyl)-5-methyl-*N*',*N*'-dipropylisophthalamide	
543	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-4,5-dimethoxy-1-benzothiophene-2-carboxamide	
545	*N*^{1}-{(2*R*,3*S*)-3-amino-4-[3-fluoro-5-(trifluoromethyl)phenyl]-2-hydroxybutyl}-*N*^{1}-(3-methylbutyl)-*N*^{3},*N*^{3}-dipropylbenzene-1,3,5-tricarboxamide	
547	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-{[(5*S*)-3-ethyl-2-oxo-1,3-oxazolidin-5-yl]methyl}-5-methyl-*N*',*N*'-dipropylisophthalamide	
549	*N*^{1}-[(2*R*,3*S*)-3-amino-4-(1,3-benzodioxol-5-yl)-2-hydroxybutyl]-*N*^{3}-(3-methoxybenzyl)-*N*^{3},*N*^{5}-dipropylbenzene-1,3,5-tricarboxamide	
551	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-4-(3,5-dioxo-1,2,4-triazolidin-4-yl)-*N*-(3-ethylbenzyl)benzamide	
553	*N*-[(2*R*,3*S*)-3-amino-2-hydroxy-4-phenylbutyl]-2-hydroxy-*N*-(3-methoxybenzyl)-3-[(3-methoxyphenyl)sulfonyl]propanamide hydrochloride	

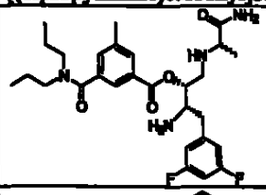
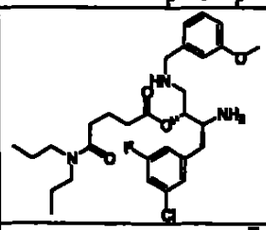
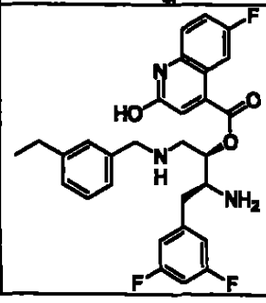
555		
557	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-methyl-*N*-(2-methylcyclohexyl)-*N*',*N*'-dipropylisophthalamide	
559	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(2-{4-[(3-chlorobenzyl)oxy]phenyl}ethyl)-5-methyl-*N*',*N*'-dipropylisophthalamide	
561	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-2-hydroxy-4-oxo-4-(3-thienyl)butanamide	
563	N^1-{(2*R*,3*S*)-3-amino-4-[3-(benzyloxy)-5-fluorophenyl]-2-hydroxybutyl}-N^3-(3-methoxybenzyl)-N^3,N^3-dipropylbenzene-1,3,5-tricarboxamide	
565	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-2-hydroxy-4-oxo-4-[3-(trifluoromethyl)phenyl]butanamide	
567	N^1-{(2*R*,3*S*)-3-amino-2-hydroxy-4-[3-(trifluoromethoxy)phenyl]butyl}-N^1-(3-methylbutyl)-N^3,N^3-dipropylbenzene-1,3,5-tricarboxamide	
569	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-[1-(hydroxymethyl)-3-(methylthio)propyl]-5-methyl-*N*',*N*'-dipropylisophthalamide	
571	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-2-(1*H*-1,2,3-benzotriazol-1-yl)-*N*-(3-ethylbenzyl)hexanamide	
573	N^1-[(2*R*,3*S*)-3-amino-4-(3-fluoro-4-methylphenyl)-2-hydroxybutyl]-N^3-(3-methylbutyl)-N^3,N^3-dipropylbenzene-1,3,5-tricarboxamide	
575	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-3-(4,4-dimethyl-2,5-dioxoimidazolidin-1-yl)-*N*-(3-ethylbenzyl)-2-{[(1-propylbutyl)sulfonyl]methyl}propanamide	
577	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-4-{[(trifluoromethyl)sulfonyl]amino}butanamide trifluoroacetate (salt)	
579	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-2-(5-methyl-1,3-dioxo-1,3-dihydro-2*H*-isoindol-2-yl)acetamide	
581	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-hydroxypropyl)-5-methyl-*N*',*N*'-dipropylisophthalamide	
583	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-[1-(hydroxymethyl)propyl]-5-methyl-*N*',*N*'-dipropylisophthalamide	
585	N^1-[(2*R*,3*S*)-3-amino-4-(3,5-dichlorophenyl)-2-hydroxybutyl]-N^1-benzyl-N^3,N^3-dipropylbenzene-1,3,5-tricarboxamide	
587	*N*-[(2*R*,3*S*)-3-amino-2-hydroxy-4-phenylbutyl]-3-{[(2-hydroxyethyl)(propyl)amino]sulfonyl}-*N*-(3-methoxybenzyl)propanamide hydrochloride	
589	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-(benzylthio)-*N*-(3-ethylbenzyl)nicotinamide	
591	*N*-{(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-	

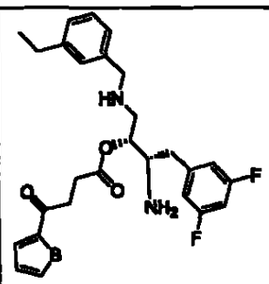
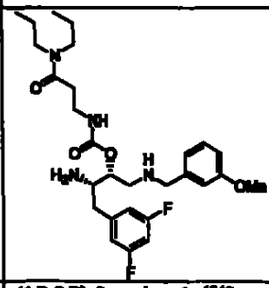
	1*H*-pyrazole-5-carboxamide
593	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-6-chloro-*N*-(3-ethylbenzyl)-3-methyl-2-oxo-2,3-dihydro-1,3-benzoxazole-5-carboxamide
595	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-1*H*-benzimidazole-2-carboxamide
597	N^1-[(2*R*,3*S*)-3-amino-4-cyclohexyl-2-hydroxybutyl]-N^1-(3-methoxybenzyl)-N^3,N^3-dipropylbenzene-1,3,5-tricarboxamide
599	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-6-hydroxy-4,7-dimethoxy-1-benzofuran-5-carboxamide
601	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-methyl-*N*-(4-methylcyclohexyl)-*N*',*N*'-dipropylisophthalamide
603	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)[1,2,4]triazolo[4,3-*a*]pyridine-6-carboxamide
605	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-2-hydroxy-4-oxo-4-(2-thienyl)butanamide
607	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-dichlorophenyl)-2-hydroxybutyl]-*N*-benzyl-5-methyl-*N*',*N*'-dipropylisophthalamide
609	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-4-(2-hydroxy-5-methylphenyl)-4-oxobutanamide
611	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-3-phenoxybenzamide
613	4-[(aminocarbonyl)amino]-*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)benzamide
615	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-[(1*S*)-1-(hydroxymethyl)-3-(methylthio)propyl]-5-methyl-*N*',*N*'-dipropylisophthalamide
617	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-7-hydroxy-4-oxochromane-2-carboxamide
619	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-[(1*S*)-1-(hydroxymethyl)-3-methylbutyl]-5-methyl-*N*',*N*'-dipropylisophthalamide
621	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-[(1*R*)-1-(hydroxymethyl)propyl]-*N*',*N*'-dipropylisophthalamide
623	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-methyl-*N*-(1-methyl-3-phenylpropyl)-*N*',*N*'-dipropylisophthalamide
624	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 2-(2,3-dihydro-1-benzofuran-5-yl)-1,3-thiazole-4-carboxylate
626	(1*R*,2*S*)-2-amino-3-[3-(benzyloxy)phenyl]-1-{[(3-methoxybenzyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
628	(1*R*,2*S*)-2-amino-3-(4-chlorophenyl)-1-{[(3-methoxybenzyl)amino]methyl}propyl 3-[(dipropylamino)sulfonyl]propanoate
630	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-oxo-3-(pentylamino)propanoate
632	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(trifluoromethoxy)benzoate
634	(1*R*,2*S*)-2-amino-3-(3-fluoro-4-methylphenyl)-1-{[(3-methoxybenzyl)amino]methyl}propyl 3-[(dipropylamino)sulfonyl]propanoate
636	(1*R*,2*S*)-2-amino-3-(3-chloro-5-fluorophenyl)-1-{[(3-

	methylbutyl)amino]methyl}propyl 3-[(dipropylamino)sulfonyl]propanoate
638	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(4,4-dimethyl-2,5-dioxoimidazolidin-1-yl)-2-{[(1-propylbutyl)sulfonyl]methyl}propanoate
640	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4-{[4-(acetylamino)phenyl]amino}-4-oxobutanoate
642	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(1-cyanoethyl)benzoate
644	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4-oxo-4-[(5-phenyl-1,3,4-thiadiazol-2-yl)amino]butanoate
646	(1*R*,2*S*)-2-amino-1-[(benzylamino)methyl]-3-[3-(trifluoromethoxy)phenyl]propyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate
648	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[2-(2-oxo-2-pyrrolidin-1-ylethoxy)phenyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
650	(1*R*,2*S*)-2-amino-3-(4-chlorophenyl)-1-{[(3-methylbutyl)amino]methyl}propyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate
652	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl (1,1-dioxidotetrahydro-2-thienyl)acetate
654	(1*R*,2*S*)-2-amino-1-[(benzylamino)methyl]-3-(4-chlorophenyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
656	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 5-hex-1-yn-1-ylnicotinate
658	(1*R*,2*S*)-2-amino-3-(3-bromophenyl)-1-{[(3-methylbutyl)amino]methyl}propyl 3-[(dipropylamino)sulfonyl]propanoate
660	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-methoxyisoxazole-5-carboxylate
662	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 2,3-dimethyl-1*H*-indole-7-carboxylate
664	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4-(3-chlorophenyl)-2-hydroxy-4-oxobutanoate
666	(1*R*,2*S*)-2-amino-3-(3-fluoro-4-methoxyphenyl)-1-{[(3-methoxybenzyl)amino]methyl}propyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate
668	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl (1-methyl-1*H*-indol-3-yl)(oxo)acetate
670	(1*R*,2*S*)-2-amino-3-(3-fluoro-4-methylphenyl)-1-{[(3-methylbutyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
672	(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-(4-methylphenyl)propyl 3-[(dipropylamino)sulfonyl]propanoate
674	(1*R*,2*S*)-2-amino-1-[(benzylamino)methyl]-3-(3-fluoro-4-methylphenyl)propyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate
676	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-

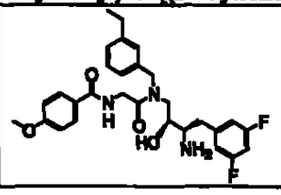
	ethylbenzyl)amino]methyl}propyl [5-(4-methylphenyl)-2*H*-tetrazol-2-yl]acetate
678	(1*R*,2*S*)-2-amino-3-(3,5-dichlorophenyl)-1-{[(3-methoxybenzyl)amino]methyl}propyl 3-[(dipropylamino)sulfonyl]propanoate
680	(1*R*,2*S*)-2-amino-1-{[(3-methylbutyl)amino]methyl}-3-(2-thienyl)propyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate
682	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 5-methyl-3-phenylisoxazole-4-carboxylate
684	(1*R*,2*S*)-2-amino-1-[(benzylamino)methyl]-3-(4-fluorophenyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
686	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-[(methylsulfonyl)acetyl]-*N*-pentylglycinate
688	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-(4-methoxybenzoyl)glycinate
690	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-(2,6-difluorobenzoyl)glycinate
692	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4-(1*H*-indol-3-yl)-4-oxobutanoate
694	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4-[(5-benzyl-1,3,4-thiadiazol-2-yl)amino]-4-oxobutanoate
696	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4-(3-fluoro-4-methoxyphenyl)-4-oxobutanoate
698	ethyl 4-{[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}oxy)butyl]amino}piperidine-1-carboxylate
700	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4-(2-fluorobenzoyl)-1*H*-pyrrole-2-carboxylate
702	(1*R*,2*S*)-2-amino-1-[(benzylamino)methyl]-3-(4-chlorophenyl)propyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate
704	(1*R*,2*S*)-2-amino-1-{[(3-methylbutyl)amino]methyl}-3-[3-(trifluoromethyl)phenyl]propyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate
706	(1*R*,2*S*)-2-amino-3-(4-hydroxyphenyl)-1-{[(3-methylbutyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
708	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl (4-morpholin-4-ylphenyl)acetate
710	(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-[3-(trifluoromethoxy)phenyl]propyl 3-[(dipropylamino)sulfonyl]propanoate
712	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4-[benzyl(1-cyclopropylethyl)amino]-4-oxobutanoate
714	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-methoxybenzyl)amino]methyl}propyl 3-(2,5-dimethylbenzoyl)-5-methylbenzoate
716	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4-[(2-methoxy-5-methylphenyl)amino]-4-oxobutanoate

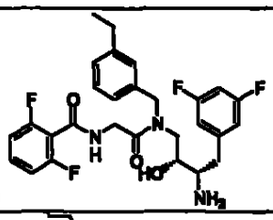
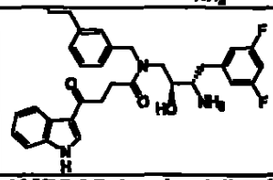
718	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl (3-hydroxyphenyl)acetate
720	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-methoxybenzyl)amino]methyl}propyl 3-[hydroxy(2-methylphenyl)methyl]-5-methylbenzoate
722	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 5-(ethylthio)nicotinate
724	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4-[4-(2-furoyl)piperazin-1-yl]-4-oxobutanoate
726	(1*R*,2*S*)-2-amino-1-[(benzylamino)methyl]-3-(3-fluoro-4-methylphenyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
728	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-oxoisoindoline-1-carboxylate
730	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(ethylthio)benzoate
732	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl thieno[2,3-*b*]quinoline-2-carboxylate
734	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(4-methyl-1,3-oxazol-2-yl)benzoate hydrochloride
736	(1*R*,2*S*)-2-amino-1-[(benzylamino)methyl]-3-(4-fluorophenyl)propyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate
738	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 2-[2-furoyl(methyl)amino]benzoate
740	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 2-hydroxy-4-(3-methoxyphenyl)-4-oxobutanoate
742	(1*R*,2*S*)-2-amino-1-[(cycloheptylamino)methyl]-3-(3,5-difluorophenyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
744	(1*R*,2*S*)-2-amino-1-{[(3-methylbutyl)amino]methyl}-3-(4-methylphenyl)propyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate
746	(1*R*,2*S*)-2-amino-3-(3-fluoro-5-hydroxyphenyl)-1-{[(3-methoxybenzyl)amino]methyl}propyl 3-[(dipropylamino)sulfonyl]propanoate hydrochloride
748	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 5-hydroxy-1*H*-indole-2-carboxylate
750	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 2,2-dimethylchromane-8-carboxylate
752	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 6-benzylpyrazine-2-carboxylate 4-oxide
754	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-methoxybenzyl)amino]methyl}propyl {2-[(dipropylamino)sulfonyl]ethyl}carbamate
756	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[1-(hydroxymethyl)-2-methylpropyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
758	(1*R*,2*S*)-2-amino-1-[(benzylamino)methyl]-3-(3-chloro-5-fluorophenyl)propyl 3-[(dipropylamino)sulfonyl]propanoate

760	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4-(4-methoxyphenyl)-4-oxobutanoate	
762	(1*R*,2*S*)-2-amino-1-[(benzylamino)methyl]-3-(4-hydroxyphenyl)propyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate	
764	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-methyl-4-oxo-3,4-dihydrophthalazine-1-carboxylate	
766	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3,4-dihydro-2*H*-1,5-benzodioxepine-7-carboxylate	
768	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl [4-(2,5-dioxopyrrolidin-1-yl)phenoxy]acetate	
770	(1*R*,2*S*)-2-amino-3-(2-furyl)-1-{[(3-methoxybenzyl)amino]methyl}propyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate	
772	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 5-methyl-4-oxo-3,4-dihydrothieno[2,3-*d*]pyrimidine-6-carboxylate	
774	(1*R*,2*S*)-2-amino-3-(1,3-benzodioxol-5-yl)-1-{[(3-methylbutyl)amino]methyl}propyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate	
776		
778		(1*R*,2*S*)-2-amino-3-(3-chloro-5-fluorophenyl)-1-{[(3-methoxybenzyl)amino]methyl}propyl 5-(dipropylamino)-5-oxopentanoate
780		(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 6-fluoro-2-hydroxyquinoline-4-carboxylate

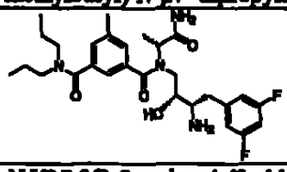
782		(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4-oxo-4-(2-thienyl)butanoate
784		
786	(1*R*,2*R*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-(phenylthio)propyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate	
788	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[(1*R*)-1-(hydroxymethyl)-2-methylpropyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate	
790	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[(1*R*,2*S*)-1-(hydroxymethyl)-2-methylbutyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate	
792	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 2-(phenoxymethyl)benzoate	
794	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 5-[(2,4-difluorophenyl)amino]-5-oxopentanoate	
796	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 5-[(4,6-dimethylpyrimidin-2-yl)amino]-5-oxopentanoate	
798	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-methoxybenzyl)amino]methyl}propyl 3-(3-methoxybenzoyl)-5-methylbenzoate	
800	(1*R*,2*S*)-2-amino-3-[3-(benzyloxy)phenyl]-1-{[(3-methoxybenzyl)amino]methyl}propyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate	
802	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4-(3,4-dichlorophenyl)-4-oxobutanoate	
804	(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-[4-(methoxycarbonyl)phenyl]propyl 3-[(dipropylamino)carbonyl]-5-	

	methylbenzoate
806	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 5-[(4-acetylphenyl)amino]-5-oxopentanoate
808	(1*R*,2*S*)-2-amino-3-[4-(benzyloxy)phenyl]-1-{[(3-methoxybenzyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
810	(1*R*,2*R*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-(phenylthio)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
812	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-({2-[(methylamino)carbonyl]phenyl}thio)propanoate
814	(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl 3-[(1-propylbutyl)thio]propanoate hydrochloride
816	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4-[(4-ethoxyphenyl)amino]-4-oxobutanoate
818	(1*R*,2*S*)-2-amino-3-[3-(benzyloxy)-5-fluorophenyl]-1-{[(3-methylbutyl)amino]methyl}propyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate
820	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[2-({[(3-methoxyphenyl)amino]carbonyl}oxy)ethyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
625	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-2-(2,3-dihydro-1-benzofuran-5-yl)-*N*-(3-ethylbenzyl)-1,3-thiazole-4-carboxamide
627	*N*-{(2*R*,3*S*)-3-amino-4-[3-(benzyloxy)phenyl]-2-hydroxybutyl}-*N*-(3-methoxybenzyl)-5-methyl-*N*',*N*'-dipropylisophthalamide
629	*N*-[(2*R*,3*S*)-3-amino-4-(4-chlorophenyl)-2-hydroxybutyl]-3-[(dipropylamino)sulfonyl]-*N*-(3-methoxybenzyl)propanamide
631	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-*N*'-pentylmalonamide
633	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-3-(trifluoromethoxy)benzamide
635	*N*-[(2*R*,3*S*)-3-amino-4-(3-fluoro-4-methylphenyl)-2-hydroxybutyl]-3-[(dipropylamino)sulfonyl]-*N*-(3-methoxybenzyl)propanamide
637	*N*-[(2*R*,3*S*)-3-amino-4-(3-chloro-5-fluorophenyl)-2-hydroxybutyl]-3-[(dipropylamino)sulfonyl]-*N*-(3-methylbutyl)propanamide
639	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-3-(4,4-dimethyl-2,5-dioxoimidazolidin-1-yl)-*N*-(3-ethylbenzyl)-2-{[(1-propylbutyl)sulfonyl]methyl}propanamide
641	*N*'-[4-(acetylamino)phenyl]-*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)succinamide
643	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-3-(1-cyanoethyl)-*N*-(3-ethylbenzyl)benzamide
645	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-*N*'-(5-phenyl-1,3,4-thiadiazol-2-yl)succinamide
647	*N*^{1}-{(2*R*,3*S*)-3-amino-2-hydroxy-4-[3-(trifluoromethoxy)phenyl]butyl}-*N*^{1}-benzyl-*N*^{3},*N*^{3}-dipropylbenzene-1,3,5-tricarboxamide
649	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-methyl-*N*-[2-(2-oxo-2-pyrrolidin-1-ylethoxy)phenyl]-*N*',*N*'-dipropylisophthalamide

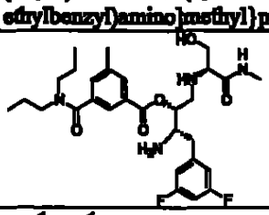
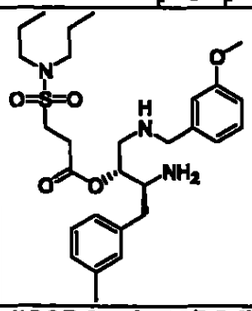
651	*N*^{1}-[(2*R*,3*S*)-3-amino-4-(4-chlorophenyl)-2-hydroxybutyl]-*N*^{1}-(3-methylbutyl)-*N*^{3},*N*^{3}-dipropylbenzene-1,3,5-tricarboxamide
653	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-2-(1,1-dioxidotetrahydro-2-thienyl)-*N*-(3-ethylbenzyl)acetamide
655	*N*-[(2*R*,3*S*)-3-amino-4-(4-chlorophenyl)-2-hydroxybutyl]-*N*-benzyl-5-methyl-*N*',*N*'-dipropylisophthalamide
657	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-5-hex-1-yn-1-ylnicotinamide
659	*N*-[(2*R*,3*S*)-3-amino-4-(3-bromophenyl)-2-hydroxybutyl]-3-[(dipropylamino)sulfonyl]-*N*-(3-methylbutyl)propanamide
661	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-3-methoxyisoxazole-5-carboxamide
663	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-2,3-dimethyl-1*H*-indole-7-carboxamide
665	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-4-(3-chlorophenyl)-*N*-(3-ethylbenzyl)-2-hydroxy-4-oxobutanamide
667	*N*^{1}-[(2*R*,3*S*)-3-amino-4-(3-fluoro-4-methoxyphenyl)-2-hydroxybutyl]-*N*^{1}-(3-methoxybenzyl)-*N*^{3},*N*^{3}-dipropylbenzene-1,3,5-tricarboxamide
669	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-2-(1-methyl-1*H*-indol-3-yl)-2-oxoacetamide
671	*N*-[(2*R*,3*S*)-3-amino-4-(3-fluoro-4-methylphenyl)-2-hydroxybutyl]-5-methyl-*N*-(3-methylbutyl)-*N*',*N*'-dipropylisophthalamide
673	*N*-[(2*R*,3*S*)-3-amino-2-hydroxy-4-(4-methylphenyl)butyl]-3-[(dipropylamino)sulfonyl]-*N*-(3-methoxybenzyl)propanamide
675	*N*^{1}-[(2*R*,3*S*)-3-amino-4-(3-fluoro-4-methylphenyl)-2-hydroxybutyl]-*N*^{1}-benzyl-*N*^{3},*N*^{3}-dipropylbenzene-1,3,5-tricarboxamide
677	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-2-[5-(4-methylphenyl)-2*H*-tetrazol-2-yl]acetamide
679	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-dichlorophenyl)-2-hydroxybutyl]-3-[(dipropylamino)sulfonyl]-*N*-(3-methoxybenzyl)propanamide
681	*N*^{1}-[(2*R*,3*S*)-3-amino-2-hydroxy-4-(2-thienyl)butyl]-*N*^{1}-(3-methylbutyl)-*N*^{3},*N*^{3}-dipropylbenzene-1,3,5-tricarboxamide
683	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-5-methyl-3-phenylisoxazole-4-carboxamide
685	*N*-[(2*R*,3*S*)-3-amino-4-(4-fluorophenyl)-2-hydroxybutyl]-*N*-benzyl-5-methyl-*N*',*N*'-dipropylisophthalamide
687	*N*^{1}-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*^{1}-(3-ethylbenzyl)-*N*^{2}-[(methylsulfonyl)acetyl]-*N*^{2}-pentylglycinamide
689	

691		
693		*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-4-(1*H*-indol-3-yl)-4-oxobutanamide
695	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N'*-(5-benzyl-1,3,4-thiadiazol-2-yl)-*N*-(3-ethylbenzyl)succinamide	
697	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-4-(3-fluoro-4-methoxyphenyl)-4-oxobutanamide	
699	ethyl 4-({(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]{3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)piperidine-1-carboxylate	
701	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-4-(2-fluorobenzoyl)-1*H*-pyrrole-2-carboxamide	
703	*N*^{1}-[(2*R*,3*S*)-3-amino-4-(4-chlorophenyl)-2-hydroxybutyl]-*N*^{1}-benzyl-*N*^{3},*N*^{3}-dipropylbenzene-1,3,5-tricarboxamide	
705	*N*^{1}-{(2*R*,3*S*)-3-amino-2-hydroxy-4-[3-(trifluoromethyl)phenyl]butyl}-*N*^{1}-(3-methylbutyl)-*N*^{3},*N*^{3}-dipropylbenzene-1,3,5-tricarboxamide	
707	*N*-[(2*R*,3*S*)-3-amino-2-hydroxy-4-(4-hydroxyphenyl)butyl]-5-methyl-*N*-(3-methylbutyl)-*N'*,*N'*-dipropylisophthalamide	
709	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-2-(4-morpholin-4-ylphenyl)acetamide	
711	*N*-{(2*R*,3*S*)-3-amino-2-hydroxy-4-[3-(trifluoromethoxy)phenyl]butyl}-3-[(dipropylamino)sulfonyl]-*N*-(3-methoxybenzyl)propanamide	
713	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N'*-benzyl-*N'*-(1-cyclopropylethyl)-*N*-(3-ethylbenzyl)succinamide	
715	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-3-(2,5-dimethylbenzoyl)-*N*-(3-methoxybenzyl)-5-methylbenzamide	
717	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-*N'*-(2-methoxy-5-methylphenyl)succinamide	
719	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-2-(3-hydroxyphenyl)acetamide	
721	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-3-[hydroxy(2-methylphenyl)methyl]-*N*-(3-methoxybenzyl)-5-methylbenzamide	
723	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-5-(ethylthio)nicotinamide	
725	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-4-[4-(2-furoyl)piperazin-1-yl]-4-oxobutanamide	
727	*N*-[(2*R*,3*S*)-3-amino-4-(3-fluoro-4-methylphenyl)-2-hydroxybutyl]-*N*-benzyl-5-methyl-*N'*,*N'*-dipropylisophthalamide	

729	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-3-oxoisoindoline-1-carboxamide
731	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-3-(ethylthio)benzamide
733	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)thieno[2,3-*b*]quinoline-2-carboxamide
735	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-3-(4-methyl-1,3-oxazol-2-yl)benzamide hydrochloride
737	*N*^{1}-[(2*R*,3*S*)-3-amino-4-(4-fluorophenyl)-2-hydroxybutyl]-*N*^{1}-benzyl-*N*^{3},*N*^{3}-dipropylbenzene-1,3,5-tricarboxamide
739	*N*-(2-{[[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl](3-ethylbenzyl)amino]carbonyl}phenyl)-*N*-methyl-2-furamide
741	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-2-hydroxy-4-(3-methoxyphenyl)-4-oxobutanamide
743	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-cycloheptyl-5-methyl-*N*',*N*'-dipropylisophthalamide
745	*N*^{1}-[(2*R*,3*S*)-3-amino-2-hydroxy-4-(4-methylphenyl)butyl]-*N*^{1}-(3-methylbutyl)-*N*^{3},*N*^{3}-dipropylbenzene-1,3,5-tricarboxamide
747	*N*-[(2*R*,3*S*)-3-amino-4-(3-fluoro-5-hydroxyphenyl)-2-hydroxybutyl]-3-[(dipropylamino)sulfonyl]-*N*-(3-methoxybenzyl)propanamide hydrochloride
749	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-5-hydroxy-1*H*-indole-2-carboxamide
751	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-2,2-dimethylchromane-8-carboxamide
753	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-6-benzyl-*N*-(3-ethylbenzyl)pyrazine-2-carboxamide 4-oxide
755	2-({[[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl](3-methoxybenzyl)amino]carbonyl}amino)-*N*,*N*-dipropylethanesulfonamide
757	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-[(1*R*)-1-(hydroxymethyl)-2-methylpropyl]-5-methyl-*N*',*N*'-dipropylisophthalamide
759	*N*-[(2*R*,3*S*)-3-amino-4-(3-chloro-5-fluorophenyl)-2-hydroxybutyl]-*N*-benzyl-3-[(dipropylamino)sulfonyl]propanamide
761	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-4-(4-methoxyphenyl)-4-oxobutanamide
763	*N*^{1}-[(2*R*,3*S*)-3-amino-2-hydroxy-4-(4-hydroxyphenyl)butyl]-*N*^{1}-benzyl-*N*^{3},*N*^{3}-dipropylbenzene-1,3,5-tricarboxamide
765	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-3-methyl-4-oxo-3,4-dihydrophthalazine-1-carboxamide
767	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-3,4-dihydro-2*H*-1,5-benzodioxepine-7-carboxamide
769	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-2-[4-(2,5-dioxopyrrolidin-1-yl)phenoxy]-*N*-(3-ethylbenzyl)acetamide
771	*N*^{1}-[(2*R*,3*S*)-3-amino-4-(2-furyl)-2-hydroxybutyl]-*N*^{1}-(3-methoxybenzyl)-*N*^{3},*N*^{3}-dipropylbenzene-1,3,5-tricarboxamide
773	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-5-methyl-4-oxo-3,4-dihydrothieno[2,3-*d*]pyrimidine-6-carboxamide
775	*N*^{1}-[(2*R*,3*S*)-3-amino-4-(1,3-benzodioxol-5-yl)-2-hydroxybutyl]-*N*^{1}-(3-

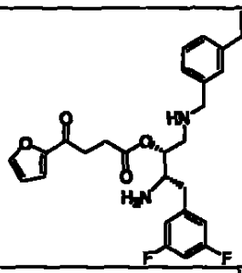
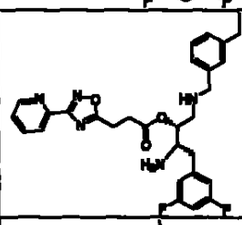
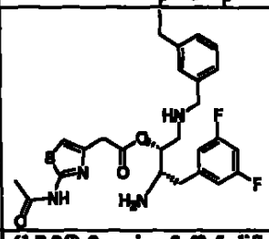
	methylbutyl)-N^3,N^3-dipropylbenzene-1,3,5-tricarboxamide
777	
779	*N*-[(2*R*,3*S*)-3-amino-4-(3-chloro-5-fluorophenyl)-2-hydroxybutyl]-*N*-(3-methoxybenzyl)-*N'*,*N'*-dipropylpentanediamide
781	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-6-fluoro-2-hydroxyquinoline-4-carboxamide
783	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-4-oxo-4-(2-thienyl)butanamide
785	N^3-{[[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl](3-methoxybenzyl)amino]carbonyl}-N^1,N^1-dipropyl-β-alaninamide
787	N^1-[(2*R*,3*R*)-3-amino-2-hydroxy-4-(phenylthio)butyl]-N^1-(3-methoxybenzyl)-N^3,N^3-dipropylbenzene-1,3,5-tricarboxamide
789	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-[(1*R*)-1-(hydroxymethyl)-2-methylpropyl]-5-methyl-*N'*,*N'*-dipropylisophthalamide
791	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-[(1*R*,2*S*)-1-(hydroxymethyl)-2-methylbutyl]-5-methyl-*N'*,*N'*-dipropylisophthalamide
793	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-2-(phenoxymethyl)benzamide
795	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N'*-(2,4-difluorophenyl)-*N*-(3-ethylbenzyl)pentanediamide
797	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N'*-(4,6-dimethylpyrimidin-2-yl)-*N*-(3-ethylbenzyl)pentanediamide
799	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-3-(3-methoxybenzoyl)-*N*-(3-methoxybenzyl)-5-methylbenzamide
801	N^1-{(2*R*,3*S*)-3-amino-4-[3-(benzyloxy)phenyl]-2-hydroxybutyl}-N^3-(3-methoxybenzyl)-N^3,N^3-dipropylbenzene-1,3,5-tricarboxamide
803	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-4-(3,4-dichlorophenyl)-*N*-(3-ethylbenzyl)-4-oxobutanamide
805	methyl 4-{(2*S*,3*R*)-2-amino-4-[{3-[(dipropylamino)carbonyl]-5-methylbenzoyl}(3-methoxybenzyl)amino]-3-hydroxybutyl}benzoate
807	*N'*-(4-acetylphenyl)-*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)pentanediamide
809	*N*-{(2*R*,3*S*)-3-amino-4-[4-(benzyloxy)phenyl]-2-hydroxybutyl}-*N*-(3-methoxybenzyl)-5-methyl-*N'*,*N'*-dipropylisophthalamide
811	*N*-[(2*R*,3*R*)-3-amino-2-hydroxy-4-(phenylthio)butyl]-*N*-(3-methoxybenzyl)-5-methyl-*N'*,*N'*-dipropylisophthalamide
813	2-({3-[[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl](3-ethylbenzyl)amino]-3-oxopropyl}thio)-*N*-methylbenzamide
815	*N*-[(2*R*,3*S*)-3-amino-2-hydroxy-4-phenylbutyl]-*N*-(3-methoxybenzyl)-3-[(1-propylbutyl)thio]propanamide hydrochloride
817	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N'*-(4-ethoxyphenyl)-*N*-(3-ethylbenzyl)succinamide
819	N^1-{(2*R*,3*S*)-3-amino-4-[3-(benzyloxy)-5-fluorophenyl]-2-hydroxybutyl}-N^1-(3-

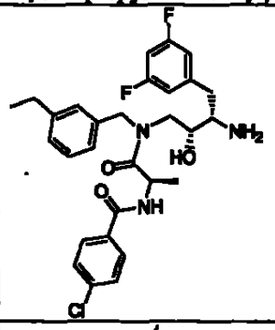
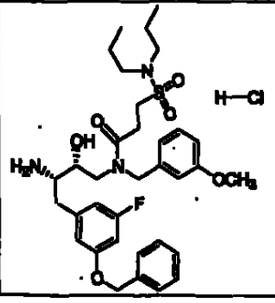
	methylbutyl)-N^5,N^5-dipropylbenzene-1,3,5-tricarboxamide
821	2-{[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]{3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)ethyl (3-methoxyphenyl)carbamate
822	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(benzyloxy)benzoate
824	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[(1*S*)-2-hydroxy-1-methylethyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
826	(1*R*,2*S*)-2-amino-3-(pentafluorophenyl)-1-({[3-(trifluoromethyl)benzyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
828	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4-(4-hydroxyphenyl)-4-oxobutanoate
830	(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-[3-(trifluoromethyl)phenyl]propyl 3-[(dipropylamino)sulfonyl]propanoate
832	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(piperidin-3-ylsulfonyl)benzoate dihydrochloride
834	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 6-chloro-4-hydroxyquinoline-2-carboxylate
836	(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-(2-thienyl)propyl 5-(dipropylamino)-5-oxopentanoate
838	(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-4-methylpentyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
840	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl (6-oxo-3-phenylpyridazin-1(6*H*)-yl)acetate
842	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-{4-[(methylsulfonyl)amino]phenyl}propanoate
844	(1*R*,2*S*)-2-amino-3-(4-fluoro-3-methylphenyl)-1-{[(3-methoxybenzyl)amino]methyl}propyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate
846	(1*R*,2*S*)-2-amino-1-[(benzylamino)methyl]-3-(4-methylphenyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
848	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-iodobenzyl)amino]methyl}propyl 3-(2-chlorophenoxy)propanoate
850	(1*R*,2*S*)-2-amino-3-(4-fluorophenyl)-1-{[(3-methylbutyl)amino]methyl}propyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate
852	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-(4-chlorobenzoyl)-D-alaninate
854	(1*R*,2*S*)-2-amino-3-[3-(benzyloxy)-5-fluorophenyl]-1-{[(3-methoxybenzyl)amino]methyl}propyl 3-[(dipropylamino)sulfonyl]propanoate hydrochloride
856	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4-(4-methylphenyl)-4-oxobutanoate
858	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4-oxo-4-{[3-

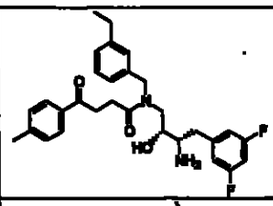
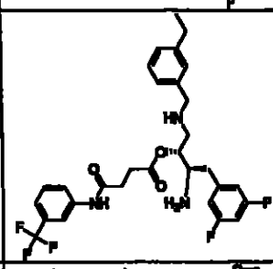
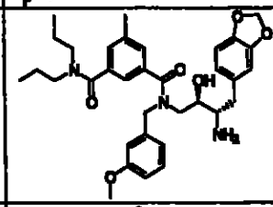
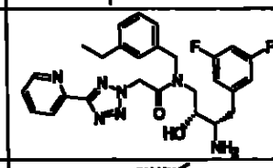
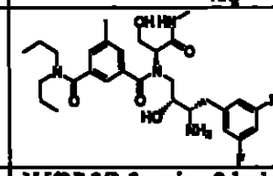
	(trifluoromethyl)phenyl]amino}butanoate	
860	(1*R*,2*S*)-2-amino-3-(1,3-benzodioxol-5-yl)-1-{[(3-methoxybenzyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate	
862	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl (5-pyridin-2-yl-2*H*-tetrazol-2-yl)acetate	
864		
866		(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-(3-methylphenyl)propyl 3-[(dipropylamino)sulfonyl]propanoate
868	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl isoxazole-5-carboxylate	
870	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl (3,5-dimethoxyphenoxy)acetate	
872	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4-(2,5-dimethyl-1*H*-pyrrol-1-yl)-3-hydroxybenzoate	
874	(1*R*,2*S*)-2-amino-3-(3-bromophenyl)-1-{[(3-methoxybenzyl)amino]methyl}propyl 5-(dipropylamino)-5-oxopentanoate	
876	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4-{[5-(cyclopentylmethyl)-1,3,4-thiadiazol-2-yl]amino}-4-oxobutanoate	
878	(1*R*,2*S*)-2-amino-1-[(benzylamino)methyl]-3-[3-(trifluoromethyl)phenyl]propyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate	
880	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl (3-oxo-1,2-benzisothiazol-2(3*H*)-yl)acetate	
882	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[1-methyl-5-(pyrrolidin-1-ylcarbonyl)-1*H*-pyrrol-3-yl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate	
884	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4-(3,4-difluorophenyl)-4-oxobutanoate	
886	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4-(2-naphthyl)-4-oxobutanoate	
888	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4,6-diethoxypyridine-2-carboxylate	

890	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4-(5-methyl-1*H*-pyrrol-2-yl)-4-oxobutanoate
892	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-({[2-(methylamino)ethyl]amino}sulfonyl)benzoate hydrochloride
894	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-methoxybenzyl)amino]methyl}propyl 3-methyl-5-(4-methylbenzoyl)benzoate
896	(1*R*,2*S*)-2-amino-3-(1,3-benzodioxol-5-yl)-1-[(benzylamino)methyl]propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
898	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(piperazin-1-ylsulfonyl)benzoate hydrochloride
900	(1*R*,2*S*)-2-amino-1-[({2-[4-(aminosulfonyl)phenyl]ethyl}amino)methyl]-3-(3,5-difluorophenyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
902	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[2-hydroxy-1-(hydroxymethyl)ethyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
904	(1*R*,2*S*)-2-amino-3-(4-fluoro-3-methylphenyl)-1-{[(3-methylbutyl)amino]methyl}propyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate
906	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(3-oxo-2,1-benzisothiazol-1(3*H*)-yl)propanoate
908	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl (2,6-dihydroxypyrimidin-4-yl)acetate
910	(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-[3-(trifluoromethyl)phenyl]propyl 5-(dipropylamino)-5-oxopentanoate
912	(1*R*,2*S*)-2-amino-1-[(benzylamino)methyl]-3-(4-hydroxyphenyl)propyl 3-[(dipropylamino)sulfonyl]propanoate
914	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4-(3,4-difluorophenyl)-2-methyl-4-oxobutanoate
916	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 5-oxo-5-[(2-pyridin-2-ylethyl)amino]pentanoate
918	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl [2-(4-fluorophenyl)-1,3-benzoxazol-5-yl]acetate
920	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-(anilinocarbonyl)glycinate
922	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-(2,6-dimethoxybenzoyl)glycinate
924	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 2-(1,3-dithian-2-yl)-3-furoate
926	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 2-[2-oxo-2-(propylamino)ethyl]benzoate
928	(1*R*,2*S*)-2-amino-1-[(benzylamino)methyl]-3-(3-bromophenyl)propyl 3-[(dipropylamino)sulfonyl]propanoate

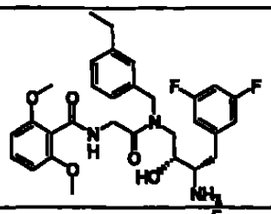
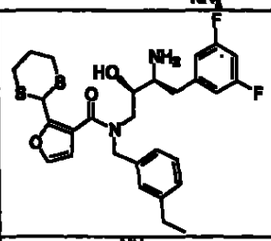
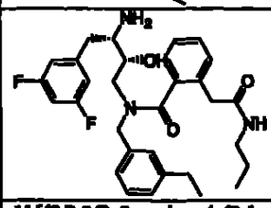
930	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-iodobenzyl)amino]methyl}propyl 3-(2-fluorophenyl)propanoate
932	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 5-methylthiophene-2-carboxylate
934	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-iodobenzyl)amino]methyl}propyl [4-(benzyloxy)phenyl]acetate
936	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl [(5,7-dimethyl[1,2,4]triazolo[4,3-*a*]pyrimidin-3-yl)thio]acetate
938	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4-[(1-acetyl-2,3-dihydro-1*H*-indol-7-yl)amino]-4-oxobutanoate
940	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 5-[(3-acetylphenyl)amino]-5-oxopentanoate
942	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(4-chlorophenoxy)-2-hydroxypropanoate
944	N^3-[(1*S*,2*R*)-3-(benzylamino)-1-(3-fluoro-4-methoxybenzyl)-2-hydroxypropyl]-N^1,N^1-dipropylbenzene-1,3,5-tricarboxamide
946	(1*R*,2*S*)-2-amino-1-[(benzylamino)methyl]-3-(3-methylphenyl)propyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate
948	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 1*H*-indole-7-carboxylate
950	(1*R*,2*S*)-2-amino-1-{[(3-methylbutyl)amino]methyl}-3-(3-methylphenyl)propyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate
952	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4-(1,2,3-triadiazol-4-yl)benzoate
954	(1*R*,2*S*)-2-amino-3-[3-(benzyloxy)-5-fluorophenyl]-1-{[(3-methoxybenzyl)amino]methyl}propyl 3-[(dipropylamino)sulfonyl]propanoate
956	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(4,4-dimethyl-2,5-dioxoimidazolidin-1-yl)-2-{[(1-propylbutyl)sulfonyl]methyl}propanoate
958	(1*R*,2*S*)-2-amino-1-{[(3-methylbutyl)amino]methyl}-3-(4-methylphenyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
960	(1*R*,2*S*)-2-amino-1-[(benzylamino)methyl]-3-[3-fluoro-5-(trifluoromethyl)phenyl]propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
962	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl [1-methyl-3-(methylthio)-1*H*-indol-2-yl]acetate
964	(1*R*,2*S*)-2-amino-3-(3,5-dichlorophenyl)-1-{[(3-methylbutyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
966	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl [(2-{[4-(1,3-oxazol-5-yl)phenyl]amino}-2-oxoethyl)thio]acetate

968		(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4-(2-furyl)-4-oxobutanoate
970		(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(3-pyridin-2-yl-1,2,4-oxadiazol-5-yl)propanoate
972		(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl [2-(acetylamino)-1,3-thiazol-4-yl]acetate
974	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl [(4-methyl-4*H*-1,2,4-triazol-3-yl)thio](phenyl)acetate	
976	(1*R*,2*S*)-2-amino-3-(4-chlorophenyl)-1-{[(3-methylbutyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate	
978	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4-(1,3-benzothiazol-2-yl)butanoate	
980	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4-[(3-chloro-4-fluorophenyl)amino]-4-oxobutanoate	
982	(1*R*,2*S*)-2-amino-3-[3-(benzyloxy)-5-fluorophenyl]-1-{[(3-methylbutyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate	
984	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl [(2-oxo-2,3-dihydroquinazolin-4-yl)thio]acetate	
823	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-3-(benzyloxy)-*N*-(3-ethylbenzyl)benzamide	
825	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-[(1*S*)-2-hydroxy-1-methylethyl]-5-methyl-*N*',*N*'-dipropylisophthalamide	
827	*N*-[(2*R*,3*S*)-3-amino-2-hydroxy-4-(pentafluorophenyl)butyl]-5-methyl-*N*',*N*'-dipropyl-*N*-[3-(trifluoromethyl)benzyl]isophthalamide	

829	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-4-(4-hydroxyphenyl)-4-oxobutanamide	
831	*N*-{(2*R*,3*S*)-3-amino-2-hydroxy-4-[3-(trifluoromethyl)phenyl]butyl}-3-[(dipropylamino)sulfonyl]-*N*-(3-methoxybenzyl)propanamide	
833	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-3-(piperidin-3-ylsulfonyl)benzamide dihydrochloride	
835	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-6-chloro-*N*-(3-ethylbenzyl)-4-hydroxyquinoline-2-carboxamide	
837	*N*-[(2*R*,3*S*)-3-amino-2-hydroxy-4-(2-thienyl)butyl]-*N*-(3-methoxybenzyl)-*N'*,*N'*-dipropylpentanediamide	
839	*N*-[(2*R*,3*S*)-3-amino-2-hydroxy-5-methylhexyl]-*N*-(3-methoxybenzyl)-5-methyl-*N'*,*N'*-dipropylisophthalamide	
841	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-2-(6-oxo-3-phenylpyridazin-1(6*H*)-yl)acetamide	
843	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-3-{4-[(methylsulfonyl)amino]phenyl}propanamide	
845	N^1-[(2*R*,3*S*)-3-amino-4-(4-fluoro-3-methylphenyl)-2-hydroxybutyl]-N^1-(3-methoxybenzyl)-N^3,N^3-dipropylbenzene-1,3,5-tricarboxamide	
847	*N*-[(2*R*,3*S*)-3-amino-2-hydroxy-4-(4-methylphenyl)butyl]-*N*-benzyl-5-methyl-*N'*,*N'*-dipropylisophthalamide	
849	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-3-(2-chlorophenoxy)-*N*-(3-iodobenzyl)propanamide	
851	N^1-[(2*R*,3*S*)-3-amino-4-(4-fluorophenyl)-2-hydroxybutyl]-N^1-(3-methylbutyl)-N^3,N^3-dipropylbenzene-1,3,5-tricarboxamide	
853		
855		*N*-{(2*R*,3*S*)-3-amino-4-[3-(benzyloxy)-5-fluorophenyl]-2-hydroxybutyl}-3-[(dipropylamino)sulfonyl]-*N*-(3-methoxybenzyl)propanamide hydrochloride

857		*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-4-(4-methylphenyl)-4-oxobutanamide
859		(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4-oxo-4-{[3-(trifluoromethyl)phenyl]amino}butanoate
861		*N*-[(2*R*,3*S*)-3-amino-4-(1,3-benzodioxol-5-yl)-2-hydroxybutyl]-*N*-(3-methoxybenzyl)-5-methyl-*N'*,*N'*-dipropylisophthalamide
863		
865		
867	*N*-[(2*R*,3*S*)-3-amino-2-hydroxy-4-(3-methylphenyl)butyl]-3-[(dipropylamino)sulfonyl]-*N*-(3-methoxybenzyl)propanamide	
869	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)isoxazole-5-carboxamide	
871	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-2-(3,5-dimethoxyphenoxy)-*N*-(3-ethylbenzyl)acetamide	
873	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-4-(2,5-dimethyl-1*H*-pyrrol-1-yl)-*N*-(3-ethylbenzyl)-3-hydroxybenzamide	
875	*N*-[(2*R*,3*S*)-3-amino-4-(3-bromophenyl)-2-hydroxybutyl]-*N*-(3-methoxybenzyl)-*N'*,*N'*-dipropylpentanediamide	
877	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N'*-[5-(cyclopentylmethyl)-1,3,4-thiadiazol-2-yl]-*N*-(3-ethylbenzyl)succinamide	
879	*N*^{1}-{(2*R*,3*S*)-3-amino-2-hydroxy-4-[3-(trifluoromethyl)phenyl]butyl}-*N*^{3}-benzyl-*N*^{3},*N*^{3}-dipropylbenzene-1,3,5-tricarboxamide	

881	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-2-(3-oxo-1,2-benzisothiazol-2(3*H*)-yl)acetamide
883	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-methyl-*N*-[1-methyl-5-(pyrrolidin-1-ylcarbonyl)-1*H*-pyrrol-3-yl]-*N'*,*N'*-dipropylisophthalamide
885	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-4-(3,4-difluorophenyl)-*N*-(3-ethylbenzyl)-4-oxobutanamide
887	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-4-(2-naphthyl)-4-oxobutanamide
889	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-4,6-diethoxy-*N*-(3-ethylbenzyl)pyridine-2-carboxamide
891	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-4-(5-methyl-1*H*-pyrrol-2-yl)-4-oxobutanamide
893	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-3-({[2-(methylamino)ethyl]amino}sulfonyl)benzamide hydrochloride
895	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-methoxybenzyl)-3-methyl-5-(4-methylbenzoyl)benzamide
897	*N*-[(2*R*,3*S*)-3-amino-4-(1,3-benzodioxol-5-yl)-2-hydroxybutyl]-*N*-benzyl-5-methyl-*N'*,*N'*-dipropylisophthalamide
899	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-3-(piperazin-1-ylsulfonyl)benzamide hydrochloride
901	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-{2-[4-(aminosulfonyl)phenyl]ethyl}-5-methyl-*N'*,*N'*-dipropylisophthalamide
903	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-[2-hydroxy-1-(hydroxymethyl)ethyl]-5-methyl-*N'*,*N'*-dipropylisophthalamide
905	*N*^{1}-[(2*R*,3*S*)-3-amino-4-(4-fluoro-3-methylphenyl)-2-hydroxybutyl]-*N*^{1}-(3-methylbutyl)-*N*^{3},*N*^{3}-dipropylbenzene-1,3,5-tricarboxamide
907	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-3-(3-oxo-2,1-benzisothiazol-1(3*H*)-yl)propanamide
909	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-2-(2,6-dihydroxypyrimidin-4-yl)-*N*-(3-ethylbenzyl)acetamide
911	*N*-{(2*R*,3*S*)-3-amino-2-hydroxy-4-[3-(trifluoromethyl)phenyl]butyl}-*N*-(3-methoxybenzyl)-*N'*,*N'*-dipropylpentanediamide
913	*N*-[(2*R*,3*S*)-3-amino-2-hydroxy-4-(4-hydroxyphenyl)butyl]-*N*-benzyl-3-[(dipropylamino)sulfonyl]propanamide
915	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-4-(3,4-difluorophenyl)-*N*-(3-ethylbenzyl)-2-methyl-4-oxobutanamide
917	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-*N'*-(2-pyridin-2-ylethyl)pentanediamide
919	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-2-[2-(4-fluorophenyl)-1,3-benzoxazol-5-yl]acetamide
921	*N*^{1}-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*^{2}-(anilinocarbonyl)-*N*^{1}-(3-ethylbenzyl)glycinamide

923		
925		*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-2-(1,3-dithian-2-yl)-*N*-(3-ethylbenzyl)-3-furamide
927		*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-2-[2-oxo-2-(propylamino)ethyl]benzamide
929	*N*-[(2*R*,3*S*)-3-amino-4-(3-bromophenyl)-2-hydroxybutyl]-*N*-benzyl-3-[(dipropylamino)sulfonyl]propanamide	
931	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-3-(2-fluorophenyl)-*N*-(3-iodobenzyl)propanamide	
933	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-5-methylthiophene-2-carboxamide	
935	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-2-[4-(benzyloxy)phenyl]-*N*-(3-iodobenzyl)acetamide	
937	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-2-[(5,7-dimethyl[1,2,4]triazolo[4,3-*a*]pyrimidin-3-yl)thio]-*N*-(3-ethylbenzyl)acetamide	
939	*N*'-(1-acetyl-2,3-dihydro-1*H*-indol-7-yl)-*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)succinamide	
941	*N*'-(3-acetylphenyl)-*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)pentanediamide	
943	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-3-(4-chlorophenoxy)-*N*-(3-ethylbenzyl)-2-hydroxypropanamide	
945	*N*^{3}-[(1*S*,2*R*)-3-(benzylamino)-1-(3-fluoro-4-methoxybenzyl)-2-hydroxypropyl]-*N*^{1},*N*^{1}-dipropylbenzene-1,3,5-tricarboxamide	
947	*N*^{1}-[(2*R*,3*S*)-3-amino-2-hydroxy-4-(3-methylphenyl)butyl]-*N*^{3}-benzyl-*N*^{3},*N*^{5}-dipropylbenzene-1,3,5-tricarboxamide	
949	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-1*H*-indole-7-carboxamide	
951	*N*^{1}-[(2*R*,3*S*)-3-amino-2-hydroxy-4-(3-methylphenyl)butyl]-*N*^{3}-(3-methylbutyl)-*N*^{3},*N*^{5}-dipropylbenzene-1,3,5-tricarboxamide	
953	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-	

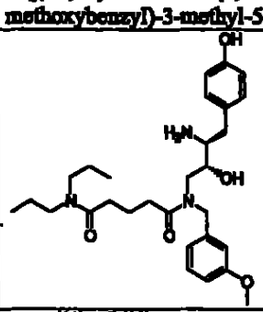
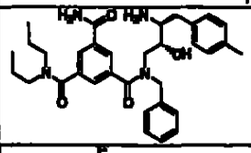
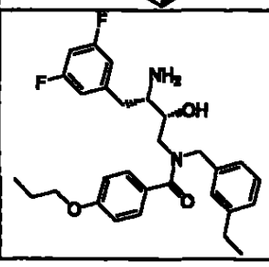
	4-(1,2,3-thiadiazol-4-yl)benzamide
955	*N*-{(2*R*,3*S*)-3-amino-4-[3-(benzyloxy)-5-fluorophenyl]-2-hydroxybutyl}-3-[(dipropylamino)sulfonyl]-*N*-(3-methoxybenzyl)propanamide
957	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-3-(4,4-dimethyl-2,5-dioxoimidazolidin-1-yl)-*N*-(3-ethylbenzyl)-2-{[(1-propylbutyl)sulfonyl]methyl}propanamide
959	*N*-[(2*R*,3*S*)-3-amino-2-hydroxy-4-(4-methylphenyl)butyl]-5-methyl-*N*-(3-methylbutyl)-*N'*,*N'*-dipropylisophthalamide
961	*N*-{(2*R*,3*S*)-3-amino-4-[3-fluoro-5-(trifluoromethyl)phenyl]-2-hydroxybutyl}-*N*-benzyl-5-methyl-*N'*,*N'*-dipropylisophthalamide
963	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-2-[1-methyl-3-(methylthio)-1*H*-indol-2-yl]acetamide
965	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-dichlorophenyl)-2-hydroxybutyl]-5-methyl-*N*-(3-methylbutyl)-*N'*,*N'*-dipropylisophthalamide
967	2-({2-[[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl](3-ethylbenzyl)amino]-2-oxoethyl}thio)-*N*-[4-(1,3-oxazol-5-yl)phenyl]acetamide
969	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-4-(2-furyl)-4-oxobutanamide
971	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-3-(3-pyridin-2-yl-1,2,4-oxadiazol-5-yl)propanamide
973	2-[2-(acetylamino)-1,3-thiazol-4-yl]-*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)acetamide
975	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-2-[(4-methyl-4*H*-1,2,4-triazol-3-yl)thio]-2-phenylacetamide
977	*N*-[(2*R*,3*S*)-3-amino-4-(4-chlorophenyl)-2-hydroxybutyl]-5-methyl-*N*-(3-methylbutyl)-*N'*,*N'*-dipropylisophthalamide
979	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-4-(1,3-benzothiazol-2-yl)-*N*-(3-ethylbenzyl)butanamide
981	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N'*-(3-chloro-4-fluorophenyl)-*N*-(3-ethylbenzyl)succinamide
983	*N*-{(2*R*,3*S*)-3-amino-4-[3-(benzyloxy)-5-fluorophenyl]-2-hydroxybutyl}-5-methyl-*N*-(3-methylbutyl)-*N'*,*N'*-dipropylisophthalamide
985	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-2-[(2-oxo-2,3-dihydroquinazolin-4-yl)thio]acetamide
986	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-methoxybenzyl)amino]methyl}propyl 3-methyl-5-(2-methylbenzoyl)benzoate
988	(1*R*,2*S*)-2-amino-3-(4-hydroxyphenyl)-1-{[(3-methoxybenzyl)amino]methyl}propyl 5-(dipropylamino)-5-oxopentanoate
990	(1*R*,2*S*)-2-amino-1-[(benzylamino)methyl]-3-(4-methylphenyl)propyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate
992	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4-propoxybenzoate
994	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 1-methyl-1*H*-indole-2-carboxylate
996	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 5-chloro-2-(3-methyl-4*H*-1,2,4-triazol-4-yl)benzoate
998	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-

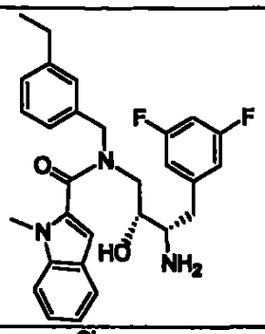
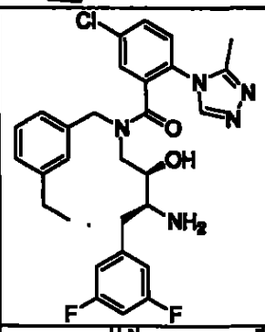
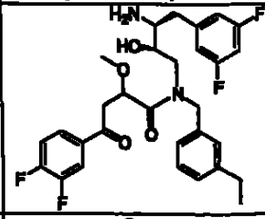
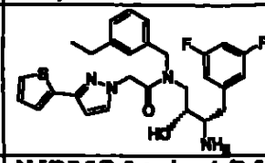
	ethylbenzyl)amino]methyl}propyl 4-(3,4-difluorophenyl)-2-methoxy-4-oxobutanoate
1000	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl [3-(2-thienyl)-1*H*-pyrazol-1-yl]acetate
1002	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 5-anilino-5-oxopentanoate
1004	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl (2-thioxo-1,3-benzothiazol-3(2*H*)-yl)acetate
1006	(1*R*,2*S*)-2-amino-1-[(benzylamino)methyl]-3-cyclohexylpropyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
1008	(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-(4-methoxyphenyl)propyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate
1010	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl (3-hydroxy-4-methylphenyl)acetate
1012	(1*R*,2*S*)-2-amino-3-[3-fluoro-5-(trifluoromethyl)phenyl]-1-{[(3-methylbutyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
1014	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 7-fluoro-4*H*-imidazo[5,1-c][1,4]benzoxazine-3-carboxylate
1016	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4-(3,4-dihydro-2*H*-1,5-benzodioxepin-7-yl)-4-oxobutanoate
1018	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 1-benzofuran-3-carboxylate
1020	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(3,4-dichlorophenyl)amino]-3-oxopropanoate
1022	(1*R*,2*S*)-2-amino-1-[(benzylamino)methyl]-3-[3-fluoro-5-(trifluoromethyl)phenyl]propyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate
1024	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[(1*R*)-2-hydroxy-1-methylethyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
1026	(1*R*,2*S*)-2-amino-1-[(benzylamino)methyl]-3-(3-methylphenyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
1028	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 5-oxo-5-(pyridin-3-ylamino)pentanoate
1030	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 2-methyl-4-oxo-4*H*-chromene-6-carboxylate
1032	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl ({2-[(5-methylisoxazol-3-yl)amino]-2-oxoethyl}thio)acetate
1034	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[3-(1*H*-imidazol-1-yl)propyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
1036	(1*R*,2*S*)-2-amino-3-[3-fluoro-5-(trifluoromethyl)phenyl]-1-{[(3-methoxybenzyl)amino]methyl}propyl 3-[(dipropylamino)sulfonyl]propanoate
1038	(1*R*,2*S*)-2-amino-3-(4-hydroxyphenyl)-1-{[(3-methylbutyl)amino]methyl}propyl

	3-[(dipropylamino)sulfonyl]propanoate
1040	(1*R*,2*S*)-2-amino-3-(1,3-benzodioxol-5-yl)-1-{[(3-methylbutyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
1042	(1*R*,2*S*)-2-amino-1-{[(3-methylbutyl)amino]methyl}-3-(2-thienyl)propyl 3-[(dipropylamino)sulfonyl]propanoate
1044	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4-[(2,2-dimethylpropanoyl)amino]-2-hydroxybenzoate
1046	(1*R*,2*S*)-2-amino-3-(3-methoxyphenyl)-1-{[(3-methylbutyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
1048	(1*R*,2*S*)-2-amino-3-(4-fluorophenyl)-1-({[3-(trifluoromethyl)benzyl]amino}methyl)propyl 3-{[(3-methoxybenzyl)amino]sulfonyl}benzoate
1050	(1*R*,2*S*)-2-amino-1-{[(3-methylbutyl)amino]methyl}-3-[3-(trifluoromethyl)phenyl]propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
1052	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 6-(2-furoylamino)hexanoate
1054	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl [(1-phenyl-4,5-dihydro-1*H*-tetrazol-5-yl)thio]acetate
1056	(1*S*,2*S*)-2-amino-3-phenyl-1-({[3-(trifluoromethyl)benzyl]amino}methyl)propyl 3-{[(3-methoxybenzyl)amino]sulfonyl}benzoate
1058	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4-(3,4-dihydro-2*H*-chromen-6-yl)-4-oxobutanoate
1060	(1*R*,2*S*)-2-amino-3-(3-methoxyphenyl)-1-{[(3-methylbutyl)amino]methyl}propyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate
1062	(1*R*,2*S*)-2-amino-3-(3-fluoro-4-methylphenyl)-1-{[(3-methoxybenzyl)amino]methyl}propyl 5-(dipropylamino)-5-oxopentanoate
1064	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl indolizine-2-carboxylate
1066	(1*R*,2*S*)-2-amino-1-[(benzylamino)methyl]-3-[3-(trifluoromethoxy)phenyl]propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
1068	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl nicotinate 1-oxide
1070	(1*R*,2*S*)-2-amino-3-[3-(benzyloxy)-5-fluorophenyl]-1-{[(3-methylbutyl)amino]methyl}propyl 3-[(dipropylamino)sulfonyl]propanoate
1072	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-iodobenzyl)amino]methyl}propyl [(aminocarbonyl)oxy]acetate
1074	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 2,3-dihydro-1*H*-cyclopenta[*b*]quinoline-9-carboxylate
1076	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-methyl-1*H*-pyrazole-5-carboxylate
1078	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-

	ethylbenzyl)amino]methyl}propyl 5-(benzoylamino)pentanoate
1080	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4-[(methoxymethyl)thio]benzoate
1082	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(1,3-benzothiazol-2-yl)-3-methoxypropanoate
1084	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-{[(methylamino)carbonyl]amino}-3-(3-thienyl)propanoate
1086	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 5-pyridin-2-ylthiophene-2-carboxylate
1088	(1*R*,2*S*)-2-amino-1-[(benzylamino)methyl]-3-[3-(benzyloxy)-5-fluorophenyl]propyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate
1090	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl (5,6-dimethyl-2,4-dioxo-1,2,3,4-tetrahydropyridin-3-yl)acetate
1092	(1*R*,2*S*)-2-amino-3-(3-fluoro-4-methoxyphenyl)-1-{[(3-methylbutyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
1094	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 2-isobutyl-1,3-dioxoisoindoline-5-carboxylate
1096	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 5-(acetylamino)-2-furoate
1098	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-[(4-methoxyphenyl)acetyl]glycinate
1100	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl isoquinoline-4-carboxylate
1102	(1*R*,2*S*)-2-amino-3-[3-(benzyloxy)phenyl]-1-{[(3-methylbutyl)amino]methyl}propyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate
1104	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl (4-hydroxy-3-methoxyphenyl)acetate
1106	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl [(4-phenyl-4*H*-1,2,4-triazol-3-yl)thio]acetate
1108	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl (3,5-dimethoxyphenyl)acetate
1110	(1*R*,2*S*)-2-amino-1-[(benzylamino)methyl]-3-(3-methoxyphenyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
1112	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl (2-ethyl-4*H*-[1,2,4]triazolo[1,5-*a*]benzimidazol-4-yl)acetate
1114	(1*R*,2*S*)-2-amino-1-[(benzylamino)methyl]-3-(2-furyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
1116	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 7-chloro-1-benzofuran-2-carboxylate
1118	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 2-(1,3-dioxo-1,3-dihydro-2*H*-isoindol-2-yl)propanoate

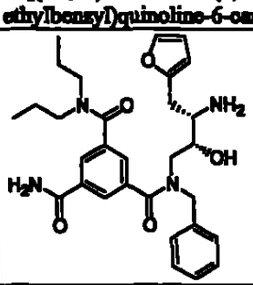
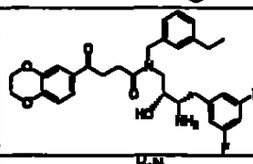
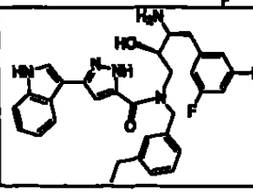
1120	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(2-oxo-2*H*-1,3-benzoxazin-3(4*H*)-yl)propanoate
1122	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl (pyrimidin-2-ylthio)acetate
1124	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4-{[3-(aminocarbonyl)-4,5,6,7-tetrahydro-1-benzothien-2-yl]amino}-4-oxobutanoate
1126	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl [(5-phenyl-1,3,4-oxadiazol-2-yl)thio]acetate
1128	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl quinoline-6-carboxylate
1130	(1*R*,2*S*)-2-amino-1-[(benzylamino)methyl]-3-(2-furyl)propyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate
1132	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4-(2,3-dihydro-1,4-benzodioxin-6-yl)-4-oxobutanoate
1134	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(1*H*-indol-3-yl)-1*H*-pyrazole-5-carboxylate
1136	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 2-hydroxy-4-{[(methylamino)carbonothioyl]amino}benzoate
1138	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 6-chloronicotinate
1140	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4-(3-hydroxyphenyl)-4-oxobutanoate
1142	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl (phthalazin-1-ylthio)acetate
1144	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl [(1-oxidopyridin-2-yl)thio]acetate
1146	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(acetylamino)-5-fluoro-1*H*-indole-2-carboxylate
1148	(1*S*,2*S*)-2-amino-3-phenyl-1-({[3-(trifluoromethyl)benzyl]amino}methyl)propyl 3-{[(3-chlorobenzyl)amino]sulfonyl}benzoate
1150	(1*R*,2*S*)-2-amino-3-[4-(benzyloxy)phenyl]-1-{[(3-methoxybenzyl)amino]methyl}propyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate
1152	(1*R*,2*S*)-2-amino-3-(1,3-benzodioxol-5-yl)-1-[(benzylamino)methyl]propyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate
1154	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4-(3,4-dichlorophenyl)-2-hydroxy-3-methyl-4-oxobutanoate
1156	(1*R*,2*S*)-2-amino-1-{[(3-methylbutyl)amino]methyl}-3-[3-(trifluoromethoxy)phenyl]propyl 3-[(dipropylamino)sulfonyl]propanoate
1158	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4-[(5-methyl-1,3,4-thiadiazol-2-yl)amino]-4-oxobutanoate

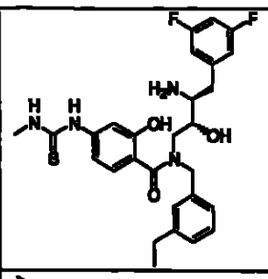
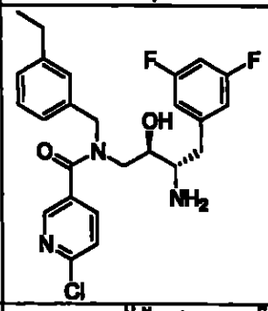
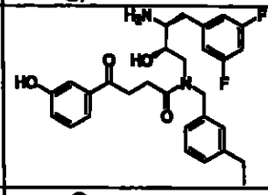
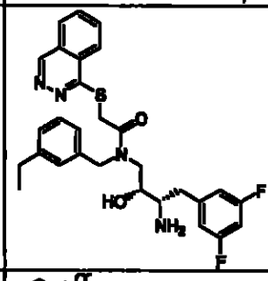
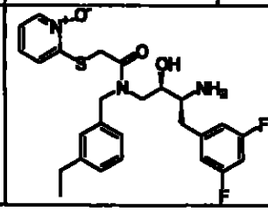
1160	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl (2-ethyl-1*H*-benzimidazol-1-yl)acetate	
1162	(1*R*,2*S*)-2-amino-3-(1,3-benzodioxol-5-yl)-1-{[(3-methoxybenzyl)amino]methyl}propyl 3-[(dipropylamino)sulfonyl]propanoate	
1164	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(2-oxo-1,3-benzoxazol-3(2*H*)-yl)propanoate	
1166	(1*R*,2*S*)-2-amino-3-(3,5-dichlorophenyl)-1-{[(3-methylbutyl)amino]methyl}propyl 3-[(dipropylamino)sulfonyl]propanoate	
1168	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4-[(6-methylpyridin-2-yl)amino]-4-oxobutanoate	
1170	4-((1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl) 3-ethyl (4*R*)-1,3-oxazolidine-3,4-dicarboxylate	
987	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-methoxybenzyl)-3-methyl-5-(2-methylbenzoyl)benzamide	
989		*N*-[(2*R*,3*S*)-3-amino-2-hydroxy-4-(4-hydroxyphenyl)butyl]-*N*-(3-methoxybenzyl)-*N'*,*N'*-dipropylpentanediamide
991		*N*^{1}-[(2*R*,3*S*)-3-amino-2-hydroxy-4-(4-methylphenyl)butyl]-*N*^{1}-benzyl-*N*^{3},*N*^{3}-dipropylbenzene-1,3,5-tricarboxamide
993		*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-4-propoxybenzamide

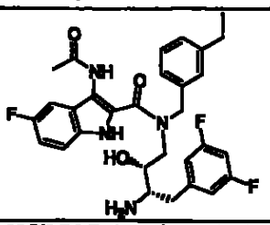
995		*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-1-methyl-1*H*-indole-2-carboxamide
997		*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-chloro-*N*-(3-ethylbenzyl)-2-(3-methyl-4*H*-1,2,4-triazol-4-yl)benzamide
999		*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-4-(3,4-difluorophenyl)-*N*-(3-ethylbenzyl)-2-methoxy-4-oxobutanamide
1001		*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-2-[3-(2-thienyl)-1*H*-pyrazol-1-yl]acetamide
1003	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-*N'*-phenylpentanediamide	
1005	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-2-(2-thioxo-1,3-benzothiazol-3(2*H*)-yl)acetamide	
1007	*N*-[(2*R*,3*S*)-3-amino-4-cyclohexyl-2-hydroxybutyl]-*N*-benzyl-5-methyl-*N'*,*N'*-dipropylisophthalamide	
1009	N^1-[(2*R*,3*S*)-3-amino-2-hydroxy-4-(4-methoxyphenyl)butyl]-N^1-(3-methoxybenzyl)-N^3,N^3-dipropylbenzene-1,3,5-tricarboxamide	
1011	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-2-(3-hydroxy-4-methylphenyl)acetamide	
1013	*N*-{(2*R*,3*S*)-3-amino-4-[3-fluoro-5-(trifluoromethyl)phenyl]-2-hydroxybutyl}-5-methyl-*N*-(3-methylbutyl)-*N'*,*N'*-dipropylisophthalamide	

1015	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-7-fluoro-4*H*-imidazo[5,1-c][1,4]benzoxazine-3-carboxamide
1017	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-4-(3,4-dihydro-2*H*-1,5-benzodioxepin-7-yl)-*N*-(3-ethylbenzyl)-4-oxobutanamide
1019	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-1-benzofuran-3-carboxamide
1021	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N'*-(3,4-dichlorophenyl)-*N*-(3-ethylbenzyl)malonamide
1023	*N*^{1}-{(2*R*,3*S*)-3-amino-4-[3-fluoro-5-(trifluoromethyl)phenyl]-2-hydroxybutyl}-*N*^{1}-benzyl-*N*^{3},*N*^{3}-dipropylbenzene-1,3,5-tricarboxamide
1025	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-[(1*R*)-2-hydroxy-1-methylethyl]-5-methyl-*N*',*N*'-dipropylisophthalamide
1027	*N*-[(2*R*,3*S*)-3-amino-2-hydroxy-4-(3-methylphenyl)butyl]-*N*-benzyl-5-methyl-*N*',*N*'-dipropylisophthalamide
1029	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-*N*'-pyridin-3-ylpentanediamide
1031	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 2-methyl-4-oxo-4*H*-chromene-6-carboxylate
1033	2-({2-[[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl](3-ethylbenzyl)amino]-2-oxoethyl}thio)-*N*-(5-methylisoxazol-3-yl)acetamide
1035	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-[3-(1*H*-imidazol-1-yl)propyl]-5-methyl-*N*',*N*'-dipropylisophthalamide
1037	*N*-{(2*R*,3*S*)-3-amino-4-[3-fluoro-5-(trifluoromethyl)phenyl]-2-hydroxybutyl}-3-[(dipropylamino)sulfonyl]-*N*-(3-methoxybenzyl)propanamide
1039	*N*-[(2*R*,3*S*)-3-amino-2-hydroxy-4-(4-hydroxyphenyl)butyl]-3-[(dipropylamino)sulfonyl]-*N*-(3-methylbutyl)propanamide
1041	*N*-[(2*R*,3*S*)-3-amino-4-(1,3-benzodioxol-5-yl)-2-hydroxybutyl]-5-methyl-*N*-(3-methylbutyl)-*N*',*N*'-dipropylisophthalamide
1043	*N*-[(2*R*,3*S*)-3-amino-2-hydroxy-4-(2-thienyl)butyl]-3-[(dipropylamino)sulfonyl]-*N*-(3-methylbutyl)propanamide
1045	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-4-[(2,2-dimethylpropanoyl)amino]-*N*-(3-ethylbenzyl)-2-hydroxybenzamide
1047	*N*-[(2*R*,3*S*)-3-amino-2-hydroxy-4-(3-methoxyphenyl)butyl]-5-methyl-*N*-(3-methylbutyl)-*N*',*N*'-dipropylisophthalamide
1049	*N*-[(2*R*,3*S*)-3-amino-4-(4-fluorophenyl)-2-hydroxybutyl]-3-{[(3-methoxybenzyl)amino]sulfonyl}-*N*-[3-(trifluoromethyl)benzyl]benzamide
1051	*N*-{(2*R*,3*S*)-3-amino-2-hydroxy-4-[3-(trifluoromethyl)phenyl]butyl}-5-methyl-*N*-(3-methylbutyl)-*N*',*N*'-dipropylisophthalamide
1053	*N*-{6-[[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl](3-ethylbenzyl)amino]-6-oxohexyl}-2-furamide
1055	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-2-[(1-phenyl-4,5-dihydro-1*H*-tetrazol-5-yl)thio]acetamide
1057	*N*-[(2*S*,3*S*)-3-amino-2-hydroxy-4-phenylbutyl]-3-{[(3-methoxybenzyl)amino]sulfonyl}-*N*-[3-(trifluoromethyl)benzyl]benzamide
1059	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-4-(3,4-dihydro-2*H*-chromen-6-yl)-*N*-(3-ethylbenzyl)-4-oxobutanamide
1061	*N*^{1}-[(2*R*,3*S*)-3-amino-2-hydroxy-4-(3-methoxyphenyl)butyl]-*N*^{1}-(3-methylbutyl)-*N*^{3},*N*^{3}-dipropylbenzene-1,3,5-tricarboxamide

1063	*N*-[(2*R*,3*S*)-3-amino-4-(3-fluoro-4-methylphenyl)-2-hydroxybutyl]-*N*-(3-methoxybenzyl)-*N'*,*N'*-dipropylpentanediamide
1065	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)indolizine-2-carboxamide
1067	*N*-{(2*R*,3*S*)-3-amino-2-hydroxy-4-[3-(trifluoromethoxy)phenyl]butyl}-*N*-benzyl-5-methyl-*N'*,*N'*-dipropylisophthalamide
1069	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)nicotinamide 1-oxide
1071	*N*-{(2*R*,3*S*)-3-amino-4-[3-(benzyloxy)-5-fluorophenyl]-2-hydroxybutyl}-3-[(dipropylamino)sulfonyl]-*N*-(3-methylbutyl)propanamide
1073	2-[[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl](3-iodobenzyl)amino]-2-oxoethyl carbamate
1075	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-2,3-dihydro-1*H*-cyclopenta[*b*]quinoline-9-carboxamide
1077	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-3-methyl-1*H*-pyrazole-5-carboxamide
1079	*N*-{5-[[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl](3-ethylbenzyl)amino]-5-oxopentyl}benzamide
1081	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-4-[(methoxymethyl)thio]benzamide
1083	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-3-(1,3-benzothiazol-2-yl)-*N*-(3-ethylbenzyl)-3-methoxypropanamide
1085	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-3-{[(methylamino)carbonyl]amino}-3-(3-thienyl)propanamide
1087	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-5-pyridin-2-ylthiophene-2-carboxamide
1089	N^1-{(2*R*,3*S*)-3-amino-4-[3-(benzyloxy)-5-fluorophenyl]-2-hydroxybutyl}-N^1-benzyl-N^3,N^3-dipropylbenzene-1,3,5-tricarboxamide
1091	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-2-(5,6-dimethyl-2,4-dioxo-1,2,3,4-tetrahydropyridin-3-yl)-*N*-(3-ethylbenzyl)acetamide
1093	*N*-[(2*R*,3*S*)-3-amino-4-(3-fluoro-4-methoxyphenyl)-2-hydroxybutyl]-5-methyl-*N*-(3-methylbutyl)-*N'*,*N'*-dipropylisophthalamide
1095	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-2-isobutyl-1,3-dioxoisoindoline-5-carboxamide
1097	5-(acetylamino)-*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-2-furamide
1099	N^1-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N^1-(3-ethylbenzyl)-N^2-[(4-methoxyphenyl)acetyl]glycinamide
1101	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)isoquinoline-4-carboxamide
1103	N^1-{(2*R*,3*S*)-3-amino-4-[3-(benzyloxy)phenyl]-2-hydroxybutyl}-N^1-(3-methylbutyl)-N^3,N^3-dipropylbenzene-1,3,5-tricarboxamide
1105	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-2-(4-hydroxy-3-methoxyphenyl)acetamide
1107	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-2-[(4-phenyl-4*H*-1,2,4-triazol-3-yl)thio]acetamide
1109	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-2-(3,5-

	dimethoxyphenyl)-*N*-(3-ethylbenzyl)acetamide	
1111	*N*-[(2*R*,3*S*)-3-amino-2-hydroxy-4-(3-methoxyphenyl)butyl]-*N*-benzyl-5-methyl-*N'*,*N'*-dipropylisophthalamide	
1113	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-2-(2-ethyl-4*H*-[1,2,4]triazolo[1,5-*a*]benzimidazol-4-yl)acetamide	
1115	*N*-[(2*R*,3*S*)-3-amino-4-(2-furyl)-2-hydroxybutyl]-*N*-benzyl-5-methyl-*N'*,*N'*-dipropylisophthalamide	
1117	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-7-chloro-*N*-(3-ethylbenzyl)-1-benzofuran-2-carboxamide	
1119	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-2-(1,3-dioxo-1,3-dihydro-2*H*-isoindol-2-yl)-*N*-(3-ethylbenzyl)propanamide	
1121	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-3-(2-oxo-2*H*-1,3-benzoxazin-3(4*H*)-yl)propanamide	
1123	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-2-(pyrimidin-2-ylthio)acetamide	
1125	*N'*-[3-(aminocarbonyl)-4,5,6,7-tetrahydro-1-benzothien-2-yl]-*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)succinamide	
1127	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-2-[(5-phenyl-1,3,4-oxadiazol-2-yl)thio]acetamide	
1129	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)quinoline-6-carboxamide	
1131		*N*^{1}-[(2*R*,3*S*)-3-amino-4-(2-furyl)-2-hydroxybutyl]-*N*^{1}-benzyl-*N*^{3},*N*^{3}-dipropylbenzene-1,3,5-tricarboxamide
1133		*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-4-(2,3-dihydro-1,4-benzodioxin-6-yl)-*N*-(3-ethylbenzyl)-4-oxobutanamide
1135		*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-3-(1*H*-indol-3-yl)-1*H*-pyrazole-5-carboxamide

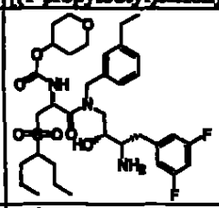
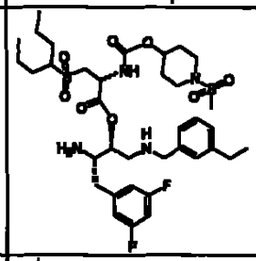
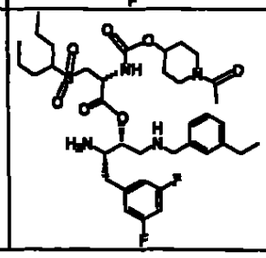
1137		*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-2-hydroxy-4-{[(methylamino)carbonothioyl]amino}benzamide
1139		*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-6-chloro-*N*-(3-ethylbenzyl)nicotinamide
1141		*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-4-(3-hydroxyphenyl)-4-oxobutanamide
1143		*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-2-(phthalazin-1-ylthio)acetamide
1145		*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-2-[(1-oxidopyridin-2-yl)thio]acetamide

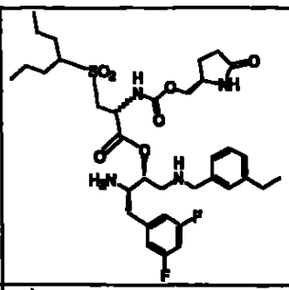
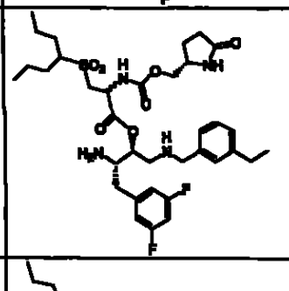
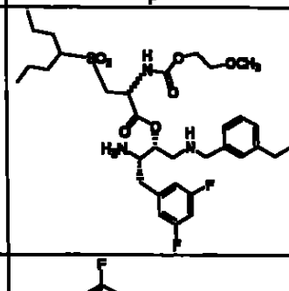
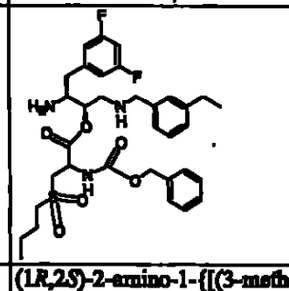
1147		3-(acetylamino)-*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-5-fluoro-1*H*-indole-2-carboxamide
1149	*N*-[(2*S*,3*S*)-3-amino-2-hydroxy-4-phenylbutyl]-3-{[(3-chlorobenzyl)amino]sulfonyl}-*N*-[3-(trifluoromethyl)benzyl]benzamide	
1151	*N*^{1}-[(2*R*,3*S*)-3-amino-4-[4-(benzyloxy)phenyl]-2-hydroxybutyl]-*N*^{1}-(3-methoxybenzyl)-*N*^{3},*N*^{3}-dipropylbenzene-1,3,5-tricarboxamide	
1153	*N*^{1}-[(2*R*,3*S*)-3-amino-4-(1,3-benzodioxol-5-yl)-2-hydroxybutyl]-*N*^{1}-benzyl-*N*^{3},*N*^{3}-dipropylbenzene-1,3,5-tricarboxamide	
1155	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-4-(3,4-dichlorophenyl)-*N*-(3-ethylbenzyl)-2-hydroxy-3-methyl-4-oxobutanamide	
1157	*N*-{(2*R*,3*S*)-3-amino-2-hydroxy-4-[3-(trifluoromethoxy)phenyl]butyl}-3-[(dipropylamino)sulfonyl]-*N*-(3-methylbutyl)propanamide	
1159	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-*N*'-(5-methyl-1,3,4-thiadiazol-2-yl)succinamide	
1161	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-2-(2-ethyl-1*H*-benzimidazol-1-yl)-*N*-(3-ethylbenzyl)acetamide	
1163	*N*-[(2*R*,3*S*)-3-amino-4-(1,3-benzodioxol-5-yl)-2-hydroxybutyl]-3-[(dipropylamino)sulfonyl]-*N*-(3-methoxybenzyl)propanamide	
1165	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-3-(2-oxo-1,3-benzoxazol-3(2*H*)-yl)propanamide	
1167	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-dichlorophenyl)-2-hydroxybutyl]-3-[(dipropylamino)sulfonyl]-*N*-(3-methylbutyl)propanamide	
1169	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-*N*'-(6-methylpyridin-2-yl)succinamide	
1171	ethyl (4*R*)-4-{[[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl](3-ethylbenzyl)amino]carbonyl}-1,3-oxazolidine-3-carboxylate	
1172	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(butylsulfinyl)-*N*-(methoxycarbonyl)-D-alaninate	
1174	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *S*-butyl-*N*-(methoxycarbonyl)-D-cysteinate	
1176	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-[(benzyloxy)carbonyl]-3-[(4,4,4-trifluorobutyl)sulfonyl]-D-alaninate	
1178	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-[(benzyloxy)carbonyl]-3-[(4,4,4-trifluorobutyl)sulfinyl]-D-alaninate	
1180	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-[(benzyloxy)carbonyl]-*S*-(4,4,4-trifluorobutyl)-D-cysteinate	
1182	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(butylsulfonyl)-*N*-(methoxycarbonyl)-D-	

	alaninate
1184	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(butylsulfonyl)-*N*-[(2,2,2-trifluoroethoxy)carbonyl]-D-alaninate
1186	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(butylsulfonyl)-*N*-[(2-cyanoethoxy)carbonyl]-D-alaninate
1188	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(butylsulfonyl)-*N*-{[(3*R*)-pyrrolidin-3-yloxy]carbonyl}-D-alaninate
1190	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(butylsulfonyl)-*N*-{[(3*S*)-tetrahydrofuran-3-yloxy]carbonyl}-D-alaninate
1192	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-{[2-(acetylamino)ethoxy]carbonyl}-3-(butylsulfonyl)-D-alaninate
1194	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(butylsulfonyl)-*N*-[(pyridin-3-ylmethoxy)carbonyl]-D-alaninate
1196	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(butylsulfonyl)-*N*-[(pyridin-4-ylmethoxy)carbonyl]-D-alaninate
1198	(1*R*,2*S*)-2-amino-1-[(cyclopropylamino)methyl]-3-(3,5-difluorophenyl)propyl 3-(butylsulfonyl)-*N*-(methoxycarbonyl)-D-alaninate
1200	(1*R*,2*S*)-2-amino-1-[(cyclopropylamino)methyl]-3-(3,5-difluorophenyl)propyl 3-(butylsulfonyl)-*N*-[(2-cyanoethoxy)carbonyl]-D-alaninate
1202	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-methylbutyl)amino]methyl}propyl *N*-[(benzyloxy)carbonyl]-3-(butylsulfonyl)-D-alaninate
1204	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-methylbutyl)amino]methyl}propyl 3-(butylsulfonyl)-*N*-(methoxycarbonyl)-D-alaninate
1206	(1*R*,2*S*)-2-amino-1-[(cyclopropylamino)methyl]-3-(3,5-difluorophenyl)propyl *N*-[(2-cyanoethoxy)carbonyl]-3-[(1-propylbutyl)sulfonyl]-D-alaninate
1208	(1*R*,2*S*)-2-amino-1-[(cyclopropylamino)methyl]-3-(3,5-difluorophenyl)propyl *N*-{[2-(acetylamino)ethoxy]carbonyl}-3-[(1-propylbutyl)sulfonyl]-D-alaninate
1210	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-methylbutyl)amino]methyl}propyl *N*-(methoxycarbonyl)-3-[(1-propylbutyl)sulfonyl]-D-alaninate
1212	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-methylbutyl)amino]methyl}propyl *N*-[(benzyloxy)carbonyl]-3-[(1-propylbutyl)sulfonyl]-D-alaninate
1214	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-{[2-(diethylamino)-2-oxoethoxy]carbonyl}-3-[(1-propylbutyl)sulfonyl]-D-alaninate
1216	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-(methoxycarbonyl)-3-[(1-propylbutyl)sulfonyl]-D-alaninate

1218	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-(isopropoxycarbonyl)-3-[(1-propylbutyl)sulfonyl]-D-alaninate
1220	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-[(cyclopropylmethoxy)carbonyl]-3-[(1-propylbutyl)sulfonyl]-D-alaninate
1222	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-[(allyloxy)carbonyl]-3-[(1-propylbutyl)sulfonyl]-D-alaninate
1224	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-[(2-cyanoethoxy)carbonyl]-3-[(1-propylbutyl)sulfonyl]-D-alaninate
1226	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-{[2-(acetylamino)ethoxy]carbonyl}-3-[(1-propylbutyl)sulfonyl]-D-alaninate
1228	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(1-propylbutyl)sulfonyl]-*N*-[(pyridin-3-ylmethoxy)carbonyl]-D-alaninate
1230	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(1-propylbutyl)sulfonyl]-*N*-[(pyridin-4-ylmethoxy)carbonyl]-D-alaninate
1232	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl (2*R*)-2-{[(benzyloxy)carbonyl]amino}-4-(methylsulfonyl)butanoate
1234	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-[(benzyloxy)carbonyl]-3-(butylsulfonyl)-D-alaninate
1236	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-[(benzyloxy)carbonyl]-3-(butylsulfonyl)-L-alaninate
1238	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[(1*R*)-2-hydroxy-1-phenylethyl]amino}methyl)propyl *N*-[(benzyloxy)carbonyl]-3-[(1-propylbutyl)sulfonyl]-D-alaninate
1240	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[(1*R*)-2-methoxy-1-phenylethyl]amino}methyl)propyl *N*-[(benzyloxy)carbonyl]-3-[(1-propylbutyl)sulfonyl]-D-alaninate
1242	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[(1*S*)-2-methoxy-1-phenylethyl]amino}methyl)propyl *N*-[(benzyloxy)carbonyl]-3-[(1-propylbutyl)sulfonyl]-D-alaninate
1244	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[1-(3-ethylphenyl)cyclopropyl]amino}methyl)propyl *N*-[(benzyloxy)carbonyl]-3-[(1-propylbutyl)sulfonyl]-D-alaninate
1246	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(1-propylbutyl)sulfonyl]-*N*-[(prop-2-yn-1-yloxy)carbonyl]-D-alaninate
1248	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-[(2-methoxyethoxy)carbonyl]-3-[(1-propylbutyl)sulfonyl]-D-alaninate

1250	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-({[(3*R*)-1-acetylpyrrolidin-3-yl]oxy}carbonyl)-3-[(1-propylbutyl)sulfonyl]-D-alaninate
1252	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(1-propylbutyl)sulfonyl]-*N*-{[(3*S*)-tetrahydrofuran-3-yloxy]carbonyl}-D-alaninate
1254	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(1-propylbutyl)sulfonyl]-*N*-{[(3*S*)-tetrahydrofuran-3-yloxy]carbonyl}-L-alaninate
1256	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-[(benzyloxy)carbonyl]-3-[(1-propylbutyl)sulfonyl]-D-alaninate
1258	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-[(benzyloxy)carbonyl]-3-[(1-propylbutyl)sulfonyl]-L-alaninate
1260	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[1-(3-ethylphenyl)cyclopropyl]amino}methyl)propyl *N*-[(benzyloxy)carbonyl]-3-[(1-propylbutyl)sulfonyl]alaninate
1262	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-[(benzyloxy)carbonyl]-3-[(1-propylbutyl)sulfonyl]alaninate
1264	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-methylbutyl)amino]methyl}propyl *N*-[(benzyloxy)carbonyl]-3-[(1-propylbutyl)sulfonyl]alaninate
1266	(1*R*,2*S*)-2-amino-1-[(cyclopropylamino)methyl]-3-(3,5-difluorophenyl)propyl *N*-[(benzyloxy)carbonyl]-3-[(1-propylbutyl)sulfonyl]alaninate
1268	(1*R*,2*S*)-2-amino-1-{[(cyclopropylmethyl)amino]methyl}-3-(3,5-difluorophenyl)propyl *N*-[(benzyloxy)carbonyl]-3-[(1-propylbutyl)sulfonyl]alaninate
1270	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylphenyl)amino]methyl}propyl *N*-[(benzyloxy)carbonyl]-3-[(1-propylbutyl)sulfonyl]alaninate
1272	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-[({2-[3-(trifluoromethyl)phenyl]ethyl}amino)methyl]propyl *N*-[(benzyloxy)carbonyl]-3-[(1-propylbutyl)sulfonyl]alaninate
1274	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(1-propylbutyl)sulfonyl]-*N*-[(pyridin-3-ylmethoxy)carbonyl]alaninate
1276	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(1-propylbutyl)sulfonyl]-*N*-{[(3*S*)-tetrahydrofuran-3-yloxy]carbonyl}alaninate
1278	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(1-propylbutyl)sulfonyl]-*N*-{[(3*R*)-tetrahydrofuran-3-yloxy]carbonyl}alaninate
1280	(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl 3-[(1-propylbutyl)sulfonyl]-*N*-{[(3*S*)-tetrahydrofuran-3-yloxy]carbonyl}alaninate
1282	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-({[(3*R*)-1-acetylpyrrolidin-3-

	yl]oxy}carbonyl)-3-[(1-propylbutyl)sulfonyl]alaninate
1284	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(1-propylbutyl)sulfonyl]-*N*-{[(3*R*)-pyrrolidin-3-yloxy]carbonyl}alaninate
1286	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-({[(3*R*)-1-benzylpyrrolidin-3-yl]oxy}carbonyl)-3-[(1-propylbutyl)sulfonyl]alaninate
1288	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-({[(3*R*)-1,1-dioxidotetrahydro-3-thienyl]oxy}carbonyl)-3-[(1-propylbutyl)sulfonyl]alaninate
1290	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(1-propylbutyl)sulfonyl]-*N*-{[(3*R*)-tetrahydro-3-thienyloxy]carbonyl}alaninate
1292	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-[(cyclopentyloxy)carbonyl]-3-[(1-propylbutyl)sulfonyl]alaninate
1294	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-[(cyclohexyloxy)carbonyl]-3-[(1-propylbutyl)sulfonyl]alaninate
1296	(1*R*,2*S*)-2-amino-1-[(cyclopropylamino)methyl]-3-(3,5-difluorophenyl)propyl 3-[(1-propylbutyl)sulfonyl]-*N*-[(tetrahydro-2*H*-pyran-4-yloxy)carbonyl]alaninate
1298	
1300	 (1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-({[1-(methylsulfonyl)piperidin-4-yl]oxy}carbonyl)-3-[(1-propylbutyl)sulfonyl]alaninate
1302	 (1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-{[(1-acetylpiperidin-4-yl)oxy]carbonyl}-3-[(1-propylbutyl)sulfonyl]alaninate

1304		(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-({[(2*R*)-5-oxopyrrolidin-2-yl]methoxy}carbonyl)-3-[(1-propylbutyl)sulfonyl]alaninate
1306		(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-({[(2*S*)-5-oxopyrrolidin-2-yl]methoxy}carbonyl)-3-[(1-propylbutyl)sulfonyl]alaninate
1308		(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-[(2-methoxyethoxy)carbonyl]-3-[(1-propylbutyl)sulfonyl]alaninate
1310		(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-[(benzyloxy)carbonyl]-3-(butylsulfonyl)alaninate
1312	(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl *N*-[(benzyloxy)carbonyl]-3-[(1-propylbutyl)sulfonyl]alaninate	
1314	*N*-{(1*S*,2*R*)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-hydroxy-4-(phenylsulfonyl)butanamide hydrochloride	
1316	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl N^2-[(benzyloxy)carbonyl]-N^5,N^5-dipropyl-L-glutaminate	
1318	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl N^2-[(benzyloxy)carbonyl]-N^5,N^5-dipropyl-D-glutaminate	

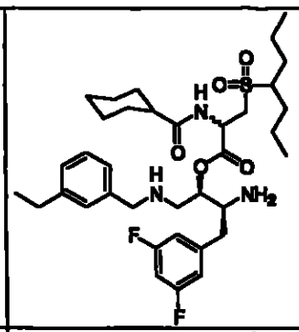
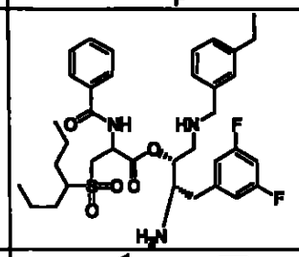
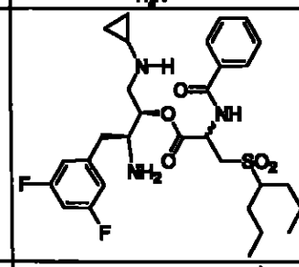
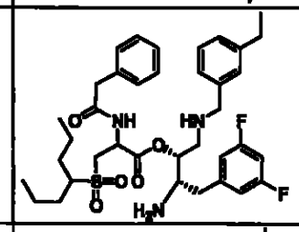
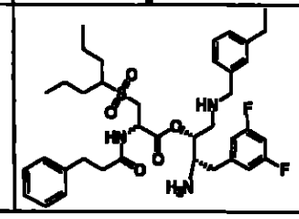
1320	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(butylsulfonyl)-*N*-(3,3,3-trifluoropropanoyl)-D-alaninate
1322	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(butylsulfonyl)-*N*-(trifluoroacetyl)-D-alaninate
1324	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-acetyl-3-(butylsulfonyl)-D-alaninate
1326	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(butylsulfonyl)-*N*-isonicotinoyl-D-alaninate
1328	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(butylsulfonyl)-*N*-(cyclopropylcarbonyl)-D-alaninate
1330	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl β-alanyl-3-(butylsulfonyl)-D-alaninate
1332	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl glycyl-3-(butylsulfonyl)-D-alaninate
1334	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*,*N*-dimethylglycyl-3-(butylsulfonyl)-D-alaninate
1336	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*,*N*-dimethyl-β-alanyl-3-(butylsulfonyl)-D-alaninate
1338	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(butylsulfonyl)-*N*-(methoxyacetyl)-D-alaninate
1340	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(butylsulfonyl)-*N*-(pyridin-3-ylcarbonyl)-D-alaninate
1342	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(butylsulfonyl)-*N*-[(2,4-dimethyl-1,3-thiazol-5-yl)carbonyl]-D-alaninate
1344	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(butylsulfonyl)-*N*-{[3-(trifluoromethyl)-1*H*-pyrazol-4-yl]carbonyl}-D-alaninate
1346	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(butylsulfonyl)-*N*-[(3-methyl-1*H*-pyrazol-5-yl)carbonyl]-D-alaninate
1348	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(butylsulfonyl)-*N*-(1*H*-imidazol-4-ylcarbonyl)-D-alaninate
1350	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl (2*R*)-5-hydroxy-2-[(methoxycarbonyl)amino]nonanoate
1352	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(butylsulfonyl)-*N*-[(6-hydroxypyridin-3-yl)carbonyl]-D-alaninate
1354	(1*R*,2*S*)-2-amino-1-[(cyclopropylamino)methyl]-3-(3,5-difluorophenyl)propyl 3-

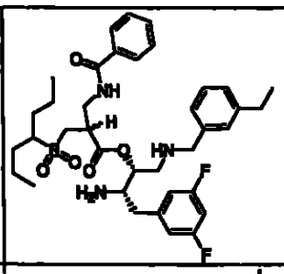
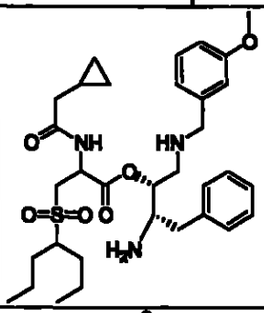
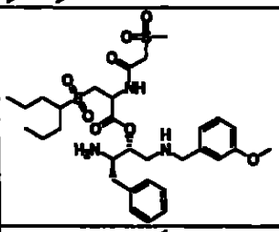
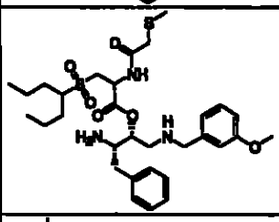
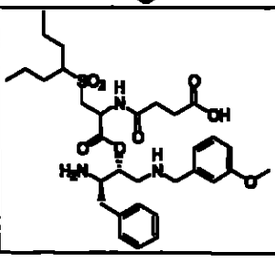
	(butylsulfonyl)-*N*-(pyridin-3-ylcarbonyl)-D-alaninate
1356	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-methylbutyl)amino]methyl}propyl *N*-acetyl-3-(butylsulfonyl)-D-alaninate
1358	(1*R*,2*S*)-2-amino-1-[(cyclopropylamino)methyl]-3-(3,5-difluorophenyl)propyl *N*-(cyclopropylcarbonyl)-3-[(1-propylbutyl)sulfonyl]-D-alaninate
1360	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-methylbutyl)amino]methyl}propyl *N*-acetyl-3-[(1-propylbutyl)sulfonyl]-D-alaninate
1362	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-isonicotinoyl-3-[(1-propylbutyl)sulfonyl]-D-alaninate
1364	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-[(5-bromopyridin-3-yl)carbonyl]-3-[(1-propylbutyl)sulfonyl]-D-alaninate
1366	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-[(5-chloropyridin-3-yl)carbonyl]-3-[(1-propylbutyl)sulfonyl]-D-alaninate
1368	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-(3-fluorobenzoyl)-3-[(1-propylbutyl)sulfonyl]-D-alaninate
1370	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-[(5-methylpyridin-3-yl)carbonyl]-3-[(1-propylbutyl)sulfonyl]-D-alaninate
1372	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-phenylglycyl-3-[(1-propylbutyl)sulfonyl]-D-alaninate
1374	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(1-propylbutyl)sulfonyl]-*N*-{[3-(trifluoromethyl)-1*H*-pyrazol-4-yl]carbonyl}-D-alaninate
1376	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-[(3-methyl-1*H*-pyrazol-5-yl)carbonyl]-3-[(1-propylbutyl)sulfonyl]-D-alaninate
1378	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(1-propylbutyl)sulfonyl]-*N*-(1,3-thiazol-4-ylcarbonyl)-D-alaninate
1380	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-[(1-acetylpiperidin-4-yl)carbonyl]-3-[(1-propylbutyl)sulfonyl]-D-alaninate
1382	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-[4-(acetylamino)butanoyl]-3-[(1-propylbutyl)sulfonyl]-D-alaninate
1384	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-acetyl-β-alanyl-3-[(1-propylbutyl)sulfonyl]-D-alaninate
1386	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-(chloroacetyl)-3-[(1-propylbutyl)sulfonyl]-D-alaninate
1388	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-

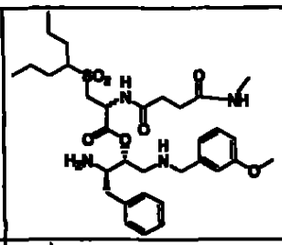
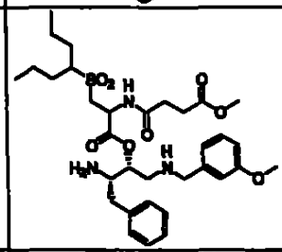
	ethylbenzyl)amino]methyl}propyl *N*-(methoxyacetyl)-3-[(1-propylbutyl)sulfonyl]-D-alaninate
1390	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-(3-methoxypropanoyl)-3-[(1-propylbutyl)sulfonyl]-D-alaninate
1392	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-(2,2-dimethylpropanoyl)-3-[(1-propylbutyl)sulfonyl]-D-alaninate
1394	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-isobutyryl-3-[(1-propylbutyl)sulfonyl]-D-alaninate
1396	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-butyryl-3-[(1-propylbutyl)sulfonyl]-D-alaninate
1398	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-acetyl-3-[(1-propylbutyl)sulfonyl]-D-alaninate
1400	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[1-(3-ethylphenyl)cyclopropyl]amino}methyl)propyl 3-[(1-propylbutyl)sulfonyl]-*N*-(pyridin-3-ylcarbonyl)-D-alaninate
1402	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[1-(3-ethylphenyl)cyclopropyl]amino}methyl)propyl *N*-isonicotinoyl-3-[(1-propylbutyl)sulfonyl]-D-alaninate
1404	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[1-(3-ethylphenyl)cyclopropyl]amino}methyl)propyl *N*-(3-hydroxybenzoyl)-3-[(1-propylbutyl)sulfonyl]-D-alaninate
1406	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(1-propylbutyl)sulfonyl]-*N*-(pyridin-3-ylcarbonyl)-D-alaninate
1408	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-(3-hydroxybenzoyl)-3-[(1-propylbutyl)sulfonyl]-D-alaninate
1410	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-(cyclopropylcarbonyl)-3-[(1-propylbutyl)sulfonyl]-D-alaninate
1412	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-propionyl-3-[(1-propylbutyl)sulfonyl]-D-alaninate
1414	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(butylsulfonyl)-*N*-(pyridin-3-ylcarbonyl)alaninate
1416	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[1-(3-ethylphenyl)cyclopropyl]amino}methyl)propyl *N*-(3-hydroxybenzoyl)-3-[(1-propylbutyl)sulfonyl]alaninate
1418	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[1-(3-ethylphenyl)cyclopropyl]amino}methyl)propyl *N*-isonicotinoyl-3-[(1-propylbutyl)sulfonyl]alaninate
1420	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-

	ethylbenzyl)amino]methyl}propyl *N*-[(6-oxo-1,4,5,6-tetrahydropyridazin-3-yl)carbonyl]-3-[(1-propylbutyl)sulfonyl]alaninate
1422	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 5-oxo-D-prolyl-3-[(1-propylbutyl)sulfonyl]alaninate hydrochloride
1424	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 5-oxo-L-prolyl-3-[(1-propylbutyl)sulfonyl]alaninate
1426	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-[3-(4-oxo-2-thioxo-1,3-thiazolidin-3-yl)propanoyl]-3-[(1-propylbutyl)sulfonyl]alaninate
1428	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-(piperidin-4-ylcarbonyl)-3-[(1-propylbutyl)sulfonyl]alaninate
1430	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-[(2,4-dimethyl-1,3-thiazol-5-yl)carbonyl]-3-[(1-propylbutyl)sulfonyl]alaninate
1432	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-{[2-methyl-4-(trifluoromethyl)-1,3-thiazol-5-yl]carbonyl}-3-[(1-propylbutyl)sulfonyl]alaninate
1434	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-[(3,5-dimethylisoxazol-4-yl)carbonyl]-3-[(1-propylbutyl)sulfonyl]alaninate
1436	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-[(3-methyl-1*H*-pyrazol-5-yl)carbonyl]-3-[(1-propylbutyl)sulfonyl]alaninate
1438	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(1-propylbutyl)sulfonyl]-*N*-(1*H*-pyrazol-4-ylcarbonyl)alaninate
1440	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-(1*H*-imidazol-5-ylcarbonyl)-3-[(1-propylbutyl)sulfonyl]alaninate
1442	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-(1*H*-imidazol-4-ylacetyl)-3-[(1-propylbutyl)sulfonyl]alaninate
1444	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(1-propylbutyl)sulfonyl]-*N*-(pyrazin-2-ylcarbonyl)alaninate
1446	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-(2,6-dihydroxyisonicotinoyl)-3-[(1-propylbutyl)sulfonyl]alaninate
1448	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-[(6-hydroxypyridin-3-yl)carbonyl]-3-[(1-propylbutyl)sulfonyl]alaninate
1450	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-[(6-chloropyridin-3-yl)carbonyl]-3-[(1-propylbutyl)sulfonyl]alaninate
1452	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-

	ethylbenzyl)amino]methyl}propyl *N*-isonicotinoyl-3-[(1-propylbutyl)sulfonyl]alaninate
1454	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(1-propylbutyl)sulfonyl]-*N*-(pyridin-3-ylcarbonyl)alaninate
1456	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(1-propylbutyl)sulfonyl]-*N*-(pyridin-2-ylcarbonyl)alaninate
1458	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-(1*H*-indol-6-ylcarbonyl)-3-[(1-propylbutyl)sulfonyl]alaninate hydrochloride
1460	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(1-propylbutyl)sulfonyl]-*N*-(3,4,5-trimethoxybenzoyl)alaninate
1462	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-(2-methylbenzoyl)-3-[(1-propylbutyl)sulfonyl]alaninate
1464	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-(3-hydroxybenzoyl)-3-[(1-propylbutyl)sulfonyl]alaninate
1466	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-(3-methylbenzoyl)-3-[(1-propylbutyl)sulfonyl]alaninate
1468	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-(3-ethylbenzoyl)-3-[(1-propylbutyl)sulfonyl]alaninate
1470	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-(3-chlorobenzoyl)-3-[(1-propylbutyl)sulfonyl]alaninate
1472	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(1-propylbutyl)sulfonyl]-*N*-[4-(trifluoromethyl)benzoyl]alaninate
1474	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-(4-methoxybenzoyl)-3-[(1-propylbutyl)sulfonyl]alaninate
1476	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(1-propylbutyl)sulfonyl]-*N*-[4-(trifluoromethyl)benzoyl]alaninate

1478		(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-(cyclohexylcarbonyl)-3-[(1-propylbutyl)sulfonyl]alaninate
1480		(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-benzoyl-3-[(1-propylbutyl)sulfonyl]alaninate
1482		(1*R*,2*S*)-2-amino-1-[(cyclopropylamino)methyl]-3-(3,5-difluorophenyl)propyl *N*-benzoyl-3-[(1-propylbutyl)sulfonyl]alaninate
1484		(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-(phenylacetyl)-3-[(1-propylbutyl)sulfonyl]alaninate
1486		(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-(3-phenylpropanoyl)-3-[(1-propylbutyl)sulfonyl]alaninate

1488		(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(benzoylamino)-2-{[(1-propylbutyl)sulfonyl]methyl}propanoate
1490		(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl *N*-(cyclopropylacetyl)-3-[(1-propylbutyl)sulfonyl]alaninate
1492		(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl *N*-[(methylsulfonyl)acetyl]-3-[(1-propylbutyl)sulfonyl]alaninate
1494		(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl *N*-[(methylthio)acetyl]-3-[(1-propylbutyl)sulfonyl]alaninate
1496		

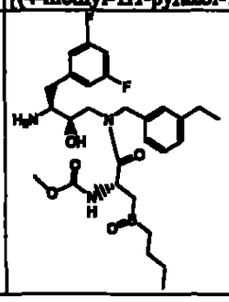
1498		(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl *N*-[4-(methylamino)-4-oxobutanoyl]-3-[(1-propylbutyl)sulfonyl]alaninate
1500		
1502	(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl *N*-(methylsulfonyl)glycyl-3-[(1-propylbutyl)sulfonyl]alaninate	
1504	(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl *N*-acetyl-3-(phenylsulfonyl)alaninate	
1506	(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl (2*S*)-2-[(4-methoxy-4-oxobutanoyl)amino]-5-oxo-5-piperidin-1-ylpentanoate	
1508	(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl (2*R*)-2-{[(benzyloxy)carbonyl]amino}-5-oxo-5-piperidin-1-ylpentanoate	
1510	(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl (2*R*)-2-[(3-ethoxy-3-oxopropanoyl)amino]-5-oxo-5-piperidin-1-ylpentanoate	
1512	(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl N^2-(4-methoxy-4-oxobutanoyl)-N^5,N^5-dipropyl-D-glutaminate	
1514	(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl (2*R*)-2-[(4-methoxy-4-oxobutanoyl)amino]-5-oxo-5-piperidin-1-ylpentanoate	
1516	(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl (2*R*)-2-[(5-methoxy-5-oxopentanoyl)amino]-5-oxo-5-piperidin-1-ylpentanoate	
1518	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 2-(acetyloxy)-3-(butylsulfonyl)propanoate	
1520	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *S*-butyl-D-cysteinate	
1522	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(butylsulfinyl)-D-alaninate	
1524	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(butylsulfonyl)-D-alaninate	
1526	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(butylsulfonyl)-L-alaninate	
1528	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-methylbutyl)amino]methyl}propyl 3-(butylsulfonyl)-D-alaninate	
1530	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[1-(3-ethylphenyl)cyclopropyl]amino}methyl)propyl 3-[(1-propylbutyl)sulfonyl]-D-alaninate	

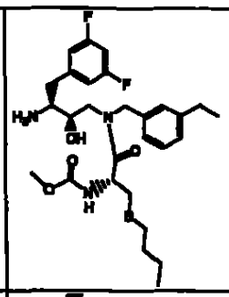
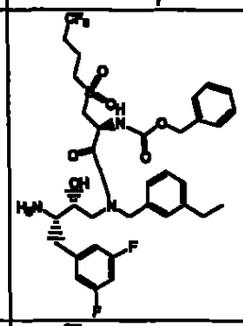
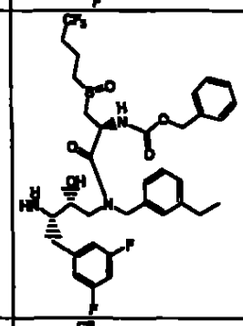
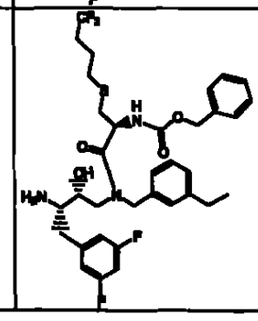
1532	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(1-propylbutyl)sulfonyl]-L-alaninate
1534	(1*R*,2*S*)-2-amino-1-[(cyclopropylamino)methyl]-3-(3,5-difluorophenyl)propyl 3-[(1-propylbutyl)sulfonyl]-D-alaninate
1536	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-methylbutyl)amino]methyl}propyl 3-[(1-propylbutyl)sulfonyl]-D-alaninate
1538	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(1-propylbutyl)sulfonyl]-D-alaninate
1540	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(1-propylbutyl)sulfonyl]alaninate
1542	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-(phenoxyacetyl)-3-[(1-propylbutyl)sulfonyl]alaninate
1544	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-{[(5-chloro-2-thienyl)thio]peroxy}-3-[(1-propylbutyl)sulfonyl]alaninate
1546	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-(phenylsulfonyl)-3-[(1-propylbutyl)sulfonyl]alaninate
1548	(1*R*,2*S*)-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}-2-(methylamino)propyl *N*-[(benzylamino)carbonyl]-3-[(1-propylbutyl)sulfonyl]alaninate
1550	4-{[(1*R*,2*S*)-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}-2-(methylamino)propyl]oxy}-4-oxo-3-{[(1-propylbutyl)sulfonyl]methyl}butanoic acid
1552	4-{((1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl)oxy}-3-{[(3-methylbutyl)sulfonyl]methyl}-4-oxobutanoic acid
1554	1-((1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl) 4-methyl 2-{[(3-methylbutyl)sulfonyl]methyl}succinate
1556	(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl 4-amino-2-{[(3-methylbutyl)sulfonyl]methyl}-4-oxobutanoate
1558	(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl 4-(methylamino)-2-{[(3-methylbutyl)sulfonyl]methyl}-4-oxobutanoate
1560	(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl 4-(dimethylamino)-2-{[(3-methylbutyl)sulfonyl]methyl}-4-oxobutanoate
1562	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(4,4-dimethyl-2,5-dioxoimidazolidin-1-yl)-2-{[(1-propylbutyl)sulfonyl]methyl}propanoate
1564	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(4,4-dimethyl-2,5-dioxoimidazolidin-1-yl)-2-{[(1-propylbutyl)sulfonyl]methyl}propanoate
1566	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(4,4-dimethyl-2,5-dioxoimidazolidin-1-yl)-2-{[(1-propylbutyl)sulfonyl]methyl}propanoate
1568	(1*R*,2*R*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl 3-(ethylsulfonyl)-2-{[(isobutylsulfonyl)amino]methyl}propanoate
1570	(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl 3-(ethylthio)-2-{[(isobutylsulfonyl)amino]methyl}propanoate

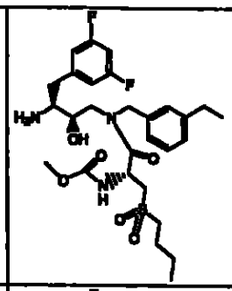
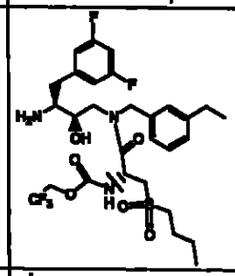
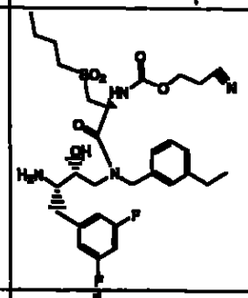
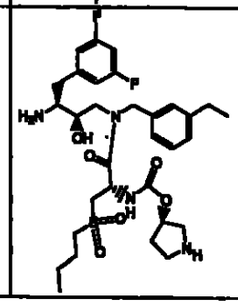
1572	(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl (2*S*)-2-{[(3-methylbutyl)sulfonyl]amino}-4-(methylsulfonyl)butanoate
1574	(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl *N*-[(3-methylbutyl)sulfonyl]-L-methioninate
1576	(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl 3-(acetylthio)-2-{[(3-methylbutyl)sulfonyl]methyl}propanoate
1578	(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl 2-hydroxy-3-[(1-propylbutyl)sulfonyl]propanoate
1580	(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl 2-hydroxy-3-[(3-methylbutyl)sulfonyl]propanoate
1582	(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl 2-hydroxy-3-[(3-methoxyphenyl)sulfonyl]propanoate
1584	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 2-hydroxy-4-(phenylsulfonyl)butanoate
1586	(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl 2-hydroxy-4-[(3-methylbutyl)sulfonyl]butanoate
1588	(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl 4-[(3-methylbutyl)sulfonyl]-2-phenoxybutanoate
1590	(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl 2-(3-methoxyphenoxy)-4-[(3-methylbutyl)sulfonyl]butanoate
1592	3-{1-{[((1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl)oxy]carbonyl}-3-[(3-methylbutyl)sulfonyl]propoxy}benzoic acid
1594	methyl 3-{1-{[((1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl)oxy]carbonyl}-3-[(3-methylbutyl)sulfonyl]propoxy}benzoate
1596	(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl 2-hydroxy-4-(phenylsulfonyl)butanoate
1598	(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl 2-hydroxy-4-(phenylthio)butanoate
1600	(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl 2-methoxy-4-(phenylsulfonyl)butanoate
1602	(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl 2-methoxy-4-(phenylthio)butanoate
1604	(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl 4-(phenylsulfonyl)-2-propoxybutanoate
1606	(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl 2-(benzyloxy)-4-(phenylsulfonyl)butanoate
1608	(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl *N*-[(benzyloxy)carbonyl]methioninate
1610	(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl (2*S*)-2-amino-5-oxo-5-piperidin-1-ylpentanoate
1612	(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl (2*S*)-2-[(2-methoxy-2-oxoethyl)amino]-5-oxo-5-piperidin-1-ylpentanoate
1614	(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl (2*R*)-2-amino-5-oxo-5-piperidin-1-ylpentanoate
1616	(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl (2*R*)-2-[(2-ethoxy-2-oxoethyl)amino]-5-oxo-5-piperidin-1-ylpentanoate
1618	(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl (2*R*)-2-[(4-ethoxy-4-oxobutyl)amino]-5-oxo-5-piperidin-1-ylpentanoate

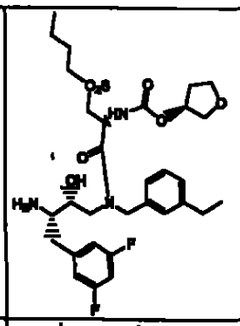
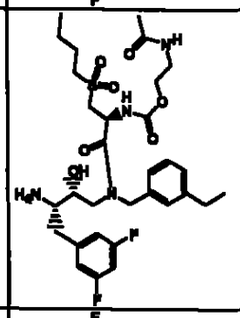
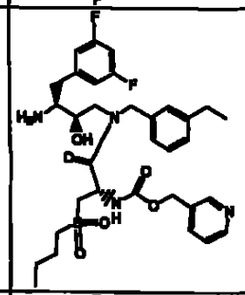
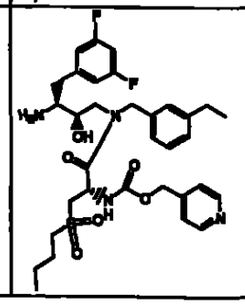
1620	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl (2*R*)-2-[(methoxycarbonyl)amino]-4-oxooctanoate
1622	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4-butyl-*N*-(methoxycarbonyl)-D-homoserinate
1624	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(2-butyl-1,3-dioxolan-2-yl)-*N*-(methoxycarbonyl)-D-alaninate
1626	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(2-butyl-1,3-dioxan-2-yl)-*N*-(methoxycarbonyl)-D-alaninate
1628	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl (2*R*)-4,4-difluoro-2-[(methoxycarbonyl)amino]octanoate
1630	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl (2*R*)-4-fluoro-2-[(methoxycarbonyl)amino]octanoate
1632	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl (2*R*)-2-[(methoxycarbonyl)amino]-5-oxononanoate
1634	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl (2*R*)-5-hydroxy-2-[(methoxycarbonyl)amino]nonanoate
1636	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl (2*R*)-4-(2-butyl-1,3-dioxolan-2-yl)-2-[(methoxycarbonyl)amino]butanoate
1638	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl (2*R*)-4-(2-butyl-1,3-dioxan-2-yl)-2-[(methoxycarbonyl)amino]butanoate
1640	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl (2*R*)-5-fluoro-2-[(methoxycarbonyl)amino]nonanoate
1642	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl (2*R*)-5,5-difluoro-2-[(methoxycarbonyl)amino]nonanoate
1644	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethynylbenzyl)amino]methyl}propyl 3-(butylsulfonyl)-*N*-(methoxycarbonyl)-D-alaninate
1646	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[3-(trifluoromethyl)benzyl]amino}methyl)propyl 3-(butylsulfonyl)-*N*-(methoxycarbonyl)-D-alaninate
1648	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[1-(3-ethylphenyl)cyclopropyl]amino}methyl)propyl 3-(butylsulfonyl)-*N*-(methoxycarbonyl)-D-alaninate
1650	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[1-(3-ethynylphenyl)cyclopropyl]amino}methyl)propyl 3-(butylsulfonyl)-*N*-(methoxycarbonyl)-D-alaninate
1652	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-[({1-[3-

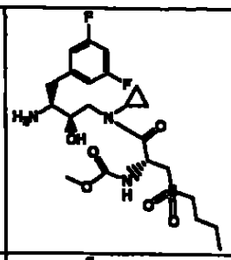
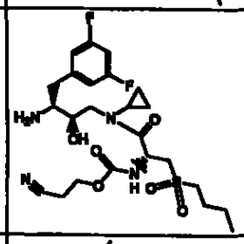
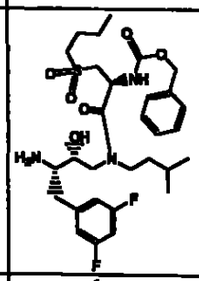
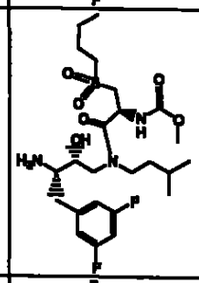
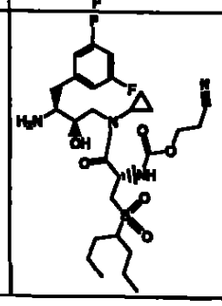
	(trifluoromethyl)phenyl]cyclopropyl}amino)methyl]propyl 3-(butylsulfonyl)-*N*-(methoxycarbonyl)-D-alaninate
1654	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethynylbenzyl)amino]methyl}propyl 3-(butylsulfonyl)-*N*-[(3-methyl-1*H*-pyrazol-5-yl)carbonyl]-D-alaninate
1656	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[3-(trifluoromethyl)benzyl]amino}methyl)propyl 3-(butylsulfonyl)-*N*-[(3-methyl-1*H*-pyrazol-5-yl)carbonyl]-D-alaninate
1658	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[1-(3-ethylphenyl)cyclopropyl]amino}methyl)propyl 3-(butylsulfonyl)-*N*-[(3-methyl-1*H*-pyrazol-5-yl)carbonyl]-D-alaninate
1660	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[1-(3-ethynylphenyl)cyclopropyl]amino}methyl)propyl 3-(butylsulfonyl)-*N*-[(3-methyl-1*H*-pyrazol-5-yl)carbonyl]-D-alaninate
1662	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{({1-[3-(trifluoromethyl)phenyl]cyclopropyl}amino)methyl]propyl 3-(butylsulfonyl)-*N*-[(3-methyl-1*H*-pyrazol-5-yl)carbonyl]-D-alaninate
1664	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl (2*R*)-2-{[(methylamino)carbonyl]amino}-4-oxooctanoate
1666	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4-butyl-*N*-[(methylamino)carbonyl]-D-homoserinate
1668	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(2-butyl-1,3-dioxolan-2-yl)-*N*-[(methylamino)carbonyl]-D-alaninate
1670	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(2-butyl-1,3-dioxan-2-yl)-*N*-[(methylamino)carbonyl]-D-alaninate
1672	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl (2*R*)-4,4-difluoro-2-{[(methylamino)carbonyl]amino}octanoate
1674	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl (2*R*)-4-fluoro-2-{[(methylamino)carbonyl]amino}octanoate
1676	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl (2*R*)-2-{[(methylamino)carbonyl]amino}-5-oxononanoate
1678	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl (2*R*)-5-hydroxy-2-{[(methylamino)carbonyl]amino}nonanoate
1680	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl (2*R*)-4-(2-butyl-1,3-dioxolan-2-yl)-2-{[(methylamino)carbonyl]amino}butanoate
1682	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl (2*R*)-4-(2-butyl-1,3-dioxan-2-yl)-2-{[(methylamino)carbonyl]amino}butanoate
1684	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-

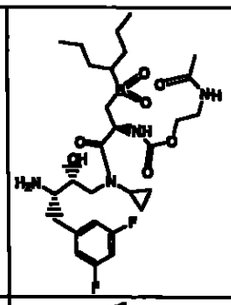
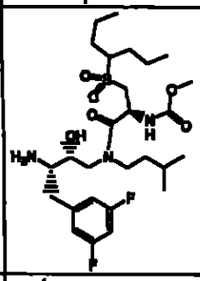
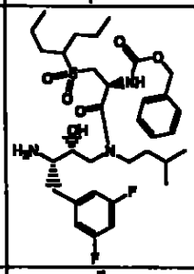
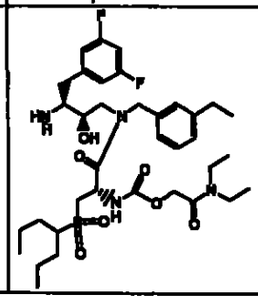
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1686	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl (2*R*)-5,5-difluoro-2-{[(methylamino)carbonyl]amino}nonanoate
1688	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethynylbenzyl)amino]methyl}propyl 3-(butylsulfonyl)-*N*-[(methylamino)carbonyl]-D-alaninate
1690	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[3-(trifluoromethyl)benzyl]amino}methyl)propyl 3-(butylsulfonyl)-*N*-[(methylamino)carbonyl]-D-alaninate
1692	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[1-(3-ethylphenyl)cyclopropyl]amino}methyl)propyl 3-(butylsulfonyl)-*N*-[(methylamino)carbonyl]-D-alaninate
1694	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[1-(3-ethynylphenyl)cyclopropyl]amino}methyl)propyl 3-(butylsulfonyl)-*N*-[(methylamino)carbonyl]-D-alaninate
1696	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-[({1-[3-(trifluoromethyl)phenyl]cyclopropyl}amino)methyl]propyl 3-(butylsulfonyl)-*N*-[(methylamino)carbonyl]-D-alaninate
1698	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethynylbenzyl)amino]methyl}propyl 3-(butylsulfonyl)-*N*-[(4-methyl-1*H*-pyrazol-1-yl)carbonyl]-D-alaninate
1700	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[3-(trifluoromethyl)benzyl]amino}methyl)propyl 3-(butylsulfonyl)-*N*-[(4-methyl-1*H*-pyrazol-1-yl)carbonyl]-D-alaninate
1702	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[1-(3-ethylphenyl)cyclopropyl]amino}methyl)propyl 3-(butylsulfonyl)-*N*-[(4-methyl-1*H*-pyrazol-1-yl)carbonyl]-D-alaninate
1704	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[1-(3-ethynylphenyl)cyclopropyl]amino}methyl)propyl 3-(butylsulfonyl)-*N*-[(4-methyl-1*H*-pyrazol-1-yl)carbonyl]-D-alaninate
1706	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-[({1-[3-(trifluoromethyl)phenyl]cyclopropyl}amino)methyl]propyl 3-(butylsulfonyl)-*N*-[(4-methyl-1*H*-pyrazol-1-yl)carbonyl]-D-alaninate
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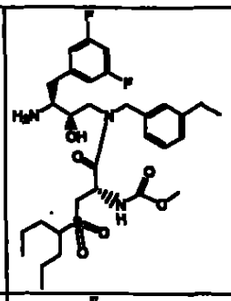
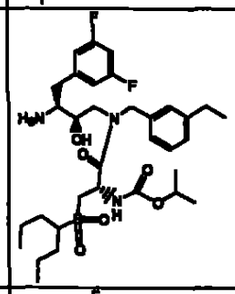
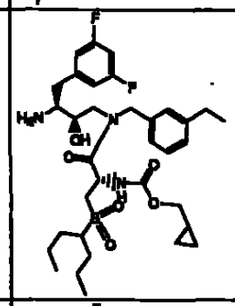
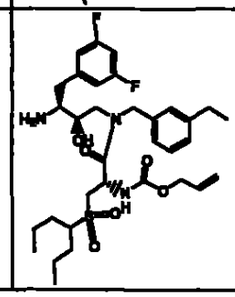
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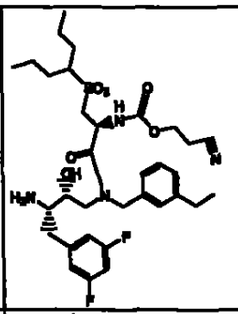
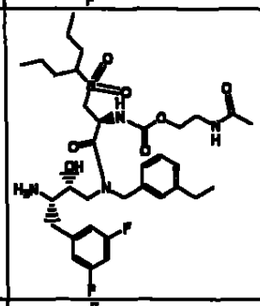
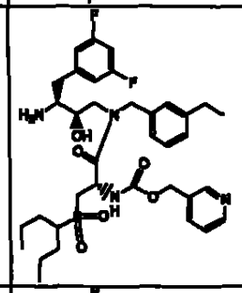
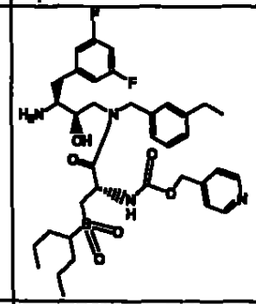
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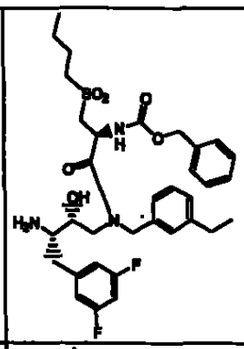
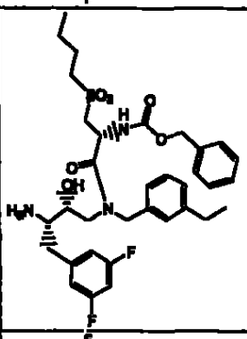
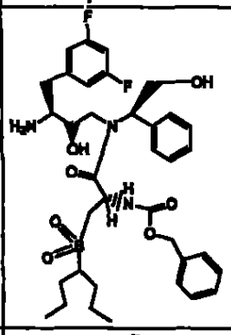
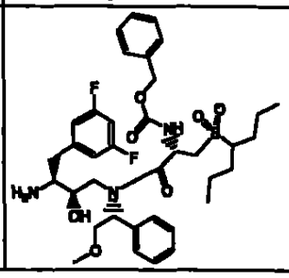
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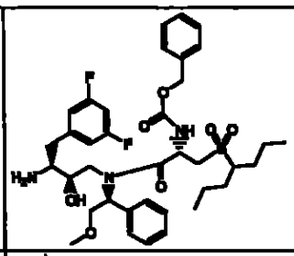
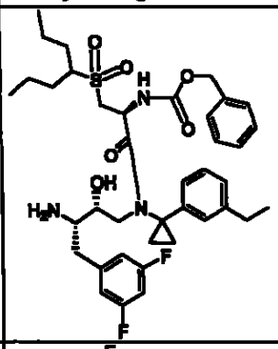
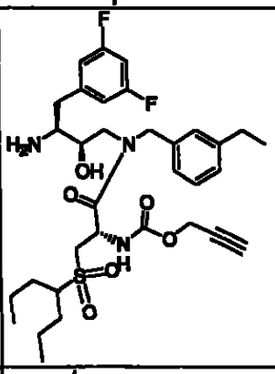
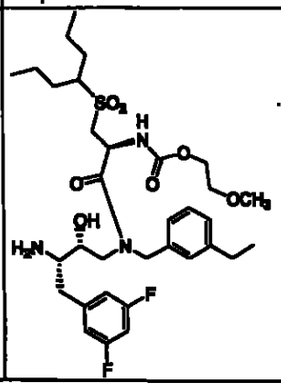
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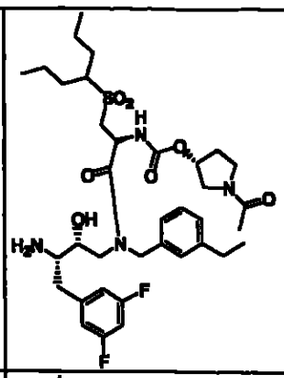
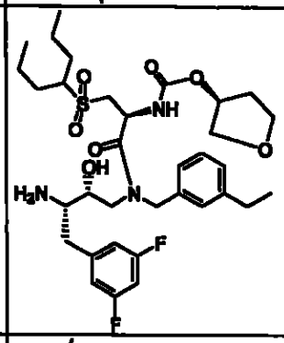
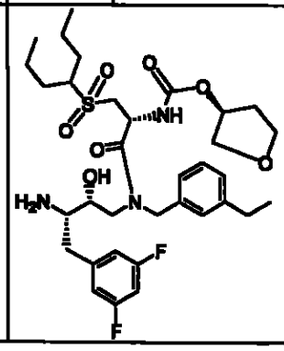
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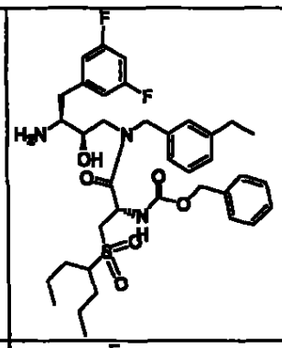
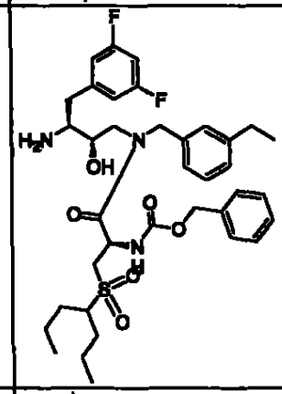
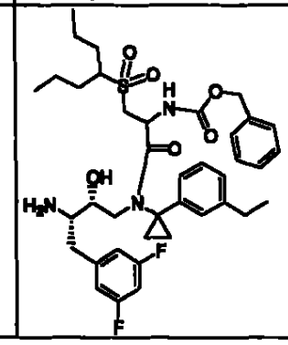
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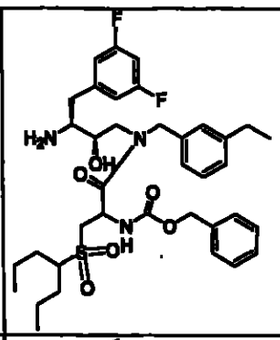
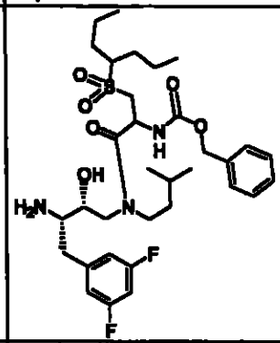
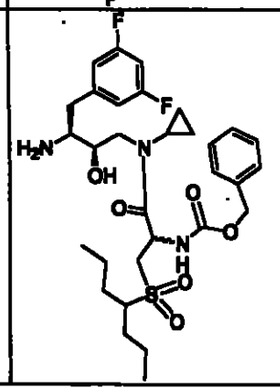
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1233	benzyl [(1*R*)-1-{[[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl](3-ethylbenzyl)amino]carbonyl}-3-(methylsulfonyl)propyl]carbamate	

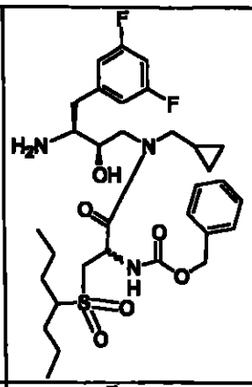
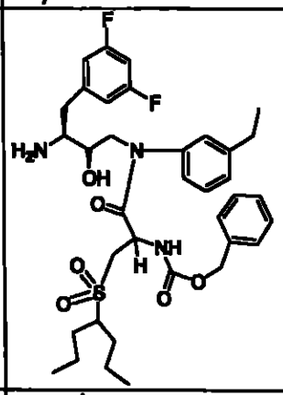
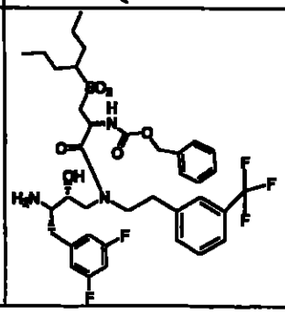
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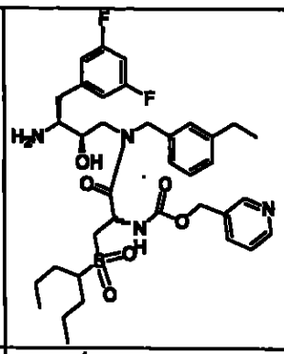
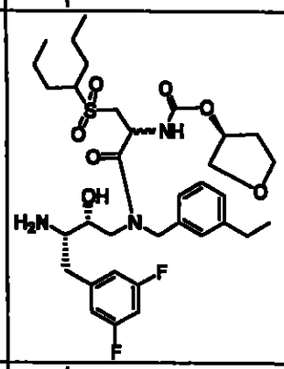
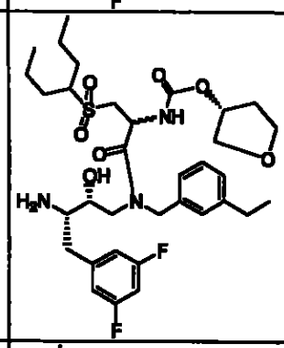
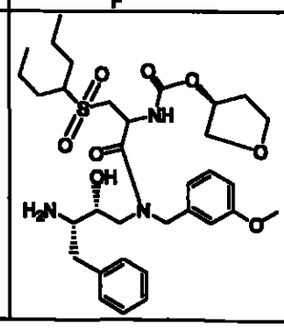
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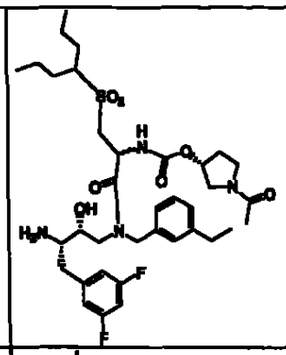
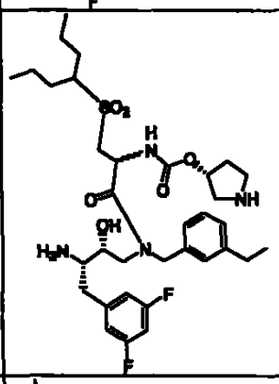
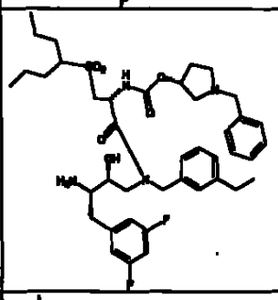
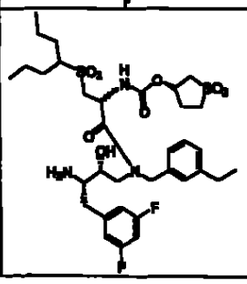
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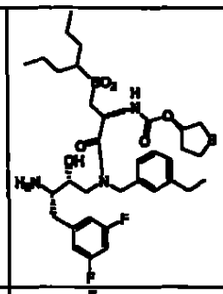
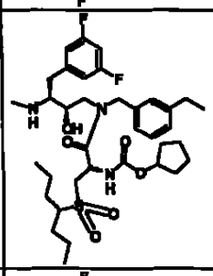
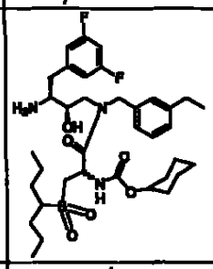
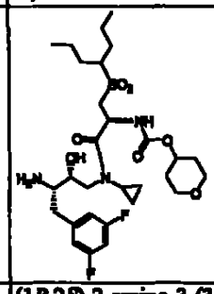
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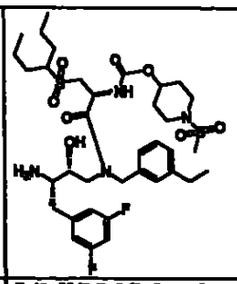
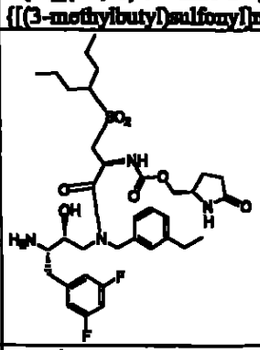
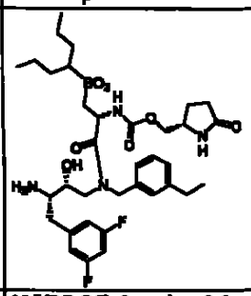
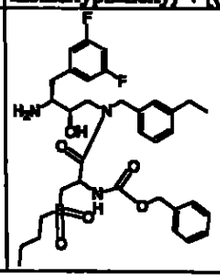
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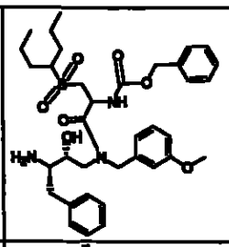
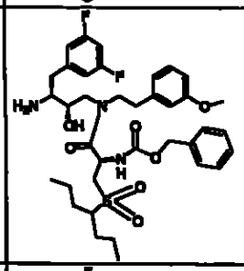
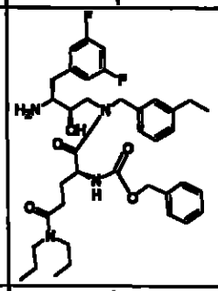
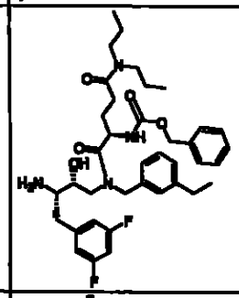
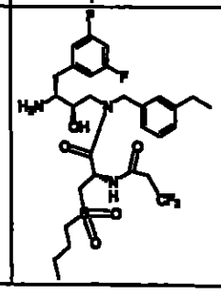
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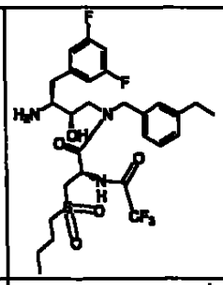
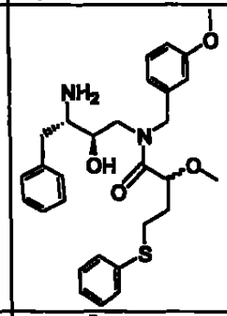
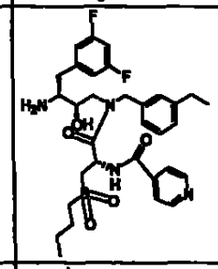
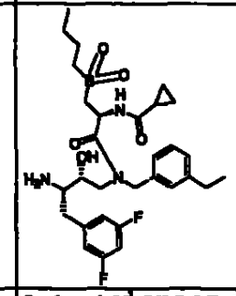
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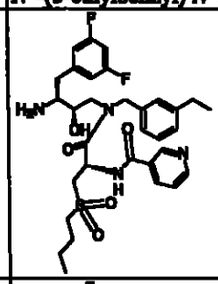
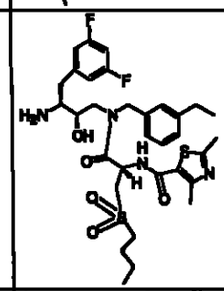
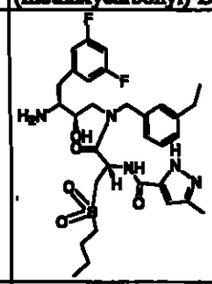
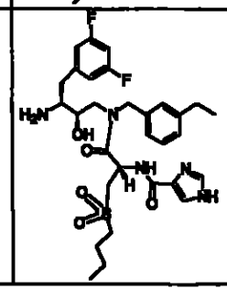
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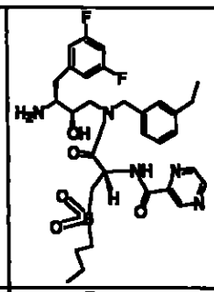
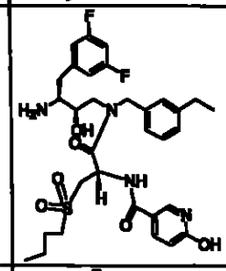
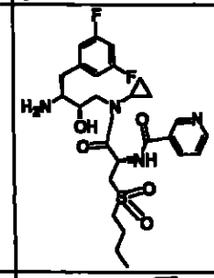
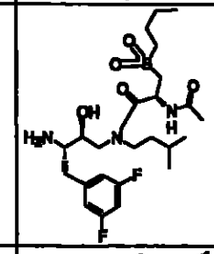
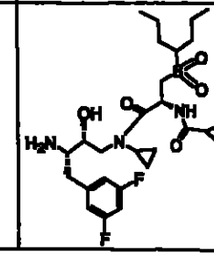
1291		
1293		
1295		
1297		
1299	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(1-propylbutyl)sulfonyl]-*N*-[(tetrahydro-2*H*-pyran-4-yloxy)carbonyl]alaninate	

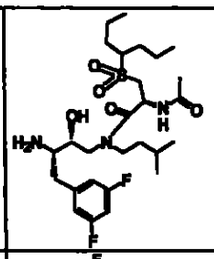
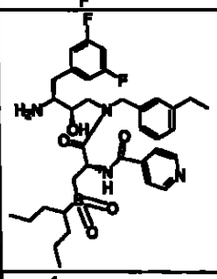
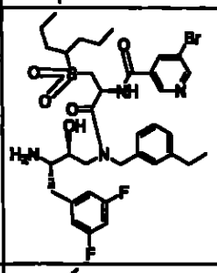
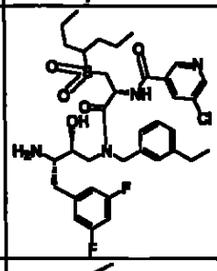
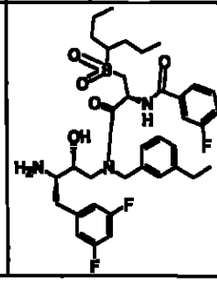
1301		
1303	*S*-(3-[[(2*R*,3*S*)-3-amino-2-hydroxy-4-phenylbutyl](3-methoxybenzyl)amino]-2-{[(3-methylbutyl)sulfonyl]methyl}-3-oxopropyl) ethanethioate	
1305		
1307		
1309	*N*-[(2*R*,3*S*)-3-amino-2-hydroxy-4-phenylbutyl]-*N*-(3-methoxybenzyl)-2-(3-methoxyphenoxy)-4-[(3-methylbutyl)sulfonyl]butanamide	
1311		

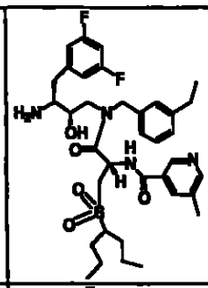
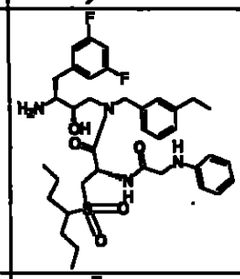
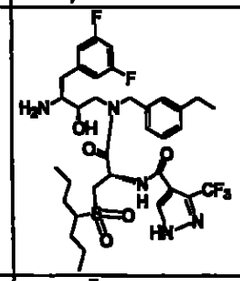
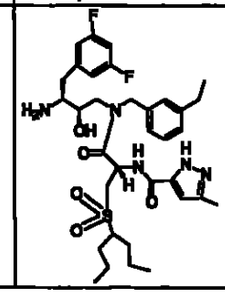
1313		
1315		
1317		
1319		
1321		*N*^{1}-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-3-(butylsulfonyl)-*N*^{1}-(3-ethylbenzyl)-*N*^{2}-(3,3,3-trifluoropropanoyl)-D-alaninamide

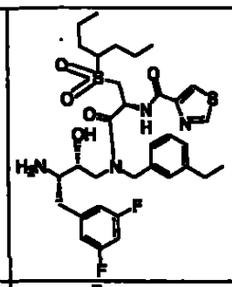
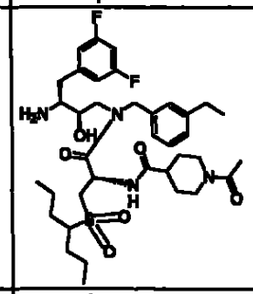
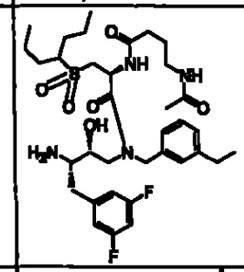
1323		*N*^{1}-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-3-(butylsulfonyl)-*N*^{1}-(3-ethylbenzyl)-*N*^{2}-(trifluoroacetyl)-D-alaninamide
1325		*N*-[(2*R*,3*S*)-3-amino-2-hydroxy-4-phenylbutyl]-2-methoxy-*N*-(3-methoxybenzyl)-4-(phenylthio)butanamide
1327		
1329		*N*^{1}-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-3-(butylsulfonyl)-*N*^{2}-(cyclopropylcarbonyl)-*N*^{1}-(3-ethylbenzyl)-D-alaninamide
1331	β-alanyl-*N*^{1}-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-3-(butylsulfonyl)-*N*^{1}-(3-ethylbenzyl)-D-alaninamide	
1333	glycyl-*N*^{1}-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-3-(butylsulfonyl)-*N*^{1}-(3-ethylbenzyl)-D-alaninamide	
1335	(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl (2*R*)-2-[(4-ethoxy-4-oxobutyl)amino]-5-oxo-5-piperidin-1-ylpentanoate	
1337	*N*,*N*-dimethyl-β-alanyl-*N*^{1}-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-3-(butylsulfonyl)-*N*^{1}-(3-ethylbenzyl)-D-alaninamide	
1339	*N*^{1}-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-3-(butylsulfonyl)-	

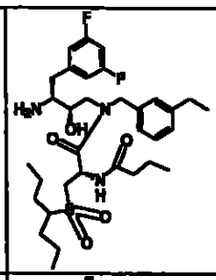
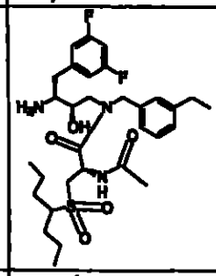
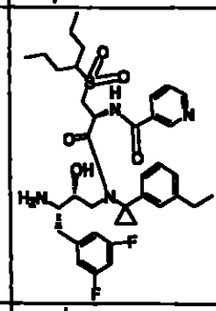
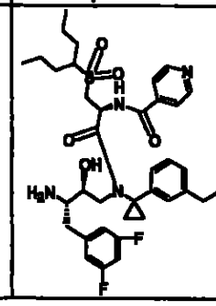
	N^1-(3-ethylbenzyl)-N^2-(methoxyacetyl)-D-alaninamide	
1341		
1343		
1345	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(2-butyl-1,3-dioxan-2-yl)-*N*-(methoxycarbonyl)-D-alaninate	
1347		
1349		

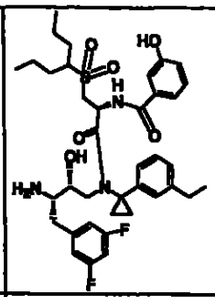
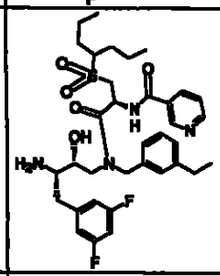
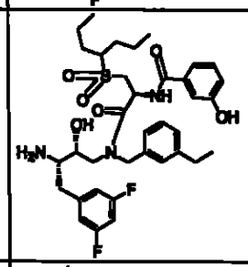
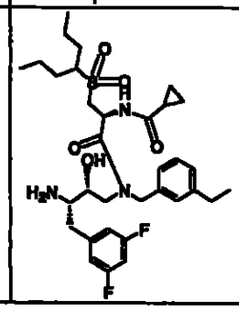
1351		
1353		
1355		
1357		*N*^{2}-acetyl-*N*^{1}-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-3-(butylsulfonyl)-*N*^{1}-(3-methylbutyl)-D-alaninamide
1359		*N*^{1}-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*^{1}-cyclopropyl-*N*^{2}-(cyclopropylcarbonyl)-3-[(1-propylbutyl)sulfonyl]-D-alaninamide

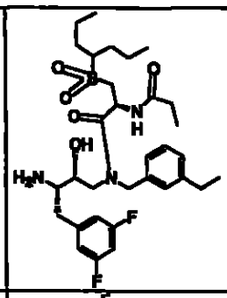
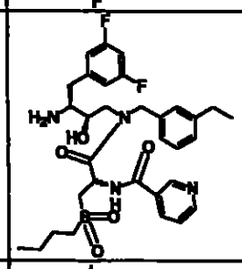
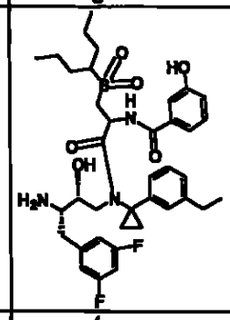
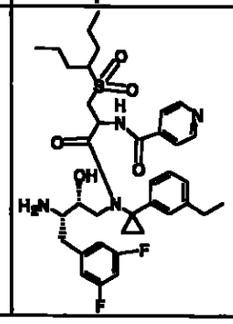
1361		*N*²-acetyl-*N*¹-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*¹-(3-methylbutyl)-3-[(1-propylbutyl)sulfonyl]-D-alaninamide
1363		
1365		
1367		
1369		

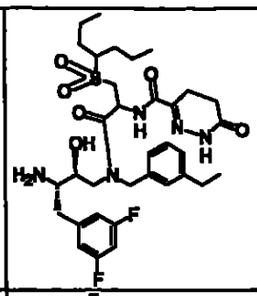
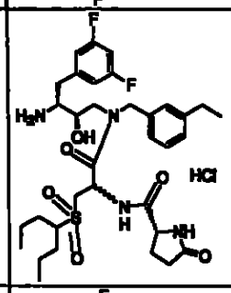
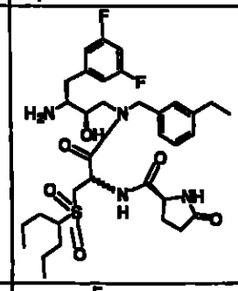
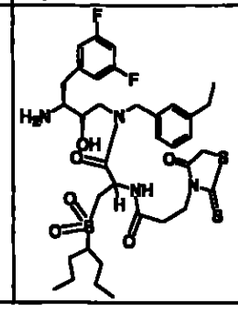
1371		
1373		*N*-phenylglycyl-*N*^{1}-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*^{1}-(3-ethylbenzyl)-3-[(1-propylbutyl)sulfonyl]-D-alaninamide
1375		
1377		

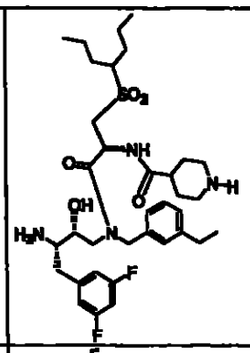
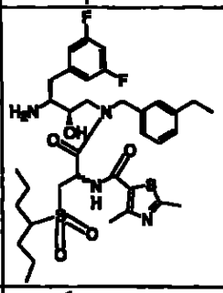
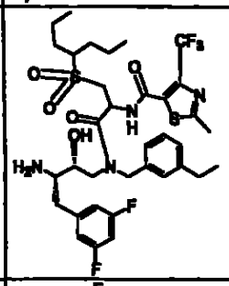
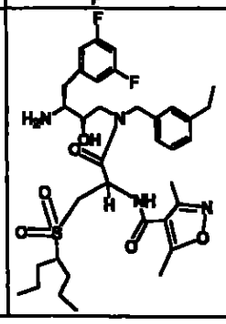
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1385	*N*-acetyl-β-alanyl-N^1-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N^1-(3-ethylbenzyl)-3-[(1-propylbutyl)sulfonyl]-D-alaninamide	
1387	N^1-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N^2-(chloroacetyl)-N^1-(3-ethylbenzyl)-3-[(1-propylbutyl)sulfonyl]-D-alaninamide	
1389	N^1-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N^1-(3-ethylbenzyl)-N^2-(methoxyacetyl)-3-[(1-propylbutyl)sulfonyl]-D-alaninamide	
1391	N^1-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N^1-(3-ethylbenzyl)-N^2-(3-methoxypropanoyl)-3-[(1-propylbutyl)sulfonyl]-D-alaninamide	
1393	N^1-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N^2-(2,2-dimethylpropanoyl)-N^1-(3-ethylbenzyl)-3-[(1-propylbutyl)sulfonyl]-D-alaninamide	
1395	N^1-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N^1-(3-ethylbenzyl)-N^2-isobutyryl-3-[(1-propylbutyl)sulfonyl]-D-alaninamide	

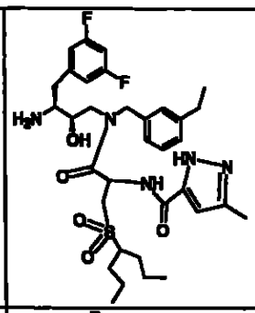
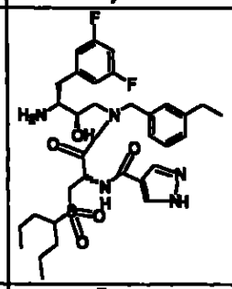
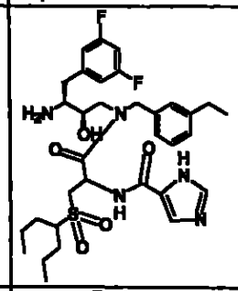
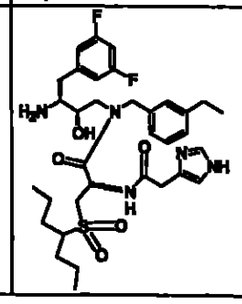
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1399		N^2-acetyl-N^1-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N^1-(3-ethylbenzyl)-3-[(1-propylbutyl)sulfonyl]-D-alaninamide
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1403		

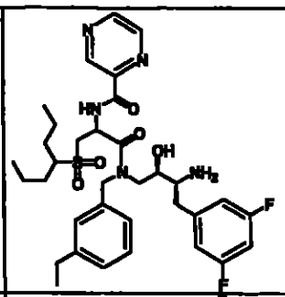
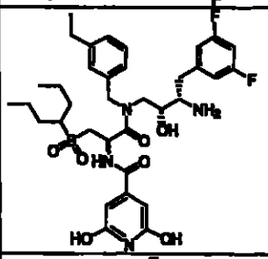
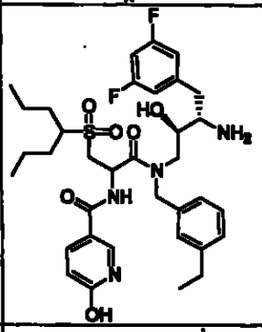
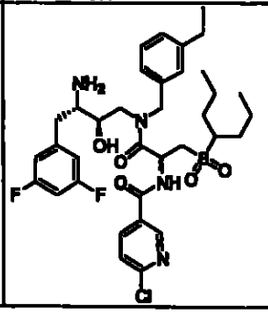
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1411		N^1-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N^2-(cyclopropylcarbonyl)-N^1-(3-ethylbenzyl)-3-[(1-propylbutyl)sulfonyl]-D-alaninamide

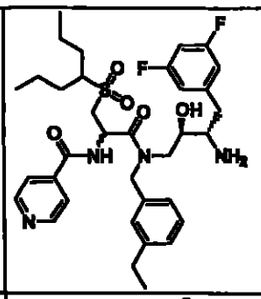
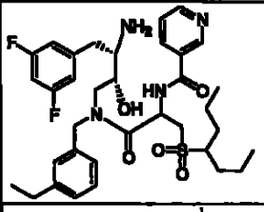
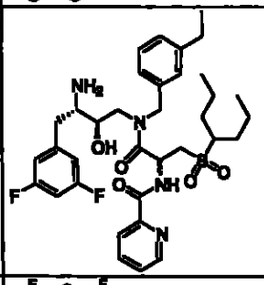
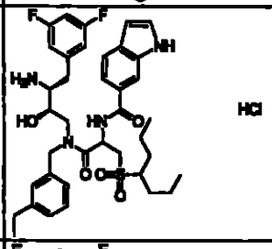
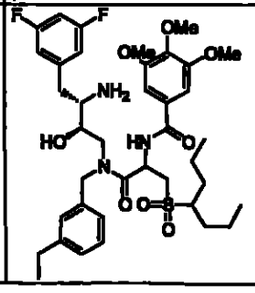
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1419		

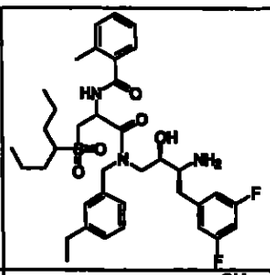
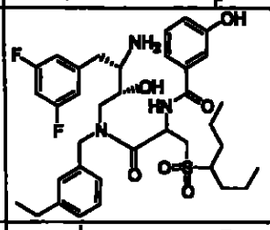
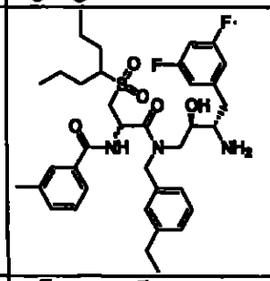
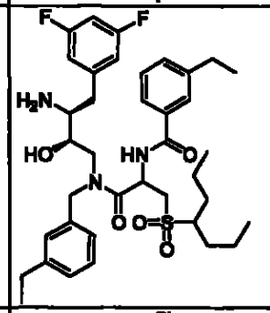
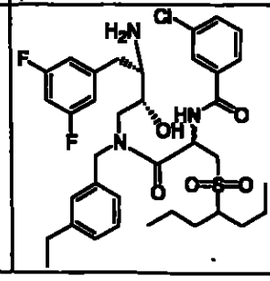
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1423		5-oxo-D-prolyl-N^1-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N^1-(3-ethylbenzyl)-3-[(1-propylbutyl)sulfonyl]alaninamide hydrochloride
1425		5-oxo-L-prolyl-N^1-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N^1-(3-ethylbenzyl)-3-[(1-propylbutyl)sulfonyl]alaninamide
1427		N^1-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N^1-(3-ethylbenzyl)-N^2-[3-(4-oxo-2-thioxo-1,3-thiazolidin-3-yl)propanoyl]-3-[(1-propylbutyl)sulfonyl]alaninamide

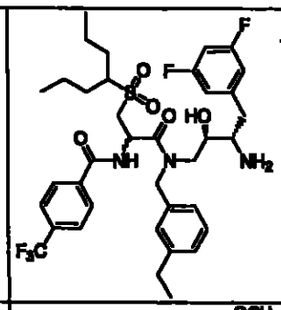
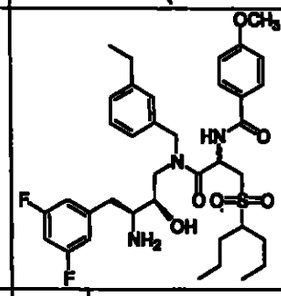
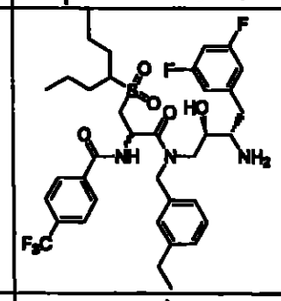
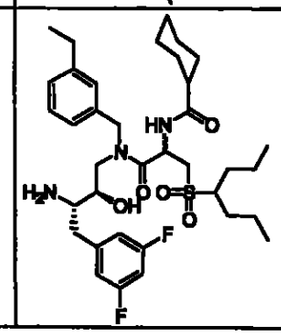
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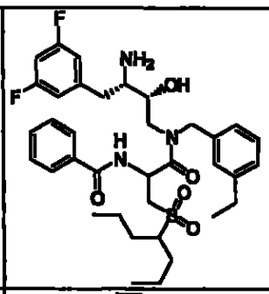
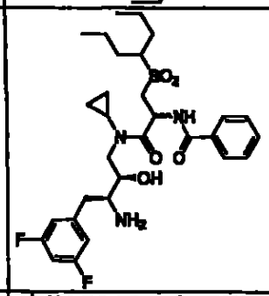
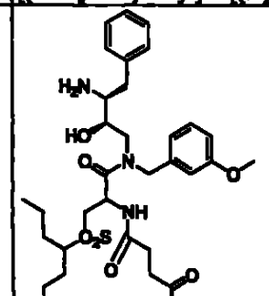
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1443		*N*^{1}-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*^{1}-(3-ethylbenzyl)-*N*^{2}-(1*H*-imidazol-4-ylacetyl)-3-[(1-propylbutyl)sulfonyl]alaninamide

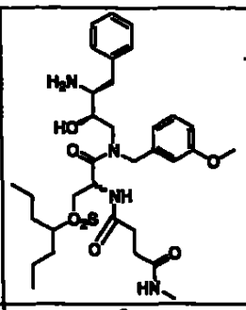
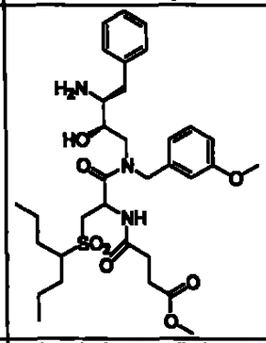
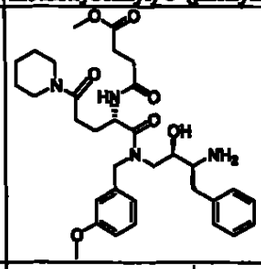
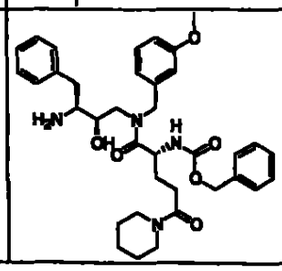
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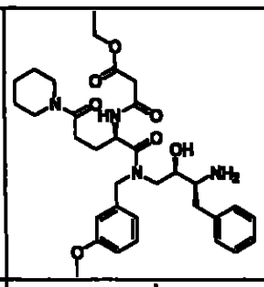
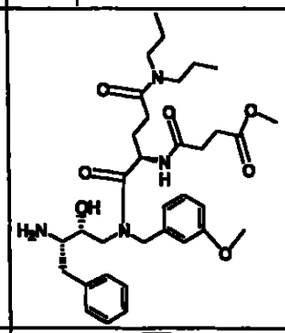
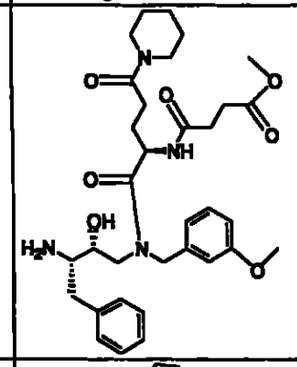
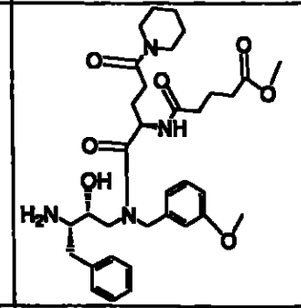
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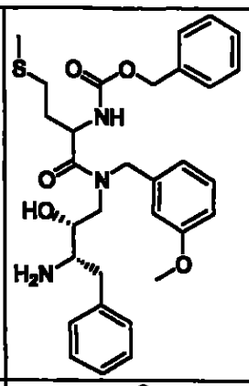
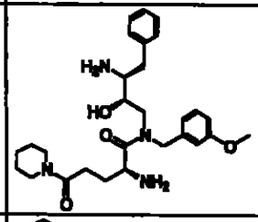
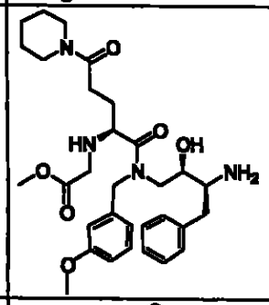
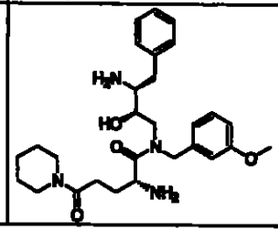
1481		
1483		
1485	*N*^{1}-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*^{1}-(3-ethylbenzyl)-*N*^{2}-(phenylacetyl)-3-[(1-propylbutyl)sulfonyl]alaninamide	
1487	*N*^{1}-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*^{1}-(3-ethylbenzyl)-*N*^{2}-(3-phenylpropanoyl)-3-[(1-propylbutyl)sulfonyl]alaninamide	
1489	*N*-(3-[[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl](3-ethylbenzyl)amino]-3-oxo-2-{[(1-propylbutyl)sulfonyl]methyl}propyl)benzamide	
1491	*N*^{1}-[(2*R*,3*S*)-3-amino-2-hydroxy-4-phenylbutyl]-*N*^{2}-(cyclopropylacetyl)-*N*^{1}-(3-methoxybenzyl)-3-[(1-propylbutyl)sulfonyl]alaninamide	
1493	*N*^{1}-[(2*R*,3*S*)-3-amino-2-hydroxy-4-phenylbutyl]-*N*^{1}-(3-methoxybenzyl)-*N*^{2}-[(methylsulfonyl)acetyl]-3-[(1-propylbutyl)sulfonyl]alaninamide	
1495	*N*^{1}-[(2*R*,3*S*)-3-amino-2-hydroxy-4-phenylbutyl]-*N*^{1}-(3-methoxybenzyl)-*N*^{2}-[(methylthio)acetyl]-3-[(1-propylbutyl)sulfonyl]alaninamide	
1497		

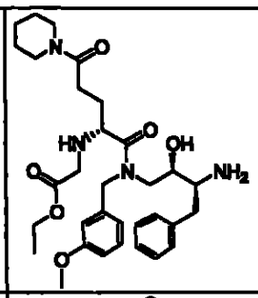
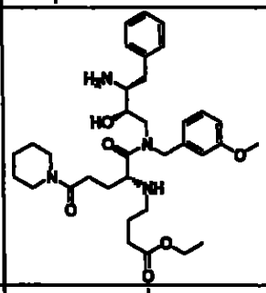
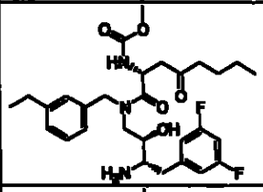
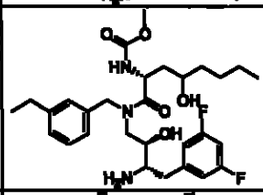
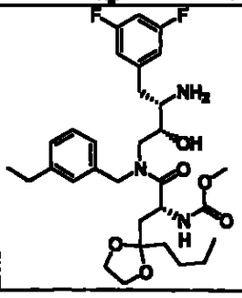
1499		
1501		
1503	*N*-(methylsulfonyl)glycyl-*N*^{1}-[(2*R*,3*S*)-3-amino-2-hydroxy-4-phenylbutyl]-*N*^{1}-(3-methoxybenzyl)-3-[(1-propylbutyl)sulfonyl]alaninamide	
1505	*N*^{2}-acetyl-*N*^{1}-[(2*R*,3*S*)-3-amino-2-hydroxy-4-phenylbutyl]-*N*^{1}-(3-methoxybenzyl)-3-(phenylsulfonyl)alaninamide	
1507		
1509		

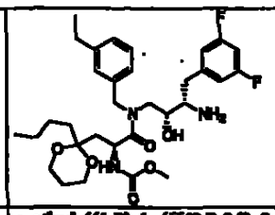
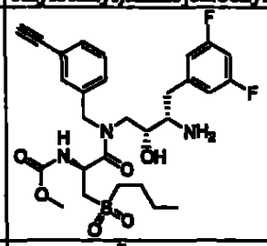
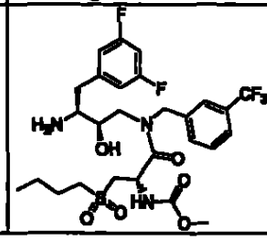
1511		
1513		
1515		
1517		
1519	2-[[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl](3-ethylbenzyl)amino]-1-[(butylsulfonyl)methyl]-2-oxoethyl acetate	
1521	*N*^{1}-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*S*-butyl-*N*^{1}-(3-	

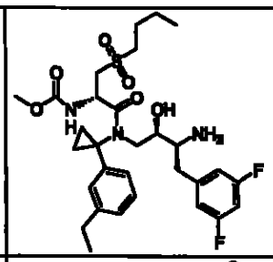
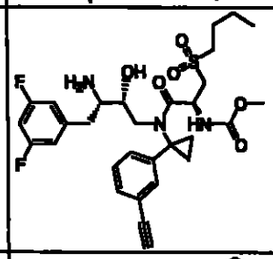
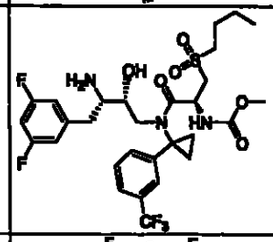
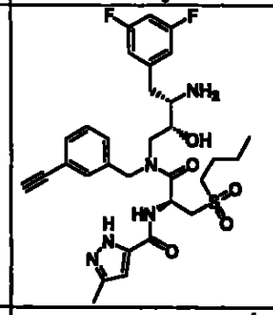
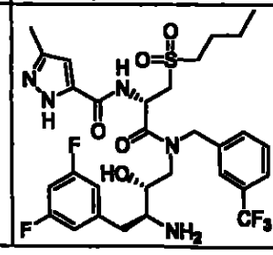
	ethylbenzyl)-D-cysteinamide
1523	*N*^{1}-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-3-(butylsulfinyl)-*N*^{1}-(3-ethylbenzyl)-D-alaninamide
1525	*N*^{1}-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-3-(butylsulfonyl)-*N*^{1}-(3-ethylbenzyl)-D-alaninamide
1527	*N*^{1}-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-3-(butylsulfonyl)-*N*^{1}-(3-ethylbenzyl)-L-alaninamide
1529	*N*^{1}-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-3-(butylsulfonyl)-*N*^{1}-(3-methylbutyl)-D-alaninamide
1531	*N*^{1}-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*^{1}-[1-(3-ethylphenyl)cyclopropyl]-3-[(1-propylbutyl)sulfonyl]-D-alaninamide
1533	*N*^{1}-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*^{1}-(3-ethylbenzyl)-3-[(1-propylbutyl)sulfonyl]-L-alaninamide
1535	*N*^{1}-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*^{1}-cyclopropyl-3-[(1-propylbutyl)sulfonyl]-D-alaninamide
1537	*N*^{1}-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*^{1}-(3-methylbutyl)-3-[(1-propylbutyl)sulfonyl]-D-alaninamide
1539	*N*^{1}-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*^{1}-(3-ethylbenzyl)-3-[(1-propylbutyl)sulfonyl]-D-alaninamide
1541	*N*^{1}-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*^{1}-(3-ethylbenzyl)-3-[(1-propylbutyl)sulfonyl]alaninamide
1543	*N*^{1}-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*^{1}-(3-ethylbenzyl)-*N*^{2}-(phenoxyacetyl)-3-[(1-propylbutyl)sulfonyl]alaninamide
1545	*N*^{1}-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*^{2}-{[(5-chloro-2-thienyl)thio]peroxy}-*N*^{1}-(3-ethylbenzyl)-3-[(1-propylbutyl)sulfonyl]alaninamide
1547	*N*^{1}-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*^{1}-(3-ethylbenzyl)-*N*^{2}-(phenylsulfonyl)-3-[(1-propylbutyl)sulfonyl]alaninamide
1549	*N*^{2}-[(benzylamino)carbonyl]-*N*^{1}-[(2*R*,3*S*)-4-(3,5-difluorophenyl)-2-hydroxy-3-(methylamino)butyl]-*N*^{1}-(3-ethylbenzyl)-3-[(1-propylbutyl)sulfonyl]alaninamide
1551	4-[[(2*R*,3*S*)-4-(3,5-difluorophenyl)-2-hydroxy-3-(methylamino)butyl](3-ethylbenzyl)amino]-4-oxo-3-{[(1-propylbutyl)sulfonyl]methyl}butanoic acid
1553	4-[[(2*R*,3*S*)-3-amino-2-hydroxy-4-phenylbutyl](3-methoxybenzyl)amino]-3-{[(3-methylbutyl)sulfonyl]methyl}-4-oxobutanoic acid
1555	methyl 4-[[(2*R*,3*S*)-3-amino-2-hydroxy-4-phenylbutyl](3-methoxybenzyl)amino]-3-{[(3-methylbutyl)sulfonyl]methyl}-4-oxobutanoate
1557	*N*^{1}-[(2*R*,3*S*)-3-amino-2-hydroxy-4-phenylbutyl]-*N*^{1}-(3-methoxybenzyl)-2-{[(3-methylbutyl)sulfonyl]methyl}succinamide
1559	*N*^{1}-[(2*R*,3*S*)-3-amino-2-hydroxy-4-phenylbutyl]-*N*^{1}-(3-methoxybenzyl)-*N*^{4}-methyl-2-{[(3-methylbutyl)sulfonyl]methyl}succinamide
1561	*N*^{1}-[(2*R*,3*S*)-3-amino-2-hydroxy-4-phenylbutyl]-*N*^{1}-(3-methoxybenzyl)-*N*^{4},*N*^{4}-dimethyl-2-{[(3-methylbutyl)sulfonyl]methyl}succinamide
1563	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-3-(4,4-dimethyl-2,5-dioxoimidazolidin-1-yl)-*N*-(3-ethylbenzyl)-2-{[(1-propylbutyl)sulfonyl]methyl}propanamide
1565	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-3-(4,4-dimethyl-2,5-dioxoimidazolidin-1-yl)-*N*-(3-ethylbenzyl)-2-{[(1-propylbutyl)sulfonyl]methyl}propanamide
1567	(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-

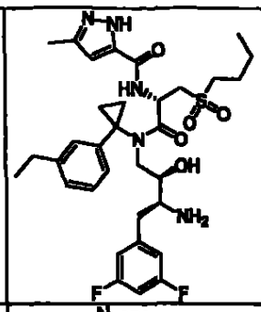
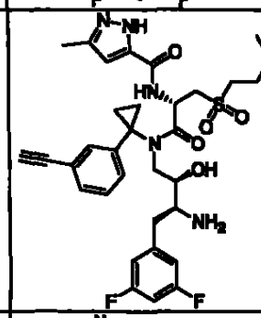
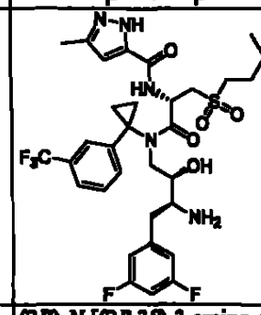
	ethylbenzyl)amino]methyl}propyl 3-(4,4-dimethyl-2,5-dioxoimidazolidin-1-yl)-2-{[(1-propylbutyl)sulfonyl]methyl}propanoate
1569	*N*-[(2*R*,3*S*)-3-amino-2-hydroxy-4-phenylbutyl]-3-(ethylsulfonyl)-2-{[(isobutylsulfonyl)amino]methyl}-*N*-(3-methoxybenzyl)propanamide
1571	*N*-[(2*R*,3*S*)-3-amino-2-hydroxy-4-phenylbutyl]-3-(ethylthio)-2-{[(isobutylsulfonyl)amino]methyl}-*N*-(3-methoxybenzyl)propanamide
1573	(2*S*)-*N*-[(2*R*,3*S*)-3-amino-2-hydroxy-4-phenylbutyl]-*N*-(3-methoxybenzyl)-2-{[(3-methylbutyl)sulfonyl]amino}-4-(methylsulfonyl)butanamide
1575	N^1-[(2*R*,3*S*)-3-amino-2-hydroxy-4-phenylbutyl]-N^1-(3-methoxybenzyl)-N^2-[(3-methylbutyl)sulfonyl]-L-methioninamide
1577	*S*-(3-[[(2*R*,3*S*)-3-amino-2-hydroxy-4-phenylbutyl](3-methoxybenzyl)amino]-2-{[(3-methylbutyl)sulfonyl]methyl}-3-oxopropyl) ethanethioate
1579	*N*-[(2*R*,3*S*)-3-amino-2-hydroxy-4-phenylbutyl]-2-hydroxy-*N*-(3-methoxybenzyl)-3-[(1-propylbutyl)sulfonyl]propanamide
1581	*N*-[(2*R*,3*S*)-3-amino-2-hydroxy-4-phenylbutyl]-2-hydroxy-*N*-(3-methoxybenzyl)-3-[(3-methylbutyl)sulfonyl]propanamide
1583	*N*-[(2*R*,3*S*)-3-amino-2-hydroxy-4-phenylbutyl]-2-hydroxy-*N*-(3-methoxybenzyl)-3-[(3-methoxyphenyl)sulfonyl]propanamide
1585	*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-2-hydroxy-4-(phenylsulfonyl)butanamide
1587	*N*-[(2*R*,3*S*)-3-amino-2-hydroxy-4-phenylbutyl]-2-hydroxy-*N*-(3-methoxybenzyl)-4-[(3-methylbutyl)sulfonyl]butanamide
1589	*N*-[(2*R*,3*S*)-3-amino-2-hydroxy-4-phenylbutyl]-*N*-(3-methoxybenzyl)-4-[(3-methylbutyl)sulfonyl]-2-phenoxybutanamide
1591	*N*-[(2*R*,3*S*)-3-amino-2-hydroxy-4-phenylbutyl]-*N*-(3-methoxybenzyl)-2-(3-methoxyphenoxy)-4-[(3-methylbutyl)sulfonyl]butanamide
1593	3-{1-{[[(2*R*,3*S*)-3-amino-2-hydroxy-4-phenylbutyl](3-methoxybenzyl)amino]carbonyl}-3-[(3-methylbutyl)sulfonyl]propoxy}benzoic acid
1595	methyl 3-{1-{[[(2*R*,3*S*)-3-amino-2-hydroxy-4-phenylbutyl](3-methoxybenzyl)amino]carbonyl}-3-[(3-methylbutyl)sulfonyl]propoxy}benzoate
1597	*N*-[(2*R*,3*S*)-3-amino-2-hydroxy-4-phenylbutyl]-2-hydroxy-*N*-(3-methoxybenzyl)-4-(phenylsulfonyl)butanamide
1599	*N*-[(2*R*,3*S*)-3-amino-2-hydroxy-4-phenylbutyl]-2-hydroxy-*N*-(3-methoxybenzyl)-4-(phenylthio)butanamide
1601	*N*-[(2*R*,3*S*)-3-amino-2-hydroxy-4-phenylbutyl]-2-methoxy-*N*-(3-methoxybenzyl)-4-(phenylsulfonyl)butanamide
1603	*N*-[(2*R*,3*S*)-3-amino-2-hydroxy-4-phenylbutyl]-2-methoxy-*N*-(3-methoxybenzyl)-4-(phenylthio)butanamide
1605	*N*-[(2*R*,3*S*)-3-amino-2-hydroxy-4-phenylbutyl]-*N*-(3-methoxybenzyl)-4-(phenylsulfonyl)-2-propoxybutanamide
1607	*N*-[(2*R*,3*S*)-3-amino-2-hydroxy-4-phenylbutyl]-2-(benzyloxy)-*N*-(3-methoxybenzyl)-4-(phenylsulfonyl)butanamide

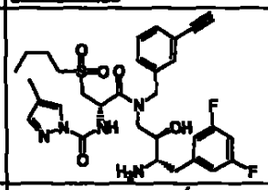
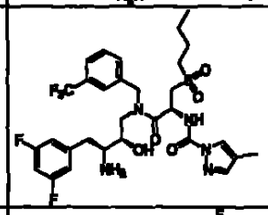
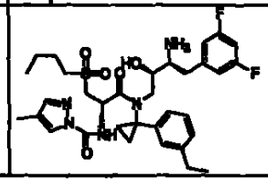
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1611		(2*S*)-2-amino-*N*-[(2*R*,3*S*)-3-amino-2-hydroxy-4-phenylbutyl]-*N*-(3-methoxybenzyl)-5-oxo-5-piperidin-1-ylpentanamide
1613		
1615		(2*R*)-2-amino-*N*-[(2*R*,3*S*)-3-amino-2-hydroxy-4-phenylbutyl]-*N*-(3-methoxybenzyl)-5-oxo-5-piperidin-1-ylpentanamide

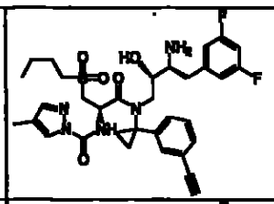
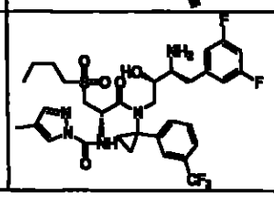
1617		
1619		
1621		methyl ((1*R*)-1-{[[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl](3-ethylbenzyl)amino]carbonyl}-3-oxoheptyl)carbamate
1623		
1625		

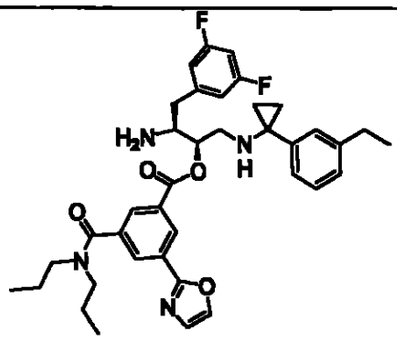
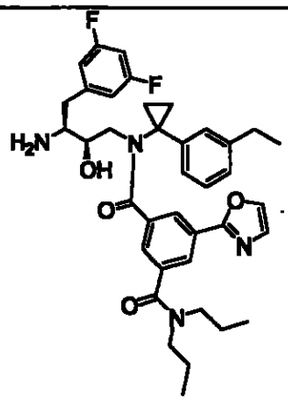
1627		
1629	methyl ((1*R*)-1-{[[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl](3-ethylbenzyl)amino]carbonyl}-3,3-difluoroheptyl)carbamate	
1631	methyl ((1*R*)-1-{[[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl](3-ethylbenzyl)amino]carbonyl}-3-fluoroheptyl)carbamate	
1633	methyl ((1*R*)-1-{[[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl](3-ethylbenzyl)amino]carbonyl}-4-oxooctyl)carbamate	
1635	methyl ((1*R*)-1-{[[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl](3-ethylbenzyl)amino]carbonyl}-4-hydroxyoctyl)carbamate	
1637	methyl [(1*R*)-1-{[[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl](3-ethylbenzyl)amino]carbonyl}-3-(2-butyl-1,3-dioxolan-2-yl)propyl]carbamate	
1639	methyl [(1*R*)-1-{[[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl](3-ethylbenzyl)amino]carbonyl}-3-(2-butyl-1,3-dioxan-2-yl)propyl]carbamate	
1641	methyl ((1*R*)-1-{[[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl](3-ethylbenzyl)amino]carbonyl}-4-fluorooctyl)carbamate	
1643	methyl ((1*R*)-1-{[[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl](3-ethylbenzyl)amino]carbonyl}-4,4-difluorooctyl)carbamate	
1645		
1647		

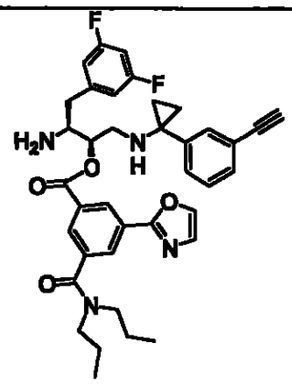
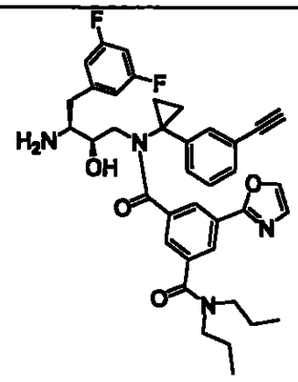
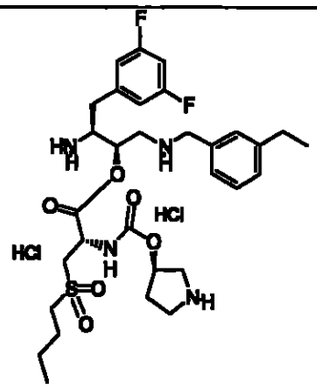
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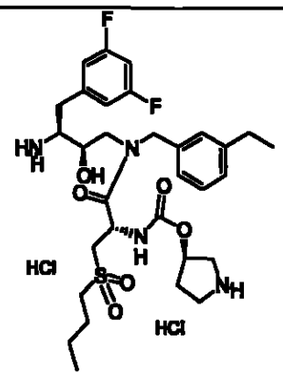
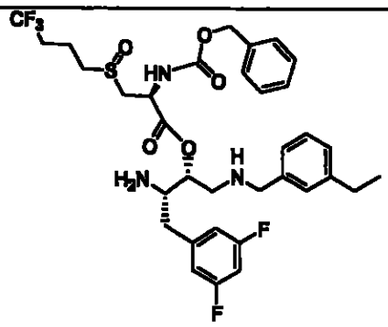
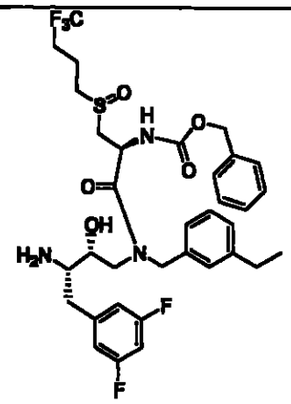
1659		
1661		
1663		
1665	(2*R*)-*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-2-{[(methylamino)carbonyl]amino}-4-oxooctanamide	
1667	N^1-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-4-butyl-N^1-(3-ethylbenzyl)-N^2-[(methylamino)carbonyl]-D-homoserinamide	
1669	N^1-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-3-(2-butyl-1,3-dioxolan-2-yl)-N^1-(3-ethylbenzyl)-N^2-[(methylamino)carbonyl]-D-alaninamide	
1671	N^1-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-3-(2-butyl-1,3-dioxan-2-yl)-N^1-(3-ethylbenzyl)-N^2-[(methylamino)carbonyl]-D-alaninamide	
1673	(2*R*)-*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-4,4-difluoro-2-{[(methylamino)carbonyl]amino}octanamide	
1675	(2*R*)-*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-4-fluoro-2-{[(methylamino)carbonyl]amino}octanamide	
1677	(2*R*)-*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-2-{[(methylamino)carbonyl]amino}-5-oxononanamide	
1679	(2*R*)-*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-	

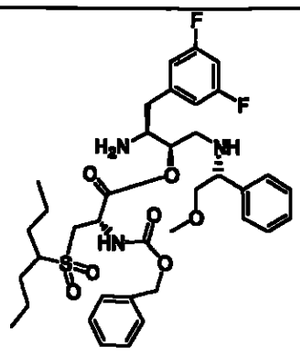
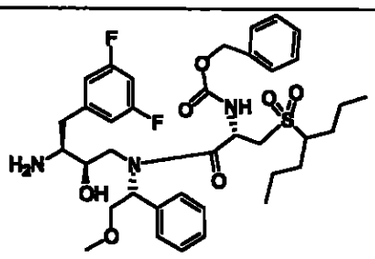
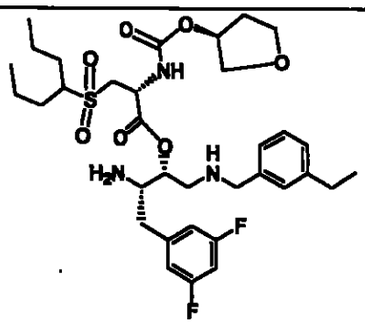
	ethylbenzyl)-5-hydroxy-2-{[(methylamino)carbonyl]amino}nonanamide	
1681	(2*R*)-*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-4-(2-butyl-1,3-dioxolan-2-yl)-*N*-(3-ethylbenzyl)-2-{[(methylamino)carbonyl]amino}butanamide	
1683	(2*R*)-*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-4-(2-butyl-1,3-dioxan-2-yl)-*N*-(3-ethylbenzyl)-2-{[(methylamino)carbonyl]amino}butanamide	
1685	(2*R*)-*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-5-fluoro-2-{[(methylamino)carbonyl]amino}nonanamide	
1687	(2*R*)-*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-5,5-difluoro-2-{[(methylamino)carbonyl]amino}nonanamide	
1689	N^1-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-3-(butylsulfonyl)-N^1-(3-ethynylbenzyl)-N^2-[(methylamino)carbonyl]-D-alaninamide	
1691	N^1-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-3-(butylsulfonyl)-N^2-[(methylamino)carbonyl]-N^1-[3-(trifluoromethyl)benzyl]-D-alaninamide	
1693	N^1-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-3-(butylsulfonyl)-N^1-[1-(3-ethylphenyl)cyclopropyl]-N^2-[(methylamino)carbonyl]-D-alaninamide	
1695	N^1-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-3-(butylsulfonyl)-N^1-[1-(3-ethynylphenyl)cyclopropyl]-N^2-[(methylamino)carbonyl]-D-alaninamide	
1697	N^1-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-3-(butylsulfonyl)-N^2-[(methylamino)carbonyl]-N^1-{1-[3-(trifluoromethyl)phenyl]cyclopropyl}-D-alaninamide	
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1701		
1703		

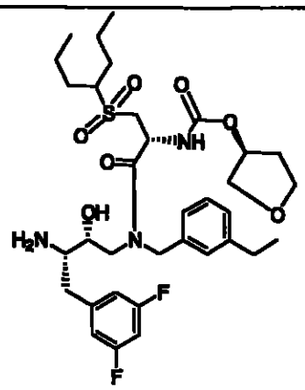
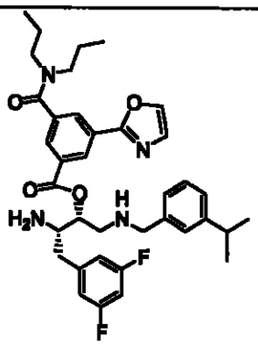
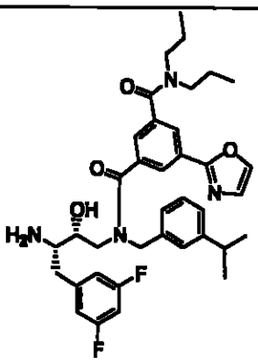
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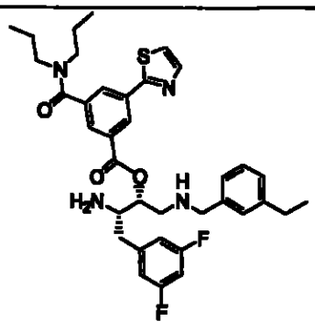
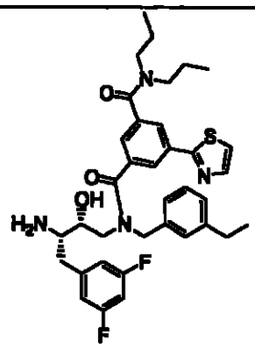
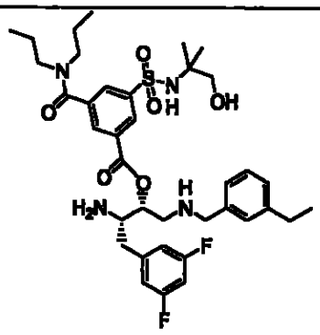
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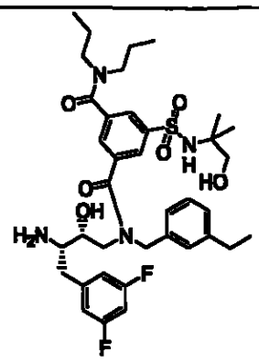
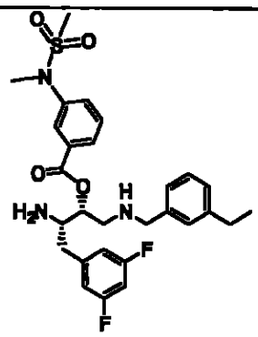
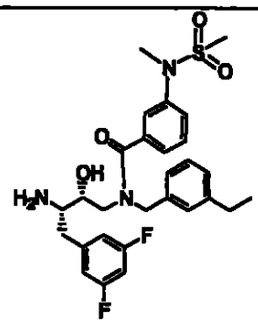
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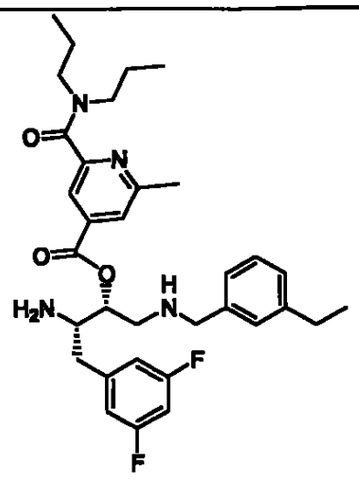
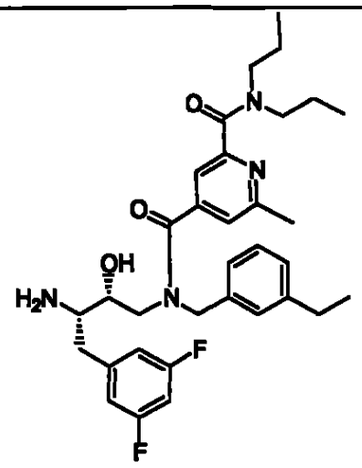
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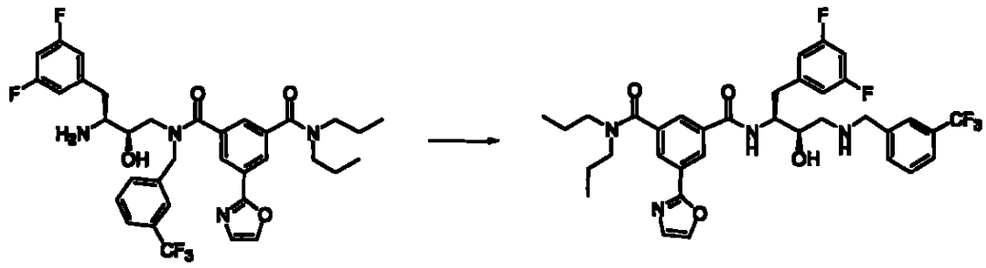
REARRANGEMENT EXAMPLES

The following examples illustrate the acyl group migration that takes place with compounds of the invention. These examples are for illustration purposes, and are not intended to limit the scope of the invention.

General Procedure:

A compound of formula (I) OR (X) (5 mg) is dissolved in DMSO-d_6 (500 µL) and either pH 4 buffer solution (500 µL, potassium hydrogen phthalate buffer) or pH 7 buffer solution (500 µL, sodium and potassium phosphate buffer) is added. The sample is then heated to 40°C. The O-acyl or N-acyl to N-terminal N-acyl migration is monitored by observing the change in chemical shift for the aromatic fluorines using ^{19}F-NMR. (Fluorine shifts associated with the desired migration were confirmed by spiking with authentic analogue). The sample is analyzed by ^{19}F-NMR at approxiamately 0, 1.5, 3, 24, 48, and 144 hours. The amount of O-acyl pro-drug, N-acyl pro-drug, and desired migration product at each time point are assigned by integrating the corresponding NMR signal.

EXAMPLE 1: Specific NMR Example



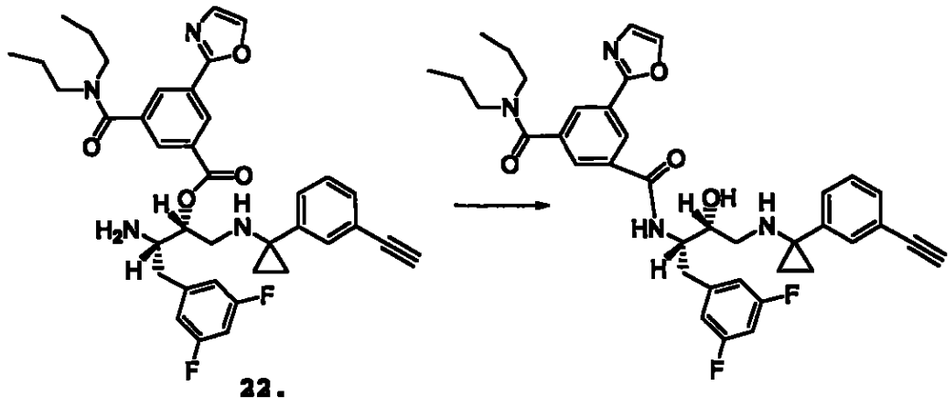
N-1~-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-(1,3-oxazol-2-yl)-N~3~,N~3~-dipropyl-N~1~-[3-(trifluoromethyl)benzyl]isophthalamide hydrochloride (PREPARATION 7, 5 mg) is dissolved in DMSO-d_6 (500 µL) and pH 4 buffer solution (500 µL, potassium hydrogen phthalate buffer) is added. The sample is then heated to 40°C. The N-acyl to N-terminal N-acyl

migration is monitored by observing the change in chemical shift for the aromatic fluorines using ^{19}F-NMR. (Fluorine shifts for the desired migration product in the presence of buffer was confirmed by spiking with authentic migration product, N^1-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(trifluoromethyl)benzyl]amino}propyl)-5-(1,3-oxazol-2-yl)-N^3,N^3-dipropylisophthalamide.) NMR data is collected at 0, 1, 3, 25, 48, 96, and 144 hours. The amount of N-acyl pro-drug and desired migration product present at each time point is assigned by integrating the corresponding NMR signal. No migration to O-acyl pro-drug was observed using this method and was confirmed by spiking with authentic compound.

The following examples illustrate the solution acyl group migration of compounds of the formulae (I) and (X) as observed by ^{19}F NMR spectroscopy. Data were collected as described in Example 1, above.

EXAMPLE 2: Rearrangement of (1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-({[1-(3-ethynylphenyl)cyclopropyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-(1,3-oxazol-2-yl)benzoate (22).

353



22.

prodrug

HEA product
(see tables)

Tables 1-2 provide relative concentrations as a function of time and at varying pH of prodrug 22 and its rearrangement product.

Table 1. Concentrations at 40 °C, pH 7.

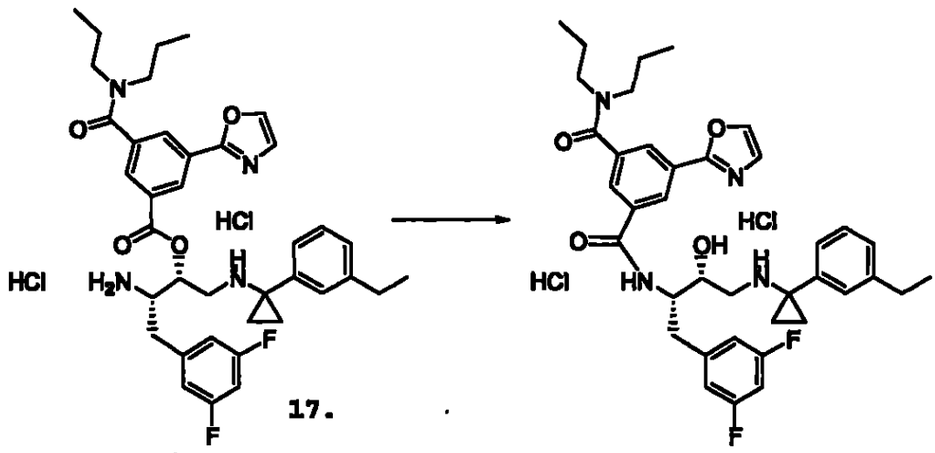
pH 7, 40°C			
TIME	O-acyl pro-drug	N-acyl prodrug	HEA[1] product
(hr)	(% present)	(% present)	(% present)
0	100	0	0
0.5	10	0	10
1	0	0	100

[1] HEA = the hydroxyethylamine product of the acyl group migration.

Table 2. Concentrations at 40 °C, pH 4.

pH 4, 40°C			
TIME	O-acyl pro-drug	N-acyl prodrug	HEA product
(hr)	(% present)	(% present)	(% present)
0	100	0	0
0.5	93	0	7
1	87	0	13
3	66	0	27
6	49	0	42
24	9	0	78
48	0	0	86

EXAMPLE 3: Rearrangement of (1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-({[1-(3-ethylphenyl)cyclopropyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-(1,3-oxazol-2-yl)benzoate dihydrochloride (17).



17.

prodrug product

Tables 3-4 provide relative concentrations as a function of time and at varying pH of prodrug 17 and its rearrangement product.

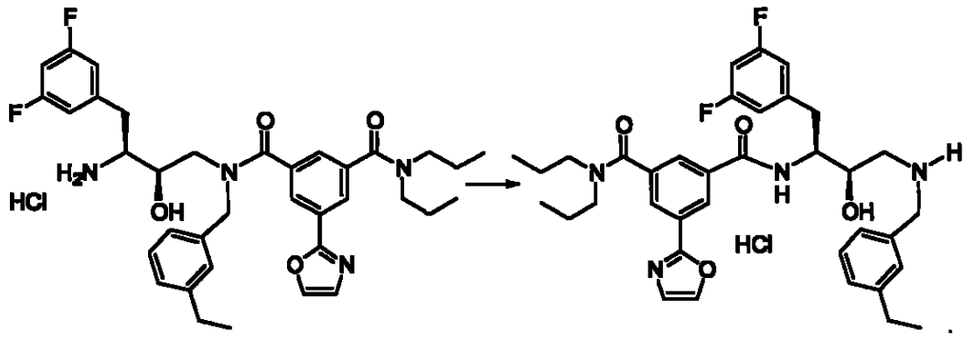
Table 3. Concentrations at 40 °C, pH 7.

pH 7 40°C			
TIME	O-acyl pro-drug	N-acyl prodrug	HEA product
(hr)	(% present)	(% present)	(% present)
0	100	0	0
1.5	3	0	97
7		0	
24		0	
48		0	
144		0	

Table 4. Concentrations at 40 °C, pH 4.

pH 4 40°C			
TIME	O-acyl pro-drug	N-acyl prodrug	HEA product
(hr)	(% present)	(% present)	(% present)
0	100	0	0
1.5	53	0	47
3	33	0	67
6	11	0	89
24	0	0	100

EXAMPLE 4: Rearrangement of N^1-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N\(u)1\(d)-(3-ethylbenzyl)-5-(1,3-oxazol-2-yl)-N^3,N^3-dipropylisophthalamide hydrochloride (19).



19.

prodrug product

Tables 5-6 provide relative concentrations as a function of time and at varying pH of prodrug 19 and its rearrangement product.

Table 5. Concentrations at 40 °C, pH 7.

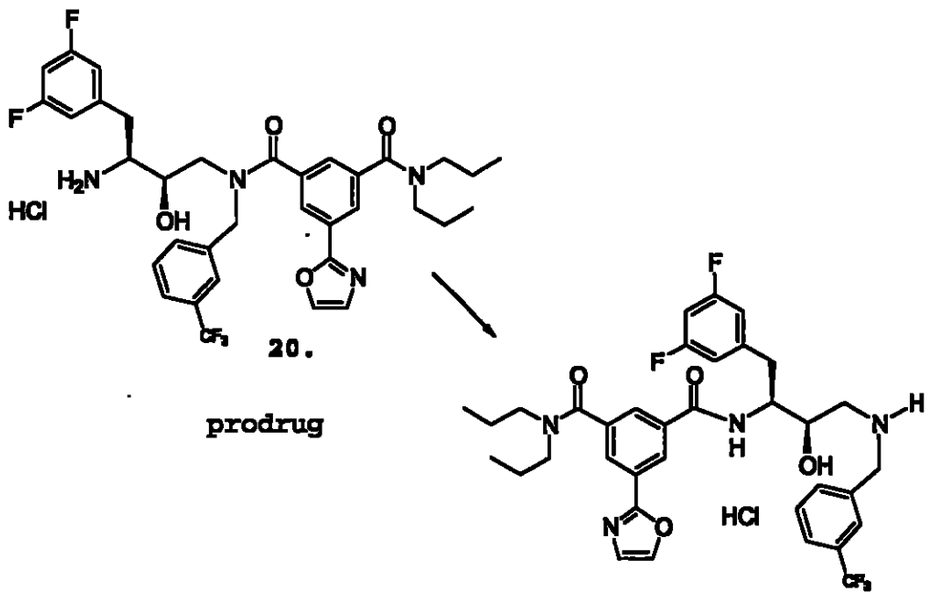
pH 7 40°C			
TIME	O-acyl pro-drug	N-acyl prodrug	HEA product
(hr)	(% present)	(% present)	(% present)
0	0	100	0
1	0	97	3
3	0	91	9

24	0	60	40
48	0	37	63
96	0	19	81

Table 6. Concentrations at 40 °C, pH 4.

pH 4 40°C			
TIME	O-acyl pro-drug	N-acyl prodrug	HEA product
(hr)	(% present)	(% present)	(% present)
0	0	100	0
1	0	100	0
3	0	100	0
24	0	97	3
48	0	95	5
96	0	92	8

EXAMPLE 5: Rearrangement of N^1-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-(1,3-oxazol-2-yl)-N^3,N^3-dipropyl-N^1-[3-(trifluoromethyl)benzyl]-isophthalamide hydrochloride (20).



20.

prodrug

product

Tables 7-8 provide relative concentrations as a function of time and at varying pH of prodrug 20 and its rearrangement product.

Table 7. Concentrations at 40 °C, pH 7.

pH 7 40°C			
TIME	O-acyl pro-drug	N-acyl prodrug	HEA product
(hr)	(% present)	(% present)	(% present)
0	0	100	0
1	0	93	7
3	0	88	12
24	0	49	51
48	0	27	73
96	0	12	88

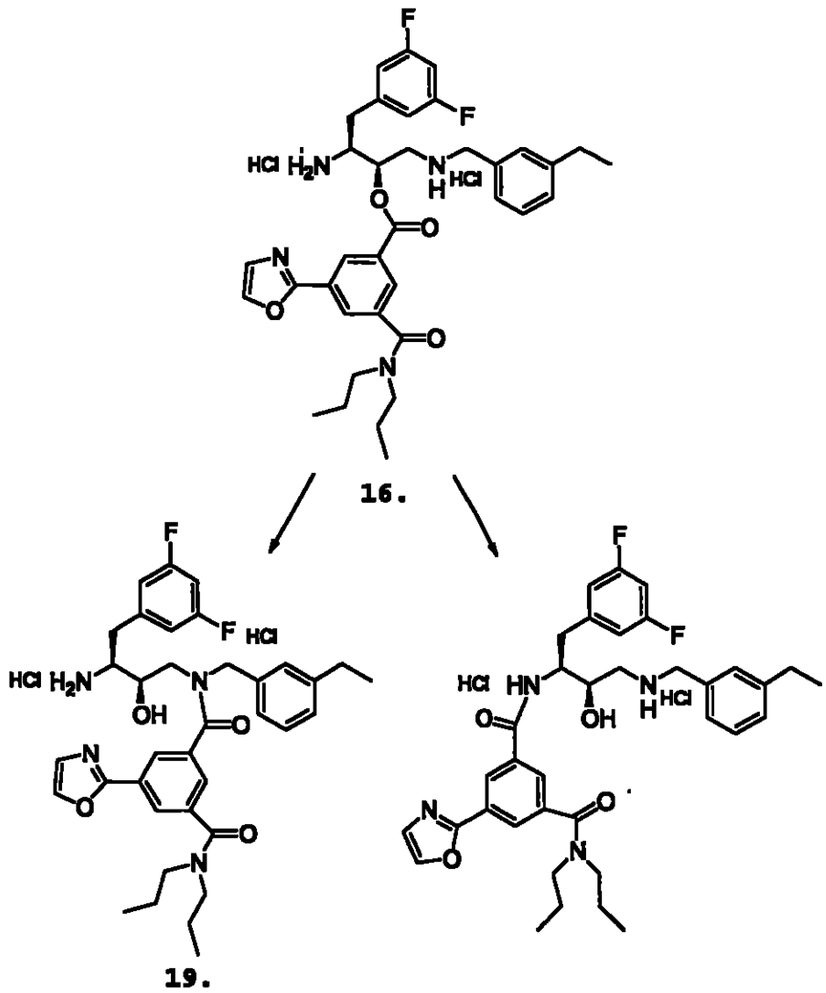
Table 8. Concentrations at 40 °C, pH 4.

pH 4 40°C			
TIME	O-acyl pro-drug	N-acyl prodrug	HEA product
(hr)	(% present)	(% present)	(% present)

358

0	0	100	0
1	0	97	3
3	0	96	4
24	0	93	7
48	0	92	8
96	0	88	12

EXAMPLE 6: Rearrangement of (1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-(1,3-oxazol-2-yl)benzoate dihydrochloride (16).



16.

19.

product A product B

Tables 9-10 provide relative concentrations as a function of time and at varying pH of prodrug 16 and its rearrangement products.

Table 9. Concentrations at 40 °C, pH 7.

pH 7 40°C			
TIME	O-acyl pro-drug	N-acyl prodrug (product A)	HEA product (product B)
(hr)	(% present)	(% present)	(% present)

360

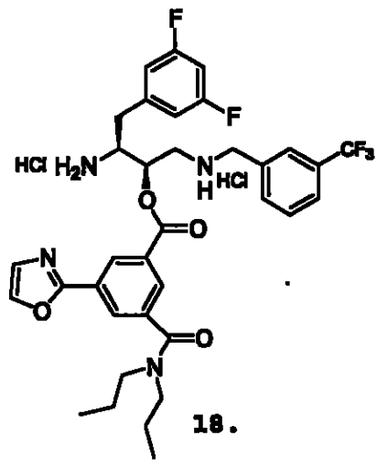
0	100	0	0
1.5	0	52	48
7	0	46	54
24	0	38	62
48	0	29	71
144	0	15	85

Table 10. Concentrations at 40 °C, pH 4.

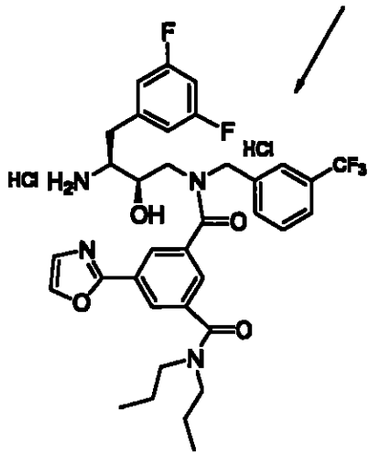
pH 4 40°C			
TIME	O-acyl pro-drug	N-acyl prodrug (product A)	HEA product (product B)
(hr)	(% present)	(% present)	(% present)
0	100	0	0
1.5	33	13	54
3	9	15	76
24	0	12	88
48	0	12	88
144	0	9	91

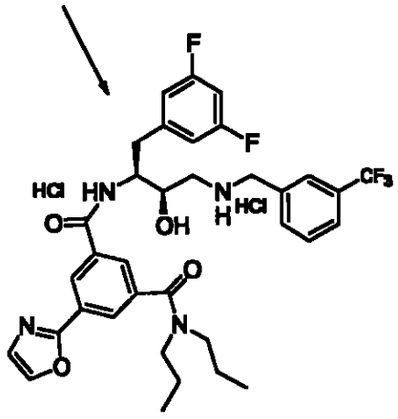
EXAMPLE 7: Rearrangement of (1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-({[3-(trifluoromethyl)benzyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-(1,3-oxazol-2-yl)benzoate dihydrochloride (18).

361



18.





20.

product A product B

Tables 11-12 provide relative concentrations as a function of time and at varying pH of prodrug 18 and its rearrangement products.

362

Table 11. Concentrations at 40 °C, pH 7.

pH 7 40°C			
TIME	O-acyl pro-drug	N-acyl prodrug (product A)	HEA product (product B)
(hr)	(% present)	(% present)	(% present)
0	100	0	0
1.5	0	66	34
7	0	59	41
24	0	43	57
48	0	27	73
144	0	16	84

Table 12. Concentrations at 40 °C, pH 4.

pH 4 40°C			
TIME	O-acyl pro-drug	N-acyl prodrug (product A)	HEA product (product B)
(hr)	(% present)	(% present)	(% present)
0	100	0	0
1.5	32	14	54
3	8	18	74
30	0	21	79
54	0	20	80
126	0	14	86

BIOLOGY EXAMPLES

Example A

Enzyme Inhibition Assay

The rearranged 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 concentration of 200 micromolar at the high point of a 6-point dilution curve. Ten (10) microliters of each dilution is added to each of two wells on row C of a

corresponding V-bottom plate to which 190 microliters of 52 millimolar NaOAc, 7.9% DMSO, pH 4.5 are pre-added. The NaOAc diluted compound plate is spun down to pellet precipitant and 20 microliters/well is transferred to a corresponding flat-bottom plate to which 30 microliters of ice-cold enzyme-substrate mixture (2.5 microliters MBP-C125SW substrate, 0.03 microliters enzyme and 24.5 microliters ice cold 0.09% TX100 per 30 microliters) is added. The final reaction mixture of 200 micromolar compound at the highest curve point is in 5% DMSO, 20 millimolar NaOAc, 0.06% TX100, at pH 4.5.

Warming the plates to 37 degrees C starts the enzyme reaction. After 90 minutes at 37 degrees C, 200 microliters/well cold specimen diluent is added to stop the reaction and 20 microliters/well was transferred to a corresponding anti-MBP antibody coated ELISA plate for capture, containing 80 microliters/well specimen diluent. This reaction is incubated overnight at 4 degrees C and the ELISA is developed the next day after a 2 hour incubation with anti-192SW antibody, followed by Streptavidin-AP conjugate and fluorescent substrate. The signal is read on a fluorescent plate reader.

Relative compound inhibition potency is determined by calculating the concentration of compound that showed a fifty percent reduction in detected signal (IC_{50}) compared to the enzyme reaction signal in the control wells with no added compound. In this assay, preferred compounds of the invention exhibit an IC_{50} of less than 50 micromolar.

Example B

Cell Free Inhibition Assay Utilizing a Synthetic APP Substrate

A synthetic APP substrate that can be cleaved by beta-secretase and having N-terminal biotin and made fluorescent by the covalent attachment of Oregon green at the Cys residue is used to assay beta-secretase activity in the presence or absence of the inhibitory compounds of the invention. Useful substrates include the following:

Biotin-SEVNL-DAEFRC[oregon green]KK [SEQ ID NO: 1]

Biotin-SEVKM-DAEFRC[oregon green]KK [SEQ ID NO: 2]

Biotin-GLNIKTEEISEISY-EVEFRC[oregon green]KK [SEQ ID NO: 3]

Biotin-ADRGLTTRPGSGLTNIKTEEISEVNL-DAEFRC[oregon green]KK [SEQ ID NO:4]

Biotin-FVNQHLCoxGSHLVEALY-LVCoxGERGFFYTPKAC[oregon green]KK [SEQ ID NO: 5]

The enzyme (0.1 nanomolar) and test compounds (0.001 - 100 micromolar) are incubated in pre-blocked, low affinity, black plates (384 well) at 37 degrees for 30 minutes. The reaction is initiated by addition of 150 millimolar substrate to a final volume of 30 microliter per well. The final assay conditions are: 0.001 - 100 micromolar compound inhibitor; 0.1 molar sodium acetate (pH 4.5); 150 nanomolar substrate; 0.1 nanomolar soluble beta-secretase; 0.001% Tween 20, and 2% DMSO. The assay mixture is incubated for 3 hours at 37 degrees C, and the reaction is terminated by the addition of a saturating concentration of immunopure streptavidin. After incubation with streptavidin at room temperature for 15 minutes, fluorescence polarization is measured, for example, using a LJL Acquest (Ex485 nm/ Em530 nm). The activity of the beta-secretase enzyme is detected by

changes in the fluorescence polarization that occur when the substrate is cleaved by the enzyme. Incubation in the presence or absence of compound inhibitor demonstrates specific inhibition of beta-secretase enzymatic cleavage of its synthetic APP substrate. In this assay, preferred compounds of the invention exhibit an IC_{50} of less than 50 micromolar.

Example C

Beta-Secretase Inhibition: P26-P4'SW Assay

Synthetic substrates containing the beta-secretase cleavage site of APP are used to assay beta-secretase activity, using the methods described, for example, in published PCT application WO00/47618. The P26-P4'SW substrate is a peptide of the sequence:

(biotin)CGGADRGLTTRPGSGLTNIKTEEISEVNLDAEF [SEQ ID NO: 6]

The P26-P1 standard has the sequence:

(biotin)CGGADRGLTTRPGSGLTNIKTEEISEVNL [SEQ ID NO: 7].

Briefly, the biotin-coupled synthetic substrates are incubated at a concentration of from about 0 to about 200 micromolar in this assay. When testing 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 streptavidin-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 chromogenic 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 U.S. Patent No. 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 U.S. Patent No. 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

Inhibition of A Beta Production in Human Patients

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

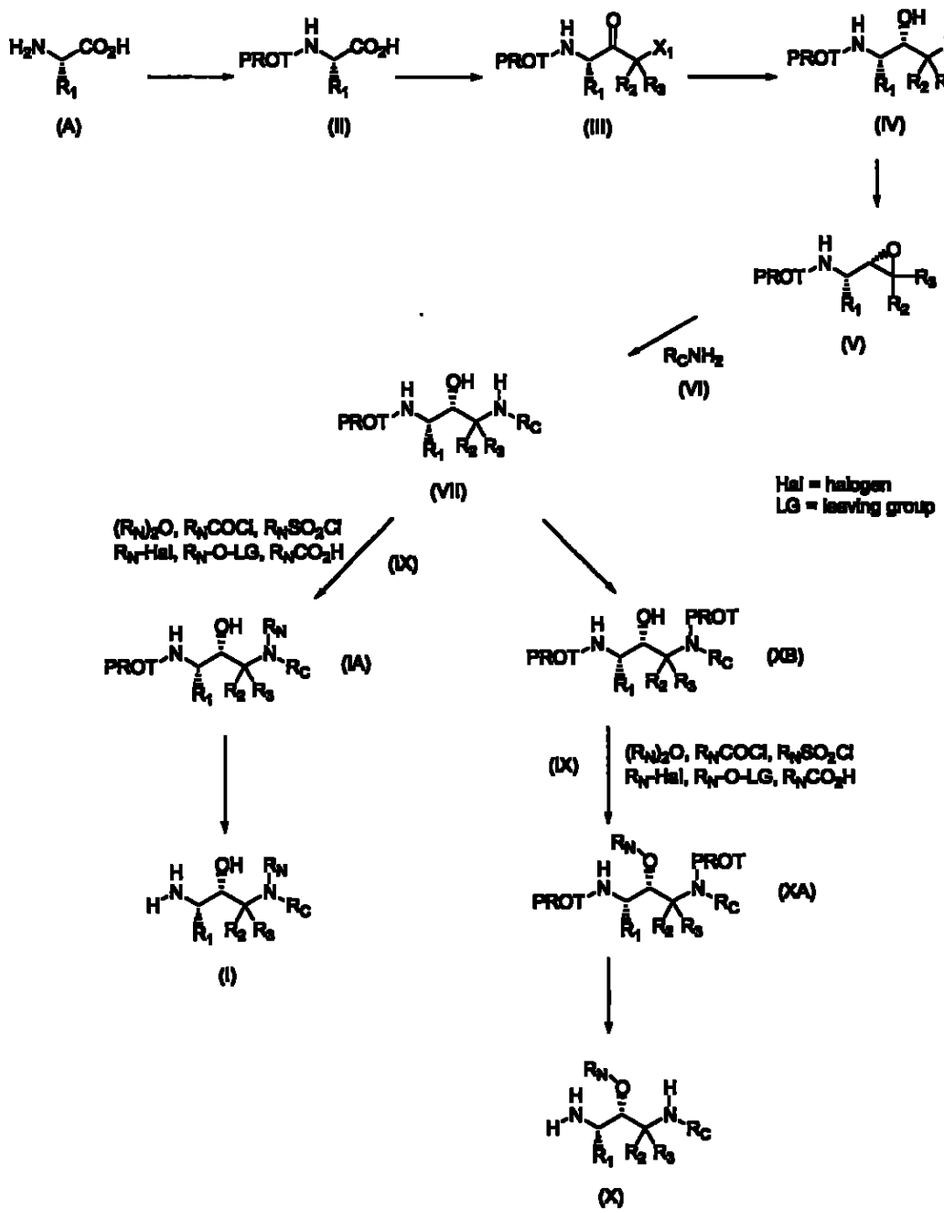
Prevention of A Beta Production in Patients at Risk for AD

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 example, once per month.

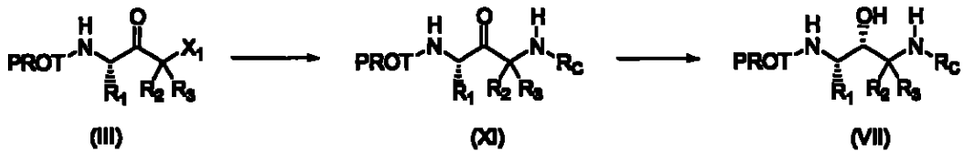
Patients administered the compound inhibitors are expected to demonstrate slowing or stabilization of disease progression as analyzed by changes in one or more of the following disease parameters: A beta present in CSF or plasma; brain or hippocampal volume; amyloid plaque in the brain; and scores for cognitive and memory function, as compared with control, non-treated patients.

The invention has been described with reference to various specific and preferred embodiments and techniques. However, it should be understood that many variations and modifications may be made while remaining within the spirit and scope of the invention.

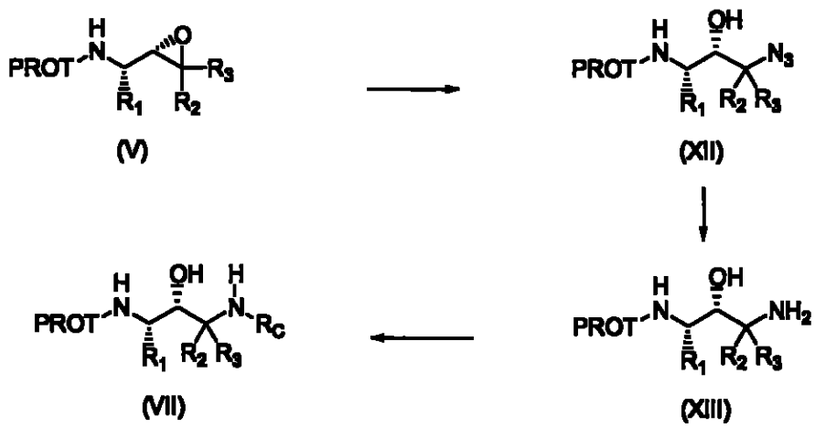
SCHEME A



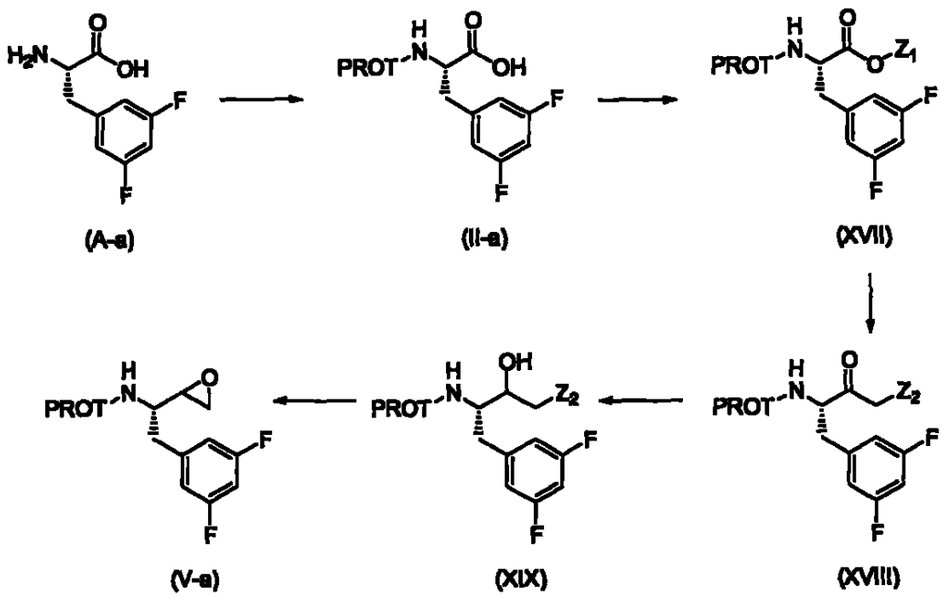
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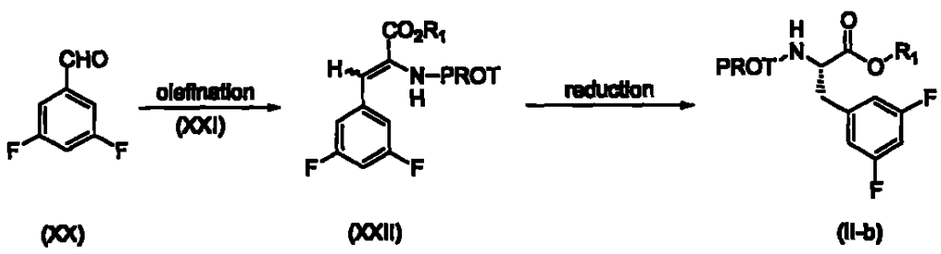
SCHEME C



SCHEME D



SCHEME E

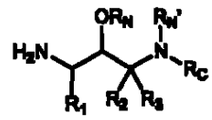


(XXI) = $(X_3\text{-}O\text{-})_2PO\text{-}CH(NH\text{-PROTECTING GROUP})\text{-}CO\text{-}R_1$

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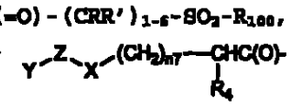
What is claimed is:

1. A compound of formula:



or a pharmaceutically acceptable salt thereof, wherein

one of R_N and R_N' is hydrogen and

the other is $-C(=O)-(CRR')_{0-6}R_{100}$, $-C(=O)-(CRR')_{1-6}-O-R'_{100}$, $-C(=O)-(CRR')_{1-6}-S-R'_{100}$, $-C(=O)-(CRR')_{1-6}-C(=O)-R_{100}$, $-C(=O)-(CRR')_{1-6}-SO_2-R_{100}$, $-C(=O)-(CRR')_{1-6}-NR_{100}-R'_{100}$, or 

wherein

R_4 is selected from the group consisting of H; NH_2; $-NH-(CH_2)_{n5}-R_{4-1}$; $-NHR_8$; $-NR_{50}C(O)R_5$; C_1-C_4 alkyl-$NHC(O)R_8$; $-(CH_2)_{0-4}R_8$; $-O-C_1-C_4$ alkanoyl; OH; C_6-C_{10} aryloxy optionally substituted with 1, 2, or 3 groups that are independently halogen, C_1-C_4 alkyl, $-CO_2H$, $-C(O)-C_1-C_4$ alkoxy, or C_1-C_4 alkoxy; C_1-C_5 alkoxy; aryl C_1-C_4 alkoxy; $-NR_{50}CO_2R_{51}$; $-C_1-C_4$ alkyl-$NR_{50}CO_2R_{51}$; $-C\equiv N$; $-CF_3$; $-CF_2-CF_3$; $-C\equiv CH$; $-CH_2-CH=CH_2$; $-(CH_2)_{1-4}-R_{4-1}$; $-(CH_2)_{1-4}-NH-R_{4-1}$; $-O-(CH_2)_{n5}-R_{4-1}$; $-S-(CH_2)_{n5}-R_{4-1}$; $-(CH_2)_{0-4}-NHC(O)-(CH_2)_{0-6}-R_{52}$; $-(CH_2)_{0-4}-R_{53}-(CH_2)_{0-4}-R_{54}$;

wherein

n_5 is 0, 1, 2, or 3;

n_7 is 0, 1, 2, or 3;

R_{4-1} is selected from the group consisting of $-SO_2-(C_1-C_6$ alkyl), $-SO-(C_1-C_6$ alkyl), $-S-(C_1-C_6$ alkyl), $-S-CO-(C_1-C_6$ alkyl), $-SO_2-NR_{4-2}R_{4-3}$; $-CO-C_1-C_2$ alkyl; $-CO-NR_{4-3}R_{4-4}$;

R_{4-2} and R_{4-3} are independently H, C_1-C_3 alkyl, or C_3-C_6 cycloalkyl;

R_{4-4} is alkyl, arylalkyl, alkanoyl, or arylalkanoyl;

R_{4-6} is-H or C_1-C_6 alkyl;

R_5 is selected from the group consisting of C_3-C_7 cycloalkyl; C_1-C_6 alkyl optionally substituted with 1, 2, or 3 groups that are independently halogen, $-NR_6R_7$, C_1-C_4 alkoxy, C_5-C_6 heterocycloalkyl, C_5-C_6 heteroaryl, C_6-C_{10} aryl, C_3-C_7 cycloalkyl C_1-C_4 alkyl, $-S$-C_1-C_4 alkyl, $-SO_2$-C_1-C_4 alkyl, $-CO_2H$, $-CONR_6R_7$, $-CO_2$-C_1-C_4 alkyl, C_6-C_{10} aryloxy; heteroaryl optionally substituted with 1, 2, or 3 groups that are independently C_1-C_4 alkyl, C_1-C_4 alkoxy, halogen, C_1-C_4 haloalkyl, or OH; heterocycloalkyl optionally substituted with 1, 2, or 3 groups that are independently C_1-C_4 alkyl, C_1-C_4 alkoxy, halogen, or C_2-C_4 alkanoyl; aryl optionally substituted with 1, 2, 3, or 4 groups that are independently halogen, OH, C_1-C_4 alkyl, C_1-C_4 alkoxy, or C_1-C_4 haloalkyl; and $-NR_6R_7$; wherein

R_6 and R_7 are independently selected from the group consisting of H, C_1-C_6 alkyl, C_2-C_8 alkanoyl, phenyl, $-SO_2$-C_1-C_4 alkyl, phenyl C_1-C_4 alkyl;

R_8 is selected from the group consisting of $-SO_2$-heteroaryl, $-SO_2$-aryl, $-SO_2$-heterocycloalkyl, $-SO_2$-C_1-C_{10} alkyl, $-C(O)NHR_9$, heterocycloalkyl, $-S$-C_1-C_6 alkyl, $-S$-C_2-C_4 alkanoyl, wherein

R_9 is aryl C_1-C_4 alkyl, C_1-C_6 alkyl, or H;

R_{50} is H or C_1-C_6 alkyl;

R_{51} is selected from the group consisting of aryl C_1-C_4 alkyl; C_1-C_6 alkyl optionally substituted

with 1, 2, or 3 groups that are independently halogen, cyano, heteroaryl, $-NR_6R_7$, $-C(O)NR_6R_7$, C_3-C_7 cycloalkyl, or $-C_1$-C_4 alkoxy; heterocycloalkyl optionally substituted with 1 or 2 groups that are independently C_1-C_4 alkyl, C_1-C_4 alkoxy, halogen, C_2-C_4 alkanoyl, aryl C_1-C_4 alkyl, and $-SO_2$ C_1-C_4 alkyl; alkenyl; alkynyl; heteroaryl optionally substituted with 1, 2, or 3 groups that are independently OH, C_1-C_4 alkyl, C_1-C_4 alkoxy, halogen, NH_2, $NH(C_1$-C_6 alkyl) or $N(C_1$-C_6 alkyl)$(C_1$-C_6 alkyl); heteroarylalkyl optionally substituted with 1, 2, or 3 groups that are independently C_1-C_4 alkyl, C_1-C_4 alkoxy, halogen, NH_2, $NH(C_1$-C_6 alkyl) or $N(C_1$-C_6 alkyl)$(C_1$-C_6 alkyl); aryl; heterocycloalkyl; C_3-C_8 cycloalkyl; and cycloalkylalkyl; wherein the aryl; heterocycloalkyl, C_3-C_8 cycloalkyl, and cycloalkylalkyl groups are optionally substituted with 1, 2, 3, 4 or 5 groups that are independently halogen, CN, NO_2, C_1-C_6 alkyl, C_1-C_6 alkoxy, C_2-C_6 alkanoyl, C_1-C_6 haloalkyl, C_1-C_6 haloalkoxy, hydroxy, C_1-C_6 hydroxyalkyl, C_1-C_6 alkoxy C_1-C_6 alkyl, C_1-C_6 thioalkoxy, C_1-C_6 thioalkoxy C_1-C_6 alkyl, or C_1-C_6 alkoxy C_1-C_6 alkoxy;

R_{52} is heterocycloalkyl, heteroaryl, aryl, cycloalkyl, $-S(O)_{0-2}$-C_1-C_6 alkyl, CO_2H, $-C(O)NH_2$, $-C(O)NH$(alkyl), $-C(O)N$(alkyl)(alkyl), $-CO_2$-alkyl, $-NHS(O)_{0-2}$-C_1-C_6 alkyl, $-N$(alkyl)$S(O)_{0-2}$-C_1-C_6 alkyl, $-S(O)_{0-2}$-heteroaryl, $-S(O)_{0-2}$-aryl, $-NH$(arylalkyl), $-N$(alkyl)(arylalkyl), thioalkoxy, or alkoxy, each of which is optionally substituted with 1, 2, 3, 4, or 5

groups that are independently alkyl, alkoxy, thioalkoxy, halogen, haloalkyl, haloalkoxy, alkanoyl, NO_2, CN, alkoxycarbonyl, or aminocarbonyl;

R_{83} is absent, -O-, -C(O)-, -NH-, -N(alkyl)-, -NH-$S(O)_{0-2}$-, -N(alkyl)-$S(O)_{0-2}$-, -$S(O)_{0-2}$-NH-, -$S(O)_{0-2}$- N(alkyl)-, -NH-C(S)-, or -N(alkyl)-C(S)-;

R_{84} is heteroaryl, aryl, arylalkyl, heterocycloalkyl, CO_2H, -CO_2-alkyl, -C(O)NH(alkyl), -C(O)N(alkyl)(alkyl), -$C(O)NH_2$, C_1-C_8 alkyl, OH, aryloxy, alkoxy, arylalkoxy, NH_2, NH(alkyl), N(alkyl)(alkyl), or -C_1-C_6 alkyl-CO_2-C_1-C_6 alkyl, each of which is optionally substituted with 1, 2, 3, 4, or 5 groups that are independently alkyl, alkoxy, CO_2H, -CO_2-alkyl, thioalkoxy, halogen, haloalkyl, haloalkoxy, hydroxyalkyl, alkanoyl, NO_2, CN, alkoxycarbonyl, or aminocarbonyl;

X is selected from the group consisting of -C_1-C_6 alkylidenyl optionally optionally substituted with 1, 2, or 3 methyl groups; and -NR_{4-6}-; or

R_4 and R_{4-6} combine to form -$(CH_2)_{n10}$-, wherein

n_{10} is 1, 2, 3, or 4;

Z is selected from the group consisting of a bond; SO_2; SO; S; and C(O);

Y is selected from the group consisting of H; C_1-C_6 haloalkyl; C_2-C_6 heterocycloalkyl; C_6-C_{10} aryl; OH; -$N(Y_1)(Y_2)$; C_1-C_{10} alkyl optionally substituted with 1 thru 3 substituents which can be the same or different and are selected from the group consisting of halogen, hydroxy, alkoxy, thioalkoxy, and haloalkoxy; C_3-C_8 cycloalkyl optionally substituted with 1, 2, or 3 groups independently selected from C_1-C_3 alkyl, and halogen; alkoxy; aryl optionally

substituted with halogen, alkyl, alkoxy, CN or NO_2; arylalkyl optionally substituted with halogen, alkyl, alkoxy, CN or NO_2; wherein

Y_1 and Y_2 are the same or different and are H; C_1-C_{10} alkyl optionally substituted with 1, 2, or 3 substituents selected from the group consisting of halogen, C_1-C_4 alkoxy, C_3-C_8 cycloalkyl, and OH; C_2-C_6 alkenyl; C_2-C_6 alkanoyl; phenyl; -SO_2-C_1-C_4 alkyl; phenyl C_1-C_4 alkyl; or C_3-C_8 cycloalkyl C_1-C_4 alkyl; or

Y_1, Y_2 and the nitrogen to which they are attached form a ring selected from the group consisting of piperazinyl, piperidinyl, morpholinyl, and pyrolidinyl, wherein each ring is optionally substituted with 1, 2, 3, or 4 groups that are independently C_1-C_6 alkyl, C_1-C_6 alkoxy, C_1-C_6 alkoxy C_1-C_6 alkyl, or halogen;

R_1 is -$(CH_2)_{1-2}$-$S(O)_{0-2}$-(C_1-C_6 alkyl), or

C_1-C_{10} alkyl optionally substituted with 1, 2, or 3 groups independently selected from halogen, OH, =O, -SH, -C≡N, -CF_3, -C_1-C_3 alkoxy, amino, mono- or dialkylamino, -N(R)C(O)R'-, -OC(=O)-amino and -OC(=O)-mono- or dialkylamino, or

C_2-C_6 alkenyl or C_2-C_6 alkynyl, each of which is optionally substituted with 1, 2, or 3 groups independently selected from halogen, -OH, -SH, -C≡N, -CF_3, C_1-C_3 alkoxy, amino, and mono- or dialkylamino, or

aryl, heteroaryl, heterocyclyl, -C_1-C_6 alkyl-aryl, -C_1-C_6 alkyl-heteroaryl, or -C_1-C_6 alkyl-heterocyclyl, where the ring portions of each are optionally substituted with 1, 2, 3, or 4 groups independently selected from halogen,

-OH, -SH, -C≡N, $-NR_{105}R'_{105}$, $-CO_2R$, -N(R)COR', or $-N(R)SO_2R'$, $-C(=O)-(C_1-C_4)$ alkyl, $-SO_2$-amino, $-SO_2$-mono or dialkylamino, -C(=O)-amino, -C(=O)-mono or dialkylamino, $-SO_2-(C_1-C_4)$ alkyl, or

$-C_1-C_6$ alkoxy optionally substituted with 1, 2, or 3 groups which are independently a halogen, or

C_3-C_7 cycloalkyl optionally substituted with 1, 2, or 3 groups independently selected from halogen, -OH, -SH, -C≡N, $-CF_3$, C_1-C_3 alkoxy, amino, $-C_1-C_6$ alkyl and mono- or dialkylamino, or

C_1-C_{10} alkyl optionally substituted with 1, 2, or 3 groups independently selected from halogen, -OH, -SH, -C≡N, $-CF_3$, $-C_1-C_3$ alkoxy, amino, mono- or dialkylamino and $-C_1-C_3$ alkyl, or

C_2-C_{10} alkenyl or C_2-C_{10} alkynyl each of which is optionally substituted with 1, 2, or 3 groups independently selected from halogen, -OH, -SH, -C≡N, $-CF_3$, C_1-C_3 alkoxy, amino, C_1-C_6 alkyl and mono- or dialkylamino; and

the heterocyclyl group is optionally further substituted with oxo;

R and R' independently are hydrogen or C_1-C_{10} alkyl;

R_2 is selected from the group consisting of H; C_1-C_6 alkyl, optionally substituted with 1, 2, or 3 substituents that are independently selected from the group consisting of C_1-C_3 alkyl, halogen, -OH, -SH, -C≡N, $-CF_3$, C_1-C_3 alkoxy, and $-NR_{1-a}R_{1-b}$; wherein R_{1-a} and R_{1-b} are -H or C_1-C_6 alkyl;

$-(CH_2)_{0-4}$-aryl; $-(CH_2)_{0-4}$-heteroaryl; C_2-C_6 alkenyl; C_2-C_6 alkynyl; $-CONR_{N-2}R_{N-3}$; $-SO_2NR_{N-2}R_{N-3}$; $-CO_2H$; and $-CO_2$-(C_1-C_4 alkyl);

R_3 is selected from the group consisting of H; C_1-C_6 alkyl, optionally substituted with 1, 2, or 3 substituents independently selected from the group consisting of C_1-C_3 alkyl, halogen, -OH, -SH, -C≡N, $-CF_3$, C_1-C_3 alkoxy, and $-NR_{1-a}R_{1-b}$; $-(CH_2)_{0-4}$-aryl; $-(CH_2)_{0-4}$-heteroaryl; C_2-C_6 alkenyl; C_2-C_6 alkynyl; $-CO-NR_{N-2}R_{N-3}$; $-SO_2-NR_{N-2}R_{N-3}$; $-CO_2H$; and $-CO-O-(C_1-C_4$ alkyl);

or

R_2, R_3 and the carbon to which they are attached form a carbocycle of three thru seven carbon atoms, wherein one carbon atom is optionally replaced by a group selected from -O-, -S-, $-SO_2-$, or $-NR_{N-2}-$;

R_C is selected from the group consisting of C_1-C_{10} alkyl optionally substituted with 1, 2, or 3 groups independently selected from the group consisting of R_{205}, $-OC=ONR_{235}R_{240}$, $-S(=O)_{0-2}(C_1-C_6$ alkyl), -SH, $-NR_{235}C=ONR_{235}R_{240}$, $-C=ONR_{235}R_{240}$, and $-S(=O)_2NR_{235}R_{240}$; $-(CH_2)_{0-3}-(C_3-C_8)$ cycloalkyl wherein the cycloalkyl is optionally substituted with 1, 2, or 3 groups independently selected from the group consisting of R_{205}, $-CO_2H$, and $-CO_2-(C_1-C_4$ alkyl); $-(CR_{245}R_{250})_{0-4}$-aryl; $-(CR_{245}R_{250})_{0-4}$-heteroaryl; $-(CR_{245}R_{250})_{0-4}$-heterocycloalkyl; $-(CR_{245}R_{250})_{0-4}$-aryl-heteroaryl; $-(CR_{245}R_{250})_{0-4}$-aryl-heterocycloalkyl; $-(CR_{245}R_{250})_{0-4}$-aryl-aryl; $-(CR_{245}R_{250})_{0-4}$-heteroaryl-aryl; $-(CR_{245}R_{250})_{0-4}$-heteroaryl-heterocycloalkyl; $-(CR_{245}R_{250})_{0-4}$-heteroaryl-heteroaryl; $-(CR_{245}R_{250})_{0-4}$-heterocycloalkyl-heteroaryl; $-(CR_{245}R_{250})_{0-4}$-heterocycloalkyl-heterocycloalkyl; $-(CR_{245}R_{250})_{0-4}$-

heterocycloalkyl-aryl; -[C(R_{255})(R_{260})]$_{1-3}$-CO-N-(R_{255})$_2$; -CH(aryl)$_2$; -CH(heteroaryl)$_2$; -CH(heterocycloalkyl)$_2$; -CH(aryl)(heteroaryl); cyclopentyl, cyclohexyl, or cycloheptyl ring fused to aryl, heteroaryl, or heterocycloalkyl wherein one carbon of the cyclopentyl, cyclohexyl, or cycloheptyl is optionally replaced with NH, NR_{215}, O, or S(=O)$_{0-2}$, and wherein the cyclopentyl, cyclohexyl, or cycloheptyl group can be optionally substituted with 1 or 2 groups that are independently R_{205} or =O; -CO-$NR_{235}R_{240}$; -SO_2-(C_1-C_4 alkyl); C_2-C_{10} alkenyl optionally substituted with 1, 2, or 3 R_{205} groups; C_2-C_{10} alkynyl optionally substituted with 1, 2, or 3 R_{205} groups; -(CH$_2$)$_{0-1}$-CH((CH$_2$)$_{0-6}$-OH)-(CH$_2$)$_{0-1}$-aryl; -(CH$_2$)$_{0-1}$-CHR_{C-6}-(CH$_2$)$_{0-1}$-heteroaryl; -CH(-aryl or -heteroaryl)-CO-O(C_1-C_4 alkyl); -CH(-CH_2-OH)-CH(OH)-phenyl-NO_2; (C_1-C_6 alkyl)-O-(C_1-C_6 alkyl)-OH; -CH_2-NH-CH_2-CH(-O-CH_2-CH_3)$_2$; -H; and -(CH$_2$)$_{0-6}$-C(=NR_{215})($NR_{235}R_{240}$); wherein

each aryl is optionally substituted with 1, 2, or 3 R_{200};

each heteroaryl is optionally substituted with 1, 2, 3, or 4 R_{200};

each heterocycloalkyl is optionally substituted with 1, 2, 3, or 4 R_{210};

R_{200} at each occurrence is independently selected from the group consisting of C_1-C_6 alkyl optionally substituted with 1, 2, or 3 R_{205} groups; OH; -NO_2; halogen; -CO_2H; C≡N; -(CH$_2$)$_{0-4}$-CO-$NR_{220}R_{225}$; -(CH$_2$)$_{0-4}$-CO-(C_1-C_{12} alkyl); -(CH$_2$)$_{0-4}$-CO-(C_2-C_{12} alkenyl); -(CH$_2$)$_{0-4}$-CO-(C_2-C_{12} alkynyl); -(CH$_2$)$_{0-4}$-CO-(C_3-C_7 cycloalkyl); -(CH$_2$)$_{0-4}$-CO-aryl; -(CH$_2$)$_{0-4}$-CO-heteroaryl; -(CH$_2$)$_{0-4}$-CO-

heterocycloalkyl; $-(CH_2)_{0-4}-CO_2R_{215}$; $-(CH_2)_{0-4}-SO_2-NR_{220}R_{225}$; $-(CH_2)_{0-4}-SO-(C_1-C_8$ alkyl); $-(CH_2)_{0-4}-SO_2-(C_1-C_{12}$ alkyl); $-(CH_2)_{0-4}-SO_2-(C_3-C_7$ cycloalkyl); $-(CH_2)_{0-4}-N(H$ or $R_{215})-CO_2R_{215}$; $-(CH_2)_{0-4}-N(H$ or $R_{215})-CO-N(R_{215})_2$; $-(CH_2)_{0-4}-N-CS-N(R_{215})_2$; $-(CH_2)_{0-4}-N(-H$ or $R_{215})-CO-R_{220}$; $-(CH_2)_{0-4}-NR_{220}R_{225}$; $-(CH_2)_{0-4}-O-CO-(C_1-C_6$ alkyl); $-(CH_2)_{0-4}-O-P(O)-(OR_{240})_2$; $-(CH_2)_{0-4}-O-CO-N(R_{215})_2$; $-(CH_2)_{0-4}-O-CS-N(R_{215})_2$; $-(CH_2)_{0-4}-O-(R_{215})_2$; $-(CH_2)_{0-4}-O-(R_{215})_2-COOH$; $-(CH_2)_{0-4}-S-(R_{215})_2$; $-(CH_2)_{0-4}-O-(C_1-C_6$ alkyl optionally substituted with 1, 2, 3, or 5 -F); C_3-C_7 cycloalkyl; C_2-C_6 alkenyl optionally substituted with 1 or 2 R_{205} groups; C_2-C_6 alkynyl optionally substituted with 1 or 2 R_{205} groups; $-(CH_2)_{0-4}-N(H$ or $R_{215})-SO_2-R_{220}$; and $-(CH_2)_{0-4}-C_3-C_7$ cycloalkyl;

wherein each aryl group at each occurrence is optionally substituted with 1, 2, or 3 groups that are independently R_{205}, R_{210} or C_1-C_6 alkyl substituted with 1, 2, or 3 groups that are independently R_{205} or R_{210};

wherein each heterocycloalkyl group at each occurrence is optionally substituted with 1, 2, or 3 groups that are independently R_{210};

wherein each heteroaryl group at each occurrence is optionally substituted with 1, 2, or 3 groups that are independently R_{205}, R_{210}, or C_1-C_6 alkyl substituted with 1, 2, or 3 groups that are independently R_{205} or R_{210};

R_{205} at each occurrence is independently selected from the group consisting of C_1-C_6 alkyl, halogen, -OH, -O-phenyl, -SH, -C≡N, $-CF_3$, C_1-C_6

alkoxy, NH_2, $NH(C_1-C_6$ alkyl), and $N-(C_1-C_6$ alkyl)$(C_1-C_6$ alkyl);

R_{210} at each occurrence is independently selected from the group consisting of C_1-C_6 alkyl optionally substituted with 1, 2, or 3 R_{205} groups; C_2-C_6 alkenyl optionally substituted with 1, 2, or 3 R_{205} groups; C_2-C_6 alkynyl optionally substituted with 1, 2, or 3 R_{205} groups; halogen; C_1-C_6 alkoxy; C_1-C_6 haloalkoxy; $-NR_{220}R_{225}$; OH; CN; C_3-C_7 cycloalkyl optionally substituted with 1, 2, or 3 R_{205} groups; $-CO-(C_1-C_4$ alkyl); $-SO_2-NR_{235}R_{240}$; $-CO-NR_{235}R_{240}$; $-SO_2-(C_1-C_4$ alkyl); and =O; wherein

R_{215} at each occurrence is independently selected from the group consisting of C_1-C_6 alkyl, $-(CH_2)_{0-2}-$(aryl), C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_3-C_7 cycloalkyl, and $-(CH_2)_{0-2}-$(heteroaryl), $-(CH_2)_{0-2}-$(heterocycloalkyl); wherein the aryl group at each occurrence is optionally substituted with 1, 2, or 3 groups that are independently R_{205} or R_{210}; wherein the heterocycloalkyl group at each occurrence is optionally substituted with 1, 2, or 3 R_{210}; wherein each heteroaryl group at each occurrence is optionally substituted with 1, 2, or 3 R_{210};

R_{220} and R_{225} at each occurrence are independently selected from the group consisting of -H, $-C_1-C_6$ alkyl, hydroxy C_1-C_6 alkyl, amino C_1-C_6 alkyl; halo C_1-C_6 alkyl; $-C_3-C_7$ cycloalkyl, $-(C_1-C_2$ alkyl)$-(C_3-C_7$ cycloalkyl), $-(C_1-C_6$ alkyl)$-O-(C_1-C_3$ alkyl), $-C_2-C_6$ alkenyl, $-C_2-C_6$ alkynyl, $-C_1-C_6$ alkyl chain with one double bond and one triple

bond, -aryl, -heteroaryl, and -heterocycloalkyl; wherein the aryl group at each occurrence is optionally substituted with 1, 2, or 3 R_{270} groups, wherein

R_{270} at each occurrence is independently R_{205}, C_1-C_6 alkyl optionally substituted with 1, 2, or 3 R_{205} groups; C_2-C_6 alkenyl optionally substituted with 1, 2, or 3 R_{205} groups; C_2-C_6 alkynyl optionally substituted with 1, 2, or 3 R_{205} groups; halogen; C_1-C_6 alkoxy; C_1-C_6 haloalkoxy; $NR_{235}R_{240}$; OH; C≡N; C_3-C_7 cycloalkyl optionally substituted with 1, 2, or 3 R_{205} groups; -CO-(C_1-C_4 alkyl); $-SO_2-NR_{235}R_{240}$; $-CO-NR_{235}R_{240}$; $-SO_2-$(C_1-C_4 alkyl); and =O; wherein the heterocycloalkyl group at each occurrence is optionally substituted with 1, 2, or 3 R_{205} groups; wherein each heteroaryl group at each occurrence is optionally substituted with 1, 2, or 3 R_{205} groups;

R_{235} and R_{240} at each occurrence are independently H, or C_1-C_6 alkyl;

R_{245} and R_{250} at each occurrence are independently selected from the group consisting of H, C_1-C_4 alkyl, C_1-C_4 hydroxyalkyl, C_1-C_4 alkoxy, C_1-C_4 haloalkoxy, $-(CH_2)_{0-4}-C_3$-C_7 cycloalkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, aryl C_1-C_4 alkyl, heteroaryl C_1-C_4 alkyl, and phenyl; or

R_{245} and R_{250} are taken together with the carbon to which they are attached to form a carbocycle of 3, 4, 5, 6, or 7 carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of -O-, -S-, $-SO_2-$, and $-NR_{220}-$;

R_{255} and R_{260} at each occurrence are independently selected from the group consisting of H; C_1-C_6 alkyl optionally substituted with 1, 2, or 3 R_{205} groups; C_2-C_6 alkenyl optionally substituted with 1, 2, or 3 R_{205} groups; C_2-C_6 alkynyl optionally substituted with 1, 2, or 3 R_{205} groups; $-(CH_2)_{1-2}-S(O)_{0-2}-(C_1-C_6$ alkyl); $-(CH_2)_{0-4}-C_3-C_7$ cycloalkyl optionally substituted with 1, 2, or 3 R_{205} groups; $-(C_1-C_4$ alkyl)-aryl; $-(C_1-C_4$ alkyl)-heteroaryl; $-(C_1-C_4$ alkyl)-heterocycloalkyl; -aryl; -heteroaryl; -heterocycloalkyl; $-(CH_2)_{1-4}-R_{265}-(CH_2)_{0-4}$-aryl; $-(CH_2)_{1-4}-R_{265}-(CH_2)_{0-4}$-heteroaryl; and; $-(CH_2)_{1-4}-R_{265}-(CH_2)_{0-4}$-heterocycloalkyl; wherein

R_{265} at each occurrence is independently -O-, -S- or $-N(C_1-C_6$ alkyl)-;

each aryl or phenyl is optionally substituted with 1, 2, or 3 groups that are independently R_{205}, R_{210}, or C_1-C_6 alkyl substituted with 1, 2, or 3 groups that are independently R_{205} or R_{210};

each heteroaryl is optionally substituted with 1, 2, 3, or 4 R_{200}, each heterocycloalkyl is optionally substituted with 1, 2, 3, or 4 R_{210};

R_{100} and R'_{100} independently represent aryl, heteroaryl, heterocyclyl, -aryl-W-aryl, -aryl-W-heteroaryl, -aryl-W-heterocyclyl, -heteroaryl-W-aryl, -heteroaryl-W-heteroaryl, -heteroaryl-W-heterocyclyl, -heterocyclyl-W-aryl, -heterocyclyl-W-heteroaryl, -heterocyclyl-W-heterocyclyl, $-CH[(CH_2)_{0-2}-O-R_{150}]-(CH_2)_{0-2}$-aryl, $-CH[(CH_2)_{0-2}-O-R_{150}]-(CH_2)_{0-2}$-heterocyclyl or $-CH[(CH_2)_{0-2}-O-R_{150}]-(CH_2)_{0-2}$-heteroaryl, where the ring portions of each are

optionally substituted with 1, 2, or 3 groups independently selected from

-OR, $-NO_2$, C_1-C_6 alkyl, halogen, -C≡N, $-OCF_3$, $-CF_3$, $-(CH_2)_{0-4}-O-P(=O)(OR)(OR')$, $-(CH_2)_{0-4}-CO-NR_{105}R'_{105}$, $-(CH_2)_{0-4}-O-(CH_2)_{0-4}-CONR_{102}R_{102}'$, $-(CH_2)_{0-4}-CO-(C_1-C_{12}$ alkyl), $-(CH_2)_{0-4}-CO-(C_2-C_{12}$ alkenyl), $-(CH_2)_{0-4}-CO-(C_2-C_{12}$ alkynyl), $-(CH_2)_{0-4}-CO-(CH_2)_{0-4}(C_3-C_7$ cycloalkyl), $-(CH_2)_{0-4}-R_{110}$, $-(CH_2)_{0-4}-R_{120}$, $-(CH_2)_{0-4}-R_{130}$, $-(CH_2)_{0-4}-CO-R_{110}$, $-(CH_2)_{0-4}-CO-R_{120}$, $-(CH_2)_{0-4}-CO-R_{130}$, $-(CH_2)_{0-4}-CO-R_{140}$, $-(CH_2)_{0-4}-CO-O-R_{150}$, $-(CH_2)_{0-4}-SO_2-NR_{105}R'_{105}$, $-(CH_2)_{0-4}-SO-(C_1-C_6$ alkyl), $-(CH_2)_{0-4}-SO_2-(C_1-C_{12}$ alkyl), $-(CH_2)_{0-4}-SO_2-(CH_2)_{0-4}-(C_3-C_7$ cycloalkyl), $-(CH_2)_{0-4}-N(R_{150})-CO-O-R_{150}$, $-(CH_2)_{0-4}-N(R_{150})-CO-N(R_{150})_2$, $-(CH_2)_{0-4}-N(R_{150})-CS-N(R_{150})_2$, $-(CH_2)_{0-4}-N(R_{150})-CO-R_{105}$; $-(CH_2)_{0-4}-NR_{105}R'_{105}$, $-(CH_2)_{0-4}-R_{140}$, $-(CH_2)_{0-4}-O-CO-(C_1-C_6$ alkyl), $-(CH_2)_{0-4}-O-P(O)-(O-R_{110})_2$, $-(CH_2)_{0-4}O-CO-N(R_{150})_2$, $-(CH_2)_{0-4}-O-CS-N(R_{150})_2$, $-(CH_2)_{0-4}-O-(R_{150})$, $-(CH_2)_{0-4}-O-R_{150}'-COOH$, $-(CH_2)_{0-4}-S-(R_{150})$, $-(CH_2)_{0-4}-N(R_{150})-SO_2-R_{105}$, $-(CH_2)_{0-4}-$ C_3-C_7 cycloalkyl, (C_2-C_{10})alkenyl, and (C_2-C_{10})alkynyl, or

R_{100} is C_1-C_{10} alkyl optionally substituted with 1, 2, or 3 R_{115} groups, or

R_{100} is $-(C_1-C_6$ alkyl)$-O-C_1-C_6$ alkyl) or $-(C_1-C_6$ alkyl$)-S-(C_1-C_6$ alkyl), each of which is optionally substituted with 1, 2, or 3 R_{115} groups, or

R_{100} is C_3-C_8 cycloalkyl optionally substituted with 1, 2, or 3 R_{115} groups;

W is $-(CH_2)_{0-4}-$, -O-, $-S(O)_{0-2}-$, $-N(R_{135})-$, -CR(OH)- or -C(O)-;

R_{102} and R_{102}' independently are hydrogen, or

C_1-C_{10} alkyl optionally substituted with 1, 2, or 3 groups that are independently halogen, aryl or $-R_{110}$;

R_{105} and R'_{105} independently represent -H, $-R_{110}$, $-R_{120}$, C_3-C_7 cycloalkyl, -(C_1-C_2 alkyl)-(C_3-C_7 cycloalkyl), -(C_1-C_6 alkyl)-O-(C_1-C_3 alkyl), C_2-C_6 alkenyl, C_2-C_6 alkynyl, or C_1-C_6 alkyl chain with one double bond and one triple bond, or

C_1-C_6 alkyl optionally substituted with -OH or $-NH_2$; or,

C_1-C_6 alkyl optionally substituted with 1, 2, or 3 groups independently selected from halogen, or

R_{105} and R'_{105} together with the atom to which they are attached form a 3 to 7 membered carbocylic ring, where one member is optionally a heteratom selected from -O-, $-S(O)_{0-2}$-, $-N(R_{135})$-, the ring being optionally substituted with 1, 2 or 3 independently selected R_{140} groups;

R_{115} at each occurrence is independantly halogen, -OH, $-CO_2R_{102}$, -C_1-C_6 thioalkoxy, $-CO_2$-phenyl, $-NR_{105}R'_{105}$, $-SO_2$-(C_1-C_6 alkyl), $-C(=O)R_{130}$, R_{160}, $-CONR_{105}R'_{105}$, $-SO_2NR_{105}R'_{105}$, -NH-CO-(C_1-C_6 alkyl), -NH-C(=O)-OH, -NH-C(=O)-OR, -NH-C(=O)-O-phenyl, -O-C(=O)-(C_1-C_6 alkyl), -O-C(=O)-amino, -O-C(=O)-mono- or dialkylamino, -O-C(=O)-phenyl, -O-(C_1-C_6 alkyl)-CO_2H, -NH-SO_2-(C_1-C_6 alkyl), C_1-C_6 alkoxy or C_1-C_6 haloalkoxy;

R_{135} is C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_3-C_7 cycloalkyl, $-(CH_2)_{0-2}$-(aryl), $-(CH_2)_{0-2}$-(heteroaryl), or $-(CH_2)_{0-2}$-(heterocyclyl);

R_{140} is heterocyclyl optionally substituted with 1, 2, 3, or 4 groups independently selected from C_1-C_6 alkyl, C_1-C_6 alkoxy, halogen, hydroxy, cyano, nitro, amino,

mono(C_1-C_6)alkylamino, di(C_1-C_6)alkylamino, C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_1-C_6 haloalkyl, C_1-C_6 haloalkoxy, amino(C_1-C_6)alkyl, mono(C_1-C_6)alkylamino(C_1-C_6)alkyl, di(C_1-C_6)alkylamino(C_1-C_6)alkyl, and =O;

R_{145} is C_1-C_6 alkyl or CF_3;

R_{150} is hydrogen, C_3-C_7 cycloalkyl, -(C_1-C_2 alkyl)-(C_3-C_7 cycloalkyl), C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_1-C_6 alkyl with one double bond and one triple bond, -R_{110}, -R_{120}, or

C_1-C_6 alkyl optionally substituted with 1, 2, 3, or 4 groups independently selected from -OH, -NH_2, C_1-C_3 alkoxy, R_{110}, and halogen;

$R_{150'}$ is C_3-C_7 cycloalkyl, -(C_1-C_3 alkyl)-(C_3-C_7 cycloalkyl), C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_1-C_6 alkyl with one double bond and one triple bond, -R_{110}, -R_{120}, or

C_1-C_6 alkyl optionally substituted with 1, 2, 3, or 4 groups independently selected from -OH, -NH_2, C_1-C_3 alkoxy, R_{110}, and halogen;

R_{155} is C_3-C_7 cycloalkyl, -(C_1-C_2 alkyl)-(C_3-C_7 cycloalkyl), C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_1-C_6 alkyl with one double bond and one triple bond, -R_{110}, -R_{120}, or

C_1-C_6 alkyl optionally substituted with 1, 2, 3, or 4 groups independently selected from -OH, -NH_2, C_1-C_3 alkoxy, and halogen;

R_{155} is selected from morpholinyl, thiomorpholinyl, piperazinyl, piperidinyl, homomorpholinyl, homothiomorpholinyl, homothiomorpholinyl S-oxide, homothiomorpholinyl S,S-dioxide, pyrrolinyl and pyrrolidinyl, each of which is optionally substituted with 1, 2, 3, or 4 groups independently selected from C_1-C_6 alkyl, C_1-C_6 alkoxy, halogen,

hydroxy, cyano, nitro, amino, mono(C_1-C_6)alkylamino, di(C_1-C_6)alkylamino, C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_1-C_6 haloalkyl, C_1-C_6 haloalkoxy, amino(C_1-C_6)alkyl, mono(C_1-C_6)alkylamino(C_1-C_6)alkyl, di(C_1-C_6)alkylamino(C_1-C_6)alkyl, and =O;

R_{110} is aryl optionally substituted with 1 or 2 R_{125} groups;

R_{125} at each occurrence is independently halogen, amino, mono- or dialkylamino, -OH, -C≡N, $-SO_2-NH_2$, $-SO_2-NH-C_1-C_6$ alkyl, $-SO_2-N(C_1-C_6$ alkyl$)_2$, $-SO_2-(C_1-C_4$ alkyl), $-CO-NH_2$, $-CO-NH-C_1-C_6$ alkyl, or $-CO-N(C_1-C_6$ alkyl$)_2$, or

C_1-C_6 alkyl, C_2-C_6 alkenyl or C_2-C_6 alkynyl, each of which is optionally substituted with 1, 2, or 3 groups that are independently selected from C_1-C_3 alkyl, halogen, -OH, -SH, -C≡N, $-CF_3$, C_1-C_3 alkoxy, amino, and mono- and dialkylamino, or

C_1-C_6 alkoxy optionally substituted with one, two or three of halogen;

R_{120} is heteroaryl, which is optionally substituted with 1 or 2 R_{125} groups; and

R_{130} is heterocyclyl optionally substituted with 1 or 2 R_{125} groups.

2. A compound according to claim 1 wherein R_N is hydrogen.

3. A compound according to claim 1 wherein R_N' is hydrogen.

4. A compound according to claim 1 wherein

R_1 is -C_1-C_6 alkyl-aryl, -C_1-C_6 alkyl-heteroaryl, or -C_1-C_6 alkyl-heterocyclyl, where the ring portions of

each are optionally substituted with 1, 2, 3, or 4 groups independently selected from halogen, -OH, -SH, -C≡N, $-NO_2$, $-NR_{10}R'_{10}$, $-CO_2R$, -N(R)COR', or $-N(R)SO_2R'$, $-C(=O)-(C_1-C_4)$ alkyl, $-SO_2$-amino, $-SO_2$-mono or dialkylamino, -C(=O)-amino, -C(=O)-mono or dialkylamino, $-SO_2-(C_1-C_4)$ alkyl, or

C_1-C_6 alkoxy optionally substituted with 1, 2, or 3 groups which are independently selected from halogen, or

C_3-C_7 cycloalkyl optionally substituted with 1, 2, or 3 groups independently selected from halogen, -OH, -SH, -C≡N, $-CF_3$, C_1-C_3 alkoxy, amino, $-C_1-C_6$ alkyl and mono- or dialkylamino, or

C_1-C_{10} alkyl optionally substituted with 1, 2, or 3 groups independently selected from halogen, -OH, -SH, -C≡N, $-CF_3$, $-C_1-C_3$ alkoxy, amino, mono- or dialkylamino and $-C_1-C_3$ alkyl, or

C_2-C_{10} alkenyl or C_2-C_{10} alkynyl each of which is optionally substituted with 1, 2, or 3 groups independently selected from halogen, -OH, -SH, -C≡N, $-CF_3$, C_1-C_3 alkoxy, amino, C_1-C_6 alkyl and mono- or dialkylamino; and the heterocyclyl group is optionally further substituted with oxo.

5. A compound according to claim 1 wherein

R_2 and R_3 are independently selected from H or C_1-C_6 alkyl optionally substituted with 1, 2, or 3 substituents selected from the group consisting of C_1-C_3 alkyl,

halogen, -OH, -SH, -C≡N, $-CF_3$, C_1-C_3 alkoxy, and $-NR_{1-a}R_{1-b}$.

6. A compound according to claim 1 wherein

R_C is $-(CR_{245}R_{250})_{0-4}$-aryl, or $-(CR_{245}R_{250})_{0-4}$-heteroaryl, wherein aryl and heteroaryl are optionally substituted with 1, 2, or 3 R_{200} groups.

7. A compound according to claim 2 wherein

R_B' is



wherein

R_4 is NH_2; $-NH-(CH_2)_{n6}-R_{4-1}$; $-NHR_5$; $-NR_{50}C(O)R_5$; or $-NR_{50}CO_2R_{51}$;

wherein

n_6 is 0, 1, 2, or 3;

n_7 is 0, 1, 2, or 3;

R_{4-1} is selected from the group consisting of $-SO_2-(C_1-C_3$ alkyl), $-SO-(C_1-C_3$ alkyl), $-S-(C_1-C_3$ alkyl), $-S-CO-(C_1-C_6$ alkyl), $-SO_2-NR_{4-2}R_{4-3}$; $-CO-C_1-C_2$ alkyl; $-CO-NR_{4-3}R_{4-4}$;

R_{4-2} and R_{4-3} are independently H, C_1-C_3 alkyl, or C_3-C_6 cycloalkyl;

R_{4-4} is alkyl, phenylalkyl, C_2-C_4 alkanoyl, or phenylalkanoyl;

R_5 is cyclopropyl; cyclobutyl; cyclopentyl; and cyclohexyl; wherein each cycloalkyl group is optionally substituted with one or two groups that are C_1-C_6 alkyl, more preferably C_1-C_2 alkyl, C_1-C_6 alkoxy, more preferably C_1-C_2 alkoxy, CF_3, OH, NH_2, $NH(C_1-C_6$ alkyl), $N(C_1-C_6$ alkyl)$(C_1-C_6$ alkyl), halogen,

CN, or NO_2; or the cycloalkyl group is substituted with 1 or 2 groups that are independently CF_3, Cl, F, methyl, ethyl or cyano; C_1-C_6 alkyl optionally substituted with 1, 2, or 3 groups that are independently halogen, $-NR_6R_7$, C_1-C_4 alkoxy, C_3-C_6 heterocycloalkyl, C_3-C_6 heteroaryl, phenyl, C_3-C_7 cycloalkyl, $-S$-C_1-C_4 alkyl, $-SO_2$-C_1-C_4 alkyl, $-CO_2H$, $-CONR_6R_7$, $-CO_2$-C_1-C_4 alkyl, or phenyloxy; heteroaryl optionally substituted with 1, 2, or 3 groups that are independently C_1-C_4 alkyl, C_1-C_4 alkoxy, halogen, C_1-C_4 haloalkyl, or OH; heterocycloalkyl optionally substituted with 1, 2, or 3 groups that are independently C_1-C_4 alkyl, C_1-C_4 alkoxy, halogen, or C_2-C_4 alkanoyl; phenyl optionally substituted with 1, 2, 3, or 4 groups that are independently halogen, OH, C_1-C_4 alkyl, C_1-C_4 alkoxy, or C_1-C_4 haloalkyl; and $-NR_6R_7$; wherein

R_6 and R_7 are independently selected from the group consisting of H, C_1-C_6 alkyl, C_2-C_6 alkanoyl, phenyl, $-SO_2$-C_1-C_4 alkyl, and phenyl C_1-C_4 alkyl;

R_8 is selected from the group consisting of $-SO_2$-heteroaryl optionally substituted with 1 or 2 groups that are independently C_1-C_4 alkyl or halogen;, $-SO_2$-aryl, $-SO_2$-heterocycloalkyl, $-C(O)NHR_9$, heterocycloalkyl, $-S$-C_2-C_4 alkanoyl, wherein

R_9 is phenyl C_1-C_4 alkyl, C_1-C_6 alkyl, or H;

R_{50} is H or C_1-C_6 alkyl;

R_{51} is selected from the group consisting of phenyl C_1-C_4 alkyl; C_1-C_6 alkyl optionally substituted with 1, 2, or 3 groups that are independently halogen, cyano, $-NR_6R_7$, $-C(O)NR_6R_7$, C_3-C_7 or $-C_1$-C_4 alkoxy; heterocycloalkyl optionally

wherein

n_6 is 0, 1, 2, or 3;

n_7 is 0, 1, 2, or 3;

R_{4-1} is selected from the group consisting of $-SO_2-(C_1-C_6$ alkyl), $-SO-(C_1-C_6$ alkyl), $-S-(C_1-C_6$ alkyl), $-S-CO-(C_1-C_6$ alkyl), $-SO_2-NR_{4-2}R_{4-3}$; $-CO-C_1-C_2$ alkyl; $-CO-NR_{4-3}R_{4-4}$;

R_{4-2} and R_{4-3} are independently H, C_1-C_3 alkyl, or C_3-C_6 cycloalkyl;

R_{4-4} is alkyl, phenylalkyl, C_2-C_4 alkanoyl, or phenylalkanoyl;

R_5 is cyclopropyl; cyclobutyl; cyclopentyl; and cyclohexyl; wherein each cycloalkyl group is optionally substituted with one or two groups that are C_1-C_6 alkyl, more preferably C_1-C_2 alkyl, C_1-C_6 alkoxy, more preferably C_1-C_2 alkoxy, CF_3, OH, NH_2, $NH(C_1-C_6$ alkyl), $N(C_1-C_6$ alkyl)$(C_1-C_6$ alkyl), halogen, CN, or NO_2; or the cycloalkyl group is substituted with 1 or 2 groups that are independently CF_3, Cl, F, methyl, ethyl or cyano; C_1-C_6 alkyl optionally substituted with 1, 2, or 3 groups that are independently halogen, $-NR_6R_7$, C_1-C_4 alkoxy, C_3-C_6 heterocycloalkyl, C_3-C_6 heteroaryl, phenyl, C_3-C_7 cycloalkyl, $-S-C_1-C_4$ alkyl, $-SO_2-C_1-C_4$ alkyl, $-CO_2H$, $-CONR_6R_7$, $-CO_2-C_1-C_4$ alkyl, or phenyloxy; heteroaryl optionally substituted with 1, 2, or 3 groups that are independently C_1-C_4 alkyl, C_1-C_4 alkoxy, halogen, C_1-C_4 haloalkyl, or OH; heterocycloalkyl optionally substituted with 1, 2, or 3 groups that are independently C_1-C_4 alkyl, C_1-C_4 alkoxy, halogen, or C_2-C_4 alkanoyl; phenyl optionally substituted with 1, 2, 3, or 4 groups that are independently halogen,

OH, C_1-C_4 alkyl, C_1-C_4 alkoxy, or C_1-C_4 haloalkyl; and -NR_6R_7; wherein

R_6 and R_7 are independently selected from the group consisting of H, C_1-C_6 alkyl, C_2-C_6 alkanoyl, phenyl, -SO_2-C_1-C_4 alkyl, and phenyl C_1-C_4 alkyl;

R_8 is selected from the group consisting of -SO_2-heteroaryl optionally substituted with 1 or 2 groups that are independently C_1-C_4 alkyl or halogen;, -SO_2-aryl, -SO_2-heterocycloalkyl, -C(O)NHR_9, heterocycloalkyl, -S-C_2-C_4 alkanoyl, wherein

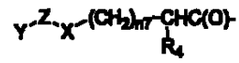
R_9 is phenyl C_1-C_4 alkyl, C_1-C_6 alkyl, or H;

R_{50} is H or C_1-C_6 alkyl;

R_{21} is selected from the group consisting of phenyl C_1-C_4 alkyl; C_1-C_6 alkyl optionally substituted with 1, 2, or 3 groups that are independently halogen, cyano, -NR_6R_7, -C(O)NR_6R_7, C_3-C_7 or -C_1-C_4 alkoxy; heterocycloalkyl optionally substituted with 1 or 2 groups that are independently C_1-C_4 alkyl, C_1-C_4 alkoxy, halogen, C_2-C_4 alkanoyl, phenyl C_1-C_4 alkyl, and -SO_2 C_1-C_4 alkyl; heterocycloalkylalkyl optionally substituted with 1 or 2 groups that are independently C_1-C_4 alkyl, C_1-C_4 alkoxy, halogen, C_2-C_4 alkanoyl, phenyl C_1-C_4 alkyl, and -SO_2 C_1-C_4 alkyl; alkenyl; alkynyl; heteroaryl optionally substituted with 1, 2, or 3 groups that are independently OH, C_1-C_4 alkyl, C_1-C_4 alkoxy, halogen, NH_2, NH(C_1-C_6 alkyl) or N(C_1-C_6 alkyl)(C_1-C_6 alkyl); heteroarylalkyl optionally substituted with 1, 2, or 3 groups that

substituted with 1 or 2 groups that are independently C_1-C_4 alkyl, C_1-C_4 alkoxy, halogen, C_2-C_4 alkanoyl, phenyl C_1-C_4 alkyl, and $-SO_2$ C_1-C_4 alkyl; heterocycloalkylalkyl optionally substituted with 1 or 2 groups that are independently C_1-C_4 alkyl, C_1-C_4 alkoxy, halogen, C_2-C_4 alkanoyl, phenyl C_1-C_4 alkyl, and $-SO_2$ C_1-C_4 alkyl; alkenyl; alkynyl; heteroaryl optionally substituted with 1, 2, or 3 groups that are independently OH, C_1-C_4 alkyl, C_1-C_4 alkoxy, halogen, NH_2, $NH(C_1$-C_6 alkyl) or $N(C_1$-C_6 alkyl)(C_1-C_6 alkyl); heteroarylalkyl optionally substituted with 1, 2, or 3 groups that are independently C_1-C_4 alkyl, C_1-C_4 alkoxy, halogen, NH_2, $NH(C_1$-C_6 alkyl) or $N(C_1$-C_6 alkyl)(C_1-C_6 alkyl); phenyl; C_3-C_8 cycloalkyl, and cycloalkylalkyl, wherein the phenyl; C_3-C_8 cycloalkyl, and cycloalkylalkyl groups are optionally substituted with 1, 2, 3, 4 or 5 groups that are independently halogen, CN, NO_2, C_1-C_6 alkyl, C_1-C_6 alkoxy, C_2-C_6 alkanoyl, C_1-C_6 haloalkyl, C_1-C_6 haloalkoxy, hydroxy, C_1-C_6 hydroxyalkyl, C_1-C_6 alkoxy C_1-C_6 alkyl, C_1-C_6 thioalkoxy, C_1-C_6 thioalkoxy C_1-C_6 alkyl, or C_1-C_6 alkoxy C_1-C_6 alkoxy.

8. A compound according to claim 3 wherein R_2 is



wherein

R_4 is NH_2; $-NH-(CH_2)_{n6}-R_{4-1}$; $-NHR_6$; $-NR_{50}C(O)R_5$; or $-NR_{50}CO_2R_{51}$;

are independently C_1-C_4 alkyl, C_1-C_4 alkoxy, halogen, NH_2, $NH(C_1$-C_6 alkyl) or $N(C_1$-C_6 alkyl)(C_1-C_6 alkyl); phenyl; C_3-C_8 cycloalkyl, and cycloalkylalkyl, wherein the phenyl; C_3-C_8 cycloalkyl, and cycloalkylalkyl groups are optionally substituted with 1, 2, 3, 4 or 5 groups that are independently halogen, CN, NO_2, C_1-C_6 alkyl, C_1-C_6 alkoxy, C_2-C_6 alkanoyl, C_1-C_6 haloalkyl, C_1-C_6 haloalkoxy, hydroxy, C_1-C_6 hydroxyalkyl, C_1-C_6 alkoxy C_1-C_6 alkyl, C_1-C_6 thioalkoxy, C_1-C_6 thioalkoxy C_1-C_6 alkyl, or C_1-C_6 alkoxy C_1-C_6 alkoxy.

9. A compound according to claim 2 wherein

R_M' is -C(=O)-phenyl, where the phenyl ring is optionally substituted with 1 or 2 groups independently selected from

C_1-C_6 alkyl, halogen, $-(CH_2)_{0-4}$-CO-$NR_{105}R'_{105}$, $-(CH_2)_{0-4}$-O-CO-$N(R_{150})_2$, $-(CH_2)_{0-4}$-$N(R_{150})$-SO_2-R_{105}, $-(CH_2)_{0-4}$-SO_2-$NR_{105}R'_{105}$, C_3-C_7 cycloalkyl, $(C_2$-$C_{10})$alkenyl, $-(CH_2)_{0-4}$-R_{110}, $-(CH_2)_{0-4}$-R_{120}, $-(CH_2)_{0-4}$-R_{130}, or $(C_2$-$C_{10})$alkynyl.

10. A compound according to claim 3 wherein

R_M is -C(=O)-phenyl, where the phenyl ring is optionally substituted with 1 or 2 groups independently selected from

C_1-C_6 alkyl, halogen, $-(CH_2)_{0-4}$-CO-$NR_{105}R'_{105}$, $-(CH_2)_{0-4}$-O-CO-$N(R_{150})_2$, $-(CH_2)_{0-4}$-$N(R_{150})$-SO_2-R_{105}, $-(CH_2)_{0-4}$-SO_2-$NR_{105}R'_{105}$, C_3-C_7 cycloalkyl, $(C_2$-$C_{10})$alkenyl, $-(CH_2)_{0-4}$-R_{110}, $-(CH_2)_{0-4}$-R_{120}, $-(CH_2)_{0-4}$-R_{130}, or $(C_2$-$C_{10})$alkynyl.

11. A compound according to claim 1 selected from the group consisting of:

N^1-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-3-(butylsulfonyl)-N^1-(3-ethylbenzyl)-D-alaninamide dihydrochloride
N^1-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N^1-(3-ethylbenzyl)-N^2[(benzyloxy)carbonyl]-3-[(1-propylbutyl)sulfonyl]-D-alaninamide trifluoroacetate
N^1-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N^1-(3-ethylbenzyl)-3-[(1-propylbutyl)sulfonyl]-D-alaninamide hydrochloride
N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N-(3-ethylbenzyl)-2-[(methylsulfonyl)amino]-1,3-thiazole-5-carboxamide
N^1-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N^1-(3-ethylbenzyl)-3-[(1-propylbutyl)sulfonyl]-L-alaninamide bis(trifluoroacetate)
N-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-3-(butylsulfonyl)-N-(3-ethylbenzyl)propanamide hydrochloride
(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(butylsulfonyl)propanoate dihydrochloride
(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-(1,3-oxazol-2-yl)benzoate dihydrochloride
(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-({[1-(3-ethylphenyl)cyclopropyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-(1,3-oxazol-2-yl)benzoate dihydrochloride
(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-({[3-

(trifluoromethyl)benzyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-(1,3-oxazol-2-yl)benzoate dihydrochloride
N^1-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N\(u)1\(d)-(3-ethylbenzyl)-5-(1,3-oxazol-2-yl)-N^3,N^3-dipropylisophthalamide hydrochloride
N^1-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-(1,3-oxazol-2-yl)-N^3,N^3-dipropyl-N^1-[3-(trifluoromethyl)benzyl]isophthalamide hydrochloride
N^1-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-(1,3-oxazol-2-yl)-N^3,N^3-dipropyl-N^1-[3-(trifluoromethyl)benzyl]isophthalamide
(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-({[1-(3-ethynylphenyl)cyclopropyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-(1,3-oxazol-2-yl)benzoate
N^1-[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-3-(butylsulfonyl)-N^1-(3-ethylbenzyl)-N^2[(methoxy)carbonyl]-D-alaninamide dihydrochloride
(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-{[(2-hydroxyethyl)amino]sulfonyl}benzoate
(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-({[(2-isobutyl-1,3-thiazol-5-yl)methyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-ethynylbenzoate
(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-isopropylbenzyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-ethynylbenzoate
(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-isopropylbenzyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-(1,3-oxazol-2-yl)benzoate
(1R,2S)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-{[(2-hydroxy-1,1-dimethylethyl)amino]sulfonyl}benzoate

(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-(4-methyl-1,3-oxazol-2-yl)benzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[(2-isobutyl-1,3-thiazol-5-yl)methyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-(1,3-oxazol-2-yl)benzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-{[(3-hydroxypropyl)amino]sulfonyl}benzoate hydrochloride
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-propylbenzyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-{[butyl(methyl)amino]carbonyl}-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethynylbenzyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-ethynylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[(3-isobutylisoxazol-5-yl)methyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-ethynylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(dimethylamino)sulfonyl]-5-[(dipropylamino)carbonyl]benzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-(1,3-oxazol-2-yl)benzoate hydrochloride
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[3-(5-formyl-2-thienyl)benzyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-iodobenzyl)amino]methyl}propyl 3-bromo-5-[(dipropylamino)carbonyl]benzoate

(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-({[(1*R*)-2-hydroxy-1-methylethyl]amino}sulfonyl)benzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-isobutylbenzyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[3-(trifluoromethyl)benzyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-ethynylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-{[(2*R*)-2-(methoxymethyl)pyrrolidin-1-yl]carbonyl}-5-methylbenzoate hydrochloride
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-({[(1*S*)-2-hydroxy-1-methylethyl]amino}sulfonyl)benzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-{[butyl(propyl)amino]carbonyl}-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(dibutylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[3-(3-hydroxyprop-1-yn-1-yl)benzyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-{[(2*S*)-2-(hydroxymethyl)pyrrolidin-1-yl]sulfonyl}benzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-{[butyl(ethyl)amino]carbonyl}-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethynylbenzyl)amino]methyl}propyl 3-

[(dipropylamino)carbonyl]-5-(1,3-oxazol-2-yl)benzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-{[cyclohexyl(methyl)amino]carbonyl}-5-methylbenzoate
(1*R*,2*S*)-2-amino-1-({[3-(cyclopropylamino)benzyl]amino}methyl)-3-(3,5-difluorophenyl)propyl 3-[(dipropylamino)carbonyl]-5-ethynylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[3-(3-thienyl)benzyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[3-(trifluoromethyl)benzyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-(1,3-oxazol-2-yl)benzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-(piperazin-1-ylsulfonyl)benzoate dihydrochloride
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[1-(3-iodophenyl)cyclopropyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-1-{[(3-*sec*-butylbenzyl)amino]methyl}-3-(3,5-difluorophenyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-(3-methylisoxazol-4-yl)benzoate hydrochloride
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[1-(3-isobutylisoxazol-5-yl)cyclopropyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-(1,3-oxazol-2-yl)benzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[1-(3-ethylphenyl)cyclopropyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-(1,3-oxazol-2-yl)benzoate

(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 2-[(dipropylamino)carbonyl]-6-methylisonicotinate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-{[(cyclopropylmethyl)(propyl)amino]carbonyl}-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-methoxybenzyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-(1,3-oxazol-2-yl)benzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[1-(3-ethynylphenyl)cyclopropyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-(1,3-oxazol-2-yl)benzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(aminosulfonyl)-5-[(dipropylamino)carbonyl]benzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-[({3-[(1*Z*)-prop-1-en-1-yl]benzyl}amino)methyl]propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-(1*H*-pyrazol-4-yl)benzoate hydrochloride
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[1-(3-ethylphenyl)-1-methylethyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-ethynylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[3-(trifluoromethyl)benzyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-1-{[(3-allylbenzyl)amino]methyl}-2-amino-3-(3,5-difluorophenyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[1-(3-ethylphenyl)cyclopropyl]amino}methyl)propyl 3-

[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[1-(3-ethylphenyl)-1-methylethyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-(1,3-oxazol-2-yl)benzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-{[ethyl(propyl)amino]carbonyl}-5-methylbenzoate
(1*R*,2*S*)-2-amino-1-({[3-(cyclopropylamino)benzyl]amino}methyl)-3-(3,5-difluorophenyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[1-(3-ethynylphenyl)cyclopropyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-ethynylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[1-(3-isobutylisoxazol-5-yl)cyclopropyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[3-(5-formyl-4-methyl-2-thienyl)benzyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-isopropylbenzyl)amino]methyl}propyl 5-[(dipropylamino)carbonyl]nicotinate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-[({3-[(methylsulfonyl)amino]benzyl}amino)methyl]propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(butylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[3-(3-methylbutyl)benzyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate

(1*R*,2*S*)-2-amino-1-{[(biphenyl-3-ylmethyl)amino]methyl}-3-(3,5-difluorophenyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[1-(3-ethynylphenyl)cyclopropyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-({[2-(methylamino)ethyl]amino}sulfonyl)benzoate hydrochloride
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[1-(3-isobutylisoxazol-5-yl)cyclopropyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-ethynylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(diallylamino)carbonyl]-5-methylbenzoate
(1*R*,2*R*)-2-amino-3-(3,5-difluorophenyl)-1-({[1-(2-isobutyl-1,3-thiazol-5-yl)cyclopropyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[1-(3-ethylphenyl)-1-methylethyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-{[(2-hydroxyethyl)amino]sulfonyl}-5-[(propylamino)carbonyl]benzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-methyl-5-{[methyl(propyl)amino]carbonyl}benzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-(phenylsulfonyl)-3-[(1-propylbutyl)sulfonyl]alaninate hydrochloride
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-

ethylbenzyl)amino]methyl}propyl 3-[(diethylamino)carbonyl]-5-(1,3-oxazol-2-yl)benzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-[(benzylamino)carbonyl]-3-[(1-propylbutyl)sulfonyl]alaninate trifluoroacetate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-pyridin-3-ylbenzyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 5-[(dipropylamino)carbonyl]nicotinate 1-oxide
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[3-(3-formyl-2-furyl)benzyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-(1-methyl-1*H*-imidazol-2-yl)benzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(diethylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[3-(ethylsulfinyl)benzyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-{[butyl(ethyl)amino]sulfonyl}propanoate
(1*R*,2*S*)-2-amino-1-{[(3-cyanobenzyl)amino]methyl}-3-(3,5-difluorophenyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(1-propylbutyl)sulfonyl]propanoate hydrochloride

(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-{[isobutyl(methyl)amino]carbonyl}-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-pyridin-2-ylbenzyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-iodobenzyl)amino]methyl}propyl 2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxylate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-[({3-[methyl(methylsulfonyl)amino]benzyl}amino)methyl]propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-(3-phenylpropanoyl)-3-[(1-propylbutyl)sulfonyl]alaninate trifluoroacetate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[3-(ethylsulfonyl)benzyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-[(5-chloro-2-thienyl)sulfonyl]-3-[(1-propylbutyl)sulfonyl]alaninate hydrochloride
(1*R*,2*S*)-1-({[3-(5-acetyl-2-thienyl)benzyl]amino}methyl)-2-amino-3-(3,5-difluorophenyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(*sec*-butylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(1,3-oxazol-2-yl)benzoate hydrochloride
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-

ethylbenzyl)amino]methyl}propyl 3-methyl-5-{[methyl(2-phenylethyl)amino]carbonyl}benzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[3-(3,5-dimethylisoxazol-4-yl)benzyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-methyl-5-{[methyl(prop-2-yn-1-yl)amino]carbonyl}benzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-{[ethyl(methyl)amino]carbonyl}-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-{[(dimethylamino)carbonyl]oxy}benzyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-{[benzyl(methyl)amino]carbonyl}-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-{[*sec*-butyl(propyl)amino]carbonyl}-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[3-(4-methyl-2-thienyl)benzyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-[({3-[(methoxycarbonyl)(methyl)amino]benzyl}amino)methyl]propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-1-({[3-(trifluoromethyl)benzyl]amino}methyl)-3-(2,3,5-trifluorophenyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(diisobutylamino)carbonyl]-5-methylbenzoate

(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-methyl-5-{[methyl(2-pyridin-2-ylethyl)amino]carbonyl}benzoate
(1*R*,2*S*)-2-amino-3-(3-fluoro-5-hydroxyphenyl)-1-{[(3-methoxybenzyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate hydrochloride
(1*R*,2*S*)-2-amino-3-(3-chloro-5-fluorophenyl)-1-{[(3-methoxybenzyl)amino]methyl}propyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-iodobenzyl)amino]methyl}propyl 4-hydroxy-3-(pyrrolidin-1-ylcarbonyl)benzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 5-oxo-D-prolyl-3-[(1-propylbutyl)sulfonyl]alaninate hydrochloride
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-pyridin-4-ylbenzyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-[({3-[(dimethylamino)sulfonyl]benzyl}amino)methyl]propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(6-methoxy-1,2,3,4-tetrahydronaphthalen-1-yl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-(phenylacetyl)-3-[(1-propylbutyl)sulfonyl]alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(azepan-1-ylcarbonyl)-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-[({3-[(methoxycarbonyl)amino]benzyl}amino)methyl]propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate

(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 5-oxo-L-prolyl-3-[(1-propylbutyl)sulfonyl]alaninate hydrochloride
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(isobutylamino)carbonyl]-5-methylbenzoate
4-[((1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl)oxy]-4-oxo-3-{[(1-propylbutyl)sulfonyl]methyl}butanoic acid trifluoroacetate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[methyl(methylsulfonyl)amino]benzoate
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-5-{[(2-hydroxyethyl)amino]sulfonyl}-*N*',*N*'-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-ethynyl-*N*-[(2-isobutyl-1,3-thiazol-5-yl)methyl]-*N*',*N*'-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-ethynyl-*N*-(3-isopropylbenzyl)-*N*',*N*'-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-isopropylbenzyl)-5-(1,3-oxazol-2-yl)-*N*',*N*'-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-5-{[(2-hydroxy-1,1-dimethylethyl)amino]sulfonyl}-*N*',*N*'-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-5-(4-methyl-1,3-oxazol-2-yl)-*N*',*N*'-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-[(2-isobutyl-1,3-thiazol-5-yl)methyl]-5-(1,3-oxazol-2-yl)-*N*',*N*'-dipropylisophthalamide

N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-5-{[(3-hydroxypropyl)amino]sulfonyl}-*N*',*N*'-dipropylisophthalamide hydrochloride
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-methyl-*N*',*N*'-dipropyl-*N*-(3-propylbenzyl)isophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*'-butyl-*N*-(3-ethylbenzyl)-*N*',5-dimethylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-ethynyl-*N*-(3-ethynylbenzyl)-*N*',*N*'-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-ethynyl-*N*-[(3-isobutylisoxazol-5-yl)methyl]-*N*',*N*'-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-[(dimethylamino)sulfonyl]-*N*-(3-ethylbenzyl)-*N*',*N*'-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-5-(1,3-oxazol-2-yl)-*N*',*N*'-dipropylisophthalamide hydrochloride
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-[3-(5-formyl-2-thienyl)benzyl]-5-methyl-*N*',*N*'-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-bromo-*N*-(3-iodobenzyl)-*N*',*N*'-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-5-({[(1*R*)-2-hydroxy-1-methylethyl]amino}sulfonyl)-*N*',*N*'-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-isobutylbenzyl)-5-methyl-*N*',*N*'-

dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-ethynyl-*N*',*N*'-dipropyl-*N*-[3-(trifluoromethyl)benzyl]isophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-3-{[(2*R*)-2-(methoxymethyl)pyrrolidin-1-yl]carbonyl}-5-methylbenzamide hydrochloride
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-5-({[(1*S*)-2-hydroxy-1-methylethyl]amino}sulfonyl)-*N*',*N*'-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*'-butyl-*N*-(3-ethylbenzyl)-5-methyl-*N*'-propylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*',*N*'-dibutyl-*N*-(3-ethylbenzyl)-5-methylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-[3-(3-hydroxyprop-1-yn-1-yl)benzyl]-5-methyl-*N*',*N*'-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-5-{[(2*S*)-2-(hydroxymethyl)pyrrolidin-1-yl]sulfonyl}-*N*',*N*'-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*'-butyl-*N*'-ethyl-*N*-(3-ethylbenzyl)-5-methylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethynylbenzyl)-5-(1,3-oxazol-2-yl)-*N*',*N*'-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*'-cyclohexyl-*N*-(3-ethylbenzyl)-*N*',5-dimethylisophthalamide

N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-[3-(cyclopropylamino)benzyl]-5-ethynyl-*N'*,*N'*-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-methyl-*N'*,*N'*-dipropyl-*N*-[3-(3-thienyl)benzyl]isophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-(1,3-oxazol-2-yl)-*N'*,*N'*-dipropyl-*N*-[3-(trifluoromethyl)benzyl]isophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-5-(piperazin-1-ylsulfonyl)-*N'*,*N'*-dipropylisophthalamide dihydrochloride
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-[1-(3-iodophenyl)cyclopropyl]-5-methyl-*N'*,*N'*-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-*sec*-butylbenzyl)-5-methyl-*N'*,*N'*-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-5-(3-methylisoxazol-4-yl)-*N'*,*N'*-dipropylisophthalamide hydrochloride
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-[1-(3-isobutylisoxazol-5-yl)cyclopropyl]-5-(1,3-oxazol-2-yl)-*N'*,*N'*-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-[1-(3-ethylphenyl)cyclopropyl]-5-(1,3-oxazol-2-yl)-*N'*,*N'*-dipropylisophthalamide
N^4-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N^4-(3-ethylbenzyl)-6-methyl-N^2,N^2-dipropylpyridine-2,4-dicarboxamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N'*-(cyclopropylmethyl)-*N*-(3-ethylbenzyl)-5-methyl-*N'*-propylisophthalamide

N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-methoxybenzyl)-5-(1,3-oxazol-2-yl)-*N'*,*N'*-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-[1-(3-ethynylphenyl)cyclopropyl]-5-(1,3-oxazol-2-yl)-*N'*,*N'*-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-(aminosulfonyl)-*N*-(3-ethylbenzyl)-*N'*,*N'*-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-methyl-*N*-{3-[(1*Z*)-prop-1-en-1-yl]benzyl}-*N'*,*N'*-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-*N'*,*N'*-dipropyl-5-(1*H*-pyrazol-4-yl)isophthalamide hydrochloride
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-[1-(3-ethylphenyl)-1-methylethyl]-5-ethynyl-*N'*,*N'*-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-methyl-*N'*,*N'*-dipropyl-*N*-[3-(trifluoromethyl)benzyl]isophthalamide
N-(3-allylbenzyl)-*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-methyl-*N'*,*N'*-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-[1-(3-ethylphenyl)cyclopropyl]-5-methyl-*N'*,*N'*-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-[1-(3-ethylphenyl)-1-methylethyl]-5-(1,3-oxazol-2-yl)-*N'*,*N'*-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N'*-ethyl-*N*-(3-ethylbenzyl)-5-methyl-*N'*-propylisophthalamide

N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-[3-(cyclopropylamino)benzyl]-5-methyl-*N'*,*N'*-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-ethynyl-*N*-[1-(3-ethynylphenyl)cyclopropyl]-*N'*,*N'*-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-[1-(3-isobutylisoxazol-5-yl)cyclopropyl]-5-methyl-*N'*,*N'*-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-[3-(5-formyl-4-methyl-2-thienyl)benzyl]-5-methyl-*N'*,*N'*-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-isopropylbenzyl)-*N'*,*N'*-dipropylpyridine-3,5-dicarboxamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-methyl-*N*-{3-[(methylsulfonyl)amino]benzyl}-*N'*,*N'*-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N'*-butyl-*N*-(3-ethylbenzyl)-5-methylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-methyl-*N*-[3-(3-methylbutyl)benzyl]-*N'*,*N'*-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(biphenyl-3-ylmethyl)-5-methyl-*N'*,*N'*-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-[1-(3-ethynylphenyl)cyclopropyl]-5-methyl-*N'*,*N'*-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-5-({[2-(methylamino)ethyl]amino}sulfonyl)-*N'*,*N'*-

dipropylisophthalamide hydrochloride
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-ethynyl-*N*-[1-(3-isobutylisoxazol-5-yl)cyclopropyl]-*N'*,*N'*-dipropylisophthalamide
N,*N*-diallyl-*N'*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N'*-(3-ethylbenzyl)-5-methylisophthalamide
N-[(2*R*,3*R*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-[1-(2-isobutyl-1,3-thiazol-5-yl)cyclopropyl]-5-methyl-*N'*,*N'*-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-[1-(3-ethylphenyl)-1-methylethyl]-5-methyl-*N'*,*N'*-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-5-{[(2-hydroxyethyl)amino]sulfonyl}-*N'*-propylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-*N'*,5-dimethyl-*N'*-propylisophthalamide
N^2-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N^2-(3-ethylbenzyl)-N^3-(phenylsulfonyl)-3-[(1-propylbutyl)sulfonyl]alaninamide hydrochloride
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N'*,*N'*-diethyl-*N*-(3-ethylbenzyl)-5-(1,3-oxazol-2-yl)isophthalamide
N^2-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N^2-[(benzylamino)carbonyl]-N^1-(3-ethylbenzyl)-3-[(1-propylbutyl)sulfonyl]alaninamide trifluoroacetate (salt)
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-methyl-*N'*,*N'*-dipropyl-*N*-(3-pyridin-3-ylbenzyl)isophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-

hydroxybutyl]-*N*-(3-ethylbenzyl)-*N'*,*N'*-dipropylpyridine-3,5-dicarboxamide 1-oxide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N'*-ethyl-*N*-[3-(3-formyl-2-furyl)benzyl]-5-methyl-*N'*-propylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-5-(1-methyl-1*H*-imidazol-2-yl)-*N'*,*N'*-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N'*,*N'*-diethyl-*N*-(3-ethylbenzyl)-5-methylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-[3-(ethylsulfinyl)benzyl]-5-methyl-*N'*,*N'*-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-3-{[butyl(ethyl)amino]sulfonyl}-*N*-(3-ethylbenzyl)propanamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-cyanobenzyl)-5-methyl-*N'*,*N'*-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-3-[(1-propylbutyl)sulfonyl]propanamide hydrochloride
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-*N'*-isobutyl-*N'*,5-dimethylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-methyl-*N'*,*N'*-dipropyl-*N*-(3-pyridin-2-ylbenzyl)isophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-iodobenzyl)-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide

N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-methyl-*N*-{3-[methyl(methylsulfonyl)amino]benzyl}-*N'*,*N'*-dipropylisophthalamide
N^2-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N^2-(3-ethylbenzyl)-N^2-(3-phenylpropanoyl)-3-[(1-propylbutyl)sulfonyl]alaninamide trifluoroacetate (salt)
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-[3-(ethylsulfonyl)benzyl]-5-methyl-*N'*,*N'*-dipropylisophthalamide
N^2-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N^2-[(5-chloro-2-thienyl)sulfonyl]-N^2-(3-ethylbenzyl)-3-[(1-propylbutyl)sulfonyl]alaninamide hydrochloride
N-[3-(5-acetyl-2-thienyl)benzyl]-*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-methyl-*N'*,*N'*-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N'*-(*sec*-butyl)-*N*-(3-ethylbenzyl)-5-methylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-3-(1,3-oxazol-2-yl)benzamide hydrochloride
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-*N'*,5-dimethyl-*N'*-(2-phenylethyl)isophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-[3-(3,5-dimethylisoxazol-4-yl)benzyl]-5-methyl-*N'*,*N'*-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-*N'*,5-dimethyl-*N'*-prop-2-yn-1-ylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-

hydroxybutyl]-*N'*-ethyl-*N*-(3-ethylbenzyl)-*N'*,5-dimethylisophthalamide
3-[([(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]{3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)methyl]phenyl dimethylcarbamate
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N'*-benzyl-*N*-(3-ethylbenzyl)-*N'*,5-dimethylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N'*-(*sec*-butyl)-*N*-(3-ethylbenzyl)-5-methyl-*N'*-propylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-methyl-*N*-[3-(4-methyl-2-thienyl)benzyl]-*N'*,*N'*-dipropylisophthalamide
methyl {3-[([(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]{3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)methyl]phenyl}methylcarbamate
N-[(2*R*,3*S*)-3-amino-2-hydroxy-4-(2,3,5-trifluorophenyl)butyl]-5-methyl-*N'*,*N'*-dipropyl-*N*-[3-(trifluoromethyl)benzyl]isophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-*N'*,*N'*-diisobutyl-5-methylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-*N'*,5-dimethyl-*N'*-(2-pyridin-2-ylethyl)isophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3-fluoro-5-hydroxyphenyl)-2-hydroxybutyl]-*N*-(3-methoxybenzyl)-5-methyl-*N'*,*N'*-dipropylisophthalamide hydrochloride
N^1-[(2*R*,3*S*)-3-amino-4-(3-chloro-5-fluorophenyl)-2-hydroxybutyl]-N^1-(3-methoxybenzyl)-N^3,N^3-dipropylbenzene-1,3,5-tricarboxamide

N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-4-hydroxy-*N*-(3-iodobenzyl)-3-(pyrrolidin-1-ylcarbonyl)benzamide
5-oxo-D-prolyl-N^2-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N^2-(3-ethylbenzyl)-3-[(1-propylbutyl)sulfonyl]alaninamide hydrochloride
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-methyl-*N*',*N*'-dipropyl-*N*-(3-pyridin-4-ylbenzyl)isophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-{3-[(dimethylamino)sulfonyl]benzyl}-5-methyl-*N*',*N*'-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(6-methoxy-1,2,3,4-tetrahydronaphthalen-1-yl)-5-methyl-*N*',*N*'-dipropylisophthalamide
N^2-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N^2-(3-ethylbenzyl)-N^2-(phenylacetyl)-3-[(1-propylbutyl)sulfonyl]alaninamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-3-(azepan-1-ylcarbonyl)-*N*-(3-ethylbenzyl)-5-methylbenzamide
methyl {3-[({(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl}{3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)methyl]phenyl}carbamate
5-oxo-L-prolyl-N^2-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N^2-(3-ethylbenzyl)-3-[(1-propylbutyl)sulfonyl]alaninamide hydrochloride
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-*N*'-isobutyl-5-methylisophthalamide
4-[[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl](3-ethylbenzyl)amino]-4-oxo-3-{[(1-propylbutyl)sulfonyl]methyl}butanoic acid trifluoroacetate

(salt)
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-3-[methyl(methylsulfonyl)amino]benzamide
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-{[ethyl(isopropyl)amino]carbonyl}-5-methylbenzoate
(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-(2-thienyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-{[(2-hydroxyethyl)(propyl)amino]sulfonyl}propanoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-{[isopropyl(methyl)amino]carbonyl}-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 2-[(methylsulfonyl)amino]-1,3-thiazole-4-carboxylate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-{[allyl(cyclopentyl)amino]carbonyl}-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(3-methylbutyl)sulfonyl]propanoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[3-(5-methyl-2-thienyl)benzyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-(3-methoxyphenyl)propyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(1-

methylhexyl)amino]methyl}propyl	3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-1-({[1-(aminocarbonyl)cyclohexyl]amino}methyl)-3-(3,5-difluorophenyl)propyl	3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(2*E*)-hex-2-en-1-ylamino]methyl}propyl	3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(4-fluorophenyl)-1-{[(3-methoxybenzyl)amino]methyl}propyl	3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl	3-hydroxyisoxazole-5-carboxylate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-[({3-[(1*E*)-hex-1-en-1-yl]benzyl}amino)methyl]propyl	3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl	3-[(isopropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-(2-thienyl)propyl	3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-iodobenzyl)amino]methyl}propyl	[3-(2-amino-2-oxoethoxy)phenyl]acetate
(1*R*,2*S*)-2-amino-3-(3-bromophenyl)-1-{[(3-methoxybenzyl)amino]methyl}propyl	3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(2-ethylhexyl)amino]methyl}propyl	3-[(dipropylamino)carbonyl]-5-methylbenzoate

(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[3-(6-methoxypyridin-3-yl)benzyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[3-(2,4-dimethoxypyrimidin-5-yl)benzyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(2-ethylbutanoyl)benzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(4-hydroxypiperidin-1-yl)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3-bromophenyl)-1-{[(3-methoxybenzyl)amino]methyl}propyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-iodobenzyl)amino]methyl}propyl 4-[2'-(aminocarbonyl)biphenyl-4-yl]-4-oxobutanoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(3-hydroxypiperidin-1-yl)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-hydroxy-1-phenylpropyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-{[[2-(dimethylamino)ethyl](ethyl)amino]carbonyl}-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4-methyl-4*H*,6*H*-pyrrolo[1,2-*a*][4,1]benzoxazepine-4-carboxylate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl (5-acetyl-2-thienyl)acetate
(1*R*,2*S*)-2-amino-3-(3,5-dichlorophenyl)-1-{[(3-

methoxybenzyl)amino]methyl}propyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(diisopropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(methylsulfonyl)amino]benzoate
(1*R*,2*S*)-2-amino-3-(4-chlorophenyl)-1-{[(3-methoxybenzyl)amino]methyl}propyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-iodobenzyl)amino]methyl}propyl [4-(2-oxopyrrolidin-1-yl)phenyl]acetate
(1*R*,2*S*)-2-amino-3-(3-chloro-5-fluorophenyl)-1-{[(3-methoxybenzyl)amino]methyl}propyl 3-[(dipropylamino)sulfonyl]propanoate
(1*R*,2*S*)-2-amino-3-(3-chloro-5-fluorophenyl)-1-{[(3-methylbutyl)amino]methyl}propyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-{[(1-methyl-1*H*-imidazol-4-yl)sulfonyl]amino}benzoate trihydrochloride
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-[(pentylamino)methyl]propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(4-fluorophenyl)-1-{[(3-methoxybenzyl)amino]methyl}propyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate
(1*R*,2*S*)-2-amino-1-[(benzylamino)methyl]-3-(3-chloro-5-fluorophenyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate

(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-{[cyclohexyl(ethyl)amino]carbonyl}-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[2-({[(2,4-difluorophenyl)amino]carbonyl}oxy)ethyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-{[(2*S*)-2-(methoxymethyl)pyrrolidin-1-yl]carbonyl}-5-methylbenzoate hydrochloride
(1*R*,2*S*)-2-amino-3-(3-fluoro-4-methylphenyl)-1-{[(3-methoxybenzyl)amino]methyl}propyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate
(1*R*,2*S*)-2-amino-3-(3-bromophenyl)-1-{[(3-methylbutyl)amino]methyl}propyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 2,8-dimethylquinoline-3-carboxylate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(6-hydroxyhexyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[(2*R*)-2-hydroxypropyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl 3-[(1-propylbutyl)sulfonyl]propanoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-{[(2-hydroxy-1,1-dimethylethyl)amino]sulfonyl}benzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(4-phenylbutyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate

(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-iodobenzyl)amino]methyl}propyl 7-(1*H*-imidazol-1-yl)-5,6-dihydronaphthalene-2-carboxylate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(acetylamino)-4-methylbenzoate
(1*R*,2*S*)-2-amino-1-({[2-(aminosulfonyl)ethyl]amino}methyl)-3-(3,5-difluorophenyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[2-(ethylthio)ethyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-cyclohexyl-1-{[(3-methoxybenzyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-1-{[benzyl(cyanomethyl)amino]methyl}-3-(3,5-difluorophenyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(2-hydroxypropyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-1-{[(3-butoxypropyl)amino]methyl}-3-(3,5-difluorophenyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-{[2-(2-hydroxyethyl)piperidin-1-yl]carbonyl}-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(1-hydroxy-2-propylpentyl)benzoate
(1*R*,2*S*)-2-amino-1-[(benzylamino)methyl]-3-(3-chloro-5-fluorophenyl)propyl 3-(aminocarbonyl)-5-

[(dipropylamino)carbonyl]benzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4-[(methylsulfonyl)amino]butanoate trifluoroacetate
(1*R*,2*S*)-2-amino-1-({[3-(1-benzothien-2-yl)benzyl]amino}methyl)-3-(3,5-difluorophenyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(benzyloxy)isoxazole-5-carboxylate
(1*R*,2*S*)-2-amino-1-{[(cyclopropylmethyl)amino]methyl}-3-(3,5-difluorophenyl)propyl *N*-[(benzyloxy)carbonyl]-3-[(1-propylbutyl)sulfonyl]alaninate trifluoroacetate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 5-(1*H*-pyrazol-1-yl)pentanoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 1-(2-furylmethyl)-5-oxopyrrolidine-3-carboxylate
(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl 2-ethylhexanoate hydrochloride
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(5-hydroxypentyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-methoxybenzyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]piperidine-1-carboxylate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-methoxybenzyl)amino]methyl}propyl 3-[(diethylamino)carbonyl]piperidine-1-carboxylate
(1*R*,2*S*)-2-amino-3-(pentafluorophenyl)-1-({[3-(trifluoromethyl)benzyl]amino}methyl)propyl 3-bromo-5-

[(dipropylamino)carbonyl]benzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4-[(methylsulfonyl)amino]benzoate
(1*R*,2*S*)-2-amino-3-(3-bromophenyl)-1-{[(3-methoxybenzyl)amino]methyl}propyl 3-[(dipropylamino)sulfonyl]propanoate
(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-(2-thienyl)propyl 3-[(dipropylamino)sulfonyl]propanoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethoxypropyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-1-[(benzylamino)methyl]-3-(2-thienyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 2-hydroxy-4-(phenylsulfonyl)butanoate hydrochloride
(1*R*,2*S*)-2-amino-3-(3,5-dichlorophenyl)-1-{[(3-methylbutyl)amino]methyl}propyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate
(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-[3-(trifluoromethoxy)phenyl]propyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*'-ethyl-*N*-(3-ethylbenzyl)-*N*'-isopropyl-5-methylisophthalamide
N-[(2*R*,3*S*)-3-amino-2-hydroxy-4-(2-thienyl)butyl]-*N*-(3-methoxybenzyl)-5-methyl-*N*',*N*'-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-3-{[(2-hydroxyethyl)(propyl)amino]sulfonyl}propanamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-

hydroxybutyl]-*N*-(3-ethylbenzyl)-*N'*-isopropyl-*N'*,5-dimethylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-2-[(methylsulfonyl)amino]-1,3-thiazole-4-carboxamide
N-allyl-*N'*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-cyclopentyl-*N'*-(3-ethylbenzyl)-5-methylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-3-[(3-methylbutyl)sulfonyl]propanamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-methyl-*N*-[3-(5-methyl-2-thienyl)benzyl]-*N'*,*N'*-dipropylisophthalamide
N^1-[(2*R*,3*S*)-3-amino-2-hydroxy-4-(3-methoxyphenyl)butyl]-N^1-(3-methoxybenzyl)-N^3,N^3-dipropylbenzene-1,3,5-tricarboxamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-methyl-*N*-(1-methylhexyl)-*N'*,*N'*-dipropylisophthalamide
N-[1-(aminocarbonyl)cyclohexyl]-*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-methyl-*N'*,*N'*-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-[(2*E*)-hex-2-en-1-yl]-5-methyl-*N'*,*N'*-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(4-fluorophenyl)-2-hydroxybutyl]-*N*-(3-methoxybenzyl)-5-methyl-*N'*,*N'*-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-3-hydroxyisoxazole-5-carboxamide

N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-{3-[(1*E*)-hex-1-en-1-yl]benzyl}-5-methyl-*N*',*N*'-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-*N*'-isopropyl-5-methylisophthalamide
N^1-[(2*R*,3*S*)-3-amino-2-hydroxy-4-(2-thienyl)butyl]-N^3-(3-methoxybenzyl)-N^3,N^3-dipropylbenzene-1,3,5-tricarboxamide
2-(3-{2-[[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl](3-iodobenzyl)amino]-2-oxoethyl}phenoxy)acetamide
N-[(2*R*,3*S*)-3-amino-4-(3-bromophenyl)-2-hydroxybutyl]-*N*-(3-methoxybenzyl)-5-methyl-*N*',*N*'-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(2-ethylhexyl)-5-methyl-*N*',*N*'-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-[3-(6-methoxypyridin-3-yl)benzyl]-5-methyl-*N*',*N*'-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-[3-(2,4-dimethoxypyrimidin-5-yl)benzyl]-5-methyl-*N*',*N*'-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-3-(2-ethylbutanoyl)benzamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-3-[(4-hydroxypiperidin-1-yl)carbonyl]-5-methylbenzamide
N^1-[(2*R*,3*S*)-3-amino-4-(3-bromophenyl)-2-hydroxybutyl]-N^1-(3-methoxybenzyl)-N^3,N^3-dipropylbenzene-1,3,5-tricarboxamide
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-iodobenzyl)amino]methyl}propyl 4-[2'-

(aminocarbonyl)biphenyl-4-yl]-4-oxobutanoate
1-(3-{[[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl](3-ethylbenzyl)amino]carbonyl}-5-methylbenzoyl)-L-prolinamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-3-[(3-hydroxypiperidin-1-yl)carbonyl]-5-methylbenzamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-hydroxy-1-phenylpropyl)-5-methyl-*N*',*N*'-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*'-[2-(dimethylamino)ethyl]-*N*'-ethyl-*N*-(3-ethylbenzyl)-5-methylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-4-methyl-4*H*,6*H*-pyrrolo[1,2-*a*][4,1]benzoxazepine-4-carboxamide
2-(5-acetyl-2-thienyl)-*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)acetamide
N^1-[(2*R*,3*S*)-3-amino-4-(3,5-dichlorophenyl)-2-hydroxybutyl]-N^1-(3-methoxybenzyl)-N^3,N^3-dipropylbenzene-1,3,5-tricarboxamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-*N*',*N*'-diisopropyl-5-methylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-3-[(methylsulfonyl)amino]benzamide
N^1-[(2*R*,3*S*)-3-amino-4-(4-chlorophenyl)-2-hydroxybutyl]-N^1-(3-methoxybenzyl)-N^3,N^3-dipropylbenzene-1,3,5-tricarboxamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-iodobenzyl)-2-[4-(2-oxopyrrolidin-1-

yl)phenyl]acetamide
N-[(2*R*,3*S*)-3-amino-4-(3-chloro-5-fluorophenyl)-2-hydroxybutyl]-3-[(dipropylamino)sulfonyl]-*N*-(3-methoxybenzyl)propanamide
N^1-[(2*R*,3*S*)-3-amino-4-(3-chloro-5-fluorophenyl)-2-hydroxybutyl]-N^1-(3-methylbutyl)-N^3,N^3-dipropylbenzene-1,3,5-tricarboxamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-3-{[(1-methyl-1*H*-imidazol-4-yl)sulfonyl]amino}benzamide trihydrochloride
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-methyl-*N*-pentyl-*N*',*N*'-dipropylisophthalamide
N^1-[(2*R*,3*S*)-3-amino-4-(4-fluorophenyl)-2-hydroxybutyl]-N^1-(3-methoxybenzyl)-N^3,N^3-dipropylbenzene-1,3,5-tricarboxamide
N-[(2*R*,3*S*)-3-amino-4-(3-chloro-5-fluorophenyl)-2-hydroxybutyl]-*N*-benzyl-5-methyl-*N*',*N*'-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*'-cyclohexyl-*N*'-ethyl-*N*-(3-ethylbenzyl)-5-methylisophthalamide
2-({[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]{3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)ethyl (2,4-difluorophenyl)carbamate
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-3-{[(2*S*)-2-(methoxymethyl)pyrrolidin-1-yl]carbonyl}-5-methylbenzamide hydrochloride
N^1-[(2*R*,3*S*)-3-amino-4-(3-fluoro-4-methylphenyl)-2-hydroxybutyl]-N^1-(3-methoxybenzyl)-N^3,N^3-dipropylbenzene-1,3,5-tricarboxamide
N^1-[(2*R*,3*S*)-3-amino-4-(3-bromophenyl)-2-hydroxybutyl]-N^1-(3-methylbutyl)-N^3,N^3-dipropylbenzene-1,3,5-tricarboxamide

N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-2,8-dimethylquinoline-3-carboxamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(6-hydroxyhexyl)-5-methyl-*N'*,*N'*-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-[(2*R*)-2-hydroxypropyl]-5-methyl-*N'*,*N'*-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-2-hydroxy-4-phenylbutyl]-*N*-(3-methoxybenzyl)-3-[(1-propylbutyl)sulfonyl]propanamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-3-{[(2-hydroxy-1,1-dimethylethyl)amino]sulfonyl}benzamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-methyl-*N*-(4-phenylbutyl)-*N'*,*N'*-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-7-(1*H*-imidazol-1-yl)-*N*-(3-iodobenzyl)-5,6-dihydronaphthalene-2-carboxamide
3-(acetylamino)-*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-4-methylbenzamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-[2-(aminosulfonyl)ethyl]-5-methyl-*N'*,*N'*-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-[2-(ethylthio)ethyl]-5-methyl-*N'*,*N'*-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-cyclohexyl-2-hydroxybutyl]-*N*-(3-methoxybenzyl)-5-methyl-*N'*,*N'*-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-

hydroxybutyl]-*N*-(2-hydroxypropyl)-5-methyl-*N'*,*N'*-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-butoxypropyl)-5-methyl-*N'*,*N'*-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-3-{[2-(2-hydroxyethyl)piperidin-1-yl]carbonyl}-5-methylbenzamide
methyl *N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-{3-[(dipropylamino)carbonyl]-5-methylbenzoyl}-β-alaninate
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-3-(1-hydroxy-2-propylpentyl)benzamide
N^1-[(2*R*,3*S*)-3-amino-4-(3-chloro-5-fluorophenyl)-2-hydroxybutyl]-N^1-benzyl-N^3,N^3-dipropylbenzene-1,3,5-tricarboxamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-4-[(methylsulfonyl)amino]butanamide trifluoroacetate (salt)
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-[3-(1-benzothien-2-yl)benzyl]-5-methyl-*N'*,*N'*-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-3-(benzyloxy)-*N*-(3-ethylbenzyl)isoxazole-5-carboxamide
1-(3-{[[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl](3-ethylbenzyl)amino]carbonyl}-5-methylbenzoyl)-D-prolinamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-5-(1*H*-pyrazol-1-

yl)pentanamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-1-(2-furylmethyl)-5-oxopyrrolidine-3-carboxamide
N-[(2*R*,3*S*)-3-amino-2-hydroxy-4-phenylbutyl]-2-ethyl-*N*-(3-methoxybenzyl)hexanamide hydrochloride
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(5-hydroxypentyl)-5-methyl-*N*',*N*'-dipropylisophthalamide
N^1-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N^1-(3-methoxybenzyl)-N^3,N^3-dipropylpiperidine-1,3-dicarboxamide
N^1-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N^3,N^3-diethyl-N^1-(3-methoxybenzyl)piperidine-1,3-dicarboxamide
N-[(2*R*,3*S*)-3-amino-2-hydroxy-4-(pentafluorophenyl)butyl]-5-bromo-*N*',*N*'-dipropyl-*N*-[3-(trifluoromethyl)benzyl]isophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-4-[(methylsulfonyl)amino]benzamide
N-[(2*R*,3*S*)-3-amino-4-(3-bromophenyl)-2-hydroxybutyl]-3-[(dipropylamino)sulfonyl]-*N*-(3-methoxybenzyl)propanamide
N-[(2*R*,3*S*)-3-amino-2-hydroxy-4-(2-thienyl)butyl]-3-[(dipropylamino)sulfonyl]-*N*-(3-methoxybenzyl)propanamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethoxypropyl)-5-methyl-*N*',*N*'-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-2-hydroxy-4-(2-thienyl)butyl]-*N*-benzyl-5-methyl-*N*',*N*'-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-2-hydroxy-4-

(phenylsulfonyl)butanamide hydrochloride
N^1-[(2*R*,3*S*)-3-amino-4-(3,5-dichlorophenyl)-2-hydroxybutyl]-N^1-(3-methylbutyl)-N^3,N^3-dipropylbenzene-1,3,5-tricarboxamide
N^1-{(2*R*,3*S*)-3-amino-2-hydroxy-4-[3-(trifluoromethoxy)phenyl]butyl}-N^1-(3-methoxybenzyl)-N^3,N^3-dipropylbenzene-1,3,5-tricarboxamide
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3,3-dimethylbutyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-1-[(benzylamino)methyl]-3-(3-bromophenyl)propyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate
(1*R*,2*S*)-2-amino-3-(3-chloro-5-fluorophenyl)-1-{[(3-methylbutyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(1,3-diphenylpropyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[(1*S*)-1-(hydroxymethyl)propyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]benzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[(3*S*)-2-oxoazepan-3-yl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 5-(cyclohexylamino)-5-oxopentanoate
(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-(3-methylphenyl)propyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-

ethylbenzyl)amino]methyl}propyl *N*-[(2-propylpentyl)sulfonyl]-β-alaninate trifluoroacetate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(1,3-thiazol-2-yl)benzoate dihydrochloride
(1*R*,2*S*)-2-amino-3-(2-furyl)-1-{[(3-methoxybenzyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-[({3-[methyl(phenyl)amino]propyl}amino)methyl]propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-(4-methylphenyl)propyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 5-oxo-1-(2-thienylmethyl)pyrrolidine-3-carboxylate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4-[(butylthio)methyl]-5-methyl-2-furoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-{[(2-hydroxyethyl)amino]sulfonyl}benzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-[3-(trifluoromethyl)benzoyl]glycinate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-methylcyclohexyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4-(2-oxo-1,3-oxazolidin-3-yl)benzoate

(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4-(1*H*-pyrrol-1-yl)benzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(6-methoxy-1,2,3,4-tetrahydronaphthalen-1-yl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 1,3,4,5-tetrahydrothiopyrano[4,3-*b*]indole-8-carboxylate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4-oxo-4-{[2-(trifluoromethyl)phenyl]amino}butanoate
(1*R*,2*S*)-2-amino-3-(3-bromophenyl)-1-{[(3-methylbutyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4,5-dimethyl-2-(1*H*-pyrrol-1-yl)thiophene-3-carboxylate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(2,3-dihydroxypropyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[(2*S*)-2-hydroxypropyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[(1*R*)-1-methylpropyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 2-chloro-4-(methylsulfonyl)benzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(2-hydroxyethyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate

(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-(3-methoxyphenyl)propyl 3-[(dipropylamino)sulfonyl]propanoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-{methyl[(trifluoromethyl)sulfonyl]amino}benzoate hydrochloride
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-hydroxy-6-(1-hydroxy-2,2-dimethylpropyl)pyridine-2-carboxylate
(1*R*,2*S*)-2-amino-1-{[(1,3-dicyclohexylpropyl)amino]methyl}-3-(3,5-difluorophenyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 2,2'-bithiophene-5-carboxylate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4-(1*H*-imidazol-1-yl)butanoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 2,3-dihydroxy-4-[(4-methoxyphenyl)amino]-4-oxobutanoate
(1*R*,2*S*)-2-amino-1-[(benzylamino)methyl]-3-(4-hydroxyphenyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-[3-(trifluoromethyl)phenyl]propyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate
(1*R*,2*S*)-2-amino-1-[(benzylamino)methyl]-3-(2-thienyl)propyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate
(1*R*,2*S*)-2-amino-1-({[2-(aminocarbonyl)-1*H*-indol-6-

yl]amino}methyl)-3-(3,5-difluorophenyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-1-[(benzylamino)methyl]-3-(3-bromophenyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-[4-(trifluoromethyl)benzoyl]glycinate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 2-(1-oxo-1,3-dihydro-2*H*-isoindol-2-yl)butanoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-(3,4-dichlorobenzoyl)glycinate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-chloro-4-(methylsulfonyl)thiophene-2-carboxylate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(1-ethylpropyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-[({[(5*R*)-3-ethyl-2-oxo-1,3-oxazolidin-5-yl]methyl}amino)methyl]propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 5-methyl-7-(trifluoromethyl)pyrazolo[1,5-*a*]pyrimidine-2-carboxylate
(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl *N*-[(methylthio)acetyl]-3-[(1-propylbutyl)sulfonyl]alaninate hydrochloride
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(2,3-dimethylcyclohexyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate

(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4,5-dimethoxy-1-benzothiophene-2-carboxylate
(1*R*,2*S*)-2-amino-3-[3-fluoro-5-(trifluoromethyl)phenyl]-1-{[(3-methylbutyl)amino]methyl}propyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-[({[(5*S*)-3-ethyl-2-oxo-1,3-oxazolidin-5-yl]methyl}amino)methyl]propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(1,3-benzodioxol-5-yl)-1-{[(3-methoxybenzyl)amino]methyl}propyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4-(3,5-dioxo-1,2,4-triazolidin-4-yl)benzoate
(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl 2-hydroxy-3-[(3-methoxyphenyl)sulfonyl]propanoate hydrochloride
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(2-methylcyclohexyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-1-{[(2-{4-[(3-chlorobenzyl)oxy]phenyl}ethyl)amino]methyl}-3-(3,5-difluorophenyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 2-hydroxy-4-oxo-4-(3-thienyl)butanoate
(1*R*,2*S*)-2-amino-3-[3-(benzyloxy)-5-fluorophenyl]-1-{[(3-methoxybenzyl)amino]methyl}propyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 2-hydroxy-4-oxo-4-[3-

(trifluoromethyl)phenyl]butanoate
(1*R*,2*S*)-2-amino-1-{[(3-methylbutyl)amino]methyl}-3-[3-(trifluoromethoxy)phenyl]propyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[1-(hydroxymethyl)-3-(methylthio)propyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 2-(1*H*-1,2,3-benzotriazol-1-yl)hexanoate
(1*R*,2*S*)-2-amino-3-(3-fluoro-4-methylphenyl)-1-{[(3-methylbutyl)amino]methyl}propyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(4,4-dimethyl-2,5-dioxoimidazolidin-1-yl)-2-{[(1-propylbutyl)sulfonyl]methyl}propanoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4-{[(trifluoromethyl)sulfonyl]amino}butanoate trifluoroacetate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl (5-methyl-1,3-dioxo-1,3-dihydro-2*H*-isoindol-2-yl)acetate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-hydroxypropyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[1-(hydroxymethyl)propyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-1-[(benzylamino)methyl]-3-(3,5-dichlorophenyl)propyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate

(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl 3-{[(2-hydroxyethyl)(propyl)amino]sulfonyl}propanoate hydrochloride
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 5-(benzylthio)nicotinate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 1*H*-pyrazole-5-carboxylate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 6-chloro-3-methyl-2-oxo-2,3-dihydro-1,3-benzoxazole-5-carboxylate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 1*H*-benzimidazole-2-carboxylate
(1*R*,2*S*)-2-amino-3-cyclohexyl-1-{[(3-methoxybenzyl)amino]methyl}propyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 6-hydroxy-4,7-dimethoxy-1-benzofuran-5-carboxylate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(4-methylcyclohexyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl [1,2,4]triazolo[4,3-*a*]pyridine-6-carboxylate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 2-hydroxy-4-oxo-4-(2-thienyl)butanoate
(1*R*,2*S*)-2-amino-1-[(benzylamino)methyl]-3-(3,5-dichlorophenyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-

ethylbenzyl)amino]methyl}propyl 4-(2-hydroxy-5-methylphenyl)-4-oxobutanoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-phenoxybenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4-[(aminocarbonyl)amino]benzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[(1*S*)-1-(hydroxymethyl)-3-(methylthio)propyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 7-hydroxy-4-oxochromane-2-carboxylate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[(1*S*)-1-(hydroxymethyl)-3-methylbutyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[(1*R*)-1-(hydroxymethyl)propyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]benzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(1-methyl-3-phenylpropyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3,3-dimethylbutyl)-5-methyl-*N'*,*N'*-dipropylisophthalamide
N^3-[(2*R*,3*S*)-3-amino-4-(3-bromophenyl)-2-hydroxybutyl]-N^3-benzyl-N^3,N^3-dipropylbenzene-1,3,5-tricarboxamide
N-[(2*R*,3*S*)-3-amino-4-(3-chloro-5-fluorophenyl)-2-hydroxybutyl]-5-methyl-*N*-(3-methylbutyl)-*N'*,*N'*-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(1,3-diphenylpropyl)-5-methyl-*N'*,*N'*-

dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-[(1*S*)-1-(hydroxymethyl)propyl]-*N'*,*N'*-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-methyl-*N*-[(3*S*)-2-oxoazepan-3-yl]-*N'*,*N'*-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N'*-cyclohexyl-*N*-(3-ethylbenzyl)pentanediamide
N^1-[(2*R*,3*S*)-3-amino-2-hydroxy-4-(3-methylphenyl)butyl]-N^1-(3-methoxybenzyl)-N^3,N^3-dipropylbenzene-1,3,5-tricarboxamide
N^2-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N^2-(3-ethylbenzyl)-N^3-[(2-propylpentyl)sulfonyl]-β-alaninamide trifluoroacetate (salt)
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-3-(1,3-thiazol-2-yl)benzamide dihydrochloride
N-[(2*R*,3*S*)-3-amino-4-(2-furyl)-2-hydroxybutyl]-*N*-(3-methoxybenzyl)-5-methyl-*N'*,*N'*-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-methyl-*N*-{3-[methyl(phenyl)amino]propyl}-*N'*,*N'*-dipropylisophthalamide
N^1-[(2*R*,3*S*)-3-amino-2-hydroxy-4-(4-methylphenyl)butyl]-N^1-(3-methoxybenzyl)-N^3,N^3-dipropylbenzene-1,3,5-tricarboxamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-5-oxo-1-(2-thienylmethyl)pyrrolidine-3-carboxamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-4-[(butylthio)methyl]-*N*-(3-ethylbenzyl)-5-methyl-2-furamide

N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-3-{[(2-hydroxyethyl)amino]sulfonyl}benzamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-methyl-*N*-(3-methylcyclohexyl)-*N'*,*N'*-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-4-(2-oxo-1,3-oxazolidin-3-yl)benzamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-4-(1*H*-pyrrol-1-yl)benzamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(6-methoxy-1,2,3,4-tetrahydronaphthalen-1-yl)-5-methyl-*N'*,*N'*-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-1,3,4,5-tetrahydrothiopyrano[4,3-*b*]indole-8-carboxamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-*N'*-[2-(trifluoromethyl)phenyl]succinamide
N-[(2*R*,3*S*)-3-amino-4-(3-bromophenyl)-2-hydroxybutyl]-5-methyl-*N*-(3-methylbutyl)-*N'*,*N'*-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-4,5-dimethyl-2-(1*H*-pyrrol-1-yl)thiophene-3-carboxamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(2,3-dihydroxypropyl)-5-methyl-*N'*,*N'*-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-[(2*S*)-2-hydroxypropyl]-5-methyl-*N'*,*N'*-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-

hydroxybutyl]-5-methyl-*N*-[(1*R*)-1-methylpropyl]-*N'*,*N'*-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-2-chloro-*N*-(3-ethylbenzyl)-4-(methylsulfonyl)benzamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(2-hydroxyethyl)-5-methyl-*N'*,*N'*-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-2-hydroxy-4-(3-methoxyphenyl)butyl]-3-[(dipropylamino)sulfonyl]-*N*-(3-methoxybenzyl)propanamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-3-{methyl[(trifluoromethyl)sulfonyl]amino}benzamide hydrochloride
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-3-hydroxy-6-(1-hydroxy-2,2-dimethylpropyl)pyridine-2-carboxamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(1,3-dicyclohexylpropyl)-5-methyl-*N'*,*N'*-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-2,2'-bithiophene-5-carboxamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-4-(1*H*-imidazol-1-yl)butanamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-2,3-dihydroxy-*N'*-(4-methoxyphenyl)succinamide
N-[(2*R*,3*S*)-3-amino-2-hydroxy-4-(4-hydroxyphenyl)butyl]-*N*-benzyl-5-methyl-*N'*,*N'*-dipropylisophthalamide
N-{(2*R*,3*S*)-3-amino-2-hydroxy-4-[3-

(trifluoromethyl)phenyl]butyl}-N^1-(3-methoxybenzyl)-N^3,N^3-dipropylbenzene-1,3,5-tricarboxamide
N^1-[(2*R*,3*S*)-3-amino-2-hydroxy-4-(2-thienyl)butyl]-N^1-benzyl-N^3,N^3-dipropylbenzene-1,3,5-tricarboxamide
N-[2-(aminocarbonyl)-1*H*-indol-6-yl]-*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-methyl-*N*',*N*'-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3-bromophenyl)-2-hydroxybutyl]-*N*-benzyl-5-methyl-*N*',*N*'-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-2-(1-oxo-1,3-dihydro-2*H*-isoindol-2-yl)butanamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-3-chloro-*N*-(3-ethylbenzyl)-4-(methylsulfonyl)thiophene-2-carboxamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(1-ethylpropyl)-5-methyl-*N*',*N*'-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-{[(5*R*)-3-ethyl-2-oxo-1,3-oxazolidin-5-yl]methyl}-5-methyl-*N*',*N*'-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-5-methyl-7-(trifluoromethyl)pyrazolo[1,5-a]pyrimidine-2-carboxamide
N^1-[(2*R*,3*S*)-3-amino-2-hydroxy-4-phenylbutyl]-N^1-(3-methoxybenzyl)-N^3-[(methylthio)acetyl]-3-[(1-propylbutyl)sulfonyl]alaninamide hydrochloride
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(2,3-dimethylcyclohexyl)-5-methyl-*N*',*N*'-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-4,5-dimethoxy-1-

benzothiophene-2-carboxamide
N^1-{(2*R*,3*S*)-3-amino-4-[3-fluoro-5-(trifluoromethyl)phenyl]-2-hydroxybutyl}-*N*^{1}-(3-methylbutyl)-*N*^{3},*N*^{3}-dipropylbenzene-1,3,5-tricarboxamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-{[(5*S*)-3-ethyl-2-oxo-1,3-oxazolidin-5-yl]methyl}-5-methyl-*N*',*N*'-dipropylisophthalamide
N^1-[(2*R*,3*S*)-3-amino-4-(1,3-benzodioxol-5-yl)-2-hydroxybutyl]-*N*^{1}-(3-methoxybenzyl)-*N*^{3},*N*^{3}-dipropylbenzene-1,3,5-tricarboxamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-4-(3,5-dioxo-1,2,4-triazolidin-4-yl)-*N*-(3-ethylbenzyl)benzamide
N-[(2*R*,3*S*)-3-amino-2-hydroxy-4-phenylbutyl]-2-hydroxy-*N*-(3-methoxybenzyl)-3-[(3-methoxyphenyl)sulfonyl]propanamide hydrochloride
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-methyl-*N*-(2-methylcyclohexyl)-*N*',*N*'-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(2-{4-[(3-chlorobenzyl)oxy]phenyl}ethyl)-5-methyl-*N*',*N*'-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-2-hydroxy-4-oxo-4-(3-thienyl)butanamide
N^1-{(2*R*,3*S*)-3-amino-4-[3-(benzyloxy)-5-fluorophenyl]-2-hydroxybutyl}-*N*^{1}-(3-methoxybenzyl)-*N*^{3},*N*^{3}-dipropylbenzene-1,3,5-tricarboxamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-2-hydroxy-4-oxo-4-[3-(trifluoromethyl)phenyl]butanamide
N^1-{(2*R*,3*S*)-3-amino-2-hydroxy-4-[3-

(trifluoromethoxy)phenyl]butyl}-N^3-(3-methylbutyl)-N^3,N^3-dipropylbenzene-1,3,5-tricarboxamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-[1-(hydroxymethyl)-3-(methylthio)propyl]-5-methyl-N^1,N^3-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-2-(1*H*-1,2,3-benzotriazol-1-yl)-*N*-(3-ethylbenzyl)hexanamide
N^1-[(2*R*,3*S*)-3-amino-4-(3-fluoro-4-methylphenyl)-2-hydroxybutyl]-N^1-(3-methylbutyl)-N^3,N^3-dipropylbenzene-1,3,5-tricarboxamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-3-(4,4-dimethyl-2,5-dioxoimidazolidin-1-yl)-*N*-(3-ethylbenzyl)-2-{[(1-propylbutyl)sulfonyl]methyl}propanamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-4-{[(trifluoromethyl)sulfonyl]amino}butanamide trifluoroacetate (salt)
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-2-(5-methyl-1,3-dioxo-1,3-dihydro-2*H*-isoindol-2-yl)acetamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-hydroxypropyl)-5-methyl-N^1,N^3-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-[1-(hydroxymethyl)propyl]-5-methyl-N^1,N^3-dipropylisophthalamide
N^1-[(2*R*,3*S*)-3-amino-4-(3,5-dichlorophenyl)-2-hydroxybutyl]-N^1-benzyl-N^3,N^3-dipropylbenzene-1,3,5-tricarboxamide
N-[(2*R*,3*S*)-3-amino-2-hydroxy-4-phenylbutyl]-3-{[(2-hydroxyethyl)(propyl)amino]sulfonyl}-*N*-(3-

methoxybenzyl)propanamide hydrochloride
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-(benzylthio)-*N*-(3-ethylbenzyl)nicotinamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-1*H*-pyrazole-5-carboxamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-6-chloro-*N*-(3-ethylbenzyl)-3-methyl-2-oxo-2,3-dihydro-1,3-benzoxazole-5-carboxamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-1*H*-benzimidazole-2-carboxamide
N^1-[(2*R*,3*S*)-3-amino-4-cyclohexyl-2-hydroxybutyl]-N^3-(3-methoxybenzyl)-N^3,N^3-dipropylbenzene-1,3,5-tricarboxamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-6-hydroxy-4,7-dimethoxy-1-benzofuran-5-carboxamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-methyl-*N*-(4-methylcyclohexyl)-*N'*,*N'*-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)[1,2,4]triazolo[4,3-a]pyridine-6-carboxamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-2-hydroxy-4-oxo-4-(2-thienyl)butanamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-dichlorophenyl)-2-hydroxybutyl]-*N*-benzyl-5-methyl-*N'*,*N'*-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-4-(2-hydroxy-5-methylphenyl)-4-oxobutanamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-3-phenoxybenzamide

4-[(aminocarbonyl)amino]-*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)benzamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-[(1*S*)-1-(hydroxymethyl)-3-(methylthio)propyl]-5-methyl-*N*',*N*'-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-7-hydroxy-4-oxochromane-2-carboxamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-[(1*S*)-1-(hydroxymethyl)-3-methylbutyl]-5-methyl-*N*',*N*'-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-[(1*R*)-1-(hydroxymethyl)propyl]-*N*',*N*'-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-methyl-*N*-(1-methyl-3-phenylpropyl)-*N*',*N*'-dipropylisophthalamide
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 2-(2,3-dihydro-1-benzofuran-5-yl)-1,3-thiazole-4-carboxylate
(1*R*,2*S*)-2-amino-3-[3-(benzyloxy)phenyl]-1-{[(3-methoxybenzyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(4-chlorophenyl)-1-{[(3-methoxybenzyl)amino]methyl}propyl 3-[(dipropylamino)sulfonyl]propanoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-oxo-3-(pentylamino)propanoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(trifluoromethoxy)benzoate
(1*R*,2*S*)-2-amino-3-(3-fluoro-4-methylphenyl)-1-{[(3-

methoxybenzyl)amino]methyl}propyl 3-[(dipropylamino)sulfonyl]propanoate
(1*R*,2*S*)-2-amino-3-(3-chloro-5-fluorophenyl)-1-{[(3-methylbutyl)amino]methyl}propyl 3-[(dipropylamino)sulfonyl]propanoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(4,4-dimethyl-2,5-dioxoimidazolidin-1-yl)-2-{[(1-propylbutyl)sulfonyl]methyl}propanoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4-{[4-(acetylamino)phenyl]amino}-4-oxobutanoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(1-cyanoethyl)benzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4-oxo-4-[(5-phenyl-1,3,4-thiadiazol-2-yl)amino]butanoate
(1*R*,2*S*)-2-amino-1-[(benzylamino)methyl]-3-[3-(trifluoromethoxy)phenyl]propyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[2-(2-oxo-2-pyrrolidin-1-ylethoxy)phenyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(4-chlorophenyl)-1-{[(3-methylbutyl)amino]methyl}propyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl (1,1-dioxidotetrahydro-2-thienyl)acetate
(1*R*,2*S*)-2-amino-1-[(benzylamino)methyl]-3-(4-chlorophenyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate

(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 5-hex-1-yn-1-ylnicotinate
(1*R*,2*S*)-2-amino-3-(3-bromophenyl)-1-{[(3-methylbutyl)amino]methyl}propyl 3-[(dipropylamino)sulfonyl]propanoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-methoxyisoxazole-5-carboxylate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 2,3-dimethyl-1*H*-indole-7-carboxylate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4-(3-chlorophenyl)-2-hydroxy-4-oxobutanoate
(1*R*,2*S*)-2-amino-3-(3-fluoro-4-methoxyphenyl)-1-{[(3-methoxybenzyl)amino]methyl}propyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl (1-methyl-1*H*-indol-3-yl)(oxo)acetate
(1*R*,2*S*)-2-amino-3-(3-fluoro-4-methylphenyl)-1-{[(3-methylbutyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-(4-methylphenyl)propyl 3-[(dipropylamino)sulfonyl]propanoate
(1*R*,2*S*)-2-amino-1-[(benzylamino)methyl]-3-(3-fluoro-4-methylphenyl)propyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl [5-(4-methylphenyl)-2*H*-tetrazol-2-yl]acetate
(1*R*,2*S*)-2-amino-3-(3,5-dichlorophenyl)-1-{[(3-

methoxybenzyl)amino]methyl}propyl 3-[(dipropylamino)sulfonyl]propanoate
(1*R*,2*S*)-2-amino-1-{[(3-methylbutyl)amino]methyl}-3-(2-thienyl)propyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 5-methyl-3-phenylisoxazole-4-carboxylate
(1*R*,2*S*)-2-amino-1-[(benzylamino)methyl]-3-(4-fluorophenyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-[(methylsulfonyl)acetyl]-*N*-pentylglycinate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-(4-methoxybenzoyl)glycinate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-(2,6-difluorobenzoyl)glycinate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4-(1*H*-indol-3-yl)-4-oxobutanoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4-[(5-benzyl-1,3,4-thiadiazol-2-yl)amino]-4-oxobutanoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4-(3-fluoro-4-methoxyphenyl)-4-oxobutanoate
ethyl 4-{[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}oxy)butyl]amino}piperidine-1-carboxylate

(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4-(2-fluorobenzoyl)-1*H*-pyrrole-2-carboxylate
(1*R*,2*S*)-2-amino-1-[(benzylamino)methyl]-3-(4-chlorophenyl)propyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate
(1*R*,2*S*)-2-amino-1-{[(3-methylbutyl)amino]methyl}-3-[3-(trifluoromethyl)phenyl]propyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate
(1*R*,2*S*)-2-amino-3-(4-hydroxyphenyl)-1-{[(3-methylbutyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl (4-morpholin-4-ylphenyl)acetate
(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-[3-(trifluoromethoxy)phenyl]propyl 3-[(dipropylamino)sulfonyl]propanoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4-[benzyl(1-cyclopropylethyl)amino]-4-oxobutanoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-methoxybenzyl)amino]methyl}propyl 3-(2,5-dimethylbenzoyl)-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4-[(2-methoxy-5-methylphenyl)amino]-4-oxobutanoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl (3-hydroxyphenyl)acetate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-methoxybenzyl)amino]methyl}propyl 3-[hydroxy(2-methylphenyl)methyl]-5-methylbenzoate

(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 5-(ethylthio)nicotinate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4-[4-(2-furoyl)piperazin-1-yl]-4-oxobutanoate
(1*R*,2*S*)-2-amino-1-[(benzylamino)methyl]-3-(3-fluoro-4-methylphenyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-oxoisoindoline-1-carboxylate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(ethylthio)benzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl thieno[2,3-*b*]quinoline-2-carboxylate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(4-methyl-1,3-oxazol-2-yl)benzoate hydrochloride
(1*R*,2*S*)-2-amino-1-[(benzylamino)methyl]-3-(4-fluorophenyl)propyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 2-[2-furoyl(methyl)amino]benzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 2-hydroxy-4-(3-methoxyphenyl)-4-oxobutanoate
(1*R*,2*S*)-2-amino-1-[(cycloheptylamino)methyl]-3-(3,5-difluorophenyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-1-{[(3-methylbutyl)amino]methyl}-3-(4-

methylphenyl)propyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate
(1*R*,2*S*)-2-amino-3-(3-fluoro-5-hydroxyphenyl)-1-{[(3-methoxybenzyl)amino]methyl}propyl 3-[(dipropylamino)sulfonyl]propanoate hydrochloride
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 5-hydroxy-1*H*-indole-2-carboxylate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 2,2-dimethylchromane-8-carboxylate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 6-benzylpyrazine-2-carboxylate 4-oxide
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-methoxybenzyl)amino]methyl}propyl {2-[(dipropylamino)sulfonyl]ethyl}carbamate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[1-(hydroxymethyl)-2-methylpropyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-1-[(benzylamino)methyl]-3-(3-chloro-5-fluorophenyl)propyl 3-[(dipropylamino)sulfonyl]propanoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4-(4-methoxyphenyl)-4-oxobutanoate
(1*R*,2*S*)-2-amino-1-[(benzylamino)methyl]-3-(4-hydroxyphenyl)propyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-methyl-4-oxo-3,4-dihydrophthalazine-1-carboxylate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-

ethylbenzyl)amino]methyl}propyl 3,4-dihydro-2*H*-1,5-benzodioxepine-7-carboxylate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl [4-(2,5-dioxopyrrolidin-1-yl)phenoxy]acetate
(1*R*,2*S*)-2-amino-3-(2-furyl)-1-{[(3-methoxybenzyl)amino]methyl}propyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 5-methyl-4-oxo-3,4-dihydrothieno[2,3-*d*]pyrimidine-6-carboxylate
(1*R*,2*S*)-2-amino-3-(1,3-benzodioxol-5-yl)-1-{[(3-methylbutyl)amino]methyl}propyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate
(1*R*,2*S*)-2-amino-3-(3-chloro-5-fluorophenyl)-1-{[(3-methoxybenzyl)amino]methyl}propyl 5-(dipropylamino)-5-oxopentanoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 6-fluoro-2-hydroxyquinoline-4-carboxylate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4-oxo-4-(2-thienyl)butanoate
(1*R*,2*R*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-(phenylthio)propyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[(1*R*)-1-(hydroxymethyl)-2-methylpropyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[(1*R*,2*S*)-1-(hydroxymethyl)-2-methylbutyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-

ethylbenzyl)amino]methyl}propyl 2-(phenoxymethyl)benzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 5-[(2,4-difluorophenyl)amino]-5-oxopentanoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 5-[(4,6-dimethylpyrimidin-2-yl)amino]-5-oxopentanoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-methoxybenzyl)amino]methyl}propyl 3-(3-methoxybenzoyl)-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-[3-(benzyloxy)phenyl]-1-{[(3-methoxybenzyl)amino]methyl}propyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4-(3,4-dichlorophenyl)-4-oxobutanoate
(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-[4-(methoxycarbonyl)phenyl]propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 5-[(4-acetylphenyl)amino]-5-oxopentanoate
(1*R*,2*S*)-2-amino-3-[4-(benzyloxy)phenyl]-1-{[(3-methoxybenzyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*R*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-(phenylthio)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-({2-[(methylamino)carbonyl]phenyl}thio)propanoate
(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-

phenylpropyl 3-[(1-propylbutyl)thio]propanoate hydrochloride
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4-[(4-ethoxyphenyl)amino]-4-oxobutanoate
(1*R*,2*S*)-2-amino-3-[3-(benzyloxy)-5-fluorophenyl]-1-{[(3-methylbutyl)amino]methyl}propyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[2-({[(3-methoxyphenyl)amino]carbonyl}oxy)ethyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-2-(2,3-dihydro-1-benzofuran-5-yl)-*N*-(3-ethylbenzyl)-1,3-thiazole-4-carboxamide
N-{(2*R*,3*S*)-3-amino-4-[3-(benzyloxy)phenyl]-2-hydroxybutyl}-*N*-(3-methoxybenzyl)-5-methyl-*N*',*N*'-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(4-chlorophenyl)-2-hydroxybutyl]-3-[(dipropylamino)sulfonyl]-*N*-(3-methoxybenzyl)propanamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-*N*'-pentylmalonamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-3-(trifluoromethoxy)benzamide
N-[(2*R*,3*S*)-3-amino-4-(3-fluoro-4-methylphenyl)-2-hydroxybutyl]-3-[(dipropylamino)sulfonyl]-*N*-(3-methoxybenzyl)propanamide
N-[(2*R*,3*S*)-3-amino-4-(3-chloro-5-fluorophenyl)-2-hydroxybutyl]-3-[(dipropylamino)sulfonyl]-*N*-(3-methylbutyl)propanamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-3-(4,4-dimethyl-2,5-dioxoimidazolidin-1-yl)-*N*-(3-ethylbenzyl)-2-{[(1-

propylbutyl)sulfonyl]methyl}propanamide
N'-[4-(acetylamino)phenyl]-*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)succinamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-3-(1-cyanoethyl)-*N*-(3-ethylbenzyl)benzamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-*N'*-(5-phenyl-1,3,4-thiadiazol-2-yl)succinamide
N^3-{(2*R*,3*S*)-3-amino-2-hydroxy-4-[3-(trifluoromethoxy)phenyl]butyl}-N^3-benzyl-N^3,N^3-dipropylbenzene-1,3,5-tricarboxamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-methyl-*N*-[2-(2-oxo-2-pyrrolidin-1-ylethoxy)phenyl]-*N'*,*N'*-dipropylisophthalamide
N^3-[(2*R*,3*S*)-3-amino-4-(4-chlorophenyl)-2-hydroxybutyl]-N^3-(3-methylbutyl)-N^3,N^3-dipropylbenzene-1,3,5-tricarboxamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-2-(1,1-dioxidotetrahydro-2-thienyl)-*N*-(3-ethylbenzyl)acetamide
N-[(2*R*,3*S*)-3-amino-4-(4-chlorophenyl)-2-hydroxybutyl]-*N*-benzyl-5-methyl-*N'*,*N'*-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-5-hex-1-yn-1-ylnicotinamide
N-[(2*R*,3*S*)-3-amino-4-(3-bromophenyl)-2-hydroxybutyl]-3-[(dipropylamino)sulfonyl]-*N*-(3-methylbutyl)propanamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-3-methoxyisoxazole-5-carboxamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-2,3-dimethyl-1*H*-indole-7-carboxamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-

hydroxybutyl]-4-(3-chlorophenyl)-*N*-(3-ethylbenzyl)-2-hydroxy-4-oxobutanamide
N^3-[(2*R*,3*S*)-3-amino-4-(3-fluoro-4-methoxyphenyl)-2-hydroxybutyl]-*N*^{1}-(3-methoxybenzyl)-*N*^{3},*N*^{3}-dipropylbenzene-1,3,5-tricarboxamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-2-(1-methyl-1*H*-indol-3-yl)-2-oxoacetamide
N-[(2*R*,3*S*)-3-amino-4-(3-fluoro-4-methylphenyl)-2-hydroxybutyl]-5-methyl-*N*-(3-methylbutyl)-*N*',*N*'-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-2-hydroxy-4-(4-methylphenyl)butyl]-3-[(dipropylamino)sulfonyl]-*N*-(3-methoxybenzyl)propanamide
N^3-[(2*R*,3*S*)-3-amino-4-(3-fluoro-4-methylphenyl)-2-hydroxybutyl]-*N*^{1}-benzyl-*N*^{3},*N*^{3}-dipropylbenzene-1,3,5-tricarboxamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-2-[5-(4-methylphenyl)-2*H*-tetrazol-2-yl]acetamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-dichlorophenyl)-2-hydroxybutyl]-3-[(dipropylamino)sulfonyl]-*N*-(3-methoxybenzyl)propanamide
N^3-[(2*R*,3*S*)-3-amino-2-hydroxy-4-(2-thienyl)butyl]-*N*^{1}-(3-methylbutyl)-*N*^{3},*N*^{3}-dipropylbenzene-1,3,5-tricarboxamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-5-methyl-3-phenylisoxazole-4-carboxamide
N-[(2*R*,3*S*)-3-amino-4-(4-fluorophenyl)-2-hydroxybutyl]-*N*-benzyl-5-methyl-*N*',*N*'-dipropylisophthalamide
N^3-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*^{1}-(3-ethylbenzyl)-*N*^{3}-[(methylsulfonyl)acetyl]-*N*^{3}-pentylglycinamide

N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-4-(1*H*-indol-3-yl)-4-oxobutanamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N'*-(5-benzyl-1,3,4-thiadiazol-2-yl)-*N*-(3-ethylbenzyl)succinamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-4-(3-fluoro-4-methoxyphenyl)-4-oxobutanamide
ethyl 4-({[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]{3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)piperidine-1-carboxylate
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-4-(2-fluorobenzoyl)-1*H*-pyrrole-2-carboxamide
N^1-[(2*R*,3*S*)-3-amino-4-(4-chlorophenyl)-2-hydroxybutyl]-N^1-benzyl-N^3,N^3-dipropylbenzene-1,3,5-tricarboxamide
N^1-{(2*R*,3*S*)-3-amino-2-hydroxy-4-[3-(trifluoromethyl)phenyl]butyl}-N^3-(3-methylbutyl)-N^3,N^3-dipropylbenzene-1,3,5-tricarboxamide
N-[(2*R*,3*S*)-3-amino-2-hydroxy-4-(4-hydroxyphenyl)butyl]-5-methyl-*N*-(3-methylbutyl)-*N'*,*N'*-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-2-(4-morpholin-4-ylphenyl)acetamide
N-{(2*R*,3*S*)-3-amino-2-hydroxy-4-[3-(trifluoromethoxy)phenyl]butyl}-3-[(dipropylamino)sulfonyl]-*N*-(3-methoxybenzyl)propanamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N'*-benzyl-*N'*-(1-cyclopropylethyl)-*N*-(3-ethylbenzyl)succinamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-

hydroxybutyl]-3-(2,5-dimethylbenzoyl)-*N*-(3-methoxybenzyl)-5-methylbenzamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-*N'*-(2-methoxy-5-methylphenyl)succinamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-2-(3-hydroxyphenyl)acetamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-3-[hydroxy(2-methylphenyl)methyl]-*N*-(3-methoxybenzyl)-5-methylbenzamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-5-(ethylthio)nicotinamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-4-[4-(2-furoyl)piperazin-1-yl]-4-oxobutanamide
N-[(2*R*,3*S*)-3-amino-4-(3-fluoro-4-methylphenyl)-2-hydroxybutyl]-*N*-benzyl-5-methyl-*N'*,*N'*-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-3-oxoisoindoline-1-carboxamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-3-(ethylthio)benzamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)thieno[2,3-*b*]quinoline-2-carboxamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-3-(4-methyl-1,3-oxazol-2-yl)benzamide hydrochloride
N^1-[(2*R*,3*S*)-3-amino-4-(4-fluorophenyl)-2-hydroxybutyl]-N^2-benzyl-N^3,N^3-dipropylbenzene-1,3,5-tricarboxamide
N-(2-{[[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl](3-ethylbenzyl)amino]carbonyl}phenyl)-*N*-methyl-

2-furamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-2-hydroxy-4-(3-methoxyphenyl)-4-oxobutanamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-cycloheptyl-5-methyl-*N'*,*N'*-dipropylisophthalamide
N^1-[(2*R*,3*S*)-3-amino-2-hydroxy-4-(4-methylphenyl)butyl]-N^1-(3-methylbutyl)-N^3,N^3-dipropylbenzene-1,3,5-tricarboxamide
N-[(2*R*,3*S*)-3-amino-4-(3-fluoro-5-hydroxyphenyl)-2-hydroxybutyl]-3-[(dipropylamino)sulfonyl]-*N*-(3-methoxybenzyl)propanamide hydrochloride
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-5-hydroxy-1*H*-indole-2-carboxamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-2,2-dimethylchromane-8-carboxamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-6-benzyl-*N*-(3-ethylbenzyl)pyrazine-2-carboxamide 4-oxide
2-({[[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl](3-methoxybenzyl)amino]carbonyl}amino)-*N*,*N*-dipropylethanesulfonamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-[(1*R*)-1-(hydroxymethyl)-2-methylpropyl]-5-methyl-*N'*,*N'*-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3-chloro-5-fluorophenyl)-2-hydroxybutyl]-*N*-benzyl-3-[(dipropylamino)sulfonyl]propanamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-4-(4-methoxyphenyl)-4-

oxobutanamide
N^1-[(2*R*,3*S*)-3-amino-2-hydroxy-4-(4-hydroxyphenyl)butyl]-*N*^{1}-benzyl-*N*^{3},*N*^{3}-dipropylbenzene-1,3,5-tricarboxamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-3-methyl-4-oxo-3,4-dihydrophthalazine-1-carboxamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-3,4-dihydro-2*H*-1,5-benzodioxepine-7-carboxamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-2-[4-(2,5-dioxopyrrolidin-1-yl)phenoxy]-*N*-(3-ethylbenzyl)acetamide
N^1-[(2*R*,3*S*)-3-amino-4-(2-furyl)-2-hydroxybutyl]-*N*^{1}-(3-methoxybenzyl)-*N*^{3},*N*^{3}-dipropylbenzene-1,3,5-tricarboxamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-5-methyl-4-oxo-3,4-dihydrothieno[2,3-*d*]pyrimidine-6-carboxamide
N^1-[(2*R*,3*S*)-3-amino-4-(1,3-benzodioxol-5-yl)-2-hydroxybutyl]-*N*^{1}-(3-methylbutyl)-*N*^{3},*N*^{3}-dipropylbenzene-1,3,5-tricarboxamide
N-[(2*R*,3*S*)-3-amino-4-(3-chloro-5-fluorophenyl)-2-hydroxybutyl]-*N*-(3-methoxybenzyl)-*N*',*N*'-dipropylpentanediamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-6-fluoro-2-hydroxyquinoline-4-carboxamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-4-oxo-4-(2-thienyl)butanamide
N^3-{[[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl](3-methoxybenzyl)amino]carbonyl}-*N*^{1},*N*^{1}-dipropyl-

β-alaninamide
N^1-[(2*R*,3*R*)-3-amino-2-hydroxy-4-(phenylthio)butyl]-*N*^{1}-(3-methoxybenzyl)-*N*^{3},*N*^{3}-dipropylbenzene-1,3,5-tricarboxamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-[(1*R*)-1-(hydroxymethyl)-2-methylpropyl]-5-methyl-*N*',*N*'-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-[(1*R*,2*S*)-1-(hydroxymethyl)-2-methylbutyl]-5-methyl-*N*',*N*'-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-2-(phenoxymethyl)benzamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*'-(2,4-difluorophenyl)-*N*-(3-ethylbenzyl)pentanediamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*'-(4,6-dimethylpyrimidin-2-yl)-*N*-(3-ethylbenzyl)pentanediamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-3-(3-methoxybenzoyl)-*N*-(3-methoxybenzyl)-5-methylbenzamide
N^1-{(2*R*,3*S*)-3-amino-4-[3-(benzyloxy)phenyl]-2-hydroxybutyl}-*N*^{1}-(3-methoxybenzyl)-*N*^{3},*N*^{3}-dipropylbenzene-1,3,5-tricarboxamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-4-(3,4-dichlorophenyl)-*N*-(3-ethylbenzyl)-4-oxobutanamide
methyl 4-{(2*S*,3*R*)-2-amino-4-[(3-[(dipropylamino)carbonyl]-5-methylbenzoyl}(3-methoxybenzyl)amino]-3-hydroxybutyl}benzoate
N'-(4-acetylphenyl)-*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)pentanediamide

N-{(2*R*,3*S*)-3-amino-4-[4-(benzyloxy)phenyl]-2-hydroxybutyl}-*N*-(3-methoxybenzyl)-5-methyl-*N'*,*N'*-dipropylisophthalamide
N-[(2*R*,3*R*)-3-amino-2-hydroxy-4-(phenylthio)butyl]-*N*-(3-methoxybenzyl)-5-methyl-*N'*,*N'*-dipropylisophthalamide
2-({3-[[(2*R*,3*S*)-3-amino-4-(3,5-difluorophanyl)-2-hydroxybutyl](3-ethylbenzyl)amino]-3-oxopropyl}thio)-*N*-methylbenzamide
N-[(2*R*,3*S*)-3-amino-2-hydroxy-4-phenylbutyl]-*N*-(3-methoxybenzyl)-3-[(1-propylbutyl)thio]propanamide hydrochloride
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N'*-(4-ethoxyphenyl)-*N*-(3-ethylbenzyl)succinamide
N^1-{(2*R*,3*S*)-3-amino-4-[3-(benzyloxy)-5-fluorophenyl]-2-hydroxybutyl}-N^1-(3-methylbutyl)-N^3,N^3-dipropylbenzene-1,3,5-tricarboxamide
2-([(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]{3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)ethyl (3-methoxyphenyl)carbamate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(benzyloxy)benzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[(1*S*)-2-hydroxy-1-methylethyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(pentafluorophenyl)-1-({[3-(trifluoromethyl)benzyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4-(4-hydroxyphenyl)-4-oxobutanoate
(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-

[3-(trifluoromethyl)phenyl]propyl 3-[(dipropylamino)sulfonyl]propanoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(piperidin-3-ylsulfonyl)benzoate dihydrochloride
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 6-chloro-4-hydroxyquinoline-2-carboxylate
(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-(2-thienyl)propyl 5-(dipropylamino)-5-oxopentanoate
(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-4-methylpentyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl (6-oxo-3-phenylpyridazin-1(6*H*)-yl)acetate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-{4-[(methylsulfonyl)amino]phenyl}propanoate
(1*R*,2*S*)-2-amino-3-(4-fluoro-3-methylphenyl)-1-{[(3-methoxybenzyl)amino]methyl}propyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate
(1*R*,2*S*)-2-amino-1-[(benzylamino)methyl]-3-(4-methylphenyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-iodobenzyl)amino]methyl}propyl 3-(2-chlorophenoxy)propanoate
(1*R*,2*S*)-2-amino-3-(4-fluorophenyl)-1-{[(3-methylbutyl)amino]methyl}propyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-(4-chlorobenzoyl)-D-alaninate

(1*R*,2*S*)-2-amino-3-[3-(benzyloxy)-5-fluorophenyl]-1-{[(3-methoxybenzyl)amino]methyl}propyl 3-[(dipropylamino)sulfonyl]propanoate hydrochloride
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4-(4-methylphenyl)-4-oxobutanoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4-oxo-4-{[3-(trifluoromethyl)phenyl]amino}butanoate
(1*R*,2*S*)-2-amino-3-(1,3-benzodioxol-5-yl)-1-{[(3-methoxybenzyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl (5-pyridin-2-yl-2*H*-tetrazol-2-yl)acetate
(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-(3-methylphenyl)propyl 3-[(dipropylamino)sulfonyl]propanoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl isoxazole-5-carboxylate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl (3,5-dimethoxyphenoxy)acetate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4-(2,5-dimethyl-1*H*-pyrrol-1-yl)-3-hydroxybenzoate
(1*R*,2*S*)-2-amino-3-(3-bromophenyl)-1-{[(3-methoxybenzyl)amino]methyl}propyl 5-(dipropylamino)-5-oxopentanoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4-{[5-(cyclopentylmethyl)-1,3,4-thiadiazol-2-yl]amino}-4-oxobutanoate
(1*R*,2*S*)-2-amino-1-[(benzylamino)methyl]-3-[3-

(trifluoromethyl)phenyl]propyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl (3-oxo-1,2-benzisothiazol-2(3*H*)-yl)acetate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[1-methyl-5-(pyrrolidin-1-ylcarbonyl)-1*H*-pyrrol-3-yl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4-(3,4-difluorophenyl)-4-oxobutanoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4-(2-naphthyl)-4-oxobutanoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4,6-diethoxypyridine-2-carboxylate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4-(5-methyl-1*H*-pyrrol-2-yl)-4-oxobutanoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-({[2-(methylamino)ethyl]amino}sulfonyl)benzoate hydrochloride
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-methoxybenzyl)amino]methyl}propyl 3-methyl-5-(4-methylbenzoyl)benzoate
(1*R*,2*S*)-2-amino-3-(1,3-benzodioxol-5-yl)-1-[(benzylamino)methyl]propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(piperazin-1-ylsulfonyl)benzoate hydrochloride

(1*R*,2*S*)-2-amino-1-[({2-[4-(aminosulfonyl)phenyl]ethyl}amino)methyl]-3-(3,5-difluorophenyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[2-hydroxy-1-(hydroxymethyl)ethyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(4-fluoro-3-methylphenyl)-1-{[(3-methylbutyl)amino]methyl}propyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(3-oxo-2,1-benzisothiazol-1(3*H*)-yl)propanoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl (2,6-dihydroxypyrimidin-4-yl)acetate
(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-[3-(trifluoromethyl)phenyl]propyl 5-(dipropylamino)-5-oxopentanoate
(1*R*,2*S*)-2-amino-1-[(benzylamino)methyl]-3-(4-hydroxyphenyl)propyl 3-[(dipropylamino)sulfonyl]propanoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4-(3,4-difluorophenyl)-2-methyl-4-oxobutanoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 5-oxo-5-[(2-pyridin-2-ylethyl)amino]pentanoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl [2-(4-fluorophenyl)-1,3-benzoxazol-5-yl]acetate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-(anilinocarbonyl)glycinate

(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-(2,6-dimethoxybenzoyl)glycinate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 2-(1,3-dithian-2-yl)-3-furoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 2-[2-oxo-2-(propylamino)ethyl]benzoate
(1*R*,2*S*)-2-amino-1-[(benzylamino)methyl]-3-(3-bromophenyl)propyl 3-[(dipropylamino)sulfonyl]propanoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-iodobenzyl)amino]methyl}propyl 3-(2-fluorophenyl)propanoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 5-methylthiophene-2-carboxylate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-iodobenzyl)amino]methyl}propyl [4-(benzyloxy)phenyl]acetate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl [(5,7-dimethyl[1,2,4]triazolo[4,3-a]pyrimidin-3-yl)thio]acetate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4-[(1-acetyl-2,3-dihydro-1*H*-indol-7-yl)amino]-4-oxobutanoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 5-[(3-acetylphenyl)amino]-5-oxopentanoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(4-chlorophenoxy)-2-hydroxypropanoate
N^3-[(1*S*,2*R*)-3-(benzylamino)-1-(3-fluoro-4-methoxybenzyl)-2-hydroxypropyl]-N^1,N^1-dipropylbenzene-1,3,5-

tricarboxamide
(1*R*,2*S*)-2-amino-1-[(benzylamino)methyl]-3-(3-methylphenyl)propyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 1*H*-indole-7-carboxylate
(1*R*,2*S*)-2-amino-1-{[(3-methylbutyl)amino]methyl}-3-(3-methylphenyl)propyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4-(1,2,3-thiadiazol-4-yl)benzoate
(1*R*,2*S*)-2-amino-3-[3-(benzyloxy)-5-fluorophenyl]-1-{[(3-methoxybenzyl)amino]methyl}propyl 3-[(dipropylamino)sulfonyl]propanoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(4,4-dimethyl-2,5-dioxoimidazolidin-1-yl)-2-{[(1-propylbutyl)sulfonyl]methyl}propanoate
(1*R*,2*S*)-2-amino-1-{[(3-methylbutyl)amino]methyl}-3-(4-methylphenyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-1-[(benzylamino)methyl]-3-[3-fluoro-5-(trifluoromethyl)phenyl]propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl [1-methyl-3-(methylthio)-1*H*-indol-2-yl]acetate
(1*R*,2*S*)-2-amino-3-(3,5-dichlorophenyl)-1-{[(3-methylbutyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-

ethylbenzyl)amino]methyl}propyl [(2-{[4-(1,3-oxazol-5-yl)phenyl]amino}-2-oxoethyl)thio]acetate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4-(2-furyl)-4-oxobutanoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(3-pyridin-2-yl-1,2,4-oxadiazol-5-yl)propanoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl [2-(acetylamino)-1,3-thiazol-4-yl]acetate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl [(4-methyl-4*H*-1,2,4-triazol-3-yl)thio](phenyl)acetate
(1*R*,2*S*)-2-amino-3-(4-chlorophenyl)-1-{[(3-methylbutyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4-(1,3-benzothiazol-2-yl)butanoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4-[(3-chloro-4-fluorophenyl)amino]-4-oxobutanoate
(1*R*,2*S*)-2-amino-3-[3-(benzyloxy)-5-fluorophenyl]-1-{[(3-methylbutyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl [(2-oxo-2,3-dihydroquinazolin-4-yl)thio]acetate
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-3-(benzyloxy)-*N*-(3-ethylbenzyl)benzamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-[(1*S*)-2-hydroxy-1-methylethyl]-5-methyl-

N',*N'*-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-2-hydroxy-4-(pentafluorophenyl)butyl]-5-methyl-*N'*,*N'*-dipropyl-*N*-[3-(trifluoromethyl)benzyl]isophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-4-(4-hydroxyphenyl)-4-oxobutanamide
N-{(2*R*,3*S*)-3-amino-2-hydroxy-4-[3-(trifluoromethyl)phenyl]butyl}-3-[(dipropylamino)sulfonyl]-*N*-(3-methoxybenzyl)propanamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-3-(piperidin-3-ylsulfonyl)benzamide dihydrochloride
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-6-chloro-*N*-(3-ethylbenzyl)-4-hydroxyquinoline-2-carboxamide
N-[(2*R*,3*S*)-3-amino-2-hydroxy-4-(2-thienyl)butyl]-*N*-(3-methoxybenzyl)-*N'*,*N'*-dipropylpentanediamide
N-[(2*R*,3*S*)-3-amino-2-hydroxy-5-methylhexyl]-*N*-(3-methoxybenzyl)-5-methyl-*N'*,*N'*-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-2-(6-oxo-3-phenylpyridazin-1(6*H*)-yl)acetamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-3-{4-[(methylsulfonyl)amino]phenyl}propanamide
N^1-[(2*R*,3*S*)-3-amino-4-(4-fluoro-3-methylphenyl)-2-hydroxybutyl]-N^1-(3-methoxybenzyl)-N^3,N^3-dipropylbenzene-1,3,5-tricarboxamide
N-[(2*R*,3*S*)-3-amino-2-hydroxy-4-(4-methylphenyl)butyl]-*N*-benzyl-5-methyl-*N'*,*N'*-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-

hydroxybutyl]-3-(2-chlorophenoxy)-*N*-(3-iodobenzyl)propanamide
N^1-[(2*R*,3*S*)-3-amino-4-(4-fluorophenyl)-2-hydroxybutyl]-*N*^{1}-(3-methylbutyl)-*N*^{3},*N*^{3}-dipropylbenzene-1,3,5-tricarboxamide
N-{(2*R*,3*S*)-3-amino-4-[3-(benzyloxy)-5-fluorophenyl]-2-hydroxybutyl}-3-[(dipropylamino)sulfonyl]-*N*-(3-methoxybenzyl)propanamide hydrochloride
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-4-(4-methylphenyl)-4-oxobutanamide
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4-oxo-4-{[3-(trifluoromethyl)phenyl]amino}butanoate
N-[(2*R*,3*S*)-3-amino-4-(1,3-benzodioxol-5-yl)-2-hydroxybutyl]-*N*-(3-methoxybenzyl)-5-methyl-*N*',*N*'-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-2-hydroxy-4-(3-methylphenyl)butyl]-3-[(dipropylamino)sulfonyl]-*N*-(3-methoxybenzyl)propanamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)isoxazole-5-carboxamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-2-(3,5-dimethoxyphenoxy)-*N*-(3-ethylbenzyl)acetamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-4-(2,5-dimethyl-1*H*-pyrrol-1-yl)-*N*-(3-ethylbenzyl)-3-hydroxybenzamide
N-[(2*R*,3*S*)-3-amino-4-(3-bromophenyl)-2-hydroxybutyl]-*N*-(3-methoxybenzyl)-*N*',*N*'-dipropylpentanediamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*'-[5-(cyclopentylmethyl)-1,3,4-thiadiazol-2-yl]-*N*-(3-ethylbenzyl)succinamide
N^1-{(2*R*,3*S*)-3-amino-2-hydroxy-4-[3-

(trifluoromethyl)phenyl]butyl}-*N*³-benzyl-*N*³,*N*³-dipropylbenzene-1,3,5-tricarboxamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-2-(3-oxo-1,2-benzisothiazol-2(3*H*)-yl)acetamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-methyl-*N*-[1-methyl-5-(pyrrolidin-1-ylcarbonyl)-1*H*-pyrrol-3-yl]-*N*',*N*'-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-4-(3,4-difluorophenyl)-*N*-(3-ethylbenzyl)-4-oxobutanamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-4-(2-naphthyl)-4-oxobutanamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-4,6-diethoxy-*N*-(3-ethylbenzyl)pyridine-2-carboxamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-4-(5-methyl-1*H*-pyrrol-2-yl)-4-oxobutanamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-3-({[2-(methylamino)ethyl]amino}sulfonyl)benzamide hydrochloride
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-methoxybenzyl)-3-methyl-5-(4-methylbenzoyl)benzamide
N-[(2*R*,3*S*)-3-amino-4-(1,3-benzodioxol-5-yl)-2-hydroxybutyl]-*N*-benzyl-5-methyl-*N*',*N*'-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-3-(piperazin-1-ylsulfonyl)benzamide hydrochloride
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-

hydroxybutyl]-*N*-{2-[4-(aminosulfonyl)phenyl]ethyl}-5-methyl-*N'*,*N'*-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-[2-hydroxy-1-(hydroxymethyl)ethyl]-5-methyl-*N'*,*N'*-dipropylisophthalamide
N^1-[(2*R*,3*S*)-3-amino-4-(4-fluoro-3-methylphenyl)-2-hydroxybutyl]-N^1-(3-methylbutyl)-N^3,N^3-dipropylbenzene-1,3,5-tricarboxamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-3-(3-oxo-2,1-benzisothiazol-1(3*H*)-yl)propanamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-2-(2,6-dihydroxypyrimidin-4-yl)-*N*-(3-ethylbenzyl)acetamide
N-{(2*R*,3*S*)-3-amino-2-hydroxy-4-[3-(trifluoromethyl)phenyl]butyl}-*N*-(3-methoxybenzyl)-*N'*,*N'*-dipropylpentanediamide
N-[(2*R*,3*S*)-3-amino-2-hydroxy-4-(4-hydroxyphenyl)butyl]-*N*-benzyl-3-[(dipropylamino)sulfonyl]propanamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-4-(3,4-difluorophenyl)-*N*-(3-ethylbenzyl)-2-methyl-4-oxobutanamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-*N'*-(2-pyridin-2-ylethyl)pentanediamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-2-[2-(4-fluorophenyl)-1,3-benzoxazol-5-yl]acetamide
N^2-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N^2-(anilinocarbonyl)-N^2-(3-ethylbenzyl)glycinamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-

hydroxybutyl]-2-(1,3-dithian-2-yl)-*N*-(3-ethylbenzyl)-3-furamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-2-[2-oxo-2-(propylamino)ethyl]benzamide
N-[(2*R*,3*S*)-3-amino-4-(3-bromophenyl)-2-hydroxybutyl]-*N*-benzyl-3-[(dipropylamino)sulfonyl]propanamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-3-(2-fluorophenyl)-*N*-(3-iodobenzyl)propanamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-5-methylthiophene-2-carboxamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-2-[4-(benzyloxy)phenyl]-*N*-(3-iodobenzyl)acetamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-2-[(5,7-dimethyl[1,2,4]triazolo[4,3-a]pyrimidin-3-yl)thio]-*N*-(3-ethylbenzyl)acetamide
N'-(1-acetyl-2,3-dihydro-1*H*-indol-7-yl)-*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)succinamide
N'-(3-acetylphenyl)-*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)pentanediamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-3-(4-chlorophenoxy)-*N*-(3-ethylbenzyl)-2-hydroxypropanamide
N^3-[(1*S*,2*R*)-3-(benzylamino)-1-(3-fluoro-4-methoxybenzyl)-2-hydroxypropyl]-N^1,N^1-dipropylbenzene-1,3,5-tricarboxamide
N^1-[(2*R*,3*S*)-3-amino-2-hydroxy-4-(3-methylphenyl)butyl]-N^1-benzyl-N^3,N^3-dipropylbenzene-1,3,5-tricarboxamide

N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-1*H*-indole-7-carboxamide
N^1-[(2*R*,3*S*)-3-amino-2-hydroxy-4-(3-methylphenyl)butyl]-N^1-(3-methylbutyl)-N^3,N^3-dipropylbenzene-1,3,5-tricarboxamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-4-(1,2,3-thiadiazol-4-yl)benzamide
N-{(2*R*,3*S*)-3-amino-4-[3-(benzyloxy)-5-fluorophenyl]-2-hydroxybutyl}-3-[(dipropylamino)sulfonyl]-*N*-(3-methoxybenzyl)propanamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-3-(4,4-dimethyl-2,5-dioxoimidazolidin-1-yl)-*N*-(3-ethylbenzyl)-2-{[(1-propylbutyl)sulfonyl]methyl}propanamide
N-[(2*R*,3*S*)-3-amino-2-hydroxy-4-(4-methylphenyl)butyl]-5-methyl-*N*-(3-methylbutyl)-*N*',*N*'-dipropylisophthalamide
N-{(2*R*,3*S*)-3-amino-4-[3-fluoro-5-(trifluoromethyl)phenyl]-2-hydroxybutyl}-*N*-benzyl-5-methyl-*N*',*N*'-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-2-[1-methyl-3-(methylthio)-1*H*-indol-2-yl]acetamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-dichlorophenyl)-2-hydroxybutyl]-5-methyl-*N*-(3-methylbutyl)-*N*',*N*'-dipropylisophthalamide
2-({2-[[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl](3-ethylbenzyl)amino]-2-oxoethyl}thio)-*N*-[4-(1,3-oxazol-5-yl)phenyl]acetamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-4-(2-furyl)-4-oxobutanamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-3-(3-pyridin-2-yl-1,2,4-

oxadiazol-5-yl)propanamide
2-[2-(acetylamino)-1,3-thiazol-4-yl]-*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)acetamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-2-[(4-methyl-4*H*-1,2,4-triazol-3-yl)thio]-2-phenylacetamide
N-[(2*R*,3*S*)-3-amino-4-(4-chlorophenyl)-2-hydroxybutyl]-5-methyl-*N*-(3-methylbutyl)-*N*',*N*'-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-4-(1,3-benzothiazol-2-yl)-*N*-(3-ethylbenzyl)butanamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*'-(3-chloro-4-fluorophenyl)-*N*-(3-ethylbenzyl)succinamide
N-{(2*R*,3*S*)-3-amino-4-[3-(benzyloxy)-5-fluorophenyl]-2-hydroxybutyl}-5-methyl-*N*-(3-methylbutyl)-*N*',*N*'-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-2-[(2-oxo-2,3-dihydroquinazolin-4-yl)thio]acetamide
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-methoxybenzyl)amino]methyl}propyl 3-methyl-5-(2-methylbenzoyl)benzoate
(1*R*,2*S*)-2-amino-3-(4-hydroxyphenyl)-1-{[(3-methoxybenzyl)amino]methyl}propyl 5-(dipropylamino)-5-oxopentanoate
(1*R*,2*S*)-2-amino-1-[(benzylamino)methyl]-3-(4-methylphenyl)propyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4-propoxybenzoate

(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 1-methyl-1*H*-indole-2-carboxylate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 5-chloro-2-(3-methyl-4*H*-1,2,4-triazol-4-yl)benzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4-(3,4-difluorophenyl)-2-methoxy-4-oxobutanoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl [3-(2-thienyl)-1*H*-pyrazol-1-yl]acetate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 5-anilino-5-oxopentanoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl (2-thioxo-1,3-benzothiazol-3(2*H*)-yl)acetate
(1*R*,2*S*)-2-amino-1-[(benzylamino)methyl]-3-cyclohexylpropyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-(4-methoxyphenyl)propyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl (3-hydroxy-4-methylphenyl)acetate
(1*R*,2*S*)-2-amino-3-[3-fluoro-5-(trifluoromethyl)phenyl]-1-{[(3-methylbutyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 7-fluoro-4*H*-imidazo[5,1-*c*][1,4]benzoxazine-3-carboxylate

(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4-(3,4-dihydro-2*H*-1,5-benzodioxepin-7-yl)-4-oxobutanoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 1-benzofuran-3-carboxylate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(3,4-dichlorophenyl)amino]-3-oxopropanoate
(1*R*,2*S*)-2-amino-1-[(benzylamino)methyl]-3-[3-fluoro-5-(trifluoromethyl)phenyl]propyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[(1*R*)-2-hydroxy-1-methylethyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-1-[(benzylamino)methyl]-3-(3-methylphenyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 5-oxo-5-(pyridin-3-ylamino)pentanoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 2-methyl-4-oxo-4*H*-chromene-6-carboxylate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl ({2-[(5-methylisoxazol-3-yl)amino]-2-oxoethyl}thio)acetate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[3-(1*H*-imidazol-1-yl)propyl]amino}methyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-[3-fluoro-5-(trifluoromethyl)phenyl]-1-{[(3-methoxybenzyl)amino]methyl}propyl 3-[(dipropylamino)sulfonyl]propanoate

(1*R*,2*S*)-2-amino-3-(4-hydroxyphenyl)-1-{[(3-methylbutyl)amino]methyl}propyl 3-[(dipropylamino)sulfonyl]propanoate
(1*R*,2*S*)-2-amino-3-(1,3-benzodioxol-5-yl)-1-{[(3-methylbutyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-1-{[(3-methylbutyl)amino]methyl}-3-(2-thienyl)propyl 3-[(dipropylamino)sulfonyl]propanoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4-[(2,2-dimethylpropanoyl)amino]-2-hydroxybenzoate
(1*R*,2*S*)-2-amino-3-(3-methoxyphenyl)-1-{[(3-methylbutyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(4-fluorophenyl)-1-({[3-(trifluoromethyl)benzyl]amino}methyl)propyl 3-{[(3-methoxybenzyl)amino]sulfonyl}benzoate
(1*R*,2*S*)-2-amino-1-{[(3-methylbutyl)amino]methyl}-3-[3-(trifluoromethyl)phenyl]propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 6-(2-furoylamino)hexanoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl [(1-phenyl-4,5-dihydro-1*H*-tetrazol-5-yl)thio]acetate
(1*S*,2*S*)-2-amino-3-phenyl-1-({[3-(trifluoromethyl)benzyl]amino}methyl)propyl 3-{[(3-methoxybenzyl)amino]sulfonyl}benzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4-(3,4-dihydro-2*H*-chromen-6-yl)-4-oxobutanoate
(1*R*,2*S*)-2-amino-3-(3-methoxyphenyl)-1-{[(3-

methylbutyl)amino]methyl}propyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate
(1*R*,2*S*)-2-amino-3-(3-fluoro-4-methylphenyl)-1-{[(3-methoxybenzyl)amino]methyl}propyl 5-(dipropylamino)-5-oxopentanoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl indolizine-2-carboxylate
(1*R*,2*S*)-2-amino-1-[(benzylamino)methyl]-3-[3-(trifluoromethoxy)phenyl]propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl nicotinate 1-oxide
(1*R*,2*S*)-2-amino-3-[3-(benzyloxy)-5-fluorophenyl]-1-{[(3-methylbutyl)amino]methyl}propyl 3-[(dipropylamino)sulfonyl]propanoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-iodobenzyl)amino]methyl}propyl [(aminocarbonyl)oxy]acetate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 2,3-dihydro-1*H*-cyclopenta[*b*]quinoline-9-carboxylate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-methyl-1*H*-pyrazole-5-carboxylate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 5-(benzoylamino)pentanoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4-[(methoxymethyl)thio]benzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(1,3-benzothiazol-2-yl)-3-methoxypropanoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-

ethylbenzyl)amino]methyl}propyl 3-{[(methylamino)carbonyl]amino}-3-(3-thienyl)propanoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 5-pyridin-2-ylthiophene-2-carboxylate
(1*R*,2*S*)-2-amino-1-[(benzylamino)methyl]-3-[3-(benzyloxy)-5-fluorophenyl]propyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl (5,6-dimethyl-2,4-dioxo-1,2,3,4-tetrahydropyridin-3-yl)acetate
(1*R*,2*S*)-2-amino-3-(3-fluoro-4-methoxyphenyl)-1-{[(3-methylbutyl)amino]methyl}propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 2-isobutyl-1,3-dioxoisoindoline-5-carboxylate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 5-(acetylamino)-2-furoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-[(4-methoxyphenyl)acetyl]glycinate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl isoquinoline-4-carboxylate
(1*R*,2*S*)-2-amino-3-[3-(benzyloxy)phenyl]-1-{[(3-methylbutyl)amino]methyl}propyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl (4-hydroxy-3-methoxyphenyl)acetate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl [(4-phenyl-4*H*-1,2,4-triazol-

3-yl)thio]acetate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl (3,5-dimethoxyphenyl)acetate
(1*R*,2*S*)-2-amino-1-[(benzylamino)methyl]-3-(3-methoxyphenyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl (2-ethyl-4*H*-[1,2,4]triazolo[1,5-a]benzimidazol-4-yl)acetate
(1*R*,2*S*)-2-amino-1-[(benzylamino)methyl]-3-(2-furyl)propyl 3-[(dipropylamino)carbonyl]-5-methylbenzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 7-chloro-1-benzofuran-2-carboxylate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 2-(1,3-dioxo-1,3-dihydro-2*H*-isoindol-2-yl)propanoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(2-oxo-2*H*-1,3-benzoxazin-3(4*H*)-yl)propanoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl (pyrimidin-2-ylthio)acetate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4-{[3-(aminocarbonyl)-4,5,6,7-tetrahydro-1-benzothien-2-yl]amino}-4-oxobutanoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl [(5-phenyl-1,3,4-oxadiazol-2-yl)thio]acetate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl quinoline-6-carboxylate
(1*R*,2*S*)-2-amino-1-[(benzylamino)methyl]-3-(2-furyl)propyl 3-(aminocarbonyl)-5-

[(dipropylamino)carbonyl]benzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4-(2,3-dihydro-1,4-benzodioxin-6-yl)-4-oxobutanoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(1*H*-indol-3-yl)-1*H*-pyrazole-5-carboxylate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 2-hydroxy-4-{[(methylamino)carbonothioyl]amino}benzoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 6-chloronicotinate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4-(3-hydroxyphenyl)-4-oxobutanoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl (phthalazin-1-ylthio)acetate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl [(1-oxidopyridin-2-yl)thio]acetate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(acetylamino)-5-fluoro-1*H*-indole-2-carboxylate
(1*S*,2*S*)-2-amino-3-phenyl-1-({[3-(trifluoromethyl)benzyl]amino}methyl)propyl 3-{[(3-chlorobenzyl)amino]sulfonyl}benzoate
(1*R*,2*S*)-2-amino-3-[4-(benzyloxy)phenyl]-1-{[(3-methoxybenzyl)amino]methyl}propyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate
(1*R*,2*S*)-2-amino-3-(1,3-benzodioxol-5-yl)-1-[(benzylamino)methyl]propyl 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate

(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4-(3,4-dichlorophenyl)-2-hydroxy-3-methyl-4-oxobutanoate
(1*R*,2*S*)-2-amino-1-{[(3-methylbutyl)amino]methyl}-3-[3-(trifluoromethoxy)phenyl]propyl 3-[(dipropylamino)sulfonyl]propanoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4-[(5-methyl-1,3,4-thiadiazol-2-yl)amino]-4-oxobutanoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl (2-ethyl-1*H*-benzimidazol-1-yl)acetate
(1*R*,2*S*)-2-amino-3-(1,3-benzodioxol-5-yl)-1-{[(3-methoxybenzyl)amino]methyl}propyl 3-[(dipropylamino)sulfonyl]propanoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(2-oxo-1,3-benzoxazol-3(2*H*)-yl)propanoate
(1*R*,2*S*)-2-amino-3-(3,5-dichlorophenyl)-1-{[(3-methylbutyl)amino]methyl}propyl 3-[(dipropylamino)sulfonyl]propanoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4-[(6-methylpyridin-2-yl)amino]-4-oxobutanoate
4-((1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl) 3-ethyl (4*R*)-1,3-oxazolidine-3,4-dicarboxylate
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-methoxybenzyl)-3-methyl-5-(2-methylbenzoyl)benzamide
N-[(2*R*,3*S*)-3-amino-2-hydroxy-4-(4-hydroxyphenyl)butyl]-*N*-(3-methoxybenzyl)-*N'*,*N'*-dipropylpentanediamide

N^3-[(2*R*,3*S*)-3-amino-2-hydroxy-4-(4-methylphenyl)butyl]-N^3-benzyl-N^3,N^3-dipropylbenzene-1,3,5-tricarboxamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-4-propoxybenzamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-1-methyl-1*H*-indole-2-carboxamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-5-chloro-*N*-(3-ethylbenzyl)-2-(3-methyl-4*H*-1,2,4-triazol-4-yl)benzamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-4-(3,4-difluorophenyl)-*N*-(3-ethylbenzyl)-2-methoxy-4-oxobutanamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-2-[3-(2-thienyl)-1*H*-pyrazol-1-yl]acetamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-*N*'-phenylpentanediamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-2-(2-thioxo-1,3-benzothiazol-3(2*H*)-yl)acetamide
N-[(2*R*,3*S*)-3-amino-4-cyclohexyl-2-hydroxybutyl]-*N*-benzyl-5-methyl-*N*',*N*'-dipropylisophthalamide
N^3-[(2*R*,3*S*)-3-amino-2-hydroxy-4-(4-methoxyphenyl)butyl]-N^3-(3-methoxybenzyl)-N^3,N^3-dipropylbenzene-1,3,5-tricarboxamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-2-(3-hydroxy-4-methylphenyl)acetamide
N-{(2*R*,3*S*)-3-amino-4-[3-fluoro-5-(trifluoromethyl)phenyl]-2-hydroxybutyl}-5-methyl-*N*-(3-methylbutyl)-*N*',*N*'-dipropylisophthalamide

N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-7-fluoro-4*H*-imidazo[5,1-c][1,4]benzoxazine-3-carboxamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-4-(3,4-dihydro-2*H*-1,5-benzodioxepin-7-yl)-*N*-(3-ethylbenzyl)-4-oxobutanamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-1-benzofuran-3-carboxamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*'-(3,4-dichlorophenyl)-*N*-(3-ethylbenzyl)malonamide
N^1-{(2*R*,3*S*)-3-amino-4-[3-fluoro-5-(trifluoromethyl)phenyl]-2-hydroxybutyl}-N^1-benzyl-N^3,N^3-dipropylbenzene-1,3,5-tricarboxamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-[(1*R*)-2-hydroxy-1-methylethyl]-5-methyl-*N*',*N*'-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-2-hydroxy-4-(3-methylphenyl)butyl]-*N*-benzyl-5-methyl-*N*',*N*'-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-*N*'-pyridin-3-ylpentanediamide
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 2-methyl-4-oxo-4*H*-chromene-6-carboxylate
2-({2-[[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl](3-ethylbenzyl)amino]-2-oxoethyl}thio)-*N*-(5-methylisoxazol-3-yl)acetamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-[3-(1*H*-imidazol-1-yl)propyl]-5-methyl-*N*',*N*'-dipropylisophthalamide
N-{(2*R*,3*S*)-3-amino-4-[3-fluoro-5-

(trifluoromethyl)phenyl]-2-hydroxybutyl}-3-[(dipropylamino)sulfonyl]-*N*-(3-methoxybenzyl)propanamide
N-[(2*R*,3*S*)-3-amino-2-hydroxy-4-(4-hydroxyphenyl)butyl]-3-[(dipropylamino)sulfonyl]-*N*-(3-methylbutyl)propanamide
N-[(2*R*,3*S*)-3-amino-4-(1,3-benzodioxol-5-yl)-2-hydroxybutyl]-5-methyl-*N*-(3-methylbutyl)-*N'*,*N'*-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-2-hydroxy-4-(2-thienyl)butyl]-3-[(dipropylamino)sulfonyl]-*N*-(3-methylbutyl)propanamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-4-[(2,2-dimethylpropanoyl)amino]-*N*-(3-ethylbenzyl)-2-hydroxybenzamide
N-[(2*R*,3*S*)-3-amino-2-hydroxy-4-(3-methoxyphenyl)butyl]-5-methyl-*N*-(3-methylbutyl)-*N'*,*N'*-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(4-fluorophenyl)-2-hydroxybutyl]-3-{[(3-methoxybenzyl)amino]sulfonyl}-*N*-[3-(trifluoromethyl)benzyl]benzamide
N-{(2*R*,3*S*)-3-amino-2-hydroxy-4-[3-(trifluoromethyl)phenyl]butyl}-5-methyl-*N*-(3-methylbutyl)-*N'*,*N'*-dipropylisophthalamide
N-{6-[[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl](3-ethylbenzyl)amino]-6-oxohexyl}-2-furamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-2-[(1-phenyl-4,5-dihydro-1*H*-tetrazol-5-yl)thio]acetamide
N-[(2*S*,3*S*)-3-amino-2-hydroxy-4-phenylbutyl]-3-{[(3-methoxybenzyl)amino]sulfonyl}-*N*-[3-(trifluoromethyl)benzyl]benzamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-4-(3,4-dihydro-2*H*-chromen-6-yl)-*N*-(3-ethylbenzyl)-4-oxobutanamide
N-[(2*R*,3*S*)-3-amino-2-hydroxy-4-(3-

methoxyphenyl)butyl]-*N*'-(3-methylbutyl)-*N*',*N*'-dipropylbenzene-1,3,5-tricarboxamide
N-[(2*R*,3*S*)-3-amino-4-(3-fluoro-4-methylphenyl)-2-hydroxybutyl]-*N*-(3-methoxybenzyl)-*N*',*N*'-dipropylpentanediamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)indolizine-2-carboxamide
N-{(2*R*,3*S*)-3-amino-2-hydroxy-4-[3-(trifluoromethoxy)phenyl]butyl}-*N*-benzyl-5-methyl-*N*',*N*'-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)nicotinamide 1-oxide
N-{(2*R*,3*S*)-3-amino-4-[3-(benzyloxy)-5-fluorophenyl]-2-hydroxybutyl}-3-[(dipropylamino)sulfonyl]-*N*-(3-methylbutyl)propanamide
2-[[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl](3-iodobenzyl)amino]-2-oxoethyl carbamate
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-2,3-dihydro-1*H*-cyclopenta[*b*]quinoline-9-carboxamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-3-methyl-1*H*-pyrazole-5-carboxamide
N-{5-[[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl](3-ethylbenzyl)amino]-5-oxopentyl}benzamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-4-[(methoxymethyl)thio]benzamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-3-(1,3-benzothiazol-2-yl)-*N*-(3-ethylbenzyl)-3-methoxypropanamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-

hydroxybutyl]-*N*-(3-ethylbenzyl)-3-{[(methylamino)carbonyl]amino}-3-(3-thienyl)propanamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-5-pyridin-2-ylthiophene-2-carboxamide
N^1-{(2*R*,3*S*)-3-amino-4-[3-(benzyloxy)-5-fluorophenyl]-2-hydroxybutyl}-N^1-benzyl-N^3,N^3-dipropylbenzene-1,3,5-tricarboxamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-2-(5,6-dimethyl-2,4-dioxo-1,2,3,4-tetrahydropyridin-3-yl)-*N*-(3-ethylbenzyl)acetamide
N-[(2*R*,3*S*)-3-amino-4-(3-fluoro-4-methoxyphenyl)-2-hydroxybutyl]-5-methyl-*N*-(3-methylbutyl)-*N'*,*N'*-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-2-isobutyl-1,3-dioxoisoindoline-5-carboxamide
5-(acetylamino)-*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-2-furamide
N^2-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N^2-(3-ethylbenzyl)-N^3-[(4-methoxyphenyl)acetyl]glycinamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)isoquinoline-4-carboxamide
N^1-{(2*R*,3*S*)-3-amino-4-[3-(benzyloxy)phenyl]-2-hydroxybutyl}-N^1-(3-methylbutyl)-N^3,N^3-dipropylbenzene-1,3,5-tricarboxamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-2-(4-hydroxy-3-methoxyphenyl)acetamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-2-[(4-phenyl-4*H*-1,2,4-

triazol-3-yl)thio]acetamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-2-(3,5-dimethoxyphenyl)-*N*-(3-ethylbenzyl)acetamide
N-[(2*R*,3*S*)-3-amino-2-hydroxy-4-(3-methoxyphenyl)butyl]-*N*-benzyl-5-methyl-*N'*,*N'*-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-2-(2-ethyl-4*H*-[1,2,4]triazolo[1,5-*a*]benzimidazol-4-yl)acetamide
N-[(2*R*,3*S*)-3-amino-4-(2-furyl)-2-hydroxybutyl]-*N*-benzyl-5-methyl-*N'*,*N'*-dipropylisophthalamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-7-chloro-*N*-(3-ethylbenzyl)-1-benzofuran-2-carboxamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-2-(1,3-dioxo-1,3-dihydro-2*H*-isoindol-2-yl)-*N*-(3-ethylbenzyl)propanamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-3-(2-oxo-2*H*-1,3-benzoxazin-3(4*H*)-yl)propanamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-2-(pyrimidin-2-ylthio)acetamide
N'-[3-(aminocarbonyl)-4,5,6,7-tetrahydro-1-benzothien-2-yl]-*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)succinamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-2-[(5-phenyl-1,3,4-oxadiazol-2-yl)thio]acetamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)quinoline-6-carboxamide
N^1-[(2*R*,3*S*)-3-amino-4-(2-furyl)-2-hydroxybutyl]-*N*^{1}-

benzyl-N^3,N^3-dipropylbenzene-1,3,5-tricarboxamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-4-(2,3-dihydro-1,4-benzodioxin-6-yl)-*N*-(3-ethylbenzyl)-4-oxobutanamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-3-(1*H*-indol-3-yl)-1*H*-pyrazole-5-carboxamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-2-hydroxy-4-{[(methylamino)carbonothioyl]amino}benzamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-6-chloro-*N*-(3-ethylbenzyl)nicotinamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-4-(3-hydroxyphenyl)-4-oxobutanamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-2-(phthalazin-1-ylthio)acetamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-2-[(1-oxidopyridin-2-yl)thio]acetamide
3-(acetylamino)-*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-5-fluoro-1*H*-indole-2-carboxamide
N-[(2*S*,3*S*)-3-amino-2-hydroxy-4-phenylbutyl]-3-{[(3-chlorobenzyl)amino]sulfonyl}-*N*-[3-(trifluoromethyl)benzyl]benzamide
N^1-{(2*R*,3*S*)-3-amino-4-[4-(benzyloxy)phenyl]-2-hydroxybutyl}-N^1-(3-methoxybenzyl)-N^3,N^3-dipropylbenzene-1,3,5-tricarboxamide
N^1-[(2*R*,3*S*)-3-amino-4-(1,3-benzodioxol-5-yl)-2-hydroxybutyl]-N^1-benzyl-N^3,N^3-dipropylbenzene-1,3,5-

tricarboxamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-4-(3,4-dichlorophenyl)-*N*-(3-ethylbenzyl)-2-hydroxy-3-methyl-4-oxobutanamide
N-{(2*R*,3*S*)-3-amino-2-hydroxy-4-[3-(trifluoromethoxy)phenyl]butyl}-3-[(dipropylamino)sulfonyl]-*N*-(3-methylbutyl)propanamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-*N*'-(5-methyl-1,3,4-thiadiazol-2-yl)succinamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-2-(2-ethyl-1*H*-benzimidazol-1-yl)-*N*-(3-ethylbenzyl)acetamide
N-[(2*R*,3*S*)-3-amino-4-(1,3-benzodioxol-5-yl)-2-hydroxybutyl]-3-[(dipropylamino)sulfonyl]-*N*-(3-methoxybenzyl)propanamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-3-(2-oxo-1,3-benzoxazol-3(2*H*)-yl)propanamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-dichlorophenyl)-2-hydroxybutyl]-3-[(dipropylamino)sulfonyl]-*N*-(3-methylbutyl)propanamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-*N*'-(6-methylpyridin-2-yl)succinamide
ethyl (4*R*)-4-{[[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl](3-ethylbenzyl)amino]carbonyl}-1,3-oxazolidine-3-carboxylate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(butylsulfinyl)-*N*-(methoxycarbonyl)-D-alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-

ethylbenzyl)amino]methyl}propyl *S*-butyl-*N*-(methoxycarbonyl)-D-cysteinate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-[(benzyloxy)carbonyl]-3-[(4,4,4-trifluorobutyl)sulfonyl]-D-alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-[(benzyloxy)carbonyl]-3-[(4,4,4-trifluorobutyl)sulfinyl]-D-alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-[(benzyloxy)carbonyl]-*S*-(4,4,4-trifluorobutyl)-D-cysteinate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(butylsulfonyl)-*N*-(methoxycarbonyl)-D-alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(butylsulfonyl)-*N*-[(2,2,2-trifluoroethoxy)carbonyl]-D-alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(butylsulfonyl)-*N*-[(2-cyanoethoxy)carbonyl]-D-alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(butylsulfonyl)-*N*-{[(3*R*)-pyrrolidin-3-yloxy]carbonyl}-D-alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(butylsulfonyl)-*N*-{[(3*S*)-tetrahydrofuran-3-yloxy]carbonyl}-D-alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-{[2-(acetylamino)ethoxy]carbonyl}-3-(butylsulfonyl)-D-alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(butylsulfonyl)-*N*-[(pyridin-3-ylmethoxy)carbonyl]-D-alaninate

(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(butylsulfonyl)-*N*-[(pyridin-4-ylmethoxy)carbonyl]-D-alaninate
(1*R*,2*S*)-2-amino-1-[(cyclopropylamino)methyl]-3-(3,5-difluorophenyl)propyl 3-(butylsulfonyl)-*N*-(methoxycarbonyl)-D-alaninate
(1*R*,2*S*)-2-amino-1-[(cyclopropylamino)methyl]-3-(3,5-difluorophenyl)propyl 3-(butylsulfonyl)-*N*-[(2-cyanoethoxy)carbonyl]-D-alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-methylbutyl)amino]methyl}propyl *N*-[(benzyloxy)carbonyl]-3-(butylsulfonyl)-D-alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-methylbutyl)amino]methyl}propyl 3-(butylsulfonyl)-*N*-(methoxycarbonyl)-D-alaninate
(1*R*,2*S*)-2-amino-1-[(cyclopropylamino)methyl]-3-(3,5-difluorophenyl)propyl *N*-[(2-cyanoethoxy)carbonyl]-3-[(1-propylbutyl)sulfonyl]-D-alaninate
(1*R*,2*S*)-2-amino-1-[(cyclopropylamino)methyl]-3-(3,5-difluorophenyl)propyl *N*-{[2-(acetylamino)ethoxy]carbonyl}-3-[(1-propylbutyl)sulfonyl]-D-alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-methylbutyl)amino]methyl}propyl *N*-(methoxycarbonyl)-3-[(1-propylbutyl)sulfonyl]-D-alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-methylbutyl)amino]methyl}propyl *N*-[(benzyloxy)carbonyl]-3-[(1-propylbutyl)sulfonyl]-D-alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-{[2-(diethylamino)-2-oxoethoxy]carbonyl}-3-[(1-propylbutyl)sulfonyl]-D-alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-(methoxycarbonyl)-3-[(1-propylbutyl)sulfonyl]-D-alaninate

(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-(isopropoxycarbonyl)-3-[(1-propylbutyl)sulfonyl]-D-alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-[(cyclopropylmethoxy)carbonyl]-3-[(1-propylbutyl)sulfonyl]-D-alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-[(allyloxy)carbonyl]-3-[(1-propylbutyl)sulfonyl]-D-alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-[(2-cyanoethoxy)carbonyl]-3-[(1-propylbutyl)sulfonyl]-D-alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-{[2-(acetylamino)ethoxy]carbonyl}-3-[(1-propylbutyl)sulfonyl]-D-alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(1-propylbutyl)sulfonyl]-*N*-[(pyridin-3-ylmethoxy)carbonyl]-D-alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(1-propylbutyl)sulfonyl]-*N*-[(pyridin-4-ylmethoxy)carbonyl]-D-alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl (2*R*)-2-{[(benzyloxy)carbonyl]amino}-4-(methylsulfonyl)butanoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-[(benzyloxy)carbonyl]-3-(butylsulfonyl)-D-alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-[(benzyloxy)carbonyl]-3-(butylsulfonyl)-L-alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[(1*R*)-2-

hydroxy-1-phenylethyl]amino}methyl)propyl *N*-[(benzyloxy)carbonyl]-3-[(1-propylbutyl)sulfonyl]-D-alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[(1*R*)-2-methoxy-1-phenylethyl]amino}methyl)propyl *N*-[(benzyloxy)carbonyl]-3-[(1-propylbutyl)sulfonyl]-D-alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[(1*S*)-2-methoxy-1-phenylethyl]amino}methyl)propyl *N*-[(benzyloxy)carbonyl]-3-[(1-propylbutyl)sulfonyl]-D-alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[1-(3-ethylphenyl)cyclopropyl]amino}methyl)propyl *N*-[(benzyloxy)carbonyl]-3-[(1-propylbutyl)sulfonyl]-D-alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(1-propylbutyl)sulfonyl]-*N*-[(prop-2-yn-1-yloxy)carbonyl]-D-alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-[(2-methoxyethoxy)carbonyl]-3-[(1-propylbutyl)sulfonyl]-D-alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-({[(3*R*)-1-acetylpyrrolidin-3-yl]oxy}carbonyl)-3-[(1-propylbutyl)sulfonyl]-D-alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(1-propylbutyl)sulfonyl]-*N*-{[(3*S*)-tetrahydrofuran-3-yloxy]carbonyl}-D-alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(1-propylbutyl)sulfonyl]-*N*-{[(3*S*)-tetrahydrofuran-3-yloxy]carbonyl}-L-alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-

ethylbenzyl)amino]methyl}propyl *N*-[(benzyloxy)carbonyl]-3-[(1-propylbutyl)sulfonyl]-D-alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-[(benzyloxy)carbonyl]-3-[(1-propylbutyl)sulfonyl]-L-alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[1-(3-ethylphenyl)cyclopropyl]amino}methyl)propyl *N*-[(benzyloxy)carbonyl]-3-[(1-propylbutyl)sulfonyl]alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-[(benzyloxy)carbonyl]-3-[(1-propylbutyl)sulfonyl]alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-methylbutyl)amino]methyl}propyl *N*-[(benzyloxy)carbonyl]-3-[(1-propylbutyl)sulfonyl]alaninate
(1*R*,2*S*)-2-amino-1-[(cyclopropylamino)methyl]-3-(3,5-difluorophenyl)propyl *N*-[(benzyloxy)carbonyl]-3-[(1-propylbutyl)sulfonyl]alaninate
(1*R*,2*S*)-2-amino-1-{[(cyclopropylmethyl)amino]methyl}-3-(3,5-difluorophenyl)propyl *N*-[(benzyloxy)carbonyl]-3-[(1-propylbutyl)sulfonyl]alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylphenyl)amino]methyl}propyl *N*-[(benzyloxy)carbonyl]-3-[(1-propylbutyl)sulfonyl]alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-[({2-[3-(trifluoromethyl)phenyl]ethyl}amino)methyl]propyl *N*-[(benzyloxy)carbonyl]-3-[(1-propylbutyl)sulfonyl]alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(1-propylbutyl)sulfonyl]-*N*-[(pyridin-3-ylmethoxy)carbonyl]alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(1-propylbutyl)sulfonyl]-*N*-{[(3*S*)-tetrahydrofuran-3-yloxy]carbonyl}alaninate

(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(1-propylbutyl)sulfonyl]-*N*-{[(3*R*)-tetrahydrofuran-3-yloxy]carbonyl}alaninate
(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl 3-[(1-propylbutyl)sulfonyl]-*N*-{[(3*S*)-tetrahydrofuran-3-yloxy]carbonyl}alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-({[(3*R*)-1-acetylpyrrolidin-3-yl]oxy}carbonyl)-3-[(1-propylbutyl)sulfonyl]alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(1-propylbutyl)sulfonyl]-*N*-{[(3*R*)-pyrrolidin-3-yloxy]carbonyl}alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-({[(3*R*)-1-benzylpyrrolidin-3-yl]oxy}carbonyl)-3-[(1-propylbutyl)sulfonyl]alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-({[(3*R*)-1,1-dioxidotetrahydro-3-thienyl]oxy}carbonyl)-3-[(1-propylbutyl)sulfonyl]alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(1-propylbutyl)sulfonyl]-*N*-{[(3*R*)-tetrahydro-3-thienyloxy]carbonyl}alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-[(cyclopentyloxy)carbonyl]-3-[(1-propylbutyl)sulfonyl]alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-[(cyclohexyloxy)carbonyl]-3-[(1-propylbutyl)sulfonyl]alaninate
(1*R*,2*S*)-2-amino-1-[(cyclopropylamino)methyl]-3-(3,5-difluorophenyl)propyl 3-[(1-propylbutyl)sulfonyl]-*N*-

[(tetrahydro-2*H*-pyran-4-yloxy)carbonyl]alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-({[1-(methylsulfonyl)piperidin-4-yl]oxy}carbonyl)-3-[(1-propylbutyl)sulfonyl]alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-{[(1-acetylpiperidin-4-yl)oxy]carbonyl}-3-[(1-propylbutyl)sulfonyl]alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-({[(2*R*)-5-oxopyrrolidin-2-yl]methoxy}carbonyl)-3-[(1-propylbutyl)sulfonyl]alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-({[(2*S*)-5-oxopyrrolidin-2-yl]methoxy}carbonyl)-3-[(1-propylbutyl)sulfonyl]alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-[(2-methoxyethoxy)carbonyl]-3-[(1-propylbutyl)sulfonyl]alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-[(benzyloxy)carbonyl]-3-(butylsulfonyl)alaninate
(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl *N*-[(benzyloxy)carbonyl]-3-[(1-propylbutyl)sulfonyl]alaninate
N-{(1*S*,2*R*)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-hydroxy-4-(phenylsulfonyl)butanamide hydrochloride
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl N^2-[(benzyloxy)carbonyl]-N^5,N^5-dipropyl-L-glutaminate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl N^2-[(benzyloxy)carbonyl]-N^5,N^5-dipropyl-D-glutaminate

(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(butylsulfonyl)-*N*-(3,3,3-trifluoropropanoyl)-D-alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(butylsulfonyl)-*N*-(trifluoroacetyl)-D-alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-acetyl-3-(butylsulfonyl)-D-alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(butylsulfonyl)-*N*-isonicotinoyl-D-alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(butylsulfonyl)-*N*-(cyclopropylcarbonyl)-D-alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl β-alanyl-3-(butylsulfonyl)-D-alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl glycyl-3-(butylsulfonyl)-D-alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*,*N*-dimethylglycyl-3-(butylsulfonyl)-D-alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*,*N*-dimethyl-β-alanyl-3-(butylsulfonyl)-D-alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(butylsulfonyl)-*N*-(methoxyacetyl)-D-alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(butylsulfonyl)-*N*-

(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(butylsulfonyl)-*N*-[(2,4-dimethyl-1,3-thiazol-5-yl)carbonyl]-D-alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(butylsulfonyl)-*N*-{[3-(trifluoromethyl)-1*H*-pyrazol-4-yl]carbonyl}-D-alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(butylsulfonyl)-*N*-[(3-methyl-1*H*-pyrazol-5-yl)carbonyl]-D-alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(butylsulfonyl)-*N*-(1*H*-imidazol-4-ylcarbonyl)-D-alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl (2*R*)-5-hydroxy-2-[(methoxycarbonyl)amino]nonanoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(butylsulfonyl)-*N*-[(6-hydroxypyridin-3-yl)carbonyl]-D-alaninate
(1*R*,2*S*)-2-amino-1-[(cyclopropylamino)methyl]-3-(3,5-difluorophenyl)propyl 3-(butylsulfonyl)-*N*-(pyridin-3-ylcarbonyl)-D-alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-methylbutyl)amino]methyl}propyl *N*-acetyl-3-(butylsulfonyl)-D-alaninate
(1*R*,2*S*)-2-amino-1-[(cyclopropylamino)methyl]-3-(3,5-difluorophenyl)propyl *N*-(cyclopropylcarbonyl)-3-[(1-propylbutyl)sulfonyl]-D-alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-methylbutyl)amino]methyl}propyl *N*-acetyl-3-[(1-propylbutyl)sulfonyl]-D-alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-isonicotinoyl-3-[(1-propylbutyl)sulfonyl]-D-alaninate

(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-[(5-bromopyridin-3-yl)carbonyl]-3-[(1-propylbutyl)sulfonyl]-D-alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-[(5-chloropyridin-3-yl)carbonyl]-3-[(1-propylbutyl)sulfonyl]-D-alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-(3-fluorobenzoyl)-3-[(1-propylbutyl)sulfonyl]-D-alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-[(5-methylpyridin-3-yl)carbonyl]-3-[(1-propylbutyl)sulfonyl]-D-alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-phenylglycyl-3-[(1-propylbutyl)sulfonyl]-D-alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(1-propylbutyl)sulfonyl]-*N*-{[3-(trifluoromethyl)-1*H*-pyrazol-4-yl]carbonyl}-D-alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-[(3-methyl-1*H*-pyrazol-5-yl)carbonyl]-3-[(1-propylbutyl)sulfonyl]-D-alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(1-propylbutyl)sulfonyl]-*N*-(1,3-thiazol-4-ylcarbonyl)-D-alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-[(1-acetylpiperidin-4-yl)carbonyl]-3-[(1-propylbutyl)sulfonyl]-D-alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-[4-(acetylamino)butanoyl]-3-[(1-propylbutyl)sulfonyl]-D-alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-acetyl-□-alanyl-3-[(1-

propylbutyl)sulfonyl]-D-alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-(chloroacetyl)-3-[(1-propylbutyl)sulfonyl]-D-alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-(methoxyacetyl)-3-[(1-propylbutyl)sulfonyl]-D-alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-(3-methoxypropanoyl)-3-[(1-propylbutyl)sulfonyl]-D-alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-(2,2-dimethylpropanoyl)-3-[(1-propylbutyl)sulfonyl]-D-alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-isobutyryl-3-[(1-propylbutyl)sulfonyl]-D-alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-butyryl-3-[(1-propylbutyl)sulfonyl]-D-alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-acetyl-3-[(1-propylbutyl)sulfonyl]-D-alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[1-(3-ethylphenyl)cyclopropyl]amino}methyl)propyl 3-[(1-propylbutyl)sulfonyl]-*N*-(pyridin-3-ylcarbonyl)-D-alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[1-(3-ethylphenyl)cyclopropyl]amino}methyl)propyl *N*-isonicotinoyl-3-[(1-propylbutyl)sulfonyl]-D-alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[1-(3-ethylphenyl)cyclopropyl]amino}methyl)propyl *N*-(3-hydroxybenzoyl)-3-[(1-propylbutyl)sulfonyl]-D-alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-

ethylbenzyl)amino]methyl}propyl 3-[(1-propylbutyl)sulfonyl]-*N*-(pyridin-3-ylcarbonyl)-D-alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-(3-hydroxybenzoyl)-3-[(1-propylbutyl)sulfonyl]-D-alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-(cyclopropylcarbonyl)-3-[(1-propylbutyl)sulfonyl]-D-alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-propionyl-3-[(1-propylbutyl)sulfonyl]-D-alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(butylsulfonyl)-*N*-(pyridin-3-ylcarbonyl)alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[1-(3-ethylphenyl)cyclopropyl]amino}methyl)propyl *N*-(3-hydroxybenzoyl)-3-[(1-propylbutyl)sulfonyl]alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[1-(3-ethylphenyl)cyclopropyl]amino}methyl)propyl *N*-isonicotinoyl-3-[(1-propylbutyl)sulfonyl]alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-[(6-oxo-1,4,5,6-tetrahydropyridazin-3-yl)carbonyl]-3-[(1-propylbutyl)sulfonyl]alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 5-oxo-D-prolyl-3-[(1-propylbutyl)sulfonyl]alaninate hydrochloride
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 5-oxo-L-prolyl-3-[(1-propylbutyl)sulfonyl]alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-[3-(4-oxo-2-thioxo-1,3-thiazolidin-3-yl)propanoyl]-3-[(1-

propylbutyl)sulfonyl]alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-(piperidin-4-ylcarbonyl)-3-[(1-propylbutyl)sulfonyl]alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-[(2,4-dimethyl-1,3-thiazol-5-yl)carbonyl]-3-[(1-propylbutyl)sulfonyl]alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-{[2-methyl-4-(trifluoromethyl)-1,3-thiazol-5-yl]carbonyl}-3-[(1-propylbutyl)sulfonyl]alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-[(3,5-dimethylisoxazol-4-yl)carbonyl]-3-[(1-propylbutyl)sulfonyl]alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-[(3-methyl-1*H*-pyrazol-5-yl)carbonyl]-3-[(1-propylbutyl)sulfonyl]alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(1-propylbutyl)sulfonyl]-*N*-(1*H*-pyrazol-4-ylcarbonyl)alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-(1*H*-imidazol-5-ylcarbonyl)-3-[(1-propylbutyl)sulfonyl]alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-(1*H*-imidazol-4-ylacetyl)-3-[(1-propylbutyl)sulfonyl]alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(1-propylbutyl)sulfonyl]-*N*-(pyrazin-2-ylcarbonyl)alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-(2,6-dihydroxyisonicotinoyl)-3-[(1-propylbutyl)sulfonyl]alaninate

(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-[(6-hydroxypyridin-3-yl)carbonyl]-3-[(1-propylbutyl)sulfonyl]alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-[(6-chloropyridin-3-yl)carbonyl]-3-[(1-propylbutyl)sulfonyl]alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-isonicotinoyl-3-[(1-propylbutyl)sulfonyl]alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(1-propylbutyl)sulfonyl]-*N*-(pyridin-3-ylcarbonyl)alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(1-propylbutyl)sulfonyl]-*N*-(pyridin-2-ylcarbonyl)alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-(1*H*-indol-6-ylcarbonyl)-3-[(1-propylbutyl)sulfonyl]alaninate hydrochloride
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(1-propylbutyl)sulfonyl]-*N*-(3,4,5-trimethoxybenzoyl)alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-(2-methylbenzoyl)-3-[(1-propylbutyl)sulfonyl]alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-(3-hydroxybenzoyl)-3-[(1-propylbutyl)sulfonyl]alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-(3-methylbenzoyl)-3-[(1-propylbutyl)sulfonyl]alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-(3-ethylbenzoyl)-3-[(1-propylbutyl)sulfonyl]alaninate

(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-(3-chlorobenzoyl)-3-[(1-propylbutyl)sulfonyl]alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(1-propylbutyl)sulfonyl]-*N*-[4-(trifluoromethyl)benzoyl]alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-(4-methoxybenzoyl)-3-[(1-propylbutyl)sulfonyl]alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(1-propylbutyl)sulfonyl]-*N*-[4-(trifluoromethyl)benzoyl]alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-(cyclohexylcarbonyl)-3-[(1-propylbutyl)sulfonyl]alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-benzoyl-3-[(1-propylbutyl)sulfonyl]alaninate
(1*R*,2*S*)-2-amino-1-[(cyclopropylamino)methyl]-3-(3,5-difluorophenyl)propyl *N*-benzoyl-3-[(1-propylbutyl)sulfonyl]alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-(phenylacetyl)-3-[(1-propylbutyl)sulfonyl]alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-(3-phenylpropanoyl)-3-[(1-propylbutyl)sulfonyl]alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(benzoylamino)-2-{[(1-propylbutyl)sulfonyl]methyl}propanoate
(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl *N*-(cyclopropylacetyl)-3-[(1-propylbutyl)sulfonyl]alaninate

(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl *N*-[(methylsulfonyl)acetyl]-3-[(1-propylbutyl)sulfonyl]alaninate
(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl *N*-[(methylthio)acetyl]-3-[(1-propylbutyl)sulfonyl]alaninate
(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl *N*-[4-(methylamino)-4-oxobutanoyl]-3-[(1-propylbutyl)sulfonyl]alaninate
(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl *N*-(methylsulfonyl)glycyl-3-[(1-propylbutyl)sulfonyl]alaninate
(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl *N*-acetyl-3-(phenylsulfonyl)alaninate
(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl (2*S*)-2-[(4-methoxy-4-oxobutanoyl)amino]-5-oxo-5-piperidin-1-ylpentanoate
(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl (2*R*)-2-{[(benzyloxy)carbonyl]amino}-5-oxo-5-piperidin-1-ylpentanoate
(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl (2*R*)-2-[(3-ethoxy-3-oxopropanoyl)amino]-5-oxo-5-piperidin-1-ylpentanoate
(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl N^5-(4-methoxy-4-oxobutanoyl)-N^5,N^5-dipropyl-D-glutaminate
(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl (2*R*)-2-[(4-methoxy-4-oxobutanoyl)amino]-5-oxo-5-piperidin-1-ylpentanoate
(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl (2*R*)-2-[(5-methoxy-5-oxopentanoyl)amino]-5-oxo-5-piperidin-1-ylpentanoate

(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 2-(acetyloxy)-3-(butylsulfonyl)propanoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *S*-butyl-D-cysteinate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(butylsulfinyl)-D-alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(butylsulfonyl)-D-alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(butylsulfonyl)-L-alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-methylbutyl)amino]methyl}propyl 3-(butylsulfonyl)-D-alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[1-(3-ethylphenyl)cyclopropyl]amino}methyl)propyl 3-[(1-propylbutyl)sulfonyl]-D-alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(1-propylbutyl)sulfonyl]-L-alaninate
(1*R*,2*S*)-2-amino-1-[(cyclopropylamino)methyl]-3-(3,5-difluorophenyl)propyl 3-[(1-propylbutyl)sulfonyl]-D-alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-methylbutyl)amino]methyl}propyl 3-[(1-propylbutyl)sulfonyl]-D-alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(1-propylbutyl)sulfonyl]-D-alaninate

(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(1-propylbutyl)sulfonyl]alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-(phenoxyacetyl)-3-[(1-propylbutyl)sulfonyl]alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-{[(5-chloro-2-thienyl)thio]peroxy}-3-[(1-propylbutyl)sulfonyl]alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl *N*-(phenylsulfonyl)-3-[(1-propylbutyl)sulfonyl]alaninate
(1*R*,2*S*)-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}-2-(methylamino)propyl *N*-[(benzylamino)carbonyl]-3-[(1-propylbutyl)sulfonyl]alaninate
4-{[(1*R*,2*S*)-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}-2-(methylamino)propyl]oxy}-4-oxo-3-{[(1-propylbutyl)sulfonyl]methyl}butanoic acid
4-[((1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl)oxy]-3-{[(3-methylbutyl)sulfonyl]methyl}-4-oxobutanoic acid
1-((1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl) 4-methyl 2-{[(3-methylbutyl)sulfonyl]methyl}succinate
(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl 4-amino-2-{[(3-methylbutyl)sulfonyl]methyl}-4-oxobutanoate
(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl 4-(methylamino)-2-{[(3-methylbutyl)sulfonyl]methyl}-4-oxobutanoate
(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl 4-(dimethylamino)-2-{[(3-methylbutyl)sulfonyl]methyl}-4-oxobutanoate

(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(4,4-dimethyl-2,5-dioxoimidazolidin-1-yl)-2-{[(1-propylbutyl)sulfonyl]methyl}propanoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(4,4-dimethyl-2,5-dioxoimidazolidin-1-yl)-2-{[(1-propylbutyl)sulfonyl]methyl}propanoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(4,4-dimethyl-2,5-dioxoimidazolidin-1-yl)-2-{[(1-propylbutyl)sulfonyl]methyl}propanoate
(1*R*,2*R*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl 3-(ethylsulfonyl)-2-{[(isobutylsulfonyl)amino]methyl}propanoate
(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl 3-(ethylthio)-2-{[(isobutylsulfonyl)amino]methyl}propanoate
(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl (2*S*)-2-{[(3-methylbutyl)sulfonyl]amino}-4-(methylsulfonyl)butanoate
(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl *N*-[(3-methylbutyl)sulfonyl]-L-methioninate
(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl 3-(acetylthio)-2-{[(3-methylbutyl)sulfonyl]methyl}propanoate
(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl 2-hydroxy-3-[(1-propylbutyl)sulfonyl]propanoate
(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl 2-hydroxy-3-[(3-methylbutyl)sulfonyl]propanoate
(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl 2-hydroxy-3-[(3-methoxyphenyl)sulfonyl]propanoate

(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 2-hydroxy-4-(phenylsulfonyl)butanoate
(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl 2-hydroxy-4-[(3-methylbutyl)sulfonyl]butanoate
(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl 4-[(3-methylbutyl)sulfonyl]-2-phenoxybutanoate
(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl 2-(3-methoxyphenoxy)-4-[(3-methylbutyl)sulfonyl]butanoate
3-{1-{[((1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl)oxy]carbonyl}-3-[(3-methylbutyl)sulfonyl]propoxy}benzoic acid
methyl 3-{1-{[((1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl)oxy]carbonyl}-3-[(3-methylbutyl)sulfonyl]propoxy}benzoate
(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl 2-hydroxy-4-(phenylsulfonyl)butanoate
(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl 2-hydroxy-4-(phenylthio)butanoate
(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl 2-methoxy-4-(phenylsulfonyl)butanoate
(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl 2-methoxy-4-(phenylthio)butanoate
(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl 4-(phenylsulfonyl)-2-propoxybutanoate
(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl 2-(benzyloxy)-4-(phenylsulfonyl)butanoate
(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl *N*-[(benzyloxy)carbonyl]methioninate
(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl (2*S*)-2-amino-5-oxo-5-piperidin-1-ylpentanoate

(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl (2*S*)-2-[(2-methoxy-2-oxoethyl)amino]-5-oxo-5-piperidin-1-ylpentanoate
(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl (2*R*)-2-amino-5-oxo-5-piperidin-1-ylpentanoate
(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl (2*R*)-2-[(2-ethoxy-2-oxoethyl)amino]-5-oxo-5-piperidin-1-ylpentanoate
(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl (2*R*)-2-[(4-ethoxy-4-oxobutyl)amino]-5-oxo-5-piperidin-1-ylpentanoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl (2*R*)-2-[(methoxycarbonyl)amino]-4-oxooctanoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4-butyl-*N*-(methoxycarbonyl)-D-homoserinate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(2-butyl-1,3-dioxolan-2-yl)-*N*-(methoxycarbonyl)-D-alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(2-butyl-1,3-dioxan-2-yl)-*N*-(methoxycarbonyl)-D-alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl (2*R*)-4,4-difluoro-2-[(methoxycarbonyl)amino]octanoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl (2*R*)-4-fluoro-2-[(methoxycarbonyl)amino]octanoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl (2*R*)-2-[(methoxycarbonyl)amino]-5-oxononanoate

(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl (2*R*)-5-hydroxy-2-[(methoxycarbonyl)amino]nonanoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl (2*R*)-4-(2-butyl-1,3-dioxolan-2-yl)-2-[(methoxycarbonyl)amino]butanoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl (2*R*)-4-(2-butyl-1,3-dioxan-2-yl)-2-[(methoxycarbonyl)amino]butanoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl (2*R*)-5-fluoro-2-[(methoxycarbonyl)amino]nonanoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl (2*R*)-5,5-difluoro-2-[(methoxycarbonyl)amino]nonanoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethynylbenzyl)amino]methyl}propyl 3-(butylsulfonyl)-*N*-(methoxycarbonyl)-D-alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[3-(trifluoromethyl)benzyl]amino}methyl)propyl 3-(butylsulfonyl)-*N*-(methoxycarbonyl)-D-alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[1-(3-ethylphenyl)cyclopropyl]amino}methyl)propyl 3-(butylsulfonyl)-*N*-(methoxycarbonyl)-D-alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[1-(3-ethynylphenyl)cyclopropyl]amino}methyl)propyl 3-(butylsulfonyl)-*N*-(methoxycarbonyl)-D-alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-[({1-[3-(trifluoromethyl)phenyl]cyclopropyl}amino)methyl]propyl 3-(butylsulfonyl)-*N*-(methoxycarbonyl)-D-alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethynylbenzyl)amino]methyl}propyl 3-(butylsulfonyl)-*N*-[(3-methyl-1*H*-pyrazol-5-yl)carbonyl]-D-alaninate

(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[3-(trifluoromethyl)benzyl]amino}methyl)propyl 3-(butylsulfonyl)-*N*-[(3-methyl-1*H*-pyrazol-5-yl)carbonyl]-D-alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[1-(3-ethylphenyl)cyclopropyl]amino}methyl)propyl 3-(butylsulfonyl)-*N*-[(3-methyl-1*H*-pyrazol-5-yl)carbonyl]-D-alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[1-(3-ethynylphenyl)cyclopropyl]amino}methyl)propyl 3-(butylsulfonyl)-*N*-[(3-methyl-1*H*-pyrazol-5-yl)carbonyl]-D-alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-[({1-[3-(trifluoromethyl)phenyl]cyclopropyl}amino)methyl]propyl 3-(butylsulfonyl)-*N*-[(3-methyl-1*H*-pyrazol-5-yl)carbonyl]-D-alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl (2*R*)-2-{[(methylamino)carbonyl]amino}-4-oxooctanoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 4-butyl-*N*-[(methylamino)carbonyl]-D-homoserinate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(2-butyl-1,3-dioxolan-2-yl)-*N*-[(methylamino)carbonyl]-D-alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(2-butyl-1,3-dioxan-2-yl)-*N*-[(methylamino)carbonyl]-D-alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl (2*R*)-4,4-difluoro-2-{[(methylamino)carbonyl]amino}octanoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl (2*R*)-4-fluoro-2-

{[(methylamino)carbonyl]amino}octanoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl (2*R*)-2-{[(methylamino)carbonyl]amino}-5-oxononanoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl (2*R*)-5-hydroxy-2-{[(methylamino)carbonyl]amino}nonanoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl (2*R*)-4-(2-butyl-1,3-dioxolan-2-yl)-2-{[(methylamino)carbonyl]amino}butanoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl (2*R*)-4-(2-butyl-1,3-dioxan-2-yl)-2-{[(methylamino)carbonyl]amino}butanoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl (2*R*)-5-fluoro-2-{[(methylamino)carbonyl]amino}nonanoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl (2*R*)-5,5-difluoro-2-{[(methylamino)carbonyl]amino}nonanoate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethynylbenzyl)amino]methyl}propyl 3-(butylsulfonyl)-*N*-[(methylamino)carbonyl]-D-alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[3-(trifluoromethyl)benzyl]amino}methyl)propyl 3-(butylsulfonyl)-*N*-[(methylamino)carbonyl]-D-alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[1-(3-ethylphenyl)cyclopropyl]amino}methyl)propyl 3-(butylsulfonyl)-*N*-[(methylamino)carbonyl]-D-alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[1-(3-ethynylphenyl)cyclopropyl]amino}methyl)propyl 3-(butylsulfonyl)-*N*-[(methylamino)carbonyl]-D-alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-[({1-[3-

(trifluoromethyl)phenyl]cyclopropyl}amino)methyl]propyl 3-(butylsulfonyl)-*N*-[(methylamino)carbonyl]-D-alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethynylbenzyl)amino]methyl}propyl 3-(butylsulfonyl)-*N*-[(4-methyl-1*H*-pyrazol-1-yl)carbonyl]-D-alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[3-(trifluoromethyl)benzyl]amino}methyl)propyl 3-(butylsulfonyl)-*N*-[(4-methyl-1*H*-pyrazol-1-yl)carbonyl]-D-alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[1-(3-ethylphenyl)cyclopropyl]amino}methyl)propyl 3-(butylsulfonyl)-*N*-[(4-methyl-1*H*-pyrazol-1-yl)carbonyl]-D-alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-({[1-(3-ethynylphenyl)cyclopropyl]amino}methyl)propyl 3-(butylsulfonyl)-*N*-[(4-methyl-1*H*-pyrazol-1-yl)carbonyl]-D-alaninate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-[({1-[3-(trifluoromethyl)phenyl]cyclopropyl}amino)methyl]propyl 3-(butylsulfonyl)-*N*-[(4-methyl-1*H*-pyrazol-1-yl)carbonyl]-D-alaninate
benzyl [(1*R*)-1-{[[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl](3-ethylbenzyl)amino]carbonyl}-3-(methylsulfonyl)propyl]carbamate
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-[(1-propylbutyl)sulfonyl]-*N*-[(tetrahydro-2*H*-pyran-4-yloxy)carbonyl]alaninate
S-(3-[[(2*R*,3*S*)-3-amino-2-hydroxy-4-phenylbutyl](3-methoxybenzyl)amino]-2-{[(3-methylbutyl)sulfonyl]methyl}-3-oxopropyl) ethanethioate
N-[(2*R*,3*S*)-3-amino-2-hydroxy-4-phenylbutyl]-*N*-(3-methoxybenzyl)-2-(3-methoxyphenoxy)-4-[(3-

methylbutyl)sulfonyl]butanamide
N^2-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-3-(butylsulfonyl)-N^1-(3-ethylbenzyl)-N^2-(3,3,3-trifluoropropanoyl)-D-alaninamide
N^2-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-3-(butylsulfonyl)-N^1-(3-ethylbenzyl)-N^2-(trifluoroacetyl)-D-alaninamide
N-[(2*R*,3*S*)-3-amino-2-hydroxy-4-phenylbutyl]-2-methoxy-*N*-(3-methoxybenzyl)-4-(phenylthio)butanamide
N^2-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-3-(butylsulfonyl)-N^2-(cyclopropylcarbonyl)-N^1-(3-ethylbenzyl)-D-alaninamide
β-alanyl-N^1-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-3-(butylsulfonyl)-N^1-(3-ethylbenzyl)-D-alaninamide
glycyl-N^1-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-3-(butylsulfonyl)-N^1-(3-ethylbenzyl)-D-alaninamide
(1*R*,2*S*)-2-amino-1-{[(3-methoxybenzyl)amino]methyl}-3-phenylpropyl (2*R*)-2-[(4-ethoxy-4-oxobutyl)amino]-5-oxo-5-piperidin-1-ylpentanoate
N,*N*-dimethyl-β-alanyl-N^1-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-3-(butylsulfonyl)-N^1-(3-ethylbenzyl)-D-alaninamide
N^2-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-3-(butylsulfonyl)-N^1-(3-ethylbenzyl)-N^2-(methoxyacetyl)-D-alaninamide
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(2-butyl-1,3-dioxan-2-yl)-*N*-(methoxycarbonyl)-D-alaninate
N^2-acetyl-N^1-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-3-(butylsulfonyl)-N^1-(3-methylbutyl)-D-

alaninamide
N^1-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N^1-cyclopropyl-N^2-(cyclopropylcarbonyl)-3-[(1-propylbutyl)sulfonyl]-D-alaninamide
N^2-acetyl-N^1-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N^1-(3-methylbutyl)-3-[(1-propylbutyl)sulfonyl]-D-alaninamide
N-phenylglycyl-N^1-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N^1-(3-ethylbenzyl)-3-[(1-propylbutyl)sulfonyl]-D-alaninamide
N-acetyl-β-alanyl-N^1-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N^1-(3-ethylbenzyl)-3-[(1-propylbutyl)sulfonyl]-D-alaninamide
N^1-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N^2-(chloroacetyl)-N^1-(3-ethylbenzyl)-3-[(1-propylbutyl)sulfonyl]-D-alaninamide
N^1-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N^1-(3-ethylbenzyl)-N^2-(methoxyacetyl)-3-[(1-propylbutyl)sulfonyl]-D-alaninamide
N^1-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N^1-(3-ethylbenzyl)-N^2-(3-methoxypropanoyl)-3-[(1-propylbutyl)sulfonyl]-D-alaninamide
N^1-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N^2-(2,2-dimethylpropanoyl)-N^1-(3-ethylbenzyl)-3-[(1-propylbutyl)sulfonyl]-D-alaninamide
N^1-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N^1-(3-ethylbenzyl)-N^2-isobutyryl-3-[(1-propylbutyl)sulfonyl]-D-alaninamide
N^2-acetyl-N^1-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N^1-(3-ethylbenzyl)-3-[(1-propylbutyl)sulfonyl]-D-alaninamide
N^1-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-

hydroxybutyl]-*N*³-(cyclopropylcarbonyl)-*N*¹-(3-ethylbenzyl)-3-[(1-propylbutyl)sulfonyl]-D-alaninamide
*N*¹-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*¹-(3-ethylbenzyl)-*N*³-propionyl-3-[(1-propylbutyl)sulfonyl]-D-alaninamide
5-oxo-D-prolyl-*N*¹-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*¹-(3-ethylbenzyl)-3-[(1-propylbutyl)sulfonyl]alaninamide hydrochloride
5-oxo-L-prolyl-*N*¹-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*¹-(3-ethylbenzyl)-3-[(1-propylbutyl)sulfonyl]alaninamide
*N*¹-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*¹-(3-ethylbenzyl)-*N*³-[3-(4-oxo-2-thioxo-1,3-thiazolidin-3-yl)propanoyl]-3-[(1-propylbutyl)sulfonyl]alaninamide
*N*¹-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*¹-(3-ethylbenzyl)-*N*³-(1*H*-imidazol-4-ylacetyl)-3-[(1-propylbutyl)sulfonyl]alaninamide
*N*¹-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*¹-(3-ethylbenzyl)-*N*³-(phenylacetyl)-3-[(1-propylbutyl)sulfonyl]alaninamide
*N*¹-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*¹-(3-ethylbenzyl)-*N*³-(3-phenylpropanoyl)-3-[(1-propylbutyl)sulfonyl]alaninamide
N-(3-[[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl](3-ethylbenzyl)amino]-3-oxo-2-{[(1-propylbutyl)sulfonyl]methyl}propyl)benzamide
*N*¹-[(2*R*,3*S*)-3-amino-2-hydroxy-4-phenylbutyl]-*N*³-(cyclopropylacetyl)-*N*¹-(3-methoxybenzyl)-3-[(1-propylbutyl)sulfonyl]alaninamide
*N*¹-[(2*R*,3*S*)-3-amino-2-hydroxy-4-phenylbutyl]-*N*¹-(3-methoxybenzyl)-*N*³-[(methylsulfonyl)acetyl]-3-[(1-propylbutyl)sulfonyl]alaninamide

N^1-[(2*R*,3*S*)-3-amino-2-hydroxy-4-phenylbutyl]-N^1-(3-methoxybenzyl)-N^2-[(methylthio)acetyl]-3-[(1-propylbutyl)sulfonyl]alaninamide
N-(methylsulfonyl)glycyl-N^1-[(2*R*,3*S*)-3-amino-2-hydroxy-4-phenylbutyl]-N^1-(3-methoxybenzyl)-3-[(1-propylbutyl)sulfonyl]alaninamide
N^1-acetyl-N^1-[(2*R*,3*S*)-3-amino-2-hydroxy-4-phenylbutyl]-N^1-(3-methoxybenzyl)-3-(phenylsulfonyl)alaninamide
2-[[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl](3-ethylbenzyl)amino]-1-[(butylsulfonyl)methyl]-2-oxoethyl acetate
N^1-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*S*-butyl-N^1-(3-ethylbenzyl)-D-cysteinamide
N^1-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-3-(butylsulfinyl)-N^1-(3-ethylbenzyl)-D-alaninamide
N^1-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-3-(butylsulfonyl)-N^1-(3-ethylbenzyl)-D-alaninamide
N^1-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-3-(butylsulfonyl)-N^1-(3-ethylbenzyl)-L-alaninamide
N^1-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-3-(butylsulfonyl)-N^1-(3-methylbutyl)-D-alaninamide
N^1-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N^1-[1-(3-ethylphenyl)cyclopropyl]-3-[(1-propylbutyl)sulfonyl]-D-alaninamide
N^1-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N^1-(3-ethylbenzyl)-3-[(1-propylbutyl)sulfonyl]-L-alaninamide
N^1-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-

hydroxybutyl]-N^3-cyclopropyl-3-[(1-propylbutyl)sulfonyl]-D-alaninamide
N^1-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N^3-(3-methylbutyl)-3-[(1-propylbutyl)sulfonyl]-D-alaninamide
N^1-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N^3-(3-ethylbenzyl)-3-[(1-propylbutyl)sulfonyl]-D-alaninamide
N^1-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N^3-(3-ethylbenzyl)-3-[(1-propylbutyl)sulfonyl]alaninamide
N^1-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N^3-(3-ethylbenzyl)-N^3-(phenoxyacetyl)-3-[(1-propylbutyl)sulfonyl]alaninamide
N^1-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N^3-{[(5-chloro-2-thienyl)thio]peroxy}-N^1-(3-ethylbenzyl)-3-[(1-propylbutyl)sulfonyl]alaninamide
N^1-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-N^3-(3-ethylbenzyl)-N^3-(phenylsulfonyl)-3-[(1-propylbutyl)sulfonyl]alaninamide
N^3-[(benzylamino)carbonyl]-N^1-[(2*R*,3*S*)-4-(3,5-difluorophenyl)-2-hydroxy-3-(methylamino)butyl]-N^1-(3-ethylbenzyl)-3-[(1-propylbutyl)sulfonyl]alaninamide
4-[[(2*R*,3*S*)-4-(3,5-difluorophenyl)-2-hydroxy-3-(methylamino)butyl](3-ethylbenzyl)amino]-4-oxo-3-{[(1-propylbutyl)sulfonyl]methyl}butanoic acid
4-[[(2*R*,3*S*)-3-amino-2-hydroxy-4-phenylbutyl](3-methoxybenzyl)amino]-3-{[(3-methylbutyl)sulfonyl]methyl}-4-oxobutanoic acid
methyl 4-[[(2*R*,3*S*)-3-amino-2-hydroxy-4-phenylbutyl](3-methoxybenzyl)amino]-3-{[(3-methylbutyl)sulfonyl]methyl}-4-oxobutanoate

N^1-[(2*R*,3*S*)-3-amino-2-hydroxy-4-phenylbutyl]-N^1-(3-methoxybenzyl)-2-{[(3-methylbutyl)sulfonyl]methyl}succinamide
N^1-[(2*R*,3*S*)-3-amino-2-hydroxy-4-phenylbutyl]-N^1-(3-methoxybenzyl)-N^4-methyl-2-{[(3-methylbutyl)sulfonyl]methyl}succinamide
N^1-[(2*R*,3*S*)-3-amino-2-hydroxy-4-phenylbutyl]-N^1-(3-methoxybenzyl)-N^4,N^4-dimethyl-2-{[(3-methylbutyl)sulfonyl]methyl}succinamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-3-(4,4-dimethyl-2,5-dioxoimidazolidin-1-yl)-*N*-(3-ethylbenzyl)-2-{[(1-propylbutyl)sulfonyl]methyl}propanamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-3-(4,4-dimethyl-2,5-dioxoimidazolidin-1-yl)-*N*-(3-ethylbenzyl)-2-{[(1-propylbutyl)sulfonyl]methyl}propanamide
(1*R*,2*S*)-2-amino-3-(3,5-difluorophenyl)-1-{[(3-ethylbenzyl)amino]methyl}propyl 3-(4,4-dimethyl-2,5-dioxoimidazolidin-1-yl)-2-{[(1-propylbutyl)sulfonyl]methyl}propanoate
N-[(2*R*,3*S*)-3-amino-2-hydroxy-4-phenylbutyl]-3-(ethylsulfonyl)-2-{[(isobutylsulfonyl)amino]methyl}-*N*-(3-methoxybenzyl)propanamide
N-[(2*R*,3*S*)-3-amino-2-hydroxy-4-phenylbutyl]-3-(ethylthio)-2-{[(isobutylsulfonyl)amino]methyl}-*N*-(3-methoxybenzyl)propanamide
(2*S*)-*N*-[(2*R*,3*S*)-3-amino-2-hydroxy-4-phenylbutyl]-*N*-(3-methoxybenzyl)-2-{[(3-methylbutyl)sulfonyl]amino}-4-(methylsulfonyl)butanamide
N^1-[(2*R*,3*S*)-3-amino-2-hydroxy-4-phenylbutyl]-N^1-(3-methoxybenzyl)-N^2-[(3-methylbutyl)sulfonyl]-L-methioninamide
S-(3-[[(2*R*,3*S*)-3-amino-2-hydroxy-4-phenylbutyl](3-

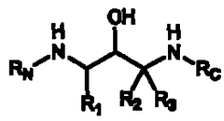
methoxybenzyl)amino]-2-{[(3-methylbutyl)sulfonyl]methyl}-3-oxopropyl) ethanethioate
N-[(2*R*,3*S*)-3-amino-2-hydroxy-4-phenylbutyl]-2-hydroxy-*N*-(3-methoxybenzyl)-3-[(1-propylbutyl)sulfonyl]propanamide
N-[(2*R*,3*S*)-3-amino-2-hydroxy-4-phenylbutyl]-2-hydroxy-*N*-(3-methoxybenzyl)-3-[(3-methylbutyl)sulfonyl]propanamide
N-[(2*R*,3*S*)-3-amino-2-hydroxy-4-phenylbutyl]-2-hydroxy-*N*-(3-methoxybenzyl)-3-[(3-methoxyphenyl)sulfonyl]propanamide
N-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-2-hydroxy-4-(phenylsulfonyl)butanamide
N-[(2*R*,3*S*)-3-amino-2-hydroxy-4-phenylbutyl]-2-hydroxy-*N*-(3-methoxybenzyl)-4-[(3-methylbutyl)sulfonyl]butanamide
N-[(2*R*,3*S*)-3-amino-2-hydroxy-4-phenylbutyl]-*N*-(3-methoxybenzyl)-4-[(3-methylbutyl)sulfonyl]-2-phenoxybutanamide
N-[(2*R*,3*S*)-3-amino-2-hydroxy-4-phenylbutyl]-*N*-(3-methoxybenzyl)-2-(3-methoxyphenoxy)-4-[(3-methylbutyl)sulfonyl]butanamide
3-{1-{[[(2*R*,3*S*)-3-amino-2-hydroxy-4-phenylbutyl](3-methoxybenzyl)amino]carbonyl}-3-[(3-methylbutyl)sulfonyl]propoxy}benzoic acid
methyl 3-{1-{[[(2*R*,3*S*)-3-amino-2-hydroxy-4-phenylbutyl](3-methoxybenzyl)amino]carbonyl}-3-[(3-methylbutyl)sulfonyl]propoxy}benzoate
N-[(2*R*,3*S*)-3-amino-2-hydroxy-4-phenylbutyl]-2-hydroxy-*N*-(3-methoxybenzyl)-4-(phenylsulfonyl)butanamide
N-[(2*R*,3*S*)-3-amino-2-hydroxy-4-phenylbutyl]-2-hydroxy-*N*-(3-methoxybenzyl)-4-(phenylthio)butanamide
N-[(2*R*,3*S*)-3-amino-2-hydroxy-4-phenylbutyl]-2-methoxy-*N*-(3-methoxybenzyl)-4-(phenylsulfonyl)butanamide
N-[(2*R*,3*S*)-3-amino-2-hydroxy-4-phenylbutyl]-2-methoxy-

N-(3-methoxybenzyl)-4-(phenylthio)butanamide
N-[(2*R*,3*S*)-3-amino-2-hydroxy-4-phenylbutyl]-*N*-(3-methoxybenzyl)-4-(phenylsulfonyl)-2-propoxybutanamide
N-[(2*R*,3*S*)-3-amino-2-hydroxy-4-phenylbutyl]-2-(benzyloxy)-*N*-(3-methoxybenzyl)-4-(phenylsulfonyl)butanamide
(2*S*)-2-amino-*N*-[(2*R*,3*S*)-3-amino-2-hydroxy-4-phenylbutyl]-*N*-(3-methoxybenzyl)-5-oxo-5-piperidin-1-ylpentanamide
(2*R*)-2-amino-*N*-[(2*R*,3*S*)-3-amino-2-hydroxy-4-phenylbutyl]-*N*-(3-methoxybenzyl)-5-oxo-5-piperidin-1-ylpentanamide
methyl ((1*R*)-1-{[[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl](3-ethylbenzyl)amino]carbonyl}-3-oxoheptyl)carbamate
methyl ((1*R*)-1-{[[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl](3-ethylbenzyl)amino]carbonyl}-3,3-difluoroheptyl)carbamate
methyl ((1*R*)-1-{[[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl](3-ethylbenzyl)amino]carbonyl}-3-fluoroheptyl)carbamate
methyl ((1*R*)-1-{[[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl](3-ethylbenzyl)amino]carbonyl}-4-oxooctyl)carbamate
methyl ((1*R*)-1-{[[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl](3-ethylbenzyl)amino]carbonyl}-4-hydroxyoctyl)carbamate
methyl [(1*R*)-1-{[[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl](3-ethylbenzyl)amino]carbonyl}-3-(2-butyl-1,3-dioxolan-2-yl)propyl]carbamate
methyl [(1*R*)-1-{[[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl]-2-hydroxybutyl](3-

ethylbenzyl)amino]carbonyl}-3-(2-butyl-1,3-dioxan-2-yl)propyl]carbamate
methyl ((1*R*)-1-{[[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl](3-ethylbenzyl)amino]carbonyl}-4-fluorooctyl)carbamate
methyl ((1*R*)-1-{[[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl](3-ethylbenzyl)amino]carbonyl}-4,4-difluorooctyl)carbamate
(2*R*)-*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-2-{[(methylamino)carbonyl]amino}-4-oxooctanamide
N^1-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-4-butyl-N^1-(3-ethylbenzyl)-N^2-[(methylamino)carbonyl]-D-homoserinamide
N^2-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-3-(2-butyl-1,3-dioxolan-2-yl)-N^1-(3-ethylbenzyl)-N^2-[(methylamino)carbonyl]-D-alaninamide
N^1-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-3-(2-butyl-1,3-dioxan-2-yl)-N^1-(3-ethylbenzyl)-N^2-[(methylamino)carbonyl]-D-alaninamide
(2*R*)-*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-4,4-difluoro-2-{[(methylamino)carbonyl]amino}octanamide
(2*R*)-*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-4-fluoro-2-{[(methylamino)carbonyl]amino}octanamide
(2*R*)-*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-2-{[(methylamino)carbonyl]amino}-5-oxononanamide
(2*R*)-*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-5-hydroxy-2-{[(methylamino)carbonyl]amino}nonanamide

(2*R*)-*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-4-(2-butyl-1,3-dioxolan-2-yl)-*N*-(3-ethylbenzyl)-2-{[(methylamino)carbonyl]amino}butanamide
(2*R*)-*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-4-(2-butyl-1,3-dioxan-2-yl)-*N*-(3-ethylbenzyl)-2-{[(methylamino)carbonyl]amino}butanamide
(2*R*)-*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-5-fluoro-2-{[(methylamino)carbonyl]amino}nonanamide
(2*R*)-*N*-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-*N*-(3-ethylbenzyl)-5,5-difluoro-2-{[(methylamino)carbonyl]amino}nonanamide
N^2-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-3-(butylsulfonyl)-N^2-(3-ethynylbenzyl)-N^2-[(methylamino)carbonyl]-D-alaninamide
N^2-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-3-(butylsulfonyl)-N^2-[(methylamino)carbonyl]-N^2-[3-(trifluoromethyl)benzyl]-D-alaninamide
N^2-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-3-(butylsulfonyl)-N^2-[1-(3-ethylphenyl)cyclopropyl]-N^2-[(methylamino)carbonyl]-D-alaninamide
N^2-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-3-(butylsulfonyl)-N^2-[1-(3-ethynylphenyl)cyclopropyl]-N^2-[(methylamino)carbonyl]-D-alaninamide, and
N^2-[(2*R*,3*S*)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]-3-(butylsulfonyl)-N^2-[(methylamino)carbonyl]-N^2-{1-[3-(trifluoromethyl)phenyl]cyclopropyl}-D-alaninamide.

12. A method of generating a compound of formula (Y):



(Y)

comprising exposing a compound according to claim 1 to aqueous media, wherein R_1, R_2, R_3, R_N and R_C are as defined in claim 1.

13. The method of claim 12 wherein the generation of the compound of formula (Y) occurs in vitro.

14. The method of claim 12 wherein the generation of the compound of formula (Y) occurs in vivo.

15. The method of claim 12 wherein the aqueous media has a pH of about 2 to about 10.

16. The method of claim 3 wherein the aqueous media has a pH of about 3 to about 7.

17. A method for the treatment or prevention of Alzheimer's disease, mild cognitive impairment Down's syndrome, Hereditary Cerebral Hemorrhage with Amyloidosis of the Dutch-Type, cerebral amyloid angiopathy, other degenerative dementias, 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 comprising administering a therapeutically

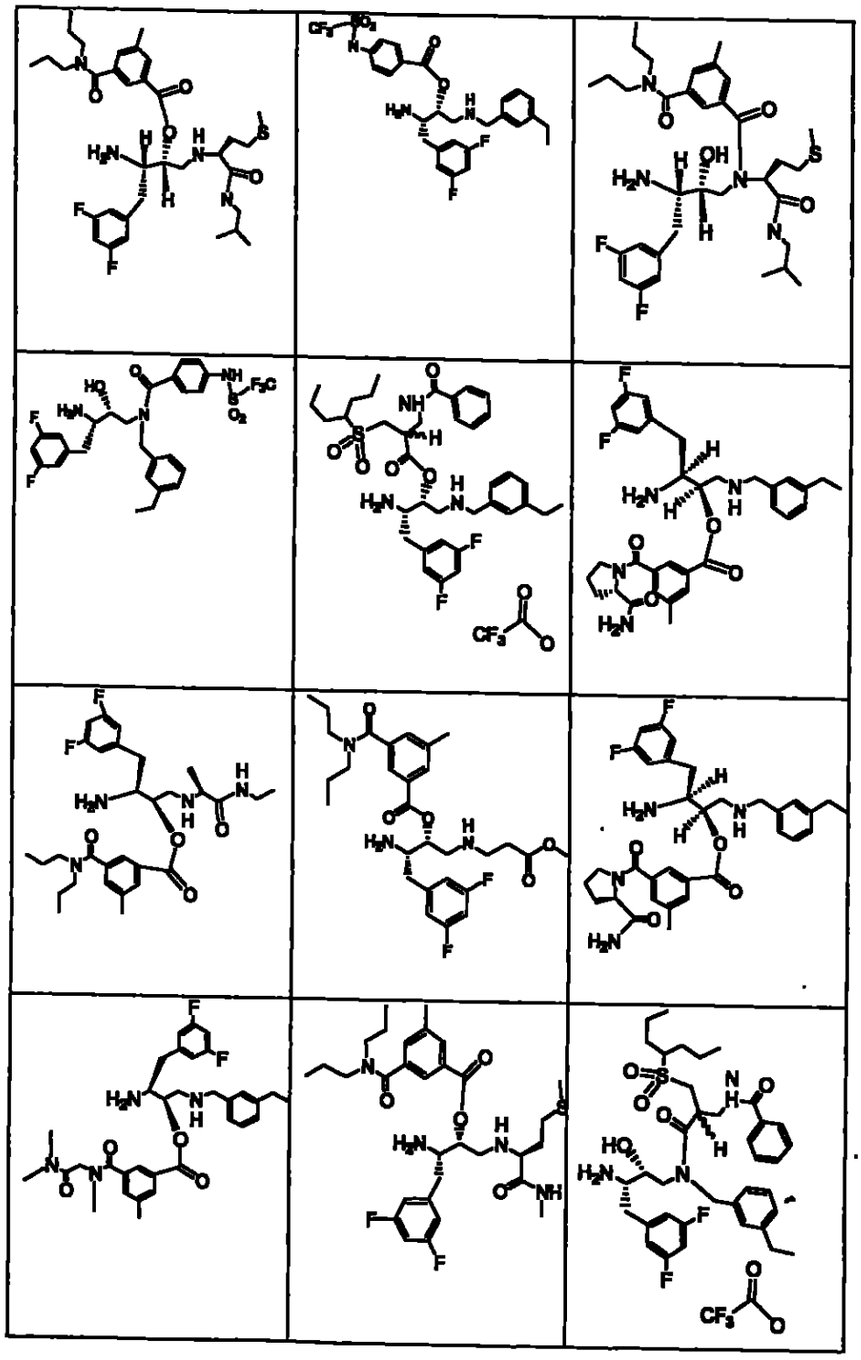
effective amount of a compound or salt according to Claim 1, to a patient in need thereof.

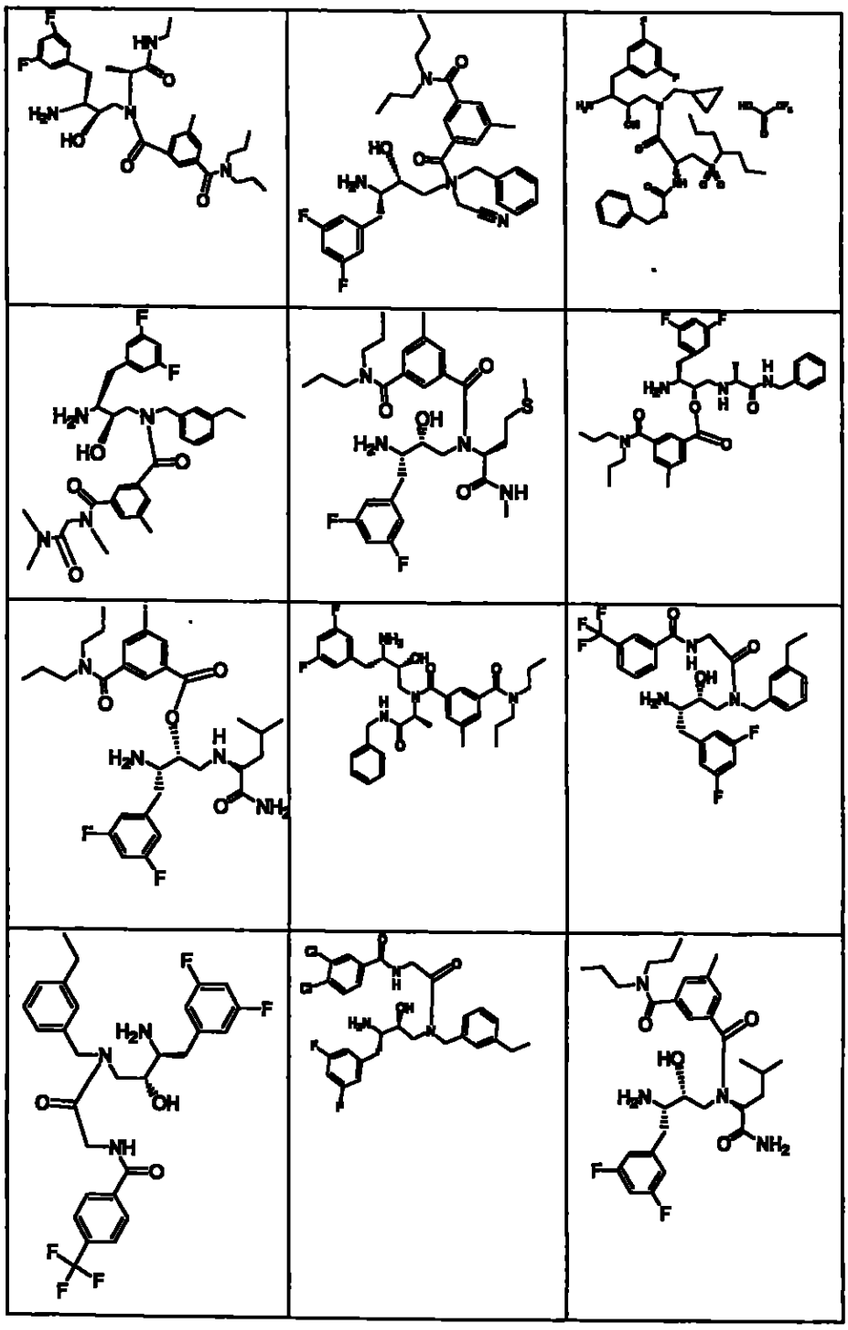
18. A method for the treatment or prevention of Alzheimer's disease, mild cognitive impairment Down's syndrome, Hereditary Cerebral Hemorrhage with Amyloidosis of the Dutch-Type, cerebral amyloid angiopathy, other degenerative dementias, dementias of mixed vascular and degenerative origin, dementia associated with Parkinson's disease, dementia associated with progressive supranuclear palsy, dementia associated with cortical basal degeneration, diffuse Lewy body type of Alzheimer's disease comprising administration of a therapeutically effective amount of a compound or salt according to Claim 1, to a patient in need thereof.

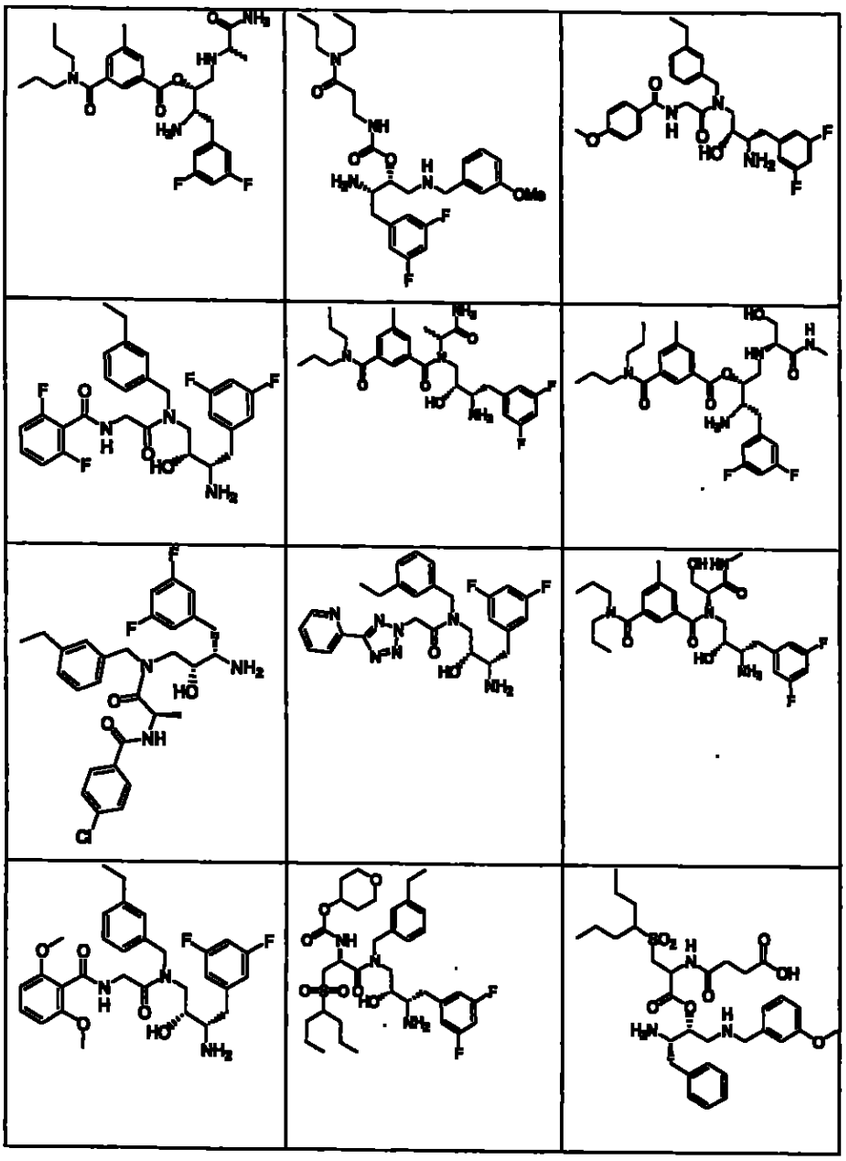
19. The use of a compound or salt according to claim 1 for the manufacture of a medicament.

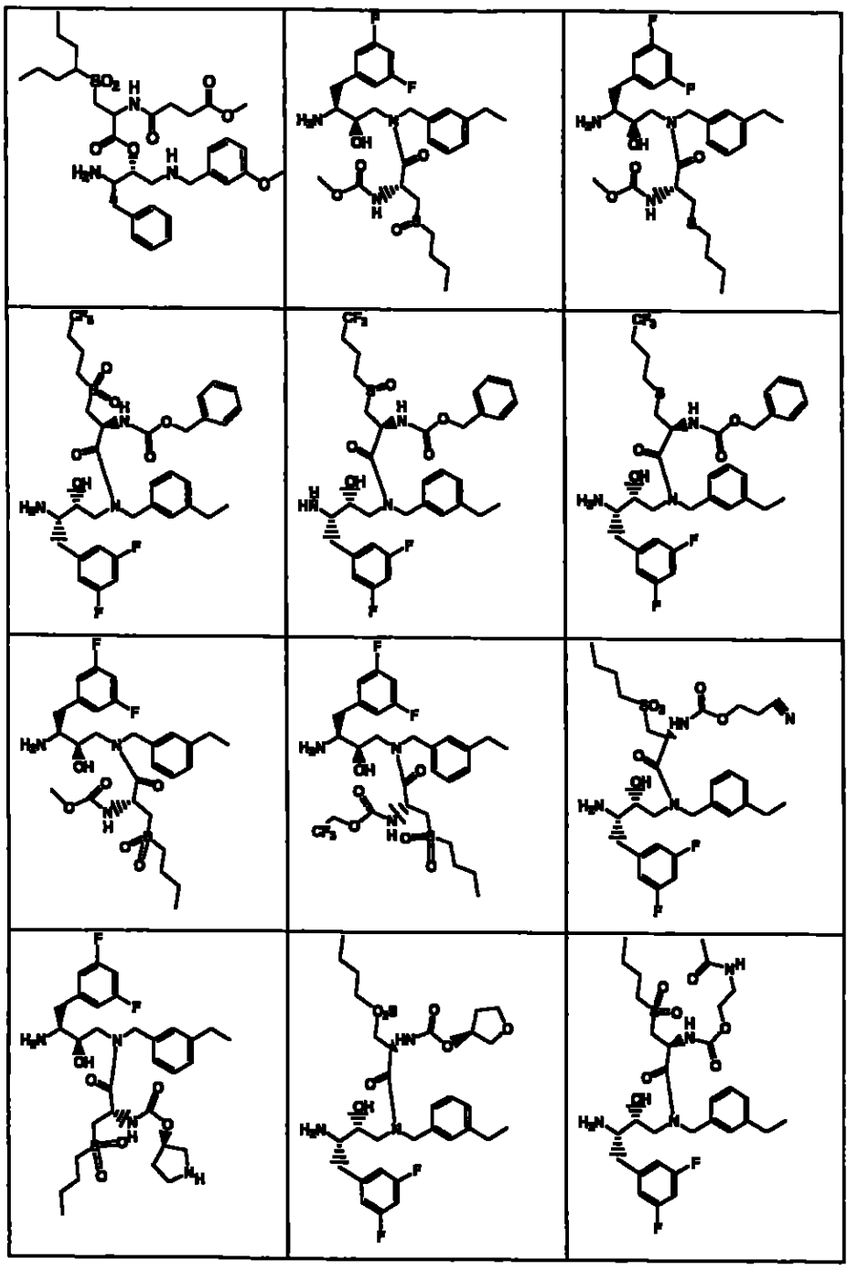
20. The use of a compound or salt according to claim 1 for the manufacture of a medicament for use in the treatment or prevention of Alzheimer's disease, mild cognitive impairment Down's syndrome, Hereditary Cerebral Hemorrhage with Amyloidosis of the Dutch-Type, cerebral amyloid angiopathy, other degenerative dementias, 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.

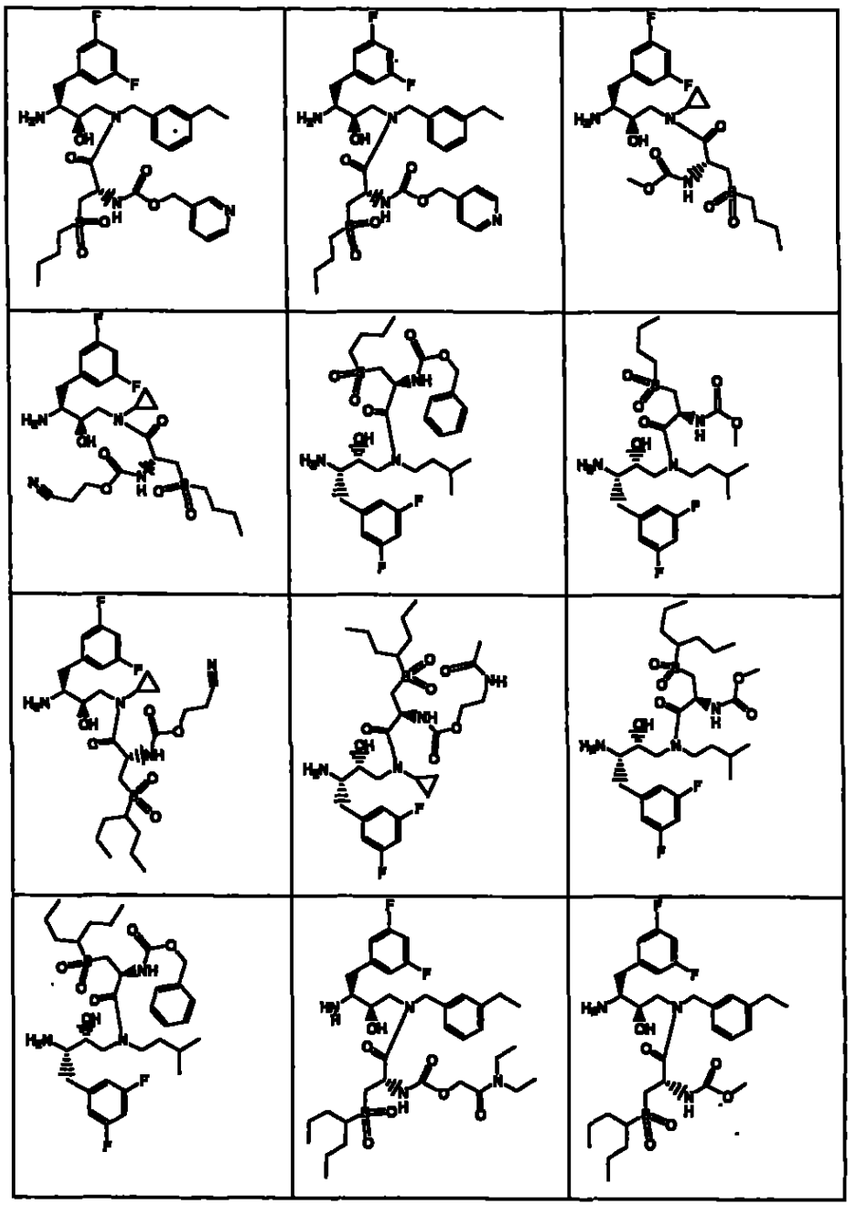
21. A compound according to claim 1 selected frrom the group consisting of:

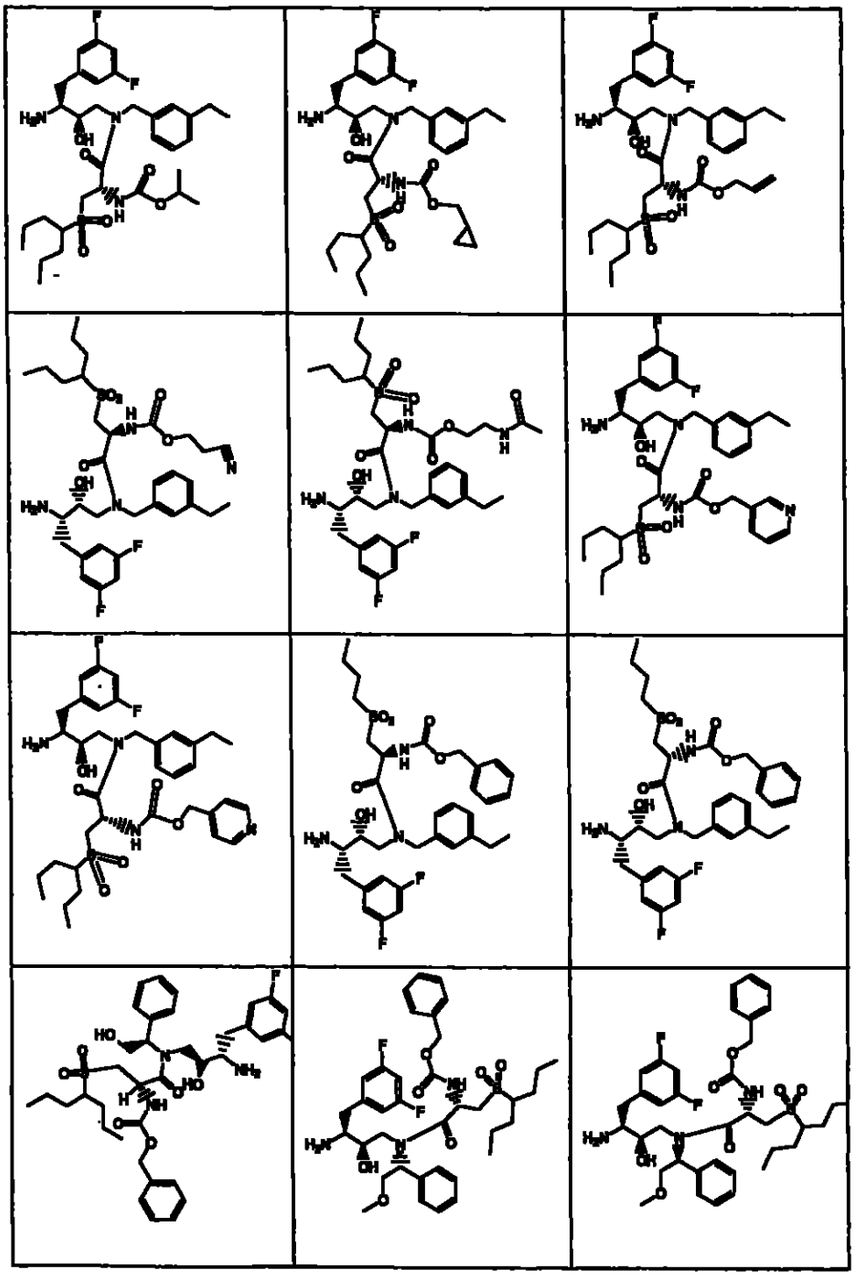


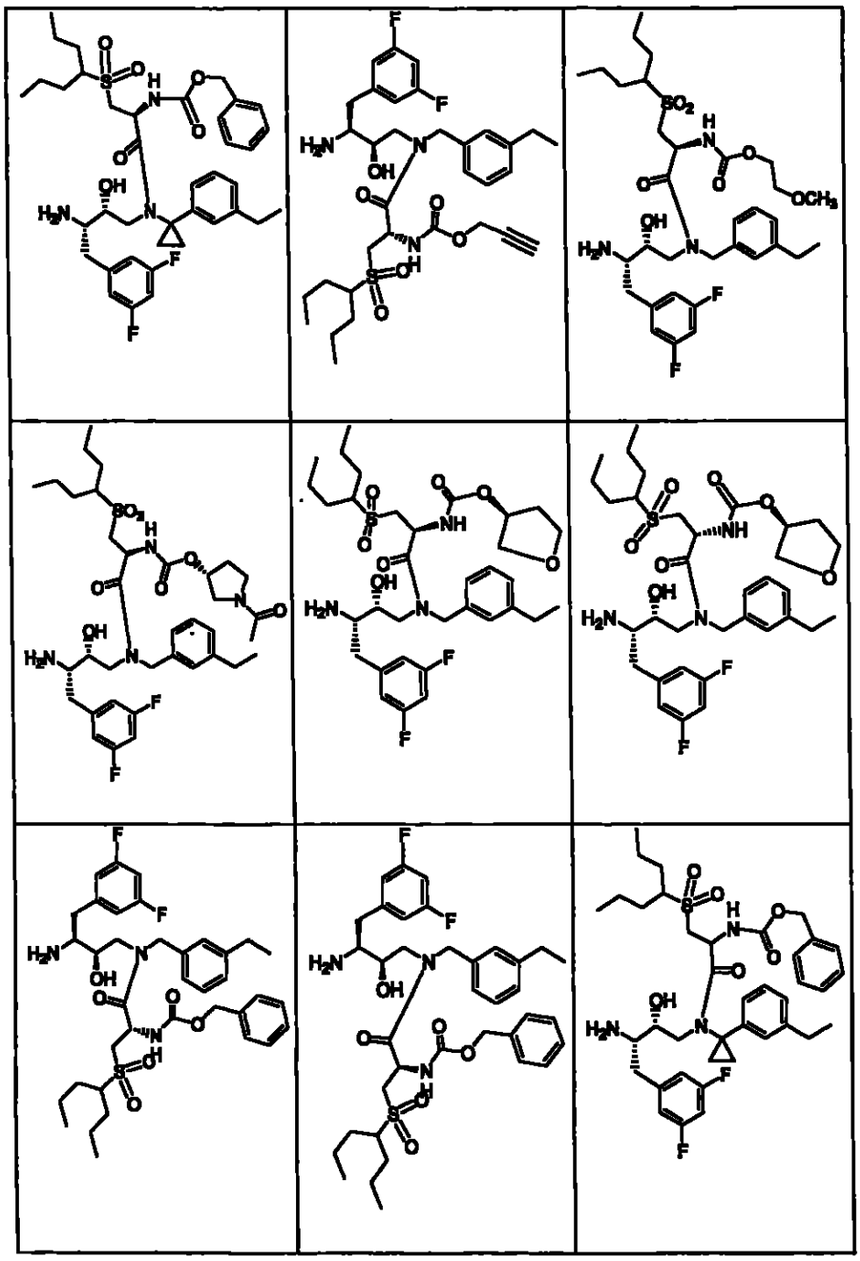


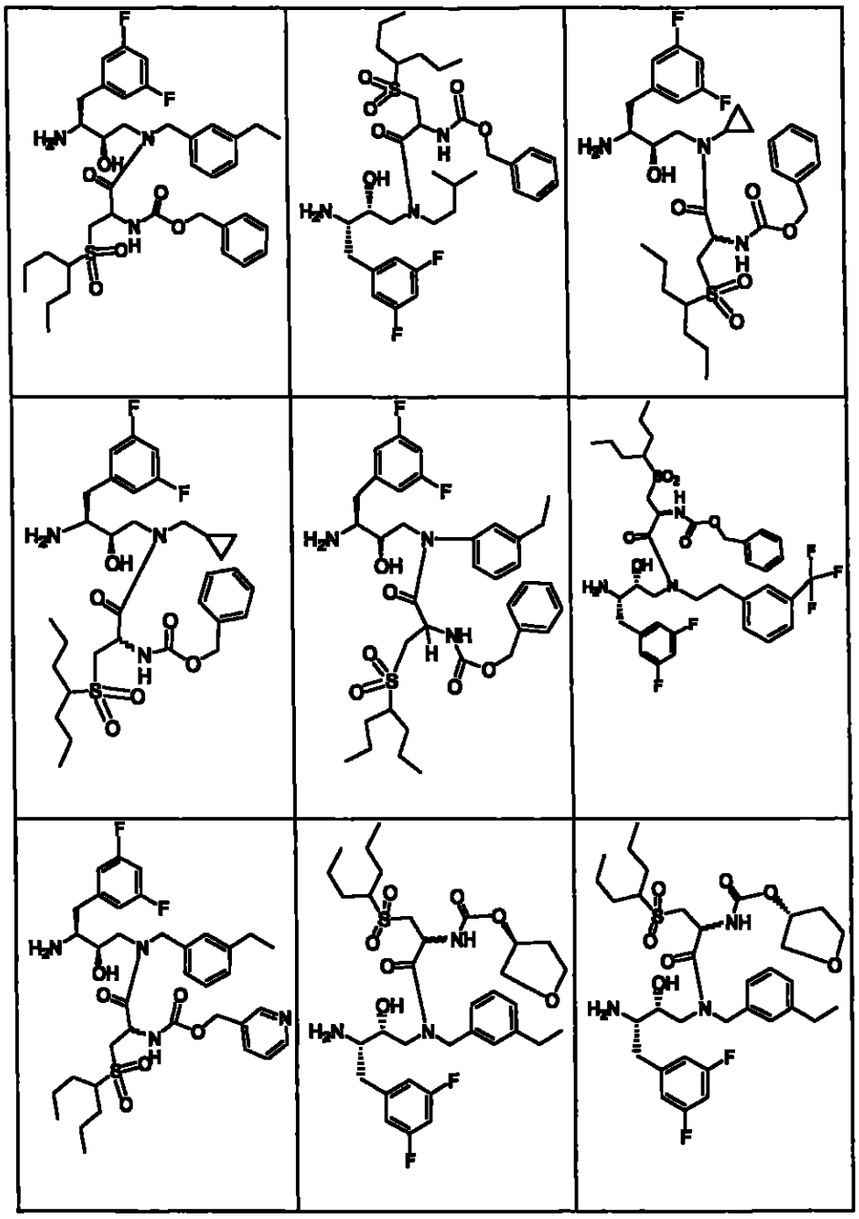


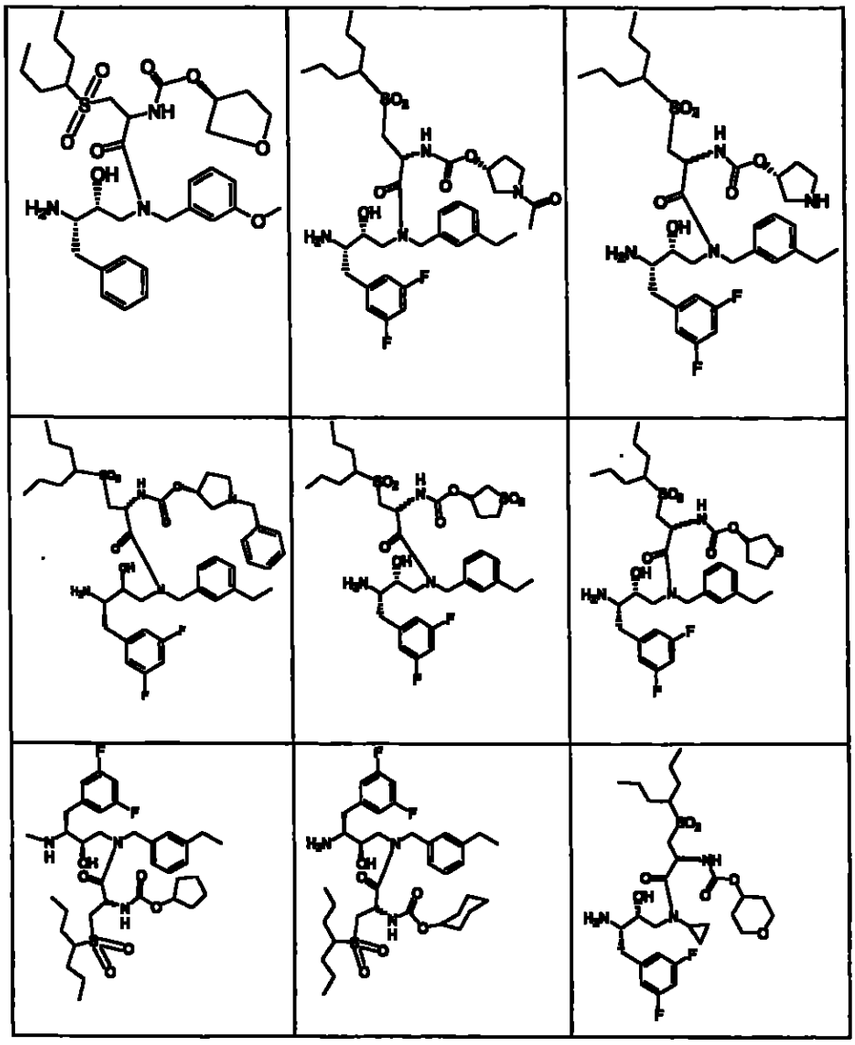


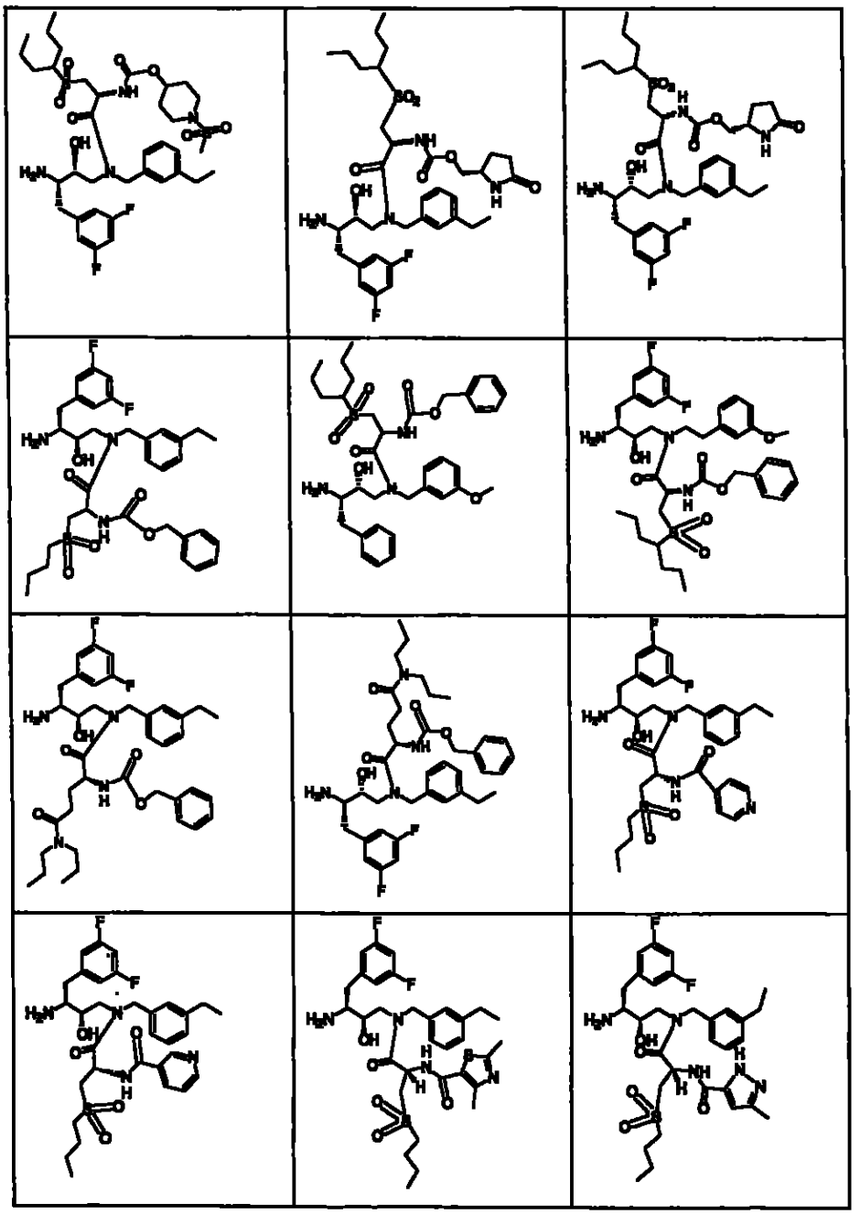


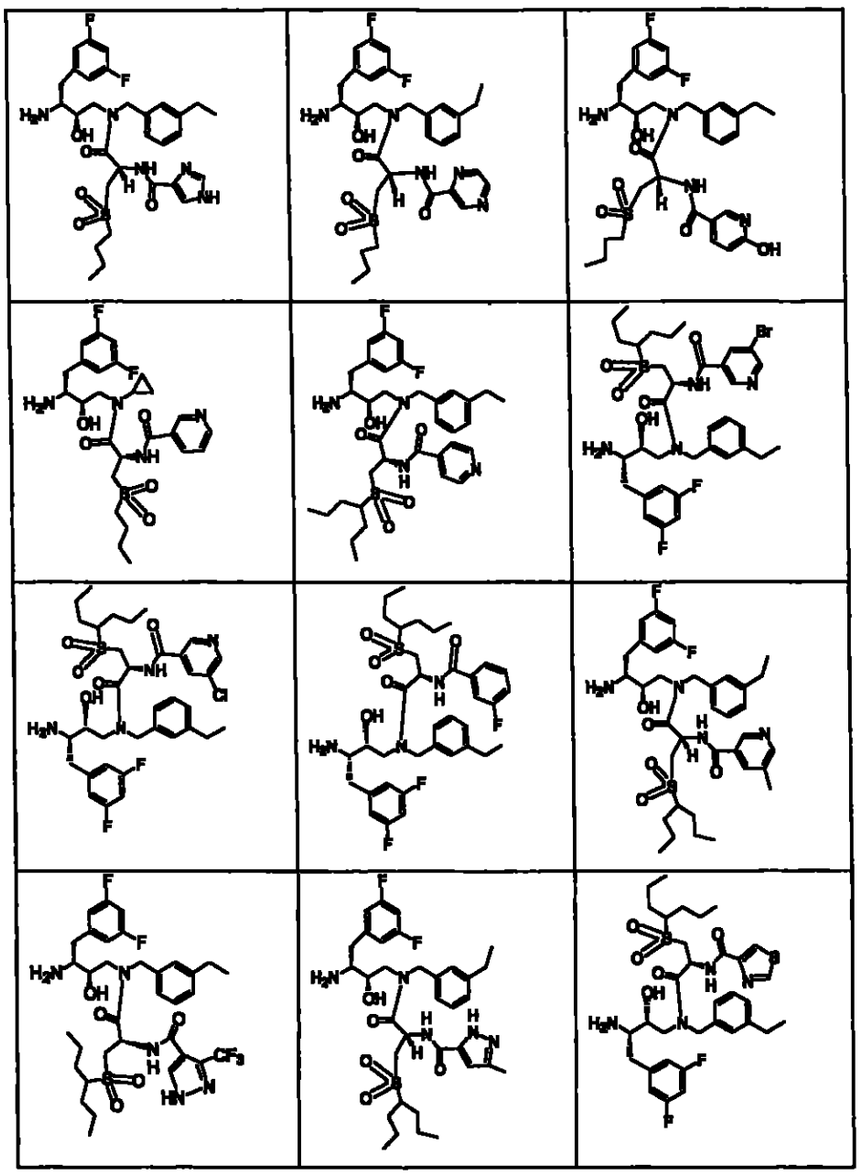


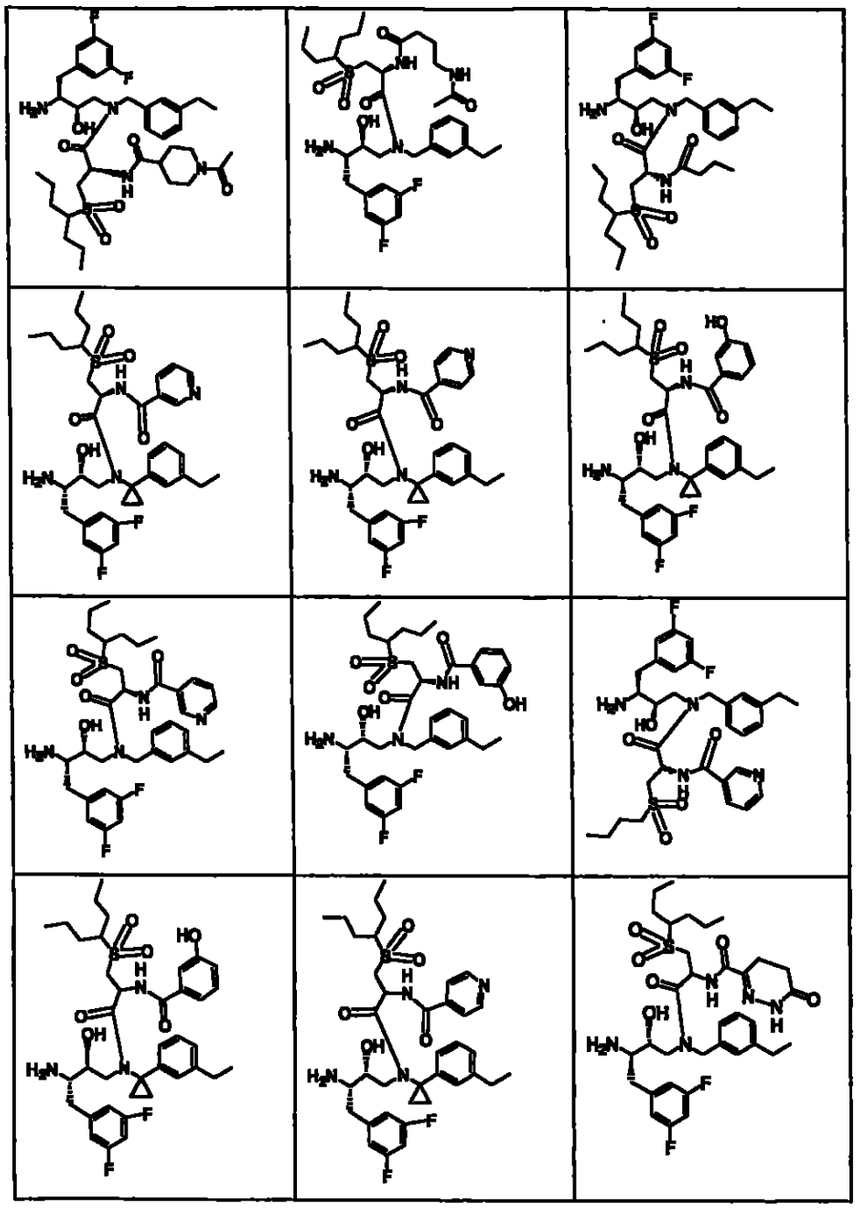


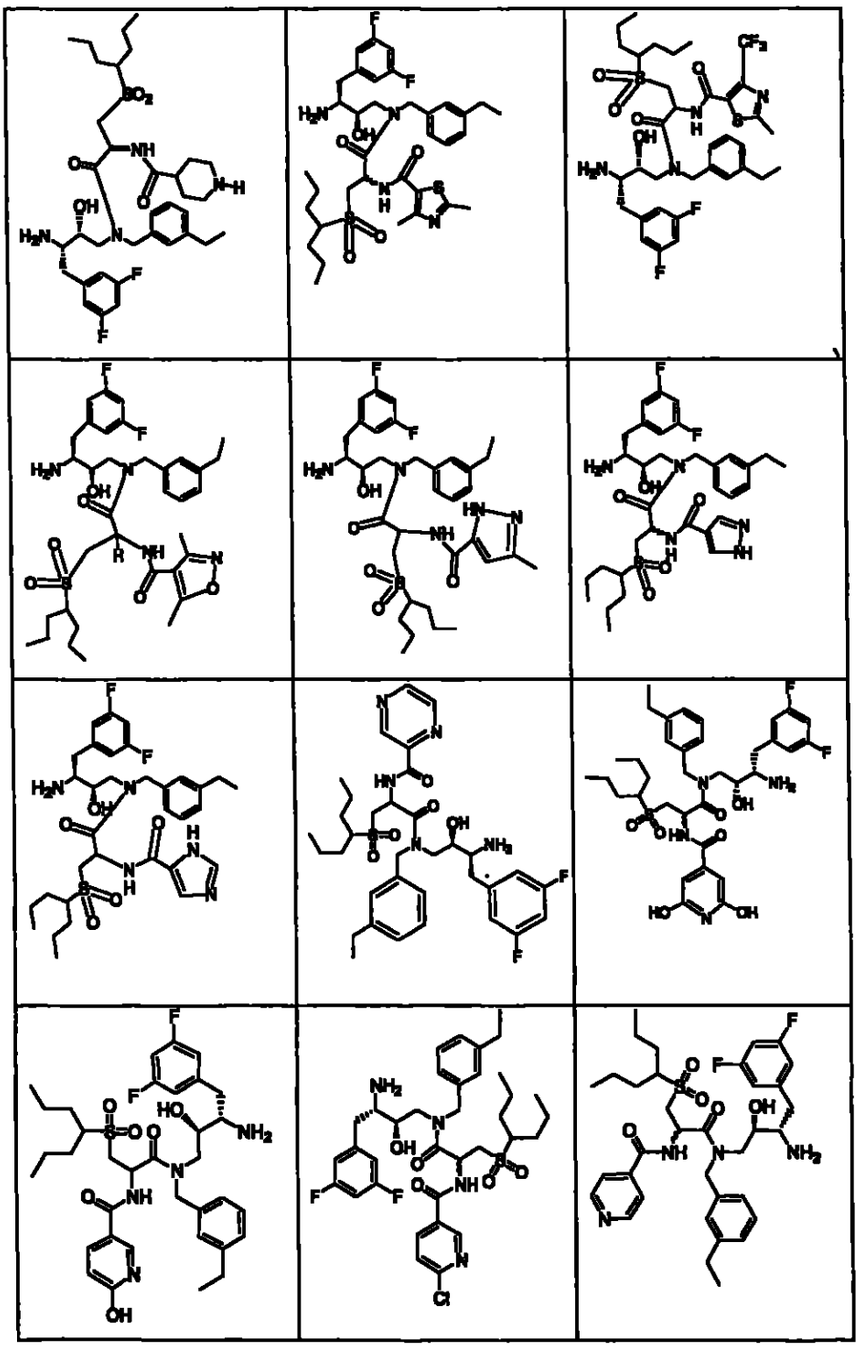


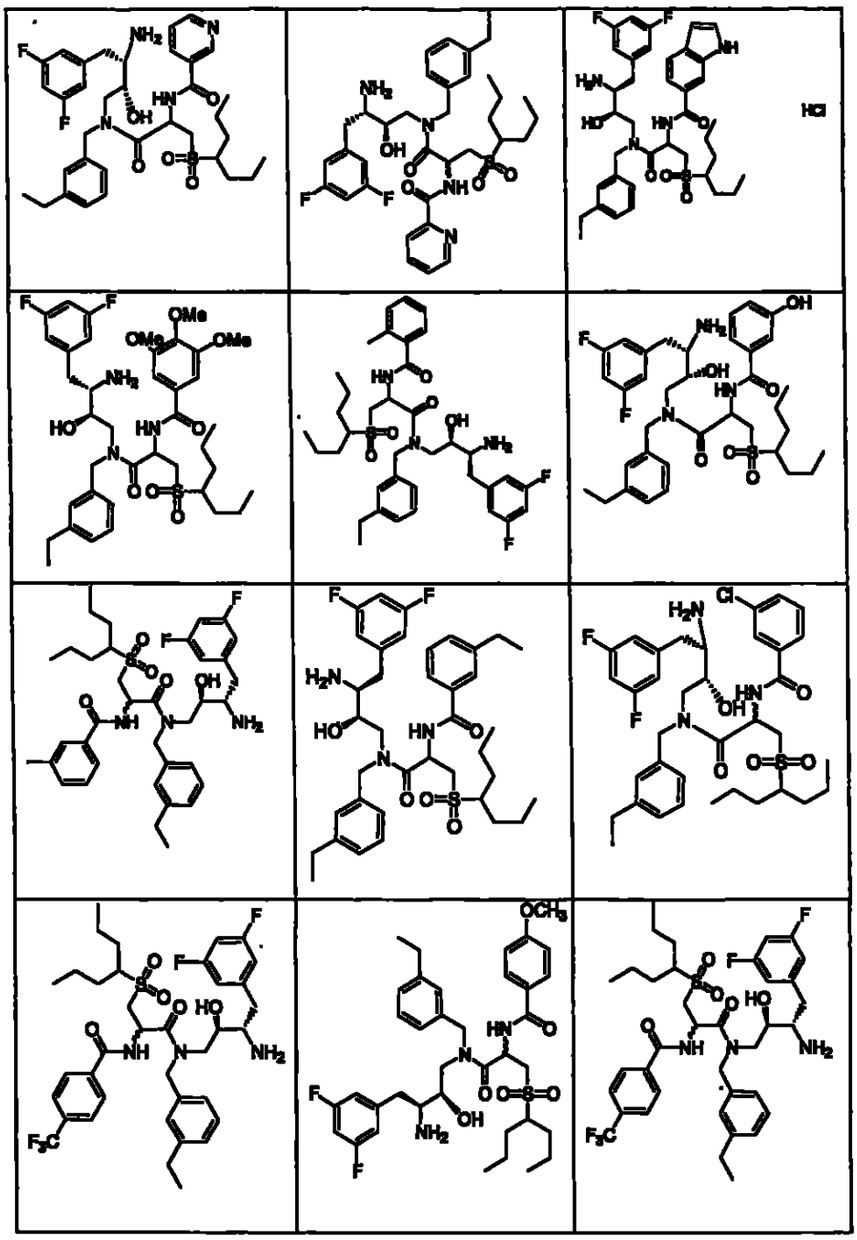


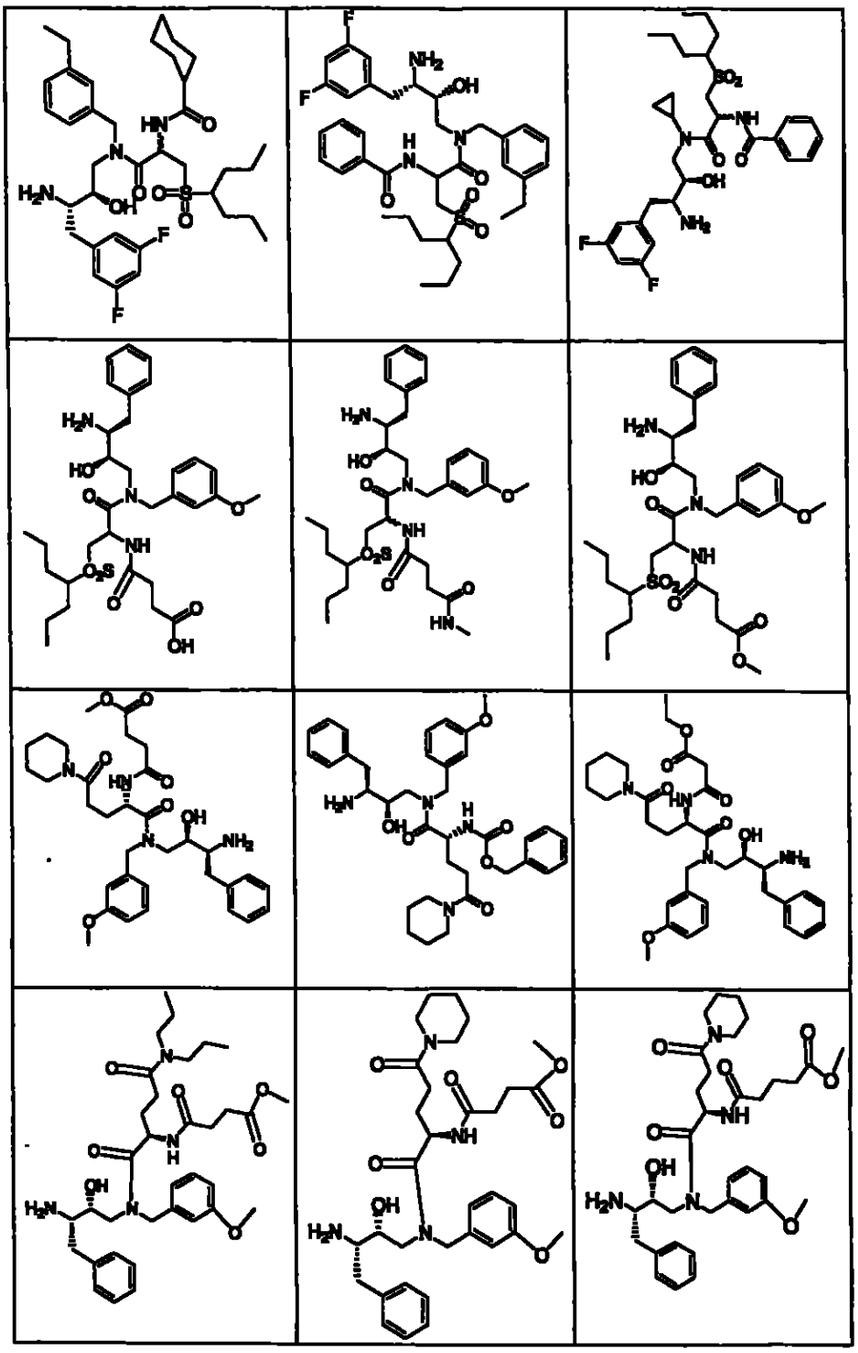


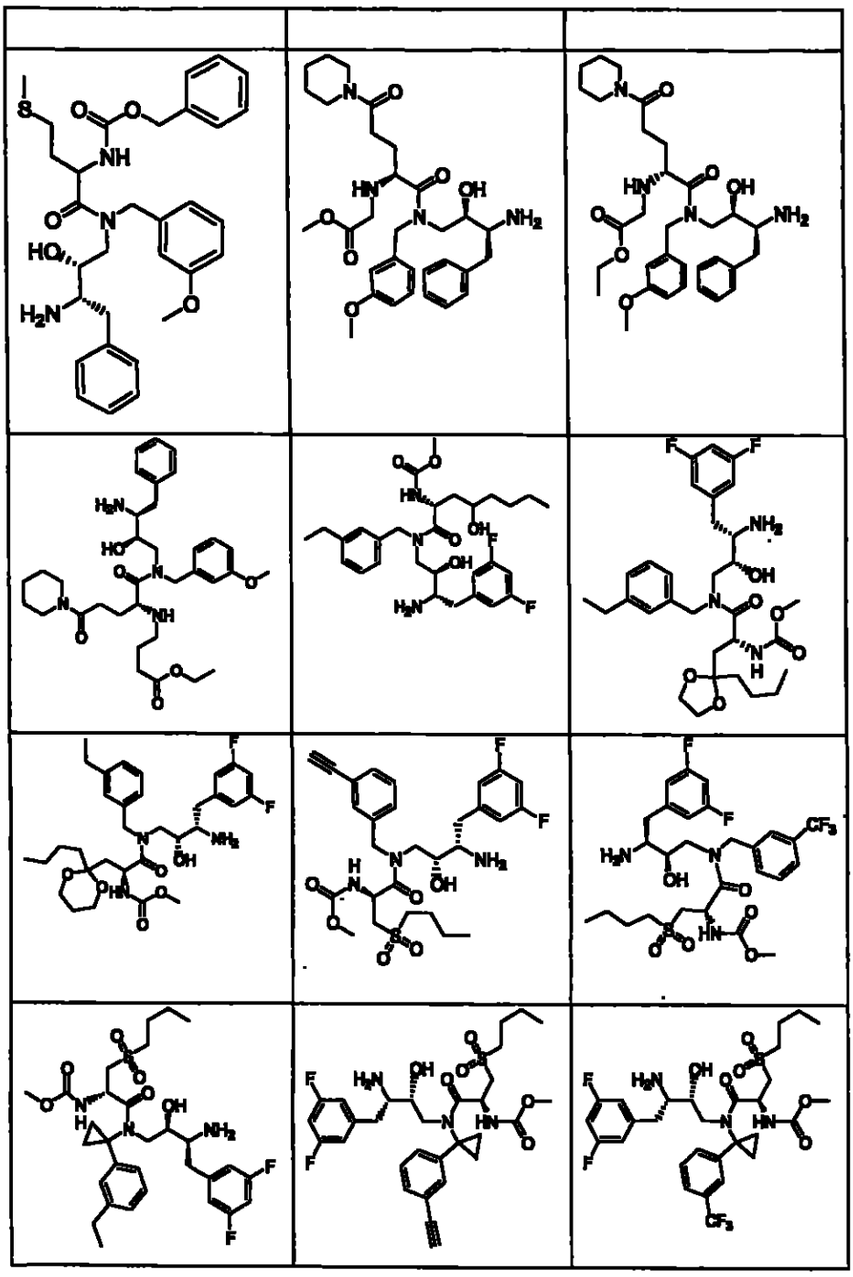


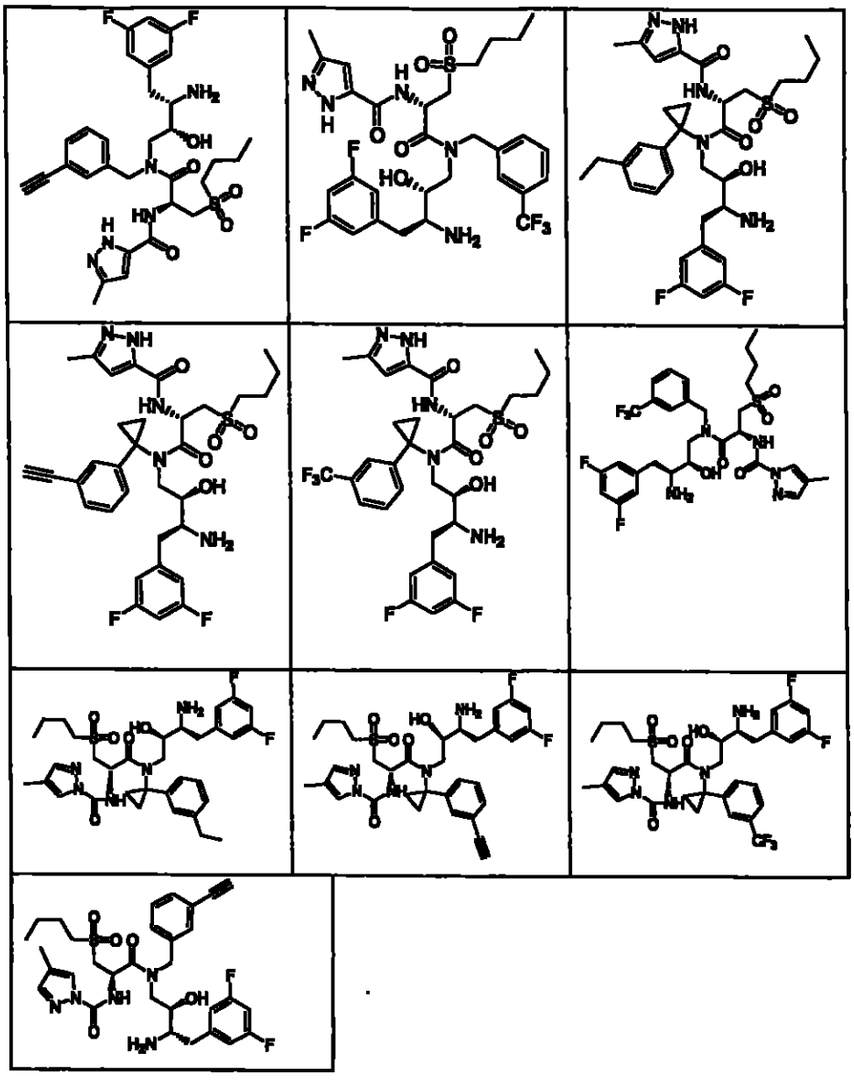


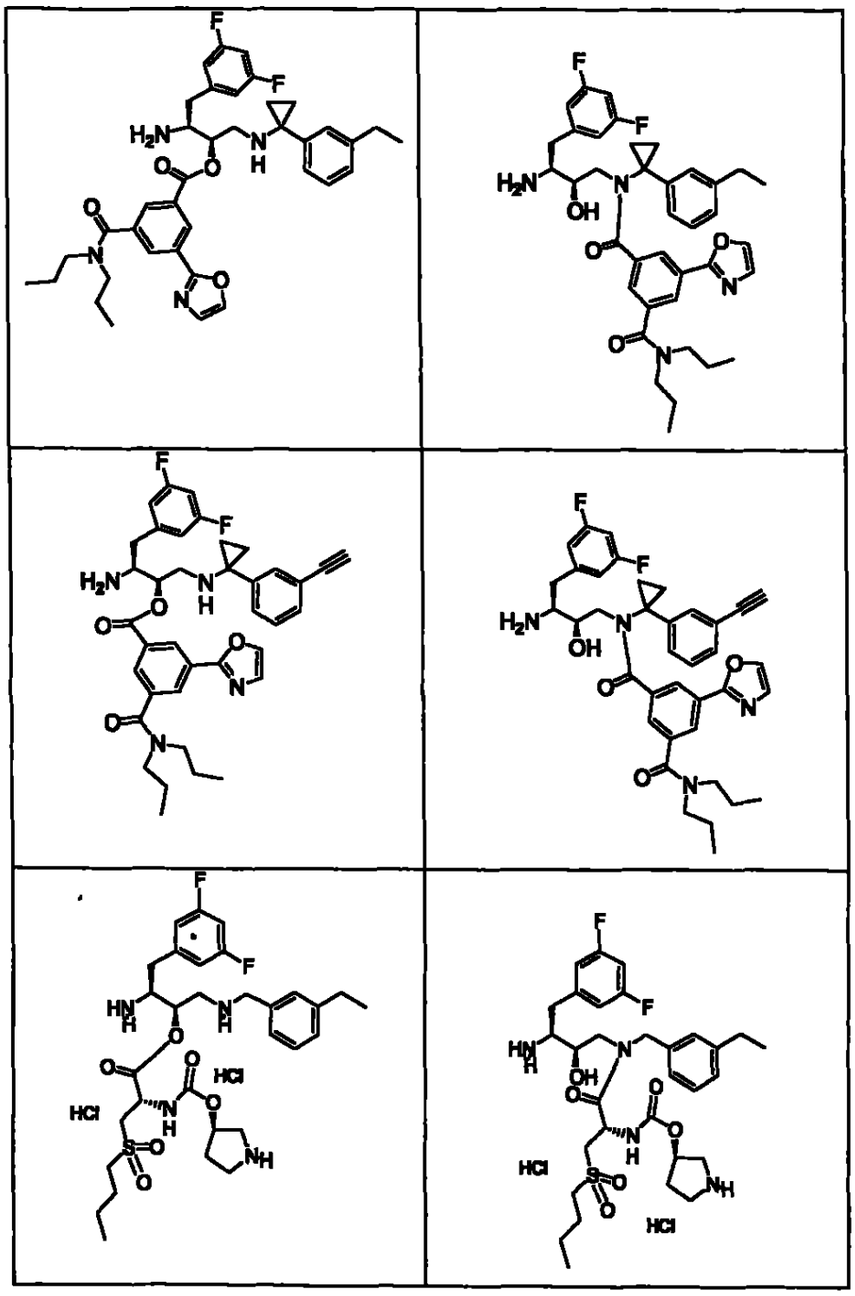


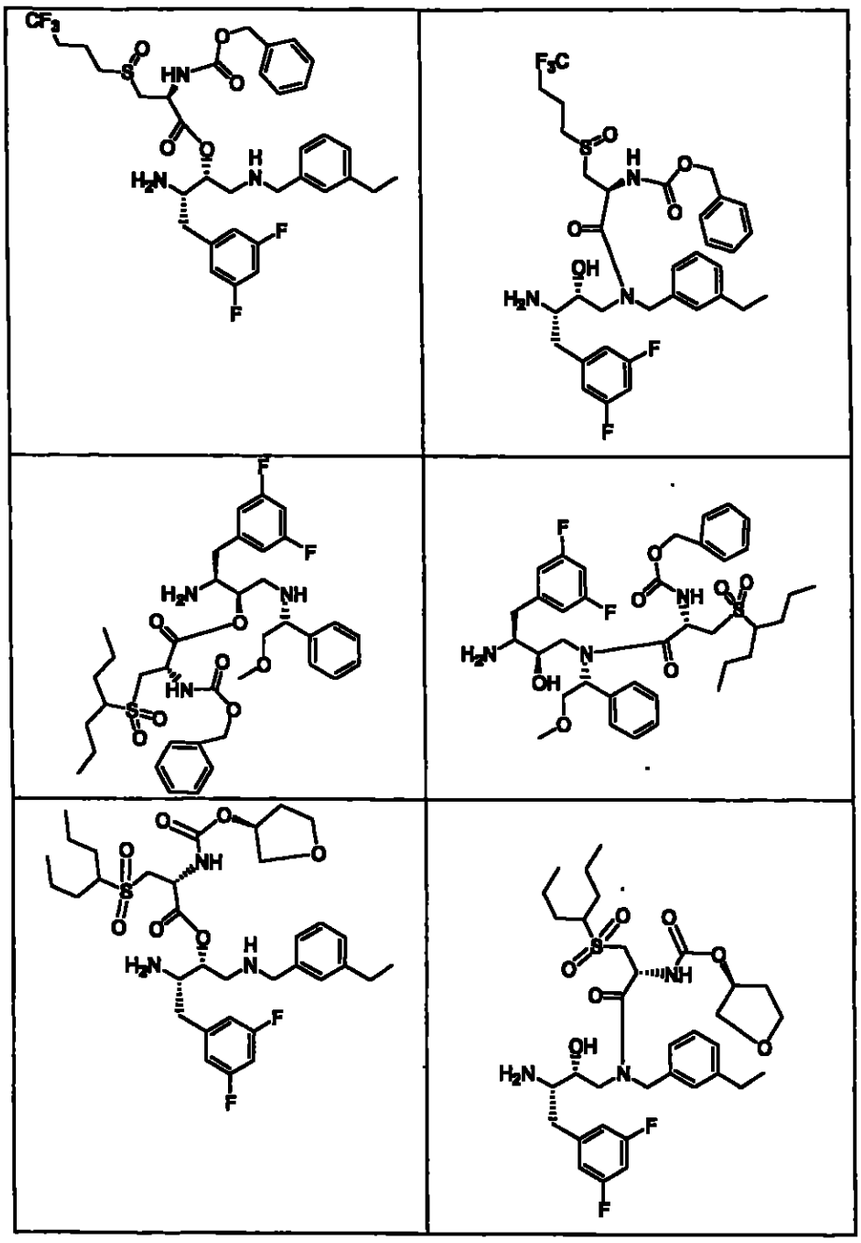


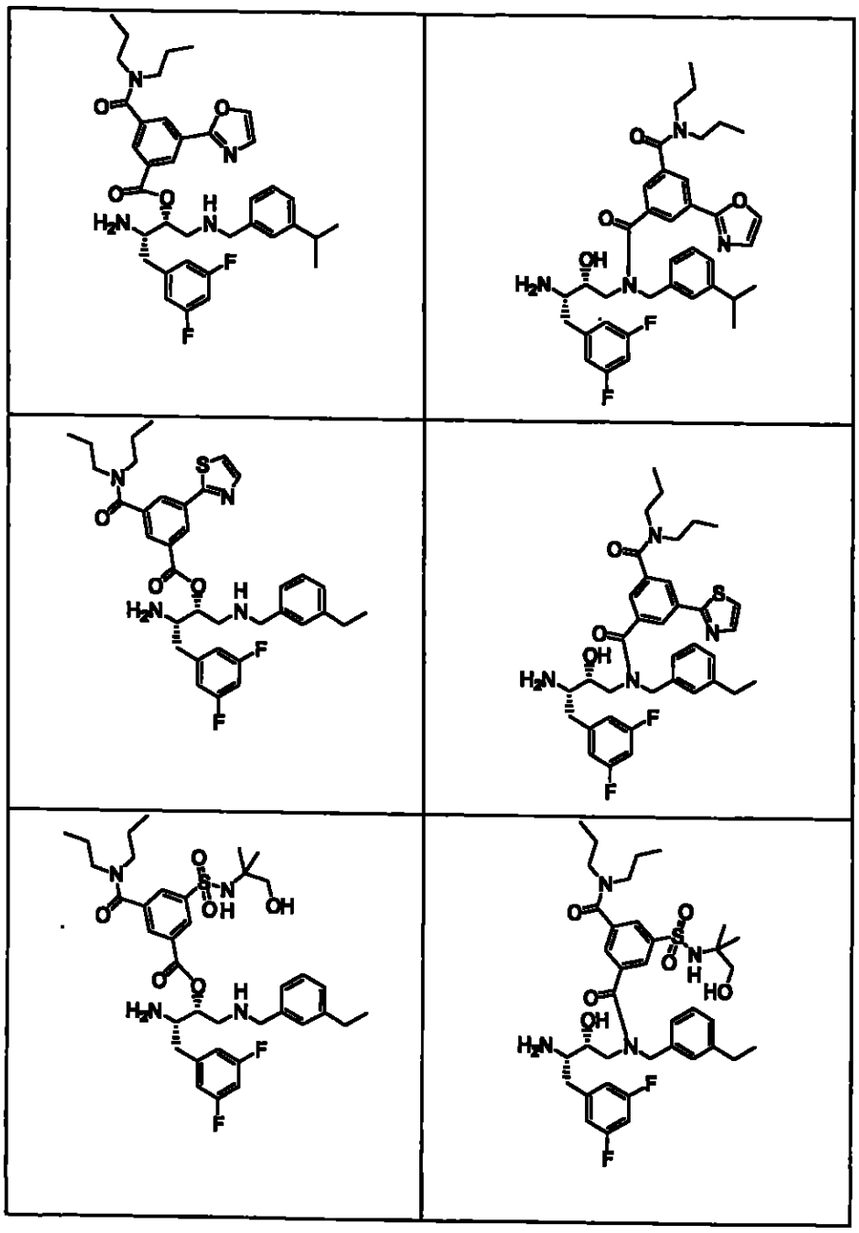


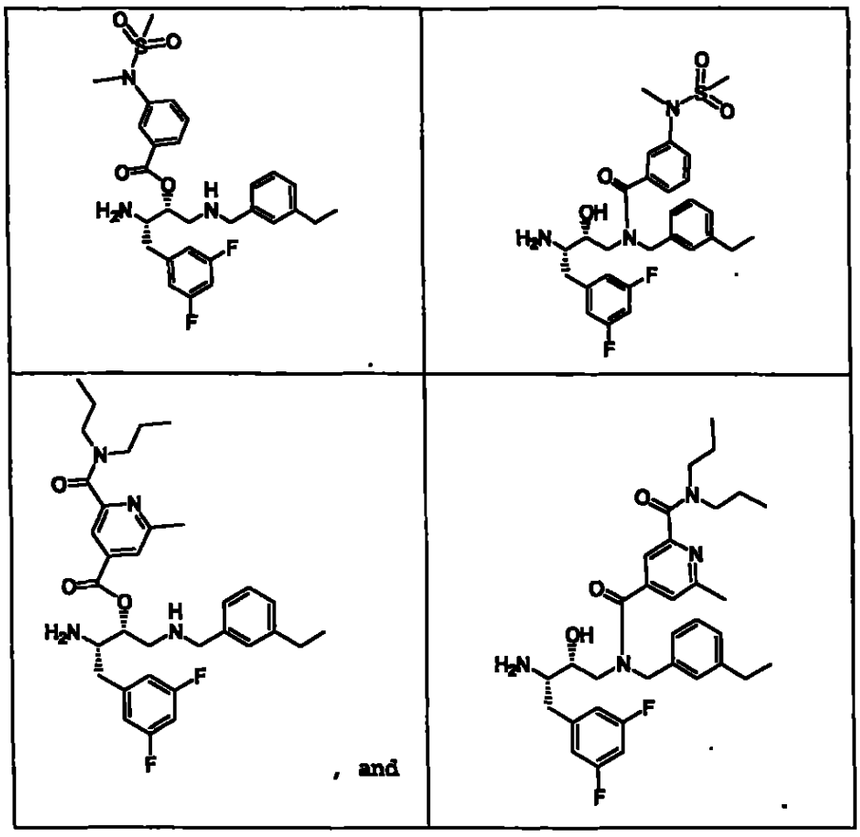












, and

22. A pharmaceutical composition comprising a compound according to any one of claims 1-11 or 21, in combination with a physiologically acceptable carrier or excipient.

PCT

REQUEST

The undersigned requests that the present international application be processed according to the Patent Cooperation Treaty.

For receiving Office use only

International Application No.

International Filing Date

Name of receiving Office and "PCT International Application"

Applicant's or agent's file reference *(if desired) (12 characters maximum)* 02-760-B

Box No. I TITLE OF INVENTION
1,3-DIAMINO-2-HYDROXYPROPANE PRODRUG DERIVATIVES

Box No. II APPLICANT ☐ This person is also inventor

Name and address: *(Family name followed by given name; for a legal entity, full official designation. The address must include postal code and name of country. The country of the address indicated in this Box is the applicant's State (that is, country) of residence if no State of residence is indicated below.)*
ELAN PHARMACEUTICALS, INC.
800 Gateway Blvd.
South San Francisco, California 94080
US

Telephone No.

Facsimile No.

Teleprinter No.

Applicant's registration No. with the Office

State *(that is, country)* of nationality:
US

State *(that is, country)* of residence:
US

This person is applicant for the purposes of: ☐ all designated States ☒ all designated States except the United States of America ☐ the United States of America only ☐ the States indicated in the Supplemental Box

Box No. III FURTHER APPLICANT(S) AND/OR (FURTHER) INVENTOR(S)

Name and address: *(Family name followed by given name; for a legal entity, full official designation. The address must include postal code and name of country. The country of the address indicated in this Box is the applicant's State (that is, country) of residence if no State of residence is indicated below.)*
PHARMACIA & UPJOHN
301 Henrietta Street
Kalamazoo, Michigan 49007
US

This person is:
☒ applicant only
☐ applicant and inventor
☐ inventor only *(If this check-box is marked, do not fill in below.)*

Applicant's registration No. with the Office

State *(that is, country)* of nationality:
US

State *(that is, country)* of residence:
US

This person is applicant for the purposes of: ☐ all designated States ☒ all designated States except the United States of America ☐ the United States of America only ☐ the States indicated in the Supplemental Box

☒ Further applicants and/or (further) inventors are indicated on a continuation sheet.

Box No. IV AGENT OR COMMON REPRESENTATIVE; OR ADDRESS FOR CORRESPONDENCE

The person identified below is hereby/has been appointed to act on behalf of the applicant(s) before the competent International Authorities as: ☐ agent ☐ common representative

Name and address: *(Family name followed by given name; for a legal entity, full official designation. The address must include postal code and name of country.)*
SHALTOUT, Raafat M.
MCDONNELL BOEHNEN HULBERT & BERGHOFF
300 South Wacker Drive, Suite 3200
Chicago, Illinois 60606
US

Telephone No.
(312) 913 0001

Facsimile No.
(312) 913 0002

Teleprinter No.

Agent's registration No. with the Office
45,092

☐ **Address for correspondence:** Mark this check-box where no agent or common representative is/has been appointed and the space above is used instead to indicate a special address to which correspondence should be sent.

Continuation of Box No. III FURTHER APPLICANTS AND/OR (FURTHER) INVENTOR(S)

If none of the following sub-boxes is used, this sheet should not to be included in the request.

Name and address: *(Family name followed by given name; for a legal entity, full official designation. The address must include postal code and name of country. The country of the address indicated in this Box is the applicant's State (that is, country) of residence if no State of residence is indicated below.)*

FOBIAN, Yvette M.
580 Eagles Nest Court
Wildwood, Missouri 63011
US

This person is:

- ☐ applicant only
- ☒ applicant and inventor
- ☐ inventor only *(If this check-box is marked, do not fill in below.)*

Applicant's registration No. with the Office

State *(that is, country)* of nationality: US

State *(that is, country)* of residence: US

This person is applicant for the purposes of: ☐ all designated States ☐ all designated States except the United States of America ☒ the United States of America only ☐ the States indicated in the Supplemental Box

Name and address: *(Family name followed by given name; for a legal entity, full official designation. The address must include postal code and name of country. The country of the address indicated in this Box is the applicant's State (that is, country) of residence if no State of residence is indicated below.)*

FRESKOS, John N.
7572 York Drive
Clayton, Missouri 63105
US

This person is:

- ☐ applicant only
- ☒ applicant and inventor
- ☐ inventor only *(If this check-box is marked, do not fill in below.)*

Applicant's registration No. with the Office

State *(that is, country)* of nationality: US

State *(that is, country)* of residence: US

This person is applicant for the purposes of: ☐ all designated States ☐ all designated States except the United States of America ☒ the United States of America only ☐ the States indicated in the Supplemental Box

Name and address: *(Family name followed by given name; for a legal entity, full official designation. The address must include postal code and name of country. The country of the address indicated in this Box is the applicant's State (that is, country) of residence if no State of residence is indicated below.)*

JAGODZINSKA, Barbara
1485 Kentfield Avenue
Redwood City, California 94061
US

This person is:

- ☐ applicant only
- ☒ applicant and inventor
- ☐ inventor only *(If this check-box is marked, do not fill in below.)*

Applicant's registration No. with the Office

State *(that is, country)* of nationality: US

State *(that is, country)* of residence: US

This person is applicant for the purposes of: ☐ all designated States ☐ all designated States except the United States of America ☒ the United States of America only ☐ the States indicated in the Supplemental Box

Name and address: *(Family name followed by given name; for a legal entity, full official designation. The address must include postal code and name of country. The country of the address indicated in this Box is the applicant's State (that is, country) of residence if no State of residence is indicated below.)*

This person is:

- ☐ applicant only
- ☐ applicant and inventor
- ☐ inventor only *(If this check-box is marked, do not fill in below.)*

Applicant's registration No. with the Office

State *(that is, country)* of nationality:

State *(that is, country)* of residence:

This person is applicant for the purposes of: ☐ all designated States ☐ all designated States except the United States of America ☐ the United States of America only ☐ the States indicated in the Supplemental Box

☐ Further applicants and/or (further) inventors are indicated on another continuation sheet.

Box No.V DESIGNATION OF STATES *Mark the applicable check-boxes below; at least one must be marked.*

The following designations are hereby made under Rule 4.9(a):

Regional Patent

☒ **AP** **ARIPO Patent:** **GH** Ghana, **GM** Gambia, **KE** Kenya, **LS** Lesotho, **MW** Malawi, **MZ** Mozambique, **SD** Sudan, **SL** Sierra Leone, **SZ** Swaziland, **TZ** United Republic of Tanzania, **UG** Uganda, **ZM** Zambia, **ZW** Zimbabwe, and any other State which is a Contracting State of the Harare Protocol and of the PCT *(if other kind of protection or treatment desired, specify on dotted line)* .....

☒ **EA** **Eurasian Patent:** **AM** Armenia, **AZ** Azerbaijan, **BY** Belarus, **KG** Kyrgyzstan, **KZ** Kazakhstan, **MD** Republic of Moldova, **RU** Russian Federation, **TJ** Tajikistan, **TM** Turkmenistan, and any other State which is a Contracting State of

☒ **EP** **European Patent:** **AT** Austria, **BE** Belgium, **BG** Bulgaria, **CH & LI** Switzerland and Liechtenstein, **CY** Cyprus, **CZ** Czech Republic, **DE** Germany, **DK** Denmark, **EE** Estonia, **ES** Spain, **FI** Finland, **FR** France, **GB** United Kingdom, **GR** Greece, **HU** Hungary, **IE** Ireland, **IT** Italy, **LU** Luxembourg, **MC** Monaco, **NL** Netherlands, **PT** Portugal, **RO** Romania, **SE** Sweden, **SI** Slovenia, **SK** Slovakia, **TR** Turkey, and any other State which is a Contracting State of the European Patent Convention and of the PCT

☒ **OA** **OAPI Patent:** **BF** Burkina Faso, **BJ** Benin, **CF** Central African Republic, **CG** Congo, **CI** Côte d'Ivoire, **CM** Cameroon, **GA** Gabon, **GN** Guinea, **GQ** Equatorial Guinea, **GW** Guinea-Bissau, **ML** Mali, **MR** Mauritania, **NE** Niger, **SN** Senegal, **TD** Chad, **TG** Togo, and any other State which is a member State of OAPI and a Contracting State of the PCT *(if other kind of protection or treatment desired, specify on dotted line* .....

National Patent *(if other kind of protection or treatment desired, specify on dotted line):*

☒ **AE**	United Arab Emirates	☒ **HR**	Croatia	☒ **OM**	Oman
☒ **AG**	Antigua and Barbuda	☒ **HU**	Hungary	☒ **PG**	Papua New Guinea
☒ **AL**	Albania	☒ **ID**	Indonesia	☒ **PH**	Philippines
☒ **AM**	Armenia	☒ **IL**	Israel	☒ **PL**	Poland
☒ **AT**	Austria	☒ **IN**	India	☒ **PT**	Portugal
☒ **AU**	Australia	☒ **IS**	Iceland	☒ **RO**	Romania
☒ **AZ**	Azerbaijan	☒ **JP**	Japan	☒ **RU**	Russian Federation
☒ **BA**	Bosnia and Herzegovina	☒ **KE**	Kenya		
☒ **BB**	Barbados	☒ **KG**	Kyrgyzstan	☒ **SC**	Seychelles
☒ **BG**	Bulgaria	☒ **KP**	Democratic People's Republic	☒ **SD**	Sudan
☒ **BR**	Brazil		of Korea	☒ **SE**	Sweden
☒ **BY**	Belarus	☒ **KR**	Republic of Korea	☒ **SG**	Singapore
☒ **BZ**	Belize	☒ **KZ**	Kazakhstan	☒ **SK**	Slovakia
☒ **CA**	Canada	☒ **LC**	Saint Lucia	☒ **SL**	Sierra Leone
☒ **CH & LI**	Switzerland and Liechtenstein	☒ **LK**	Sri Lanka	☒ **SY**	Syrian Arab Republic
☒ **CN**	China	☒ **LR**	Liberia	☒ **TJ**	Tajikistan
☒ **CO**	Colombia	☒ **LS**	Lesotho	☒ **TM**	Turkmenistan
☒ **CR**	Costa Rica	☒ **LT**	Lithuania	☒ **TN**	Tunisia
☒ **CU**	Cuba	☒ **LU**	Luxembourg	☒ **TR**	Turkey
☒ **CZ**	Czech Republic	☒ **LV**	Latvia	☒ **TT**	Trinidad and Tobago
☒ **DE**	Germany	☒ **MA**	Morocco		
☒ **DK**	Denmark	☒ **MD**	Republic of Moldova	☒ **TZ**	United Republic of Tanzania
☒ **DM**	Dominica			☒ **UA**	Ukraine
☒ **DZ**	Algeria	☒ **MG**	Madagascar	☒ **UG**	Uganda
☒ **EC**	Ecuador	☒ **MK**	The former Yugoslav Republic of	☒ **US**	United States of America
☒ **EE**	Estonia		Macedonia		
☒ **ES**	Spain	☒ **MN**	Mongolia	☒ **UZ**	Uzbekistan
☒ **FI**	Finland	☒ **MW**	Malawi	☒ **VC**	Saint Vincent and the Grenadines
☒ **GB**	United Kingdom	☒ **MX**	Mexico	☒ **VN**	Viet Nam
☒ **GD**	Grenada	☒ **MZ**	Mozambique	☒ **YU**	Serbia and Montenegro
☒ **GE**	Georgia	☒ **NI**	Nicaragua	☒ **ZA**	South Africa
☒ **GH**	Ghana	☒ **NO**	Norway	☒ **ZM**	Zambia
☒ **GM**	Gambia	☒ **NZ**	New Zealand	☒ **ZW**	Zimbabwe

Check-boxes below reserved for designating States which have become party to the PCT after issuance of this sheet:

☐ ..... ☐ ..... ☐ .....

Precautionary Designation Statement: In addition to the designations made above, the applicant also makes under Rule 4.9(b) all other designations which would be permitted under the PCT except any designation(s) indicated in the Supplemental Box as being excluded from the scope of this statement. The applicant declares that those additional designations are subject to confirmation and that any designation which is not confirmed before the expiration of 15 months from the priority date is to be regarded as withdrawn by the applicant at the expiration of that time limit. *(Confirmation (including fees) must reach the receiving Office within the 15-month time limit.)*

Box No. VI PRIORITY CLAIM

The priority of the following earlier application(s) is hereby claimed:

Filing date of earlier application *(day/month/year)*	Number of earlier application	Where earlier application is:		
		national application: country or Member of WTO	regional application:* regional Office	international application: receiving Office
item (1) 06 September 2002 (06.09.02)	60/408,783	US		
item (2)				
item (3)				
item (4)				
item (5)				

☐ Further priority claims are indicated in the Supplemental Box.

The receiving Office is requested to prepare and transmit to the International Bureau a certified copy of the earlier application(s) *(only if the earlier application was filed with the Office which for the purposes of this international application is the receiving Office)* identified above as:

☐ all items ☒ item (1) ☐ item (2) ☐ item (3) ☐ item (4) ☐ item (5) ☐ other, see Supplemental Box

** Where the earlier application is an ARIPO application, indicate at least one country party to the Paris Convention for the Protection of Industrial Property or one Member of the World Trade Organization for which that earlier application was filed (Rule 4.10(b)(ii)):* .....

.....

Box No. VII INTERNATIONAL SEARCHING AUTHORITY

Choice of International Searching Authority (ISA) *(if two or more International Searching Authorities are competent to carry out the international search, indicate the Authority chosen; the two-letter code may be used):*

ISA/ EP.....

Request to use results of earlier search; reference to that search *(if an earlier search has been carried out by or requested from the International Searching Authority):*

Date *(day/month/year)* Number Country (*or regional Office*)

Box No. VIII DECLARATIONS

The following **declarations** are contained in Boxes Nos. VIII (i) to (v) *(mark the applicable check-boxes below and indicate in the right column the number of each type of declaration)*: Number of declarations

☐ Box No. VIII (i)	Declaration as to the identity of the inventor	:
☐ Box No. VIII (ii)	Declaration as to the applicant's entitlement, as at the international filing date, to apply for and be granted a patent	:
☐ Box No. VIII (iii)	Declaration as to the applicant's entitlement, as at the international filing date, to claim the priority of the earlier application	:
☐ Box No. VIII (iv)	Declaration of inventorship (only for the purposes of the designation of the United States of America)	:
☐ Box No. VIII (v)	Declaration as to non-prejudicial disclosures or exceptions to lack of novelty	:

Box No. IX CHECK LIST; LANGUAGE OF FILING

This international application **contains:**

(a) **in paper form,** the following number of sheets:

request (including declaration sheets)	:	5
description (excluding sequence listings and/or tables related thereto)	:	351
claims	:	183
abstract	:	1
drawings	:	
Sub-total number of sheets	:	540
sequence listings	:	
tables related thereto	:	
(for both, actual number of sheets if filed in paper form, whether or not also filed in computer readable form; see (c) below)	:	
Total number of sheets	:	540

(b) ☐ **only in computer readable form** (Section 801(a)(i))
- (i) ☐ sequence listings
- (ii) ☐ tables related thereto

(c) ☐ **also in computer readable form** (Section 801(a)(ii))
- (i) ☐ sequence listings
- (ii) ☐ tables related thereto

Type and number of carriers (diskette, CD-ROM, CD-R or other) on which are contained the
- ☐ sequence listings: .....
- ☐ tables related thereto: .....

(additional copies to be indicated under items 9(ii) and/or 10(ii), in right column)

This international application is **accompanied by** the following item(s) *(mark the applicable check-boxes below and indicate in right column the number of each item):*

	Number of items
1. ☒ fee calculation sheet	1
2. ☐ original separate power of attorney	
3. ☐ original general power of attorney	
4. ☐ copy of general power of attorney; reference number, if any:	
5. ☐ statement explaining lack of signature	
6. ☐ priority document(s) identified in Box No. VI as item(s):	
7. ☐ translation of international application into *(language):*	
8. ☐ separate indications concerning deposited microorganism or other biological material	
9. ☐ sequence listings in computer readable form *(indicate type and number of carriers)*	
(i) ☐ copy submitted for the purposes of international search under Rule 13*ter* only (and not as part of the international application)	
(ii) ☐ *(only where check-box (b)(i) or (c)(i) is marked in left column)* additional copies including, where applicable, the copy for the purposes of international search under Rule 13*ter*	
(iii) ☐ together with relevant statement as to the identity of the copy or copies with the sequence listings mentioned in left column	
10. ☐ tables in computer readable form related to sequence listings *(indicate type and number of carriers)*	
(i) ☐ copy submitted for the purposes of international search under Section 802(b-*quater*) only (and not as part of the international application)	
(ii) ☐ *(only where check-box (b)(ii) or (c)(ii) is marked in left column)* additional copies including, where applicable, the copy for the purposes of international search under Section 802(b-*quater*)	
(iii) ☐ together with relevant statement as to the identity of the copy or copies with the tables mentioned in left column	
11. ☒ other *(specify):* Transmittal Letter	1

Figure of the drawings which should accompany the abstract:

Language of filing of the international application:

Box No. X SIGNATURE OF APPLICANT, AGENT OR COMMON REPRESENTATIVE

Next to each signature, indicate the name of the person signing and the capacity in which the person signs (if such capacity is not obvious from reading the request).

Raafat M. Shaltout

Raafat M. Shaltout

For receiving Office use only

1. Date of actual receipt of the purported international application:	2. Drawings: ☐ received: ☐ not received:
3. Corrected date of actual receipt due to later but timely received papers or drawings completing the purported international application:	
4. Date of timely receipt of the required corrections under PCT Article 11(2):	
5. International Searching Authority (if two or more are competent): ISA/	6. ☐ Transmittal of search copy delayed until search fee is paid

For International Bureau use only

Date of receipt of the record copy by the International Bureau:

This sheet is not part of and does not count as a sheet of the international application.

PCT

FEE CALCULATION SHEET

Annex to the Request

For receiving Office use only

International Application No.

Date stamp of the receiving Office

Applicant's or agent's file reference: 02-760-B

Applicant: ELAN PHARMACEUTICALS, INC., ET AL.

CALCULATION OF PRESCRIBED FEES

1. TRANSMITTAL FEE ..... 240.00 T

2. SEARCH FEE ..... 1,020.00 S

International search to be carried out by: EP

(If two or more International Searching Authorities are competent to carry out the international search, indicate the name of the Authority which is chosen to carry out the international search.)

3. INTERNATIONAL FEE

Basic Fee

Where item (b) and/or (c) of Box No. IX apply, enter **Sub-total number of sheets**
Where item (b) and (c) of Box No. IX do not apply, enter **Total number of sheets** } 540

b1 first 30 sheets 476.00 b1

b2 510 (number of sheets in excess of 30) x 12.00 (fee per sheet) = 6,120.00 b2

b3 additional component (only if sequence listings and/or tables related thereto are filed in computer readable form under Section 801(a)(i), or both in that form and on paper, under Section 801(a)(ii)):

400 x _____ (fee per sheet) = _____ b3

Add amounts entered at b1, b2 and b3 and enter total at B ... 6,596.00 B

Designation Fees

The international application contains ALL designations.

5 (number of designation fees payable *(maximum 5)*) x 104.00 (amount of designation fee) = 520.00 D

Add amounts entered at B and D and enter total at I ..... 7,116.00 I

(Applicants from certain States are entitled to a reduction of 75% of the international fee. Where the applicant is (or all applicants are) so entitled, the

4. FEE FOR PRIORITY DOCUMENT *(if applicable)* ..... 20.00 P

5. TOTAL FEES PAYABLE ..... 8,396.00 TOTAL

Add amounts entered at T, S, I and P, and enter total in the TOTAL box

☐ The designation fees are not paid at this time.

MODE OF PAYMENT

☐ authorization to charge deposit account (see below) ☐ postal money order ☐ cash ☐ coupons

☒ cheque ☐ bank draft ☐ revenue stamps ☐ other *(specify):*

AUTHORIZATION TO CHARGE (OR CREDIT) DEPOSIT ACCOUNT

(This mode of payment may not be available at all receiving Offices)

Receiving Office: RO/ US

☐ Authorization to charge the total fees indicated above.

Deposit Account No.: 13-2490

☒ *(This check-box may be marked only if the conditions for deposit accounts of the receiving Office so permit)* Authorization to charge any deficiency or credit any overpayment in the total fees indicated above.

Date: 08 September 2003

Name: Raafat M. Shaltout

☐ Authorization to charge the fee for priority document.

Signature: Raafat M. Shaltout

Form PCT/RO/101 (Annex) (January 2003; reprint July 2003) *See Notes to the fee calculation sheet*

LegalStar 2003, Form PCTREQ

PATENT COOPERATION TREATY

PCT

NOTIFICATION CONCERNING SUBMISSION OR TRANSMITTAL OF PRIORITY DOCUMENT

(PCT Administrative Instructions, Section 411)

From the INTERNATIONAL BUREAU

To:

SHALTOUT, Raafat, M.
McDonnell Boehnen Hulbert & Berghoff
300 South Wacker Drive
Suite 3200
Chicago, IL 60606
United States of America

Date of mailing (day/month/year) 29 October 2003 (29.10.03)	
Applicant's or agent's file reference 02-760-B	**IMPORTANT NOTIFICATION**
International application No. PCT/US03/28116	International filing date (day/month/year) 08 September 2003 (08.09.03)
International publication date (day/month/year) Not yet published	Priority date (day/month/year) 06 September 2002 (06.09.02)
Applicant ELAN PHARMACEUTICALS, INC. et al	

1. The applicant is hereby notified of the date of receipt (except where the letters "NR" appear in the right-hand column) by the International Bureau of the priority document(s) relating to the earlier application(s) indicated below. Unless otherwise indicated by an asterisk appearing next to a date of receipt, or by the letters "NR", in the right-hand column, the priority document concerned was submitted or transmitted to the International Bureau in compliance with Rule 17.1(a) or (b).

2. This updates and replaces any previously issued notification concerning submission or transmittal of priority documents.

3. An **asterisk(*)** appearing next to a date of receipt, in the right-hand column, denotes a priority document submitted or transmitted to the International Bureau but not in compliance with Rule 17.1(a) or (b). In such a case, **the attention of the applicant is directed** to Rule 17.1(c) which provides that no designated Office may disregard the priority claim concerned before giving the applicant an opportunity, upon entry into the national phase, to furnish the priority document within a time limit which is reasonable under the circumstances.

4. The **letters "NR"** appearing in the right-hand column denote a priority document which was not received by the International Bureau or which the applicant did not request the receiving Office to prepare and transmit to the International Bureau, as provided by Rule 17.1(a) or (b), respectively. In such a case, **the attention of the applicant is directed** to Rule 17.1(c) which provides that no designated Office may disregard the priority claim concerned before giving the applicant an opportunity, upon entry into the national phase, to furnish the priority document within a time limit which is reasonable under the circumstances.

Priority date	Priority application No.	Country or regional Office or PCT receiving Office	Date of receipt of priority document
06 Sept 2002 (06.09.02)	60/408,783	US	27 Octo 2003 (27.10.03)

The International Bureau of WIPO 34, chemin des Colombettes 1211 Geneva 20, Switzerland	Authorized officer Alexandre BOUVIER (Fax 338-8995)
Facsimile No. (41-22) 338.89.95	Telephone No. (41-22) 338 8765

Form PCT/IB/304 (July 1998)

005936650

Sent to client 1/6/04

PATENT COOPERATION TREATY

PCT

NOTIFICATION OF RECEIPT OF RECORD COPY

(PCT Rule 24.2(a))

From the INTERNATIONAL BUREAU

To:

SHALTOUT, Raafat, M.
McDonnell Boehnen Hulbert & Berghoff
300 South Wacker Drive
Suite 3200
Chicago, IL 60606
United States of America

Date of mailing (day/month/year)	IMPORTANT NOTIFICATION
29 October 2003 (29.10.03)	
Applicant's or agent's file reference	**International application No.**
02-760-B	PCT/US03/28116

The applicant is hereby **notified** that the International Bureau has received the record copy of the international application as detailed below.

Name(s) of the applicant(s) and State(s) for which they are applicants:

ELAN PHARMACEUTICALS, INC. et al (for all designated States except US)
FOBIAN, Yvette, M. et al (for US)

International filing date	:	08 September 2003 (08.09.03)
Priority date(s) claimed	:	06 September 2002 (06.09.02)
Date of receipt of the record copy by the International Bureau	:	24 October 2003 (24.10.03)
List of designated Offices	:	

AP :GH,GM,KE,LS,MW,MZ,SD,SL,SZ,TZ,UG,ZM,ZW
EA :AM,AZ,BY,KG,KZ,MD,RU,TJ,TM
EP :AT,BE,BG,CH,CY,CZ,DE,DK,EE,ES,FI,FR,GB,GR,HU,IE,IT,LU,MC,NL,PT,RO,SE,SI,SK,TR
OA :BF,BJ,CF,CG,CI,CM,GA,GN,GQ,GW,ML,MR,NE,SN,TD,TG
National :AE,AG,AL,AM,AT,AU,AZ,BA,BB,BG,BR,BY,BZ,CA,CH,CN,CO,CR,CU,CZ,DE,DK,DM,DZ, EC,EE,ES,FI,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,NI,NO,NZ,OM,PG,PH,PL,PT,RO,RU,SC,SD,SE,SG,SK,SL,SY,TJ, TM,TN,TR,TT,TZ,UA,UG,US,UZ,VC,VN,YU,ZA,ZM,ZW

ATTENTION

The applicant should carefully check the data appearing in this Notification. In case of any discrepancy between these data and the indications in the international application, the applicant should immediately inform the International Bureau.

In addition, the applicant's attention is drawn to the information contained in the Annex, relating to:

- [X] time limits for entry into the national phase - **see updated important information (as of April 2002)**
- [X] confirmation of precautionary designations (if applicable)
- [ ] requirements regarding priority documents (if applicable)

A copy of this Notification is being sent to the receiving Office and to the International Searching Authority.

The International Bureau of WIPO
34, chemin des Colombettes
1211 Geneva 20, Switzerland

Facsimile No. (41-22) 338.89.95

Authorized officer:

Alexandre BOUVIER (Fax 338-8995)

Telephone No. (41-22) 338 8765

CONFIRMATION REPORT - MEMORY SEND

Time : MAR-01-05 17:03
Fax number: +3129130002
Name : MCDONNELL BOEHNEN HULBERT & BERGHOFF

Job	:	776
Date	:	MAR-01 16:42
To	:	801141223388720
Doc. pages	:	03
Start time	:	MAR-01 16:59
End time	:	MAR-01 17:00
Pages sent	:	03
Job:776		*** SEND SUCCESSFUL ***

Agent's reference 02-760-B

IN THE INTERNATIONAL BUREAU OF WIPO

International application No.	International filing date *(day/month/year)*	(Earliest) Priority date *(day/month/year)*
PCT/US2003/028116	08 SEPTEMBER 2003 (08.09.03)	06 SEPTEMBER 2002 (06.09.02)
Title of invention: 1, 3-DIAMINO-2-HYDROXYPROPANE PRODRUG DERIVATIVES		
Applicant(s): ELAN PHARMACEUTICALS, INC. et al.		

FACSIMILE TRANSMITTAL LETTER

The International Bureau of WIPO
34, chemin des Colombettes
1211 Geneva 20, Switzerland

Dear Sir:

In regard to the above-identified application we are transmitting herewith the following:

1. Request For Recording Changes Under Rule 92bis
2. Copy of corrected Page One of the PCT Request (PCT/RO/101)
3. There are no fees required.
3. CERTIFICATE OF TRANSMISSION: The undersigned hereby certifies that this Transmittal Letter and the papers, as described above, are being sent via facsimile to (41-22) 338.87.20, the International Bureau of WIPO, on this 1st day of March, 2005

By Raafat M. Shaltout
Raafat M. Shaltout
Attorney for Applicants

Agent's reference 02-760-B

IN THE UNITED STATES PATENT AND TRADEMARK OFFICE
UNITED STATES RECEIVING OFFICE (RO/US)

International application No. PCT/US2003/028116	International filing date *(day/month/year)* 08 SEPTEMBER 2003 (08.09.03)	(Earliest) Priority date *(day/month/year)* 06 SEPTEMBER 2002 (06.09.02)
Title of invention 1, 3-DIAMINO-2-HYDROXYPROPANE PRODRUG DERIVATIVES		
Applicant(s) ELAN PHARMACEUTICALS, INC. et al.		

Mail Stop PCT
Commissioner for Patents
PO Box 1450
Alexandria, VA 22313-1450

Fax No. 703-305-3230
Total No. of Pages: 3

COMMUNICATION

Dear Sir:

Attached please find a copy of a Request to Record a Change Under Rule 92bis and replacement Page One of the Request (PCT/RO/101), which corrects the applicant in the above world published application (WO 2004/022523). This change does not alter the subject matter of the originally filed international application.

The attached papers were filed via facsimile with the International Bureau of WIPO on 01 March 2005.

By Raafat M. Shaltout
Raafat M. Shaltout
Attorney for Applicants

Agent's reference 02-760-B

IN THE INTERNATIONAL BUREAU OF WIPO

International application No.	International filing date *(day/month/year)*	(Earliest) Priority date *(day/month/year)*
PCT/US2003/028116	08 SEPTEMBER 2003 (08.09.03)	06 SEPTEMBER 2002 (06.09.02)
Title of invention: 1, 3-DIAMINO-2-HYDROXYPROPANE PRODRUG DERIVATIVES		
Applicant(s): ELAN PHARMACEUTICALS, INC. et al.		

FACSIMILE TRANSMITTAL LETTER

The International Bureau of WIPO
34, chemin des Colombettes
1211 Geneva 20, Switzerland

Dear Sir:

In regard to the above-identified application we are transmitting herewith the following:

1. Request For Recording Changes Under Rule 92bis
2. Copy of corrected Page One of the PCT Request (PCT/RO/101)
3. There are no fees required.
3. CERTIFICATE OF TRANSMISSION: The undersigned hereby certifies that this Transmittal Letter and the papers, as described above, are being sent via facsimile to (41-22) 338.87.20, the International Bureau of WIPO, on this 14th day of March, 2005

By Raafat M. Shaltout
Raafat M. Shaltout
Attorney for Applicants

Agent's reference 02-760-B

IN THE INTERNATIONAL BUREAU OF WIPO

International application No. PCT/US2003/028116	International filing date *(day/month/year)* 08 SEPTEMBER 2003 (08.09.03)	(Earliest) Priority date *(day/month/year)* 06 SEPTEMBER 2002 (06.09.02)
Title of invention 1, 3-DIAMINO-2-HYDROXYPROPANE PRODRUG DERIVATIVES		
Applicant(s) ELAN PHARMACEUTICALS, INC. et al.		

REQUEST FOR RECORDING CHANGES UNDER RULE 92bis

The International Bureau of WIPO
34, chemin des Colombettes
1211 Geneva 20, Switzerland

Dear Sir:

The applicants hereby request the following changes be recorded in the above-identified application filed on 08 September 2003:

1. In Box No. III of the Request, the Applicant's name has changed. Please update the information as follows:

   PHARMACIA & UPJOHN COMPANY LLC
   301 Henrietta Street
   Kalamazoo, Michigan 49007
   US

   A replacement page 1 of the PCT Request is attached.

Respectfully submitted,

By Raafat M. Shaltout

Raafat M. Shaltout
Attorney for Applicants

569
570

PCT

REQUEST

The undersigned requests that the present international application be processed according to the Patent Cooperation Treaty.

For receiving Office use only

International Application No.

International Filing Date

Name of receiving Office and "PCT International Application"

Applicant's or agent's file reference *(if desired) (12 characters maximum)* 02-760-B

Box No. I TITLE OF INVENTION
1,3-DIAMINO-2-HYDROXYPROPANE PRODRUG DERIVATIVES

Box No. II APPLICANT ☐ This person is also inventor

Name and address: *(Family name followed by given name; for a legal entity, full official designation. The address must include postal code and name of country. The country of the address indicated in this Box is the applicant's State (that is, country) of residence if no State of residence is indicated below.)*
ELAN PHARMACEUTICALS, INC.
800 Gateway Blvd.
South San Francisco, California 94080
US

Telephone No.

Facsimile No.

Teleprinter No.

Applicant's registration No. with the Office

State *(that is, country)* of nationality: US

State *(that is, country)* of residence: US

This person is applicant for the purposes of: ☐ all designated States ☒ all designated States except the United States of America ☐ the United States of America only ☐ the States indicated in the Supplemental Box

Box No. III FURTHER APPLICANT(S) AND/OR (FURTHER) INVENTOR(S)

Name and address: *(Family name followed by given name; for a legal entity, full official designation. The address must include postal code and name of country. The country of the address indicated in this Box is the applicant's State (that is, country) of residence if no State of residence is indicated below.)*
PHARMACIA & UPJOHN COMPANY LLC
301 Henrietta Street
Kalamazoo, Michigan 49007
US

This person is:
☒ applicant only
☐ applicant and inventor
☐ inventor only *(If this check-box is marked, do not fill in below.)*

Applicant's registration No. with the Office

State *(that is, country)* of nationality: US

State *(that is, country)* of residence: US

This person is applicant for the purposes of: ☐ all designated States ☒ all designated States except the United States of America ☐ the United States of America only ☐ the States indicated in the Supplemental Box

☒ Further applicants and/or (further) inventors are indicated on a continuation sheet.

Box No. IV AGENT OR COMMON REPRESENTATIVE; OR ADDRESS FOR CORRESPONDENCE

The person identified below is hereby/has been appointed to act on behalf of the applicant(s) before the competent International Authorities as: ☐ agent ☐ common representative

Name and address: *(Family name followed by given name; for a legal entity, full official designation. The address must include postal code and name of country.)*
SHALTOUT, Raafat M.
MCDONNELL BOEHNEN HULBERT & BERGHOFF
300 South Wacker Drive, Suite 3200
Chicago, Illinois 60606
US

Telephone No.
(312) 913 0001

Facsimile No.
(312) 913 0002

Teleprinter No.

Agent's registration No. with the Office
45,092

☐ **Address for correspondence:** Mark this check-box where no agent or common representative is/has been appointed and the space above is used instead to indicate a special address to which correspondence should be sent.

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PATENT COOPERATION TREATY

DOCKETED

APR 23 2004

PCT DUE DATE BY

From the
INTERNATIONAL PRELIMINARY EXAMINING AUTHORITY

To:

MCDONNELL BOEHNEN HULBERT & BERGHOF
F, LLP
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)*	19-04-2004
Applicant's or agent's file reference: 02-760-B	**IMPORTANT NOTIFICATION**

International application No.	International filing date *(day/month/year)*	Priority date *(day/month/year)*
PCT/US03/28116	08/09/2003	06/09/2002

Applicant

ELAN PHARMACEUTICALS, INC. et al.

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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:

06/04/2004

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, in respect of some Offices, the demand does 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)) and the acts for entry into the national phase must therefore be performed within 20 months from the priority date (or later in some Offices). However, in respect of some other Offices, the time limit of 30 months (or later) may nevertheless apply. See the Annex to Form PCT/IB/301 and, for details about the applicable time limits, Office by Office, see the *PCT Applicant's Guide*, Volume II, National Chapters and the WIPO Internet site.

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

4. Only where paragraph 3 applies, a copy of this notification has been sent to the International Bureau.

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	FERRO VASCONCELOS M Tel. (+49-89) 2399-7905

Form PCT/IPEA/402 (April 2002; reprint January 2004) (14/04/2004)

Cont to client 5/14/04

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PATENT COOPERATION TREATY

PCT

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	FOR FURTHER ACTION	See Notification of Transmittal of International Preliminary Examination Report (Form PCT/IPEA/416)
02-760-B		
International application No.	International filing date *(day/month/year)*	Priority date *(day/month/year)*
PCT/US03/28116	08/09/2003	06/09/2002
International Patent Classification (IPC) or national classification and IPC		
C07D263/32		
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	Date of completion of this report
06/04/2004	28/07/2004
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	JONES M H Tel. (+49-89) 2399 2828

Europäisches Patentamt · European Patent Office · Office européen des brevets

Form PCT/IPEA/409 (cover sheet) P20476 (October 2002)

INTERNATIONAL PRELIMINARY EXAMINATION REPORT

International application No. PCT/US03/28116

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).

Traducción

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TRATADO DE COOPERACIÓN DE PATENTES
PCT
INFORME DE EXAMEN PRELIMINAR INTERNACIONAL
(Artículo 36 y Regla 70 del PCT)

Referencia del expediente del agente o solicitante 02-760-B	**PARA ACTUACIONES ADICIONALES**	Ver notificación de Transmisión del Reporte de Examen Preliminar (Formato PCT/IPEA416)
Solicitud internacional No. PCT/US03/28116	Fecha de presentación internacional (*día/mes/año*) 08/09/2003	Fecha de prioridad (*día/mes/año*) 06/09/2002
Clasificación Internacional de Patentes (IPC) o clasificación nacional e IPC C07D 263/32		
Solicitante ELAN PHARMACEUTICALS, INC et al.		

1. Este informe de examen preliminar internacional ha sido preparado por esta Administración encargada del Examen Preliminar Internacional y se envía al solicitante en concordancia con el artículo 36.

2. Este **INFORME** consta de una total de 2 hojas, incluyendo la portada

   ☐ Este informe también se acompaña de **ANEXOS**, esto es documentos de la descripción, reivindicaciones y/o dibujos que han sido corregidos y que son la base de este informe y/o documentos que contienen rectificaciones realizadas ante esta Administración (Ver Regla 70.16 y Sección 607 de las Instrucciones Administrativas según el PCT)

   Estos anexos constan de un total de _____ hojas.

3. Este informe contiene indicaciones relativas a los siguientes aspectos:

   I ☒ Fundamentos del Informe
   II ☐ Prioridad
   III ☒ No se establece concepto relativo a la novedad, nivel inventivo y aplicación industrial
   IV ☐ Carencia de unidad de la invención
   V ☒ Declaración razonada según el artículo 35(2), en relación con la novedad, nivel inventivo o aplicación industrial; citaciones y explicaciones que soportan dicha declaración
   VI ☐ Ciertos documentos citados
   VII ☐ Ciertos defectos de la solicitud internacional
   VIII ☐ Ciertas observaciones respecto a la solicitud internacional

Fecha de presentación de la demanda 06/04/2004	Fecha de terminación de este reporte 28/07/2004
Nombre y dirección de correo de la autoridad encargada del examen preliminar Oficina Europea de Patentes D-80298 Munich Tel. +49 89 2399 - 0 Tx: 523656 epmu d Fax: +49 89 2399 - 4465	Funcionario Autorizado Jones M H Teléfono No. (+49-89) 2399-2828

Formato PCT/IPEA/409 (portada) (julio 1998)

I. Base del informe

La base de este examen preliminar internacional es la solicitud internacional como se presentó originalmente.

III. No establecimiento de opinión con respecto a la novedad, nivel inventivo y aplicabilidad industrial.

La pregunta de si la invención reivindicada parece tener novedad, nivel inventivo, o que sea aplicable industrialmente no ha sido objeto de examen preliminar internacional con respecto a las reivindicaciones que no han sido buscadas (Artículo 17(2)(a) o (3) y Regla 66.1(e) PCT; ver también informe de búsqueda internacional).

V. Declaración motivada según el Artículo 35(2) en cuanto a la novedad, el nivel inventivo y la aplicación industrial; citas y explicaciones que apoyan esta declaración.

Hasta el punto en que este examen preliminar internacional ha sido realizado (ver ítem III arriba), lo siguiente puede señalarse:

A la luz de los documentos citados en el informe de búsqueda internacional, se considera que la invención como se define en algunas de las reivindicaciones, que han sido sujeto de un informe de búsqueda internacional, no parecen cumplir los criterios mencionados en el Artículo 33(1) PCT, es decir no parece ser novedosa y/o inventiva (ver informe de búsqueda internacional, en particular los documentos citados con X y/o Y y las correspondientes referencias a las reivindicaciones).

DERIVADOS DE LA PRODROGA 1,3-DIAMINO-2-HIDROXIPROPANO

REFERENCIA CRUZADA A SOLICITUDES RELACIONADAS

Esta solicitud reclama la prioridad de la Solicitud Provisional Estadounidense Serie No. 60/408,783, presentada en Septiembre 6, 2002, la cual se incorpora aquí como referencia en su totalidad.

ANTECEDENTES DE LA INVENCIÓN

Campo de la Invención

Esta invención se relaciona con derivados de la prodroga 1,3-diamino-2-hidroxipropano y a tales compuestos que son útiles en el tratamiento de la enfermedad de Alzheimer y enfermedades relacionadas. Más específicamente, se relaciona con tales compuestos que son capaces de producir o generar, sea in vitro o in vivo, compuestos que inhiben la beta-secretasa, una enzima que divide la proteína precursora amiloide para producir el péptido beta amiloide (beta A), un componente mayor de las placas amilides encontradas en los cerebros de aquellos que han sufrido Alzheimer.

Antecedentes de la Invención

La enfermedad de Alzheimer (AD) es una enfermedad degenerativa progresiva del cerebro principalmente asociada

con la edad. La presentación clínica de AD se caracteriza por la pérdida de memoria, de conocimiento, de razón, el juicio, y la orientación. A medida que la enfermedad progresa, las habilidades motoras, sensoras y lingüísticas también son afectadas hasta que existe un deterioro global de las funciones cognitivas múltiples. Estas pérdidas cognitivas ocurren gradualmente, pero típicamente llevan a deterioro severo y eventualmente a la muerte en el rango de cuatro a doce años.

La enfermedad de Alzheimer está caracterizada por dos observaciones patológicas principales en el cerebro: marañas neurofibrilares y placas beta amiloide (o neuríticas), que comprenden predominantemente un agregado de un fragmento de péptido conocido como beta A. Los individuos con AD exhiben depósitod beta-amiloide característicos en el cerebro (placas beta amiloide) y en los vasos sanguíneos cerebrales (angiopatía beta amiloide) lo mismo que marañas neurofibrilares. Las marañas neurofibrilares ocurren no solamente en la enfermedad de Alzheimer sino también en otros desordenes que inducen la demencia. En la autopsia, números grandes de estas lesiones se encuentran generalmente en las áreas del cerebro humano que son importantes para la memoria y la cognición.

Números pequeños de estas lesiones en una distribución anatómica más restringida se encuentran en los cerebros de humanos de mayor edad quienes no han tenido AD clínico. Las

placas amiloidogénicas y la angiopatía amiloide vascular también caracteriza los cerebros de individuos con Trisomía 21 (Síndrome de Down), la Hemorragia Cerebral Hereditaria con Amiloidosis del Tipo Dutch (HCHWA-D), y otros desordenes neurodegenerativos. La beta-amiloide es un rasgo que define el AD, y se cree ahora que es un precursor causativo o factor en el desarrollo de la enfermedad. La deposición de beta A en áreas del cerebro responsables de las actividades cognitivas es un factor principal en el desarrollo de AD. Las placas beta-amiloide están compuestas predominantemente del péptido beta amilloide (beta A, también algunas veces designado como betaA4). El péptido beta A se deriva por proteolisis de la proteína precursora de amiloide (APP) y está compuesto de 39-42 aminoácidos. Diversas proteasas llamadas secretasas están involucradas en el procesamiento del APP.

El clivage de APP en los N-terminales del péptido beta A por la beta-secretasa y en los C-terminales por unao más gama-secretasas constituye un camino de la beta-amiloidogénica, es decir, un camino por el cual el beta A es formado. El clivage de APP por las alfa-secretasas produce alfa-sAPP, una forma secretada de APP que no resulta en la formación de placa beta-amiloide. Este trayecto alterno precluye la formación del péptido beta A. Una descripción de los fragmentos de procesamiento proteolítico de APP se encuentra, por ejemplo, en la Patente Estadounidense No. 5,441,870; 5,721,130; y 5,942,400.

Una proteasa de aspartilo ha sido identificada como la enzima responsable para el procesamiento de APP en el sitio de división de la beta-secretasa. La enzima beta-secretasa ha sido descrita utilizando nomenclatura variada, incluyendo BACE, Asp, y Memapsin. Ver, por ejemplo, Sinha et al., 1999, *Nature* 402: 537-554 (p501) y la solicitud PCT publicada WO00/17369.

Diversas líneas de evidencia indican que la deposición progresiva cerebral del péptido beta-amiloide (beta A) juega un papel seminal en la patogénesis de AD y puede preceder a los síntomas cognitivos durante años o décadas. Ver, por ejemplo, Selkoe, 1991, *Neuron* 6: 487. La liberación de la beta A de las células neuronales crecidas en cultivos y la presencia de beta A en el fluido cerebroespinal (CSF) tanto en individuos normales como pacientes con AD ha sido demostrada. Ver, por ejemplo, Seubert et al., 1992, *Nature* 359: 325-327.

Se ha propuesto que el péptido beta A se acumula como un resultado del procesamiento de APP por la beta-secretasa, así la inhibición de esta actividad de la enzima es deseable para el tratamiento de AD. El procesamiento *in vivo* de APP en el sitio de clivage de la beta-secretasa se piensa que tiene una etapa limitante en velocidad en la producción de beta A, y es por lo tanto un objetivo terapéutico para el tratamiento del AD. Ver por ejemplo, Sabbagh, M., et al., 1997, *Alz. Dis. Rev.* 3, 1-19.

Ratones que eliminaron BACE1 fallan en producir el beta A, y presentan un fenotipo normal. Cuando son cruzados con ratones transgénicos que sobre expresan APP, la progenia muestra cantidades reducidas de beta A en extractos del cerebro según se comparó con los animales de control (Luo et al., 2001 *Nature Nuroscience* 4: 231-232). Esta evidencia adicionalmente soporta la proposición de que la inhibición de la actividad de beta-secretasa y la reducción de beta A en el cerebro suministra un método terapéutico para el tratamiento de AD y otros desordenes beta-amiloides.

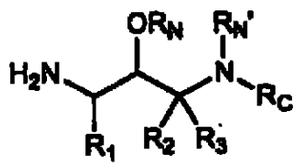
Hasta el momento no hay tratamientos efectivos para detener, prevenir, o reversar la progresión de la enfermedad de Alzheimer. Por lo tanto, existe una necesidad urgente de agentes farmacéuticos capaces de disminuir o ralentizar el progreso de la enfermedad de Alzheimer y/o impedirla en primer lugar.

Los compuestos que son inhibidores efectivos de la beta-secretasa, que inhiben el clivage de APP mediado por beta-secretasa, que son inhibidores efectivos de la producción de beta A y/o son efectivos para reducir los depósitos o placas beta-amiloides, son requeridos para el tratamiento y prevención de la enfermedad caracteizada por los depósitos o placas beta amiloide, tal como AD.

RESUMEN DE LA INVENCIÓN

La invención involucra los compuestos de fórmula (AA), (I) y (X) mostrados abajo, composiciones farmacéuticas que contienen los compuestos y los métodos que emplean tales compuestos o composiciones en el tratamiento de la enfermedad de Alzheimer y más específicamente compuestos que son capaces de inhibir la beta-secretasa, una enzima que cliva la proteína precursora amiloide para producir el péptido A-beta, un componente mayor de las placas amiloides encontradas en los cerebros de aquellos que sufen Alzheimer.

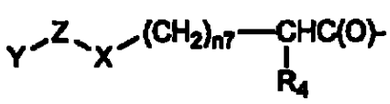
En un aspecto, la invención suministra compuestos de la fórmula AA:



(AA)

y sales farmacéuticamente aceptables de ésta, en donde uno de R_N y R_N' es hidrógeno y

el otro es $-C(=O)-(CRR')_{0-6}R_{100}$, $-C(=O)-(CRR')_{1-6}-O-R'_{100}$, $-C(=O)-(CRR')_{1-6}-S-R'_{100}$, $-C(=O)-(CRR')_{1-6}-C(=O)-R_{100}$, $-C(=O)-(CRR')_{1-6}-SO_2-R_{100}$, $-C(=O)-(CRR')_{1-6}-NR_{100}-R'_{100}$, o



en donde R_4 se selecciona del grupo que consiste de H; NH_2; -$NH-(CH_2)_{n6}-R_{4-1}$; -NHR_8; -$NR_{50}C(O)R_5$; alquilo C_1-C_4-$NHC(O)R_5$; -$(CH_2)_{0-4}R_8$; alcanoilo -O-C_1-C_4; OH; ariloxi C_6-C_{10} opcionalmente sustituido con 1, 2, o 3 grupos que son independientemente halógeno, alquilo C_1-C_4, -CO_2H, alcoxi -$C(O)$-C_1-C_4, o alcoxi C_1-C_4; alcoxi C_1-C_6; aril alcoxi C_1-C_4; -$NR_{50}CO_2R_{51}$; alquilo -C_1-C_4-$NR_{50}CO_2R_{51}$; -C≡N; -CF_3; -CF_2-CF_3; -C≡CH; -CH_2-CH=CH_2; -$(CH_2)_{1-4}-R_{4-1}$; -$(CH_2)_{1-4}$-NH-R_{4-1}; -O-$(CH_2)_{n6}-R_{4-1}$; -S-$(CH_2)_{n6}-R_{4-1}$; -$(CH_2)_{0-4}$-NHC(O)-$(CH_2)_{0-6}-R_{52}$; -$(CH_2)_{0-4}-R_{53}-(CH_2)_{0-4}-R_{54}$; en donde

n_6 es 0, 1, 2, o 3;

n_7 es 0, 1, 2, o 3;

R_{4-1} se selecciona del grupo que consiste de -SO_2-(alquilo C_1-C_8), -SO-(alquilo C_1-C_8), -S-(alquilo C_1-C_8), -S-CO-(alquilo C_1-C_6), -SO_2-$NR_{4-2}R_{4-3}$; alquilo -CO-C_1-C_2; -CO-$NR_{4-3}R_{4-4}$;

R_{4-2} y R_{4-3} son independientemente H, alquilo C_1-C_3, o cicloalquilo C_3-C_6;

R_{4-4} es alquilo, arilalquilo, alcanoilo, o arilalcanoilo;

R_{4-6} es -H o alquilo C_1-C_6;

R_5 se selecciona del grupo que consiste de cicloalquilo C_3-C_7; alquilo C_1-C_6 opcionalmente sustituido con 1, 2, o 3 grupos que son independientemente halógeno, $-NR_6R_7$, alcoxi C_1-C_4, heterocicloalquilo C_5-C_6, heteroarilo C_5-C_6, arilo C_6-C_{10}, cicloalquilo C_3-C_7 alquilo C_1-C_7, alquilo -S-C_1-C_4, alquilo $-SO_2$-C_1-C_4, $-CO_2H$, $-CONR_6R_7$, alquilo $-CO_2$-C_1-C_4, ariloxi C_6-C_{10}; heteroarilo opcionalmente sustituido con 1, 2, o 3 grupos que son independientemente alquilo C_1-C_4, alcoxi C_1-C_4, halógeno, haloalquilo C_1-C_4, o OH; heterocicloalquilo opcionalmente sustituido con 1, 2, o 3 grupos que son independientemente alquilo C_1-C_4, alcoxi C_1-C_4, halógeno, o alcanoilo C_2-C_4; arilo opcionalmente sustituido con 1, 2, 3, o 4 grupos que son independientemente halógeno, OH, alquilo C_1-C_4, alcoxi C_1-C_4, o haloalquilo C_1-C_4; y $-NR_6R_7$; en donde

R_6 y R_7 son independientemente seleccionados del grupo que consiste de H, alquilo C_1-C_6, alcanoilo C_2-C_6, fenilo, alquilo $-SO_2$-C_1-C_4, fenil alquilo C_1-C_4;

R_8 se selecciona del grupo que consiste de $-SO_2$-heteroarilo, $-SO_2$-arilo, $-SO_2$-heterocicloalquilo, alquilo $-SO_2$-C_1-C_{10}, -C(O)NHR_9, heterocicloalquilo, alquilo -S-C_1-C_6, Alcanoilo -S-C_2-C_4,

en donde R_9 es aril alquilo C_1-C_4, alquilo C_1-C_6, o H; R_{50} es H o alquilo C_1-C_6;

R_{51} se selecciona del grupo que consiste de aril alquilo C_1-C_4; alquilo C_1-C_6 opcionalmente sustituido con 1, 2, o 3

grupos que son independientemente halógeno, ciano, heteroaril, $-NR_6R_7$, $-C(O)NR_6R_7$, cicloalquilo C_3-C_7, o alcoxi $-C_1$-C_4; heterocicloalquilo opcionalmente sustituido con 1 o 2 grupos que son independientemente alquilo C_1-C_4, alcoxi C_1-C_4, halógeno, alcanoilo C_2-C_4, aril alquilo C_1-C_4, y $-SO_2$ alquilo C_1-C_4; alquenilo; alquinilo; heteroarilo opcionalmente sustituido con 1, 2, o 3 grupos que son independientemente OH, alquilo C_1-C_4, alcoxi C_1-C_4, halógeno, NH_2, NH (alquilo C_1-C_6) o N(alquilo C_1-C_6) (alquilo C_1-C_6); heteroarilalquilo opcionalmente sustituido con 1, 2, o 3 grupos que son independientemente alquilo C_1-C_4, alcoxi C_1-C_4, halógeno, NH_2, NH(alquilo C_1-C_6) o N(alquilo C_1-C_6) (alquilo C_1-C_6); arilo; heterocicloalquilo; cicloalquilo C_3-C_8; y cicloalquilalquilo; en donde the arilo; heterocicloalquilo, cicloalquilo C_3-C_8, y los grupos cicloalquilalquilo son opcionalmente sustituidos con 1, 2, 3, 4 o 5 grupos que son independientemente halógeno, CN, NO_2, alquilo C_1-C_6, alcoxi C_1-C_6, alcanoilo C_2-C_6, haloalquilo C_1-C_6, haloalcoxi C_1-C_6, hidroxi, hidroxialquilo C_1-C_6, alcoxi C_1-C_6 alquilo C_1-C_6, tioalcoxi C_1-C_6, tioalcoxi C_1-C_6 alquilo C_1-C_6, o alcoxi C_1-C_6 alcoxi C_1-C_6;

R_{52} es heterocicloalquilo, heteroarilo, arilo, cicloalquilo, alquilo $-S(O)_{0-2}$-C_1-C_6, CO_2H, $-C(O)NH_2$, -C(O)NH(alquil), -C(O)N(alquil) (alquil), $-CO_2$-alquil, alquilo $-NHS(O)_{0-2}$-C_1-C_6, $-N(alquil)S(O)_{0-2}$-C_1-C_6 alquil, $-S(O)_{0-2}$-heteroaril, -

$S(O)_{0-2}$-aril, -NH(arilalquil), -N(alquil) (arilalquil), tioalcoxi, o alcoxi, cada uno de los cuales es opcionalmente sustituido con 1, 2, 3, 4, o 5 grupos que son independientemente alquilo, alcoxi, tioalcoxi, halógeno, haloalquilo, haloalcoxi, alcanoilo, NO_2, CN, alcoxicarbonil, o aminocarbonil;

R_{53} es ausente, -O-, -C(O)-, -NH-, -N(alquil)-, -NH-$S(O)_{0-2}$-, -N(alquil)-$S(O)_{0-2}$-, -$S(O)_{0-2}$-NH-, -$S(O)_{0-2}$-N(alquil)-, -NH-C(S)-, o -N(alquil)-C(S)-;

R_{54} es heteroarilo, arilo, arilalquilo, heterocicloalquilo, CO_2H, -CO_2-alquil, -C(O)NH(alquil), -C(O)N(alquil) (alquil), -$C(O)NH_2$, alquilo C_1-C_8, OH, ariloxi, alcoxi, arilalcoxi, NH_2, NH(alquil), N(alquil) (alquil), o alquilo -C_1-C_6 alquilo -CO_2-C_1-C_6, cada uno de los cuales es opcionalmente sustituido con 1, 2, 3, 4, o 5 grupos que son independientemente alquilo, alcoxi, CO_2H, -CO_2-alquilo, tioalcoxi, halógeno, haloalquilo, haloalcoxi, hidroxialquilo, alcanoilo, NO_2, CN, alcoxicarbonilo, o aminocarbonilo;

X se selecciona del grupo que consiste de alquilidenilo -C_1-C_6 opcionalmente sustituido con 1, 2, o 3 grupos metilo; y -NR_{4-6}-; o R_4 y R_{4-6} se combinan para formar -$(CH_2)_{n10}$-, en donde n_{10} es 1, 2, 3, o 4;

Z se selecciona del grupo que consiste de un enlace; SO_2; SO; S; y C(O);

Y se selecciona del grupo que consiste de H; haloalquilo C_1-C_4; heterocicloalquilo C_5-C_6; arilo C_6-C_{10}; OH; $-N(Y_1)(Y_2)$; alquilo C_1-C_{10} opcionalmente sustituido con 1 hasta 3 sustituyentes los cuales pueden ser iguales o diferentes y se seleccionan del grupo que consiste de halógeno, hidroxi, alcoxi, tioalcoxi, y haloalcoxi; cicloalquilo C_3-C_8 opcionalmente sustituido con 1, 2, o 3 grupos independientemente seleccionados de alquilo C_1-C_3, y halógeno; alcoxi; arilo opcionalmente sustituido con halógeno, alquilo, alcoxi, CN o NO_2; arilalquilo opcionalmente sustituido con halógeno, alquilo, alcoxi, CN o NO_2; en donde

Y_1 y Y_2 son iguales o diferentes y son H; alquilo C_1-C_{10} opcionalmente sustituido con 1, 2, o 3 sustituyentes seleccionados del grupo que consiste de halógeno, alcoxi C_1-C_4, cicloalquilo C_3-C_8, y OH; alquenilo C_2-C_6; alcanoilo C_2-C_6; fenilo; alquilo -SO_2-C_1-C_4; fenil alquilo C_1-C_4; o cicloalquilo C_3-C_8 alquilo C_1-C_4; o

Y_1, Y_2 y el nitrógeno al cual ellos están adheridos forman un anillo seleccionado del grupo que consiste de piperazinilo, piperidinilo, morfolinilo, y pirolidinilo, en donde cada anillo

es opcionalmente sustituido con 1, 2, 3, o 4 grupos que son independientemente alquilo C_1-C_6, alcoxi C_1-C_6, alcoxi C_1-C_6 alquilo C_1-C_6, o halógeno;

R_1 es $-(CH_2)_{1-2}-S(O)_{0-2}-$(alquilo C_1-C_6), o

alquilo C_1-C_{10} opcionalmente sustituido con 1, 2, o 3 grupos independientemente seleccionados de halógeno, OH, =O, -SH, -C≡N, $-CF_3$, alcoxi $-C_1$-C_3, amino, mono- o dialquilamino, -N(R)C(O)R'-, -OC(=O)-amino y -OC(=O)-mono- o dialquilamino, o

alquenilo C_2-C_6 o alquinilo C_2-C_6, cada uno de los cuales es opcionalmente sustituido con 1, 2, o 3 grupos independientemente seleccionados de halógeno, -OH, -SH, -C≡N, $-CF_3$, alcoxi C_1-C_3, amino, y mono- o dialquilamino, o

arilo, heteroarilo, heterociclilo, alquil-arilo $-C_1$-C_6, alquil-heteroarilo $-C_1$-C_6, o alquil-heterociclilo $-C_1$-C_6, donde las porciones de anillo de cada uno están opcionalmente sustituidas con 1, 2, 3, o 4 grupos independientemente seleccionados de halógeno, -OH, -SH, -C≡N, $-NR_{105}R'_{105}$, $-CO_2R$, -N(R)COR', o $-N(R)SO_2R'$, alquilo -C(=O)-(C_1-C_4), $-SO_2$-amino, $-SO_2$-mono o dialquilamino, -C(=O)-amino, -C(=O)-mono o dialquilamino, alquilo $-SO_2$-(C_1-C_4), o

alcoxi $-C_1$-C_6 opcionalmente sustituido con 1, 2, o 3 grupos los cuales son independientemente un halógeno, o

cicloalquilo C_3-C_7 opcionalmente sustituido con 1, 2, o 3 grupos independientemente seleccionados de halógeno, -OH, -SH, -C=N, $-CF_3$, alcoxi C_1-C_3, amino, alquilo -C_1-C_6 y mono- o dialquilamino, o

alquilo C_1-C_{10} opcionalmente sustituido con 1, 2, o 3 grupos independientemente seleccionados de halógeno, -OH, -SH, -C=N, $-CF_3$, alcoxi -C_1-C_3, amino, mono- o dialquilamino y alquilo -C_1-C_3, o

alquenilo C_2-C_{10} o alquinilo C_2-C_{10} cada uno de los cuales es opcionalmente sustituido con 1, 2, o 3 grupos independientemente seleccionados de halógeno, -OH, -SH, -C=N, $-CF_3$, alcoxi C_1-C_3, amino, alquilo C_1-C_6 y mono- o dialquilamino; y

el grupo heterociclilo está opcionalmente además sustituido con oxo;

R y R'independientemente son hidrógeno o alquilo C_1-C_{10};

R_2 se selecciona del grupo que consiste de H; alquilo C_1-C_6, opcionalmente sustituido con 1, 2, o 3 sustituyentes que son independientemente seleccionados del grupo que consiste de alquilo C_1-C_3, halógeno, -OH, -SH, -C=N, $-CF_3$, alcoxi C_1-C_3, y $-NR_{1-a}R_{1-b}$; en donde R_{1-a} y R_{1-b} son -H o alquilo C_1-C_6; $-(CH_2)_{0-4}$-aril; $-(CH_2)_{0-4}$-heteroaril; alquenilo C_2-C_6; alquinilo C_2-C_6; $-CONR_{N-2}R_{N-3}$; $-SO_2NR_{N-2}R_{N-3}$; $-CO_2H$; y $-CO_2$-(alquilo C_1-C_4);

R_3 se selecciona del grupo que consiste de H; alquilo C_1-C_6, opcionalmente sustituido con 1, 2, o 3 sustituyentes independientemente seleccionados del grupo que consiste de alquilo C_1-C_3, halógeno, -OH, -SH, -C≡N, $-CF_3$, alcoxi C_1-C_3, y $-NR_{1-a}R_{1-b}$; $-(CH_2)_{0-4}$-aril; $-(CH_2)_{0-4}$-heteroaril; alquenilo C_2-C_6; alquinilo C_2-C_6; $-CO-NR_{N-2}R_{N-3}$; $-SO_2-NR_{N-2}R_{N-3}$; $-CO_2H$; y -CO-O-(alquilo C_1-C_4); o

R_2, R_3 y el carbono al cual ellos están adheridos forman un carbociclo de tres hasta siete átomos de carbono, en donde un átomo de carbono se reemplaza opcionalmente por un grupo seleccionado de -O-, -S-, $-SO_2$-, o $-NR_{N-2}$-;

Rc se selecciona del grupo que consiste de alquilo C_1-C_{10} opcionalmente sustituido con 1, 2, o 3 grupos independientemente seleccionados del grupo que consiste de R_{205}, $-OC{=}ONR_{235}R_{240}$, $-S(=O)_{0-2}$(alquilo C_1-C_6), -SH, $-NR_{235}C{=}ONR_{235}R_{240}$, $-C{=}ONR_{235}R_{240}$, y $-S(=O)_2NR_{235}R_{240}$; $-(CH_2)_{0-3}$-(C_3-C_8) cicloalquil en donde el cicloalquil es opcionalmente sustituido con 1, 2, o 3 grupos independientemente seleccionados del grupo que consiste de R_{205}, $-CO_2H$, y $-CO_2$-(alquilo C_1-C_4); $-(CR_{245}R_{250})_{0-4}$-arilo; $-(CR_{245}R_{250})_{0-4}$-heteroarilo; $-(CR_{245}R_{250})_{0-4}$-heterocicloalquil; $-(CR_{245}R_{250})_{0-4}$-aril-heteroarilo; $-(CR_{245}R_{250})_{0-4}$-aril-heterocicloalquilo; $-(CR_{245}R_{250})_{0-4}$-aril-arilo; $-(CR_{245}R_{250})_{0-4}$-heteroaril-arilo; $-(CR_{245}R_{250})_{0-4}$-heteroaril-heterocicloalquilo; $-(CR_{245}R_{250})_{0-4}$-heteroaril-heteroarilo; $-(CR_{245}R_{250})_{0-4}$-heterocicloalquil-heteroarilo; $-(CR_{245}R_{250})_{0-4}$-

heterocicloalquil-heterocicloalquilo; $-(CR_{245}R_{250})_{0-4}$-heterocicloalquil-arilo; $-[C(R_{255})(R_{260})]_{1-3}$-CO-N-$(R_{255})_2$; $-CH(aril)_2$; $-CH(heteroaril)_2$; $-CH(heterocicloalquil)_2$; -CH(aril)(heteroaril); ciclopentilo, ciclohexilo, o anillo cicloheptilo fusionado a arilo, heteroarilo, o heterocicloalquilo en donde un carbono del ciclopentilo, ciclohexilo, o cicloheptilo es opcionalmente reemplazado con NH, NR_{215}, O, o $S(=O)_{0-2}$, y en donde el grupo ciclopentilo, ciclohexilo, o cicloheptilo puede ser opcionalmente sustituido con 1 o 2 grupos que son independientemente R_{205} o =O; $-CO-NR_{235}R_{240}$; $-SO_2$-(alquilo C_1-C_4); alquenilo C_2-C_{10} opcionalmente sustituido con 1, 2, o 3 grupos R_{205}; alquinilo C_2-C_{10} opcionalmente sustituido con 1, 2, o 3 grupos R_{205}; $-(CH_2)_{0-1}-CH((CH_2)_{0-6}-OH)-(CH_2)_{0-6}$-arilo; $-(CH_2)_{0-1}-CHR_{C-6}-(CH_2)_{0-1}$-heteroarilo; -CH(-aril o -heteroaril)-CO-O(alquilo C_1-C_4); $-CH(-CH_2-OH)-CH(OH)$-fenil-NO_2; (alquilo C_1-C_6)-O-(alquilo C_1-C_6)-OH; $-CH_2-NH-CH_2-CH(-O-CH_2-CH_3)_2$; -H; y $-(CH_2)_{0-6}-C(=NR_{235})(NR_{235}R_{240})$; en donde

cada arilo es opcionalmente sustituido con 1, 2, o 3 R_{200};

cada heteroarilo es opcionalmente sustituido con 1, 2, 3, o 4 R_{200};

cada heterocicloalquilo es opcionalmente sustituido con 1, 2, 3, o 4 R_{210};

R_{200} en cada ocurrencia se selecciona independientemente del grupo que consiste de alquilo C_1-C_6 opcionalmente sustituido con 1, 2, o 3 grupos R_{205}; OH; $-NO_2$; halógeno; $-CO_2H$; C≡N; $-(CH_2)_{0-4}-CO-NR_{220}R_{225}$; $-(CH_2)_{0-4}-CO-$(alquilo C_1-C_{12}); $-(CH_2)_{0-4}-CO-$(alquenilo C_2-C_{12}); $-(CH_2)_{0-4}-CO-$(alquinilo C_2-C_{12}); $-(CH_2)_{0-4}-CO-$(cicloalquilo C_3-C_7); $-(CH_2)_{0-4}-CO-$arilo; $-(CH_2)_{0-4}-CO-$heteroarilo; $-(CH_2)_{0-4}-CO-$heterocicloalquilo; $-(CH_2)_{0-4}-CO_2R_{215}$; $-(CH_2)_{0-4}-SO_2-NR_{220}R_{225}$; $-(CH_2)_{0-4}-SO-$(alquilo C_1-C_8); $-(CH_2)_{0-4}-SO_2-$(C_1-C_{12} alquilo); $-(CH_2)_{0-4}-SO_2-$(cicloalquilo C_3-C_7); $-(CH_2)_{0-4}-N$(H o R_{215})-CO_2R_{215}; $-(CH_2)_{0-4}-N$ (H o R_{215})-CO-$N(R_{215})_2$; $-(CH_2)_{0-4}-N-CS-N(R_{215})_2$; $-(CH_2)_{0-4}-N$ (-H o R_{215})-CO-R_{220}; $-(CH_2)_{0-4}-NR_{220}R_{225}$; $-(CH_2)_{0-4}-O-CO-$(alquilo C_1-C_6); $-(CH_2)_{0-4}-O-P(O)-(OR_{240})_2$; $-(CH_2)_{0-4}-O-CO-N(R_{215})_2$; $-(CH_2)_{0-4}-O-CS-N(R_{215})_2$; $-(CH_2)_{0-4}-O-(R_{215})_2$; $-(CH_2)_{0-4}-O-(R_{215})_2-COOH$; $-(CH_2)_{0-4}-S-(R_{215})_2$; $-(CH_2)_{0-4}-O-$(alquilo C_1-C_6 opcionalmente sustituido con 1, 2, 3, o 5 -F); cicloalquilo C_3-C_7; alquenilo C_2-C_6 opcionalmente sustituido con 1 o 2 grupos R_{205}; alquinilo C_2-C_6 opcionalmente sustituido con 1 o 2 grupos R_{205}; $-(CH_2)_{0-4}-N$(H o R_{215})-SO_2-R_{220}; y $-(CH_2)_{0-4}-C_3$-C_7 cicloalquilo;

en donde cada grupo arilo en cada ocurrencia es opcionalmente sustituido con 1, 2, o 3 grupos que son independientemente R_{205}, R_{210} o alquilo C_1-C_6 sustituido con 1, 2, o 3 grupos que son independientemente R_{205} o R_{210};

en donde cada grupo heterocicloalquilo en cada ocurrencia es opcionalmente sustituido con 1, 2, o 3 grupos que son independientemente R_{210};

en donde cada heterogrupo arilo en cada ocurrencia es opcionalmente sustituido con 1, 2, o 3 grupos que son independientemente R_{205}, R_{210}, o alquilo C_1-C_6 sustituido con 1, 2, o 3 grupos que son independientemente R_{205} o R_{210};

R_{205} en cada ocurrencia se selecciona independientemente del grupo que consiste de alquilo C_1-C_6, halógeno, -OH, -O-fenilo, -SH, -C≡N, $-CF_3$, alcoxi C_1-C_6, NH_2, NH(alquilo C_1-C_6), y N-(alquilo C_1-C_6) (alquilo C_1-C_6);

R_{210} en cada ocurrencia se selecciona independientemente del grupo que consiste de alquilo C_1-C_6 opcionalmente sustituido con 1, 2, o 3 grupos R_{205}; alquenilo C_2-C_6 opcionalmente sustituido con 1, 2, o 3 grupos R_{205}; alquinilo C_2-C_6 opcionalmente sustituido con 1, 2, o 3 grupos R_{205}; halógeno; alcoxi C_1-C_6; haloalcoxi C_1-C_6; -$NR_{220}R_{225}$; OH; C≡N; cicloalquilo C_3-C_7 opcionalmente sustituido con 1, 2, o 3 grupos R_{205}; -CO-(alquilo C_1-C_4); $\_SO_2\_NR_{235}R_{240}$; -CO-$NR_{235}R_{240}$; $-SO_2$-(alquilo C_1-C_4); y =O; en donde

R_{215} en cada ocurrencia se selecciona independientemente del grupo que consiste de alquilo C_1-C_6, $-(CH_2)_{0-2}$-(aril), alquenilo C_2-C_6, alquinilo C_2-C_6, cicloalquilo C_3-C_7, y -$(CH_2)_{0-2}$-(heteroaril), $-(CH_2)_{0-2}$-(heterocicloalquil); en donde el grupo arilo en cada ocurrencia es opcionalmente

sustituido con 1, 2, o 3 grupos que son independientemente R_{205} o R_{210}; en donde el grupo heterocicloalquilo en cada ocurrencia es opcionalmente sustituido con 1, 2, o 3 R_{210}; en donde cada grupo heteroarilo en cada ocurrencia es opcionalmente sustituido con 1, 2, o 3 R_{210};

R_{220} y R_{225} en cada ocurrencia son independientemente seleccionados del grupo que consiste de -H, alquilo -C_1-C_6, hidroxi alquilo C_1-C_6, amino alquilo C_1-C_6; halo alquilo C_1-C_6; cicloalquilo -C_3-C_7, -(alquilo C_1-C_2)-(cicloalquilo C_3-C_7), -(alquilo C_1-C_6)-O-(alquilo C_1-C_3), alquenilo -C_2-C_6, alquinilo -C_2-C_6, cadena alquilo -C_1-C_6 con un enlace doble y un enlace triple, -arilo, -heteroarilo, y -heterocicloalquilo; en donde el grupo arilo en cada ocurrencia es opcionalmente sustituido con 1, 2, o 3 grupos R_{270}, en donde

R_{270} en cada ocurrencia es independientemente R_{205}, alquilo C_1-C_6 opcionalmente sustituido con 1, 2, o 3 grupos R_{205}; alquenilo C_2-C_6 opcionalmente sustituido con 1, 2, o 3 grupos R_{205}; alquinilo C_2-C_6 opcionalmente sustituido con 1, 2, o 3 grupos R_{205}; halógeno; alcoxi C_1-C_6; haloalcoxi C_1-C_6; $NR_{235}R_{240}$; OH; C-N; cicloalquilo C_3-C_7 opcionalmente sustituido con 1, 2, o 3 grupos R_{205}; -CO-(alquilo C_1-C_4); $\_SO_2\_NR_{235}R_{240}$; -CO-$NR_{235}R_{240}$; -SO_2-(alquilo C_1-C_4); y =O; en donde el grupo heterocicloalquilo en cada ocurrencia es opcionalmente sustituido con 1, 2, o 3 grupos R_{205}; en

donde cada grupo heteroarilo en cada ocurrencia es opcionalmente sustituido con 1, 2, o 3 grupos R_{205};

R_{235} y R_{240} en cada ocurrencia son independientemente H, o alquilo C_1-C_6;

R_{245} y R_{250} en cada ocurrencia son independientemente seleccionados del grupo que consiste de H, alquilo C_1-C_4, hidroxialquilo C_1-C_4, alcoxi C_1-C_4, haloalcoxi C_1-C_4, -$(CH_2)_{0-4}$-C_3-C_7 cicloalquilo, alquenilo C_2-C_6, alquinilo C_2-C_6, aril alquilo C_1-C_4, heteroaril alquilo C_1-C_4, y fenilo; o

R_{245} y R_{250} son tomados junto con el carbono al cual ellos están adheridos 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 del grupo que consiste de -O-, -S-, -SO_2-, y -NR_{220}-;

R_{255} y R_{260} en cada ocurrencia son independientemente seleccionados del grupo que consiste de H; alquilo C_1-C_6 opcionalmente sustituido con 1, 2, o 3 grupos R_{205}; alquenilo C_2-C_6 opcionalmente sustituido con 1, 2, o 3 grupos R_{205}; alquinilo C_2-C_6 opcionalmente sustituido con 1, 2, o 3 grupos R_{205}; -$(CH_2)_{1-2}$-$S(O)_{0-2}$-(alquilo C_1-C_6); -$(CH_2)_{0-4}$-C_3-C_7 cicloalquilo opcionalmente sustituido con 1, 2, o 3 grupos R_{205}; -(alquilo C_1-C_4)-arilo; -(alquilo C_1-C_4)-heteroarilo; -(alquilo C_1-C_4)-heterocicloalquilo; -

arilo; -heteroarilo; -heterocicloalquilo; $-(CH_2)_{1-4}-R_{265}-(CH_2)_{0-4}$-arilo; $-(CH_2)_{1-4}-R_{265}-(CH_2)_{0-4}$-heteroarilo; y; $-(CH_2)_{1-4}-R_{265}-(CH_2)_{0-4}$-heterocicloalquilo; en donde R_{265} en cada ocurrencia es independientemente -O-, -S- o -N(alquilo C_1-C_6)-;

cada arilo o fenilo es opcionalmente sustituido con 1, 2, o 3 grupos que son independientemente R_{205}, R_{210}, o alquilo C_1-C_6 sustituido con 1, 2, o 3 grupos que son independientemente R_{205} o R_{210};

cada heteroarilo es opcionalmente sustituido con 1, 2, 3, o 4 R_{200}, cada heterocicloalquilo es opcionalmente sustituido con 1, 2, 3, o 4 R_{210};

R_{100} y R'_{100} independientemente representan arilo, heteroarilo, heterociclilo, -aril-W-arilo, -aril-W-heteroarilo, -aril-W-heterociclilo, -heteroaril-W-arilo, heteroaril-W-heteroarilo, -heteroaril-W-heterociclilo, -heterociclil-W-arilo, -heterociclil-W-heteroarilo, -heterociclil-W-heterociclilo, $-CH[(CH_2)_{0-2}-O-R_{150}]-(CH_2)_{0-2}$-arilo, $-CH[(CH_2)_{0-2}-O-R_{150}]-(CH_2)_{0-2}$-heterociclilo o $-CH[(CH_2)_{0-2}-O-R_{150}]-(CH_2)_{0-2}$-heteroarilo, donde las porciones de anillo de cada uno están opcionalmente sustituidas con 1, 2, o 3 grupos independientemente seleccionados de

-OR, $-NO_2$, alquilo C_1-C_6, halógeno, -C≡N, $-OCF_3$, $-CF_3$, $-(CH_2)_{0-4}-O-P(=O)(OR)(OR')$, $-(CH_2)_{0-4}-CO-NR_{105}R'_{105}$, $-(CH_2)_{0-4}-O-(CH_2)_{0-4}-CONR_{102}R_{102}'$, $-(CH_2)_{0-4}-CO-($ alquilo C_1-C_{12}), $-(CH_2)_{0-4}-CO-$(alquenilo C_2-C_{12}), $-(CH_2)_{0-4}-CO-$

(alquinilo C_2-C_{12}), $-(CH_2)_{0-4}$-CO-$(CH_2)_{0-4}$(cicloalquilo C_3-C_7), $-(CH_2)_{0-4}$-R_{110}, $-(CH_2)_{0-4}$-R_{120}, $-(CH_2)_{0-4}$-R_{130}, $-(CH_2)_{0-4}$-CO-R_{110}, $-(CH_2)_{0-4}$-CO-R_{120}, $-(CH_2)_{0-4}$-CO-R_{130}, $-(CH_2)_{0-4}$-CO-R_{140}, $-(CH_2)_{0-4}$-CO-O-R_{150}, $-(CH_2)_{0-4}$-SO_2-$NR_{105}R'_{105}$, $-(CH_2)_{0-4}$-SO-(alquilo C_1-C_8), $-(CH_2)_{0-4}$-SO_2-(alquilo C_1-C_{12}), $-(CH_2)_{0-4}$-SO_2-$(CH_2)_{0-4}$-(cicloalquilo C_3-C_7), $-(CH_2)_{0-4}$-$N(R_{150})$-CO-O-R_{150}, $-(CH_2)_{0-4}$-$N(R_{150})$-CO-$N(R_{150})_2$, $-(CH_2)_{0-4}$-$N(R_{150})$-CS-$N(R_{150})_2$, $-(CH_2)_{0-4}$-$N(R_{150})$-CO-R_{105}, $-(CH_2)_{0-4}$-$NR_{105}R'_{105}$, $-(CH_2)_{0-4}$-R_{140}, $-(CH_2)_{0-4}$-O-CO-(alquilo C_1-C_6), $-(CH_2)_{0-4}$-O-P(O)-$(O$-$R_{110})_2$, $-(CH_2)_{0-4}$-O-CO-$N(R_{150})_2$, $-(CH_2)_{0-4}$-O-CS-$N(R_{150})_2$, $-(CH_2)_{0-4}$-O-(R_{150}), $-(CH_2)_{0-4}$-O-R_{150}'-COOH, $-(CH_2)_{0-4}$-S-(R_{150}), $-(CH_2)_{0-4}$-$N(R_{150})$-SO_2-R_{105}, $-(CH_2)_{0-4}$-C_3-C_7 cicloalquilo, alquenilo (C_2-C_{10}), y alquinilo (C_2-C_{10}), o

R_{100} es alquilo C_1-C_{10} opcionalmente sustituido con 1, 2, o 3 grupos R_{115}, o

R_{100} es -(alquilo C_1-C_6)-O-C_1-C_6 alquil) o -(alquilo C_1-C_6)-S-(alquilo C_1-C_6), cada uno de los cuales es opcionalmente sustituido con 1, 2, o 3 grupos R_{115}, o

R_{100} es cicloalquilo C_3-C_8 opcionalmente sustituido con 1, 2, o 3 grupos R_{115};

W es $-(CH_2)_{0-4}$-, -O-, $-S(O)_{0-2}$-, $-N(R_{135})$-, -CR(CH)- o -C(O)-;

R_{102} y R_{102}' independientemente son hidrógeno, o

alquilo C_1-C_{10} opcionalmente sustituido con 1, 2, o 3 grupos que son independientemente halógeno, arilo o $-R_{110}$;

R_{105} y R'_{105} independientemente representan -H, $-R_{110}$, $-R_{120}$, cicloalquilo C_3-C_7, -(alquilo C_1-C_2)-(cicloalquilo C_3-C_7), -(alquilo C_1-C_6)-O-(alquilo C_1-C_3), alquenilo C_2-C_6, alquinilo C_2-C_6, o cadena alquilo C_1-C_6 con un enlace doble y un enlace triple, o

alquilo C_1-C_6 opcionalmente sustituido con -OH o $-NH_2$; o,

alquilo C_1-C_6 opcionalmente sustituido con 1, 2, o 3 grupos independientemente seleccionados de halógeno, o

R_{105} y R'_{105} junto con el átomo al cual ellos están adheridos forman un anillo carbocíclico de 3 a 7 miembros, donde un miembro es opcionalmente un heterátomo seleccionado de -O-, $-S(O)_{0-2}$-, $-N(R_{135})$-, el anillo es opcionalmente sustituido con 1, 2 o 3 grupos R_{140} seleccionados independientemente;

R_{115} en cada ocurrencia es independientemente halógeno, -OH, $-CO_2R_{102}$, tioalcoxi -C_1-C_6, $-CO_2$-fenilo, $-NR_{105}R'_{135}$, $-SO_2$-(alquilo C_1-C_8), -C(=O) R_{180}, R_{180}, $-CONR_{105}R'_{105}$, $-SO_2NR_{105}R'_{105}$, -NH-CO-(alquilo C_1-C_6), -NH-C(=O)-OH, -NH-C(=O)-OR, -NH-C(=O)-O-fenilo, -O-C(=O)-(alquilo C_1-C_6), -O-C(=O)-amino, -O-C(=O)-mono- o dialquilamino, -O-C(=O)-

fenilo, -O-(alquilo C_1-C_6)-CO_2H, -NH-SO_2-(alquilo C_1-C_6), alcoxi C_1-C_6 o haloalcoxi C_1-C_6;

R_{135} es alquilo C_1-C_6, alquenilo C_2-C_6, alquinilo C_2-C_6, cicloalquilo C_3-C_7, -$(CH_2)_{0-2}$-(aril), -$(CH_2)_{0-2}$-(heteroaril), o -$(CH_2)_{0-2}$-(heterociclil);

R_{140} es heterociclilo opcionalmente sustituido con 1, 2, 3, o 4 grupos independientemente seleccionados de alquilo C_1-C_6, alcoxi C_1-C_6, halógeno, hidroxi, ciano, nitro, amino, mono (C_1-C_6) alquilamino, di(C_1-C_6)alquilamino, alquenilo C_2-C_6, alquinilo C_2-C_6, haloalquilo C_1-C_6, haloalcoxi C_1-C_6, amino(C_1-C_6)alquilo, mono(C_1-C_6)alquilamino(C_1-C_6)alquilo, di(C_1-C_6)alquilamino(C_1-C_6)alquilo, y =O;

R_{145} es alquilo C_1-C_6 o CF_3;

R_{150} es hidrógeno, cicloalquilo C_3-C_7, -(alquilo C_1-C_2)-(cicloalquilo C_3-C_7), alquenilo C_2-C_6, alquinilo C_2-C_6, alquilo C_1-C_6 con un enlace doble y un enlace triple, -R_{110}, -R_{120}, o

alquilo C_1-C_6 opcionalmente sustituido con 1, 2, 3, o 4 grupos independientemente seleccionados de -OH, -NH_2, alcoxi C_1-C_3, R_{110}, y halógeno;

R_{150}' es cicloalquilo C_3-C_7, -(alquilo C_1-C_3)-(cicloalquilo C_3-C_7), alquenilo C_2-C_6, alquinilo C_2-C_6, alquilo C_1-C_6 con un enlace doble y un enlace triple, -R_{110}, -R_{120}, o

alquilo C_1-C_6 opcionalmente sustituido con 1, 2, 3, o 4 grupos independientemente seleccionados de -OH, -NH_2, alcoxi C_1-C_3, R_{110}, y halógeno;

R_{155} es cicloalquilo C_3-C_7, -(alquilo C_1-C_2)-(cicloalquilo C_3-C_7), alquenilo C_2-C_6, alquinilo C_2-C_6, alquilo C_1-C_6 con un enlace doble y un enlace triple, -R_{110}, -R_{120}, o

alquilo C_1-C_6 opcionalmente sustituido con 1, 2, 3, o 4 grupos independientemente seleccionados de -OH, -NH_2, alcoxi C_1-C_3, y halógeno;

R_{180} se selecciona de morfolinilo, tiomorfolinilo, piperazinilo, piperidinilo, homomorfolinilo, homotiomorfolinilo, homotiomorfolinilo S-óxido, homotiomorfolinil S,S-dióxido, pirrolinilo y pirrolidinilo, cada uno de los cuales es opcionalmente sustituido con 1, 2, 3, o 4 grupos independientemente seleccionados de alquilo C_1-C_6, alcoxi C_1-C_6, halógeno, hidroxi, ciano, nitro, amino, mono(C_1-C_6)alquilamino, di(C_1-C_6)alquilamino, alquenilo C_2-C_6, alquinilo C_2-C_6, haloalquilo C_1-C_6, haloalcoxi C_1-C_6, amino (C_1-C_6)alquilo, mono(C_1-C_6)alquilamino(C_1-C_6)alquilo, di(C_1-C_6)alquilamino(C_1-C_6)alquilo, y =O;

R_{110} es arilo opcionalmente sustituido con 1 o 2 grupos R_{125};

R_{125} en cada ocurrencia es independientemente halógeno, amino, mono- o dialquilamino, -OH, -C≡N, -SO_2-NH_2, -SO_2-NH-C_1-C_6

alquilo, $-SO_2-N$(alquilo $C_1-C_6)_2$, $-SO_2-$(alquilo C_1-C_4), $-CO-NH_2$, $-CO-NH-C_1-C_6$ alquilo, o $-CO-N$(alquilo $C_1-C_6)_2$, o

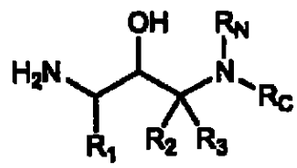
alquilo C_1-C_6, alquenilo C_2-C_6 o alquinilo C_2-C_6, cada uno de los cuales es opcionalmente sustituido con 1, 2, o 3 grupos que son independientemente seleccionados de alquilo C_1-C_3, halógeno, -OH, -SH, $-C\equiv N$, $-CF_3$, alcoxi C_1-C_3, amino, y mono- y dialquilamino, o

alcoxi C_1-C_6 opcionalmente sustituido con uno, dos o tres de halógeno;

R_{120} es heteroarilo, el cual es opcionalmente sustituido con 1 o 2 grupos R_{125}; y

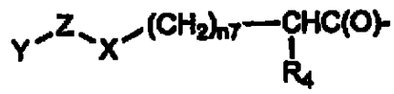
R_{130} es heterociclilo opcionalmente sustituido con 1 o 2 grupos R_{125}.

La invención también suministra compuestos de la fórmula I:



(I)

y sales farmacéuticamente aceptables de ésta, en donde R_1, R_2, R_3, y R_c son según se definió mediante la fórmula (AA), y R_N es $-C(=O)-(CRR')_{0-6}R_{100}$, $-C(=O)-(CRR')_{1-6}-O-R'_{100}$, $-C(=O)-(CRR')_{1-6}-S-R'_{100}$, $-C(=O)-(CRR')_{1-6}-C(=O)-R_{100}$, $-C(=O)-(CRR')_{1-6}-SO_2-R_{100}$, $-C(=O)-(CRR')_{1-6}-NR_{100}-R'_{100}$, o R_N es



en donde

R_4 se selecciona del grupo que consiste de H; NH_2; $-NH-(CH_2)_{n6}-R_{4-1}$; $-NHR_8$; $-NR_{50}C(O)R_5$; alquilo $C_1-C_4-NHC(O)R_5$; $-(CH_2)_{0-4}R_8$; alcanoilo $-O-C_1-C_4$; OH; ariloxi C_6-C_{10} opcionalmente sustituido con 1, 2, o 3 grupos que son independientemente halógeno, alquilo C_1-C_4, $-CO_2H$, alcoxi $-C(O)-C_1-C_4$, o alcoxi C_1-C_4; alcoxi C_1-C_6; aril alcoxi C_1-C_4; $-NR_{50}CO_2R_{51}$; $-C_1-C_4$ alquil$-NR_{50}CO_2R_{51}$; $-C\equiv N$; $-CF_3$; $-CF_2-CF_3$; $-C\equiv CH$; $-CH_2-CH=CH_2$; $-(CH_2)_{1-4}-R_{4-1}$; $-(CH_2)_{1-4}-NH-R_{4-1}$; $-O-(CH_2)_{n6}-R_{4-1}$; $-S-(CH_2)_{n6}-R_{4-1}$; $-(CH_2)_{0-4}-NHC(O)-(CH_2)_{0-6}-R_{52}$; $-(CH_2)_{0-4}-R_{53}-(CH_2)_{0-4}-R_{54}$; en donde

n_6 es 0, 1, 2, o 3;

n_7 es 0, 1, 2, o 3;

R_{4-1} se selecciona del grupo que consiste de $-SO_2-$(alquilo C_1-C_8), $-SO-$(alquilo C_1-C_8), $-S-$(alquilo C_1-C_8), $-S-CO-$(alquilo C_1-C_6), $-SO_2-NR_{4-2}R_{4-3}$; alquilo $-CO-C_1-C_2$; $-CO-NR_{4-3}R_{4-4}$;

R_{4-2} y R_{4-3} son independientemente H, alquilo C_1-C_3, o cicloalquilo C_3-C_6;

R_{4-4} es alquilo, arilalquilo, alcanoilo, o arilalcanoilo;

R_{4-6} es -H o alquilo C_1-C_6;

R_5 se selecciona del grupo que consiste de cicloalquilo C_3-C_7; alquilo C_1-C_6 opcionalmente sustituido con 1, 2, o 3 grupos que son independientemente halógeno, $-NR_6R_7$, alcoxi C_1-C_4, heterocicloalquilo C_5-C_6, heteroarilo C_5-C_6, arilo C_6-C_{10}, cicloalquilo C_3-C_7 alquilo C_1-C_4, alquilo -S-C_1-C_4, alquilo $-SO_2$-C_1-C_4, $-CO_2H$, $-CONR_6R_7$, alquilo $-CO_2$-C_1-C_4, ariloxi C_6-C_{10}; heteroarilo opcionalmente sustituido con 1, 2, o 3 grupos que son independientemente alquilo C_1-C_4, alcoxi C_1-C_4, halógeno, haloalquilo C_1-C_4, o OH; heterocicloalquilo opcionalmente sustituido con 1, 2, o 3 grupos que son independientemente alquilo C_1-C_4, alcoxi C_1-C_4, halógeno, o alcanoilo C_2-C_4; arilo opcionalmente sustituido con 1, 2, 3, o 4 grupos que son independientemente halógeno, OH, alquilo C_1-C_4, alcoxi C_1-C_4, o haloalquilo C_1-C_4; y $-NR_6R_7$; en donde

R_6 y R_7 son independientemente seleccionados del grupo que consiste de H, alquilo C_1-C_6, alcanoilo C_2-C_6, fenilo, alquilo $-SO_2$-C_1-C_4, fenil alquilo C_1-C_4;

R_8 se selecciona del grupo que consiste de $-SO_2$-heteroarilo, $-SO_2$-arilo, $-SO_2$-heterocicloalquilo, alquilo $-SO_2$-C_1-C_{10}, -C(O)NHR_9, heterocicloalquilo, alquilo -S-C_1-C_6, alcanoilo -S-C_2-C_4, en donde

R_9 es aril alquilo C_1-C_4, alquilo C_1-C_6, o H;

R_{50} es H o alquilo C_1-C_6;

R_{51} se selecciona del grupo que consiste de aril alquilo C_1-C_4; alquilo C_1-C_6 opcionalmente sustituido con 1, 2, o 3 grupos que son independientemente halógeno, ciano, heteroarilo, $-NR_6R_7$, $-C(O)NR_6R_7$, cicloalquilo C_3-C_7, o alcoxi $-C_1$-C_4; heterocicloalquilo opcionalmente sustituido con 1 o 2 grupos que son independientemente alquilo C_1-C_4, alcoxi C_1-C_4, halógeno, alcanoilo C_2-C_4, aril alquilo C_1-C_4, y alquilo $-SO_2$ C_1-C_4; alquenilo; alquinilo; heteroarilo opcionalmente sustituido con 1, 2, o 3 grupos que son independientemente OH, alquilo C_1-C_4, alcoxi C_1-C_4, halógeno, NH_2, NH(alquilo C_1-C_6) o N(alquilo C_1-C_6) (alquilo C_1-C_6); heteroarilalquil opcionalmente sustituido con 1, 2, o 3 grupos que son independientemente alquilo C_1-C_4, alcoxi C_1-C_4, halógeno,NH_2, NH (alquilo C_1-C_6) o N(alquilo C_1-C_6) (alquilo C_1-C_6); arilo; heterocicloalquilo; cicloalquilo C_3-C_8; y cicloalquilalquilo; en donde los grupos arilo; heterocicloalquilo, cicloalquilo C_3-C_8, y cicloalquilalquilo son opcionalmente sustituidos con 1, 2, 3, 4 o 5 grupos que son independientemente halógeno, CN, NO_2, alquilo C_1-C_6, alcoxi C_1-C_6, alcanoilo C_2-C_6, haloalquilo C_1-C_6, haloalcoxi C_1-C_6, hidroxi, hidroxialquilo C_1-C_6, alcoxi C_1-C_6 alquilo C_1-C_6, tioalcoxi C_1-C_6, tioalcoxi C_1-C_6 alquilo C_1-C_6, o alcoxi C_1-C_6 alcoxi C_1-C_6;

R_{52} es heterocicloalquilo, heteroarilo, arilo, cicloalquilo, -$S(O)_{0-2}$-C_1-C_6 alquilo, CO_2H, -$C(O)NH_2$, -C(O)NH(alquil), -C(O)N(alquil) (alquil), -CO_2-alquilo, -$NHS(O)_{0-2}$-C_1-C_6 alquilo, -N(alquil)$S(O)_{0-2}$-C_1-C_6 alquilo, -$S(O)_{0-2}$-heteroarilo, -$S(O)_{0-2}$-arilo, -NH(arilalquil), -N(alquil) (arilalquil), tioalcoxi, o alcoxi, cada uno de los cuales es opcionalmente sustituido con 1, 2, 3, 4, o 5 grupos que son independientemente alquilo, alcoxi, tioalcoxi, halógeno, haloalquilo, haloalcoxi, alcanoilo, NO_2, CN, alcoxicarbonilo, o aminocarbonilo;

R_{53} es ausente, -O-, -C(O)-, -NH-, -N(alquil)-, -NH-$S(O)_{0-2}$-, -N(alquil)-$S(O)_{0-2}$-, -$S(O)_{0-2}$-NH-, -$S(O)_{0-2}$-N(alquil)-, -NH-C(S)-, o -N(alquil)-C(S)-;

R_{54} es heteroarilo, arilo, arilalquilo, heterocicloalquilo, CO_2H, -CO_2-alquilo, -C(O)NH(alquil), -C(O)N(alquil) (alquil), -$C(O)NH_2$, alquilo C_1-C_8, OH, ariloxi, alcoxi, arilalcoxi, NH_2, NH(alquil), N(alquil) (alquil), O alquilo -C_1-C_6 alquil-CO_2-C_1-C_6, cada uno de los cuales es opcionalmente sustituido con 1, 2, 3, 4, o 5 grupos que son independientemente alquilo, alcoxi, CO_2H, -CO_2-alquil, tioalcoxi, halógeno, haloalquilo, haloalcoxi, hidroxialquilo, alcanoilo, NO_2, CN, alcoxicarbonilo, o aminocarbonilo;

X se selecciona del grupo que consiste de alquilidenilo -C_1-C_6 opcionalmente sustituido con 1, 2, o 3 grupos metilo; y -NR_{4-6}-; o

R_4 y R_{4-6} se combinan para formar -$(CH_2)_{n10}$-, en donde n_{10} es 1, 2, 3, o 4;

Z se selecciona del grupo que consiste de un enlace; SO_2; SO; S; y C(O);

Y se selecciona del grupo que consiste de H; haloalquilo C_1-C_4; heterocicloalquilo C_5-C_6; arilo C_6-C_{10}; OH; -$N(Y_1)(Y_2)$; alquilo C_1-C_{10} opcionalmente sustituido con 1 hasta 3 sustituyentes los cuales pueden ser iguales o diferentes y se seleccionan del grupo que consiste de halógeno, hidroxi, alcoxi, tioalcoxi, y haloalcoxi; cicloalquilo C_3-C_8 opcionalmente sustituido con 1, 2, o 3 grupos independientemente seleccionados de alquilo C_1-C_3, y halógeno; alcoxi; arilo opcionalmente sustituido con halógeno, alquilo, alcoxi, CN o NO_2; arilalquilo opcionalmente sustituido con halógeno, alquilo, alcoxi, CN o NO_2; en donde

Y_1 y Y_2 son iguales o diferentes y son H; alquilo C_1-C_{10} opcionalmente sustituido con 1, 2, o 3 sustituyentes seleccionados del grupo que consiste de halógeno, alcoxi C_1-C_4, cicloalquilo C_3-C_8, y OH; alquenilo C_2-C_6; alcanoilo C_2-C_6; fenilo; alquilo -SO_2-C_1-C_4; fenil alquilo C_1-C_4; o C_3-C_8 cicloalquil C_1-C_4 alquilo; o

Y_1, Y_2 y el nitrógeno al cual ellos están adheridos forman un anillo seleccionado del grupo que consiste de piperazinilo, piperidinilo, morfolinilo, y pirolidinilo, en donde cada anillo es opcionalmente sustituido con 1, 2, 3, o 4 grupos que son independientemente alquilo C_1-C_6, alcoxi C_1-C_6, alcoxi C_1-C_6 alquilo C_1-C_6, o halógeno;

R_{100} y R'_{100} independientemente representan arilo, heteroarilo, heterociclilo, -aril-W-arilo, -aril-W-heteroarilo, -aril-W-heterociclilo, -heteroaril-W-arilo, heteroaril-W-heteroarilo, -heteroaril-W-heterociclilo, -heterociclil-W-arilo, -heterociclil-W-heteroarilo, -heterociclil-W-heterociclilo, $-CH[(CH_2)_{0-2}-O-R_{150}]-(CH_2)_{0-2}$-arilo, $-CH[(CH_2)_{0-2}-O-R_{150}]-(CH_2)_{0-2}$-heterociclilo o $-CH[(CH_2)_{0-2}-O-R_{150}]-(CH_2)_{0-2}$-heteroarilo, donde las porciones de anillo de cada uno están opcionalmente sustituidas con 1, 2, o 3 grupos independientemente seleccionados de

-OR, $-NO_2$, alquilo C_1-C_6, halógeno, $-C\equiv N$, $-OCF_3$, $-CF_3$, $-(CH_2)_{0-4}-O-P(=O)(OR)(OR')$, $-(CH_2)_{0-4}-CO-NR_{105}R'_{105}$, $-(CH_2)_{0-4}-O-(CH_2)_{0-4}-CONR_{102}R_{102}'$ $-(CH_2)_{0-4}-CO-$(alquilo C_1-C_{12}), $-(CH_2)_{0-4}-CO-$(alquenilo C_2-C_{12}), $-(CH_2)_{0-4}-CO-$(alquinilo C_2-C_{12}), $-(CH_2)_{0-4}-CO-(CH_2)_{0-4}$ (cicloalquilo C_3-C_7), $-(CH_2)_{0-4}-R_{110}$, $-(CH_2)_{0-4}-R_{120}$, $-(CH_2)_{0-4}-R_{130}$, $-(CH_2)_{0-4}-CO-R_{110}$, $-(CH_2)_{0-4}-CO-R_{120}$, $-(CH_2)_{0-4}-CO-R_{130}$, $-(CH_2)_{0-4}-CO-R_{140}$, $-(CH_2)_{0-4}-CO-O-R_{150}$, $-(CH_2)_{0-4}-SO_2-NR_{105}R'_{105}$, $-(CH_2)_{0-4}-SO-$(alquilo C_1-C_8), $-(CH_2)_{0-4}-SO_2-$(alquilo C_1-C_{12}), $-(CH_2)_{0-4}-$

SO_2-$(CH_2)_{0-4}$-(cicloalquilo C_3-C_7), -$(CH_2)_{0-4}$-$N(R_{150})$-CO-O-R_{150}, -$(CH_2)_{0-4}$-$N(R_{150})$-CO-$N(R_{150})_2$, -$(CH_2)_{0-4}$-$N(R_{150})$-CS-$N(R_{150})_2$, -$(CH_2)_{0-4}$-$N(R_{150})$-CO-R_{105}, -$(CH_2)_{0-4}$-$NR_{105}R'_{105}$, -$(CH_2)_{0-4}$-R_{140}, -$(CH_2)_{0-4}$-O-CO-(alquilo C_1-C_6), -$(CH_2)_{0-4}$-O-P(O)-$(O-R_{110})_2$, -$(CH_2)_{0-4}$-O-CO-$N(R_{150})_2$, -$(CH_2)_{0-4}$-O-CS-$N(R_{150})_2$, -$(CH_2)_{0-4}$-O-(R_{150}), -$(CH_2)_{0-4}$-O-R_{150}'-COOH, -$(CH_2)_{0-4}$-S-(R_{150}), -$(CH_2)_{0-4}$-$N(R_{150})$-SO_2-R_{105}, -$(CH_2)_{0-4}$-C_3-C_7 cicloalquilo, alquenil(C_2-C_{10}), y alquinil(C_2-C_{10}), o

R_{100} es alquilo C_1-C_{10} opcionalmente sustituido con 1, 2, o 3 grupos R_{115}, o

R_{100} es -(alquilo C_1-C_6)-O-C_1-C_6 alquil) o -(alquilo C_1-C_6)-S-(alquilo C_1-C_6), cada uno de los cuales es opcionalmente sustituido con 1, 2, o 3 grupos R_{115}, o

R_{100} es cicloalquilo C_3-C_8 opcionalmente sustituido con 1, 2, o 3 grupos R_{115};

W es -$(CH_2)_{0-4}$-, -O-, -$S(O)_{0-2}$-, -$N(R_{135})$-, -CR(OH)- o -C(O)-;

R_{102} y R_{102}' independientemente son hidrógeno, o

alquilo C_1-C_{10} opcionalmente sustituido con 1, 2, o 3 grupos que son independientemente halógeno, arilo o -R_{110};

R_{105} y R'_{105} independientemente representan -H, -R_{110}, -R_{120}, cicloalquilo C_3-C_7, -(alquilo C_1-C_2)-(cicloalquilo C_3-C_7), -

(alquilo C_1-C_6)-O-(alquilo C_1-C_3), alquenilo C_2-C_6, alquinilo C_2-C_6, o cadena alquilo C_1-C_6 con un enlace doble y un enlace triple,

o alquilo C_1-C_6 opcionalmente sustituido con -OH o $-NH_2$;

o,

alquilo C_1-C_6 opcionalmente sustituido con 1, 2, o 3 grupos independientemente seleccionados de halógeno,

o

R_{105} y R'_{105} junto con el átomo al cual ellos están adheridos forman un anillo carbocíclico de 3 a 7 miembros, donde un miembro es opcionalmente un heterátomo seleccionado de -O-, $-S(O)_{0-2}-$, $-N(R_{135})-$, el anillo es opcionalmente sustituido con 1, 2 o 3 grupos R_{140} independientemente seleccionados;

R_{115} en cada ocurrencia es independientemente halógeno, -OH, $-CO_2R_{102}$, $-C_1$-C_6 tioalcoxi, $-CO_2$-fenilo, $-NR_{105}R'_{135}$, $-SO_2$-(alquilo C_1-C_8), $-C(=O)R_{180}$, R_{180}, $-CONR_{105}R'_{105}$, $-SO_2NR_{105}R'_{105}$, -NH-CO-(alquilo C_1-C_6), -NH-C(=O)-OH, -NH-C(=O)-OR, -NH-C(=O)-O-fenil, -O-C(=O)-(alquilo C_1-C_6), -O-C(=O)-amino, -O-C(=O)-mono- o dialquilamino, -O-C(=O)-fenilo, -O-(alquilo C_1-C_6)-CO_2H, $-NH-SO_2$-(alquilo C_1-C_6), alcoxi C_1-C_6 o haloalcoxi C_1-C_6;

R_{135} es alquilo C_1-C_6, alquenilo C_2-C_6, alquinilo C_2-C_6, cicloalquilo C_3-C_7, $-(CH_2)_{0-2}$-(aril), $-(CH_2)_{0-2}$-(heteroaril), o $-(CH_2)_{0-2}$-(heterociclil);

R_{140} es heterociclilo opcionalmente sustituido con 1, 2, 3, o 4 grupos independientemente seleccionados de alquilo C_1-C_6, alcoxi C_1-C_6, halógeno, hidroxi, ciano, nitro, amino, mono(C_1-C_6)alquilamino, di(C_1-C_6)alquilamino, alquenilo C_2-C_6, alquinilo C_2-C_6, haloalquilo C_1-C_6, haloalcoxi C_1-C_6, amino(C_1-C_6)alquilo, mono(C_1-C_6)alquilamino (C_1-C_6)alquilo, di(C_1-C_6) alquilamino (C_1-C_6)alquil, y =O;

R_{145} es alquilo C_1-C_6 o CF_3;

R_{150} es hidrógeno, cicloalquilo C_3-C_7, -(alquilo C_1-C_2)-(cicloalquilo C_3-C_7), alquenilo C_2-C_6, alquinilo C_2-C_6, alquilo C_1-C_6 con un enlace doble y un enlace triple, -R_{110}, -R_{120}, o

alquilo C_1-C_6 opcionalmente sustituido con 1, 2, 3, o 4 grupos independientemente seleccionados de -OH, -NH_2, alcoxi C_1-C_3, R_{110}, y halógeno;

R_{150}' es cicloalquilo C_3-C_7, -(alquilo C_1-C_3)-(cicloalquilo C_3-C_7), alquenilo C_2-C_6, alquinilo C_2-C_6, alquilo C_1-C_6 con un enlace doble y un enlace triple, -R_{110}, -R_{120}, o

alquilo C_1-C_6 opcionalmente sustituido con 1, 2, 3, o 4 grupos independientemente seleccionados de -OH, -NH_2, alcoxi C_1-C_3, R_{110}, y halógeno;

R_{155} es cicloalquilo C_3-C_7, -(alquilo C_1-C_2)-(cicloalquilo C_3-C_7), alquenilo C_2-C_6, alquinilo C_2-C_6, alquilo C_1-C_6 con un enlace doble y un enlace triple, -R_{110}, -R_{120},

alquilo C_1-C_6 opcionalmente sustituido con 1, 2, 3, o 4 grupos independientemente seleccionados de -OH, -NH_2, alcoxi C_1-C_3, y halógeno;

R_{180} se selecciona de morfolinilo, tiomorfolinilo, piperazinilo, piperidinilo, homomorfolinilo, homotiomorfolinilo, homotiomorfolinilo S-óxido, homotiomorfolinilo S,S-dióxido, pirrolinilo y pirrolidinilo, cada uno de los cuales es opcionalmente sustituido con 1, 2, 3, o 4 grupos independientemente seleccionados de alquilo C_1-C_6, alcoxi C_1-C_6, halógeno, hidroxi, ciano, nitro, amino, mono(C_1-C_6)alquilamino, di(C_1-C_6)alquilamino, alquenilo C_2-C_6, alquinilo C_2-C_6, haloalquilo C_1-C_6, haloalcoxi C_1-C_6, amino(C_1-C_6)alquilo, mono(C_1-C_6)alquilamino (C_1-C_6)alquilo, di(C_1-C_6)alquilamino (C_1-C_6)alquilo, y =O;

R_{110} es arilo opcionalmente sustituido con 1 o 2 grupos R_{125};

R_{125} en cada ocurrencia es independientemente halógeno, amino, mono- o dialquilamino, -OH, -C≡N, -SO_2-NH_2, alquilo -SO_2-NH-C_1-C_6, -SO_2-N(alquilo C_1-C_6)$_2$, -SO_2-(alquilo C_1-C_4), -CO-NH_2, alquilo -CO-NH-C_1-C_6, o -CO-N(alquilo C_1-C_6)$_2$ o

alquilo C_1-C_6, alquenilo C_2-C_6 o alquinilo C_2-C_6, cada uno de los cuales es opcionalmente sustituido con 1, 2, o

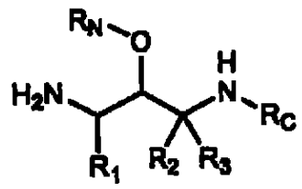
3 grupos que son independientemente seleccionados de alquilo C_1-C_3, halógeno, -OH, -SH, -C≡N, -CF_3, alcoxi C_1-C_3, amino, y mono- y dialquilamino, o

alcoxi C_1-C_6 opcionalmente sustituido con uno, dos o tres de halógeno;

R_{120} es heteroarilo, el cual es opcionalmente sustituido con 1 o 2 grupos R_{125}; y

R_{130} es heterociclilo opcionalmente sustituido con 1 o 2 grupos R_{125}.

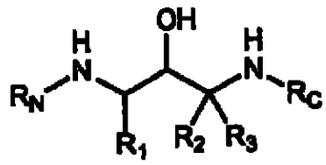
La invención también suministra compuestos de la fórmula X:



(X)

y sales farmacéuticamente aceptables de ésta, en donde R_1, R_2, R_3, R_N y R_C son según se definió mediante la fórmula (I).

La invención también suministra métodos de generar compuestos de fórmula (Y) desde los compuestos de fórmula (AA), (I) o (X):



(Y)

en donde R_1, R_2, R_3, R_N y R_C son según se definió mediante la fórmula (I). La generación de compuestos de fórmula (Y) desde compuestos de fórmula (AA), (I) o (X) puede ocurrir *in vivo* o *in vitro*. Compuestos de fórmula Y son útiles para tratar y/o prevenir la enfermedad de Alzheimer.

La invención también suministra procesos para convertir compuestos de fórmula AA, I o X a los compuestos de fórmula Y. La conversión y/o generación de compuestos de fórmula Y involucra contactar los compuestos de fórmula I y/o X con un medio acuoso. La conversión puede ocurrir *in vitro* o *in vivo*.

La invención también suministra métodos para el tratamiento o prevención de la enfermedad de Alzheimer, deterioro cognitivo suave Síndrome de Down, Hemorragia Cerebral Hereditaria con Amiloidosis del Tipo Dutch, angiopatía amiloide cerebral, otras demencias degenerativas, demencias de orígen vascular y degenerativo mezcladas, demencia asociada con enfermedad de Parkinson, demencia asociada con parálisis supranuclear progresiva, demencia asociada con degeneración basal cortical, el tipo de cuerpo Lewy difuso de Enfermedad de Alzheimer comprende la

administración de una cantidad terapéuticamente efectiva de un compuesto o sal de fórmula AA, I o X, a un paciente que necesite de ésta.

Preferiblemente, el paciente es un humano.

Más preferiblemente, la enfermedad es enfermedad de Alzheimer.

Más preferiblemente, la enfermedad es demencia.

La invención también suministra composiciones farmacéuticas que comprenden un compuesto o sal de fórmula AA, I o X y al menos un portador farmacéuticamente aceptable, disolvente, adyuvante o diluyente.

La invención también suministra el uso de un compuesto o sal de acuerdo a la fórmula AA, I o X para la fabricación de un medicamento.

La invención también suministra el uso de un compuesto o sal de fórmula (AA), fórmula (I) o fórmula (X) para el tratamiento o prevención de la enfermedad de Alzheimer, deterioro cognitivo suave Síndrome de Down, Hemorragia Cerebral Hereditaria con Amiloidosis del Tipo Dutch, angiopatía amiloide cerebral, otras demencias degenerativas, demencias de orígen vascular y degenerativo mezcladas, demencia asociada con enfermedad de Parkinson, demencia

asociada con parálisis supranuclear progresiva, demencia asociada con degeneración basal cortical, o el tipo de cuerpo Lewy difuso de Enfermedad de Alzheimer.

La invención también suministra compuestos, composiciones farmacéuticas, kits, y métodos para inhibir el clivage mediado por beta-secretasa de proteína precursora amiloide (APP). Más particularmente, los compuestos, composiciones, y métodos de la invención son efectivos para inhibir la producción del péptido beta-A y para tratar o prevenir cualquier enfermedad humana o veterinaria o condición asociada con una forma patológica del péptido beta-A.

La invención también suministra métodos de preparar los compuestos de la invención y los intermedios utilizados en aquellos métodos.

Los compuestos, composiciones, y métodos de la invención son útiles para tratar humanos que tienen la Enfermedad de Alzheimer (AD), para ayudar a prevenir o retrasar el establecimiento de AD, para tratar pacientes con deterioro cognitivo suave (MCI), y prevenir o retrasar el establecimiento de AD en aquellos pacientes que de otra manera se esperaría progresaran de MCI a AD, para tratar Síndrome de Down, para tratar Hemorragia Cerebral Hereditaria con Amiloidosis dl Tipo Dutch, para tratar angiopatía beta-amiloide cerebral y prevenir sus consecuencias potenciales

tales como hemorragias lobares simples y recurrentes, para tratar otras demencias degenerativas, incluyendo demencias de orígen vascular y degenerativo mezcladas, para tratar demencia asociada con enfermedad de Parkinson, demencia asociada con parálisis supranuclear proggresiva, demencia asociada con degeneración basal cortical, y el tipo de cuerpo Lewy difuso AD, y para tratar demencias frontotemporales con parkinsonismo (FTDP).

Los compuestos de fórmula Y poseen actividad inhibitoria de beta-secretasa. La actividad inhibitoria de los compuestos de la invención es fácilmente demostrada, por ejemplo, utilizando uno o más de los ensayos descritos aquí o conocidos en la técnica.

A menos que los sutituyentes para una fórmula particular sean expresamente definidos para esa fórmula, se entiende que ellos llevan las definiciones en conexión con la fórmula precedente a la cual la fórmula particular hace referencia.

DESCRIPCIÓN DETALLADA DE LA INVENCIÓN

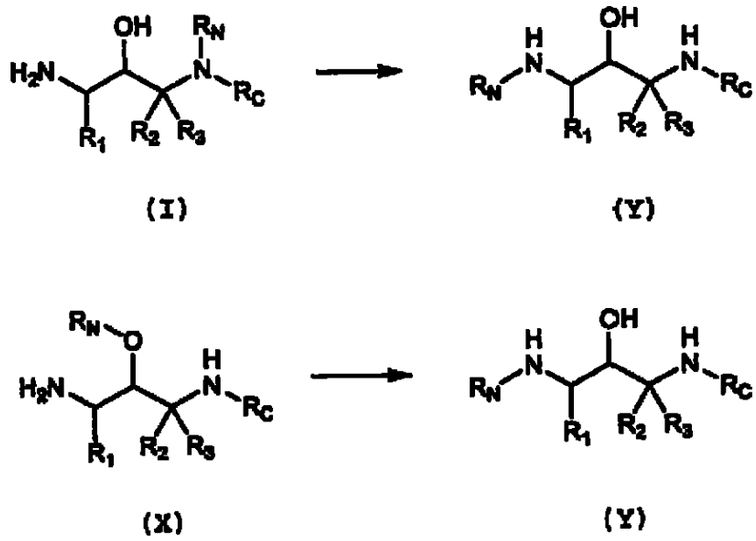
Como se notó anteriormente, la invención suministra compuestos de fórmula (AA), (I) y (X) que son útiles en el tratamiento y prevención de la enfermedad de Alzheimer. Estos compuestos pueden ser vistos como prodrogas de los compuestos activos de la Fórmula Y debido a que ellos generan el compuesto activo tanto *in vivo* o *in vitro*.

Los compuestos de fórmula AA, I y X sufren de migración del grupo acilo del grupo R_N cuando entran en contacto con el agua, como se describió en el Esquema I. La migración asociada con los compuestos de fórmula (I) se refiere aquí como "migración N-acilo". La migración asociada con los compuestos de fórmula (X) se refiere aquí como "migración O-acilo".

Las migraciones descritas en el ESQUEMA 1 pueden ocurrir *in vitro* o *in vivo* y ocurren cuando los compuestos están en contacto con un medio acuoso, incluyendo el agua. El medio acuoso pueden ser neutro, ácido o básico. Se prefiere que el medio tenga un pH de aproximadamente 2 a aproximadamente 10, más preferiblemente, aproximadamente 3 a aproximadamente 7. La cantidad de agua requerida para la migración no es crítica. Una cantidad catalítica de medio acuoso bastará para causar la migración. Las soluciones amortiguadoras acuosas así como también el fluido gástrico son medios satisfactorios para que la migración pueda ocurrir.

Los productos de las redisposiciones de los compuestos de fórmula AA, fórmula I y/o fórmula X son los compuestos de fórmula (Y). Los sustituyentes R_1, R_2, R_3, R_N y R_C en los compuestos (Y) son como se definió anteriormente para los compuestos de fórmula (I).

ESQUEMA 1



Los compuestos preferidos de la fórmula AA incluyen aquellos de fórmula AA-1, es decir, compuestos de fórmula AA en donde

R_1 es arilo, heteroarilo, heterociclilo, alquil-arilo -C_1-C_6, alquil-heteroarilo -C_1-C_6, o alquil-heterociclilo -C_1-C_6, donde las porciones de anillo de cada uno están opcionalmente sustituidas con 1, 2, 3, o 4 grupos independientemente seleccionados de halógeno, -OH, -SH, -

C≡N, $-NO_2$, $-NR_{105}R_{105}$, $-CO_2R$, -N(R)COR, o $-N(R)SO_2R$, alquilo -C(=O)-(C_1-C_4), $-SO_2$-amino, $-SO_2$-mono o dialquilamino, -C(=O)-amino, -C(=O)-mono o dialquilamino, alquilo $-SO_2$-(C_1-C_4), o

alcoxi C_1-C_6 opcionalmente sustituido con 1, 2, o 3 grupos los cuales son independientemente seleccionados de halógeno, o

cicloalquilo C_3-C_7 opcionalmente sustituido con 1, 2, o 3 grupos independientemente seleccionados de halógeno, -OH, -SH, -C≡N, $-CF_3$, alcoxi C_1-C_3, amino, alquilo -C_1-C_6 y mono- o dialquilamino, o

alquilo C_1-C_{10} opcionalmente sustituido con 1, 2, o 3 grupos independientemente seleccionados de halógeno, -OH, -SH, -C≡N, $-CF_3$, alcoxi -C_1-C_3, amino, mono- o dialquilamino y alquilo -C_1-C_3, o alquenilo C_2-C_{10} o alquinilo C_2-C_{10} cada uno de los cuales es opcionalmente sustituido con 1, 2, o 3 grupos independientemente seleccionados de halógeno, -OH, -SH, -C≡N, $-CF_3$, alcoxi C_1-C_3, amino, alquilo C_1-C_6 y mono- o dialquilamino; y el grupo heterociclilo es además opcionalmente sustituido con oxo.

Los compuestos preferidos de la fórmula AA-1 también incluyen aquellos en donde

R_1 es alquil-arilo -C_1-C_6, alquil-heteroarilo -C_1-C_6, o alquil-heterociclilo -C_1-C_6, donde las porciones de anillo de

cada uno están opcionalmente sustituidas con 1, 2, 3, o 4 grupos independientemente seleccionados de halógeno, -OH, -SH, -C≡N, $-NO_2$, $-NR_{105}R'_{105}$, $-CO_2R$, -N(R)COR', o $-N(R)SO_2R'$, alquilo $-C(=O)-(C_1-C_4)$, $-SO_2$-amino, $-SO_2$-mono o dialquilamino, -C(=O)-amino, -C(=O)-mono o dialquilamino, alquilo $-SO_2-(C_1-C_4)$, o

alcoxi C_1-C_6 opcionalmente sustituido con 1, 2, o 3 grupos los cuales son independientemente seleccionados de halógeno, o

cicloalquilo C_3-C_7 opcionalmente sustituido con 1, 2, o 3 grupos independientemente seleccionados de halógeno, -OH, -SH, -C≡N, $-CF_3$, alcoxi C_1-C_3, amino, alquilo C_1-C_6 y mono- o dialquilamino, o

alquilo C_1-C_{10} opcionalmente sustituido con 1, 2, o 3 grupos independientemente seleccionados de halógeno, -OH, -SH, -C≡N, $-CF_3$, alcoxi $-C_1-C_3$, amino, mono- o dialquilamino y alquilo $-C_1-C_3$, o

alquenilo C_2-C_{10} o alquinilo C_2-C_{10} cada uno de los cuales es opcionalmente sustituido con 1, 2, o 3 grupos independientemente seleccionados de halógeno, -OH, -SH, -C≡N, $-CF_3$, alcoxi C_1-C_3, amino, alquilo C_1-C_6 y mono- o dialquilamino; y el grupo heterociclilo es además opcionalmente sustituido con oxo.

Compuestos preferidos de la fórmula AA-1 además incluyen aquellos en donde

R_1 es $-(CH_2)$-arilo, $-(CH_2)$-heteroarilo, o $-(CH_2)$-heterociclilo, donde las porciones de anillo de cada uno están opcionalmente sustituidas con 1, 2, 3, o 4 grupos independientemente seleccionados de halógeno, -OH, -SH, -C≡N, $-NO_2$, $-NR_{105}R_{105}$, $-CO_2R$, -N(R)COR, o $-N(R)SO_2R'$, $-C(=O)-(C_1-C_4)$ alquilo, $-SO_2$-amino, $-SO_2$-mono o dialquilamino, -C(=O)-amino, -C(=O)-mono o dialquilamino, alquilo $-SO_2-(C_1-C_4)$, o

alcoxi C_1-C_6 opcionalmente sustituido con 1, 2, o 3 grupos los cuales son independientemente seleccionados de halógeno, o

cicloalquilo C_3-C_7 opcionalmente sustituido con 1, 2, o 3 grupos independientemente seleccionados de halógeno, -OH, -SH, -C≡N, $-CF_3$, alcoxi C_1-C_3, amino, alquilo $-C_1-C_6$ y mono- o dialquilamino, o

alquilo C_1-C_{10} opcionalmente sustituido con 1, 2, o 3 grupos independientemente seleccionados de halógeno, -OH, -SH, -C≡N, $-CF_3$, alcoxi $-C_1-C_3$, amino, mono- o dialquilamino y alquilo $-C_1-C_3$, o

alquenilo C_2-C_{10} o alquinilo C_2-C_{10} cada uno de los cuales es opcionalmente sustituido con 1, 2, o 3 grupos independientemente seleccionados de halógeno, -OH, -SH, -C≡N, $-CF_3$, alcoxi C_1-C_3, amino, alquilo C_1-C_6 y mono- o dialquilamino; y el grupo heterociclilo es además opcionalmente sustituido con oxo.

Los compuestos preferidos de la fórmula AA-1 también incluyen aquellos en donde

R_1 es $-CH_2$-fenilo o $-CH_2$-piridinilo donde las porciones de anillo de cada uno están opcionalmente sustituidas con 1, 2, 3, o 4 grupos independientemente seleccionados de halógeno, alcoxi C_1-C_4, hidroxi, $-NO_2$, y

alquilo C_1-C_4 opcionalmente sustituido con 1, 2, o 3 sustituyentes independientemente seleccionados de halógeno, OH, SH, NH_2, NH(alquilo C_1-C_6), N-(alquilo C_1-C_6) (alquilo C_1-C_6), C≡N, CF_3.

Los compuestos preferidos de la fórmula AA-1 además incluyen aquellos en donde

R_1 es $-CH_2$-fenilo o $-CH_2$-piridinilo donde los anillos fenilo o piridinilo son cada uno opcionalmente sustituidos con 1 o 2 grupos independientemente seleccionados de halógeno, alquilo C_1-C_2, alcoxi C_1-C_2, hidroxi, $-CF_3$, y $-NO_2$.

Los compuestos preferidos de fórmula AA-1 incluyen aquellos en donde

R_1 es $-CH_2$-fenilo donde el anillo fenilo es opcionalmente sustituido con 2 grupos independientemente seleccionados de halógeno, alquilo C_1-C_2, alcoxi C_1-C_2, hidroxi, y $-NO_2$.

Los compuestos preferidos de fórmula AA-1 también incluyen aquellos en donde R_1 es benzilo, o 3,5-difluorobenzilo.

Los compuestos preferidos de la fórmula AA y AA-1 incluyen aquellos de fórmula AA-2, es decir, compuestos de fórmula AA o AA-1 en donde

R_2 y R_3 son independientemente seleccionados de H o alquilo C_1-C_6 opcionalmente sustituido con 1, 2, o 3 sustituyentes seleccionados del grupo que consiste de alquilo C_1-C_3, halógeno, -OH, -SH, -C≡N, $-CF_3$, alcoxi C_1-C_3, y $-NR_{1-a}R_{1-b}$.

Los compuestos preferidos de la fórmula AA-2 incluyen aquellos en donde

R_C se selecciona del grupo que consiste de alquilo C_1-C_{10} opcionalmente sustituido con 1, 2, o 3 grupos independientemente seleccionados del grupo que consiste de R_{205}, $-OC=ONR_{235}R_{240}$, $-S(=O)_{0-2}$ (alquilo C_1-C_6), -SH, $-NR_{235}C=ONR_{235}R_{240}$, $-C=ONR_{235}R_{240}$. y $-S(=O)_2NR_{235}R_{240}$; $-(CH_2)_{0-3}$-(C_3-C_8) cicloalquilo en donde el cicloalquilo es opcionalmente sustituido con 1, 2, o 3 grupos independientemente seleccionados del grupo que consiste de R_{205}, $-CO_2H$, y $-CO_2$-(alquilo C_1-C_4); $-(CR_{245}R_{250})_{0-4}$-arilo; $-(CR_{245}R_{250})_{0-4}$-heteroarilo; $-(CR_{245}R_{250})_{0-4}$-heterocicloalquilo; $-[C(R_{255})(R_{260})]_{1-3}$-CO-N-$(R_{255})_2$; $-CH(aril)_2$; $-CH(heteroaril)_2$; $-CH(heterocicloalquil)_2$; -CH(aril) (heteroaril); -CO-

$NR_{235}R_{240}$; $-(CH_2)_{0-1}-CH((CH_2)_{0-6}-OH)-(CH_2)_{0-1}$-arilo; $-(CH_2)_{0-1}-CHR_{C-6}-(CH_2)_{0-1}$-heteroarilo; -CH(-aril o -heteroaril)-CO-O(alquilo C_1-C_4); $-CH(-CH_2-OH)-CH(OH)$-fenil-NO_2; (alquilo C_1-C_6)-O-(alquilo C_1-C_6)-OH; $-CH_2-NH-CH_2-CH(-O-CH_2-CH_3)_2$; -H; y $-(CH_2)_{0-6}-C(=NR_{235})$ $(NR_{235}R_{240})$; en donde

cada arilo es opcionalmente sustituido con 1, 2, o 3 R_{200};

cada heteroarilo es opcionalmente sustituido con 1, 2, 3, o 4 R_{200};

cada heterocicloalquilo es opcionalmente sustituido con 1, 2, 3, o 4 R_{210};

R_{200} en cada ocurrencia se selecciona independientemente del grupo que consiste de alquilo C_1-C_6 opcionalmente sustituido con 1, 2, o 3 grupos R_{205}; OH; $-NO_2$; halógeno; $-CO_2H$; C≡N; $-(CH_2)_{0-4}-CO-NR_{220}R_{225}$; $-(CH_2)_{0-4}-CO-$(alquilo C_1-C_{12}); $-(CH_2)_{0-4}-CO_2R_{215}$; y $-(CH_2)_{0-4}-O-$(alquilo C_1-C_6 opcionalmente sustituido con 1, 2, 3, o 5 -F);

en donde cada grupo arilo en cada ocurrencia es opcionalmente sustituido con 1, 2, o 3 grupos que son independientemente R_{205}, R_{210} o alquilo C_1-C_6 sustituido con 1, 2, o 3 grupos que son independientemente R_{205} o R_{210};

en donde cada grupo heterocicloalquilo en cada ocurrencia es opcionalmente sustituido con 1, 2, o 3 grupos que son independientemente R_{210};

en donde cada grupo heteroarilo en cada ocurrencia es opcionalmente sustituido con 1, 2, o 3 grupos que son independientemente R_{205}, R_{210}, o alquilo C_1-C_6 sustituido con 1, 2, o 3 grupos que son independientemente R_{205} o R_{210};

R_{205} en cada ocurrencia se selecciona independientemente del grupo que consiste de alquilo C_1-C_6, halógeno, -OH, -O-fenilo, -SH, -C≡N, $-CF_3$, alcoxi C_1-C_6, NH_2, NH(alquilo C_1-C_6), y N-(alquilo C_1-C_4) (alquilo C_1-C_6);

R_{210} en cada ocurrencia se selecciona independientemente del grupo que consiste de alquilo C_1-C_6 opcionalmente sustituido con 1, 2, o 3 grupos R_{205}; halógeno; alcoxi C_1-C_6; haloalcoxi C_1-C_6; $-NR_{220}R_{225}$; OH; C≡N; cicloalquilo C_3-C_7 opcionalmente sustituido con 1, 2, o 3 grupos R_{205}; -CO-(alquilo C_1-C_4); $-SO_2NR_{235}R_{240}$; $-CO-NR_{235}R_{240}$; $-SO_2$-(alquilo C_1-C_4); y =O; en donde

R_{215} en cada ocurrencia se selecciona independientemente del grupo que consiste de alquilo C_1-C_6, $-(CH_2)_{0-2}$-(aril), cicloalquilo C_3-C_7, y $-(CH_2)_{0-2}$-(heteroaril), $-(CH_2)_{0-2}$-(heterocicloalquil); en donde el grupo arilo en cada

ocurrencia es opcionalmente sustituido con 1, 2, o 3 grupos que son independientemente R_{205} o R_{210}; en donde el grupo heterocicloalquilo en cada ocurrencia es opcionalmente sustituido con 1, 2, o 3 R_{210}; en donde cada grupo heteroarilo en cada ocurrencia es opcionalmente sustituido con 1, 2, o 3 R_{210};

R_{220} y R_{225} en cada ocurrencia son independientemente seleccionados del grupo que consiste de -H, alquilo -C_1-C_6, hidroxi alquilo C_1-C_6, amino alquilo C_1-C_6; halo alquilo C_1-C_6; cicloalquilo -C_3-C_7, -(alquilo C_1-C_6)-O-(alquilo C_1-C_3), -arilo, -heteroarilo, y -heterocicloalquilo; en donde el grupo arilo en cada ocurrencia es opcionalmente sustituido con 1, 2, o 3 grupos R_{270}, cada heteroarilo es opcionalmente sustituido con 1, 2, 3, o 4 R_{200}, cada heterocicloalquilo es opcionalmente sustituido con 1, 2, 3, o 4 R_{210} en donde

R_{270} en cada ocurrencia es independientemente R_{205}, alquilo C_1-C_6 opcionalmente sustituido con 1, 2, o 3 grupos R_{205}; halógeno; alcoxi C_1-C_6; haloalcoxi C_1-C_6; $NR_{235}R_{240}$; OH; C≡N; -CO-(alquilo C_1-C_4); y =O; en donde el grupo heterocicloalquilo en cada ocurrencia es opcionalmente sustituido con 1, 2, o 3 grupos R_{205}; en donde cada grupo heteroarilo en cada ocurrencia es opcionalmente sustituido con 1, 2, o 3 grupos R_{205};

R_{235} y R_{240} en cada ocurrencia son independientemente H, o alquilo C_1-C_6;

R_{245} y R_{250} en cada ocurrencia son independientemente seleccionados del grupo que consiste de H, alquilo C_1-C_4, hidroxialquilo C_1-C_4, alcoxi C_1-C_4, haloalcoxi C_1-C_4, o

R_{245} y R_{250} son tomados junto con el carbono al cual ellos están adheridos para formar un carbociclo de 3, 4, 5, 6, o 7 átomos de carbono, en donde el carbociclo es opcionalmente sustituido con 1 o 2 grupos que son independientemente OH, metilo, Cl, F, OCH_3, CF_3, NO_2, o CN;

R_{255} y R_{260} en cada ocurrencia son independientemente seleccionados del grupo que consiste de H; alquilo C_1-C_6 opcionalmente sustituido con 1, 2, o 3 grupos R_{205}; -$(CH_2)_{0-4}$-C_3-C_7 cicloalquilo opcionalmente sustituido con 1, 2, o 3 grupos R_{205}; -(alquilo C_1-C_4)-arilo; -(alquilo C_1-C_4)-heteroarilo; -(alquilo C_1-C_4)-heterocicloalquilo; arilo; heteroarilo; heterocicloalquilo; -$(CH_2)_{1-4}$-R_{265}-$(CH_2)_{0-4}$-arilo; -$(CH_2)_{1-4}$-R_{265}-$(CH_2)_{0-4}$-heteroarilo; y; -$(CH_2)_{1-4}$-R_{265}-$(CH_2)_{0-4}$-heterocicloalquilo; en donde

R_{265} en cada ocurrencia es independientemente -O-, -S- o -N(alquilo C_1-C_6)-;

cada arilo o fenilo es opcionalmente sustituido con 1, 2, o 3 grupos que son independientemente R_{205}, R_{210}, o alquilo C_1-C_6 sustituido con 1, 2, o 3 grupos que son independientemente R_{205} o R_{210}.

Los compuestos preferidos de la fórmula AA-2 incluyen aquellos en donde:

R_c es $-(CR_{245}R_{250})_{0-4}$-arilo, o $-(CR_{245}R_{250})_{0-4}$-heteroarilo, en donde arilo y heteroarilo son opcionalmente sustituidos con 1, 2, o 3 grupos R_{200}.

Los compuestos preferidos de la fórmula AA-2 también incluyen compuestos en donde

R_c es $-(CR_{245}R_{250})$-arilo, o $-(CR_{245}R_{250})$-heteroarilo en donde cada arilo y heteroarilo es opcionalmente sustituido con 1, 2, o 3 grupos R_{200}.

Los compuestos preferidos de la fórmula AA-2 también incluyen compuestos en donde

R_c es $-(CH_2)$-arilo, o $-(CH_2)$-heteroarilo, en donde cada arilo y heteroarilo es opcionalmente sustituido con 1, 2, o 3 grupos seleccionados de OH, $-NO_2$, halógeno, $-CO_2H$, C≡N, $-(CH_2)_{0-4}$-CO-$NR_{220}R_{225}$, $-(CH_2)_{0-4}$-CO-(alquilo C_1-C_{12}), y $-(CH_2)_{0-4}$-SO_2-$NR_{220}R_{225}$.

Los compuestos preferidos de la fórmula AA-2 también incluyen compuestos en donde

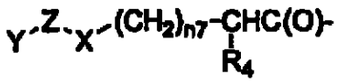
R_c es $-(CH_2)$-arilo, en donde el arilo es opcionalmente sustituido con 1, 2, o 3 grupos seleccionados de OH, $-NO_2$, halógeno, $-CO_2H$, y C≡N.

Los compuestos preferidos de la fórmula AA-2 también incluyen compuestos en donde

R_c es $-(CH_2)$-fenilo, en donde el fenilo es opcionalmente sustituido con 1, 2, o 3 grupos seleccionados de OH, $-NO_2$, halógeno, $-CO_2H$, y C≡N.

Los compuestos preferidos de la fórmula AA-2 también incluyen compuestos en donde R_c es benzilo.

Otros compuestos preferidos de las fórmulas AA, AA-1 y AA-2 incluyen compuestos de fórmula AA-3, es decir, aquellos de las fórmulas AA, AA-1 o AA-2 en donde uno de R_M y R_M' es hidrógeno y el otro es:



en donde

R_4 es NH_2; $-NH-(CH_2)_{n6}-R_{4-1}$; $-NHR_8$; $-NR_{50}C(O)R_5$; o $-NR_{50}CO_2R_{51}$;

en donde

n_6 es 0, 1, 2, o 3;

n_7 es 0, 1, 2, o 3;

R_{4-1} se selecciona del grupo que consiste de $-SO_2-$(alquilo C_1-C_8), -SO-(alquilo C_1-C_8), -S-(alquilo C_1-C_8), -S-CO-(alquilo C_1-C_6), $-SO_2-NR_{4-2}R_{4-3}$; alquilo -CO-C_1-C_2; $-CO-NR_{4-3}R_{4-4}$;

R_{4-2} y R_{4-3} son independientemente H, alquilo C_1-C_3, o cicloalquilo C_3-C_6;

R_{4-4} es alquilo, fenilalquilo, alcanoilo C_2-C_4, o fenilalcanoilo;

R_5 es ciclopropilo; ciclobutilo; ciclopentilo; y ciclohexilo; en donde cada grupo cicloalquilo es opcionalmente sustituido con uno o dos grupos que son alquilo C_1-C_6, más preferiblemente alquilo C_1-C_2, alcoxi C_1-C_6, más preferiblemente alcoxi C_1-C_2, CF_3, OH, NH_2, NH(alquilo C_1-C_6), N(alquilo C_1-C_6) (alquilo C_1-C_6), halógeno, CN, o NO_2; o el grupo cicloalquilo es sustituido con 1 o 2 grupos que son independientemente CF_3, Cl, F, metilo, etilo o ciano; alquilo C_1-C_6 opcionalmente sustituido con 1, 2, o 3 grupos que son independientemente halógeno, $-NR_6R_7$, alcoxi C_1-C_4, heterocicloalquilo C_5-C_6, heteroarilo C_5-C_6, fenilo, cicloalquilo C_3-C_7, alquilo $-S-C_1-C_4$, alquilo $-SO_2-C_1-C_4$, $-CO_2H$, $-CONR_6R_7$, alquilo $-CO_2-C_1-C_4$, o feniloxi; heteroarilo opcionalmente sustituido con 1, 2, o 3 grupos que son independientemente alquilo C_1-C_4, alcoxi C_1-C_4, halógeno, haloalquilo C_1-C_4, o OH; heterocicloalquilo opcionalmente

sustituido con 1, 2, o 3 grupos que son independientemente alquilo C_1-C_4, alcoxi C_1-C_4, halógeno, o alcanoilo C_2-C_4; fenilo opcionalmente sustituido con 1, 2, 3, o 4 grupos que son independientemente halógeno, OH, alquilo C_1-C_4, alcoxi C_1-C_4, o haloalquilo C_1-C_4; y $-NR_6R_7$; en donde

R_6 y R_7 son independientemente seleccionados del grupo que consiste de H, alquilo C_1-C_6, alcanoilo C_2-C_6, fenilo, alquilo $-SO_2$-C_1-C_4, y fenil alquilo C_1-C_4;

R_8 se selecciona del grupo que consiste de $-SO_2$-heteroarilo opcionalmente sustituido con 1 o 2 grupos que son independientemente alquilo C_1-C_4 o halógeno; $-SO_2$-arilo, $-SO_2$-heterocicloalquilo, $-C(O)NHR_9$, heterocicloalquilo, alcanoilo -S-C_2-C_4, en donde

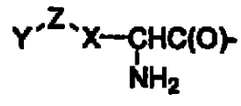
R_9 es fenil alquilo C_1-C_4, alquilo C_1-C_6, o H;

R_{50} es H o alquilo C_1-C_6;

R_{51} se selecciona del grupo que consiste de fenil alquilo C_1-C_4; alquilo C_1-C_6 opcionalmente sustituido con 1, 2, o 3 grupos que son independientemente halógeno, ciano, $-NR_6R_7$, $-C(O)NR_6R_7$, alcoxi C_3-C_7 o $-C_1$-C_4; heterocicloalquilo opcionalmente sustituido con 1 o 2 grupos que son independientemente alquilo C_1-C_4, alcoxi C_1-C_4, halógeno, alcanoilo C_2-C_4, fenil alquilo C_1-C_4, y alquilo $-SO_2$ C_1-C_4; heterocicloalquilalquilo opcionalmente sustituido con 1 o 2 grupos que son independientemente alquilo C_1-C_4, alcoxi C_1-C_4, halógeno, alcanoilo C_2-C_4, fenil alquilo C_1-C_4, y -

SO_2 alquilo C_1-C_4; alquenilo; alquinilo; heteroarilo opcionalmente sustituido con 1, 2, o 3 grupos que son independientemente OH, alquilo C_1-C_4, alcoxi C_1-C_4, halógeno, NH_2, NH(alquilo C_1-C_6) o N(alquilo C_1-C_6) (alquilo C_1-C_6); heteroarilalquilo opcionalmente sustituido con 1, 2, o 3 grupos que son independientemente alquilo C_1-C_4, alcoxi C_1-C_4, halógeno, NH_2, NH(alquilo C_1-C_6) o N(alquilo C_1-C_6) (alquilo C_1-C_6); fenilo; cicloalquilo C_3-C_8, y cicloalquilalquilo, en donde los grupos fenilo; cicloalquilo C_3-C_8, y cicloalquilalquilo son opcionalmente sustituidos con 1, 2, 3, 4 o 5 grupos que son independientemente halógeno, CN, NO_2, alquilo C_1-C_6, alcoxi C_1-C_6, alcanoilo C_2-C_6, haloalquilo C_1-C_6, haloalcoxi C_1-C_6, hidroxi, hidroxialquilo C_1-C_6, alcoxi C_1-C_6 alquilo C_1-C_6, tioalcoxi C_1-C_6, tioalcoxi C_1-C_6 alquilo C_1-C_6, o alcoxi C_1-C_6 alcoxi C_1-C_6.

Los compuestos preferidos de la fórmula AA-3 incluyen compuestos en donde uno de R_N y R_N' es hidrógeno y el otro es



en donde

X es alquilidenilo C_1-C_4 opcionalmente sustituido con 1, 2, o 3 grupos metilo; o $-NR_{4-6}-$; o R_4 y R_{4-6} se combinan para formar $-(CH_2)_{n10}-$, en donde n_{10} es 1, 2, 3, o 4;

Z se selecciona de un enlace; SO_2; SO; S; y C(O);

Y se selecciona de H; haloalquilo C_1-C_4; heterocicloalquilo C_5-C_6 que contiene al menos un N, O, o S; fenilo; OH; -$N(Y_1)$ (Y_2); alquilo C_1-C_{10} opcionalmente sustituido con 1 hasta 3 sustituyentes los cuales pueden ser iguales o diferentes y son seleccionados de halógeno, hidroxi, alcoxi, tioalcoxi, y haloalcoxi; cicloalquilo C_3-C_8 opcionalmente sustituido con 1, 2, o 3 grupos independientemente seleccionados de alquilo C_1-C_3, y halógeno; alcoxi; fenilo opcionalmente sustituido con halógeno, alquilo C_1-C_4, alcoxi C_1-C_4, CN o NO_2; fenil alquilo C_1-C_4 opcionalmente sustituido con halógeno, alquilo C_1-C_4, alcoxi C_1-C_4, CN o NO_2; en donde

Y_1 y Y_2 son iguales o diferentes y son H; alquilo C_1-C_{10} opcionalmente sustituido con 1, 2, o 3 sustituyentes seleccionados del grupo que consiste de halógeno, alcoxi C_1-C_4, cicloalquilo C_3-C_8, y OH; alquenilo C_2-C_6; alcanoilo C_2-C_6; fenilo; alquilo -SO_2-C_1-C_4; fenil alquilo C_1-C_4; y cicloalquilo C_3-C_8 alquilo C_1-C_4; o

-$N(Y_1)(Y_2)$ forma un anillo seleccionado de piperazinilo, piperidinilo, morfolinilo, y pirolidinilo, en donde cada anillo es opcionalmente sustituido con 1, 2, 3, o 4 grupos que son

independientemente alquilo C_1-C_6, alcoxi C_1-C_6, alcoxi C_1-C_6 alquilo C_1-C_6, o halógeno.

Los compuestos preferidos de la fórmula AA-3 incluyen compuestos en donde

X es alquilidenilo C_1-C_4 opcionalmente sustituido con 1, 2, o 3 grupos metilo;

Z se selecciona de SO_2; SO; S; y C(O);

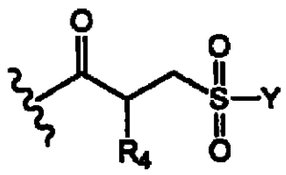
Y se selecciona de H; haloalquilo C_1-C_4; heterocicloalquilo C_5-C_6 que contiene al menos un N, O, o S; fenilo; OH; -$N(Y_1)$ (Y_2); alquilo C_1-C_{10} opcionalmente sustituido con 1 hasta 3 sustituyentes los cuales pueden ser iguales o diferentes y se seleccionan del grupo que consiste de halógeno, hidroxi, alcoxi, tioalcoxi, y haloalcoxi; cicloalquilo C_3-C_8 opcionalmente sustituido con 1, 2, o 3 grupos independientemente seleccionados de alquilo C_1-C_3, y halógeno; alcoxi; fenilo opcionalmente sustituido con halógeno, alquilo C_1-C_4, alcoxi C_1-C_4, CN o NO_2; fenil alquilo C_1-C_4 opcionalmente sustituido con halógeno, alquilo C_1-C_4, alcoxi C_1-C_4, CN o NO_2; en donde

Y_1 y Y_2 son iguales o diferentes y son H; alquilo C_1-C_6 opcionalmente sustituido con 1, 2, o 3 sustituyentes seleccionados del grupo que consiste de halógeno, alcoxi C_1-C_4, cicloalquilo C_3-C_8, y OH; alquenilo C_2-

C_6; alcanoilo C_2-C_6; fenilo; alquilo -SO_2-C_1-C_4; fenil alquilo C_1-C_4; o cicloalquilo C_3-C_8 alquilo C_1-C_4; o

-$N(Y_1)(Y_2)$ forma un anillo seleccionado de piperazinilo, piperidinilo, morfolinilo, y pirolidinilo, en donde cada anillo es opcionalmente sustituido con 1, 2, 3, o 4 grupos que son independientemente alquilo C_1-C_6, alcoxi C_1-C_6, alcoxi C_1-C_6 alquilo C_1-C_6, o halógeno.

Los compuestos preferidos de la fórmula AA-3 incluyen compuestos uno de R_N y R_N' es hidrógeno y el otro es:



en donde R_4 es NH_2; -NH-$(CH_2)_{n6}$-R_{4-1}; -NHR_8; -$NR_{50}C(O)R_5$; o -$NR_{50}CO_2R_{51}$ en donde

n_6 es 0, 1, 2, o 3;

n_7 es 0, 1, 2, o 3;

R_{4-1} se selecciona del grupo que consiste de -SO_2-(alquilo C_1-C_8), -SO-(alquilo C_1-C_8), -S-(alquilo C_1-C_8), -S-CO-(alquilo C_1-C_6), -SO_2-$NR_{4-2}R_{4-3}$; alquilo -CO-C_1-C_2; -CO-$NR_{4-3}R_{4-4}$;

R_{4-2} y R_{4-3} son independientemente H, alquilo C_1-C_3, o cicloalquilo C_3-C_6;

R_{4-4} es alquilo, fenilalquilo, alcanoilo C_2-C_4, o fenilalcanoilo;

R_5 es ciclopropilo; ciclobutilo; ciclopentilo; o ciclohexilo; en donde cada grupo cicloalquilo es opcionalmente sustituido con uno o dos grupos que son alquilo C_1-C_6, más preferiblemente alquilo C_1-C_2, alcoxi C_1-C_6, más preferiblemente alcoxi C_1-C_2, CF_3, OH, NH_2, NH(alquilo C_1-C_6), N(alquilo C_1-C_6) (alquilo C_1-C_6), halógeno, CN, o NO_2; o el grupo cicloalquilo es sustituido con 1 o 2 grupos que son independientemente CF_3, Cl, F, metilo, etilo o ciano; alquilo C_1-C_6 opcionalmente sustituido con 1, 2, o 3 grupos que son independientemente halógeno, $-NR_6R_7$, alcoxi C_1-C_4, heterocicloalquilo C_5-C_6, heteroarilo C_5-C_6, fenilo, cicloalquilo C_3-C_7, alquilo -S-C_1-C_4, alquilo -SO_2-C_1-C_4, -CO_2H, $-CONR_6R_7$, alquilo -CO_2-C_1-C_4, o feniloxi; heteroarilo opcionalmente sustituido con 1, 2, o 3 grupos que son independientemente alquilo C_1-C_4, alcoxi C_1-C_4, halógeno, haloalquilo C_1-C_4, o OH; heterocicloalquilo opcionalmente sustituido con 1, 2, o 3 grupos que son independientemente alquilo C_1-C_4, alcoxi C_1-C_4, halógeno, o alcanoilo C_2-C_4; fenilo opcionalmente sustituido con 1, 2, 3, o 4 grupos que son independientemente halógeno, OH, alquilo C_1-C_4, alcoxi C_1-C_4, o haloalquilo C_1-C_4; y $-NR_6R_7$; en donde

R_6 y R_7 son independientemente seleccionados del grupo que consiste de H, alquilo C_1-C_6, alcanoilo C_2-C_6, fenilo, alquilo -SO_2-C_1-C_4, y fenil alquilo C_1-C_4;

R_8 se selecciona del grupo que consiste de -SO_2-heteroarilo opcionalmente sustituido con 1 o 2 grupos que son independientemente alquilo C_1-C_4 o halógeno;, -SO_2-arilo, -SO_2-heterocicloalquilo, -C(O)NHR_9, heterocicloalquilo, -S-alcanoilo C_2-C_4, en donde R_9 es fenil alquilo C_1-C_4, alquilo C_1-C_6, o H; R_{50} es H o alquilo C_1-C_6; y

R_{51} se selecciona del grupo que consiste de fenil alquilo C_1-C_4; alquilo C_1-C_6 opcionalmente sustituido con 1, 2, o 3 grupos que son independientemente halógeno, ciano, -NR_6R_7, -C(O)NR_6R_7, alcoxi C_3-C_7 O -C_1-C_4; heterocicloalquilo opcionalmente sustituido con 1 o 2 grupos que son independientemente alquilo C_1-C_4, alcoxi C_1-C_4, halógeno, alcanoilo C_2-C_4, fenil alquilo C_1-C_4, y -SO_2 alquilo C_1-C_4; heterocicloalquilalquilo opcionalmente sustituido con 1 o 2 grupos que son independientemente alquilo C_1-C_4, alcoxi C_1-C_4, halógeno, alcanoilo C_2-C_4, fenil alquilo C_1-C_4, y -SO_2 alquilo C_1-C_4; alquenilo; alquinilo; heteroarilo opcionalmente sustituido con 1, 2, o 3 grupos que son independientemente OH, alquilo C_1-C_4, alcoxi C_1-C_4, halógeno, NH_2, NH(alquilo C_1-C_6) o N(alquilo C_1-C_6) (alquilo C_1-C_6); heteroarilalquilo opcionalmente sustituido con 1, 2, o 3 grupos que son independientemente alquilo C_1-C_4, alcoxi C_1-C_4, halógeno, NH_2, NH(alquilo C_1-

C_6) o N(alquilo C_1-C_6) (alquilo C_1-C_6); fenilo; cicloalquilo C_3-C_8, y cicloalquilalquilo, en donde los grupos fenilo; cicloalquilo C_3-C_8, y cicloalquilalquilo son opcionalmente sustituidos con 1, 2, 3, 4 o 5 grupos que son independientemente halógeno, CN, NO_2, alquilo C_1-C_6, alcoxi C_1-C_6, alcanoilo C_2-C_6, haloalquilo C_1-C_6, haloalcoxi C_1-C_6, hidroxi, hidroxialquilo C_1-C_6, alcoxi C_1-C_6 alquilo C_1-C_6, tioalcoxi C_1-C_6, tioalcoxi C_1-C_6 alquilo C_1-C_6, o alcoxi C_1-C_6 alcoxi C_1-C_6; y

Y es alquilo C_1-C_{10} opcionalmente sustituido con 1 hasta 3 sustituyentes los cuales pueden ser iguales o diferentes y son seleccionados de halógeno, hidroxi, alcoxi, tioalcoxi, y haloalcoxi.

Los compuestos preferidos de la fórmula AA-3 además incluyen compuestos en donde

R_c es alquilo C_1-C_8 opcionalmente sustituido con 1, 2, o 3 grupos independientemente seleccionados del grupo que consiste de R_{205}, $-OC{=}ONR_{235}R_{240}$, $-S(=O)_{0-2}$(alquilo C_1-C_6), -SH, $-C{=}ONR_{235}R_{240}$, y $-S(=O)_2NR_{235}R_{240}$; $-(CH_2)_{0-3}-(C_3-C_8)$ cicloalquilo en donde el cicloalquilo es opcionalmente sustituido con 1, 2, o 3 grupos independientemente seleccionados del grupo que consiste de R_{205}, $-CO_2H$, y $-CO_2-$(alquilo C_1-C_4); $-(CR_{245}R_{250})_{0-4}$-fenilo; $-(CR_{245}R_{250})_{0-4}$-heteroarilo; $-(CR_{245}R_{250})_{0-4}$-heterocicloalquilo; $-(CH_2)_{0-1}$-$CH((CH_2)_{0-4}-OH)-(CH_2)_{0-1}$-fenilo; $-(CH_2)_{0-1}-CHR_{C-6}-(CH_2)_{0-1}$-

heteroarilo; -CH(-CH_2-OH)-CH(OH)-fenil-NO_2; (alquilo C_1-C_6)-O-(alquilo C_1-C_6)-OH; o -$(CH_2)_{0-6}$-C(=NR_{235}) ($NR_{23}SR_{240}$); en donde

cada arilo es opcionalmente sustituido con 1, 2, o 3 R_{200};

cada heteroarilo es opcionalmente sustituido con 1, 2, 3, o 4 R_{200};

cada heterocicloalquilo es opcionalmente sustituido con 1, 2, 3, o 4 R_{210};

R_{200} en cada ocurrencia es independientemente alquilo C_1-C_6 opcionalmente sustituido con 1, 2, o 3 grupos R_{205}; OH; -NO_2; halógeno; -CO_2H; C≡N; -$(CH_2)_{0-4}$-CO-$NR_{220}R_{225}$; -$(CH_2)_{0-4}$-CO-(alquilo C_1-C_{12}); -$(CH_2)_{0-4}$-CO_2R_{215}; o -$(CH_2)_{0-4}$-O-(alquilo C_1-C_6 opcionalmente sustituido con 1, 2, 3, o 5 -F);

R_{205} en cada ocurrencia es independientemente alquilo C_1-C_6, halógeno, -OH, -O-fenilo, -SH, -C≡N, -CF_3, alcoxi C_1-C_6, NH_2, NH(alquilo C_1-C_6), o N-(alquilo C_1-C_6) (alquilo C_1-C_6);

R_{210} en cada ocurrencia es independientemente alquilo C_1-C_6 opcionalmente sustituido con 1, 2, o 3 grupos R_{205}; halógeno; alcoxi C_1-C_6; haloalcoxi C_1-C_6; -$NR_{220}R_{225}$; OH; C≡N; cicloalquilo C_3-C_7 opcionalmente sustituido con 1, 2, o 3 grupos R_{205}; -CO-(alquilo C_1-C_4); -SO_2-$NR_{235}R_{240}$; -CO-$NR_{235}R_{240}$; -SO_2-(alquilo C_1-C_4); y =O; en donde

R_{215} en cada ocurrencia es independientemente alquilo C_1-C_6, -$(CH_2)_{0-2}$-(fenil), cicloalquilo C_3-C_7, y -$(CH_2)_{0-2}$-(heteroaril), -$(CH_2)_{0-2}$-(heterocicloalquil); en donde el grupo fenilo en cada ocurrencia es opcionalmente sustituido con 1, 2, o 3 grupos que son independientemente R_{205} o R_{210}; en donde el grupo heterocicloalquilo en cada ocurrencia es opcionalmente sustituido con 1, 2, o 3 R_{210}; en donde cada grupo heteroarilo en cada ocurrencia es opcionalmente sustituido con 1, 2, o 3 R_{210};

R_{220} y R_{225} en cada ocurrencia son independientemente -H, alquilo -C_1-C_6, hidroxi alquilo C_1-C_6, halo alquilo C_1-C_6; cicloalquilo -C_3-C_7, y -(alquilo C_1-C_6)-O-(alquilo C_1-C_3);

R_{235} y R_{240} en cada ocurrencia son independientemente H, o alquilo C_1-C_6;

R_{245} y R_{250} en cada ocurrencia son independientemente H, alquilo C_1-C_4, hidroxialquilo C_1-C_4, alcoxi C_1-C_4, haloalcoxi C_1-C_4, o

R_{245} y R_{250} son tomados junto con el carbono al cual ellos están adheridos para formar un carbociclo de 3, 4, 5, 6, o 7 átomos de carbono.

Los compuestos preferidos de la fórmula AA-3 incluyen compuestos en donde

R_1 es benzilo el cual es opcionalmente sustituido con 1, 2, 3,. o 4 grupos independientemente seleccionados de halógeno, alcoxi C_1-C_4, hidroxi, y alquilo C_1-C_4 opcionalmente sustituido con 1, 2, o 3 sustituyentes halógeno, OH, SH, NH_2, NH(alquilo C_1-C_6), N-(alquilo C_1-C_6) (alquilo C_1-C_6), C≡N, CF_3;

R_2 y R_3 son independientemente seleccionados de H o alquilo C_1-C_4 opcionalmente sustituido con 1 sustituyente seleccionado de halógeno, -OH, -SH, -C≡N, -CF_3, alcoxi C_1-C_3, NH_2, NH(alquilo C_1-C_6), y NH(alquilo C_1-C_6) (alquilo C_1-C_6);

Rc es alquilo C_1-C_8 opcionalmente sustituido con 1, 2, o 3 grupos independientemente seleccionados de R_{205}, -SH, -C=O$NR_{235}R_{240}$, y -$S(=O)_2NR_{235}R_{240}$; -$(CH_2)_{0-3}$-(C_3-C_6) cicloalquilo en donde el cicloalquilo es opcionalmente sustituido con 1, 2, o 3 grupos independientemente seleccionados de R_{205}, -CO_2H, y -CO_2-(alquilo C_1-C_4); -$(CR_{245}R_{250})_{0-4}$-fenilo opcionalmente sustituido con 1, 2, o 3 R_{200}; -$(CR_{245}R_{250})_{0-3}$-piridilo; -$(CR_{245}R_{250})_{0-3}$-piridazinilo; -$(CR_{245}R_{250})_{0-3}$-pirimidinilo; -$(CR_{245}R_{250})_{0-3}$-pirazinilo; -$(CR_{245}R_{250})_{0-3}$-furilo; -$(CR_{245}R_{250})_{0-3}$-indolilo; -$(CR_{245}R_{250})_{0-3}$-tienilo; -$(CR_{245}R_{250})_{0-3}$-pirrolilo; -$(CR_{245}R_{250})_{0-3}$-pirazolilo; $(CR_{245}R_{250})_{0-3}$-benzoxazolilo; -$(CR_{245}R_{250})_{0-3}$-imidazolilo; cada uno de the above heterogrupo arilos es opcionalmente sustituido con 1, 2, 3, o 4 R_{200}; -$(CR_{245}R_{250})_{0-3}$-

imidazolidinil; $(CR_{245}R_{250})_{0-3}$-tetrahidrofuril; $(CR_{245}R_{250})_{0-3}$-tetrahidropiranil; $(CR_{245}R_{250})_{0-3}$-piperazinil; $(CR_{245}R_{250})_{0-3}$-pirrolidinil; $(CR_{245}R_{250})_{0-3}$-piperidinil; $(CR_{245}R_{250})_{0-3}$-indolinil; cada uno de los anteriores grupos heterocicloalquilo es opcionalmente sustituido con 1, 2, 3, o 4 R_{210}; $(CH_2)_{0-1}$-CH($(CH_2)_{0-4}$-OH)-$(CH_2)_{0-1}$-fenilo; -$(CH_2)_{0-1}$-CH(hidroxialquilo C_1-C_4)-$(CH_2)_{0-1}$-piridilo;

R_{200} en cada ocurrencia es independientemente alquilo C_1-C_6 opcionalmente sustituido con 1, 2, o 3 grupos R_{205}; OH; -NO_2; halógeno; -COR; C≡N; -$(CH_2)_{0-4}$-CO-$NR_{220}R_{225}$; -$(CH_2)_{0-4}$-CO-(alquilo C_1-C_8); -$(CH_2)_{0-4}$-CO_2R_{215}; y -$(CH_2)_{0-4}$-O-(alquilo C_1-C_6 opcionalmente sustituido con 1, 2, 3, o 5 -F);

R_{205} en cada ocurrencia es independientemente alquilo C_1-C_6, halógeno, -OH, -O-fenilo, -SH, -C≡N, -CF_3, alcoxi C_1-C_6, NH_2, NH(alquilo C_1-C_6), y N-(alquilo C_1-C_6) (alquilo C_1-C_6);

R_{210} en cada ocurrencia es independientemente alquilo C_1-C_6 opcionalmente sustituido con 1 o 2 grupos R_{205}; halógeno; alcoxi C_1-C_4; haloalcoxi C_1-C_4; -$NR_{220}R_{225}$; OH; C≡N; cicloalquilo C_3-C_7 opcionalmente sustituido con 1 o 2 grupos R_{205}; -CO-(alquilo C_1-C_4); -SO_2-$NR_{235}R_{240}$; -CO-$NR_{235}R_{240}$; -SO_2-(alquilo C_1-C_4); y =O; en donde

R_{215} en cada ocurrencia es independientemente alquilo C_1-C_6, -$(CH_2)_{0-2}$-(fenil), cicloalquilo C_3-C_5, -$(CH_2)_{0-2}$-(piridil), -

$(CH_2)_{0-2}$-(pirrolil), $-(CH_2)_{0-2}$-(imidazolil), $-(CH_2)_{0-2}$-(pirimidil), $-(CH_2)_{0-2}$-(pirrolidinil), $-(CH_2)_{0-2}$-(imidazolidinil)-$(CH_2)_{0-2}$-(piperazinil), $-(CH_2)_{0-2}$-(piperidinil), y $-(CH_2)_{0-2}$-(morfolinil); en donde el grupo fenilo en cada ocurrencia es opcionalmente sustituido con 1 o 2 grupos que son independientemente R_{205} o R_{210}; en donde cada grupo heterocicloalquilo en cada ocurrencia es opcionalmente sustituido con 1 o 2 R_{210}; en donde cada grupo heteroarilo en cada ocurrencia es opcionalmente sustituido con 1 o 2 R_{210};

R_{220} y R_{225} en cada ocurrencia son independientemente -H, alquilo -C_1-C_4, hidroxi alquilo C_1-C_4, halo alquilo C_1-C_4; cicloalquilo -C_3-C_6, y -(alquilo C_1-C_4)-O-(alquilo C_1-C_2);

R_{235} y R_{240} en cada ocurrencia son independientemente H, o alquilo C_1-C_6;

R_{245} y R_{250} en cada ocurrencia son independientemente H, alquilo C_1-C_4, hidroxialquilo C_1-C_4, alcoxi C_1-C_4, haloalcoxi C_1-C_4, o

R_{245} y R_{250} son tomados junto con el carbono al cual ellos están adheridos para formar un carbociclo de 3, 4, 5, o 6 átomos de carbono.

Otros compuestos preferidos de la fórmula AA-3 incluyen compuestos en donde X es alquilidenilo $-C_1-C_3$ opcionalmente sustituido con 1 o 2 grupos metilo;

Z es SO_2; SO; S; o C(O);

Y es haloalquilo C_1-C_4; OH; $-N(Y_1)(Y_2)$; alquilo C_1-C_{10} opcionalmente sustituido con 1 o 2 sustituyentes los cuales pueden ser iguales o diferentes y son seleccionados de halógeno, hidroxi, alcoxi C_1-C_4, tioalcoxi C_1-C_4, y haloalcoxi C_1-C_4; alcoxi C_1-C_4; fenilo opcionalmente sustituido con halógeno, alquilo C_1-C_4, alcoxi C_1-C_4, CN o NO_2; y benzilo opcionalmente sustituido con halógeno, alquilo C_1-C_4, alcoxi C_1-C_4, CN o NO_2; en donde

Y_1 y Y_2 son iguales o diferentes y son H; alquilo C_1-C_6 opcionalmente sustituido con 1, 2, o 3 sustituyentes seleccionados de halógeno, alcoxi C_1-C_2, cicloalquilo C_3-C_6, y OH; alcanoilo C_2-C_6; fenilo; alquilo $-SO_2-C_1-C_4$; benzilo; y cicloalquilo C_3-C_6 alquilo C_1-C_2; o

$-N(Y_1)(Y_2)$ forma un anillo seleccionado de piperazinilo, piperidinilo, morfolinilo, y pirolidinilo, en donde cada anillo es opcionalmente sustituido con 1, 2, 3, o 4 grupos que son independientemente alquilo C_1-C_6, alcoxi C_1-C_6, alcoxi C_1-C_6 alquilo C_1-C_6, o halógeno.

Los compuestos preferidos de la fórmula AA-3 también incluyen aquellos de fórmula AA-4, es decir, compuestos de fórmula AA-3 en donde

X es alquilidenilo -C1-C3 opcionalmente sustituido con 1 grupo metilo;

Z es SO_2; SO; S; o C(O);

Y es OH; $-N(Y_1)(Y_2)$; fenilo; benzilo; o alquilo C_1-C_{10} opcionalmente sustituido con 1 o 2 sustituyentes los cuales pueden ser iguales o diferentes y son seleccionados de halógeno, hidroxi, metoxi, etoxi, tiometoxi, tioetoxi, y CF_3;

en donde Y_1 y Y_2 son iguales o diferentes y son H; alquilo C_1-C_4 opcionalmente sustituido con 1 o 2 sustituyentes seleccionado de halógeno, metoxi, etoxi, ciclopropilo, y OH; o

$-N(Y_1)(Y_2)$ forma un anillo seleccionado de piperazinilo, piperidinilo, morfolinilo, y pirolidinilo, en donde cada anillo es opcionalmente sustituido con 1 o 2 grupos que son independientemente alquilo C_1-C_4, alcoxi C_1-C_4, o halógeno;

R_1 es benzilo el cual es opcionalmente sustituido con 1, 2, o 3 grupos independientemente seleccionados de metilo,

etilo, n-propilo, isopropilo, hidroximetilo, monohalometilo, dihalometilo, trihalometilo, $-CH_2CF_3$, metoximetilo, halógeno, metoxi, etoxi, n-propiloxi, isopropiloxi, y OH;

R_2 y R_3 son independientemente H o alquilo C_1-C_4;

R_c es alquilo C_1-C_6 opcionalmente sustituido con 1, 2, o 3 grupos R_{205}; ciclopropilo, ciclopropilmetilo, ciclopentilo, ciclopentilmetilo, ciclohexilo, ciclohexilmetilo; -$(CR_{245}R_{250})_{0-3}$-fenilo opcionalmente sustituido con 1 o 2 grupos R_{200}; -$(CR_{245}R_{250})_{0-3}$-piridilo opcionalmente sustituido con 1 o 2 R_{200}; -$(CR_{245}R_{250})_{0-3}$-piperazinilo; o $(CR_{245}R_{250})_{0-3}$-pirrolidinilo; -$(CR_{245}R_{250})_{0-3}$-piperidinilo; cada uno de los anteriores grupos heterocicloalquilo es opcionalmente sustituido con 1 o 2 grupos R_{210};

R_{200} en cada ocurrencia es independientemente seleccionado de alquilo C_1-C_4 opcionalmente sustituido con 1 o 2 grupos R_{205}; OH; y halógeno;

R_{205} en cada ocurrencia es independientemente seleccionado de alquilo C_1-C_4, halógeno, -OH, -SH, -C≡N, $-CF_3$, y alcoxi C_1-C_4;

R_{210} en cada ocurrencia es independientemente seleccionado de alquilo C_1-C_4 opcionalmente sustituido con 1 o 2 grupos R_{205}; halógeno; alcoxi C_1-C_4; OCF_3; NH_2, NH(alquilo C_1-C_6);

N(alquilo C_1-C_6) (alquilo C_1-C_6); OH; y -CO-(alquilo C_1-C_4); en donde

R_{245} y R_{250} en cada ocurrencia son independientemente seleccionados de H, hidroxialquilo C_1-C_4, alcoxi C_1-C_4, o

R_{245} y R_{250} son tomados junto con el carbono al cual ellos están adheridos para formar un carbociclo de 3, 5, o 6 átomos de carbono.

Los compuestos preferidos de la fórmulas AA, AA-1 y AA-2 incluyen compuestos de fórmula AA-5, es decir, aquellos de fórmula AA, AA-1 o AA-2 en donde

uno de R_N y R_N' es hidrógeno y el otro es $-C(=O)-(CRR')_{0-6}R_{100}$; y

R_{100} representa arilo, heteroarilo, o heterociclilo, donde las porciones de anillo de cada uno están opcionalmente sustituidas con 1, 2, o 3 grupos independientemente seleccionados de

-OR, $-NO_2$, alquilo C_1-C_6, halógeno, -C≡N, $-OCF_3$, $-CF_3$, $-(CH_2)_{0-4}-O-P(=O)(OR)(OR')$, $-(CH_2)_{0-4}-CO-NR_{105}R'_{105}$, $-(CH_2)_{0-4}-O-(CH_2)_{0-4}-CONR_{102}R_{102}'$, $-(CH_2)_{0-4}-CO-$(alquilo C_1-C_{12}), $-(CH_2)_{0-4}-CO-$(alquenilo C_2-C_{12}), $-(CH_2)_{0-4}-CO-$(alquinilo C_2-C_{12}), $-(CH_2)_{0-4}-CO-(CH_2)_{0-4}$(cicloalquilo C_3-C_7), $-(CH_2)_{0-4}-R_{110}$, $-(CH_2)_{0-4}-R_{120}$, $-(CH_2)_{0-4}-R_{130}$, $-(CH_2)_{0-4}CO-R_{110}$, $-(CH_2)_{0-4}-CO-R_{120}$, $-(CH_2)_{0-4}-CO-R_{130}$, -

$(CH_2)_{0-4}$-CO-R_{140}, -$(CH_2)_{0-4}$-CO-O-R_{150}, -$(CH_2)_{0-4}$-SO_2-$NR_{105}R'_{105}$, -$(CH_2)_{0-4}$-SO-(alquilo C_1-C_8), -$(CH_2)_{0-4}$-SO_2-(alquilo C_1-C_{12}), -$(CH_2)_{0-4}$-SO_2-$(CH_2)_{0-4}$-(cicloalquilo C_3-C_7), -$(CH_2)_{0-4}$-N(R_{150})-CO-O-R_{150}, -$(CH_2)_{0-4}$-N(R_{150})-CO-N(R_{150})$_2$, -$(CH_2)_{0-4}$-N(R_{150})-CS-N(R_{150})$_2$, -$(CH_2)_{0-4}$-N(R_{150})-CO-R_{105}, -$(CH_2)_{0-4}$-$NR_{105}R'_{105}$, -$(CH_2)_{0-4}$-R_{140}, -$(CH_2)_{0-4}$-O-CO-(alquilo C_1-C_6), -$(CH_2)_{0-4}$-O-P(O)-(O-R_{110})$_2$, -$(CH_2)_{0-4}$-O-CO-N(R_{150})$_2$, -$(CH_2)_{0-4}$-O-CS-N(R_{150})$_2$, -$(CH_2)_{0-4}$-O-(R_{150}), -$(CH_2)_{0-4}$-O-R_{150}'-COOH, -$(CH_2)_{0-4}$-S-(R_{150}), -$(CH_2)_{0-4}$-N(R_{150})-SO_2-R_{105}, cicloalquilo -$(CH_2)_{0-4}$-C_3-C_7, alquenilo (C_2-C_{10}), o alquinilo (C_2-C_{10}).

Los compuestos preferidos de la fórmula AA-5 incluyen compuestos en donde

uno de R_N y R_N' es hidrógeno y el otro es -C(=O)-R_{100}; y

R_{100} representa arilo, o heteroarilo, donde las porciones de anillo de cada uno están opcionalmente sustituidas con 1, 2, o 3 grupos independientemente seleccionados de

-OR, -NO_2, alquilo C_1-C_6, halógeno, -C≡N, -OCF_3, -CF_3, -$(CH_2)_{0-4}$-O-P(=O)(OR)(OR'), -$(CH_2)_{0-4}$-CO-$NR_{105}R'_{105}$, -$(CH_2)_{0-4}$-O-$(CH_2)_{0-4}$-$CONR_{102}R_{102}'$, -$(CH_2)_{0-4}$-CO-(alquilo C_1-C_{12}), -$(CH_2)_{0-4}$-CO-(alquenilo C_2-C_{12}), -$(CH_2)_{0-4}$-CO-(alquinilo C_2-C_{12}), -$(CH_2)_{0-4}$-CO-$(CH_2)_{0-4}$(cicloalquilo C_3-C_7), -$(CH_2)_{0-4}$-R_{110}, -$(CH_2)_{0-4}$-R_{120}, -$(CH_2)_{0-4}$-R_{130}, -$(CH_2)_{0-4}$-CO-R_{110}, -$(CH_2)_{0-4}$-CO-R_{120}, -$(CH_2)_{0-4}$-CO-

R_{130}, $-(CH_2)_{0-4}-CO-R_{140}$, $-(CH_2)_{0-4}-CO-O-R_{150}$, $-(CH_2)_{0-4}-SO_2-NR_{105}R'_{105}$, $-(CH_2)_{0-4}-SO-$(alquilo C_1-C_8), $-(CH_2)_{0-4}-SO_2-$(alquilo C_1-C_{12}), $-(CH_2)_{0-4}-SO_2-(CH_2)_{0-4}-$(cicloalquilo C_3-C_7), $-(CH_2)_{0-4}-N(R_{150})-CO-O-R_{150}$, $-(CH_2)_{0-4}-N(R_{150})-CO-N(R_{150})_2$, $-(CH_2)_{0-4}-N(R_{150})-CS-N(R_{150})_2$, $-(CH_2)_{0-4}-N(R_{150})-CO-R_{105}$, $-(CH_2)_{0-4}-NR_{105}R'_{105}$, $-(CH_2)_{0-4}-R_{140}$, $-(CH_2)_{0-4}-O-CO-$(alquilo C_1-C_6), $-(CH_2)_{0-4}-O-P(O)-(O-R_{110})_2$, $-(CH_2)_{0-4}-O-CO-N(R_{150})_2$, $-(CH_2)_{0-4}-O-CS-N(R_{150})_2$, $-(CH_2)_{0-4}-O-(R_{150})$, $-(CH_2)_{0-4}-O-R_{150}-COOH$, $-(CH_2)_{0-4}-S-(R_{150})$, $-(CH_2)_{0-4}-N(R_{150})-SO_2-R_{105}$, cicloalquilo $-(CH_2)_{0-4}-C_3-C_7$, alquenilo (C_2-C_{10}), o alquinilo (C_2-C_{10}).

Los compuestos preferidos de la fórmula AA-5 también incluyen compuestos en donde

uno de R_N y R_N' es hidrógeno y el otro es -C(=O)-arilo o -C(=O)-heteroarilo donde las porciones de anillo de cada uno están opcionalmente sustituidas con 1, 2, o 3 grupos independientemente seleccionados de

-OR, $-NO_2$, alquilo C_1-C_6, halógeno, -C≡N, $-OCF_3$, $-CF_3$, $-(CH_2)_{0-4}-CO-NR_{105}R'_{105}$, $-(CH_2)_{0-4}-O-(CH_2)_{0-4}-CONR_{102}R_{102}'$, $-(CH_2)_{0-4}-CO-$(alquilo C_1-C_{12}), $-(CH_2)_{0-4}-CO-$(alquenilo C_2-C_{12}), $-(CH_2)_{0-4}-CO-$(alquinilo C_2-C_{12}), $-(CH_2)_{0-4}-R_{110}$, $-(CH_2)_{0-4}-R_{120}$, $-(CH_2)_{0-4}-R_{130}$, $-(CH_2)_{0-4}-CO-R_{110}$, $-(CH_2)_{0-4}-CO-R_{120}$, $-(CH_2)_{0-4}-CO-R_{130}$, $-(CH_2)_{0-4}-CO-R_{140}$, $-(CH_2)_{0-4}-CO-O-R_{150}$, $-(CH_2)_{0-4}-SO_2-NR_{105}R'_{105}$, $-(CH_2)_{0-4}-SO-$

(alquilo C_1-C_8), $-(CH_2)_{0-4}$-SO_2-(alquilo C_1-C_{12}), $-(CH_2)_{0-4}$-$N(R_{150})$-CO-O-R_{150}, $-(CH_2)_{0-4}$-$N(R_{150})$-CO-$N(R_{150})_2$, $-(CH_2)_{0-4}$-$N(R_{150})$-CO-R_{105}, $-(CH_2)_{0-4}$-$NR_{105}R'_{105}$, $-(CH_2)_{0-4}$-R_{140}, $-(CH_2)_{0-4}$-O-CO-(alquilo C_1-C_6), $-(CH_2)_{0-4}$-O-CO-$N(R_{150})_2$, $-(CH_2)_{0-4}$-O-(R_{150}), $-(CH_2)_{0-4}$-$N(R_{150})$-SO_2-R_{105}, cicloalquilo $-(CH_2)_{0-4}$-C_3-C_7, alquenilo (C_2-C_{10}), o alquinilo (C_2-C_{10}).

Otros compuestos preferidos de la fórmula AA-5 incluyen compuestos en donde

uno de R_N y R_N' es hidrógeno y el otro es -C(=O)-arilo o -C(=O)-heteroarilo donde las porciones de anillo de cada uno están opcionalmente sustituidas con 1 o 2 grupos independientemente seleccionados de

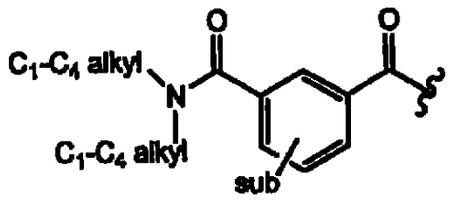
alquilo C_1-C_6, halógeno, $-(CH_2)_{0-4}$-CO-$NR_{105}R'_{105}$, $-(CH_2)_{0-4}$-O-CO-$N(R_{150})_2$, $-(CH_2)_{0-4}$-$N(R_{150})$-SO_2-R_{105}, $-(CH_2)_{0-4}$-SO_2-$NR_{105}R'_{105}$, cicloalquilo C_3-C_7, alquenilo (C_2-C_{10}), $-(CH_2)_{0-4}$-R_{110}, $-(CH_2)_{0-4}$-R_{120}, $-(CH_2)_{0-4}$-R_{130}, o alquinilo (C_2-C_{10}).

Otros compuestos preferidos de fórmula AA-5 incluyen compuestos en donde

uno de R_N y R_N' es hidrógeno y el otro es -C(=O)-fenilo, donde el anillo fenilo es opcionalmente sustituido con 1 o 2 grupos independientemente seleccionados de

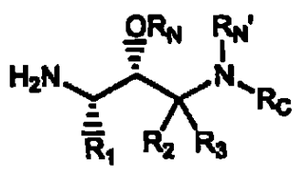
alquilo C_1-C_6, halógeno, -$(CH_2)_{0-4}$-CO-$NR_{105}R'_{105}$, -$(CH_2)_{0-4}$-O-CO-N(R. LSO)$_2$, -$(CH_2)_{0-4}$-$N(R_{150})$-SO_2-R_{105}, -$(CH_2)_{0-4}$-SO_2-$NR_{105}R'_{105}$, cicloalquilo C_3-C_7, alquenilo (C_2-C_{10}), -$(CH_2)_{0-4}$-R_{110}, -$(CH_2)_{0-4}$-R_{120}, -$(CH_2)_{0-4}$-R_{130}, o alquinilo (C_2-C_{10}).

Otros compuestos preferidos de la fórmula AA-5 también incluyen compuestos en donde uno de R_N y R_N' es hidrógeno y el otro es:



en donde sub es hidrógeno o es alquilo C_1-C_6, halógeno, -$(CH_2)_{0-4}$-CO-$NR_{105}R'_{105}$, -$(CH_2)_{0-4}$-O-CO-N$(R_{150})_2$, -$(CH_2)_{0-4}$-$N(R_{150})$-SO_2-R_{105}, -$(CH_2)_{0-4}$-SO_2-$NR_{105}R'_{105}$, cicloalquilo C_3-C_7, alquenilo-(C_2-C_{10}), -$(CH_2)_{0-4}$-R_{110}, -$(CH_2)_{0-4}$-R_{120}, -$(CH_2)_{0-4}$-R_{130}, o alquinilo (C_2-C_{10}).

Una estereoquímica preferida para compuestos de fórmula AA es como sigue:



(AA)

Los compuestos preferidos de la fórmula I incluyen aquellos de fórmula I-1, es decir, compuestos de fórmula I en donde

R_1 es arilo, heteroarilo, heterociclilo, alquil-arilo -C_1-C_6, alquil-heteroarilo -C_1-C_6, o alquil-heterociclilo -C_1-C_6, donde las porciones de anillo de cada uno están opcionalmente sustituidas con 1, 2, 3, o 4 grupos independientemente seleccionados de halógeno, OH, -SH, C≡N, -NO_2, -$NR_{105}R'_{105}$, -CO_2R, -N(R)COR', o -N(R)SO_2R', -C(=O)-(C_1-C_4) alquilo, -SO_2-amino, -SO_2-mono o dialquilamino, -C(=O)-amino, -C(=O)-mono o dialquilamino, alquilo-SO_2-(C_1-C_4), o

alcoxi C_1-C_6 opcionalmente sustituido con 1, 2, o 3 grupos los cuales son independientemente seleccionados de halógeno, o

cicloalquilo C_3-C_7 opcionalmente sustituido con 1, 2, o 3 grupos independientemente seleccionados de halógeno, -OH, -SH, -C≡N, -CF_3, alcoxi C_1-C_3, amino, alquilo -C_1-C_6 y mono- o dialquilamino, o

alquilo C_1-C_{10} opcionalmente sustituido con 1, 2, o 3 grupos independientemente seleccionados de halógeno, -OH, -SH, -C≡N, -CF_3, alcoxi -C_1-C_3, amino, mono- o dialquilamino y alquilo -C_1-C_3, o

alquenilo C_2-C_{10} o alquinilo C_2-C_{10} cada uno de los cuales es opcionalmente sustituido con 1, 2, o 3 grupos independientemente seleccionados de halógeno, -OH, -SH, -C≡N, -CF_3, alcoxi C_1-C_3, amino, alquilo C_1-C_6 y mono- o dialquilamino; y el grupo heterociclilo es además opcionalmente sustituido con oxo.

Los compuestos preferidos de la fórmula I-1 también incluyen aquellos en donde

R_1 es alquil-arilo -C_1-C_6, alquil-heteroarilo -C_1-C_6, O alquil-heterociclilo -C_1-C_6, donde las porciones de anillo de cada uno están opcionalmente sustituidas con 1, 2, 3, o 4 grupos independientemente seleccionados de halógeno, -OH, -SH, -C≡N, -NO_2, -$NR_{105}R'_{105}$, -CO_2R, -N(R)COR', o -N(R)SO_2R', -C(=O)-(C_1-C_4) alquilo, -SO_2-amino, -SO_2-mono o dialquilamino, -C(=O)-amino, -C(=O)-mono o dialquilamino, alquilo-SO_2-(C_1-C_4), o

alcoxi C_1-C_6 opcionalmente sustituido con 1, 2, o 3 grupos los cuales son independientemente seleccionados de halógeno, o

cicloalquilo C_3-C_7 opcionalmente sustituido con 1, 2, o 3 grupos independientemente seleccionados de halógeno, -OH, -SH, -C≡N, -CF_3, alcoxi C_1-C_3, amino, alquilo -C_1-C_6 y mono- o dialquilamino, o

alquilo C_1-C_{10} opcionalmente sustituido con 1, 2, o 3 grupos independientemente seleccionados de halógeno, -OH, -SH, -C≡N, -CF_3, alcoxi -C_1-C_3, amino, mono- o dialquilamino y alquilo -C_1-C_3, o

alquenilo C_2-C_{10} o alquinilo C_2-C_{10} cada uno de los cuales es opcionalmente sustituido con 1, 2, o 3 grupos independientemente seleccionados de halógeno, -OH, -SH, -C≡N, -CF_3, alcoxi C_1-C_3, amino, alquilo C_1-C_6 y mono- o dialquilamino; y el grupo heterociclilo es además opcionalmente sustituido con oxo.

Los compuestos preferidos de la fórmula I-1 además incluyen aquellos en donde

R_1 es -(CH_2)-arilo, -(CH_2)-heteroarilo, o -(CH_2)-heterociclilo, donde las porciones de anillo de cada uno están opcionalmente sustituidas con 1, 2, 3, o 4 grupos independientemente seleccionados de halógeno, -OH, -SH, -C≡N, -NO_2, -$NR_{105}R'_{105}$, -CO_2R, -N(R)COR', o -N(R)SO_2R', alquilo -C(=O)-$(C_1$-$C_4)$, -SO_2-amino, -SO_2-mono o dialquilamino, -C(=O)-amino, -C(=O)-mono o dialquilamino, alquilo-SO_2-$(C_1$-$C_4)$, o

alcoxi C_1-C_6 opcionalmente sustituido con 1, 2, o 3 grupos los cuales son independientemente seleccionados de halógeno, o

cicloalquilo C_3-C_7 opcionalmente sustituido con 1, 2, o 3 grupos independientemente seleccionados de halógeno, -OH, -SH, -C≡N, $-CF_3$, alcoxi C_1-C_3, amino, alquilo -C_1-C_6 y mono- o dialquilamino, o

alquilo C_1-C_{10} opcionalmente sustituido con 1, 2, o 3 grupos independientemente seleccionados de halógeno, -OH, -SH, -C≡N, $-CF_3$, alcoxi -C1-C3, amino, mono- o dialquilamino y alquilo -C_1-C_3, o

alquenilo C_2-C_{10} o alquinilo C_2-C_{10} cada uno de los cuales es opcionalmente sustituido con 1, 2, o 3 grupos independientemente seleccionados de halógeno, -OH, -SH, -C≡N, $-CF_3$, alcoxi C_1-C_3, amino, alquilo C_1-C_6 y mono- o dialquilamino; y el grupo heterociclilo es además opcionalmente sustituido con oxo.

Los compuestos preferidos de la fórmula I-1 también incluyen aquellos en donde

R_1 es $-CH_2$-fenilo o $-CH_2$-piridinilo donde las porciones de anillo de cada uno están opcionalmente sustituidas con 1,

2, 3, o 4 grupos independientemente seleccionados de halógeno, alcoxi C_1-C_4, hidroxi, $-NO_2$, y

alquilo C_1-C_4 opcionalmente sustituido con 1, 2, o 3 sustituyentes independientemente seleccionados de halógeno, OH, SH, NH_2, NH(alquilo C_1-C_6), N-(alquilo C_1-C_6) (alquilo C_1-C_6), C=N, CF_3.

Los compuestos preferidos de la fórmula I-1 además incluyen aquellos en donde

R_1 es $-CH_2$-fenilo o $-CH_2$-piridinilo donde los anillos fenilo o piridinilo son cada uno opcionalmente sustituidos con 1 o 2 grupos independientemente seleccionados de halógeno, alquilo C_1-C_2, alcoxi C_1-C_2, hidroxi, $-CF_3$, y $-NO_2$.

Los compuestos preferidos de la fórmula I-1 incluyen aquellos en donde

R_1 es $-CH_2$-fenilo donde el anillo fenilo es opcionalmente sustituido con 2 grupos independientemente seleccionados de halógeno, alquilo C_1-C_2, alcoxi C_1-C_2, hidroxi, y $-NO_2$.

Los compuestos preferidos de la fórmula I-1 también incluyen aquellos en donde R_1 es benzilo, o 3,5-difluorobenzilo.

Los compuestos preferidos de la fórmula I y I-1 incluyen aquellos de fórmula I-2, es decir, compuestos de fórmula I o I-1 en donde

R_2 y R_3 son independientemente seleccionados de H o alquilo C_1-C_6 opcionalmente sustituido con 1, 2, o 3 sustituyentes seleccionados del grupo que consiste de alquilo C_1-C_3, halógeno, -OH, -SH, -C≡N, $-CF_3$, alcoxi C_1-C_3, y $-NR_{1-a}R_{1-b}$.

Los compuestos preferidos de la fórmula I-2 incluyen aquellos en donde

R_c se selecciona del grupo que consiste de alquilo C_1-C_{10} opcionalmente sustituido con 1, 2, o 3 grupos independientemente seleccionados del grupo que consiste de R_{205}, $-OC{=}ONR_{235}R_{240}$, $-S(=O)_{0-2}$(alquilo C_1-C_6), -SH, $-NR_{235}C{=}ONR_{235}R_{240}$, $-C{=}ONR_{235}R_{240}$, y $-S(=O)_2NR_{235}R_{240}$; $-(CH_2)_{0-3}$-(C_3-C_8) cicloalquilo en donde el cicloalquilo es opcionalmente sustituido con 1, 2, o 3 grupos independientemente seleccionados del grupo que consiste de R_{205}, $-CO_2H$, y $-CO_2$-(alquilo C_1-C_4); $-(CR_{245}R_{250})_{0-4}$-arilo; $-(CR_{245}R_{250})_{0-4}$-heteroarilo; $-(CR_{245}R_{250})_{0-4}$-heterocicloalquilo; $-[C(R_{255})(R_{260})]_{1-3}$-CO-N-$(R_{255})_2$; $-CH(aril)_2$; $-CH(heteroaril)_2$; $-CH(heterocicloalquil)_2$; -CH(aril) (heteroaril); $-CO-NR_{235}R_{240}$; $-(CH_2)_{0-1}-CH((CH_2)_{0-6}-OH)-(CH_2)_{0-1}$-arilo; $-(CH_2)_{0-1}-CHR_{C-6}-(CH_2)_{0-1}$-heteroarilo; -CH(-aril o -heteroaril)-CO-O(alquilo C_1-C_4); $-CH(-CH_2-OH)-CH(OH)$-fenil-NO_2; (alquilo

C_1-C_6)-O-(alquilo C_1-C_6)-OH; $-CH_2-NH-CH_2-CH(-O-CH_2-CH_3)_2$; -H; y $-(CH_2)_{0-6}-C(=NR_{235})$ $(NR_{235}R_{240})$; en donde

cada arilo es opcionalmente sustituido con 1, 2, o 3 R_{200};

cada heteroarilo es opcionalmente sustituido con 1, 2, 3, o 4 R_{200};

cada heterocicloalquilo es opcionalmente sustituido con 1, 2, 3, o 4 R_{210};

R_{200} en cada ocurrencia se selecciona independientemente del grupo que consiste de alquilo C_1-C_6 opcionalmente sustituido con 1, 2, o 3 grupos R_{205}; OH; $-NO_2$; halógeno; $-CO_2H$; C≡N; $-(CH_2)_{0-4}-CO-NR_{220}R_{225}$; $-(CH_2)_{0-4}-CO-$(alquilo C_1-C_{12}); $-(CH_2)_{0-4}-CO_2R_{215}$; y $-(CH_2)_{0-4}-O-$(alquilo C_1-C_6 opcionalmente sustituido con 1, 2, 3, o 5 -F);

en donde cada uno grupo arilo en cada ocurrencia es opcionalmente sustituido con 1, 2, o 3 grupos que son independientemente R_{205}, R_{210} o alquilo C_1-C_6 sustituido con 1, 2, o 3 grupos que son independientemente R_{205} o R_{210};

en donde cada grupo heterocicloalquilo en cada ocurrencia es opcionalmente sustituido con 1, 2, o 3 grupos que son independientemente R_{210};

en donde cada grupo heteroarilo en cada ocurrencia es opcionalmente sustituido con 1, 2, o 3 grupos que son independientemente R_{205}, R_{210}, o alquilo C_1-C_6

sustituido con 1, 2, o 3 grupos que son independientemente R_{205} o R_{210};

R_{205} en cada ocurrencia se selecciona independientemente del grupo que consiste de alquilo C_1-C_6, halógeno, -OH, -O-fenilo, -SH, -C≡N, $-CF_3$, alcoxi C_1-C_6, NH_2, NH(alquilo C_1-C_6), y N-(alquilo C_1-C_6) (alquilo C_1-C_6);

R_{210} en cada ocurrencia se selecciona independientemente del grupo que consiste de alquilo C_1-C_6 opcionalmente sustituido con 1, 2, o 3 grupos R_{205}; halógeno; alcoxi C_1-C_6; haloalcoxi C_1-C_6; $-NR_{220}R_{225}$; OH; C≡N; cicloalquilo C_3-C_7 opcionalmente sustituido con 1, 2, o 3 grupos R_{205}; -CO-(alquilo C_1-C_4); SO_2 $NR_{235}R_{240}$; $-CO-NR_{235}R_{240}$; $-SO_2$-(alquilo C_1-C_4); y =O; en donde

R_{215} en cada ocurrencia se selecciona independientemente del grupo que consiste de alquilo C_1-C_6, $-(CH_2)_{0-2}$-(aril), cicloalquilo C_3-C_7, y $-(CH_2)_{0-2}$-(heteroaril), $-(CH_2)_{0-2}$-(heterocicloalquil); en donde el grupo arilo en cada ocurrencia es opcionalmente sustituido con 1, 2, o 3 grupos que son independientemente R_{205} o R_{210}; en donde el grupo heterocicloalquilo en cada ocurrencia es opcionalmente sustituido con 1, 2, o 3 R_{210}; en donde cada grupo heteroarilo en cada ocurrencia es opcionalmente sustituido con 1, 2, o 3 R_{210};

R_{220} y R_{225} en cada ocurrencia son independientemente seleccionados del grupo que consiste de -H, alquilo -C_1-C_6, hidroxi alquilo C_1-C_6, amino alquilo C_1-C_6; halo alquilo C_1-C_6; cicloalquilo-C_3-C_7, -(alquilo C_1-C_6)-O-(alquilo C_1-C_3), -arilo, -heteroarilo, y -heterocicloalquilo; en donde el grupo arilo en cada ocurrencia es opcionalmente sustituido con 1, 2, o 3 grupos R_{270}, cada heteroarilo es opcionalmente sustituido con 1, 2, 3, o 4 R_{200}, cada heterocicloalquilo es opcionalmente sustituido con 1, 2, 3, o 4 R_{210} en donde

R_{270} en cada ocurrencia es independientemente R_{205}, alquilo C_1-C_6 opcionalmente sustituido con 1, 2, o 3 grupos R_{205}; halógeno; alcoxi C_1-C_6; haloalcoxi C_1-C_6; $NR_{235}R_{240}$; OH; C=N; -CO-(alquilo C_1-C_4); y =O; en donde el grupo heterocicloalquilo en cada ocurrencia es opcionalmente sustituido con 1, 2, o 3 grupos R_{205}; en donde cada grupo heteroarilo en cada ocurrencia es opcionalmente sustituido con 1, 2, o 3 grupos R_{205};

R_{235} y R_{240} en cada ocurrencia son independientemente H, o alquilo C_1-C_6;

R_{245} y R_{250} en cada ocurrencia son independientemente seleccionados del grupo que consiste de H, alquilo C_1-C_4, hidroxialquilo C_1-C_4, alcoxi C_1-C_4, haloalcoxi C_1-C_4, o

R_{245} y R_{250} son tomados junto con el carbono al cual ellos están adheridos para formar un carbociclo de 3, 4, 5, 6, o 7 átomos de carbono, en donde el carbociclo es opcionalmente sustituido con 1 o 2 grupos que son independientemente OH, metilo, Cl, F, OCH_3, CF_3, NO_2, o CN;

R_{255} y R_{260} en cada ocurrencia son independientemente seleccionados del grupo que consiste de H; alquilo C_1-C_6 opcionalmente sustituido con 1, 2, o 3 grupos R_{205}; -$(CH_2)_{0-4}$-C_3-C_7 cicloalquilo opcionalmente sustituido con 1, 2, o 3 grupos R_{205}; -(alquilo C_1-C_4)-arilo; -(alquilo C_1-C_4)-heteroarilo; -(alquilo C_1-C_4)-heterocicloalquilo; arilo; heteroarilo; heterocicloalquilo; $(CH_2)_{1-4}$-R_{265}-$(CH_2)_{0-4}$-arilo; -$(CH_2)_{1-4}$-R_{265}-$(CH_2)_{0-4}$-heteroarilo; y; -$(CH_2)_{1-4}$-R_{265}-$(CH_2)_{0-4}$-heterocicloalquilo; en donde

R_{265} en cada ocurrencia es independientemente -O-, -S- o -N(alquilo C_1-C_6)-;

cada arilo o fenilo es opcionalmente sustituido con 1, 2, o 3 grupos que son independientemente R_{205}, R_{210}, o alquilo C_1-C_6 sustituido con 1, 2, o 3 grupos que son independientemente R_{205} o R_{210}.

Los compuestos preferidos de la fórmula I-2 incluyen aquellos en donde:

R_c es $-(CR_{245}R_{250})_{0-4}$-arilo, o $-(CR_{245}R_{250})_{0-4}$-heteroarilo, en donde arilo y heteroarilo son opcionalmente sustituidos con 1, 2, o 3 grupos R_{200}.

Los compuestos preferidos de la fórmula I-2 también incluyen compuestos en donde

R_c es $-(CR_{245}R_{250})$-arilo, o $-(CR_{245}R_{250})$-heteroarilo en donde cada arilo y heteroarilo es opcionalmente sustituido con 1, 2, o 3 grupos R_{200}.

Los compuestos preferidos de la fórmula I-2 también incluyen compuestos en donde

R_c es $-(CH_2)$-arilo, o $-(CH_2)$-heteroarilo, en donde

cada arilo y heteroarilo es opcionalmente sustituido con 1, 2, o 3 grupos seleccionados de OH, $-NO_2$, halógeno, $-CO_2H$, C≡N, $-(CH_2)_{0-4}$-CO-$NR_{220}R_{225}$, $-(CH_2)_{0-4}$-CO-(alquilo C_1-C_{12}), y $-(CH_2)_{0-4}$-SO_2-$NR_{220}R_{225}$.

Los compuestos preferidos de la fórmula I-2 también incluyen compuestos en donde

R_c es $-(CH_2)$-arilo, en donde arilo es opcionalmente sustituido con 1, 2, o 3 grupos seleccionados de OH, $-NO_2$, halógeno, $-CO_2H$, y C≡N.

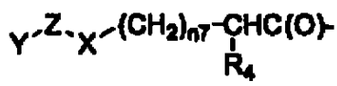
Los compuestos preferidos de la fórmula I-2 también incluyen compuestos en donde

R_C es $-(CH_2)$-fenilo, en donde fenilo es opcionalmente sustituido con 1, 2, o 3 grupos seleccionados de OH, $-NO_2$, halógeno, $-CO_2H$, y C≡N.

Los compuestos preferidos de la fórmula I-2 también incluyen compuestos en donde R_C es benzilo.

Otros compuestos preferidos de las fórmulas I, I-1 y I-2 incluyen compuestos de fórmula I-3, es decir, aquellos de las fórmulas I, I-1 o I-2 en donde

R_M es:



en donde R_4 es NH_2; $-NH-(CH_2)_{n6}-R_{4-1}$; $-NHR_8$; $-NR_{50}C(O)R_5$; o $-NR_{50}CO_2R_{51}$; en donde

n_6 es 0, 1, 2, o 3;

n_7 es 0, 1, 2, o 3;

R_{4-1} se selecciona del grupo que consiste de $-SO_2-$(alquilo C_1-C_8), $-SO-$(alquilo C_1-C_8), $-S-$(alquilo C_1-C_8), $-S-CO-$

(alquilo C_1-C_6), -SO_2-$NR_{4-2}R_{4-3}$; alquilo -CO-C_1-C_2; -CO-$NR_{4-3}R_{4-4}$;

R_{4-2} y R_{4-3} son independientemente H, alquilo C_1-C_3, o cicloalquilo C_3-C_6;

R_{4-4} es alquilo, fenilalquilo, alcanoilo C_2-C_4, o fenilalcanoilo;

R_5 es ciclopropilo; ciclobutilo; ciclopentilo; y ciclohexilo; en donde cada grupo cicloalquilo es opcionalmente sustituido con uno o dos grupos que son alquilo C_1-C_6, más preferiblemente alquilo C_1-C_2, alcoxi C_1-C_6, más preferiblemente alcoxi C_1-C_2, CF_3, OH, NH_2, NH(alquilo C_1-C_6), N(alquilo C_1-C_6) (alquilo C_1-C_6), halógeno, CN, o NO_2; o el grupo cicloalquilo es sustituido con 1 o 2 grupos que son independientemente CF_3, Cl, F, metilo, etilo o ciano; alquilo C_1-C_6 opcionalmente sustituido con 1, 2, o 3 grupos que son independientemente halógeno, -NR_6R_7, alcoxi C_1-C_4, heterocicloalquilo C_5-C_6, heteroarilo C_5-C_6, fenilo, cicloalquilo C_3-C_7, alquilo -S-C_1-C_4, alquilo -SO_2-C_1-C_4, -CO_2H, -$CONR_6R_7$, alquilo -CO_2-C_1-C_4, o feniloxi; heteroarilo opcionalmente sustituido con 1, 2, o 3 grupos que son independientemente alquilo C_1-C_4, alcoxi C_1-C_4, halógeno, haloalquilo C_1-C_4, o OH; heterocicloalquilo opcionalmente sustituido con 1, 2, o 3 grupos que son independientemente alquilo C_1-C_4, alcoxi C_1-C_4, halógeno, o alcanoilo C_2-C_4; fenilo opcionalmente sustituido con 1, 2, 3, o 4 grupos

que son independientemente halógeno, OH, alquilo C_1-C_4, alcoxi C_1-C_4, o haloalquilo C_1-C_4; y $-NR_6R_7$; en donde

R_6 y R_7 son independientemente seleccionados del grupo que consiste de H, alquilo C_1-C_6, alcanoilo C_2-C_6, fenilo, alquilo $-SO_2$-C_1-C_4, y fenil alquilo C_1-C_4;

R_8 se selecciona del grupo que consiste de $-SO_2$-heteroarilo opcionalmente sustituido con 1 o 2 grupos que son independientemente alquilo C_1-C_4 o halógeno;, $-SO_2$-arilo, $-SO_2$-heterocicloalquilo, $-C(O)NHR_9$, heterocicloalquilo, -S-alcanoilo C_2-C_4, en donde

R_9 es fenil alquilo C_1-C_4, alquilo C_1-C_6, o H;

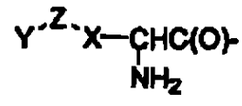
R_{50} es H o alquilo C_1-C_6;

R_{51} se selecciona del grupo que consiste de fenil alquilo C_1-C_4; alquilo C_1-C_6 opcionalmente sustituido con 1, 2, o 3 grupos que son independientemente halógeno, ciano, $-NR_6R_7$, $-C(O)NR_6R_7$, alcoxi C_3-C_7 o $-C_1$-C_4; heterocicloalquilo opcionalmente sustituido con 1 o 2 grupos que son independientemente alquilo C_1-C_4, alcoxi C_1-C_4, halógeno, alcanoilo C_2-C_4, fenil alquilo C_1-C_4, y alquilo $-SO_2$-C_1-C_4; heterocicloalquilalquilo opcionalmente sustituido con 1 o 2 grupos que son independientemente alquilo C_1-C_4, alcoxi C_1-C_4, halógeno, alcanoilo C_2-C_4, fenil alquilo C_1-C_4, y alquilo $-SO_2$-C_1-C_4; alquenilo; alquinilo; heteroarilo

opcionalmente sustituido con 1, 2, o 3 grupos que son independientemente OH, alquilo C_1-C_4, alcoxi C_1-C_4, halógeno,NH_2, NH(alquilo C_1-C_6) o N(alquilo C_1-C_6) (alquilo C_1-C_6); heteroarilalquilo opcionalmente sustituido con 1, 2, o 3 grupos que son independientemente alquilo C_1-C_4, alcoxi C_1-C_4, halógeno,NH_2, NH(alquilo C_1-C_6) o N(alquilo C_1-C_6) (alquilo C_1-C_6); fenilo; cicloalquilo C_3-C_8, y cicloalquilalquilo, en donde los grupos fenilo; cicloalquilo C_3-C_8, y cicloalquilalquilo son opcionalmente sustituidos con 1, 2, 3, 4 o 5 grupos que son independientemente halógeno, CN, NO_2, alquilo C_1-C_6, alcoxi C_1-C_6, alcanoilo C_2-C_6, haloalquilo C_1-C_6, haloalcoxi C_1-C_6, hidroxi, hidroxialquilo C_1-C_6, alcoxi C_1-C_6 alquilo C_1-C_6, tioalcoxi C_1-C_6, tioalcoxi C_1-C_6 alquilo C_1-C_6, o alcoxi C_1-C_6 alcoxi C_1-C_6.

Los compuestos preferidos de la fórmula I-3 incluyen compuestos en donde

R_M es



en donde

X es alquilidenilo C_1-C_4 opcionalmente sustituido con 1, 2, o 3 grupos metilo; o $-NR_{4-6}-$; o

R_4 y R_{4-6} se combinan para formar $-(CH_2)_{n10}-$, en donde n_{10} es 1, 2, 3, o 4;

Z se selecciona de un enlace; SO_2; SO; S; y C(O);

Y se selecciona de H; haloalquilo C_1-C_4; heterocicloalquilo C_5-C_6 que contiene al menos un N, O, o S; fenilo; OH; $-N(Y_1)(Y_2)$; alquilo C_1-C_{10} opcionalmente sustituido con 1 hasta 3 sustituyentes los cuales pueden ser iguales o diferentes y son seleccionados de halógeno, hidroxi, alcoxi, tioalcoxi, y haloalcoxi; cicloalquilo C_3-C_8 opcionalmente sustituido con 1, 2, o 3 grupos independientemente seleccionados de alquilo C_1-C_3, y halógeno; alcoxi; fenilo opcionalmente sustituido con halógeno, alquilo C_1-C_4, alcoxi C_1-C_4, CN o NO_2; fenil alquilo C_1-C_4 opcionalmente sustituido con halógeno, alquilo C_1-C_4, alcoxi C_1-C_4, CN o NO_2; en donde

Y_1 y Y_2 son iguales o diferentes y son H; alquilo C_1-C_{10} opcionalmente sustituido con 1, 2, o 3 sustituyentes seleccionados del grupo que consiste de halógeno, alcoxi C_1-C_4, cicloalquilo C_3-C_8, y OH; alquenilo C_2-C_6; alcanoilo C_2-C_6; fenilo; alquilo $-SO_2$-C_1-C_4; fenil alquilo C_1-C_4; y cicloalquilo C_3-C_8 alquilo C_1-C_4; o

$-N(Y_1)(Y_2)$ forma un anillo seleccionado de piperazinilo, piperidinilo, morfolinilo, y pirolidinilo, en donde cada anillo es opcionalmente sustituido con 1, 2, 3,

o 4 grupos que son independientemente alquilo C_1-C_6, alcoxi C_1-C_6, alcoxi C_1-C_6 alquilo C_1-C_6, o halógeno.

Los compuestos preferidos de la fórmula I-3 incluyen compuestos en donde

X es alquilidenilo C_1-C_4 opcionalmente sustituido con 1, 2, o 3 grupos metilo;

Z se selecciona de SO_2; SO; S; y C(O);

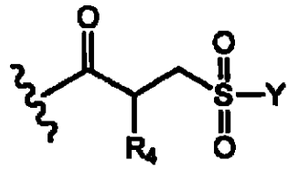
Y se selecciona de H; haloalquilo C_1-C_4; heterocicloalquilo C_5-C_6 que contiene al menos un N, O, o S; fenilo; OH; -$N(Y_1)(Y_2)$; alquilo C_1-C_{10} opcionalmente sustituido con 1 hasta 3 sustituyentes los cuales pueden ser iguales o diferentes y se seleccionan del grupo que consiste de halógeno, hidroxi, alcoxi, tioalcoxi, y haloalcoxi; cicloalquilo C_3-C_8 opcionalmente sustituido con 1, 2, o 3 grupos independientemente seleccionados de alquilo C_1-C_3, y halógeno; alcoxi; fenilo opcionalmente sustituido con halógeno, alquilo C_1-C_4, alcoxi C_1-C_4, CN o NO_2; fenil alquilo C_1-C_4 opcionalmente sustituido con halógeno, alquilo C_1-C_4, alcoxi C_1-C_4, CN o NO_2; en donde

Y_1 y Y_2 son iguales o diferentes y son H; alquilo C_1-C_6 opcionalmente sustituido con 1, 2, o 3 sustituyentes seleccionados del grupo que consiste de halógeno, alcoxi C_1-C_4, cicloalquilo C_3-C_8, y OH; alquenilo C_2-C_6;

alcanoilo C_2-C_6; fenilo; alquilo -SO_2-C_1-C_4; fenil alquilo C_1-C_4; o cicloalquilo C_3-C_8 alquilo C_1-C_4; o

-$N(Y_1)(Y_2)$ forma un anillo seleccionado de piperazinilo, piperidinilo, morfolinilo, y pirolidinilo, en donde cada anillo es opcionalmente sustituido con 1, 2, 3, o 4 grupos que son independientemente alquilo C_1-C_6, alcoxi C_1-C_6, alcoxi C_1-C_6 alquilo C_1-C_6, o halógeno.

Los compuestos preferidos de la fórmula I-3 incluyen compuestos en donde R_W es



y en donde R_4 es NH_2; -NH-$(CH_2)_{n6}$-R_{4-1}; -NHR_8; -$NR_{50}C(O)R_5$; o -$NR_{50}CO_2R_{51}$ en donde

n_6 es 0, 1, 2, o 3;

n_7 es 0, 1, 2, o 3;

R_{4-1} se selecciona del grupo que consiste de -SO_2-(alquilo C_1-C_8), -SO-(alquilo C_1-C_8), -S-(alquilo C_1-C_8), -S-CO-(alquilo C_1-C_6), -SO_2-$NR_{4-2}R_{4-3}$; alquilo -CO-C_1-C_2; -CO-$NR_{4-3}R_{4-4}$;

R_{4-2} y R_{4-3} son independientemente H, alquilo C_1-C_3, o cicloalquilo C_3-C_6;

R_{4-4} es alquilo, fenilalquilo, alcanoilo C_2-C_4, o fenilalcanoilo;

R_5 es ciclopropilo; ciclobutilo; ciclopentilo; o ciclohexilo; en donde cada grupo cicloalquilo es opcionalmente sustituido con uno o dos grupos que son alquilo C_1-C_6, más preferiblemente alquilo C_1-C_2, alcoxi C_1-C_6, más preferiblemente alcoxi C_1-C_2, CF_3, OH, NH_2, NH(alquilo C_1-C_6), N(alquilo C_1-C_6) (alquilo C_1-C_6), halógeno, CN, o NO_2; o el grupo cicloalquilo es sustituido con 1 o 2 grupos que son independientemente CF_3, Cl, F, metilo, etilo o ciano; alquilo C_1-C_6 opcionalmente sustituido con 1, 2, o 3 grupos que son independientemente halógeno, $-NR_6R_7$, alcoxi C_1-C_4, heterocicloalquilo C_5-C_6, heteroarilo C_5-C_6, fenilo, cicloalquilo C_3-C_7, alquilo -S-C_1-C_4, alquilo $-SO_2$-C_1-C_4, $-CO_2H$, $-CONR_6R_7$, alquilo $-CO_2$-C_1-C_4, o feniloxi; heteroarilo opcionalmente sustituido con 1, 2, o 3 grupos que son independientemente alquilo C_1-C_4, alcoxi C_1-C_4, halógeno, haloalquilo C_1-C_4, o OH; heterocicloalquilo opcionalmente sustituido con 1, 2, o 3 grupos que son independientemente alquilo C_1-C_4, alcoxi C_1-C_4, halógeno, o alcanoilo C_2-C_4; fenilo opcionalmente sustituido con 1, 2, 3, o 4 grupos que son independientemente halógeno, OH, alquilo C_1-C_4, alcoxi C_1-C_4, o haloalquilo C_1-C_4; y $-NR_6R_7$; en donde

R_6 y R_7 son independientemente seleccionados del grupo que consiste de H, alquilo C_1-C_6, alcanoilo C_2-C_6, fenilo, alquilo -SO_2-C_1-C_4, y fenil alquilo C_1-C_4;

R_8 se selecciona del grupo que consiste de -SO_2-heteroarilo opcionalmente sustituido con 1 o 2 grupos que son independientemente alquilo C_1-C_4 o halógeno;, -SO_2-arilo, -SO_2-heterocicloalquilo, -C(O)NHR_9, heterocicloalquilo, -S-alcanoilo C_2-C_4, en donde

R_9 es fenil alquilo C_1-C_4, alquilo C_1-C_6, o H;

R_{50} es H o alquilo C_1-C_6; y

R_{51} se selecciona del grupo que consiste de fenil alquilo C_1-C_4; alquilo C_1-C_6 opcionalmente sustituido con 1, 2, o 3 grupos que son independientemente halógeno, ciano, -NR_6R_7, -C(O)NR_6R_7, alcoxi C_3-C_7 o -C_1-C_4; heterocicloalquilo opcionalmente sustituido con 1 o 2 grupos que son independientemente alquilo C_1-C_4, alcoxi C_1-C_4, halógeno, alcanoilo C_2-C_4, fenil alquilo C_1-C_4, y alquilo -SO_2-C_1-C_4; heterocicloalquilalquilo opcionalmente sustituido con 1 o 2 grupos que son independientemente alquilo C_1-C_4, alcoxi C_1-C_4, halógeno, alcanoilo C_2-C_4, fenil alquilo C_1-C_4, y alquilo -SO_2 C_1-C_4; alquenilo; alquinilo; heteroarilo opcionalmente sustituido con 1, 2, o 3 grupos que son independientemente OH, alquilo C_1-C_4, alcoxi C_1-C_4, halógeno, NH_2, NH(alquilo C_1-C_6) o N(alquilo C_1-C_6)

(alquilo C_1-C_6); heteroarilalquilo opcionalmente sustituido con 1, 2, o 3 grupos que son independientemente alquilo C_1-C_4, alcoxi C_1-C_4, halógeno, NH_2, NH(alquilo C_1-C_6) o N(alquilo C_1-C_6) (alquilo C_1-C_6); fenilo; cicloalquilo C_3-C_8, y cicloalquilalquilo, en donde los grupos fenilo; cicloalquilo C_3-C_8, y cicloalquilalquilo son opcionalmente sustituidos con 1, 2, 3, 4 o 5 grupos que son independientemente halógeno, CN, NO_2, alquilo C_1-C_6, alcoxi C_1-C_6, alcanoilo C_2-C_6, haloalquilo C_1-C_6, haloalcoxi C_1-C_6, hidroxi, hidroxialquilo C_1-C_6, alcoxi C_1-C_6 alquilo C_1-C_6, tioalcoxi C_1-C_6, tioalcoxi C_1-C_6 alquilo C_1-C_6, o alcoxi C_1-C_6 alcoxi C_1-C_6; y

Y es alquilo C_1-C_{10} opcionalmente sustituido con 1 hasta 3 sustituyentes los cuales pueden ser iguales o diferentes y son seleccionados de halógeno, hidroxi, alcoxi, tioalcoxi, y haloalcoxi.

Los compuestos preferidos de la fórmula I-3 además incluyen compuestos en donde

R_c es alquilo C_1-C_8 opcionalmente sustituido con 1, 2, o 3 grupos independientemente seleccionados del grupo que consiste de R_{205}, $-OC{=}ONR_{235}R_{240}$, $-S(=O)_{0-2}$(alquilo C_1-C_6), -SH, $-C{=}ONR_{235}R_{240}$, y $-S(=O)_2NR_{235}R_{240}$; $-(CH_2)_{0-3}-(C_3$-$C_8)$ cicloalquilo en donde el cicloalquilo es opcionalmente sustituido con 1, 2, o 3 grupos independientemente seleccionados del grupo que consiste de R_{205}, $-CO_2H$, y -

CO_2-(alquilo C_1-C_4); $-(CR_{245}R_{250})_{0-4}$-fenilo; $-(CR_{245}R_{250})_{0-4}$-heteroarilo; $-(CR_{245}R_{250})_{0-4}$-heterocicloalquilo; $-(CH_2)_{0-1}$-$CH((CH_2)_{0-4}$-OH)-$(CH_2)_{0-1}$-fenilo; $-(CH_2)_{0-1}$-CHR_{C-6}-$(CH_2)_{0-1}$-heteroarilo; -CH(-CH_2-OH)-CH(OH)-fenil-NO_2; (alquilo C_1-C_6)-O-(alquilo C_1-C_6)-OH; o $-(CH_2)_{0-6}$-$C(=NR_{235})$ $(NR_{235}R_{240})$; en donde

cada arilo es opcionalmente sustituido con 1, 2, o 3 R_{200};

cada heteroarilo es opcionalmente sustituido con 1, 2, 3, o 4 R_{200};

cada heterocicloalquilo es opcionalmente sustituido con 1, 2, 3, o 4 R_{210};

R_{200} en cada ocurrencia es independientemente alquilo C_1-C_6 opcionalmente sustituido con 1, 2, o 3 grupos R_{205}; OH; -NO_2; halógeno; $-CO_2H$; C≡N; $-(CH_2)_{0-4}$-CO-$NR_{220}R_{225}$; $-(CH_2)_{0-4}$-CO-(alquilo C_1-C_{12}); $-(CH_2)_{0-4}$-CO_2R_{215}; o $-(CH_2)_{0-4}$-O-(alquilo C_1-C_6 opcionalmente sustituido con 1, 2, 3, o 5 -F);

R_{205} en cada ocurrencia es independientemente alquilo C_1-C_6, halógeno, -OH, -O-fenilo, -SH, -C≡N, $-CF_3$, alcoxi C_1-C_6, NH_2, NH(alquilo C_1-C_6), o N-(alquilo C_1-C_6) (alquilo C_1-C_6);

R_{210} en cada ocurrencia es independientemente alquilo C_1-C_6 opcionalmente sustituido con 1, 2, o 3 grupos R_{205};

halógeno; alcoxi C_1-C_6; haloalcoxi C_1-C_6; $-NR_{220}R_{225}$; OH; C=N; cicloalquilo C_3-C_7 opcionalmente sustituido con 1, 2, o 3 grupos R_{205}; -CO-(alquilo C_1-C_4); $SO_2NR_{235}R_{240}$; $-CO-NR_{235}R_{240}$; $-SO_2-$(alquilo C_1-C_4); y =O; en donde

R_{215} en cada ocurrencia es independientemente alquilo C_1-C_6, $-(CH_2)_{0-2}-$(fenil), cicloalquilo C_3-C_7, y $-(CH_2)_{0-2}-$(heteroaril), $-(CH_2)_{0-2}-$(heterocicloalquil); en donde el grupo fenilo en cada ocurrencia es opcionalmente sustituido con 1, 2, o 3 grupos que son independientemente R_{205} o R_{210}; en donde el grupo heterocicloalquilo en cada ocurrencia es opcionalmente sustituido con 1, 2, o 3 R_{210}; en donde cada grupo heteroarilo en cada ocurrencia es opcionalmente sustituido con 1, 2, o 3 R_{210};

R_{220} y R_{225} en cada ocurrencia son independientemente -H, alquilo -C_1-C_6, hidroxi alquilo C_1-C_6, halo alquilo C_1-C_6; cicloalquilo -C_3-C_7, y -(alquilo C_1-C_6)-O-(alquilo C_1-C_3);

R_{235} y R_{240} en cada ocurrencia son independientemente H, o alquilo C_1-C_6;

R_{245} y R_{250} en cada ocurrencia son independientemente H, alquilo C_1-C_4, hidroxialquilo C_1-C_4, alcoxi C_1-C_4, haloalcoxi C_1-C_4, o

R_{245} y R_{250} son tomados junto con el carbono al cual ellos están adheridos para formar un carbociclo de 3, 4, 5, 6, o 7 átomos de carbono.

Los compuestos preferidos de la fórmula I-3 incluyen compuestos en donde

R_1 es benzilo el cual es opcionalmente sustituido con 1, 2, 3, o 4 grupos independientemente seleccionados de halógeno, alcoxi C_1-C_4, hidroxi, y alquilo C_1-C_4 opcionalmente sustituido con 1, 2, o 3 sustituyentes halógeno, OH, SH, NH_2, NH(alquilo C_1-C_6), N-(alquilo C_1-C_6) (alquilo C_1-C_6), C≡N, CF_3;

R_2 y R_3 son independientemente seleccionados de H o alquilo C_1-C_4 opcionalmente sustituido con 1 sustituyente seleccionado de halógeno, -OH, -SH, -C≡N, -CF_3, alcoxi C_1-C_3, NH_2, NH(alquilo C_1-C_6), y NH(alquilo C_1-C_6) (alquilo C_1-C_6);

Rc es alquilo C_1-C_8 opcionalmente sustituido con 1, 2, o 3 grupos independientemente seleccionados de R_{205}, -SH, -C=O$NR_{235}R_{240}$, y -S(=O)$_2NR_{235}R_{240}$; -$(CH_2)_{0-3}$-(C_3-C_6) cicloalquilo en donde el cicloalquilo es opcionalmente sustituido con 1, 2, o 3 grupos independientemente seleccionados de R_{205}, -CO_2H, y -CO_2-(alquilo C_1-C_4); -$(CR_{245}R_{250})_{0-4}$-fenilo opcionalmente sustituido con 1, 2, o 3 R_{200}; -$(CR_{245}R_{250})_{0-3}$-

piridilo; -$(CR_{245}R_{250})_{0-3}$-piridazinilo; -$(CR_{245}R_{250})_{0-3}$-pirimidinilo; -$(CR_{245}R_{250})_{0-3}$-pirazinilo; -$(CR_{245}R_{250})_{0-3}$-furilo; -$(CR_{245}R_{250})_{0-3}$-indolilo; -$(CR_{245}R_{250})_{0-3}$-tienilo; -$(CR_{245}R_{250})_{0-3}$-pirrolilo; -$(CR_{245}R_{250})_{0-3}$-pirazolilo; $(CR_{245}R_{250})_{0-3}$-benzoxazolilo; -$(CR_{245}R_{250})_{0-3}$-imidazolilo; cada uno de los anteriores grupos heteroarilo es opcionalmente sustituido con 1, 2, 3, o 4 R_{200}; -$(CR_{245}R_{250})_{0-3}$-imidazolidinilo; $(CR_{245}R_{250})_{0-3}$-tetrahidrofurilo; $(CR_{245}R_{250})_{0-3}$-tetrahidropiranilo; $(CR_{245}R_{250})_{0-3}$-piperazinilo; $(CR_{245}R_{250})_{0-3}$-pirrolidinilo; $(CR_{245}R_{250})_{0-3}$-piperidinilo; $(CR_{245}R_{250})_{0-3}$-indolinilo; cada uno de los anteriores grupos heterocicloalquilo es opcionalmente sustituido con 1, 2, 3, o 4 R_{210}; $(CH_2)_{0-1}$-CH($(CH_2)_{0-4}$-OH)-$(CH_2)_{0-1}$-fenilo; -$(CH_2)_{0-1}$-CH(hidroxialquilo C_1-C_4)-$(CH_2)_{0-1}$-piridilo;

R_{200} en cada ocurrencia es independientemente alquilo C_1-C_6 opcionalmente sustituido con 1, 2, o 3 grupos R_{205}; OH; -NO_2; halógeno; -CO_2H; C≡N; -$(CH_2)_{0-4}$-CO-$NR_{220}R_{225}$; -$(CH_2)_{0-4}$-CO-(alquilo C_1-C_6); -$(CH_2)_{0-4}$-CO_2R_{215}; y -$(CH_2)_{0-4}$-O-(alquilo C_1-C_6 opcionalmente sustituido con 1, 2, 3, o 5 -F);

R_{205} en cada ocurrencia es independientemente alquilo C_1-C_6, halógeno, -OH, -O-fenilo, -SH, -C≡N, -CF_3, alcoxi C_1-C_6, NH_2, NH(alquilo C_1-C_6), y N-(alquilo C_1-C_6) (alquilo C_1-C_6);

R_{210} en cada ocurrencia es independientemente alquilo C_1-C_6 opcionalmente sustituido con 1 o 2 grupos R_{205}; halógeno;

alcoxi C_1-C_4; haloalcoxi C_1-C_4; $-NR_{220}R_{225}$; OH; C=N; cicloalquilo C_3-C_7 opcionalmente sustituido con 1 o 2 grupos R_{205}; -CO-(alquilo C_1-C_4); $SO_2NR_{235}R_{240}$; $-CO-NR_{235}R_{240}$; $-SO_2-$(alquilo C_1-C_4); y =O; en donde

R_{215} en cada ocurrencia es independientemente alquilo C_1-C_6, $-(CH_2)_{0-2}-$(fenil), cicloalquilo C_3-C_6, $-(CH_2)_{0-2}-$(piridil), $-(CH_2)_{0-2}-$(pirrolil), $-(CH_2)_{0-2}-$(imidazolil), $-(CH_2)_{0-2}-$(pirimidil), $-(CH_2)_{0-2}-$(pirrolidinil), $-(CH_2)_{0-2}-$(imidazolidinil)$-(CH_2)_{0-2}-$(piperazinil), $-(CH_2)_{0-2}-$(piperidinil), y $-(CH_2)_{0-2}-$(morfolinil); en donde el grupo fenilo en cada ocurrencia es opcionalmente sustituido con 1 o 2 grupos que son independientemente R_{205} o R_{210}; en donde cada grupo heterocicloalquilo en cada ocurrencia es opcionalmente sustituido con 1 o 2 R_{210}; en donde cada grupo heteroarilo en cada ocurrencia es opcionalmente sustituido con 1 o 2 R_{210};

R_{220} y R_{225} en cada ocurrencia son independientemente -H, alquilo $-C_1$-C_4, hidroxi alquilo C_1-C_4, halo alquilo C_1-C_4; cicloalquilo $-C_3$-C_6, y -(alquilo C_1-C_4)-O-(alquilo C_1-C_2);

R_{235} y R_{240} en cada ocurrencia son independientemente H, o alquilo C_1-C_6;

R_{245} y R_{250} en cada ocurrencia son independientemente H, alquilo C_1-C_4, hidroxialquilo C_1-C_4, alcoxi C_1-C_4, haloalcoxi C_1-C_4, o

R_{245} y R_{250} son tomados junto con el carbono al cual ellos están adheridos para formar un carbociclo de 3, 4, 5, o 6 átomos de carbono.

Otros compuestos preferidos de fórmula I-3 incluyen compuestos en donde

X es alquilidenilo -C_1-C_3 opcionalmente sustituido con 1 o 2 grupos metilo;

Z es SO_2; SO; S; o C(O);

Y es haloalquilo C_1-C_4; OH; -$N(Y_1)(Y_2)$; alquilo C_1-C_{10} opcionalmente sustituido con 1 o 2 sustituyentes los cuales pueden ser iguales o diferentes y son seleccionados de halógeno, hidroxi, alcoxi C_1-C_4, tioalcoxi C_1-C_4, y haloalcoxi C_1-C_4; alcoxi C_1-C_4; fenilo opcionalmente sustituido con halógeno, alquilo C_1-C_4, alcoxi C_1-C_4, CN o NO_2; y benzilo opcionalmente sustituido con halógeno, alquilo C_1-C_4, alcoxi C_1-C_4, CN o NO_2; en donde

Y_1 y Y_2 son iguales o diferentes y son H; alquilo C_1-C_6 opcionalmente sustituido con 1, 2, o 3 sustituyentes seleccionados de halógeno, alcoxi C_1-C_2, cicloalquilo C_3-C_6, y OH; alcanoilo C_2-C_6; fenilo; alquilo -SO_2-C_1-C_4; benzilo; y cicloalquilo C_3-C_6 alquilo C_1-C_2; o

-N(Y_1)(Y_2) forma un anillo seleccionado de piperazinilo, piperidinilo, morfolinilo, y pirolidinilo, en donde cada anillo es opcionalmente sustituido con 1, 2, 3, o 4 grupos que son independientemente alquilo C_1-C_6, alcoxi C_1-C_6, alcoxi C_1-C_6 alquilo C_1-C_6, o halógeno.

Los compuestos preferidos de la fórmula I-3 también incluyen aquellos de fórmula I-4, es decir, compuestos de fórmula I-3 en donde

X es alquilidenilo -C_1-C_3 opcionalmente sustituido con 1 grupo metilo;

Z es SO_2; SO; S; o C(O);

Y es OH; -N(Y_1)(Y_2); fenilo; benzilo; o alquilo C_1-C_{10} opcionalmente sustituido con 1 o 2 sustituyentes los cuales pueden ser iguales o diferentes y son seleccionados de halógeno, hidroxi, metoxi, etoxi, tiometoxi, tioetoxi, y CF_3; en donde

Y_1 y Y_2 son iguales o diferentes y son H; alquilo C_1-C_4 opcionalmente sustituido con 1 o 2 sustituyentes seleccionados de halógeno, metoxi, etoxi, ciclopropilo, y OH; o

-N(Y_1)(Y_2) forma un anillo seleccionado de piperazinilo, piperidinilo, morfolinilo, y pirolidinilo, en donde

cada anillo es opcionalmente sustituido con 1 o 2 grupos que son independientemente alquilo C_1-C_4, alcoxi C_1-C_4, o halógeno;

R_1 es benzilo el cual es opcionalmente sustituido con 1, 2, o 3 grupos independientemente seleccionados de metilo, etilo, n-propilo, isopropilo, hidroximetilo, monohalometilo, dihalometilo, trihalometilo, $-CH_2CF_3$, metoximetilo, halógeno, metoxi, etoxi, n-propiloxi, isopropiloxi, y OH;

R_2 y R_3 son independientemente H o alquilo C_1-C_4;

Rc es alquilo C_1-C_6 opcionalmente sustituido con 1, 2, o 3 grupos R_{205}; ciclopropilo, ciclopropilmetilo, ciclopentilo, ciclopentilmetilo, ciclohexilo, ciclohexilmetilo; -$(CR_{245}R_{250})_{0-3}$-fenilo opcionalmente sustituido con 1 o 2 grupos R_{200}; -$(CR_{245}R_{250})_{0-3}$-piridilo opcionalmente sustituido con 1 o 2 R_{200}; -$(CR_{245}R_{250})_{0-3}$-piperazinilo; o $(CR_{245}R_{250})_{0-3}$-pirrolidinilo; -$(CR_{245}R_{250})_{0-3}$-piperidinilo; cada uno de los anteriores grupos heterocicloalquilo es opcionalmente sustituido con 1 o 2 grupos R_{210};

R_{200} en cada ocurrencia es independientemente seleccionado de alquilo C_1-C_4 opcionalmente sustituido con 1 o 2 grupos R_{205}; OH; y halógeno;

R_{205} en cada ocurrencia es independientemente seleccionado de alquilo C_1-C_4, halógeno, -OH, -SH, -C≡N, $-CF_3$, y alcoxi C_1-C_4;

R_{210} en cada ocurrencia es independientemente seleccionado de alquilo C_1-C_4 opcionalmente sustituido con 1 o 2 grupos R_{205}; halógeno; alcoxi C_1-C_4; OCF_3; NH_2, NH(alquilo C_1-C_6); N(alquilo C_1-C_6) (alquilo C_1-C_6); OH; y -CO-(alquilo C_1-C_4); en donde

R_{245} y R_{250} en cada ocurrencia son independientemente seleccionados de H, hidroxialquilo C_1-C_4, alcoxi C_1-C_4, o

R_{245} y R_{250} son tomados junto con el carbono al cual ellos están adheridos para formar un carbociclo de 3, 5, o 6 átomos de carbono.

Los compuestos preferidos de la fórmulas I, I-1 y I-2 incluyen compuestos de fórmula I-5, es decir, aquellos de fórmula I, I-1 o I-2 en donde

R_N es $-C(=O)-(CRR')_{0-6}R_{100}$; y

R_{100} representa arilo, heteroarilo, o heterociclilo, donde las porciones de anillo de cada uno están opcionalmente sustituidas con 1, 2, o 3 grupos independientemente seleccionados de

-OR, $-NO_2$, alquilo C_1-C_6, halógeno, -C≡N, $-OCF_3$, $-CF_3$, $-(CH_2)_{0-4}-O-P(=O)(OR)(OR')$, $-(CH_2)_{0-4}-CO-NR_{105}R'_{105}$, $-(CH_2)_{0-4}-O-(CH_2)_{0-4}-CONR_{102}R_{102}'$, $-(CH_2)_{0-4}-CO-$(alquilo C_1-C_{12}), $-(CH_2)_{0-4}-CO-$(alquenilo C_1-C_{12}), $-(CH_2)_{0-4}-CO-$(alquinilo C_2-C_{12}), $-(CH_2)_{0-4}-CO-(CH_2)_{0-4}$(cicloalquilo C_3-C_7), $-(CH_2)_{0-4}-R_{110}$, $-(CH_2)_{0-4}-R_{120}$, $-(CH_2)_{0-4}-R_{130}$, $-(CH_2)_{0-4}-CO-R_{110}$, $-(CH_2)_{0-4}-CO-R_{120}$, $-(CH_2)_{0-4}-CO-R_{130}$, $-(CH_2)_{0-4}-CO-R_{140}$, $-(CH_2)_{0-4}-CO-O-R_{150}$, $-(CH_2)_{0-4}-SO_2-NR_{105}R'_{105}$, $-(CH_2)_{0-4}-SO-$(alquilo C_1-C_8), $-(CH_2)_{0-4}-SO_2-$(alquilo C_1-C_{12}), $-(CH_2)_{0-4}-SO_2-(CH_2)_{0-4}-$(cicloalquilo C_3-C_7), $-(CH_2)_{0-4}-N(R_{150})-CO-O-R_{150}$, $-(CH_2)_{0-4}-N(R_{150})-CO-N(R_{150})_2$, $-(CH_2)_{0-4}-N(R_{150})-CS-N(R_{150})_2$, $-(CH_2)_{0-4}-N(R_{150})-CO-R_{105}$, $-(CH_2)_{0-4}-NR_{105}R'_{105}$, $-(CH_2)_{0-4}-R_{140}$, $-(CH_2)_{0-4}-O-CO-$(alquilo C_1-C_6), $-(CH_2)_{0-4}-O-P(O)-(O-R_{110})_2$, $-(CH_2)_{0-4}-O-CO-N(R_{150})_2$, $-(CH_2)_{0-4}-O-CS-N(R_{150})_2$, $-(CH_2)_{0-4}-O-(R_{150})$, $-(CH_2)_{0-4}-O-R_{150}'-COOH$, $-(CH_2)_{0-4}-S-(R_{150})$, $-(CH_2)_{0-4}-N(R_{150})-SO_2-R_{105}$, $-(CH_2)_{0-4}-C_3-C_7$ cicloalquilo, alquenilo (C_2-C_{10}), o alquinilo (C_2-C_{10}).

Los compuestos preferidos de la fórmula I-5 incluyen compuestos en donde

R_W es $-C(=O)-R_{100}$; y

R_{100} representa arilo, o heteroarilo, donde las porciones de anillo de cada uno están opcionalmente sustituidas con 1, 2, o 3 grupos independientemente seleccionados de

-OR, $-NO_2$, alquilo C_1-C_6, halógeno, $-C\equiv N$, $-OCF_3$, $-CF_3$, $-(CH_2)_{0-4}-O-P(=O)(OR)(OR')$, $-(CH_2)_{0-4}-CO-NR_{105}R'_{105}$, $-(CH_2)_{0-4}-O-(CH_2)_{0-4}-CONR_{102}R_{102}'$, $-(CH_2)_{0-4}-CO-$(alquilo C_1-C_{12}), $-(CH_2)_{0-4}-CO-$(alquenilo C_2-C_{12}), $-(CH_2)_{0-4}-CO-$(alquinilo C_2-C_{12}), $-(CH_2)_{0-4}-CO-(CH_2)_{0-4}$(cicloalquilo C_3-C_7), $-(CH_2)_{0-4}-R_{110}$, $-(CH_2)_{0-4}-R_{120}$, $-(CH_2)_{0-4}-R_{130}$, $-(CH_2)_{0-4}-CO-R_{110}$. $-(CH_2)_{0-4}-CO-R_{120}$, $-(CH_2)_{0-4}-CO-R_{130}$, $-(CH_2)_{0-4}-CO-R_{140}$, $-(CH_2)_{0-4}-CO-O-R_{150}$, $-(CH_2)_{0-4}-SO_2-NR_{105}R'_{105}$, $-(CH_2)_{0-4}-SO-$(alquilo C_1-C_8), $-(CH_2)_{0-4}-SO_2-$(alquilo C_1-C_2), $-(CH_2)_{0-4}-SO_2-(CH_2)_{0-4}-$(cicloalquilo C_3-C_7), $-(CH_2)_{0-4}-N(R_{150})-CO-O-R_{150}$, $-(CH_2)_{0-4}-N(R_{150})-CO-N(R_{150})_2$, $-(CH_2)_{0-4}-N(R_{150})-CS-N(R_{150})_2$, $-(CH_2)_{0-4}-N(R_{150})-CO-R_{105}$, $-(CH_2)_{0-4}-NR_{105}R'_{105}$, $-(CH_2)_{0-4}-P_{140}$, $-(CH_2)_{0-4}-O-CO-$(alquilo C_1-C_6), $-(CH_2)_{0-4}-O-P(O)-(O-R_{110})_2$, $-(CH_2)_{0-4}-O-CO-N(R_{150})_2$, $-(CH_2)_{0-4}-O-CS-N(R_{150})_2$, $-(CH_2)_{0-4}-O-(R_{150})$, $-(CH_2)_{0-4}-O-R_{150}'-COOH$, $-(CH_2)_{0-4}-S-(R_{150})$, $-(CH_2)_{0-4}-N(R_{150})-SO_2-R_{105}$, $-(CH_2)_{0-4}-C_3$-C_7 cicloalquilo, alquenilo (C_2-C_{10}), o alquinilo (C_2-C_{10}).

Los compuestos preferidos de la fórmula I-5 también incluyen compuestos en donde

R_M es $-C(=O)-$arilo o $-C(=O)-$heteroarilo donde las porciones de anillo de cada uno están opcionalmente sustituidas con 1, 2, o 3 grupos independientemente seleccionados de

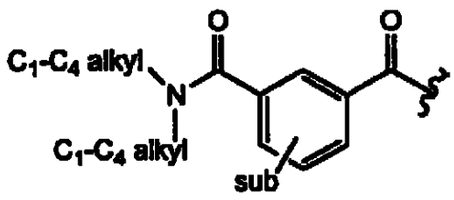
-OR, $-NO_2$, alquilo C_1-C_6, halógeno, -C≡N, $-OCF_3$, $-CF_3$, $-(CH_2)_{0-4}-CO-NR_{105}R'_{105}$, $-(CH_2)_{0-4}-O-(CH_2)_{0-4}-CONR_{102}R_{102}'$, $-(CH_2)_{0-4}-CO-$(alquilo C_1-C_{12}), $-(CH_2)_{0-4}-CO-$(alquenilo C_2-C_{12}), $-(CH_2)_{0-4}-CO-$(alquinilo C_2-C_{12}), $-(CH_2)_{0-4}-R_{110}$, $-(CH_2)_{0-4}-R_{120}$, $-(CH_2)_{0-4}-R_{130}$, $-(CH_2)_{0-4}-CO-R_{110}$, $-(CH_2)_{0-4}-CO-R_{120}$, $-(CH_2)_{0-4}-CO-R_{130}$, $-(CH_2)_{0-4}-CO-R_{140}$, $-(CH_2)_{0-4}-CO-O-R_{150}$, $-(CH_2)_{0-4}-SO_2-NR_{105}R'_{105}$, $-(CH_2)_{0-4}-SO-$(alquilo C_1-C_8), $-(CH_2)_{0-4}-SO_2-$(alquilo C_1-C_{12}), $-(CH_2)_{0-4}-N(R_{150})-CO-O-R_{150}-(CH_2)_{0-4}-N(R_{150})-CO-N(R_{150})_2$, $-(CH_2)_{0-4}-N(R_{150})-CO-R_{105}$, $-(CH_2)_{0-4}-NR_{105}R'_{105}$, $-(CH_2)_{0-4}-R_{140}$, $-(CH_2)_{0-4}-O-CO-$(alquilo C_1-C_6), $-(CH_2)_{0-4}-O-CO-N(R_{150})_2$, $-(CH_2)_{0-4}-O-(R_{150})$, $-(CH_2)_{0-4}-N(R_{150})-SO_2-R_{105}$, $-(CH_2)_{0-4}-C_3-C_7$ cicloalquilo, alquenilo (C_2-C_{10}), o alquinilo (C_2-C_{10}).

Otros compuestos preferidos de fórmula I-5 incluyen compuestos en donde

R_M es -C(=O)-arilo o -C(=O)-heteroarilo donde las porciones de anillo de cada uno están opcionalmente sustituidas con 1 o 2 grupos independientemente seleccionados de

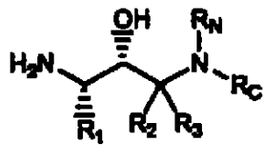
alquilo C_1-C_6, halógeno, $-(CH_2)_{0-4}-CO-NR_{105}R'_{105}$, $-(CH_2)_{0-4}-O-CO-N(R_{150})_2$, $-(CH_2)_{0-4}-N(R_{150})-SO_2-R_{105}$, $-(CH_2)_{0-4}-SO_2-NR_{105}R'_{105}$, cicloalquilo C_3-C_7, alquenilo (C_2-C_{10}), $-(CH_2)_{0-4}-R_{110}$, $-(CH_2)_{0-4}-R_{120}$, $-(CH_2)_{0-4}-R_{130}$, o alquinilo (C_2-C_{10}).

Otros compuestos preferidos de fórmula I-5 también incluyen compuestos en donde R_N es:



en donde sub es hidrógeno o es alquilo C_1-C_6, halógeno, -$(CH_2)_{0-4}$-CO-$NR_{105}R'_{105}$, -$(CH_2)_{0-4}$-O-CO-$N(R_{150})_2$, -$(CH_2)_{0-4}$-$N(R_{150})$-SO_2-R_{105}. -$(CH_2)_{0-4}$-SO_2-$NR_{105}R'_{105}$, cicloalquilo C_3-C_7, alquenilo -(C_2-C_{10}), -$(CH_2)_{0-4}$-R_{110}, -$(CH_2)_{0-4}$-R_{120}-$(CH_2)_{0-4}$-R_{130}, o alquinilo (C_2-C_{10}).

Una estereoquímica preferida para compuestos de fórmula I es como sigue:



(I)

Los compuestos preferidos de la fórmula X incluyen aquellos de fórmula X-1, es decir, compuestos de fórmula X en donde

R_1 es arilo, heteroarilo, heterociclilo, alquil-arilo -C_1-C_6, alquil-heteroarilo -C_1-C_6, o alquil-heterociclilo -C_1-C_6,

donde las porciones de anillo de cada uno están opcionalmente sustituidas con 1, 2, 3, o 4 grupos independientemente seleccionados de halógeno, -OH, -SH, -C≡N, $-NO_2$, $-NR_{105}R'_{105}$, $-CO_2R$, -N(R)COR', o $-N(R)SO_2R'$, -C(=O)-(C_1-C_4) alquilo, $-SO_2$-amino, $-SO_2$-mono o dialquilamino, -C(=O)-amino, -C(=O)-mono o dialquilamino, alquilo $-SO_2$-(C_1-C_4), o

alcoxi C_1-C_6 opcionalmente sustituido con 1, 2, o 3 grupos los cuales son independientemente seleccionados de halógeno, o

cicloalquilo C_3-C_7 opcionalmente sustituido con 1, 2, o 3 grupos independientemente seleccionados de halógeno, -OH, -SH, -C≡N, $-CF_3$, alcoxi C_1-C_3, amino, alquilo -C_1-C_6 y mono- o dialquilamino, o

alquilo C_1-C_{10} opcionalmente sustituido con 1, 2, o 3 grupos independientemente seleccionados de halógeno, -OH, -SH, -C≡N, $-CF_3$, alcoxi -C_1-C_3, amino, mono- o dialquilamino y alquilo -C_1-C_3, o

alquenilo C_2-C_{10} o alquinilo C_2-C_{10} cada uno de los cuales es opcionalmente sustituido con 1, 2, o 3 grupos independientemente seleccionados de halógeno, -OH, -SH, -C≡N, $-CF_3$, alcoxi C_1-C_3, amino, alquilo C_1-C_6 y

mono- o dialquilamino; y el grupo heterociclilo es además opcionalmente sustituido con oxo.

Los compuestos preferidos de la fórmula X-1 también incluyen aquellos en donde

R_1 es alquil-arilo -C_1-C_6, alquil-heteroarilo -C_1-C_6, o alquil-heterociclilo -C_1-C_6, donde las porciones de anillo de cada uno están opcionalmente sustituidas con 1, 2, 3, o 4 grupos independientemente seleccionados de halógeno, -OH, -SH, -C≡N, -NO_2, -$NR_{105}R'_{105}$, -CO_2R, -N(R)COR', OR-N(R)SO_2R', -C(=O)-(C_1-C_4) alquilo, -SO_2-amino, -SO_2-mono o dialquilamino, -C(=O)-amino, -C(=O)-mono o dialquilamino, alquilo -SO_2-(C_1-C_4), o

alcoxi C_1-C_6 opcionalmente sustituido con 1, 2, o 3 grupos los cuales son independientemente seleccionados de halógeno, o

cicloalquilo C_3-C_7 opcionalmente sustituido con 1, 2, o 3 grupos independientemente seleccionados de halógeno, -OH, -SH, -C≡N, -CF_3, alcoxi C_1-C_3, amino, alquilo -C_1-C_6 y mono- o dialquilamino, o

alquilo C_1-C_{10} opcionalmente sustituido con 1, 2, o 3 grupos independientemente seleccionados de halógeno,

-OH, -SH, -C≡N, $-CF_3$, alcoxi $-C_1-C_3$, amino, mono- o dialquilamino y alquilo $-C_1-C_3$, o

alquenilo C_2-C_{10} o alquinilo C_2-C_{10} cada uno de los cuales es opcionalmente sustituido con 1, 2, o 3 grupos independientemente seleccionados de halógeno, -OH, -SH, -C≡N, $-CF_3$, alcoxi C_1-C_3, amino, alquilo C_1-C_6 y mono- o dialquilamino; y el grupo heterociclilo es además opcionalmente sustituido con oxo.

Los compuestos preferidos de la fórmula X-1 además incluyen aquellos en donde

R_1 es $-(CH_2)$-arilo, $-(CH_2)$-heteroarilo, o $-(CH_2)$-heterociclilo, donde las porciones de anillo de cada uno están opcionalmente sustituidas con 1, 2, 3, o 4 grupos independientemente seleccionados de halógeno, -OH, -SH, -C≡N, $-NO_2$, $-NR_{105}R'_{105}$, $-CO_2R$, $-N(R)COR'$, o $-N(R)SO_2R'$, $-C(=O)-(C_1-C_4)$ alquilo, $-SO_2$-amino, $-SO_2$-mono o dialquilamino, -C(=O)-amino, -C(=O)-mono o dialquilamino, alquilo $-SO_2-(C_1-C_4)$, o

alcoxi C_1-C_6 opcionalmente sustituido con 1, 2, o 3 grupos los cuales son independientemente seleccionados de halógeno, o

cicloalquilo C_3-C_7 opcionalmente sustituido con 1, 2, o 3 grupos independientemente seleccionados de halógeno, -OH, -SH, -C≡N, -CF_3, alcoxi C_1-C_3, amino, alquilo -C_1-C_6 y mono- o dialquilamino, o

alquilo C_1-C_{10} opcionalmente sustituido con 1, 2, o 3 grupos independientemente seleccionados de halógeno, -OH, -SH, -C≡N, -CF_3, alcoxi -C_1-C_3, amino, mono- o dialquilamino y alquilo -C_1-C_3, o

alquenilo C_2-C_{10} o alquinilo C_2-C_{10} cada uno de los cuales es opcionalmente sustituido con 1, 2, o 3 grupos independientemente seleccionados de halógeno, -OH, -SH, -C≡N, -CF_3, alcoxi C_1-C_3, amino, alquilo C_1-C_6 y mono- o dialquilamino; y el grupo heterociclilo es además opcionalmente sustituido con oxo.

Los compuestos preferidos de la fórmula X-1 también incluyen aquellos en donde

R_1 es -CH_2-fenilo o -CH_2-piridinilo donde las porciones de anillo de cada uno están opcionalmente sustituidas con 1, 2, 3, o 4 grupos independientemente seleccionados de halógeno, alcoxi C_1-C_4, hidroxi, -NO_2, y alquilo C_1-C_4 opcionalmente sustituido con 1, 2, o 3 sustituyentes independientemente seleccionados de halógeno, OH, SH, NH_2,

NH(alquilo C_1-C_6), N-(alquilo C_1-C_6) (alquilo C_1-C_6), C≡N, CF_3.

Los compuestos preferidos de la fórmula X-1 además incluyen aquellos en donde

R_1 es -CH_2-fenilo o -CH_2-piridinilo donde los anillos fenilo o piridinilo son cada uno opcionalmente sustituidos con 1 o 2 grupos independientemente seleccionados de halógeno, alquilo C_1-C_2, alcoxi C_1-C_2, hidroxi, -CF_3, y -NO_2.

Los compuestos preferidos de la fórmula X-1 incluyen aquellos en donde

R_1 es -CH_2-fenilo donde el anillo fenilo es opcionalmente sustituido con 2 grupos independientemente seleccionados de halógeno, alquilo C_1-C_2, alcoxi C_1-C_2, hidroxi, y -NO_2.

Los compuestos preferidos de la fórmula X-1 también incluyen aquellos en donde

R_1 es benzilo, o 3,5-difluorobenzilo.

Los compuestos preferidos de la fórmula X o X-1 incluyen aquellos de fórmula X-2, es decir, compuestos de fórmula X o X-1 en donde

R_2 y R_3 son independientemente seleccionados de H o alquilo C_1-C_6 opcionalmente sustituido con 1, 2, o 3 sustituyentes seleccionados del grupo que consiste de alquilo C_1-C_3, halógeno, -OH, -SH, -C≡N, $-CF_3$, alcoxi C_1-C_3, y $-NR_{1-a}R_{1-b}$.

Los compuestos preferidos de la fórmula X-2 incluyen aquellos en donde

R_c se selecciona del grupo que consiste de alquilo C_1-C_{10} opcionalmente sustituido con 1, 2, o 3 grupos independientemente seleccionados del grupo que consiste de R_{205}, $-OC=ONR_{235}R_{240}$, $-S(=O)_{0-2}$(alquilo C_1-C_6), -SH, $-NR_{235}C=ONR_{235}R_{240}$, $-C=ONR_{235}R_{240}$, y $-S(=O)_2NR_{235}R_{240}$; $-(CH_2)_{0-3}$-(C_3-C_8) cicloalquilo en donde el cicloalquilo es opcionalmente sustituido con 1, 2, o 3 grupos independientemente seleccionados del grupo que consiste de R_{205}, $-CO_2H$, y $-CO_2$-(alquilo C_1-C_4); $-(CR_{245}R_{250})_{0-4}$-arilo; $-(CR_{245}R_{250})_{0-4}$-heteroarilo; $-(CR_{245}R_{250})_{0-4}$-heterocicloalquilo; $-[C(R_{255})(R_{260})]_{1-3}$-CO-N-$(R_{255})_2$; $CH(aril)_2$; $-CH(heteroaril)_2$; $-CH(heterocicloalquil)_2$; -CH(aril)(heteroaril); $-CO-NR_{235}R_{240}$; $-(CH_2)_{0-1}-CH((CH_2)_{0-6}-OH)(CH_2)_{0-1}$-arilo; $-(CH_2)_{0-1}-CHR_{C-6}-(CH_2)_{0-1}$-heteroarilo; -CH(-aril o -heteroaril)-CO-O(alquilo C_1-C_4); $-CH(-CH_2-OH)-CH(OH)$-fenil-NO_2; (alquilo C_1-C_6)-O-(alquilo C_1-C_6)-OH; $-CH_2-NH-CH_2-CH(-O-CH_2-CH_3)_2$; -H; y $-(CH_2)_{0-6}-C(=NR_{235})(NR_{235}R_{240})$; en donde

cada arilo es opcionalmente sustituido con 1, 2, o 3 R_{200};

cada heteroarilo es opcionalmente sustituido con 1, 2, 3, o 4 R_{200};

cada heterocicloalquilo es opcionalmente sustituido con 1, 2, 3, o 4 R_{210};

R_{200} en cada ocurrencia se selecciona independientemente del grupo que consiste de alquilo C_1-C_6 opcionalmente sustituido con 1, 2, o 3 grupos R_{205}; OH; $-NO_2$; halógeno; $-CO_2H$; $C\equiv N$; $-(CH_2)_{0-4}-CO-NR_{220}R_{225}$; $-(CH_2)_{0-4}-CO-$(alquilo C_1-C_{12}); $-(CH_2)_{0-4}-CO_2R_{215}$; y $-(CH_2)_{0-4}-O-$(alquilo C_1-C_6 opcionalmente sustituido con 1, 2, 3, o 5 -F);

en donde cada grupo arilo en cada ocurrencia es opcionalmente sustituido con 1, 2, o 3 grupos que son independientemente R_{205}, R_{210} o alquilo C_1-C_6 sustituido con 1, 2, o 3 grupos que son independientemente R_{205} o R_{210};

en donde cada grupo heterocicloalquilo en cada ocurrencia es opcionalmente sustituido con 1, 2, o 3 grupos que son independientemente R_{210};

en donde cada grupo heteroarilo en cada ocurrencia es opcionalmente sustituido con 1, 2, o 3 grupos que son independientemente R_{205}, R_{210}; o alquilo C_1-C_6

sustituido con 1, 2, o 3 grupos que son independientemente R_{205} o R_{210};

R_{205} en cada ocurrencia se selecciona independientemente del grupo que consiste de alquilo C_1-C_6, halógeno, -OH, -O-fenilo, -SH, -C≡N, $-CF_3$, alcoxi C_1-C_6, NH_2, NH(alquilo C_1-C_6), y N-(alquilo C_1-C_6) (alquilo C_1-C_6);

R_{210} en cada ocurrencia se selecciona independientemente del grupo que consiste de alquilo C_1-C_6 opcionalmente sustituido con 1, 2, o 3 grupos R_{205}; halógeno; alcoxi C_1-C_6; haloalcoxi C_1-C_6; $-NR_{220}R_{225}$; OH; C≡N; cicloalquilo C_3-C_7 opcionalmente sustituido con 1, 2, o 3 grupos R_{205}; -CO-(alquilo C_1-C_4); $-SO_2-NR_{235}R_{240}$; $-CO-NR_{235}R_{240}$; $-SO_2$-(alquilo C_1-C_4); y =O; en donde

R_{215} en cada ocurrencia se selecciona independientemente del grupo que consiste de alquilo C_1-C_6, $-(CH_2)_{0-2}$-(aril), cicloalquilo C_3-C_7, y $-(CH_2)_{0-2}$-(heteroaril), $-(CH_2)_{0-2}$-(heterocicloalquil); en donde el grupo arilo en cada ocurrencia es opcionalmente sustituido con 1, 2, o 3 grupos que son independientemente R_{205} o R_{210}; en donde el grupo heterocicloalquilo en cada ocurrencia es opcionalmente sustituido con 1, 2, o 3 R_{210}; en donde cada grupo heteroarilo en cada ocurrencia es opcionalmente sustituido con 1, 2, o 3 R_{210};

R_{220} y R_{225} en cada ocurrencia son independientemente seleccionados del grupo que consiste de -H, alquilo -C_1-C_6, hidroxi alquilo C_1-C_6, amino alquilo C_1-C_6; halo alquilo C_1-C_6; cicloalquilo -C_3-C_7, -(alquilo C_1-C_6)-O-(alquilo C_1-C_3), -arilo, -heteroarilo, y -heterocicloalquilo; en donde el grupo arilo en cada ocurrencia es opcionalmente sustituido con 1, 2, o 3 grupos R_{270}, cada heteroarilo es opcionalmente sustituido con 1, 2, 3, o 4 R_{200}, cada heterocicloalquilo es opcionalmente sustituido con 1, 2, 3, o 4 R_{210} en donde

R_{270} en cada ocurrencia es independientemente R_{205}, alquilo C_1-C_6 opcionalmente sustituido con 1, 2, o 3 grupos R_{205}; halógeno; alcoxi C_1-C_6; haloalcoxi C_1-C_6; $NR_{235}R_{240}$; OH; C=N; -CO-(alquilo C_1-C_4); y =O; en donde el grupo heterocicloalquilo en cada ocurrencia es opcionalmente sustituido con 1, 2, o 3 grupos R_{205}; en donde cada grupo heteroarilo en cada ocurrencia es opcionalmente sustituido con 1, 2, o 3 grupos R_{205};

R_{235} y R_{240} en cada ocurrencia son independientemente H, o alquilo C_1-C_6;

R_{245} y R_{250} en cada ocurrencia son independientemente seleccionados del grupo que consiste de H, alquilo C_1-C_4, hidroxialquilo C_1-C_4, alcoxi C_1-C_4, haloalcoxi C_1-C_4, o

R_{245} y R_{250} son tomados junto con el carbono al cual ellos están adheridos para formar un carbociclo de 3, 4, 5, 6, o 7 átomos de carbono, en donde el carbociclo es opcionalmente sustituido con 1 o 2 grupos que son independientemente OH, metilo, Cl, F, OCH_3, CF_3, NO_2, o CN;

R_{255} y R_{260} en cada ocurrencia son independientemente seleccionados del grupo que consiste de H; alquilo C_1-C_6 opcionalmente sustituido con 1, 2, o 3 grupos R_{205}; -$(CH_2)_{0-4}$-C_3-C_7 cicloalquilo opcionalmente sustituido con 1, 2, o 3 grupos R_{205}; -(alquilo C_1-C_4)-arilo; -(alquilo C_1-C_4)-heteroarilo; -(alquilo C_1-C_4)-heterocicloalquilo; arilo; heteroarilo; heterocicloalquilo; -$(CH_2)_{1-4}$-R_{265}-$(CH_2)_{0-4}$-arilo; -$(CH_2)_{1-4}$-R_{265}-$(CH_2)_{0-4}$-heteroarilo; y; -$(CH_2)_{1-4}$-R_{265}-$(CH_2)_{0-4}$-heterocicloalquilo; en donde R_{265} en cada ocurrencia es independientemente -O-, -S- o -N(alquilo C_1-C_6)-; cada arilo o fenilo es opcionalmente sustituido con 1, 2, o 3 grupos que son independientemente R_{205}, R_{210}, o alquilo C_1-C_6 sustituido con 1, 2, o 3 grupos que son independientemente R_{205} o R_{210}.

Los compuestos preferidos de la fórmula X-2 incluyen aquellos en donde:

R_c es -$(CR_{245}R_{250})_{0-4}$-arilo, o -$(CR_{245}R_{250})_{0-4}$-heteroarilo, en donde arilo y heteroarilo son opcionalmente sustituidos con 1, 2, o 3 grupos R_{200}.

Los compuestos preferidos de la fórmula X-2 también incluyen compuestos en donde

R_c es $-(CR_{245}R_{250})$-arilo, o $-(CR_{245}R_{250})$-heteroarilo en donde cada arilo y heteroarilo es opcionalmente sustituido con 1, 2, o 3 grupos R_{200}.

Los compuestos preferidos de la fórmula X-2 también incluyen compuestos en donde

R_c es $-(CH_2)$-arilo, o $-(CH_2)$-heteroarilo, en donde cada arilo y heteroarilo es opcionalmente sustituido con 1, 2, o 3 grupos seleccionados de OH, $-NO_2$, halógeno, $-CO_2H$, C≡N, $-(CH_2)_{0-4}-CO-NR_{220}R_{225}$, $-(CH_2)_{0-4}-CO-$(alquilo C_1-C_{12}), y $-(CH_2)_{0-4}-SO_2-NR_{220}R_{225}$.

Los compuestos preferidos de la fórmula X-2 también incluyen compuestos en donde

R_c es $-(CH_2)$-arilo, en donde arilo es opcionalmente sustituido con 1, 2, o 3 grupos seleccionados de OH, $-NO_2$, halógeno, $-CO_2H$, y C≡N.

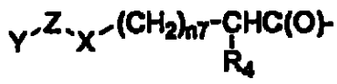
Los compuestos preferidos de la fórmula X-2 también incluyen compuestos en donde

R_C es $-(CH_2)$-fenilo, en donde fenilo es opcionalmente sustituido con 1, 2, o 3 grupos seleccionados de OH, $-NO_2$, halógeno, $-CO_2H$, y C≡N.

Los compuestos preferidos de la fórmula X-2 también incluyen compuestos en donde R_c es benzilo.

Otros compuestos preferidos de las fórmulas X, X-1 o X-2 incluyen compuestos de fórmula X-3, es decir, aquellos de fórmulas X, X-1 o X-2 en donde

R_B es:



en donde

R_4 es NH_2; $-NH-(CH_2)_{n6}-R_{4-1}$; $-NHR_8$; $-NR_{50}C(O)R_5$; o $-NR_{50}CO_2R_{51}$;

en donde

n_6 es 0, 1, 2, o 3;

n_7 es 0, 1, 2, o 3;

R_{4-1} se selecciona del grupo que consiste de $-SO_2-$(alquilo C_1-C_8), $-SO-$(alquilo C_1-C_8), $-S-$(alquilo C_1-C_8), $-S-CO-$

(alquilo C_1-C_6), $-SO_2-NR_{4-2}R_{4-3}$; alquilo $-CO-C_1-C_2$; $-CO-NR_{4-3}R_{4-4}$;

R_{4-2} y R_{4-3} son independientemente H, alquilo C_1-C_3, o cicloalquilo C_3-C_6;

R_{4-4} es alquilo, fenilalquilo, alcanoilo C_2-C_4, o fenilalcanoilo;

R_5 es ciclopropilo; ciclobutilo; ciclopentilo; o ciclohexilo; en donde cada grupo cicloalquilo es opcionalmente sustituido con uno o dos grupos que son alquilo C_1-C_6, más preferiblemente alquilo C_1-C_2, alcoxi C_1-C_6, más preferiblemente alcoxi C_1-C_2, CF_3, OH, NH_2, NH(alquilo C_1-C_6), N(alquilo C_1-C_6) (alquilo C_1-C_6), halógeno, CN, o NO_2; o el grupo cicloalquilo es sustituido con 1 o 2 grupos que son independientemente CF_3, Cl, F, metilo, etilo o ciano; alquilo C_1-C_6 opcionalmente sustituido con 1, 2, o 3 grupos que son independientemente halógeno, $-NR_6R_7$, alcoxi C_1-C_4, heterocicloalquilo C_5-C_6, heteroarilo C_5-C_6, fenilo, cicloalquilo C_3-C_7, alquilo $-S-C_1-C_4$, alquilo $-SO_2-C_1-C_4$, $-CO_2H$, $-CONR_6R_7$, alquilo $-CO_2-C_1-C_4$, o feniloxi; heteroarilo opcionalmente sustituido con 1, 2, o 3 grupos que son independientemente alquilo C_1-C_4, alcoxi C_1-C_4, halógeno, haloalquilo C_1-C_4, o OH; heterocicloalquilo opcionalmente sustituido con 1, 2, o 3 grupos que son independientemente alquilo C_1-C_4, alcoxi C_1-C_4, halógeno, o alcanoilo C_2-C_4; fenilo opcionalmente sustituido con 1, 2, 3, o 4 grupos

que son independientemente halógeno, OH, alquilo C_1-C_4, alcoxi C_1-C_4, o haloalquilo C_1-C_4; y $-NR_6R_7$; en donde

R_6 y R_7 son independientemente seleccionados del grupo que consiste de H, alquilo C_1-C_6, alcanoilo C_2-C_6, fenilo, alquilo $-SO_2$-C_1-C_4, y fenil alquilo C_1-C_4;

R_8 se selecciona del grupo que consiste de $-SO_2$-heteroarilo opcionalmente sustituido con 1 o 2 grupos que son independientemente alquilo C_1-C_4 o halógeno;, $-SO_2$-arilo, $-SO_2$-heterocicloalquilo, $-C(O)NHR_9$, heterocicloalquilo, -S-alcanoilo C_2-C_4, en donde

R_9 es fenil alquilo C_1-C_4, alquilo C_1-C_6, o H;

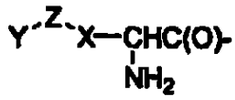
R_{50} es H o alquilo C_1-C_6;

R_{51} se selecciona del grupo que consiste de fenil alquilo C_1-C_4; alquilo C_1-C_6 opcionalmente sustituido con 1, 2, o 3 grupos que son independientemente halógeno, ciano, $-NR_6R_7$, $-C(O)NR_6R_7$, alcoxi C_3-C_7 o $-C_1$-C_4; heterocicloalquilo opcionalmente sustituido con 1 o 2 grupos que son independientemente alquilo C_1-C_4, alcoxi C_1-C_4, halógeno, alcanoilo C_2-C_4, fenil alquilo C_1-C_4, y alquilo $-SO_2$-C_1-C_4; heterocicloalquilalquilo opcionalmente sustituido con 1 o 2 grupos que son independientemente alquilo C_1-C_4, alcoxi C_1-C_4, halógeno, alcanoilo C_2-C_4, fenil alquilo C_1-C_4, y alquilo $-SO_2$-C_1-C_4; alquenilo; alquinilo; heteroarilo

opcionalmente sustituido con 1, 2, o 3 grupos que son independientemente OH, alquilo C_1-C_4, alcoxi C_1-C_4, halógeno, NH_2, NH(alquilo C_1-C_6) o N(alquilo C_1-C_6) (alquilo C_1-C_6); heteroarilalquilo opcionalmente sustituido con 1, 2, o 3 grupos que son independientemente alquilo C_1-C_4, alcoxi C_1-C_4, halógeno, NH_2, NH(alquilo C_1-C_6) o N(alquilo C_1-C_6) (alquilo C_1-C_6); fenilo; cicloalquilo C_3-C_8, y cicloalquilalquilo, en donde los grupos fenilo; cicloalquilo C_3-C_8, y cicloalquilalquilo son opcionalmente sustituidos con 1, 2, 3, 4 o 5 grupos que son independientemente halógeno, CN, NO_2, alquilo C_1-C_6, alcoxi C_1-C_6, alcanoilo C_2-C_6, haloalquilo C_1-C_6, haloalcoxi C_1-C_6, hidroxi, hidroxialquilo C_1-C_6, alcoxi C_1-C_6 alquilo C_1-C_6, tioalcoxi C_1-C_6, tioalcoxi C_1-C_6 alquilo C_1-C_6, o alcoxi C_1-C_6 alcoxi C_1-C_6.

Los compuestos preferidos de la fórmula X-3 incluyen compuestos en donde

R_M es



en donde

X es alquilidenilo C_1-C_4 opcionalmente sustituido con 1, 2, o 3 grupos metilo; o $-NR_{4-6}-$; o

R_4 y R_{4-6} se combinan para formar $-(CH_2)_{n10}-$, en donde n_{10} es 1, 2, 3, o 4;

Z se selecciona de un enlace; SO_2; SO; S; y C(O);

Y se selecciona de H; haloalquilo C_1-C_4; heterocicloalquilo C_5-C_6 que contiene al menos un N, O, o S; fenilo; OH; $-N(Y_1)(Y_2)$; alquilo C_1-C_{10} opcionalmente sustituido con 1 hasta 3 sustituyentes los cuales pueden ser iguales o diferentes y son seleccionados de halógeno, hidroxi, alcoxi, tioalcoxi, y haloalcoxi; cicloalquilo C_3-C_8 opcionalmente sustituido con 1, 2, o 3 grupos independientemente seleccionados de alquilo C_1-C_3, y halógeno; alcoxi; fenilo opcionalmente sustituido con halógeno, alquilo C_1-C_4, alcoxi C_1-C_4, CN o NO_2; fenil alquilo C_1-C_4 opcionalmente sustituido con halógeno, alquilo C_1-C_4, alcoxi C_1-C_4, CN o NO_2; en donde

Y_1 y Y_2 son iguales o diferentes y son H; alquilo C_1-C_{10} opcionalmente sustituido con 1, 2, o 3 sustituyentes seleccionados del grupo que consiste de halógeno, alcoxi C_1-C_4, cicloalquilo C_3-C_8, y OH; alquenilo C_2-C_6; alcanoilo C_2-C_6; fenilo; alquilo $-SO_2$-C_1-C_4; fenil alquilo C_1-C_4; y cicloalquilo C_3-C_8 alquilo C_1-C_4; o

$-N(Y_1)(Y_2)$ forma un anillo seleccionado de piperazinilo, piperidinilo, morfolinilo, y pirolidinilo, en donde

cada anillo es opcionalmente sustituido con 1, 2, 3, o 4 grupos que son independientemente alquilo C_1-C_6, alcoxi C_1-C_6, alcoxi C_1-C_6 alquilo C_1-C_6, o halógeno.

Los compuestos preferidos de la fórmula X-3 incluyen compuestos en donde X es alquilidenilo C_1-C_4 opcionalmente sustituido con 1, 2, o 3 grupos metilo;

Z se selecciona de SO_2; SO; S; y C(O);

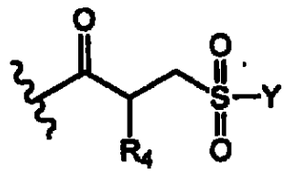
Y se selecciona de H; haloalquilo C_1-C_4; heterocicloalquilo C_5-C_6 que contiene al menos un N, O, o S; fenilo; OH; -$N(Y_1)(Y_2)$; alquilo C_1-C_{10} opcionalmente sustituido con 1 hasta 3 sustituyentes los cuales pueden ser iguales o diferentes y se seleccionan del grupo que consiste de halógeno, hidroxi, alcoxi, tioalcoxi, y haloalcoxi; cicloalquilo C_3-C_8 opcionalmente sustituido con 1, 2, o 3 grupos independientemente seleccionados de alquilo C_1-C_3, y halógeno; alcoxi; fenilo opcionalmente sustituido con halógeno, alquilo C_1-C_4, alcoxi C_1-C_4, CN o NO_2; fenil alquilo C_1-C_4 opcionalmente sustituido con halógeno, alquilo C_1-C_4, alcoxi C_1-C_4, CN o NO_2; en donde

Y_1 y Y_2 son iguales o diferentes y son H; alquilo C_1-C_6 opcionalmente sustituido con 1, 2, o 3 sustituyentes seleccionados del grupo que consiste de halógeno, alcoxi C_1-C_4, cicloalquilo C_3-C_8 y OH; alquenilo C_2-C_6;

alcanoilo C_2-C_6; fenilo; alquilo -SO_2-C_1-C_4; fenil alquilo C_1-C_4; o cicloalquilo C_3-C_8 alquilo C_1-C_4; o

-$N(Y_1)(Y_2)$ forma un anillo seleccionado de piperazinilo, piperidinilo, morfolinilo, y pirolidinilo, en donde cada anillo es opcionalmente sustituido con 1, 2, 3, o 4 grupos que son independientemente alquilo C_1-C_6, alcoxi C_1-C_6, alcoxi C_1-C_6 alquilo C_1-C_6, o halógeno.

Los compuestos preferidos de la fórmula X-3 incluyen compuestos en donde R_M es



y en donde R_4 es NH_2; -NH-$(CH_2)_{n6}$-R_{4-1}; -NHR_8; $NR_{50}C(O)R_5$; o -$NR_{50}CO_2R_{51}$ en donde

n_6 es 0, 1, 2, o 3;

n_7 es 0, 1, 2, o 3;

R_{4-1} se selecciona del grupo que consiste de -SO_2-(alquilo C_1-C_8), -SO-(alquilo C_1-C_8), -S-(alquilo C_1-C_8), -S-CO-(alquilo C_1-C_6), -SO_2-$NR_{4-2}R_{4-3}$; alquilo -CO-C_1-C_2; -CO-$NR_{4-3}R_{4-4}$;

R_{4-2} y R_{4-3} son independientemente H, alquilo C_1-C_3, o cicloalquilo C_3-C_6;

R_{4-4} es alquilo, fenilalquilo, alcanoilo C_2-C_4, o fenilalcanoilo;

R_5 es ciclopropilo; ciclobutilo; ciclopentilo; o ciclohexilo; en donde cada grupo cicloalquilo es opcionalmente sustituido con uno o dos grupos que son alquilo C_1-C_6, más preferiblemente alquilo C_1-C_2, alcoxi C_1-C_6, más preferiblemente alcoxi C_1-C_2, CF_3, OH, NH_2, NH(alquilo C_1-C_6), N(alquilo C_1-C_6) (alquilo C_1-C_6), halógeno, CN, o NO_2; o el grupo cicloalquilo es sustituido con 1 o 2 grupos que son independientemente CF_3, Cl, F, metilo, etilo o ciano; alquilo C_1-C_6 opcionalmente sustituido con 1, 2, o 3 grupos que son independientemente halógeno, $-NR_6R_7$, alcoxi C_1-C_4, heterocicloalquilo C_5-C_6, heteroarilo C_5-C_6, fenilo, cicloalquilo C_3-C_7, alquilo -S-C_1-C_4, alquilo $-SO_2$-C_1-C_4, $-CO_2H$, $-CONR_6R_7$, alquilo $-CO_2$-C_1-C_4, o feniloxi; heteroarilo opcionalmente sustituido con 1, 2, o 3 grupos que son independientemente alquilo C_1-C_4, alcoxi C_1-C_4, halógeno, haloalquilo C_1-C_4, o OH; heterocicloalquilo opcionalmente sustituido con 1, 2, o 3 grupos que son independientemente alquilo C_1-C_4, alcoxi C_1-C_4, halógeno, o alcanoilo C_2-C_4; fenilo opcionalmente sustituido con 1, 2, 3, o 4 grupos que son independientemente halógeno, OH, alquilo C_1-C_4, alcoxi C_1-C_4, o haloalquilo C_1-C_4; y $-NR_6R_7$; en donde

R_6 y R_7 son independientemente seleccionados del grupo que consiste de H, alquilo C_1-C_6, alcanoilo C_2-C_6, fenilo, alquilo -SO_2-C_1-C_4, y fenil alquilo C_1-C_4;

R_8 se selecciona del grupo que consiste de -SO_2-heteroarilo opcionalmente sustituido con 1 o 2 grupos que son independientemente alquilo C_1-C_4 o halógeno;, -SO_2-arilo, -SO_2-heterocicloalquilo, -C(O)NHR_9, heterocicloalquilo, -S-alcanoilo C_2-C_4, en donde

R_9 es fenil alquilo C_1-C_4, alquilo C_1-C_6, o H;

R_{50} es H o alquilo C_1-C_6; y

R_{51} se selecciona del grupo que consiste de fenil alquilo C_1-C_4; alquilo C_1-C_6 opcionalmente sustituido con 1, 2, o 3 grupos que son independientemente halógeno, ciano, -NR_6R_7, -C(O)NR_6R_7, alcoxi C_3-C_7 o -C_1-C_4; heterocicloalquilo opcionalmente sustituido con 1 o 2 grupos que son independientemente alquilo C_1-C_4, alcoxi C_1-C_4, halógeno, alcanoilo C_2-C_4, fenil alquilo C_1-C_4, y alquilo -SO_2 C_1-C_4; heterocicloalquilalquilo opcionalmente sustituido con 1 o 2 grupos que son independientemente alquilo C_1-C_4, alcoxi C_1-C_4, halógeno, alcanoilo C_2-C_4, fenil alquilo C_1-C_4, y alquilo -SO_2 C_1-C_4; alquenilo; alquinilo; heteroarilo opcionalmente sustituido con 1, 2, o 3 grupos que son independientemente OH, alquilo C_1-C_4, alcoxi C_1-C_4, halógeno,NH_2, NH(alquilo C_1-C_6) o N(alquilo C_1-C_6) (alquilo

C_1-C_6); heteroarilalquilo opcionalmente sustituido con 1, 2, o 3 grupos que son independientemente alquilo C_1-C_4, alcoxi C_1-C_4, halógeno, NH_2, NH(alquilo C_1-C_6) o N(alquilo C_1-C_6) (alquilo C_1-C_6); fenilo; cicloalquilo C_3-C_8, y cicloalquilalquilo, en donde los grupos fenilo; cicloalquilo C_3-C_8, y cicloalquilalquilo son opcionalmente sustituidos con 1, 2, 3, 4 o 5 grupos que son independientemente halógeno, CN, NO_2, alquilo C_1-C_6, alcoxi C_1-C_6, alcanoilo C_1-C_6, haloalquilo C_1-C_6, haloalcoxi C_1-C_6, hidroxi, hidroxialquilo C_1-C_6, alcoxi C_1-C_6 alquilo C_1-C_6, tioalcoxi C_1-C_6, tioalcoxi C_1-C_6 alquilo C_1-C_6, o alcoxi C_1-C_6 alcoxi C_1-C_6; y

Y es alquilo C_1-C_{10} opcionalmente sustituido con 1 hasta 3 sustituyentes los cuales pueden ser iguales o diferentes y son seleccionados de halógeno, hidroxi, alcoxi, tioalcoxi, y haloalcoxi.

Los compuestos preferidos de la fórmula X-3 además incluyen compuestos en donde

R_c es alquilo C_1-C_8 opcionalmente sustituido con 1, 2, o 3 grupos independientemente seleccionados del grupo que consiste de R_{205}, $-OC{=}ONR_{235}R_{240}$, $-S(=O)_{0-2}$ (alquilo C_1-C_6), -SH, $-C{=}ONR_{235}R_{240}$, y $-S(=O)_2NR_{235}R_{240}$; $-(CH_2)_{0-3}$-(C_3-C_8) cicloalquilo en donde el cicloalquilo es opcionalmente sustituido con 1, 2, o 3 grupos independientemente seleccionados del grupo que consiste de R_{205}, $-CO_2H$, y -

CO_2-(alquilo C_1-C_4); $-(CR_{245}R_{250})_{0-4}$-fenilo; $-(CR_{245}R_{250})_{0-4}$-heteroarilo; $-(CR_{245}R_{250})_{0-4}$-heterocicloalquilo; $-(CH_2)_{0-1}$-$CH((CH_2)_{0-4}$-OH)-$(CH_2)_{0-1}$-fenilo; $-(CH_2)_{0-1}$-CHR_{C-6}-$(CH_2)_{0-1}$-heteroarilo; -CH(-CH_2-OH)-CH(OH)-fenil-NO_2; (alquilo C_1-C_6)-O-(alquilo C_1-C_6)-OH; o $-(CH_2)_{0-6}$-$C(=NR_{235})(NR_{235}R_{240})$; en donde

cada arilo es opcionalmente sustituido con 1, 2, o 3 R_{200};

cada heteroarilo es opcionalmente sustituido con 1, 2, 3, o 4 R_{200};

cada heterocicloalquilo es opcionalmente sustituido con 1, 2, 3, o 4 R_{210};

R_{200} en cada ocurrencia es independientemente alquilo C_1-C_6 opcionalmente sustituido con 1, 2, o 3 grupos R_{205}; OH; -NO_2; halógeno; -CO_2H; C≡N; $-(CH_2)_{0-4}$-CO-$NR_{220}R_{225}$; $-(CH_2)_{0-4}$-CO-(alquilo C_1-C_{12}); $-(CH_2)_{0-4}$-CO_2R_{215}; o $-(CH_2)_{0-4}$-O-(alquilo C_1-C_6 opcionalmente sustituido con 1, 2, 3, o 5 -F);

R_{205} en cada ocurrencia es independientemente alquilo C_1-C_6, halógeno, -OH, -O-fenilo, -SH, -C≡N, -CF_3, alcoxi C_1-C_6, NH_2, NH(alquilo C_1-C_6), o N-(alquilo C_1-C_6) (alquilo C_1-C_6);

R_{210} en cada ocurrencia es independientemente alquilo C_1-C_6 opcionalmente sustituido con 1, 2, o 3 grupos R_{205};

halógeno; alcoxi C_1-C_6; haloalcoxi C_1-C_6; $-NR_{220}R_{225}$; OH; C≡N; cicloalquilo C_3-C_7 opcionalmente sustituido con 1, 2, o 3 grupos R_{205}; -CO-(alquilo C_1-C_4); $-SO_2-NR_{235}R_{240}$; $-CO-NR_{235}R_{240}$; $-SO_2-$(alquilo C_1-C_4); y =O; en donde

R_{215} en cada ocurrencia es independientemente alquilo C_1-C_6, $-(CH_2)_{0-2}-$(fenil), cicloalquilo C_3-C_7, y $-(CH_2)_{0-2}-$(heteroaril), $-(CH_2)_{0-2}-$(heterocicloalquil); en donde el grupo fenilo en cada ocurrencia es opcionalmente sustituido con 1, 2, o 3 grupos que son independientemente R_{205} o R_{210}; en donde el grupo heterocicloalquilo en cada ocurrencia es opcionalmente sustituido con 1, 2, o 3 R_{210}; en donde cada grupo heteroarilo en cada ocurrencia es opcionalmente sustituido con 1, 2, o 3 R_{210};

R_{220} y R_{225} en cada ocurrencia son independientemente -H, alquilo -C_1-C_6, hidroxi alquilo C_1-C_6, halo alquilo C_1-C_6; cicloalquilo -C_3-C_7, y -(alquilo C_1-C_6)-O-(alquilo C_1-C_3);

R_{235} y R_{240} en cada ocurrencia son independientemente H, o alquilo C_1-C_6;

R_{245} y R_{250} en cada ocurrencia son independientemente H, alquilo C_1-C_4, hidroxialquilo C_1-C_4, alcoxi C_1-C_4, haloalcoxi C_1-C_4, o

R_{245} y R_{250} son tomados junto con el carbono al cual ellos están adheridos para formar un carbociclo de 3, 4, 5, 6, o 7 átomos de carbono.

Los compuestos preferidos de la fórmula X-3 incluyen compuestos en donde

R_1 es benzilo el cual es opcionalmente sustituido con 1, 2, 3, o 4 grupos independientemente seleccionado de halógeno, alcoxi C_1-C_4, hidroxi, y alquilo C_1-C_4 opcionalmente sustituido con 1, 2, o 3 sustituyentes halógeno, OH, SH, NH_2, NH(alquilo C_1-C_6), N-(alquilo C_1-C_6) (alquilo C_1-C_6), C≡N, CF_3;

R_2 y R_3 son independientemente seleccionados de H o alquilo C_1-C_4 opcionalmente sustituido con 1 sustituyente seleccionado de halógeno, -OH, -SH, -C≡N, -CF_3, alcoxi C_1-C_3, NH_2, NH(alquilo C_1-C_6), y NH(alquilo C_1-C_6) (alquilo C_1-C_6);

R_c es alquilo C_1-C_8 opcionalmente sustituido con 1, 2, o 3 grupos independientemente seleccionados de R_{205}, -SH, -C=O$NR_{235}R_{240}$, y -$S(=O)_2NR_{235}R_{240}$; -$(CH_2)_{0-3}$-(C_3-C_6) cicloalquilo en donde el cicloalquilo es opcionalmente sustituido con 1, 2, o 3 grupos independientemente seleccionados de R_{205}, -CO_2H, y -CO_2-(alquilo C_1-C_4); -$(CR_{245}R_{250})_{0-4}$-fenilo opcionalmente sustituido con 1, 2, o 3 R_{200}; -$(CR_{245}R_{250})_{0-3}$-

piridilo; -$(CR_{245}R_{250})_{0-3}$-piridazinilo; -$(CR_{245}R_{250})_{0-3}$-pirimidinilo; -$(CR_{245}R_{250})_{0-3}$-pirazinilo; -$(CR_{245}R_{250})_{0-3}$-furilo; -$(CR_{245}R_{250})_{0-3}$-indolilo; -$(CR_{245}R_{250})_{0-3}$-tienilo; -$(CR_{245}R_{250})_{0-3}$-pirrolilo; -$(CR_{245}R_{250})_{0-3}$-pirazolilo; $(CR_{245}R_{250})_{0-3}$-benzoxazolilo; -$(CR_{245}R_{250})_{0-3}$-imidazolilo; cada uno de los anteriores grupos heteroarilo es opcionalmente sustituido con 1, 2, 3, o 4 R_{200}; -$(CR_{245}R_{250})_{0-3}$-imidazolidinilo; $(CR_{245}R_{250})_{0-3}$-tetrahidrofurilo; $(CR_{245}R_{250})_{0-3}$-tetrahidropiranilo; $CR_{245}R_{250})_{0-3}$-piperazinilo; $(CR_{245}R_{250})_{0-3}$-pirrolidinilo; $(CR_{245}R_{250})_{0-3}$-piperidinilo; $(CR_{245}R_{250})_{0-3}$-indolinilo; cada uno de los anteriores grupos heterocicloalquilo es opcionalmente sustituido con 1, 2, 3, o 4 R_{210}; $(CH_2)_{0-1}$-CH($(CH_2)_{0-4}$-OH)-$(CH_2)_{0-1}$-fenilo; -$(CH_2)_{0-1}$-CH(hidroxialquilo C_1-C_4)-$(CH_2)_{0-1}$-piridilo;

R_{200} en cada ocurrencia es independientemente alquilo C_1-C_6 opcionalmente sustituido con 1, 2, o 3 grupos R_{205}; OH; -NO_2; halógeno; -CO_2H; C≡N; -$(CH_2)_{0-4}$-CO-$NR_{220}R_{225}$; -$(CH_2)_{0-4}$-CO-(alquilo C_1-C_8); -$(CH_2)_{0-4}$-CO_2R_{215}; y -$(CH_2)_{0-4}$-O-(alquilo C_1-C_6 opcionalmente sustituido con 1, 2, 3, o 5 -F);

R_{205} en cada ocurrencia es independientemente alquilo C_1-C_6, halógeno, -OH, -O-fenilo, -SH, -C≡N, -CF_3, alcoxi C_1-C_6, NH_2, NH(alquilo C_1-C_6), y N-(alquilo C_1-C_6) (alquilo C_1-C_6);

R_{210} en cada ocurrencia es independientemente alquilo C_1-C_6 opcionalmente sustituido con 1 o 2 grupos R_{205}; halógeno;

alcoxi C_1-C_4; haloalcoxi C_1-C_4; $-NR_{220}R_{225}$; OH; C≡N; cicloalquilo C_3-C_7 opcionalmente sustituido con 1 o 2 grupos R_{205}; -CO-(alquilo C_1-C_4); $-SO_2-NR_{235}R_{240}$; $-CO-NR_{235}R_{240}$; $-SO_2-$(alquilo C_1-C_4); y =O; en donde

R_{215} en cada ocurrencia es independientemente alquilo C_1-C_6, $-(CH_2)_{0-2}-$(fenil), cicloalquilo C_3-C_6, $-(CH_2)_{0-2}-$(piridil), $-(CH_2)_{0-2}-$(pirrolil), $-(CH_2)_{0-2}-$(imidazolil), $-(CH_2)_{0-2}$(pirimidil), $-(CH_2)_{0-2}-$(pirrolidinil), $-(CH_2)_{0-2}-$(imidazolidinil)$-(CH_2)_{0-2}-$(piperazinil)$-(CH_2)_{0-2}-$(piperidinil), y $-(CH_2)_{0-2}-$(morfolinil); en donde el grupo fenilo en cada ocurrencia es opcionalmente sustituido con 1 o 2 grupos que son independientemente R_{205} o R_{210}; en donde cada grupo heterocicloalquilo en cada ocurrencia es opcionalmente sustituido con 1 o 2 R_{210}; en donde cada grupo heteroarilo en cada ocurrencia es opcionalmente sustituido con 1 o 2 R_{210};

R_{220} y R_{225} en cada ocurrencia son independientemente -H, alquilo -C_1-C_4, hidroxi alquilo C_1-C_4, halo alquilo C_1-C_4; cicloalquilo -C_3-C_6, y -(alquilo C_1-C_4)-O-(alquilo C_1-C_2);

R_{235} y R_{240} en cada ocurrencia son independientemente H, o alquilo C_1-C_6;

R_{245} y R_{250} en cada ocurrencia son independientemente H, alquilo C_1-C_4, hidroxialquilo C_1-C_4, alcoxi C_1-C_4, haloalcoxi C_1-C_4, o

R_{245} y R_{250} son tomados junto con el carbono al cual ellos están adheridos para formar un carbociclo de 3, 4, 5, o 6 átomos de carbono.

Otros compuestos preferidos de fórmula X-3 incluyen compuestos en donde

X es alquilidenilo -C_1-C_3 opcionalmente sustituido con 1 o 2 grupos metilo;

Z es SO_2; SO; S; o C(O);

Y es haloalquilo C_1-C_4; OH; -N(Y_1)(Y_2); alquilo C_1-C_{10} opcionalmente sustituido con 1 o 2 sustituyentes los cuales pueden ser iguales o diferentes y son seleccionados de halógeno, hidroxi, alcoxi C_1-C_4, tioalcoxi C_1-C_4, y haloalcoxi C_1-C_4; alcoxi C_1-C_4; fenilo opcionalmente sustituido con halógeno, alquilo C_1-C_4, alcoxi C_1-C_4, CN o NO_2; y benzilo opcionalmente sustituido con halógeno, alquilo C_1-C_4, alcoxi C_1-C_4, CN o NO_2; en donde

Y_1 y Y_2 son iguales o diferentes y son H; alquilo C_1-C_6 opcionalmente sustituido con 1, 2, o 3 sustituyentes seleccionados de halógeno, alcoxi C_1-C_2, cicloalquilo C_3-C_6, y OH; alcanoilo C_2-C_6; fenilo; alquilo -SO_2-C_1-C_4; benzilo; y cicloalquilo C_3-C_6 alquilo C_1-C_2; o

-N(Y_1)(Y_2) forma un anillo seleccionado de piperazinilo, piperidinilo, morfolinilo, y pirolidinilo, en donde cada anillo es opcionalmente sustituido con 1, 2, 3, o 4 grupos que son independientemente alquilo C_1-C_6, alcoxi C_1-C_6, alcoxi C_1-C_6 alquilo C_1-C_6, o halógeno.

Los compuestos preferidos de la fórmula X-3 también incluyen aquellos de fórmula X-4, es decir, compuestos de fórmula X-3 en donde

X es alquilidenilo -C_1-C_3 opcionalmente sustituido con 1 grupo metilo;

Z es SO_2; SO; S; o C(O);

Y es OH; -N(Y_1)(Y_2); fenilo; benzilo; o alquilo C_1-C_{10} opcionalmente sustituido con 1 o 2 sustituyentes los cuales pueden ser iguales o diferentes y son seleccionados de halógeno, hidroxi, metoxi, etoxi, tiometoxi, tioetoxi, y CF_3; en donde

Y_1 y Y_2 son iguales o diferentes y son H; alquilo C_1-C_4 opcionalmente sustituido con 1 o 2 sustituyentes seleccionados de halógeno, metoxi, etoxi, ciclopropilo, y OH; o

-N(Y_1)(Y_2) forma un anillo seleccionado de piperazinilo, piperidinilo, morfolinilo, y pirolidinilo, en donde

cada anillo es opcionalmente sustituido con 1 o 2 grupos que son independientemente alquilo C_1-C_4, alcoxi C_1-C_4, o halógeno;

R_1 es benzilo el cual es opcionalmente sustituido con 1, 2, o 3 grupos independientemente seleccionados de metilo, etilo, n-propilo, isopropilo, hidroximetilo, monohalometilo, dihalometilo, trihalometilo, $-CH_2CF_3$, metoximetilo, halógeno, metoxi, etoxi, n-propiloxi, isopropiloxi, y OH;

R_2 y R_3 son independientemente H o alquilo C_1-C_4;

Rc es alquilo C_1-C_6 opcionalmente sustituido con 1, 2, o 3 grupos R_{205}; ciclopropilo, ciclopropilmetilo, ciclopentilo, ciclopentilmetilo, ciclohexilo, ciclohexilmetilo; -$(CR_{245}R_{250})_{0-3}$-fenilo opcionalmente sustituido con 1 o 2 grupos R_{200}; -$(CH_{245}R_{250})_{0-3}$-piridilo opcionalmente sustituido con 1 o 2 R_{200}; -$(CR_{245}R_{250})_{0-3}$-piperazinilo; o $(CR_{245}R_{250})_{0-3}$-pirrolidinilo; -$(CR_{245}R_{250})_{0-3}$-piperidinilo; cada uno de los anteriores grupos heterocicloalquilo es opcionalmente sustituido con 1 o 2 grupos R_{210};

R_{200} en cada ocurrencia es independientemente seleccionado de alquilo C_1-C_4 opcionalmente sustituido con 1 o 2 grupos R_{205}; OH; y halógeno;

R_{205} en cada ocurrencia es independientemente seleccionado de alquilo C_1-C_4, halógeno, -OH, -SH, -C≡N, $-CF_3$, y alcoxi C_1-C_4;

R_{210} en cada ocurrencia es independientemente seleccionado de alquilo C_1-C_4 opcionalmente sustituido con 1 o 2 grupos R_{205}; halógeno; alcoxi C_1-C_4; OCF_3; NH_2, NH(alquilo C_1-C_6); N(alquilo C_1-C_6) (alquilo C_1-C_6); OH; y -CO-(alquilo C_1-C_4); en donde

R_{245} y R_{250} en cada ocurrencia son independientemente seleccionados de H, hidroxialquilo C_1-C_4, alcoxi C_1-C_4, o

R_{245} y R_{250} son tomados junto con el carbono al cual ellos están adheridos para formar un carbociclo de 3, 5, o 6 átomos de carbono.

Los compuestos preferidos de la fórmulas X, X-1 y X-2 incluyen compuestos de fórmula X-5, es decir, aquellos de fórmula X, X-1 o X-2 en donde

R_M es $-C(=O)-(CRR')_{0-6}R_{100}$; y

R_{100} representa arilo, heteroarilo, o heterociclilo, donde las porciones de anillo de cada uno están opcionalmente sustituidas con 1, 2, o 3 grupos independientemente seleccionados de

-OR, $-NO_2$, alquilo C_1-C_6, halógeno, -C≡N, $-OCF_3$, $-CF_3$, $-(CH_2)_{0-4}$-O-P(=O)(OR)(OR'), $-(CH_2)_{0-4}$-CO-$NR_{105}R'_{105}$, $-(CH_2)_{0-4}$-O-$(CH_2)_{0-4}$-$CONR_{102}R_{102}$', $-(CH_2)_{0-4}$-CO-(alquilo C_1-C_{12}), $-(CH_2)_{0-4}$-CO-(alquenilo C_2-C_{12}), $-(CH_2)_{0-4}$-CO-(alquinilo C_2-C_{12}), $-(CH_2)_{0-4}$-CO-$(CH_2)_{0-4}$(cicloalquilo C_3-C_7), $-(CH_2)_{0-4}$-R_{110}, $-(CH_2)_{0-4}$-R_{120}, $-(CH_2)_{0-4}$-R_{130}, $-(CH_2)_{0-4}$-CO-R_{110}, $-(CH_2)_{0-4}$-CO-R_{120}, $-(CH_2)_{0-4}$-CO-R_{130}, $-(CH_2)_{0-4}$-CO-R_{140}, $-(CH_2)_{0-4}$-CO-O-R_{150}, $-(CH_2)_{0-4}$-SO-$NR_{105}R'_{105}$, $-(CH_2)_{0-4}$-SO-(alquilo C_1-C_8), $-(CH_2)_{0-4}$-SO_2-(alquilo C_1-C_{12}), $-(CH_2)_{0-4}$-SO_2-$(CH_2)_{0-4}$-(cicloalquilo C_3-C_7), $-(CH_2)_{0-4}$-$N(R_{150})$-CO-O-R_{150}, $-(CH_2)_{0-4}$-$N(R_{150})$-CO-$N(R_{150})_2$, $-(CH_2)_{0-4}$-$N(R_{150})$-CS-$N(R_{150})_2$, $-(CH_2)_{0-4}$-$N(R_{150})$-CO-R_{105}, $-(CH_2)_{0-4}$-$NR_{105}R'_{105}$, $-(CH_2)_{0-4}$-R_{140}, $-(CH_2)_{0-4}$-O-CO-(alquilo C_1-C_6), $-(CH_2)_{0-4}$-O-P(O)-$(O-R_{110})_2$, $-(CH_2)_{0-4}$-O-CO-$N(R_{150})_2$, $-(CH_2)_{0-4}$-O-CS-$N(R_{150})_2$, $-(CH_2)_{0-4}$-O-(R_{150}), $-(CH_2)_{0-4}$-O-R_{150}-COOH, $-(CH_2)_{0-4}$-S-(R_{150}), $-(CH_2)_{0-4}$-$N(R_{150})$-SO_2-R_{105}, $-(CH_2)_{0-4}$-C_3-C_7 cicloalquilo, alquenilo (C_2-C_{10}), o alquinilo (C_2-C_{10}).

Los compuestos preferidos de la fórmula X-5 incluyen compuestos en donde

R_M es $-C(=O)-R_{100}$; y

R_{100} representa arilo, o heteroarilo, donde las porciones de anillo de cada uno están opcionalmente sustituidas con 1, 2, o 3 grupos independientemente seleccionados de

-OR, $-NO_2$, alquilo C_1-C_6, halógeno, -C≡N, $-OCF_3$, $-CF_3$, $-(CH_2)_{0-4}-O-P(=O)(OR)(OR')$, $-(CH_2)_{0-4}-CO-NR_{105}R'_{105}$, $-(CH_2)_{0-4}-O-(CH_2)_{0-4}-CONR_{102}R_{102}'$, $-(CH_2)_{0-4}-CO-$(alquilo C_1-C_{12}), $-(CH_2)_{0-4}-CO-$(alquenilo C_2-C_{12}), $-(CH_2)_{0-4}-CO-$(alquinilo C_2-C_{12}), $-(CH_2)_{0-4}-CO-(CH_2)_{0-4}$(cicloalquilo C_3-C_7), $-(CH_2)_{0-4}-R_{110}$, $-(CH_2)_{0-4}-R_{120}$, $-(CH_2)_{0-4}-R_{130}$, $-(CH_2)_{0-4}-CO-R_{110}$, $-(CH_2)_{0-4}-CO-R_{120}$, $-(CH_2)_{0-4}-CO-R_{130}$, $-(CH_2)_{0-4}-CO-R_{140}$, $-(CH_2)_{0-4}-CO-O-R_{150}$, $-(CH_2)_{0-4}-SO_2-NR_{105}R'_{105}$, $-(CH_2)_{0-4}-SO-$(alquilo C_1-C_8), $-(CH_2)_{0-4}-SO_2-$(alquilo C_1-C_{12}), $-(CH_2)_{0-4}-SO_2-(CH_2)_{0-4}-$(cicloalquilo C_3-C_7), $-(CH_2)_{0-4}-N(R_{150})-CO-O-R_{150}$, $-(CH_2)_{0-4}-N(R_{150})-CO-N(R_{150})_2$, $-(CH_2)_{0-4}-N(R_{150})-CS-N(R_{150})_2$, $-(CH_2)_{0-4}-N(R_{150})-CO-R_{105}$, $-(CH_2)_{0-4}-NR_{105}R'_{105}$, $-(CH_2)_{0-4}-R_{140}$, $-(CH_2)_{0-4}-O-CO-$(alquilo C_1-C_6), $-(CH_2)_{0-4}-O-P(O)-(O-R_{110})_2$, $-(CH_2)_{0-4}-O-CO-N(R_{150})_2$, $-(CH_2)_{0-4}-O-(CS-N(R_{150})_2$, $-(CH_2)_{0-4}-O-(R_{150})$, $-(CH_2)_{0-4}-O-R_{150}'-COOH$, $-(CH_2)_{0-4}-S-(R_{150})$, $-(CH_2)_{0-4}-N(R_{150})-SO_2-R_{105}$, $-(CH_2)_{0-4}-C_3$-C_7 cicloalquilo, alquenilo (C_2-C_{10}), o alquinilo (C_2-C_{10}).

Los compuestos preferidos de la fórmula X-5 también incluyen compuestos en donde

R_M es -C(=O)-arilo o -C(=O)-heteroarilo donde las porciones de anillo de cada uno están opcionalmente sustituidas con 1, 2, o 3 grupos independientemente seleccionados de

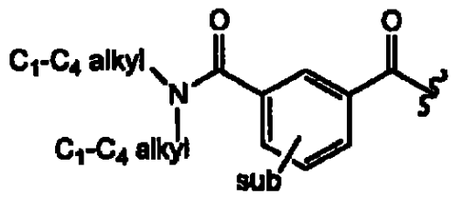
-OR, $-NO_2$, alquilo C_1-C_6, halógeno, -C≡N, $-OCF_3$, $-CF_3$, $-(CH_2)_{0-4}$-CO-$NR_{105}R'_{105}$, $-(CH_2)_{0-4}$-O-$(CH_2)_{0-4}$-$CONR_{102}R_{102}$'.-$(CH_2)_{0-4}$-CO-(alquilo C_1-C_{12}), $-(CH_2)_{0-4}$-CO-(alquenilo C_2-C_{12}), $-(CH_2)_{0-4}$-CO-(alquinilo C_2-C_{12}), $-(CH_2)_{0-4}$-R_{110}, $-(CH_2)_{0-4}$-R_{120}, $-(CH_2)_{0-4}$-R_{130}, $-(CH_2)_{0-4}$-CO-R_{110}, $-(CH_2)_{0-4}$-CO-R_{120}, $-(CH_2)_{0-4}$-CO-R_{130}, $-(CH_2)_{0-4}$-CO-R_{140}, $-(CH_2)_{0-4}$-CO-O-R_{150}, $-(CH_2)_{0-4}$-SO_2-$NR_{105}R'_{105}$, $-(CH_2)_{0-4}$-SO-(alquilo C_1-C_8), $-(CH_2)_{0-4}$-SO_2-(alquilo C_1-C_{12}), $-(CH_2)_{0-4}$-$N(R_{150})$-CO-O-R_{150}, $-(CH_2)_{0-4}$-$N(R_{150})$-CO-$N(R_{150})_2$, $-(CH_2)_{0-4}$-$N(R_{150})$-CO-R_{105}, $-(CH_2)_{0-4}$-$NR_{105}R'_{105}$, $-(CH_2)_{0-4}$-R_{140}, $-(CH_2)_{0-4}$-O-CO-(alquilo C_1-C_6), $-(CH_2)_{0-4}$-O-CO-$N(R_{150})_2$, $-(CH_2)_{0-4}$-O-(R_{150}), $-(CH_2)_{0-4}$-$N(R_{150})$-SO_2-R_{105}, $-(CH_2)_{0-4}$-C_3-C_7 cicloalquilo, alquenilo (C_2-C_{10}), o alquinilo (C_2-C_{10}).

Otros compuestos preferidos de fórmula X-5 incluyen compuestos en donde

R_M es -C(=O)-arilo o -C(=O)-heteroarilo donde las porciones de anillo de cada uno están opcionalmente sustituidas con 1 o 2 grupos independientemente seleccionados de

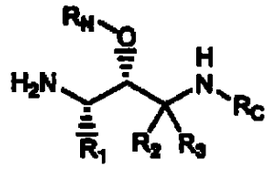
alquilo C_1-C_6, halógeno, $-(CH_2)_{0-4}$-CO-$NR_{105}R'_{105}$, $-(CH_2)_{0-4}$-O-CO-$N(R_{150})_2$, $-(CH_2)_{0-4}$-$N(R_{150})$-SO_2-R_{105}, $-(CH_2)_{0-4}$-SO_2-$NR_{105}R'_{105}$, cicloalquilo C_3-C_7, alquenilo (C_2-C_{10}), $-(CH_2)_{0-4}$-R_{110}, $-(CH_2)_{0-4}$-R_{120}, $-(CH_2)_{0-4}$-R_{130}, o alquinilo (C_2-C_{10}).

Otros compuestos preferidos de fórmula X-5 también incluyen compuestos en donde R_N es:



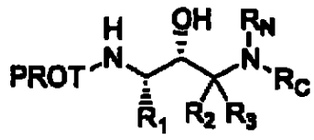
en donde sub es hidrógeno o es alquilo C_1-C_6, halógeno, -$(CH_2)_{0-4}$-CO-$NR_{105}R'_{105}$, -$(CH_2)_{0-4}$-O-CO-$N(R_{150})_2$, -$(CH_2)_{0-4}$-$N(R_{150})$-SO_2-R_{105}, -$(CH_2)_{0-4}$-SO_2-$NR_{105}R'_{105}$, cicloalquilo C_3-C_7, alquenilo -(C_2-C_{10}), -$(CH_2)_{0-4}$-R_{110}, -$(CH_2)_{0-4}$-R_{120}, -$(CH_2)_{0-4}$-R_{130}, o alquinilo (C_2-C_{10}).

Una estereoquímica preferida para compuestos de fórmula X es como sigue:



(X)

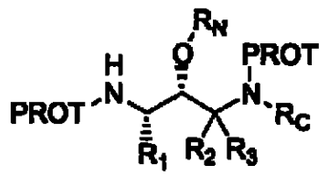
En otro aspecto, la invención suministra intermedios de la fórmula (IA):



(IA)

en donde R_1, R_2, R_3, R_N, y R_C son como se definieron anteriormente para compuestos de fórmula I, y PROT es un grupo protector de amina como se define adelante.

En otro aspecto, la invención suministra intermedios de la fórmula (XA):



(XA)

en donde R_1, R_2, R_3, R_N, y R_C son como se definieron anteriormente para compuestos de fórmula I, y PROT es un grupo protector amina como se define adelante.

La invención también suministra métodos de generar compuestos de fórmula (Y) de los compuestos de fórmula (AA), fórmula (I) o fórmula (X), los cuales son útiles para tratar y/o prevenir la enfermedad de Alzheimer. La generación de compuestos de fórmula (Y) de compuestos de fórmula (AA), (I) o (X) puede ocurrir *in vivo* o *in vitro*.

La invención también suministra procesos para convertir compuestos de fórmula AA, I o X a los compuestos de fórmula Y al exponer los compuestos de fórmula AA, I o X a medio acuoso. La conversión puede ocurrir *in vitro* o *in vivo*.

La invención también suministra métodos para tratar un paciente que tiene, o para prevenir que un paciente obtenga, una enfermedad o condición seleccionada del grupo que consiste de enfermedad de Alzheimer, para ayudar a prevenir o retrasar el establecimiento de la enfermedad de Alzheimer, para tratar pacientes con deterioro cognitivo suave (MCI) y prevenir o retrasar el establecimiento de la enfermedad de Alzheimer en aquellos que han progresado de MCI a AD, para tratar el síndrome de Down, para tratar humanos que tienen Hemorragia Cerebral Hereditaria con Amiloidosis del Tipo Dutch, para tratar angiopatía amiloide cerebral y prevenir sus consecuencias potenciales, es decir, hemorragias lobares únicas o recurrentes, para tratar otras demencias degenerativas, incluyendo demencias de orígen vascular y degenerativo mezcladas, demencia asociada con enfermedad de Parkinson, demencia asociada con la parálisis supranuclear progresiva, demencia asociada con la degeneración basal cortical, o el tipo de cuerpo Lewy difuso de la enfermedad de Alzheimer y quienes estén en necesidad de tal tratamiento el cual incluye la administración de una cantidad terapéuticamente efectiva de un compuesto de fórmula (AA), (I) o (X) o a sales farmacéuticamente aceptables de ésta.

En una modalidad, este método de tratamiento puede ser utilizado donde la enfermedad es Enfermedad de Alzheimer.

En una modalidad, este método de tratamiento puede ayudar a prevenir o retrasar el establecimiento de la Enfermedad de Alzheimer.

En una modalidad, este método de tratamiento puede ser utilizado donde la enfermedad es deterioro cognitivo suave.

En una modalidad, este método de tratamiento puede ser utilizado donde la enfermedad es Síndrome de Down.

En una modalidad, este método de tratamiento puede ser utilizado donde la enfermedad es Hemorragia Cerebral Hereditaria con Amiloidosis del Tipo Dutch.

En una modalidad, este método de tratamiento puede ser utilizado donde la enfermedad es angiopatía amiloide cerebral.

En una modalidad, este método de tratamiento puede ser utilizado donde la enfermedad es demencia degenerativa.

En una modalidad, este método de tratamiento puede ser utilizado donde la enfermedad es el tipo de cuerpo Lewy difuso de la enfermedad de Alzheimer.

En una modalidad, este método de tratamiento puede tratar una enfermedad existente.

En una modalidad, este método de tratamiento puede prevenir que se desarrolle una enfermedad.

En una modalidad, este método de tratamiento puede emplear cantidades terapéuticamente efectivas: para administración oral desde aproximadamente 0,1 mg/día a aproximadamente 1.000 mg/día; para administración parenteral, sublingual, intranasal, intratecal desde aproximadamente 0,5 a aproximadamente 100 mg/día; para administración depo e implantes desde aproximadamente 0,5 mg/día a aproximadamente 50 mg/día; para administración tópica desde aproximadamente 0,5 mg/día a aproximadamente 200 mg/día; para administración rectal desde aproximadamente 0,5 mg a aproximadamente 500 mg.

En una modalidad, este método de tratamiento puede emplear cantidades terapéuticamente efectivas: para administración oral desde aproximadamente 1 mg/día a aproximadamente 100 mg/día; y para administración parenteral desde aproximadamente 5 a aproximadamente 50 mg diarios.

En una modalidad, este método de tratamiento puede emplear cantidades terapéuticamente efectivas para administración oral desde aproximadamente 5 mg/día a aproximadamente 50 mg/día.

La invención también incluye composiciones farmacéuticas las cuales incluyen un compuesto de fórmula (AA), (I) o (X) o unas sales farmacéuticamente aceptables de ésta.

La invención también incluye el uso de un compuesto de fórmula (AA), (I) o (X) o sales farmacéuticamente aceptables de ésta para la fabricación de un medicamento.

La invención también incluye métodos para inhibir la actividad beta-secretasa, para inhibir el clivage de la proteína precursora amiloide (APP), en una mezcla de reacción, en un sitio entre Met596 y Asp597, numerados para el isotipo de aminoácido APP-695, o en un sitio correspondiente de un isotipo o mutante de los mismos; para inhibir producción del péptido beta amiloide (beta A) en una célula; para inhibir la producción de la placa beta-amiloide en un animal; y para tratar o prevenir una enfermedad caracterizada por depósitos de beta-amilide en el cerebro.

Estos métodos cada uno incluye la administración de una cantidad terapéuticamente efectiva de un compuesto de fórmula (AA), (I) o (X) o a sales farmacéuticamente aceptables de ésta.

La invención también incluye un método para inhibir la actividad beta-secretasa, que incluye exponer dicha beta-secretasa a un compuesto de fórmula (AA), (I) o (X), bajo condiciones mientras una cantidad inhibitoria efectiva de un compuesto de fórmula (Y), o una sal farmacéuticamente aceptable de ésta, es formada.

En una modalidad, este método emplea un compuesto que inhibe el 50% de la actividad de la enzima en una concentración de menos de 50 micromolar.

En una modalidad, este método emplea un compuesto que inhibe el 50% de la actividad de la enzima en una concentración de 10 micromolar o menos.

En una modalidad, este método emplea un compuesto que inhibe el 50% de la actividad de la enzima en una concentración de 1 micromolar o menos.

En una modalidad, este método emplea un compuesto que inhibe el 50% de la actividad de la enzima en una concentración de 10 nanomolar o menos.

En una modalidad, este método incluye exponer dicha beta-secretasa a dicho compuesto in vitro.

En una modalidad, este método incluye exponer dicha beta-secretasa a dicho compuesto en una célula.

En una modalidad, este método incluye exponer dicha beta-secretasa a dicho compuesto en una célula en un animal.

En una modalidad, este método incluye exponer dicha beta-secretasa a dicho compuesto en un humano.

La invención también incluye un método para inhibir el clivage de la proteína precursora amiloide (APP), en una mezcla de reacción, en un sitio entre Met596 y Asp597, numerado para el isotipo del aminoácido APP-695; o en un sitio correspondiente de un isotipo o mutante del mismo, incluyendo exponer dicha mezcla de reacción a una cantidad inhibitoria efectiva de un compuesto de fórmula (AA), (I) o (X), o a una sal farmacéuticamente aceptable de ésta.

En una modalidad, este método emplea un sitio de clivage: entre Met652 y Asp653, numerado para el isotipo APP-751; entre Met671 y Asp672, numerado para el isotipo APP-770; entre Leu596 y Asp597 de la Mutación Sueca APP-695; entre Leu652 y Asp653 de la Mutación Sueca APP-751; o entre Leu671 y Asp672 de la Mutación Sueca APP-770.

En una modalidad, este método expone dicha mezcla de reacción in vitro.

En una modalidad, este método expone dicha mezcla de reacción en una célula.

En una modalidad, este método expone dicha mezcla de reacción en una célula animal.

En una modalidad, este método expone dicha mezcla de reacción en una célula humana.

La invención también incluye un método para inhibir la producción del péptido beta amiloide (beta A) en una célula, que incluye administrar a dicha célula un compuesto de la fórmula (AA), (I) o (X), bajo condiciones en donde una cantidad inhibitoria efectiva de un compuesto de fórmula (Y), o una sal farmacéuticamente aceptable de ésta, se forma.

En una modalidad, este método incluye administrar a un animal.

En una modalidad, este método incluye administrar a un humano.

La invención también incluye un método para inhibir la producción de la placa beta-amiloide en un animal, que incluye administrar a dicho animal un compuesto de fórmula (AA), (I) o (X), bajo condiciones en donde una cantidad inhibitoria efectiva de un compuesto de fórmula (Y), o una sal farmacéuticamente aceptable de ésta, se forma.

En una modalidad, este método incluye administrar a un humano.

La invención también incluye un método para tratar o prevenir una enfermedad caracterizada por depósitos de beta-amiloide en el cerebro que incluye administrar a un paciente una cantidad terapéuticamente efectiva de un compuesto de fórmula (AA), (I) o (X), bajo condiciones en donde una

cantidad inhibitoria efectiva de un compuesto de fórmula (Y), o una sal farmacéuticamente aceptable de ésta, se ha formado.

En una modalidad, este método resulta en un compuesto de fórmula (Y) que inhibe el 50% de la actividad de la enzima en una concentración de menos que 50 micromolar.

En una modalidad, este método resulta en un compuesto de fórmula (Y) que inhibe el 50% de la actividad de la enzima en una concentración de 10 micromolar o menos.

En una modalidad, este método resulta en un compuesto de fórmula (Y) que inhibe el 50% de la actividad de la enzima en una concentración de 1 micromolar o menos.

En una modalidad, este método resulta en un compuesto de fórmula (Y) que inhibe el 50% de la actividad de la enzima en una concentración de 10 nanomolar o menos.

En una modalidad, este método emplea un compuesto en una cantidad terapéutica en el rango desde aproximadamente 0,1 a aproximadamente 1500 mg/día.

En una modalidad, este método emplea un compuesto en una cantidad terapéutica en el rango de aproximadamente 15 a aproximadamente 1000 mg/día.

En una modalidad, este método emplea un compuesto en una cantidad terapéutica en el rango de aproximadamente 1 a aproximadamente 100 mg/día.

En una modalidad, este método emplea un compuesto en una cantidad terapéutica en el rango de aproximadamente 5 a aproximadamente 50 mg/día.

En una modalidad, este método puede ser utilizado donde dicha enfermedad es Enfermedad de Alzheimer.

En una modalidad, este método puede ser utilizado donde dicha enfermedad es Deterioro cognitivo suave, Síndrome de Down, o Hemorragia Cerebral Hereditaria con Amiloidosis del Tipo Dutch.

La invención también incluye un kit componente que incluye partes componentes capaces de ser ensambladas, en las cuales al menos una parte componente incluye un compuesto de fórmula AA, I o X contenida en un contenedor.

En una modalidad, este kit componente incluye compuesto liofilizado, y al menos una parte componente adicional que incluye un diluyente.

La invención también incluye un kit contenedor que incluye una pluralidad de contenedores, cada contenedor incluye una o más dosis de unidad de un compuesto de fórmula

(AA), (I) o (X):, o una sal farmacéuticamente aceptable de ésta.

En una modalidad, este kit contenedor incluye cada contenedor adaptado para suministro oral e incluye una tableta, gel, o cápsula.

En una modalidad, este kit contenedor incluye cada contenedor adapatado para suministro parenteral e incluye un producto depósito, jeringa, ampolla o frasco.

En una modalidad, este kit contenedor incluye cada contenedor adapatado para suministro tópico e incluye un parche, una almohadilla médica, un ungüento, o una crema.

La invención también incluye un kit de agente que incluye un compuesto de fórmula (AA), (I) o (X), o una sal farmacéuticamente aceptable de ésta; y uno o más agentes terapéuticos seleccionados del grupo que consiste de un antioxidante, un anti-inflamatorio, un inhibidor de gama secretasa, un agente neurotrópico, un inhibidor de acetil colinesterasa, una estatina, un péptido beta A, y un anticuerpo anti-beta A.

La invención también incluye a composición que incluye un compuesto de fórmula (AA), (I) o (X), o una sal farmacéuticamente aceptable de ésta; y un diluyente inerte o portador comestible.

En una modalidad, esta composición incluye un portador que es un aceite.

La invención también incluye una composición que incluye: un compuesto de fórmula (AA), (I) o (X), o una sal farmacéuticamente aceptable de ésta; y un aglomerante, excipiente, agente desintegrante, lubricante, o amoldante.

La invención también incluye una composición que incluye un compuesto de fórmula (AA), (I) o (X), o una sal farmacéuticamente aceptable de ésta; dispuesta en una crema, ungüento, o parche.

La invención suministra compuestos de fórmula (AA), fórmula (I) y (X) que pueden ser utilizados para generar compuestos de fórmula (Y), que son útiles en tratar y prevenir la enfermedad de Alzheimer. Los compuestos de la invención pueden ser preparados por aquellos expertos en la técnica con base solamente en el conocimiento de la estructura química del compuesto. La química para la preparación de los compuestos de esta invención es conocida por aquellos expertos en la técnica. De hecho, hay más de un proceso para preparar los compuestos de esta invención. Ejemplos específicos de métodos de preparación pueden ser encontrados en la técnica. Por ejemplo, ver *J. Org. Chem.* **1998**, 63, 4898-4906; J. ORG. Chem. **1997**, 62, 9348-9353; *J. Org. Chem.* **1996**, 61, 5528-5531; *J. Med. Chem.* **1993**, 36, 320-

[illegible] (VII) con un agente formador de amida tal como, por ejemplo, $(R_M-)_2O$ o R_M-X o R_M-OH (IX) para producir el alcohol (IA). El alcohol (IA)

330; *J. Am. Chem. Soc.* 1999, 121, 1145-1155; y referencias citadas allí. Ver también las Patentes Estadounidenses Nos. 6,150,530, 5,892,052, 5,696,270, y 5,362,912, las cuales son incorporadas aquí como referencia, y las referencias citadas allí.

Ejemplos de diversos procesos que pueden ser usados para preparar los compuestos de la invención se establecen en seguida.

Un proceso general para preparar los compuestos de la fórmula I y X se establece en el ESQUEMA A. La química es directa y en resumen involucra las etapas de N-proteger el aminoácido (A) como material de partida para producir el aminoácido protegido correspondiente (II), la reacción del aminoácido protegido (II) con diazometano seguido por el trabajo para agregar un átomo de carbono para producir el compuesto protegido correspondiente (III), reducción del haluro protegido al alcohol correspondiente (IV), formación del epóxido correspondiente (V), abrir el epóxido (V) con una amina C-terminal, R_C-NH_2 (VI) para producir el alcohol protegido correspondiente (VII).

Los compuestos de fórmula (I) pueden ser preparados haciendo reacionar el alcohol protegido (VII) con un agente formador de amida tal como, por ejemplo, $(R_N-)_2O$ o R_M-X o R_M-OH (IX) para producir el alcohol (IA). El alcohol (IA)

entonces tiene el grupo protector de nitrógeno removido para producir los compuestos correspondientes de fórmula (I).

Los compuestos de fórmula (X) pueden ser preparados protegiendo por N adicionalmente el alcohol (VII) para formar el alcohol desprotegido (XB). El alcohol desprotegido (XB) se hace reaccionar con un agente formador de amida tal como, por ejemplo, $(R_N-)_2O$ o R_N-X o R_N-OH (IX) para producir el compuesto (XA). El compuesto (XA) entonces tiene los grupos protegidos por nitrógeno removidos para producir los compuestos correspondientes de fórmula (X).

Aquellos expertos en la técnica podrán apreciar que estas son reacciones bien conocidas en la química orgánica. Un químico experto en la materia, conociendo la estructura química de los compuestos (AA), (I) y (X) de la invención podría estar en capacidad de prepararlos por métodos conocidos a partir de aquellos materiales de partida conocidos sin ninguna información adicional. La explicación más adelante por lo tanto no es necesaria pero se cree que es útil para aquellos expertos en la técnica quienes desean hacer los compuestos de la invención.

La estructura principal del intermedio (VII), de la cual los compuestos de fórmula (AA), (I) y (X) pueden ser preparados fácilmente, puede ser considerado una parte hidroxietilamina, -NH-CH(R)-CH(OH)-. Tales estructuras principales pueden ser preparadas por métodos descritos en la

literatura y conocidas por aquellos expertos en la técnica. Por ejemplo, *J. Med. Chem.*, 36, 288-291 (1993), *Tetrahedron Letters*, 28, 5569-5572 (1987), *J. Med. Chem.*, 38, 581-584 (1995) y *Tetrahedron Letters*, 38, 619-620 (1997) y WO 02/02506 todos procesos descritos para preparar compuestos del tipo hidroxietilamina y/o sus intermedios.

El ESQUEMA A establece un método general usado en la invención para preparar las aminas apropiadamente sustituidas I y X. Los compuestos de la invención son preparados por medio de iniciar con el aminoácido correspondiente (A). Los aminoácidos (A) son conocidos por aquellos expertos en la técnica o pueden ser preparados fácilmente por métodos conocidos por aquellos expertos en la técnica. Los compuestos de la invención tienen por lo menos dos centros quirales, que dan dos conjuntos de diastereómeros, cada uno de los cuales es racémico para un total de por lo menos cuatro estereoisómeros. Aunque es activo biológicamente el producto final resulta de todos los estereoisómeros, la configuración (S,R) es preferida. El primero de estos centros quirales (el carbono que lleva R_1) se deriva del material de partida de aminoácido (A). Es preferido obtenerlo comercialmente o producir el enantiómero deseado en vez de producir una mezcla enantioméricamente impura y luego tener que separar el enantiómero deseado. Así es preferible iniciar el proceso con un (S)-aminoácido (A) enantioméricamente puro de la misma configuración que el producto X deseado.

En el Esquema A, la protección de la amina libre (A) para producir el aminoácido (S)-protegido (II) se ilustra. Los grupos protectores amino son conocidos por aquellos expertos en la técnica, según se discute más abajo. Ver por ejemplo, "Protecting Groups in Organic Síntesis", John Wiley and sons, New Cork, N.Y., 1981, Capítulo 7; "Protecting Groups in Organic Chemistry", Plenum Press, New Cork, N.Y., 1973, Capítulo 2. La función del grupo protector amino es proteger la funcionalidad amino libre ($-NH_2$) durante las reacciones subsecuentes en el (S)-aminoácido (A) que no procedería sea debido a que el grupo amino reaccione o sea funcionalizado 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 protector amino no se requiere más, es removido por los métodos conocidos por aquellos expertos en la técnica. Por definición el grupo protector amino debe ser removible fácilmente como es conocido por aquellos expertos en la técnica por métodos conocidos por aquellos expertos en la técnica. Los grupos protectores amino se discuten más abajo.

El aminoácido (S)-protegido (II) es transformado al correspondiente compuesto (S)-protegido (III) por dos métodos diferentes dependiendo de la naturaleza de R_2 y R_3.

R_2 y R_3 pueden ser iguales o diferentes. Es preferido que R_2 y R_3 sean ambos -H. Si R_2 y R_3 no son iguales, un quiral adicional o centro estereogénico es agregado a la molécula.

Para producir los compuestos de fórmula (III) donde R_2 y R_3 son ambos -H, el aminoácido (S)-protegido (II) se hace reaccionar con diazometano, como es conocido por aquellos expertos en la técnica, seguido por la reacción con un compuesto de la fórmula H-X_1 para producir el compuesto (S)-protegido (III). X_1 incluye -Cl, -Br, -I, -O-tosilato, -O-mesilato, -O-nosilato, y -O-brosilato. Es preferido que -X_1 sea -Br o -Cl. Las condiciones de reacción adecuadas incluyen correr la reacción en disolventes inertes, tales como pero no limitados a éter, tetrahidrofurano y similares. Las reacciones desde el aminoácido (S)-protegido (II) al compuesto (S)-protegido (III) se llevan a cabo durante un periodo de tiempo entre 10 minutos y un día y a temperaturas que varían entre -78° a cerca de 20-25°. Es preferible conducir las reacciones durante un periodo de tiempo entre 1 a 4 horas y a temperaturas entre -30° a -10°. Este proceso agrega un grupo metileno.

Alternativamente, los compuestos (S)-protegidos de la fórmula (III) pueden ser preprados primero convirtiendo el aminoácido (S)-protegido (II) en un éster de metilo o éster de etilo, de acuerdo con métodos establecidos en la técnica, seguido por el tratamiento con un reactivo de fórmula X_1-$C(R_2)(R_3)$-X_1 y una base metal fuerte. La base sirve para afectar un intercambio halógeno-metal, en donde el -X_1 que sufre intercambio es un halógeno seleccionado a partir de cloro, bromo o yodo. La adición nucleofílica al derivado de éster da directamente el compuesto (S)-protegido (III). Bases

adecuadas incluyen, pero no se limitan a los alquilitios que incluyen, por ejemplo, *sec*-butillitio, *n*-butillitio, y *t*-butillitio. Las reacciones son conducidas preferiblemente a baja temperatura, tales como -78°. Las condiciones de reacción adecuadas incluyen correr la reacción en disolventes inertes, tales como pero no limitados a, éter, tetrfahidrofurano y similares. Donde R_2 y R_3 son ambos hidrógeno, entonces los ejemplos de X_1-C(R_2)(R_3)-X_1 incluyen dibromometano, diyodometano, cloroyodometano, bromoyodometano y bromoclorometano. Aquellos expertos en la técnica conocen las condiciones preferidas requeridas para conducir esta reacción. Adicionalmente, si R_2 y/o R_3 no son -H, entonces por la adición de -C(R_2)(R_3)-X_1 a ésteres del aminoácido (S)-protegido (II) para producir el compuesto (S)-protegido (III), un centro quiral adicional será incorporado en el producto, siempre que R_2 y R_3 no sean iguales.

El compuesto (S)-protegido (III) es luego reducido por medios conocidos por aquellos expertos en la técnica para la reducción de una cetona al alcohol secundario correspondiente proporcionando el alcohol correspondiente (IV). Estos medios y las condiciones de reacción para reducir el compuesto (S)-protegido (III) al alcohol correspondiente (IV) incluye, 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 se llevan a cabo durante un periodo de tiempo entre 1 hora y 3 días a temperaturas que varían entre -78° a

una temperatura elevada hasta el punto de reflujo del disolvente empleado. Se prefiere conducir la reducción entre -78° y 0°. Si se usa borano, puede ser empleado como un complejo, por ejemplo, complejo de sulfuro de borano-metilo, complejo de borano-piperidina, o complejo de borano-tetrahidrofurano. La combinación preferida de los agentes reductores y las condiciones de la reacción necesarias son conocidas por aquellos expertos en la técnica, ver por ejemplo, Larock, R.C. en Comprehensive Organic Transformations, VCH Publishers, 1989. La reducción del compuesto (S)-protegido (III) al alcohol correspondiente (IV) produce el segundo centro quiral (tercer centro quiral si R_2 y R_3 no son iguales). La reducción del compuesto (S)-protegido (III) produce una mezcla de enantiomero en el segundo centro, (S, R/S)-alcohol (IV). Esta mezcla enantiomérica es entonces separada por medios conocidos por aquellos expertos en la técnica tal como recristalización selectiva a baja temperatura o separación cromatográfica, por ejemplo por HPLC, empleando columnas quirales comercialmente disponibles. El enantiómero que es usado en el resto del proceso del ESQUEMA A es el (S,S)-alcohol (IV) ya que este enantiómero dará el compuesto (S,R)-sustituido I o X.

El (S,S)-alcohol (IV) es transformado en el epóxido correspondiente (V) por medios conocidos por aquellos expertos en la técnica. La estereoquímica del centro (S)-(IV) es mantenida en la formación del epóxido (V). Un medio preferido es por medio de reacción con base, por ejemplo,

pero no limitado a, ión hidróxido generado por hidróxido de sodio, hidróxido de potasio, hidróxido de litio y similares. Las condiciones de la reacción incluyen el uso de disolventes de alcohol C_1-C_6; el etanol es el preferido. Un co-disolvente común, tal como por ejemplo, el acetato de etilo puede también ser empleado. Las reacciones son conducidas a temperaturas que varían entre -45° hasta la temperatura de reflujo del alcohol empleado; la temperatura preferida está en el rango entre -20° y 40°.

Una alternativa, y proceso preferible para preparar el epóxido (V) cuando R_1 es 3,5-difluorobenzilo, se establece en el ESQUEMA D. La primera etapa de proceso es proteger el grupo amino libre del (S)-aminoácido (A) con un grupo protector amino, GRUPO PROTECTOR, como se discutió previamente para producir el aminoácido (S)-protegido (II).

En el proceso alternativo, el aminoácido (S)-protegido (A) es transformado en el éster (S)-protegido (XVII) correspondiente en uno de un número de maneras. Un método involucra el uso de hidróxido de litio. Usando el hidróxido de litio, el aminoácido (S)-protegido (A) y el hidróxido de litio son mezclados y enfriados desde cerca de -20° a cerca de 10°. Luego un agente metilante, seleccionado del grupo que consiste de dimetilsulfato, yoduro de metilo y triflato de metilo, se agrega. El más preferido es el agente metilante el dimetilsulfato. Esto es seguido por calentamiento hasta cerca de 20° a cerca de 50°.

Alternativamente, el aminoácido (S)-protegido (A) se pone en contacto con una base debil tal como bicarbonato o preferiblemente carbonato. Esto es seguido por la adición del agente metilante. El calor no es necesario pero puede ser usado para facilitar la reacción. El método de carbonato es conocido por aquellos expertos en la técnica. Para aquellos ésteres (S)-protegidos (XVII) en donde Z_1 no es metilo, una persona versada en la técnica que conoce la estructura química podría saber como preparar los compuestos deseados a partir de los materiales de partida conocidos. En un método conocido el aminoácido (S)-protegido (A) se pone en contacto con un agente activante, tal como el DCC, seguido por la adición del alcohol apropiado, Z_1-OH. Este método es operable cuando Z_1 es alquilo C_1-C_4 (opcionalmente sustituido), -CH_2-$CH=CH_2$ o fenilo (opcionalmente sustituido).

El ESQUEMA E establece un proceso alternativo para la preparación del éster (II). En el proceso del ESQUEMA E, el aldehido (XX), el cual es conocido por aquellos expertos en la técnica, se hace reaccionar con el compuesto fosforoso (XXI), donde X_3 es un grupo saliente bueno, para producir la olefina (XXII). Los compuestos fosforosos (XXI) son conocidos por aquellos expertos en la técnica. Es preferido que X_3 sea alquilo C_1-C_3; es más preferido que X_3 se a alquilo C_1. El aldehido (XX) y el fosfato (XXI) son combinados en un disolvente orgánico luego enfriados a 0°. Una base tal como DBU o TMG es agregada y los contenidos de la mezcla de

reacción son calentados hasta cerca de 20 a 25° y agitados hasta que la reacción se ha completado. Una vez que la reacción está completa, se prefiere separar los isómeros E- y Z-olefina (XXII). La separación se hace por métodos conocidos por aquellos expertos en la técnica, tal como por cromatografía de gel de sílice. Luego la olefina (XXII) es hidrogenada con un catalizador de hidrogenación adecuado para obtener el éster deseado (II). Algunas reacciones de hidrogenación darán el éster racémico (II). La estereoquímica deseada del éster (II) es (S)-, y por lo tanto es preferible usar la Z-olefina (XXII) con un catalizador de hidrogenación. Se prefiere que el catalizador de hidrogenación sea un compuesto de la fórmula $[Rh(dieno)L]^+X^-$

donde Rh es rodio;

donde dieno es ciclootedieno y nonbornadieno;

donde L es DIPMAP, MeDuFos, EtDuFos, Binafano, f-Binafano, Me-KetalFos, Me-f-KetalFos, BINAP, DIOP, BPPFA, BPPM, CHIRAFOS, PROFOS, NORFOS, CICLOFOS, BDPP, DEGFOS, PNNP y en donde X^- es ClO_4^-, BF_4^-, CF_3-SO_3^-, Cl^-, Br^-, PF_6^- y SbF_6^-. Se prefiere que el catalizador de hidrogenación sea DIPMAP o EtDuFos. Los disolventes adecuados incluyen disolventes polares tales como alcoholes, preferiblemente alcoholes C_1-C_5 y THF, más preferiblemente metanol, etanol, isopropanol y THF. La hidrogenación quiral se lleva a cabo a una temperatura que varía entre cerca de -20° a cerca del reflujo. Se prefiere que la reacción sea llevada a cabo en el rango de temperatura entre 0° a cerca de temperatura ambiente (25°). La hidrogenación quiral se lleva a cabo bajo una

presión de cerca de una atmósfera a cerca de 100 psig; se prefiere más que la hidrogenación quiral sea llevada a cabo bajo una presión que va desde 10 psig a cerca de 40 psig.

El éster (S)-protegido (II) es entonces transformado en la correspondiente cetona (S)-protegida (III) por reacción con un exceso ligero de un compuesto de fórmula CH_2ClX^2 donde X^2 es -Br y -I en una de dos maneras diferentes. En un proceso, ningún nucleofilo exógeno es usado. Este proceso requiere (1) la presencia de tres o más equivalentes de una base fuerte que tiene un pK_b mayor que cerca de 30 seguido por (2) agregar ácido. El otro proceso requiere (1) la presencia de cerca de 2 a cerca de 2,5 equivalentes de una base fuerte que tiene un pK_b mayor que cerca de 30, (2) poner en contacto la mezcla de la etapa (1) con cerca de 1 a cerca de 1,5 equivalentes de un nuclefilo exógeno y (3) agregar ácido. Las bases fuertes adecuadas son aquellas que tienen un pK_b mayor a cerca de 30. Es preferido que la base fuerte sea seleccionada del grupo que consiste de LDA, LiHMDS y KHMDS; es más preferido que la base fuerte sea LDA. Los ácidos adecuados son aquellos, que tienen un pK_a de menos de cerca de 10. Se prefiere que los ácidos sean seleccionados del grupo que consiste de ácidos acético, sulfúrico, clorhídrico, cítrico, fosfórico y benzoico; es más preferido que el ácido sea el ácido acético. El disolvente preferido para el proceso es THF. La reacción puede llevarse a cabo en el rango de temperatura entre cerca de -80° a cerca de -50°; es preferido llevar a cabo la reacción en el rango de temperatura de entre

cerca de -75° a cerca de -65°. Los nucleofilo adecuados incluyen alquil litio, aril litio, alquil-Grignard y aril-Grignard como reactivos. Se ha preferido que el nucleofilo sea seleccionado del grupo que consiste de fenil litio, n-butil litio, bromuro de metil magnesio, cloruro de metil magnesio, bromuro de fenil magnesio, cloruro de fenil magnesio; es más preferido que el nucleofilo sea n-butil litio.

La cetona (S)-protegida (III) es entonces reducida al (S)-alcohol (IV) correspondiente por medios conocidos para aquellos expertos en la técnica para la reducción de una cetona al alcohol secundario correspondiente. Los medios y las condiciones de reacción para reducir el compuesto (S)-protegido (III) al alcohol correspondiente (IV) incluye, por ejemplo borohidruro de sodio, borohidruro de litio, borano, hidruro de diisobutilaluminio, borohidruro de zinc y hidruro de litio aluminio. El borohidruro de sodio es el agente reductor preferido. Las reducciones se llevan a cabo durante un periodo de tiempo entre 1 hora y cerca de 3 días a temperaturas que varían entre cerca de -78° a una temperatura elevada hasta el punto de reflujo del disolvente empleado. Espreferido conducir la reducción entre cerca de -78° y cerca de 0°. Si se usa borano, este puede ser empleado como un complejo, por ejemplo, complejo de borano-sulfuro de metilo, complejo de borano-piperidina, o complejo de borano-tetrahidrofurano. La combinación preferida de los 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 reducción del compuesto (S)-protegido (III) al alcohol correspondiente (IV) produce un segundo centro quiral. La reducción del compuesto (S)-protegido (III) produce una mezcla de diastereómeros en el segundo centro, (S, R/S)-alcohol (IV). Esta mezcla diastereomérica es luego separada por medios conocidos por aquellos expertos en la técnica tal como recristalización selectiva a baja temperatura o separación cromatográfica, más preferiblemente mediante la recristalización o al emplear columnas quirales comercialmente disponibles. El diastereómero que es utilizado en el resto del proceso del ESQUEMA A es el (S,S)-alcohol (IV) en razón a que esta estereoquímica dará el epóxido deseado (V).

El alcohol (IV) se transforma al epóxido correspondiente (V) por medios conocidos por aquellos expertos en la técnica. La estereoquímica del centro (S)-(IV) es mantenida para formar el epóxido (V). Un medio preferido es por medio de la reacción con la base, por ejemplo, pero no limitado a, ión hidróxido generado de hidróxido de sodio, hidróxido de potasio, hidróxido de litio, y similares. Las condiciones de reacción incluyen el uso de disolventes de alcohol C_1-C_6; el etanol es el preferido. Un co-disolvente común, tal como por ejemplo, etil acetato también puede se empleado. Las reacciones son conducidas a temperaturas que varían entre aproximadamente -45° hasta la temperatura de reflujo del

alcohol empleado; los rangos de temperatura preferida están entre aproximadamente -20° y aproximadamente 40°.

El epóxido (V) es luego hecho reaccionar con la amina C-terminal apropiadamente sustituida, R_C-NH_2 (VI) por medios conocidos por aquellos expertos en la técnica que abre el epóxido para producir el alcohol (S,R)-protegido correspondiente enantioméricamente puro (VII). Las aminas C-terminal sustituidas, R_C-NH_2 (VI) de esta invención son comercialmente disponibles o son conocidas por aquellos expertos en la técnica y pueden ser fácilmente preparados de compuestos conocidos. Se prefiere que cuando el R_C sea fenilo, este se sustituya en la posición 3 o en las posiciones 3,5.

Las condiciones de reacción adecuadas para abrir el epóxido (V) incluyen correr la reacción en un rango amplio de disolventes comunes e inertes. Los disolventes de alcohol C_1-C_6 son preferidos y el alcohol isopropilo más preferido. Las reacciones se pueden correr a temperaturas que varían de 20-25° hasta la temperatura de reflujo del alcohol empleado. El rango de temperatura preferida para conducir la reacción está entre 50° hasta la temperatura de reflujo del alcohol empleado. Cuando la amina C-terminal sustituida (VI) es un 1-amino-3,5-cis-dimetilciclohexildicarboxilato esta se prepara preferiblemente como sigue. A dimetil-5-isoftalato en ácido acético y metanol, se agrega rodio en aluminio en una botella de alta presión. La botella se satura con hidrógeno a 55 psi

y se agita durante una semana. La mezcla es luego filtrada a través de una capa gruesa de torta de celita y se enjuaga con metanol tres veces, los disolventes son removidos mediante bajo presión reducida (con calor) para dar un concentrado. El concentrado se tritura 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 esta sigue preferiblemente el procedimiento general anterior y haciendo variaciones no críticas pero comenzando con 3,5-dimetoxianilina.

Cuando la amina C-terminal sustituida (VI) es un grupo aminometilo donde el sustituyente sobre el grupo metilo es un grupo arilo, por ejemplo NH_2-CH_2-arilo, no está comercialmente disponible esta es preferiblemente preparada como sigue. Un material de partida adecuado es el compuesto aralquilo (apropiadamente sustituido). La primera etapa es la bromination del sustituyente alquilo por vía de métodos conocidos por aquellos expertos en la técnica, ver por ejemplo R.C. Larock en Comprehensive Organic Transformations, VCH Publishers, 1989, p.313. Luego el haluro de alquilo se hace reaccionar con azida para producir el aril-(alquil)-azida. Por último la azida se reduce a la amina correspondiente mediante hidrógeno/catalizador para dar la amina C-terminal (VI) de la fórmula NH_2-CH_2-$R_{C\text{-}arilo}$.

El ESQUEMA B describe un proceso alternativo para la producción de un alcohol (S,R)-protegido enantioméricamente

puro (VII) del compuesto (S)-protegido (III). En el proceso alternativo, el compuesto (S)-protegido (III) se hace reaccionar primero con la amina C-terminal apropiadamente sustituida R_C-NH_2 (VI) utilizando las condiciones preferidas descritas anteriormente para producir la cetona (S)-protegida correspondiente (XI) la cual es luego reducida utilizando las condiciones preferidas descritas anteriormente para producir el correspondiente alcohol (S,R)-protegido (VII).

El ESQUEMA C describe otro proceso alternativo para la producción de alcohol (S,R)-protegido enantioméricamente puro (VII) pero esta vez del epóxido (V). En el proceso del ESQUEMA C, el epóxido (V) se hace reaccionar con la azida para producir la correspondiente azida (S,R)-protegida enantioméricamente pura (XII). Las condiciones para conducir la abertura del epóxido mediada por azida son conocidas por aquellos expertos en la técnica, ver por ejemplo, J. March, Advanced Organic Chemistry, 3ra Edición, John Wiley & Sons Publishers, 1985, p. 380. Luego, la azida (S,R)-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 azida (S,R)-protegida (XII) en la presencia del grupo *t*-butoxicarbonilo N-protegido incluye la hidrogenación catalítica, las condiciones por las cuales son conocidas por aquellos expertos en la técnica. Las condiciones reductoras alternativas que se pueden utilizar para evitar N-desprotección con grupos de protección diferentes del t-

butoxicarbonilo son conocidos por aquellos expertos en la técnica, ver por ejemplo, R.C. Larock en Comprehensive Organic Transformations, VCH Publishers, 1989, p. 409. Por último, la (S,R)-amina (XIII) se transforma al correspondiente alcohol protegido (VII) mediante la alquilación de nitrógeno con el compuesto de fórmula R_C-X_3. X_3 es un grupo saliente apropiado, tal como pero no limitado a, -Cl, -Br, -I, -O-mesilato, -O-tosilato, -O-triflato, etc. X_3 también puede ser un aldehido; el correspondiente acoplamiento con (XIII) por vía de los procedimientos de afinación reductiva conocidos da el (S,R)-alcohol (VII).

En la formación de los compuestos de fórmula (I), el alcohol protegido (VII) se hace reaccionar con un agente formador de amida apropiadamente sustituido (IX) tal como, por ejemplo, un anhídrido, un haluro de acilo, o un ácido de las fórmulas $(R_W)_2O$ o R_WX o R_WOH (IX) respectivamente, por medios conocidos por aquellos expertos en la técnica para producir la correspondiente amina (S,R)-sustituida (IA). Las condiciones de acilación de nitrógeno para la reacción del alcohol (VII) con un agente formador de amida (IX) para producir el compuesto correspondiente (IA) son conocidas por aquellos expertos en la técnica y se pueden encontrar, por ejemplo, en R.C. Larock en Comprehensive Organic Transformations, VCH Publishers, 1989, p. 981, 979, y 972. La amina (S,R)-protegida (IA) es desprotegida a los compuestos correspondientes (I) por medios conocidos por aquellos expertos en la técnica para la remoción del grupo protector

amina. Los medios adecuados para la remoción del grupo protector amina dependen de la naturaleza del grupo protector. Aquellos expertos en la técnica, que conocen la naturaleza de un grupo protector específico, conocen que reactivo es preferible para su remoción. Por ejemplo, se prefiere remover el grupo protector preferido, BOC, al disolver la amina (S,R)-protegida (IA) en una mezcla (1/1) de ácido trifluoroacético/diclorometano. Cuando se completa, los disolventes son removidos bajo presión reducida para dar la (S,R)-amina (I) (como la sal correspondiente, es decir sal de ácido trifluoroacético) la cual se utiliza sin purificación adicional. Sin embargo, si se desea, la (S,R)-amina (I) se puede purificar adicionalmente por medios conocidos por aquellos expertos en la técnica, tal como por ejemplo, recristalización. Además, si la forma no salina se desea que también pueda ser obtenida por medios conocidos por aquellos expertos en la técnica, tal como por ejemplo, preparar la amina de base libre por vía del tratamiento de la sal con condiciones básicas medias. Las condiciones de desprotección de BOC adicional y las condiciones de desprotección para otros grupos protectores se pueden encontrar en T.W. Green y P.G.M. Wuts en "Protective Groups in Organic Chemistry, John Wiley and Sons, 1991, p. 309. Las sales adecuadas químicamente adecuadas incluyen trifluoroacetato, y el anión de los ácidos minerales tales como cloruro, sulfato, fosfato; se prefiere el trifluoroacetato.

En la formación de los compuestos de fórmula (X), el alcohol (VII) es protegido adicionalmente como se describió anteriormente para formar el compuesto desprotegido (XB). El compuesto (XB) se hace luego reaccionar con un agente formador de amida apropiadamente sustituida (IX) para formar el compuesto (XA), como se describió anteriormente para el compuesto (IA). La desprotección del (XA) a los compuestos (X) es conducida como se describió para la transformación del compuesto (IA) a los compuestos (I).

La protección de las aminas es conducida, donde es apropiada, por métodos conocidos por aquellos expertos en la técnica. Los grupos protectores amino son conocidos por aquellos expertos en la técnica. Ver por ejemplo, "Protecting Groups in Organic Síntesis", John Wiley and Sons, New Cork, N.Y., 1981, Capítulo 7; "Protecting Groups in Organic Chemistry", Plenum Press, New Cork, N.Y., 1973, Capítulo 2. Cuando el grupo protector amino ya no se necesita, este se remueve mediante métodos conocidos por aquellos expertos en la técnica. Por definición los grupos protectores amino deben ser fácilmente removibles. Una variedad de metodologías adecuadas son conocidas por aquellos expertos en la técnica; ver también T.W. Green y P.G.M. Wuts en "Protective Groups in Organic Chemistry, John Wiley and sons, 1991. Los grupos protectores amino adecuados incluyen t-butoxicarbonilo, benzil-oxicarbonilo, formilo, tritilo, ftalimido, tricloro-acetili, cloroacetili, bromoacetili, yodoacetili, 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)prop-2-iloxi-carbonilo, ciclopentaniloxicarbonilo, 1-metilciclo-pentaniloxicarbonilo, ciclohexaniloxicarbonilo, 1-metil-ciclohexaniloxicabonilo, 2-metilciclohexaniloxicarbonilo, 2-(4-toluilsulfonil)etoxicarbonilo, 2-(metilsulfonil)-etoxicarbonilo, 2-(trifenilfosfino)etoxicarbonilo, fluorenilmetoxicarbonilo, 2-(trimetilsilil)etoxi-carbonilo, aliloxicarbonilo, 1-(Trimetilsililmetil) prop-1-eniloxicarbonilo, 5-benzisoxalilmetoxicarbonilo, 4-acetoxibenziloxicarbonilo, 2,2,2-tricloroetoxicarbonilo, 2-etinil-2-propoxicarbonilo, ciclopropilmetoxicarbonilo, 4-(deciloxil) benziloxicarbonilo, isobrorniloxicarbonilo, 1-piperidiloxicarbonilo, 9-fluoroenilmetil carbonato, -CH-CH=CH_2 y fenil-C(=N-)-H.

Se prefiere que el grupo protector sea t-butoxicarbonilo (BOC) y/o benziloxicarbonilo (CBZ), se prefiere más que el grupo protector sea t-butoxicarbonilo. Un experto en la técnica reconocerá métodos adecuados de introducción de un grupo protector t-butoxicarbonilo o benziloxicarbonilo y puede adicionalmente consultar T.W. Green y P.G.M. Wuts en

"Protective Groups in Organic Chemistry, John Wiley and sons, 1991 para guía.

Los compuestos de la invención pueden contener isómeros geométricos u ópticos así como también tautómeros. Así, la invención incluye todos los tautómeros y los isómeros geométricos puros, tales como los isómeros geométricos *E* y *Z* así como también mezclas de estos. Adicionalmente, la invención incluye enantiómeros y diastereómeros puros así como también mezclas de estos, incluyendo mezclas racémicas. Los isómeros geométricos individuales, enantiómeros o diastereómeros pueden ser preparados o aislados mediante métodos conocidos por aquellos expertos en la técnica, incluyendo pero no estando limitados a cromatografía quiral; preparación de diastereómeros, separación de diastereómeros y conversión de diastereómeros en enantiómeros a través del uso de un agente de resolución quiral.

Los compuestos de la invención con la estereoquímica designada se pueden incluir en mezclas, incluyendo mezclas racémicas, con otros enantiómeros, diastereómeros, isómeros geométricos o tautómeros. En un aspecto preferido, los compuestos de la invención con estereoquímica (S, R, R), (S, S, S) o (S, R, S) están típicamente presentes en estas mezclas con un exceso del 50 por ciento. Preferiblemente, los compuestos de la invención con la estereoquímica designada están presentes en estas mezclas con un exceso del 80 por ciento. Más preferiblemente, los compuestos de la invención

con la estereoquímica designada están presentes en estas mezclas con un exceso del 90 por ciento. Aún más preferiblemente, los compuestos de la invención con la estereoquímica designada están presentes en estas mezclas con un exceso del 99 por ciento.

La invención comprende sales farmacéuticamente aceptables de los compuestos de fórmula (AA), (I) y (X). Las sales farmacéuticamente aceptables son las preferidas sobre las aminas correspondientes de fórmula (AA), (I) o (X) en razón a que ellas producen compuestos que son más solubles en agua, estables y/o más cristalinos. Las sales farmacéuticamente aceptables son cualquier sal que requiere la actividad del compuesto padre y no imparte ningún efecto deletereo o indeseable al sujeto a quien se administra y en el contexto en el cual esta se administra. Las sales farmacéuticamente aceptables incluyen sales tanto de ácidos inorgánicos como orgánicos. Las sales farmacéuticamente aceptables preferidas incluyen sales de los siguientes ácidos acético, aspártico, bencenosulfónico, benzoico, bicarbónico, bisulfúrico, bitartárico, butírico, edetato de calcio, camsilico, carbónico, clorobenzoico, cítrico, edético, edisílico, estólico, esilo, esílico, fórmico, fumárico, gluceptico, glucónico, glutámico, glicolilarsanílico, hexámico, hexilresorcinoico, hidrabámico, bromhídrico, clorhídrico, yodhídrico, hidroxinaftoico, isetiónico, láctico, lactobionico, maleico, málico, malónico, mandélico, metanosulfónico, metilnítrico, metilsulfúrico, múcico,

mucónico, napsílico, nítrico, oxálico, p-nitrometanosulfónico, pamoico, pantoténico, fosfórico, monohidrógeno fosfórico, dihidrógeno fosfórico, ftálico, polygalactourónico, propiónico, salicílico, esteárico, succínico, succínico, sulfámico, sulfanílico, sulfónico, sulfúrico, tánnico, tartárico, teoclico y toluenosulfónico. Para otras sales aceptables, ver *Int. J. Pharm.*, 33, 201-217 (1986) y *J. Pharm. Sci.*, 66(1), 1, (1997).

La invención suministra compuestos, composiciones, kits, y métodos para inhibir la actividad de la enzima beta-secretasa y la producción de péptido beta A. La inhibición de la actividad de la enzima beta-secretasa detiene o reduce la producción de beta A proveniente de APP y reduce o elimina la formación de depósitos beta-amiloides en el cerebro.

Métodos de la Invención

Los compuestos de la invención y las sales farmacéuticamente aceptables de ésta, son útiles para tratar humanos o animales que sufren de una condición caracterizada por una forma patológica de péptido beta-amiloide tal como las placas beta-amiloides, y para ayudar a prevenir o retrasar el ataque de tal condición.

Como se utiliza aquí, el término "tratar" significa que los compuestos de la invención se pueden utilizar en humanos con al menos un diagnóstico tentativo de enfermedad. Los

compuestos de la invención demorarán o harán más lenta la progresión de la enfermedad dando de esta manera al individuo un espectro de vida más útil.

El término "prevenir" significa que los compuestos de la invención son útiles cuando se administran a un paciente que no ha sido diagnosticado por tener posiblemente la enfermedad en el momento de la administración, pero que se esperaría normalmente que desarrollara la enfermedad o que tuviera un riesgo creciente de la enfermedad. Los compuestos de la invención harán más lento el desarrollo de los síntomas de la enfermedad, demorarán el ataque de la enfermedad, o prevendrán al individuo de desarrollar la enfermedad. Prevenir también incluye la administración de los compuestos de la invención a aquellos individuos que se crean están predispuestos a la enfermedad debido a la edad, historia familiar, anormalidades genéticas o cromosomales, y/o debido a la presencia de uno o más marcadores biológicos para la enfermedad, tales como una mutación genética conocida de APP o de productos de clivage de APP en los tejidos o fluikdos del cerebro.

Para tratar o prevenir las anteriores enfermedades, los compuestos de la invención son administrados en una cantidad terapéuticamente efectiva. La cantidad terapéuticamente efectiva variará dependiendo del compuesto particular utilizado y la ruta de administración, como es conocido por aquellos expertos en la técnica.

Para tratar un paciente que muestra cualquiera de las condiciones anteriormente diagnosticadas un médico puede administrar un compuesto de la invención inmediatamente y continúa la administración indefinidamente, según se necesite. Para tratar los pacientes que no son diagnosticados por tener enfermedad de Alzheimer, pero que se cree que están en riesgo sustancial de la enfermedad de Alzheimer, el médico debe preferiblemente iniciar el tratamiento cuando el paciente experimente primero los síntomas tempranos pre-Alzheimer tales como, problemas de memoria o cognitivos asociados con la edad. Además, existen algunos pacientes que se les puede determinar el riesgo de desarrollar Alzheimer a través de la detección de un marcador genético tal como el APOE4 u otros indicadores biológicos que son predictivos de 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 pueden iniciar antes que aparezcan los síntomas, y el tratamiento puede continuar indefinidamente o prevenir o demorar el ataque de la enfermedad.

Formas y Cantidades de Dosis

Los compuestos de la invención se administrar oralmente, parenteralmente, (IV, IM, depo-IM, SQ, y depo SQ), sublingualmente, intranasalmente (inhalación), intratecalmente, tópicamente, o rectalmente. Las formas de

dosis conocidas por aquellos expertos en la técnica son adecuadas para suministrar los compuestos de la invención.

Las composiciones son suministradas de tal manera que contienen cantidades terapéuticamente efectivas de los compuestos de la invención. Los compuestos son preferiblemente formulados en preparaciones farmacéuticas adecuadas tales como tabletas, cápsulas, o elixires para administración oral o en soluciones o suspensiones estériles para administración parenteral. Típicamente los compuestos descritos anteriormente son formulados en composiciones farmacéuticas que utilizan técnicas y procedimientos bien conocidos en el arte.

Aproximadamente 1 a 500 mg de un compuesto o mezcla de los compuestos de la invención o una sal fisiológicamente aceptable o un éster es compuesto con un vehículo fisiológicamente aceptable, portador, excipiente, ligador, preservativo, estabilizador, sabor, etc., en una forma de dosis unitaria como se llama en la práctica farmacéutica aceptada. La cantidad de sustancia activa en aquellas composiciones o preparaciones es tal que la dosis adecuada en el rango indicado se obtiene. Las composiciones son preferiblemente formuladas en una forma de dosis unitaria, cada una de las dosis contiene de aproximadamente 2 a aproximadamente 100 mg, más preferiblemente aproximadamente 10 a aproximadamente 30 mg del ingrediente activo. El término "forma de dosis unitaria" 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 las composiciones, uno o más compuestos de la inveción son mezclados con un portador farmacéuticamente aceptable adecuado. Luego de mezclar o adicionar los compuestos, la mezcla resultante puede ser una solución, suspensión, emulsión, o similar. Las suspensiones liposomales también pueden ser adecuadas como portadores farmacéuticamente aceptables.Estos se pueden preparar de acuerdo con los métodos conocidos por aquellos expertos en la técnica. La forma de mezcla resultante depende de un número de factores, que incluyen el modo pretendido de administración y la solubilidad del compuesto en el portador seleccionado o vehículo. La concentración efectiva es suficiente para disminuir o mejorar al menos un síntoma de la enfermedad, desorden, o condición tratada y puede ser determinada empíricamente.

Los portadores o vehículos farmacéuticos adecuados para la administración de los compuestos suministrados aquí incluyen cualquiera de tgales portadores conocidos por aquellos expertos en la técnica para ser adecuados para el modo particular de administración. Además, los materiales activos también se pueden mezclar con otros materiales

activos que no dañan la acción deseada, o con otros materiales que suplementan la acción deseada, o tienen otra acción. Los compuestos se pueden formular como el ingrediente farmacéuticamente activo solo en la composición o se puede combinar con otros ingredientes activos.

Donde el compuesto exhibe insuficiente solubilidad, los métodos para solubilizar se pueden utilizar. Tales métodos son conocidos e incluyen, pero no están limitados a, utilizar co-disolventes tales como dimetilsulfóxido (DMSO), utilizar tensoactivos tales como Tween®, y la disolución en bicarbonato de sodio acuoso. Los derivados de los compuestos, tales como sales o prodrogas también se pueden utilizar para formular composiciones farmacéuticas efectivas.

La concentración del compuesto es efectiva para suministrar una cantidad luego de administración que disminuye o mejora al menos un síntoma del desorden para el cual el compuesto es administrado. Típicamente, las composiciones son formuladas para administración de dosis simple.

Los compuestos de la invención pueden ser preparados con portadores que los protegen contra la eliminación rápida del cuerpo, tal como las formulaciones de liberación en el tiempo o los recubrimientos. Tales portadores incluyen formulaciones de liberación controlada, tales como, pero no limitadas a, sistemas de suministro microencapsulado. El compuesto activo

está incluido en el portador farmacéuticamente 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 modelo in vivo o in vitro conocidos para el desorden tratado.

Los compuestos y composiciones de la invención se pueden incluir en recipientes de dosis múltiples o simples. Los compuestos y composiciones incluidas se pueden suministrar 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 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. Los recipientes están preferiblemente adaptados para el modo deseado de administración, que incluye, pero no está limitado a tabletas, cápsulas de gel, cápsulas de liberación sostenida, y similares para administración oral; productos de depósito, jeringas pre-llenadas, ampollas, frascos, y similares para administración parenteral; y

parches, medialmohadillas, cremas, y similares para administración tópica.

La concentración del compuesto activo en la composición de droga dependerá de la absorción, inactivación, y proporciones 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 dosis más pequeñas para ser administradas en intervalos de tiempo. Se entiende que la dosis y la duración precisa del tratamiento es una función de la enfermedad que es tratada y puede ser determinada empíricamente utilizando protocolos de ensayo conocidos o mediante la extrapolación de datos de ensayo *in vivo* o *in vitro*. Se debe notar que los valores concentraciones y dosis también pueden variar con la severidad de la condición a ser aliviada. Se debe entender adicionalmente que para cualquier sujeto particular, los regímenes de dosis específica se deben ajustar durante el tiempo de acuerdo con la necesidad individual y el juicio profesional de la persona que administra o supervisa la administración de las composiciones, y que los rangos de concentración establecidos aquí son solo ejemplos y no pretenden limitar el alcance o la práctica de las composiciones reivindicadas.

Si se desea la administración oral, el compuesto se debe suministrar en una composición que lo proteja del ambiente ácido del estómago. Por ejemplo, la composición se puede formular en un recubrimiento entérico que mantenga su integridad en el estómago y libere el compuesto activo en el intestino. La composición también se puede formular en combinación con un antiácido u otro de tal ingrediente.

Las composiciones orales incluirán generalmente un diluyente inerte o un portador comestible y pueden ser comprimidas en tabletas o incluidas en capsulas de gelatina. Para el propósito de la administración terapéutica oral, el compuesto o compuestos activos se pueden incorporar con excipientes y utilizar en la forma de tabletas, cápsulas, grágeas. Los agentes de unión farmacéuticamente compatibles y los materiales adyuvantes se pueden incluir como parte de la composición.

Las tabletas, píldoras, cápsulas, grágeas y similares pueden contener cualquiera de los siguientes ingredientes o compuestos de naturaleza similar: un ligador tal como, pero no limitado a, goma de tragacanto, acacia, almidón de maíz, o gelatina; un excipiente tal como una celulosa microcristalina, almidón, o lactosa; un agente desintegrante tal como, pero no limitado a, ácido algínico y almidón de maíz; un lubricante tal como, pero no limitado a, estearato de magnesio; un deslilzante tal como, pero no limitado a, dióxido de silicio coloidal; un agente endulzante tal como

sucrosa o sacarina; y un agente saborizante tal como menta, metil salicilato, o un saborizante de fruta.

Cuando la forma de dosis unitaria es una cápsula, este puede contener, además del material del tipo anterior un portador líquido tal como un aceite graso. Además, las formas de dosis unitarias pueden contener varios otros materiales, que modifican la forma física de la unidad de dosis, por ejemplo, recubrimientos de azúcar y otros agentes entéricos. Los compuestos también se pueden administrar como un componente de un elixir, suspensión, melasa, galleta, goma de mascar o similar. Una melasa puede contener, además de los compuestos activos, sucrosa como un agente endulzante y ciertos preservativos, tintes y colorantes, y sabores.

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, intradérmica, subcutánea, o tópica pueden incluir cualquiera de los siguientes componentes: un diluyente esteril tal como agua para inyección, solución salina, aceite fijado, un aceite vegetal de ocurrencia natural tal como aceite de sésamo, aceite de coco, aceite ce maní, aceite de semilla de algodón, y similares, o un vehículo sintético graso tal como etil oleato, y similares, polietilen glicol, glicerina, propilen glicol, u otro disolvente sintético; los

agentes antimicrobiales tales como el benzil alcohol y el metil parabeno; los antioxidantes tales como el ácido ascórbico y el bisulfito de sodio; agentes quelantes tales como ácido etilenodiaminotetraacético (EDTA); amortiguadores tales como acetatos, citratos, y fosfatos; y agentes para el ajuste de tonicidad tal como cloruro de sodio y dextrosa. Las preparaciones parenterales se pueden incluir en ampollas, jeringas desechables, o frascos de dosis múltiples hechos de vidrio, plástico, u otros materiales adecuados. Los amortiguadores, preservantes, antioxidantes, y similares se pueden incorporar según se requiera.

Cuando se administran 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, polipropilenglicol, y mezclas de estos. Las suspensiones liposomales que incluyen liposomas con objetivo de tejido también pueden ser adecuadas como portadores farmacéuticamente aceptables. Ellos 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 la eliminación rápida del cuerpo, tal como las formulaciones de liberación en el tiempo o recubrimientos. Tales portadores incluyen formulaciones de liberación controlada, tales como, pero no limitadas a,

implantes y sistemas de suministro microencapsulado, y polímeros biodegradables, biocompatibles tales como colágeno, etileno vinil acetato, polianhídridos, ácido poliglicólico, poliortoésteres, ácido poliláctico, y similares. Los métodos para la 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, o rectalmente. Las formas de dosis conocidas por aquellos expertos en la técnica son adecuadas para el suministro de los compuestos de la invención.

Los compuestos de la invención se pueden administrar entérica o parenteralmente. Cuando se administran oralmente, los compuestos de la invención se pueden administrar en formas de dosis usual para la administración oral como es bien conocido por aquellos expertos en la técnica. Estas formas de dosis incluyen las formas de dosis unitarias sólidas usuales de tabletas y cápsulas así como también formas de dosis líquida tales como soluciones, suspensiones, y elíxires. Cuando las formas de dosis sólidas son utilizadas, se prefiere que ellas sean del tipo de liberación sostenida de tal forma que los compuestos de la invención requieran ser administrados solamente una o dos veces diarias.

Las formas de dosis oral son administradas al paciente 1, 2, 3, o 4 veces diariamente. Se prefiere que los compuestos de la invención sean administrados tres o más pocas veces, más preferiblemente una o dos veces diariamente. De esta manera, se prefiere que los compuestos de la invención sean administrados en forma de dosis oral. Se prefiere que cualquier forma de dosis oral que se utilice, que sea diseñada con el fin de proteger los compuestos de la invención del ambiente ácido del estómago. Las tabletas de recubrimiento entérico son bien conocidas por aquellos expertos en la técnica. Además, las cápsulas llenas con pequeñas esferas cada una recubierta para protección del estómago ácido, son también 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 una producción de beta A, para inhibir la deposición de beta, o para tratar o para prevenir AD es de aproximadamente 0,1 mg/día a aproximadamente 1000 mg/día. Se prefiere que la dosis oral sea de aproximadamente 1 mg/día a aproximadamente 100 mg/día. Se prefiere más que la dosis oral sea de aproximadamente 5 mg/día a aproximadamente 50 mg/día. Se entiende que aunque un paciente puede ser iniciado en una dosis, esa dosis se puede variar durante el tiempo en la medida en que la condición del paciente cambia.

Los compuestos de la invención también pueden ser ventajosamente suministrados en una formulación de dispersión nanocritalina. La preparación de tales formulaciones se describe, por ejemplo, en la Patente Estadounidense 5,145,684. Las dispersiones nano cristalinas de los inhibidores de HIV proteasa y sus métodos de uso son descritos en la Patente Estadounidense 6,045,829. Las formulaciones nano cristalinas típicamente logran mayor biodisponibilidad de los compuestos de la droga.

Los compuestosde 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 debe ser suministrada. Cuando una formulación de depósito se utiliza para inyección una vez al mes o una vez cada dos semanas, la dosis debe ser aproximadamente 0,5 mg/día a aproximadamente 50 mg/día, o una dosis mensual de aproximadamente 15 mg a aproximadamente 1500 mg. En parte debido al olvido de los pacientes con la enfermedad de Alzheimer. Se prefiere que la forma de dosis parenteral sea una formulación depo.

Los compuestos de la invención se pueden administrar sublilngualmente. Cuando se dan sublingualmente, los

compuestos de la invención deben ser dados una a cuatro veces diariamente en las cantidades descritas anteriormente para la 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 la administración IM.

Los compuestos de la invención se pueden administrar intratecalmente. Cuando se dan por medio de esta ruta la forma de dosis apropiada debe ser una forma de dosis parenteral como es conocido por aquellos expertos en la técnica. La dosis de los compuestos de la invención para administración intratecal es la cantidad descrita anteriormente 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 de la cantidad de los compuestos de la invención a ser administrados se prefiere el parche. Cuando se administra tópicamente, la dosis va de 0,5 mg/día a aproximadamente 200 mg/día. En razón a que la cantidad que se puede suministrar por el parche es limitada, se pueden utilizar 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 la invención sea suministrada 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 administra mediante supositorio, la cantidad terapéuticamente efectiva es de aproximadamente 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 anteriormente para administración de depósito.

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 son utilizados de la misma manera, por las mismas rutas de administración, utilizando las mismas formas de dosis farmacéuticas, y en el mismo programa de dosificación como se describió anteriormente, para prevenir la enfermedad o para tratar pacientes con MCI (daño cognitivo medio) y prevenir o retrasar el ataque de la enfermedad de Alzheimer en aquellos

a quienes le progresaría de MCI a AD, para tratar o prevenir el síndrome de Down, para tratar humanos que tengan Hemorragia Cerebral Hereditaria con Amiloidosis del Tipo Dutch, para tratar angiopatía amiloide cerebral y prevenir sus consecuencias potenciales, es decir, hemorragias lobares recurrentes, para tratar otras demencias degenerativas, incluyendo demencias de origen vascular y degenerativa mezcladas, demencia asociada con enfermedad de Parkinson, demencia asociada con parálisis supranuclear progresiva, demencia asociada con degeneración basal cortical, y tipo de cuerpo Lewy difuso de la enfermedad de Alzheimer.

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 prevenir la condicón lilstada anteriormente. Tales agentes o aproximaciones incluyen: inhibidores de acetilcolina esterasa tales como tacrina (tetrahidroaminoacridina, comercializada como COGNEX®), clorhidrato de donepezil, (comercializado como Aricept® y rivastigmine (comercializado como Exelon®); inhibidores de gama-secretasa; agentes anti-inflamatorios tales como inhibidores de ciclooxigenasa II; anto-oxidantes tales como la vitamina E y gincólidos; aproximaciones inmunológicas, tales como, por ejemplo, inmunización con un péptido beta A o administración de anticuerpos de péptido beta anti-A; estatina, y agentes neurotrópicos directos o indirectos tales como Cerebrolysin®, AIT-082 (Emilieu, 2000,

Arch. Neurol. 57: 454), y otros agentes neurotrópicos del futuro.

Además, los compuestos de la fórmula (AA), (I) o (X) también se pueden utilizar con inhibidores de P-glicoproteína (P-gp). Los inhibidores P-gp y el uso de tales compuestos son conocidos por aquellos expertos en la técnica. Ver por ejemplo, *Cancer Research*, 53, 4595-4602 (1993), *Clin. Cancer. Res.*, 2, 7-12 (1996), *Cancer Research*, 56, 4171-4179 (1996), International Publications WO99/64001 y WO01/10387. El asunto importante es que el nivel sanguíneo del inhibidor P-gp sea tal que este ejerza su efecto para inhibir el P-gp al disminuir los niveles sanguíneos del cerebro de los compuestos de fórmula (A). Para este fin el inhibidor P-gp y los compuestos de fórmula (A) se pueden administrar al mismo tiempo, mediante la misma o diferente ruta de administración o a diferentes momentos. El asunto importante no es el tiempo de administración sino tener un nivel sanguíneo efectivo del inhibidor P-gp.

Los inhibidores P-gp adecuados incluyen ciclosporina A, verapamilo, tamoxifeno, quinidina, Vitamina E-TGPS, ritonavir, acetato de megestrol, progesterona, rapamicina, 10,11-metanodibenzosuberano, fenitiazinas, derivados de acrinidina tales como GF120918, FK506, VX-710, LY335979, PSC-833, GF-102,918 y otros esteroides. Se debe entender que se han encontrado agentes adicionales que tengan la misma

función y por lo tanto logren el mismo resultado; tales compuestos son también considerados como útiles.

Los inhibidores P-gp se pueden administrar oralmente, parenteralmente, (IV, IM, IM-depo, SQ, Sq-depo), tópicamente, sublingualmente, rectalmente, intranasalmente, intratecalmente y mediante implante.

La cantidad terapéuticamente efectiva de los inhibidores P-gp va desde aproximadamente 0,1 a aproximadamente 300 mg/kg/día, preferiblemente aproximadamente 0,1 a aproximadamente 150 mg/kg diariamente. Se entiende que aunque el paciente puede ser iniciado en una dosis, esa dosis puede tener que ser variada durante el tiempo en la medida en que cambia la condición del paciente.

Cuando se administran oralmente, los inhibidores P-gp pueden ser administrados en formas de dosis usuales para la administración oral como es conocido por aquellos expertos en la técnica. Estas formas de dosis incluyen las formas de dosis unitaria sólida usual de tabletas y cápsulas así como también las formas de dosis líquida tales como soluciones, suspensiones y elíxires. Cuando las formas de dosis sólidas son utilizadas, se prefiere que ellas sean del tipo de liberación sostenida de tal forma que los inhibidores P-gp necesiten ser administrados solamente una vez o dos veces diariamente. Las formas de dosis orales son administradas al paciente una a cuatro veces diariamente. Se prefiere que los

inhibidores P-gp sean administrados tres o menos veces al día, más preferiblemente una vez o dos veces diariamente. De esta manera, se prefiere que los inhibidores P-gp sean administrados en forma de dosis sólida y además se prefiere que la forma de dosis sólida sea una forma de liberación sostenida que permita la dosificación una o dos veces diariamente. Se prefiere que cualquier forma de dosis sea utilizada, que esta sea diseñada con el fin de proteger los inhibidores P-gp del ambiente ácido del estómago. Las tabletas recubiertas entéricas son bien conocidas por aquellos expertos en la técnica. Además, las cápsulas llenadas con pequeñas esferas cada una recubierta para protegerse del estómago ácido son también bien conocidas por aquellos expertos en la técnica.

Además, los inhibidores P-gp pueden ser administrados parenteralmente. Cuando se administra parenteralmente ellos pueden ser administrados IV, IM, depo-IM, SQ o depo-SQ.

Los inhibidores P-gp pueden ser dados sublingualmente. Cuando son dados sublingualmente, los inhibidores P-gp deben ser dados una a cuatro veces diariamente en la misma cantidad como para la administración IM.

Los inhibidores P-gp pueden ser dados intranasalmente. Cuando se dan por esta ruta de administración, las formas de dosis apropiadas son un rociado nasal o un polvo seco como es conocido por aquellos expertos en la técnica. Las dosis de

los inhibidores P-gp para administración intranasal es la misma que para la administracón IM.

Los inhibidores P-gp pueden ser dados intratecalmente. Cuando son dados por esta ruta de administración la forma de dosis apropiada puede ser en forma de dosis parenteral como es conocida por aquellos expertos en la técnica.

Los inhibidores P-gp pueden ser dados tópicamente. Cuando se dan por esta ruta de administración, la forma de dosis apropiada es una crema, ungüento o parche. En razón a la cantidad de los inhibidores P-gp necesarios para ser administrados se prefiere el parche. Sin embargo, la cantidad que se puede suministrar mediante el parche es limitada. Por lo tanto, se requieren dos o más parches. El número y tamaño del parche no es importante, lo que es importante es que una cantidad terapéuticamente efectiva de los inhibidores P-gp sea suministrada como es conocido por aquellos expertos en la técnica.

Los inhibidores P-gp pueden ser administrados rectalmente mediante supositorio como es conocido por aquellos expertos en la técnica.

Los inhibidores P-gp pueden ser administrados mediante implantes como es conocido por aquellos expertos en la técnica.

No hay nada novedoso acerca de la ruta de administración ni de las formas de dosis para la administración de los inhibidores P-gp. Dado un inhibidor P-gp particular, y una forma de dosis deseada, un experto en la técnica sabría como preparar la forma de dosis apropiada para el inhibidor P-gp.

Debe ser evidente para un experto en la técnica que la dosis exacta y la frecuencia de administración dependerá de los compuestos particulares de la invención administrados, la condición particular que es tratada, la severidad de la condición que es tratada, la edad, peso, condición física general del paciente particular, y otra medicación que el individuo pueda estar tomando como es bien conocido por los médicos administrantes quienes son expertos en esta técnica.

Inhibición del Clivage APP

Los compuestos de la invención inhiben el clivage del APP entre Met595 y el Asp596 numerado para la isoforma APP695, o un mutante de éste, o en un sitio correspondiente de una isoforma diferente, tal como el APP751 o el APP770, o un mutante de éste (algunas veces denominado como el "sitio de beta secretasa"). Aunque no se desea estar ligado por ninguna teoría particular, la inhibición de la actividad de la beta-secretasa es considerada que inhibe la producción del péptido beta-amiloide (beta A). La actividad inhibitoria se demuestra en una variedad de ensayos de inhibición, por medio del cual el clivage de un sustrato APP en la presencia de una

enzima beta-secretasa es analizado en la presencia del compuesto inhibitorio, bajo condiciones normalmente suficientes para dar como resultado el clivage en el sitio de clivage de beta-secretasa. La reducción del clivage APP en el sitio de clivage beta-secretasa comparado con un control no tratado o inactivo está correlacionada con la actividad inhibitoria. Los sistemas de ensayo que se pueden utilizar para demostrar la eficacia de los inhibidores del compuesto de la invención son conocidos. Los sistemas de ensayo representativos son descritos, por ejemplo, en las Patentes Estadounidenses Nos. 5,942,400, 5,744,346, así como también los ejemplos de adelante.

La actividad enzimática de la beta-secretasa y laproducción de la beta A se pueden analizar *in vitro* o *in vivo*, utilizando sustratos APP naturales, mutados, y/o sintéticos, enzimas naturales, mutadas, y/o sintéticas, y el compuesto del ensayo. El análisis puede involucrar células primarias o secundarias que expresan APP y enzima nativa, mutante, y/o sintética, modelos animales que expresan APP y enzima nativa, o pueden utilizar modelos de animales transgénicos que expresan el sustrato y la enzima. La detección de la actividad enzimática puede ser mediante el análisis de uno o más productos de clivage, por ejemplo, mediante inmunoensayo, ensayo fluorométrico o cromogénico, HPLC, u otros medios de detección. Los compuestos inhibitorios son determinados como aquellos que tienen la capacidad de disminuir la cantidad de producto de clivage de

beta-secretasa producido en comparación con un control, donde el clivage mediado por beta-secretasa en el sistema de reacción se observa y se mide en la ausencia de compuestos inhibitorios.

Beta-Secretasa

Varias formas de enzima beta-secretasa son conocidas, y están disponibles y útiles para ensayos de actividad de enzima e inhibición de la actividad de enzima. Estos incluyen formas de la enzima nativa, recombinante, y sintética. La beta-secretasa humana es conocida como Enzima de Clivage APP de Sitio Beta (BACE), Asp2, y memapsin 2, y ha sido caracterizada, por ejemplo, en la Patente Estadounidense No. 5,744,346 y las solicitudes de patente PCT publicadas WO98/22597, WO00/03819, WO01/23533, y WO00/17369, así como también en las publicaciones de la literatura (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; y Lin et al., 2000, *PNAS USA* 97: 1456-1460). Las formas sintéticas de la enzima también han sido descritas (WO98/22597y WO00/17369). La beta-secretasa puede ser extraida y purificada del tejido de cerebro humano y se puede producir en células, por ejemplo células de mamífero que expresan la enzima recombinante.

Los compuestos predispuestos preferidos son efectivos para inhibir aproximadamente el 50% de la actividad enzimática de la beta-secretasa a una concentración de menos 50 micromolar, preferiblemente a una concentración de 10 micromolar o menos, más preferiblemente 1 micromolar o menos, y más preferiblemente 10 micromolar o menos.

Sustrato APP

Ensayos que demuestran la inhibición del clivage mediado por secretasa del APP puede utilizar cualquiera de las formas conocidas de APP incluyendo el isótopo "normal" de aminoácido 695 descrito por Kang et al., 1987, *Nature* 325: 733-6, el isotipo del aminoácido 770 descrito en Kitaguchi et al., 1981, *Nature* 331: 530-532, y variantes tales como la Mutación Sueca (KM670-1NL) (APP-SW), la Mutación de Londres (V7176F), y otras. Ver, por ejemplo, la pAtente Estadounidense No. 5,766,846 y también Hardy, 1992, *Nature Genet.*, 1: 233-234, para una revisión de las mutaciones de variante conocidas. Los sustratos útiles adicionales incluyen la modificación de aminoácido dibásico, APP-KK descrita, por ejemplo, en la WO00/17369, fragmentos de APP, y péptidos sintéticos que contienen el sitio de clivage de beta-secretasa, tipo silvestre (WT) o la forma mutada, por ejemplo, SW, como se describió, por ejemplo, en la Patente Estadounidense No. 5,942,400 y WO00/03819.

El sustrato APP contiene el sitio de clivage de la beta-secretasa del 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 el sitio de clivage de la beta-secretasa fusionado con un péptido que tiene una porción útil para ensayo enzimático, por ejemplo, que tiene aislamiento y/o propiedades de detección. Una porción útil puede ser un epitopo antigénico para unión anticuerpo, una etiqueta u otra porción de detección, un sustrato de unión, y similares.

Anticuerpos

Las características de los productos del clivage APP pueden ser medidas mediante inmunoensayo utilizando varios anticuerpos, como se describió, por ejemplo, en Pirttila et al., 1999, *Neuro. Lett.* 249: 21-4, y en la Patente Estadounidense No. 5,612,486. Los anticuerpos útiles para detectar la beta A incluyen, por ejemplo, el anticuerpo monoclonal 6E10 (Senetek, St. Louis, MO) que reconocen específicamente un apitopo sobre los aminoácidos 1-16 del péptido beta A; los anticuerpos 162 y 164 (New Cork State Institute for Basic Research, Staten Island, NY) que son específicos para beta A de humano 1-40 y 1-42, respectivamente; los anticuerpos que reconocen la región de unión del péptido beta-amiloide, el sitio entre los residuos 16 y 17, como se describió en la Patente Estadounidense No. 5,593,846. Los anticuerpos surgidos contra un péptido

sintético de residuo 591 a 596 del APP y el anticuerpo SW192 surgido contra el 590-596 de la Mutación Sueca también son útiles en el inmunoensayo del APP y sus productos de clivage, como se describió en la Patente Estadounidense No. 5,604,102 y 5,721,1310.

Sistemas de Ensayo

Los ensayos para determinar el clivage del APP en el sitio de clivage de la beta-secretasa son bien conocidos en la técnica. Los ensayos de ejemplo, son descritos, por ejemplo, en la Patente Estadounidense No. 5,744, 346 y 5,942,400, y se describen en los ejemplos que siguen.

Ensayos Libres de Célula

Los ensayos de ejemplo que pueden ser utilizados para demostrar la actividad inhibitoria de los compuestos de la invención son descritos, por ejemplo, en la WO00/17369, WO00/03819, y las Patentes Estadounidenses 5,942,400 y 5,744,346. Tales ensayos pueden ser desarrollados en incubaciones libres de célula o en incubaciones celulares que utilizan células que expresan una beta-secretasa y un sustrato APP que tiene un sitio de clivage de la beta-secretasa.

Un sustrato APP que contiene el sitio de clivage de la beta-secretasa del APP, por ejemplo, un APP completo o una

variante, un fragmento 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 la enzima beta-secretasa, un fragmento de ésta, o una variante de polipéptido sintético o recombinante que tiene la actividad beta-secretasa y efectiva para clivar el sitio de clivage de la beta-secretasa del APP, bajo condiciones de incubación adecuadas para la actividad de clivage de la enzima. Los sustratos adecuados opcionalmente incluyen derivados que pueden ser proteínas o péptidos de fusión que contienen el péptido del sustrato y una modificación útil para facilitar la purificación o detección del péptido o sus productos de clivage de beta-secretasa. Las modificaciones útiles incluyen la inserción de un epitopo antigénico conocido por unión de anticuerpo, el enlace de un marcador o una porción detectable, el enlace de un sustrato de unión, y similar.

Las condiciones de incubación adecuadas para un ensayo *in vitro* libre de célula incluye, por ejemplo: aproximadamente 200 nanomolar a 10 micromolar de sustrato, aproximadamente 10 a 200 picomolar de enzima, y aproximadamente 0,1 nanomolar a 10 micromolar de compuesto inhibidor, en solución acuosa, en un pH aproximado de 4-7, a aproximadamente 37° C, durante un periodo de aproximadamente 10 minutos a 3 horas. Estas condiciones de incubación son solamente de ejemplo, y pueden ser variadas según se requiera para los componentes de ensayo particular y/o el sistema de medición deseado. La optimización de las condiciones de

incubación para los componentes de ensayo particular deben contar para la enzima beta-secretasa específica utilizada y su óptimo pH, cualquier enzima adicional y/o marcadores que podrían ser utilizados en le ensayo, y similares. Tal optimización es de rutina y no requerirá experimentación indebida.

Un ensayo útil utiliza un péptido de fusión que tiene proteína que se une a la maltosa (MBP) fusionada al C-terminal de 125 aminoácidos del APP-SW. La porción MBP es capturada sobre un sustrato de ensayo mediante un anticuerpo de captura anti-MBP. La incubación de la proteína de fusión capturada en la presencia de beta-secretasa da como resultado el clivage del sustrato en el sitio de clivage de beta-secretasa. El análisis de la actividad de clivage puede ser, por ejemplo, mediante inmunoensayo de los productos de clivage. Uno de tales inmunoensayos detecta un epitopo único expuesto en el terminal carboxi de la proteína de fusión clivada, por ejemplo, utilizando un anticuerpo SW192. Este ensayo se describe, por ejemplo, en la Patente Estadounidense No. 5,942,400.

Ensayo Celular

Numerosos ensayos basados en célula pueden ser utilizados para analizar la actividad beta-secretasa y/o procesar el APP para liberar beta A. El contacto de un sustrato APP con la enzima beta-secretasa dentro de la célula

y la presencia o la ausencia de un compuesto inhibidor de la invención puede ser utilizado para demostrar lña actividad inhibitoria de la 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, comparado con el control no-inhibido.

En una modalidad, las células que expresan naturalmente beta-secretasa son utilizadas. Alternativamente, las células son modificadas para expresar una beta-secretasa recombinante o una enzima variante sintética como se discutió anteriormente. El sustrato APP puede ser agregado al medio de cultivo y es preferiblemente expresado en las células. Las células que expresan naturalmente APP, formas variantes o mutantes de APP, o células transformadas para expresar una isoforma de APP, APP mutante o variante, APP recombinante o sintético, fragmento de APP, o péptido de APP sintético o proteína de fusión que contiene el sitio de clivage del APP de beta-secretasa puede ser utilizado, siempre y cuando al APP expresado se le permita contactar la enzima y la actividad de clivage enzimática pueda ser analizada.

Las líneas celulares humanas que normalmente procesan la beta A del APP suministran unos medios útiles para ensayar las actividades inhibitorias de los compuestos de la invención. La producción y la liberación del beta A y/o otros

productos de clivage en el medio de cultivo pueden ser medidos, por ejemplo mediante inmunoensayo, tal como el Western blot o el inmunoensayo ligado a enzima (EIA) tal como el ELISA.

Las células que expresan un sustrato APP y una beta-secretasa activa pueden ser incubadas en la presencia de un inhibidor de compuesto para demostrar la inhibición de la actividad enzimática comparada con el control. La actividad de la beta-secretasa puede ser medida mediante análisis de uno o más productos de clivage del sustrato APP. Por ejemplo, la inhibición de la actividad beta-secretasa contra el sustrato APP se esperaría que disminuyera la liberación de beta-secretasa específica inducida por los productos de clivage APP tales como el beta A.

Aunque tanto los niveles de proceso y liberación de células neurales y no neurales de la actividad de beta-secretasa endógena son bajos y a menudo difíciles de detectar mediante EIA. El uso de los tipos de célula conocidos por tener actividad de beta-secretasa mejorada, procesamiento mejorado de APP a beta A y/o producción mejorada de beta A y por lo tanto preferida. Por ejemplo, la transfección de células con la forma Mutante Sueca del APP (APP-SW); con el APP-KK; o con el APP-SW-KK suministran células que tienen actividad beta-secretasa mejorada y que producen cantidades de beta A que pueden ser fácilmente medidas.

En tales ensayos, por ejemplo, las células que expresan APP y beta-secretasa son incubadas en un medio de cultivo bajo condiciones adecuadas para la actividad enzimática beta-secretasa en su sitio de clivage sobre el sustrato APP. A la exposición de las células al inhibidor del compuesto, la cantidad de beta A liberada en el medio y/o la cantidad de fragmentos CTF99 del APP en los lisados de célula es reducida comparada con el control. Los productos de clivage del APP pueden ser analizados, por ejemplo, mediante reacciones inmunes con anticuerpos específicos, como se discutió anteriormente.

Las células preferidas para el análisis de la actividad de beta-secretasa incluyen células neurales 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 el APP, por ejemplo, el APP-SW.

Ensayos *in vivo*: Modelos Animales

Varios modelos animales pueden ser utilizados para analizar la actividad de beta-secretasa y/o procesar el APP para liberar la beta A, como se describió 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 animales transgénicos han sido

descritos, por ejemplo, en la Patente Estadounidense No.: 5,877,399; 5,612,486; 5,387,742; 5,720,936; 5,850,003; 5,877,015; y 5,811,633, y en Ganes et al., 1995, *Nature* 373: 523. Preferidos son los animales que exhiben características asociadas con la patofisiología del AD. La administración de los inhibidores de compuesto de la invención a los ratones transgénicos descritos aquí suministran un método alternativo para demostrar la actividad inhibitoria de los compuestos. La administración de los compuestos en el portador farmacéuticamente efectivo y por vía de la ruta administrativa que alcanza el tejido objetivo en una cantidad terapéutica apropiada es también preferida.

La inhibición del clivage mediado por beta-secretasa del APP en el sitio de clivage de la beta-secretasa y de una liberación de beta A puede ser analizada en estos animales al medir los fragmentos de clivage en los fluidos de los cuerpos del animal tal como el fluido o tejido cerebral. El análisis de los tejidos cerebrales para los depósitos de beta A o las placas se prefiere.

Al contactar el sustrato APP con una enzima beta-secretasa en la presencia de un compuesto inhibitorio de la invención y bajo condiciones suficientes para permitir el clivage mediado enzimático del APP y/o la liberación de beta A del sustrato, los compuestos de la invención son efectivos para reducir el clivage mediado por beta-secretasa de APP en un sitio de clivage de beta-secretasa y/o efectivo para

reducir las cantidades liberadas de beta A. 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 la deposición de beta A en los tejidos del cerebro del animal, y para reducir el número y/o tamaño de las placas beta amiloide. Donde tal administración es a un sujeto humano, los compuestos son efectivos para inhibir o disminuir la progresión de la enfermedad caracterizada por las cantidades mejoradas de beta A para hacer más lenta la progresión del AD en el, y/o prevenir el ataque o desarrollo del AD en un paciente en riesgo de tal enfermedad.

A menos que se defina de otra manera, todos los términos científicos y técnicos utilizados aquí tienen el mismo significado como se entienden comúnmente por un experto en la técnica al cual esta invención corresponde. Todas las patentes y publicaciones referidas aquí son incorporadas aquí como referencia para todo propósito.

Definiciones

Las definiciones y explicaciones de adelante son para los términos utilizados a lo largo de este documento completo que incluye la especificación y las reivindicaciones.

Se debe notar que, como se utiliza en esta especificación y las reivindicaciones finales, las formas

singulares "un", "una", y "el" incluyen referencias plurales a menos que el contenido claramente dicte otra cosa. Así, por ejemplo, la referencia a una composición que contiene "un compuesto" incluye una mezcla de dos o más compuestos. Se debe también notar que el término "o" es generalmente empleado en el sentido de incluir "y/o" a menos que el contenido claramente dicte otra cosa.

El símbolo "-" en general representa un enlace entre dos átomos en la cadena. Así CH_3-O-CH_2-CH(R_1)-CH_3 representa un compuesto 2-sustituido-1-metoxipropano. Además, el símbolo "-" representa el punto de unión del sustituyente a un compuesto. Así por ejemplo aril(C_1-C_6)Alquil- indica un grupo alquilarilo, tal como benzilo, unido al compuesto de la porción alquilo.

Donde los sustituyentes múltiples son indicados por estar unidos a una estructura, se entiende que los sustituyentes pueden ser iguales o diferentes. Así por ejemplo "R_m opcionalmente sustituido con 1, 2, o 3 grupos R_q" indica que el R_m es sustituido con 1, 2, o 3 grupos R_q donde los grupos R_q pueden iguales o diferentes.

El APP, la proteína precursora amiloide, se define como cualquier polipéptido APP, que incluye variantes APP, mutaciones, e isoformas, por ejemplo, como se describe en la Patente Estadounidense No. 5,766,846.

Beta A, el péptido beta amiloide, se define como cualquier péptido que resulta del clivage mediado por beta-secretasa de APP, que incluye los péptidos de 39, 40, 41, 42, y 43 aminoácidos, que se extienden en el sitio de clivage de la beta-secretasa a los aminoácidos 39, 40, 41, 42, 43.

La beta-secretasa (BACE1, Asp2, Memapsin 2) es una proteasa aspartilo que media el clivage del APP en el borde amino terminal de beta A. La beta-secretasa humana es descrita, por ejemplo, en la WO00/17369.

Farmacéuticamente aceptable se refiere a aquellas propiedades y sustancias que son aceptables para el paciente desde un punto de vista farmacológico/toxicológico y para el químico farmaceuta de fabricación desde el punto de vista físico/químico con relación a la composición, formación, estabililidad y aceptación del paciente.

Una cantidad terapéuticamente efectiva se define como una cantidad efectiva para reducir o disminuir al menos un síntoma de la enfermedad que es tratada para reducir o retrasar el ataque de uno o más marcadores clínicos o síntomas de la enfermedad.

Por "alquilo" y "alquilo C_1-C_6" en la presente invenciones significa grupos alquilo de cadena recta o ramificada que tienen 1-6 átomos de carbono, tales como, metilo, etilo, propilo, isopropilo, n-butilo, sec-butilo,

terc-butilo, pentilo, 2-pentilo, isopentilo, neopentilo, hexilo, 2-hexilo, 3-hexilo, y 3-metilpentilo. Se entiende que en estos casos donde una cadena de alquilo de un sustituyente (por ejemplo de un grupo alquilo, alcoxi o alquenilo) es más corto o más larga de 6 carbonos, se indicará así en el segundo "C" como, por ejemplo, "C_1-C_10" indica un máximo de 10 carbonos.

Por "alcoxi" y " alcoxi C_1-C_6 " en la presente invención significa grupos alquilo de cadena recta o ramificada que tiene 1-6 átomos de carbono, unidos a través de al menos un átomo de oxígeno divalente, tal como, por ejemplo, metoxi, etoxi, propoxi, isopropoxi, n-butoxi, sec-butoxi, terc-butoxi, pentoxi, isopentoxi, neopentoxi, hexoxi, y 3-metilpentoxi.

Por el término "halógeno" en la presente invención se significa flúor, bromo, cloro, y yodo.

"Alquenilo" y "alquenilo C_2-C_6" significan radicales hidrocarburo rectos o ramificados que tienen de 2 a 6 átomos de carbono y de uno a tres enlaces dobles e incluyen, por ejemplo, etenilo, propenilo, 1-but-3-enilo, 1-pent-3-enilo, 1-hex-5-enilo y similares.

"Alquinilo" y "alquinilo C_2-C_6" significan radicales hidrocarburo rectos y ramificados que tienen de 2 a 6 átomos

de carbono y uno o dos triples enlaces e incluyen etinilo, propinilo, butinilo, pentin-2-ilo y similares.

Como se utliza aquí, el término "cicloalquilo" se refiere a radicales carbocíclico saturados que tienen tres a doce átomos de carbono. El cicloalquilo puede ser monocíclico, un sistema fusionado policíclico. Ejemplos de tales radicales incluyen ciclopropilo, ciclobutilo, ciclopentilo, ciclohexilo y cicloheptilo. Los grupos cicloalquilo aquí son no sustituidos o, como se especificó, sustituidos en una o más posiciones sustituibles con varios grupos. Por ejemplo, tales grupos cicloalquilo pueden ser opcionalmente sustituidos con alquilo C_1-C_6, alcoxi C_1-C_6, halógeno, hidroxi, ciano, nitro, amino, mono(C_1-C_6)alquilamino, di(C_1-C_6)alquilamino, alquenilo C_2-C_6, alquinilo C_2-C_6, haloalquilo C_1-C_6, haloalcoxi C_1-C_6, amino(C_1-C_6)alquilo, mono(C_1-C_6)alquilamino (C_1-C_6)alquilo o di (C_1-C_6)alquilamino (C_1-C_6) alquilo.

Por "arilo" se significa un grupo carbocíclico aromático que tiene un anillo simple (por ejemplo fenilo), múltiples anillos (por ejemplo, bifenilo), o múltiples anillos condensados en el cual al menos uno es aromático, (por ejemplo, 1,2,3,4-tetrahidronaftilo, naftilo), el cual es opcionalmente mono-, di-, o trisustituido. Preferiblemente los grupos arilo de la presente invención son fenilo, 1-naftilo, 2-naftilo, indanilo, indenilo, dihidronaftilo, tetralinilo o 6,7,8,9-tetrahidro-5H-benzo[a]cicloheptenilo.

Los grupos arilo aquí son no sustituidos o, como se especificó sustituidos en una o más posiciones sustituibles con varios grupos. Por ejemplo, tales grupos arilo pueden ser opcionalmente sustituidos con, por ejemplo, alquilo C_1-C_6, alcoxi C_1-C_6, halógeno, hidroxi, ciano, nitro, amino, mono (C_1-C_6) alquilamino, di(C_1-C_6) alquilamino, alquenilo C_2-C_6, alquinilo C_2-C_6, haloalquilo C_1-C_6, haloalcoxi C_1-C_6, amino (C_1-C_6) alquilo, mono(C_1-C_6)alquilamino (C_1-C_6) alquilo, di(C_1-C_6) alquilamino (C_1-C_6)alquilo, -COOH, -C(=O)O(alquilo C_1-C_6), -C(=O)NH_2, -C(=O)N(mono- o di-C_1-C_6 alquil), -S(alquilo C_1-C_6), -SO_2(alquilo C_1-C_6), -O-C(=O)(alquilo C_1-C_6), -NH-C(=O)-(alquilo C_1-C_6), -N(alquilo C_1-C_6)-C(=O)-(alquilo C_1-C_6), -NH-SO_2-(alquilo C_1-C_6), -N(alquilo C_1-C_6)-SO_2-(alquilo C_1-C_6), -NH-C(=O)NH_2, -NH-C(=O)N(mono- o di- alquilo C_1-C_6), -NH(alquilo C_1-C_6)-C(=O)-NH_2 o -NH(alquilo C_1-C_6)-C(=O)-N-(mono- o di- alquilo C_1-C_6).

Por "heteroarilo" se significa uno o más sistemas de anillo aromáticos de 5-, 6-, o 7- miembros que incluyen sistemas de anillos fusionados de 9-11 átomos que contienen al menos uno y hasta cuatro heteroátomos seleccionados de nitrógeno, oxígeno, o azufre. Los grupos heteroarilo preferidos de la presente invención incluyen 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, cinnolinilo, 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, piridinil-N-óxido, tetrahidroquinolinilo, dihidroquinolinilo, dihidroquinolinonilo, dihidroisoquinolinonilo, dihidrocoumarinilo, dihidroisocoumarinilo, isoindolinonilo, benzodioxanilo, benzoxazolinonilo, pirrolil N-óxido,, pirimidinil N-óxido, piridazinil N-óxido, pirazinil N-óxido, quinolinil N-óxido, indolil N-óxido, indolinil N-óxido, isoquinolil N-óxido, quinazolinil N-óxido, quinoxalinil N-óxido, ftalazinil N-óxido, imidazolil N-óxido, isoxazolil N-óxido, oxazolil N-óxido, tiazolil N-óxido, indolizinil N-óxido, indazolil N-óxido, benzotiazolyl N-óxido, benzimidazolil N-óxido, pirrolil N-óxido, oxadiazolil N-óxido, tiadiazolil N-óxido, triazolil N-óxido, tetrazolil N-óxido, benzotiopiranil S-óxido, benzotiopiranil S,S-dióxido. Los grupos heteroarilo aquí son no sustituidos o, como se especificó, sustituidos en

una o más posiciones sustituibles con varios grupos. Por ejemplo, tales grupos heteroarilo pueden ser opcionalmente sustituidos con alquilo C_1-C_6, alcoxi C_1-C_6, halógeno, hidroxi, ciano, nitro, amino, mono (C_1-C_6) alquilamino, di (C_1-C_6) alquilamino, alquenilo C_2-C_6, alquinilo C_2-C_6, haloalquilo C_1-C_6, haloalcoxi C_1-C_6, amino(C_1-C_6)alquilo, mono(C_1-C_6)alquilamino (C_1-C_6)alquilo o di(C_1-C_6)alquilamino (C_1-C_6)alquilo, -COOH, -C(=O)O(alquilo C_1-C_6), -C(=O)NH_2, -C(=O)N(mono- o di-C_1-C_6 alquil), -S(alquilo C_1-C_6), -SO_2(alquilo C_1-C_6), -O-C(=O)(alquilo C_1-C_6), -NH-C(=O)-(alquilo C_1-C_6), -N(alquilo C_1-C_6)-C(=O)-(alquilo C_1-C_6), -NH-SO_2-(alquilo C_1-C_6), -N(alquilo C_1-C_6)-SO_2-(alquilo C_1-C_6), -NH-C(=O)NH_2, -NH-C(=O)N(mono- o di- alquilo C_1-C_6), -NH(alquilo C_1-C_6)-C(=O)-NH_2 o -NH(alquilo C_1-C_6)-C(=O)-N-(mono- o di-alquilo C_1-C_6).

Por "heterociclo", "heterocicloalquilo" o "heterociclilo" se significa uno o más sistemas de anillos carbocíclicos de 3-, 4-, 5-, 6-, o 7- miembros que incluyen sistemas de anillo fusionado de 9-11 átomos que contienen al menos uno y hasta cuatro heteroátomos seleccionados de nitrógeno, oxígeno, o azufre. Los heterociclos preferidos de la presente invención incluyen morfolinilo, tiomorfolinilo, tiomorfolinil S-óxido, tiomorfolinil S,S-dióxido, piperazinilo, homopiperazinilo, pirrolidinilo, pirrolinilo, tetrahidropiranilo, piperidinilo, tetrahidrofuranilo, tetrahidrotienilo, homopiperidinilo, homomorfolinilo, homotiomorfolinilo, homotiomorfolinil S,S-dióxido,

oxazolidinonilo, dihidropirazolilo, dihidropirrolilo, dihidropirazinilo, dihidropiridinilo, dihidropirimidinilo, dihidrofurilo, dihidropiranilo, azepanilo, diazepanilo, tetrahidrotienil S-óxido, tetrahidrotienil S,S-dióxido y homotiomorfolinil S-óxido. Los grupos heterociclo aquí pueden ser no sustituidos o, como se especificó, sustituidos en una o más posiciones sustituibles con varios grupos. Por ejemplo, los grupos heterociclo pueden ser opcionalmente sustituidos con alquilo C_1-C_6, alcoxi C_1-C_6, halógeno, hidroxi, ciano, nitro, amino, mono(C_1-C_6)alquilamino, di(C_1-C_6)alquilamino, alquenilo C_2-C_6, alquinilo C_2-C_6, haloalquilo C_1-C_6, haloalcoxi C_1-C_6, amino(C_1-C_6)alquilo, mono(C_1-C_6)alquilamino (C_1-C_6)alquilo, di(C_1-C_6)alquilamino (C_1-C_6)alquilo o =O.

Todas las patenttes y publicaciones referidas aquí son incorporadas aquí como referencia para todos los propósitos.

Las estructuras fueron nombradas utilizando el Software de Nominación Name Pro IUPAC, versión 5.09, disponible de Advanced Chemical Development, Inc., 90 Adelaide Street West, Toronto, Notario, M5H 3V9, Canadá.

La presente invención puede ser mejor entendida con referencia a los siguientes ejemplos. Estos ejemplos pretenden ser representativos de las modalidades específicas de la invención, y no pretenden ser limitantes del alcance de la invención.

EJEMPLOS QUÍMICOS

Los siguientes ejemplos detallados describen como preparar los varios compuestos y/o desarrollar los varios procesos de la invención y deben ser considerados como simplemente ilustrativos, y no como limitaciones de la descripción precedente de ninguna manera. Aquellos expertos en la técnica reconocerán prontamente las variaciones apropiadas a partir de los procedimientos tanto de los reactivos como de las condiciones de reacción y las técnicas.

PREPARACIÓN 1 terc-Butil (1S)-3-bromo-1-(3,5-difluorobenzil)-2-oxopropilcarbamato (III)

N-metil-morfolina (5,83 mL, 53 mmole, 1,05 eq.) es agregado al ácido (2S)-2-[(terc-butoxicarbonil)amino]-3-(3,5-difluorofenil) propanoico (II, 15 g, 50 mmole) en THF (100 ml) y la reacción es enfriada a -78°. Cloroformato de isobutilo (6,87 mL, 53 mmole, 1,05 eq.) es agregado rápidamente. El baño frío es luego removido y la mezcla agitada durante 1 hr. La reacción fue monitoreada mediante TLC para asegurar su completamiento de la reacción y la mezcla es luego filtrada y lavada con THF seco (50 ml) y mantenida fría en el frasco filtrado a -20°.

En un baño de hielo-sal es colocado un cilindro graduado de 500 mL que contiene éter (200 mL) e hidróxido de potasio acuoso (40%, 60 mL). 1-metil-3-nitro-1-nitrosoguanidina (5,6

g, 106 mmole, 2.1 eq.) es agregado lentamente con agitación y la temperatura se mantuvo por debajo de cero grados. La mezcla se volvió amarilla y el burbujeo duró por 10 minutos. La agitación es detenida y sin mezclar las capas, la capa superior de diazometano etereal es transferida con una pipeta de punta no aterrizada en la mezcla anhídrido mezclada agitada a -20°. La reacción es monitoreada mediante TLC (acetato de etilo/hexano, 50/50; R_f = 0,69). Después de 1 hora se hizo burbujear nitrógeno dentro de la mezcla. El disolvente es removido bajo presión reducida (con calor) y la mezcla es particionada entre éter y agua. Las fases son separadas, la fase orgánica es lavada con bicarbonato, salina, secada sobre sulfato de sodio anhidroso, filtrada, y el disolvente removido bajo presión reducida (con calor). El residuo es disuelto en éter (100 mL) y ácido hidrobromoso (48%, 15 mL, 135 mmole, 2,7 Eq,) es agregado a -20°, el baño frío es removido y la mezcla es agitada durante otra media hora. La reacción es monitoreada mediante TLC (acetato de etilo/hexano, 50/50; R_f = 0,88). La mezcla es particionada entre éter y agua, lavada con bicarbonato, salina, secada sobre sulfato de sodio anhidroso, filtrada, y el disolvente removido. El residuo es recristalizado a partir de etanol para dar el compuesto del título, TLC (acetato de etilo/hexano, 50/50) R_f = 0,88; MS (MH^+)= 379,3.

PREPARACIÓN 2 terc-Butil (1S,2S)-3-bromo-1-(3,5-difluorobenzil)-2-hidroxipropilcarbamato (IV)

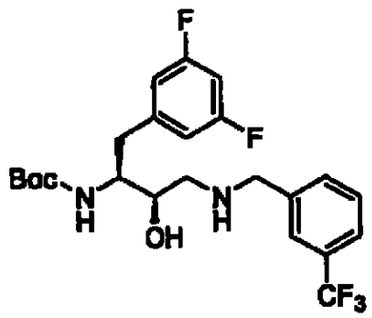
Borohidruro de sodio (1,32 g, 34,9 mmole, 1,1 eq.) es agregado a terc-Butil (1S)-3-bromo-1-(3,5-difluorobenzil)-2-oxopropilcarbamato (III, PREPARACIÓN 1, 12 g, 31,75 mmole) disuelto en alcohol absoluto (500 mL) a -78°. La mezcla de reacción es agitada durante 30 minutos y monitoreada mediante TLC (acetato de etilo/hexano, 20/80; R_f = 0,2). La mezcla es calmada con agua (10 mL) y el disolvente es removido bajo presión reducida con calor (no excediendo 30°) hasta secado. El sólido es particionado entre diclorometano y agua, lavado con salina, secado sobre sulfato de sodio anhidroso. El disolvente es removido bajo presión reducida para dar el compuesto del título, TLC (acetato de etilo/hexano, 20/80) R_f = 0,2; MS (MH^+)= 381,2.

PREPARACIÓN 3 terc-Butil (1S)-2-(3,5-difluorofenil)-1-[(2S)-oxiranil]etilcarbamato (V)

Terc-Butil (1S,2S)-3-bromo-1-(3,5-difluorobenzil)-2-hidroxipropilcarbamato (IV, PREPARACIÓN 2) es disuelto en alcohol absoluto (150 mL) y acetato de etilo (100 mL) e hidróxido de potasio (2,3 g, 34,9 mmole, 1,1 eq.) en alcohol de etilo (85%, 5 mL) es agregado a -20°. El baño frío es luego removido y la mezcla es agitada durante 30 minutos. La reacción es monitoreada mediante TLC (acetato de etilo/hexano, 20/80). Cuando la reacción está completa, es diluida con diclorometano y extractada, lavada con agua, salina, secada sobre sulfato de sodio anhidroso y el disolvente removido bajo presión reducida. El material crudo

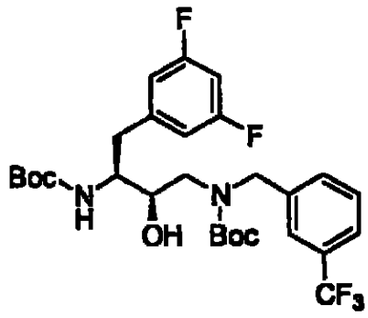
es purificado mediante cromatografía flash sobre gel de sílice para dar el compuesto del título, TLC (acetato de etilo/hexano, 20/80) R_f = 0,3; MS (MH^+) = 300, 4.

PREPARACIÓN 4: terc-Butil (1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-(triflurometil)benzil)amino]-propilcarbamato



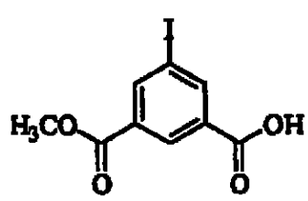
Terc-Butil(1S)-2-(3,5-difluorofenil)-1-[(2S)-oxiranil]etilcarbamato (PREPARACIÓN 3, 8,5 g, 28,4 mmole) es mezclado con isopropanol (145 ml). El frasco de reacción es cargado con 3-(trifluorometil) benzilamina. La mezcla de reacción es calentada hasta reflujo durante 3 horas, el análisis HPLC indica la desaparición completa del epóxido. La mezcla de reacción es concentrada bajo presión reducida y el residuo es particionado entre acetato de etilo y ácido clorhídrico acuoso. La fase orgánica es separada y lavada con ácido clorhídrico acuoso, bicarbonato, y salina luego secada sobre sulfato de sodio. La concentración bajo presión reducida y la recristalización a partir de hexano caliente da el compuesto del título, MS (MH^+) 475.

PREPARACIÓN 5: terc-Butil (1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{(terc-butiloxi)carbonil-3-{(trifluorometil) benzil}amino}propilcarbamato



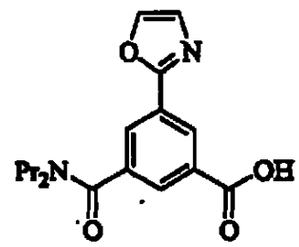
A una solución de terc-butil (1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-(triflurormetil)benzil)-amino]propilcarbamato (PREPARACIÓN 4, 6,2 g, 13,1 mmole) en THF (70 ml) a 0° es agregado pirocarbonato de di-terc-butilo (6,3 g, 28,9 mmole). La mezcla de reacción es agitada a 20-25° durante 18 horas. La mezcla de reacción es diluida con dietil éter y lavada con bicarbonato, ácido cítrico al 5M, y salina entonces es secada sobre sulfato de sodio y concentrada para dar el compuesto del título, MS (MNA^+) 597.

PREPARACIÓN 6: ácido 3-yodo-5-(metoxicarbonil) benzoico



A una solución en baño frío con hielo agitada de ácido 3-amino-5-(metoxicarbonil) benzoico disponible comercialmente (5,19 g, 26,59 mmol) en ácido clorhídrico al 2N (156 mL) se agregó a una solución de nitrito de sodio (1,84 g, 26,67 mmol) en agua (10.8 mL). Esta mezcla fue luego agregada gota a gota a una solución en baño frío con hielo agitada de yoduro de potasio (8,84 g, 53,25 mmol) en agua (26,2 mL). Después de agitación durante 35 min, la mezcla de reacción fue diluida con agua y extraida con acetato de etilo. La capa orgánica fue lavada con tiosulfato de sodio acuoso al 5%, y cloruro de sodio saturado, secada (sulfato de sodio), y concentrada bajo presión reducida. La purificación mediante cromatografía de columna flash (sílice, 50:50:2 hexanos/acetato de etilo/ácido acético) proporcionó el compuesto del título (4,48 g, 55% de producción) como un sólido muy blanco. ESI-MS (m/z): 305 $[M + H]^+$.

PREPARACIÓN 7: ácido 3-[(dipropilamino)carbonil]-5-(1,3-oxazol-2-il) benzoico



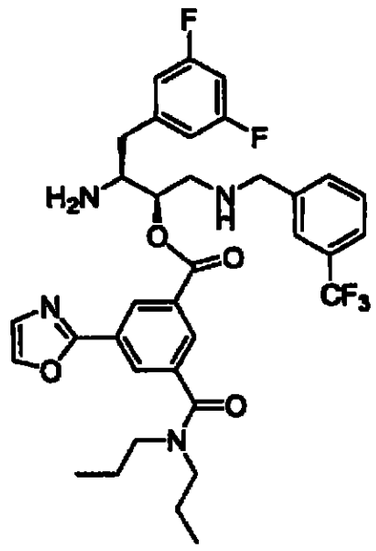
A una solución de oxazol a -70° C (4,0 g, 58 mmol) en tetrahidrofurano (100 mL) se agregó n-butillitio (1,6 M en hexanos, 40 mL, 64 mmol). Después de 30 min, cloruro de zinc

(1 M en dietil éter, 166 mL, 166 mmol) fue agregado y la mezcla de reacción fue calentada a 0° C durante 1 h. A esta mezcla fue agregado ácido 3-yodo-5-(metoxicarbonil) benzoico (PREPARACIÓN 6, 21,4 g, 55 mmol) y paladio (0) tetrakis (trifenilfosfina) (2,7 g, 2,34 mmol). La mezcla de reacción fue calentada a reflujo durante 1 h. La mezcla de reacción fue diluida con acetato de etilo (300 mL), lavada con agua, y cloruro de sodio saturado. La capa orgánica fue secada (sulfato de sodio) y concentrada bajo presión reducida. La purificación mediante tapón de gel de sílice (10-33% de acetato de etilo/hexanos) suministró un oxazol (17,7 g, 97%) como un sólido amarillo claro: ^{1}H RMN (300 MHz, $CDCl_3$) δ 8,73 (t, J = 2 Hz, 1H), 8,24 (t, J = 2 Hz, 1H), 8,11 (t, J = 2 Hz, 1H), 7,77 (d, J = 1 Hz, 1H), 7,28 (d, J = 1 Hz, 1H), 3,97 (s, 3H), 3,49 (m, 2H), 3,19 (m, 2H), 1,71 (m, 2H), 1,57 (m, 2H), 1,01 (m, 3H), 0,76 (m, 3H).

A una solución agitada del éster de la etapa 1 (17,7 g, 53,6 mmol) en tetrahidrofurano (50 mL), metanol (25 mL), y agua (25 mL) fue agregado monohidrato de hidróxido de litio (6,92 g, 165 mmol). La mezcla de reacción fue agitada a temperatura ambiente durante 2 h, y luego concentrada bajo presión reducida. El residuo fue particionado entre agua (100 mL) y dietil éter (100 mL). La capa acuosa fue acidificada a pH 4-5 con ácido clorhídrico y extraida con acetato de etilo (3 x 200 mL). Las capas orgánicas combinadas fueron lavadas con cloruro de sodio saturado, secadas (sulfato de sodio), y concentradas bajo presión reducida a la mitad de su volumen

original. El precipitado resultante fue recolectado mediante filtración y lavado con hexanos para suministrar el compuesto del título (15,5 g, 91%) como un sólido muy blanco: mp 131-133° C; ^{1}H RMN (300 MHz, CD_3OD) δ 8,72 (s, 1H), 8,22 (s, 1H), 8,10 (s, 1H), 8,06 (d, J = 1 Hz, 1H), 7,36 (d, J = 1 Hz, 1H), 3,52 (m, 2H), 3,25 (m, 2H), 1,76 (m, 2H), 1,62 (m, 2H), 1,02 (m, 3H), 0,76 (m, 3H); APCI MS M/Z 317 $[M + H]^+$.

PREPARACIÓN 8: diclorhidrato de 3-[(dipropilamino)carbonil]-5-(1,3-oxazol-2-il)benzoato (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[3-(trifluorometil)benzil]amino}metil)propilo

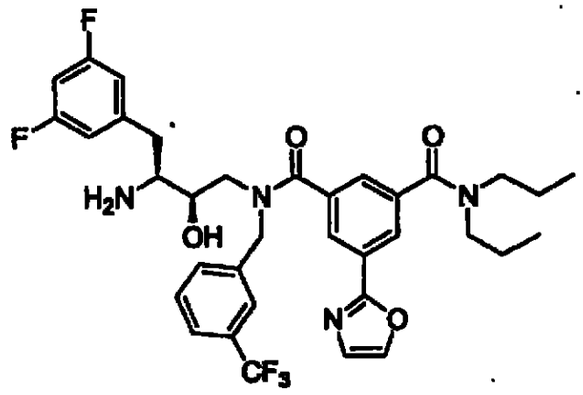


A una solución de (1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{(terc-butiloxi)carbonil-3-{(trifluorometil) benzil}amino}propilcarbamato de terc-butilo (PREPARACIÓN 5, 594 mg, 1,0 mmole) en DMF (2 mL) es agregado ácido 3-

[(dipropilamino)carbonil]-5-(1,3-oxazol-2-il)benzoico (PREPARACIÓN 7, 316 mg, 1,0 mmole), clorhidrato de 1-(3-dimetilaminopropil)-3-etilcarbodiimida (210 mg, 1,1 mmole), y 4-(dimetilamino)piridina (146 mg, 1,2 mmole). Después de 36 horas, la mezcla de reacción es diluida con acetato de etilo y lavada con bicarbonato (2X) y salmuera (4X) y luego secada sobre sulfato de sodio, filtrada, y concentrada bajo presión reducida. El concentrado es purificado sobre gel de sílice mediante cromatografía flash utilizando un gradiente disolvente de acetato de etilo/hexano (20/80 a 50/50) para dar 3-[(dipropilamino)carbonil]-5-(1,3-oxazol-2-il)benzoato de (1R,2S)-2-[(terc-butoxicarbonil)amino]-1-({(terc-butoxicarbonil)[3-(trifluorometil)benzil]amino}metil)-3-(3,5-difluorofenil)propilo, MS (MNA^+) 895.

3-[(dipropilamino)carbonil]-5-(1,3-oxazol-2-il)benzoato de (1R,2S)-2-[(terc-butoxicarbonil)amino]-1-({(terc-butoxicarbonil)[3-(trifluorometil)benzil]amino}metil)-3-(3,5-difluorofenil)propilo (482 mg, 0,55 mmole) es disuelto en ácido clorhídrico/dioxano (4N, 3 ml) y es agitado durante 1 hora a ~20-25°. El disolvente es entonces removido bajo presión reducida para dar el compuesto del título, MS (MH^+) 673.

PREPARACIÓN 9: N-1-clorhidrato [(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-5-(1,3-oxazol-2-il)-N-3-,N-3~-dipropil-N-1~-[3-(trifluorometil)benzil]-isoftalamida

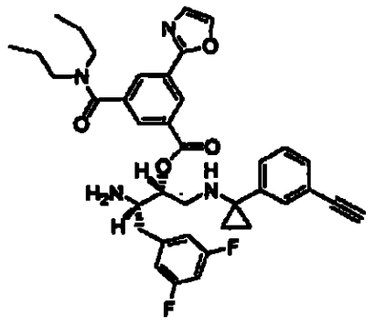
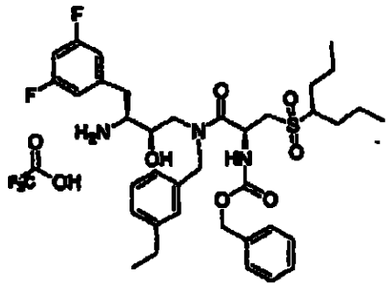
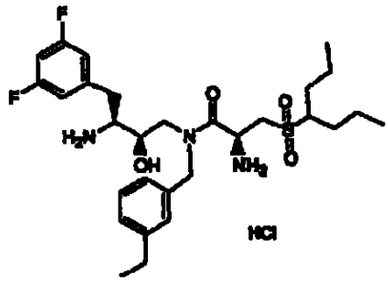


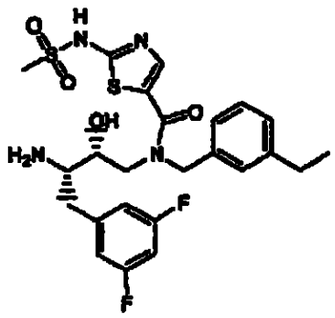
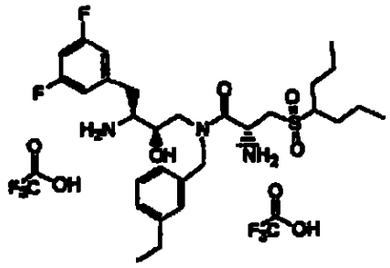
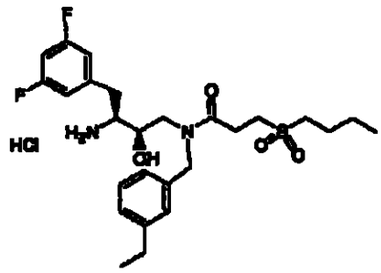
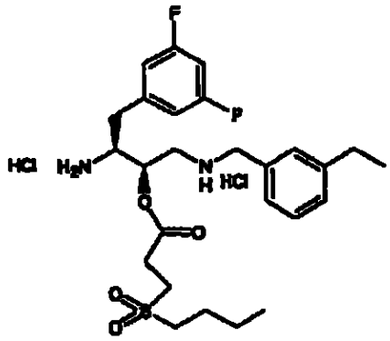
A una solución de (1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-[(3-(trifluorormetil)benzil)amino]propilcarbamato de terc-butilo (PREPARACIÓN 4, 393 mg, 0,83 mmole) en DMF (2 mL) es agregado ácido 3-[(dipropilamino)carbonil]-5-(1,3-oxazol-2-il) benzoico (PREPARACIÓN 7, 262 mg, 0,83 mmole), clorhidrato de 1-(3-dimetilaminopropil)-3-etilcarbodiimida (175 mg, 0,91 mmole), y 4-(dimetilamino)piridina (122 mg, 1,0 mmole). Después de ~18 horas, la mezcla de reacción es diluida con acetato de etilo y lavada con bicarbonato (2X) y salmuera (4X) y luego secada sobre sulfato de sodio, filtrada, y concentrada bajo presión reducida. El concentrado es purificado sobre gel de sílice mediante cromatografía flash utilizando un disolvente gradiente de acetato de etilo/hexano (50/50 a 70/30) para dar terc-butil (1S,2R)-1-(3,5-difluorobenzil)-3-{[3-[(dipropilamino)carbonil]-5-(1,3-oxazol-2-il)benzoil] [3-(trifluorometil)benzil]amino}-2-hidroxipropilcarbamato, MS (MH^+) 773.

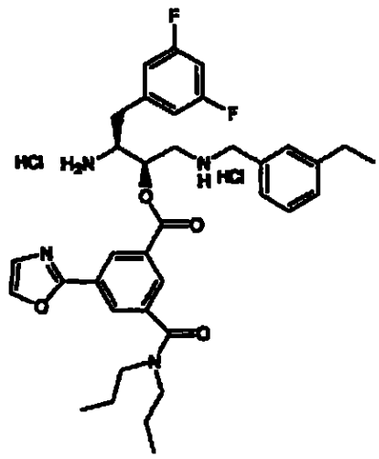
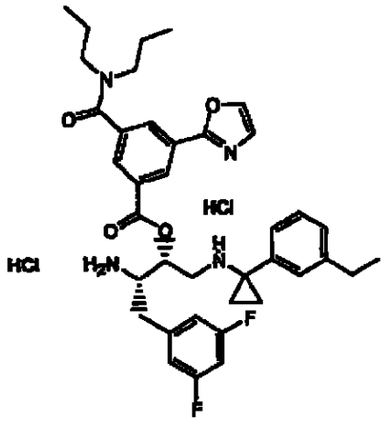
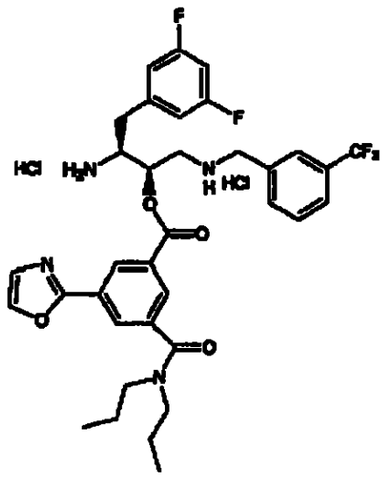
terc-butil (1S,2R)-1-(3,5-difluorobenzil)-3-{[3-[(dipropilamino)carbonil]-5-(1,3-oxazol-2-il)benzoil] [3-

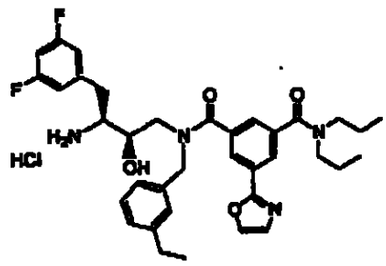
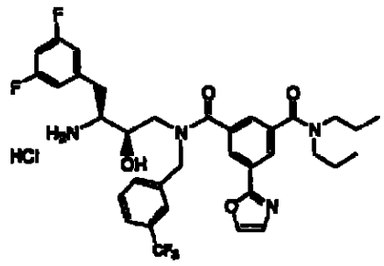
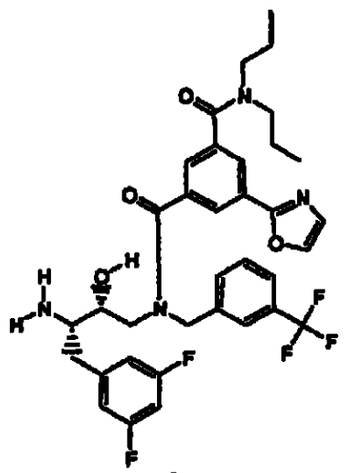
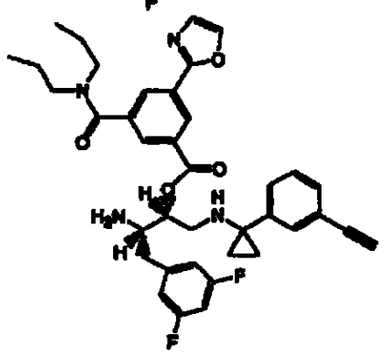
(trifluorometil)benzil]amino}-2-hidroxipropilcarbamato (226 mg, 0,29 mmoles) se disuelve en ácido clorhídrico/dioxano (4N, 2 ml) se agitó durante 20 minutos a 20-25°. El solvente es entonces removido bajo presión reducida y el material crudo purificado por HPLC de fase reversa utilizando un solvente gradiente de acetonitrilo. El solvente es entonces removido bajo presión reducida y el material crudo purificado por HPLC de fase reversa utilizando un solvente gradiente de acetonitrilo/agua con 0,5% de ácido trifluoroacético. La sal de ácido trifluoroacético obtenida se convierte a la sal clorhídrica mediante tratamiento con HCl en metanol (1,25 M, 5 mL). La concentración bajo presión reducida dio el compuesto del título, MS (MH^+) 673.

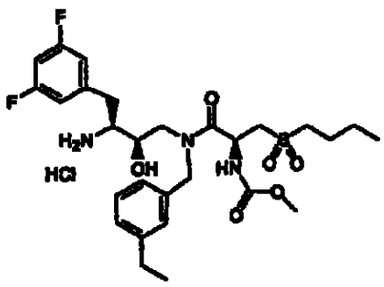
Los siguientes compuestos son preparados esencialmente de acuerdo con los procedimientos descritos en los esquemas, dibujos, ejemplos y preparaciones establecidos aquí.

Comp. #	Estructura	Nombre(s) Comp.	[M+H]+
9		dihidrocloruro de N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-3-(butilsulfonil)-N^1-(3-etilbenzil)-D-alaninamida	526
10		trifluoroacetato de N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hiroxibutil]-N^1-(3-etilbenzil)-N^2 (benziloxi)carbonil]-3-[(1-propilbutil)sulfonil]-D-alaninamida	702
11		clorhidrato de N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N^1-(3-etilbenzil)-3-[(1-propilbutil)sulfonil]-D-alaninamida	568

12		N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-2-[(metilsulfonil)amino]-1,3-tiazol-5-carboxamida	539
13		N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N^1-(3-etilbenzil)-3-[(1-propilbutil)sulfonil]-L-alaninamida bis (trifluoroacetato)	568
14		clorhidrato de N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-3-(butilsulfonil)-N-(3-etilbenzil)propanamida	511
15		dihidrocloruro de (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-(butilsulfonil)propanoato	511

16		dihidrocloruro de (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-[(dipropilamino)carbonil]-5-(1,3-oxazol-2-il)benzoato	633
17		dihidrocloruro de (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[1-(3-etilfenil)ciclopropil]amino}metil)propil 3-[(dipropilamino)carbonil]-5-(1,3-oxazol-2-il)benzoato	659
18		dihidrocloruro de (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[3-(trifluorometil)benzil]amino}metil)propil 3-[(dipropilamino)carbonil]-5-(1,3-oxazol-2-il)benzoato	673

19		clorhidrato de N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-5-(1,3-oxazol-2-il)-N^3,N^3-dipropilisoftalamida	633
20		clorhidrato de N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-5-(1,3-oxazol-2-il)-N^3,N^3-dipropil-N^1-[3-(trifluorometil)benzil]isoftalamida	673
21		N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-5-(1,3-oxazol-2-il)-N^3,N^3-dipropil-N^1-[3-(trifluorometil)benzil]isoftalamida	673
22		(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[1-(3-etinilfenil)ciclopropil]amino}metil)propil 3-[(dipropilamino)carbonil]-	655

23		5-(1,3-oxazol-2-il)benzoato dihidrocloruro de N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-3-(butilsulfonil)-N^1-(3-etilbenzil)-N^2[(metoxi)carbonil]-D-alaninamida	584
24		(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-[(dipropilamino)carbonil]-5-{[(2-hidroxietil)amino]sulfonil}benzoato	
26		(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[(2-isobutil-1,3-tiazol-5-il)metil]amino}metil)propil 3-[(dipropilamino)carbonil]-5-etinilbenzoato	
28		(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-isopropilbenzil)amino]metil}propil 3-[(dipropilamino)carbonil]-5-etinilbenzoato	
30		(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-isopropilbenzil)amino]metil}propil 3-[(dipropilamino)carbonil]-5-(1,3-oxazol-2-il)benzoato	
32		(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-[(dipropilamino)carbonil]-5-{[(2-hidroxi-1,1-dimetiletil)amino]sulfonil}benzoato	

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-[(dipropilamino)carbonil]-5-(4-metil-1,3-oxazol-2-il)benzoato

34

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[(2-isobutil-1,3-tiazol-5-il)metil]amino}metil)propil 3-[(dipropilamino)carbonil]-5-(1,3-oxazol-2-il)benzoato

36

clorhidrato de (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-[(dipropilamino)carbonil]-5-{[(3-hidroxipropil)amino]sulfonil}benzoato

38

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-propilbenzil)amino]metil}propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

40

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-{[butil(metil)amino]carbonil}-5-metilbenzoato

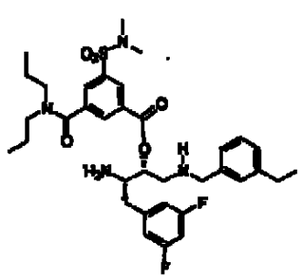
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(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etinilbenzil)amino]metil}propil 3-[(dipropilamino)carbonil]-5-etinilbenzoato

44

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[(3-isobutilisoxazol-5-il)metil]amino}metil)propil 3-[(dipropilamino)carbonil]-5-etinilbenzoato

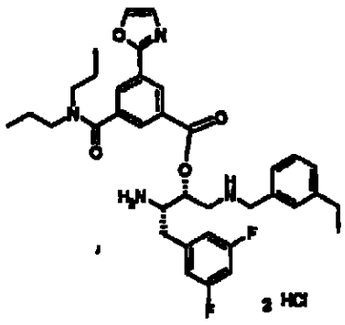
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48

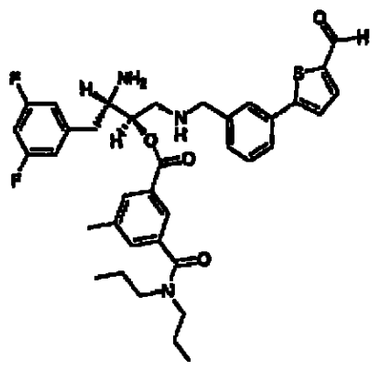
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[(dipropilamino)carbonil]benzo
ato

50 

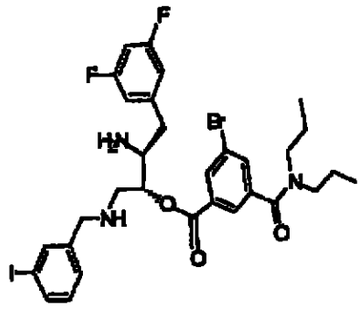
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amino-3-(3,5-difluorofenil)-1-
{[(3-
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3-[(dipropilamino)carbonil]-5-
(1,3-oxazol-2-il)benzoato

(1R,2S)-2-amino-3-(3,5-
difluorofenil)-1-({[3-(5-
formil-2-

52 

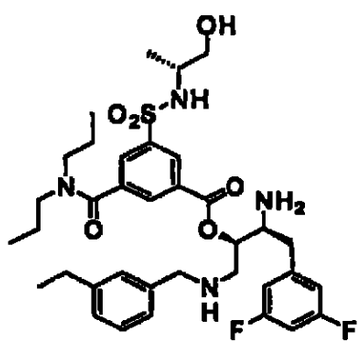
tienil)benzil]amino}metil)prop
il 3-
[(dipropilamino)carbonil]-5-
metilbenzoato

(1R,2S)-2-amino-3-(3,5-
difluorofenil)-1-{[(3-

54 

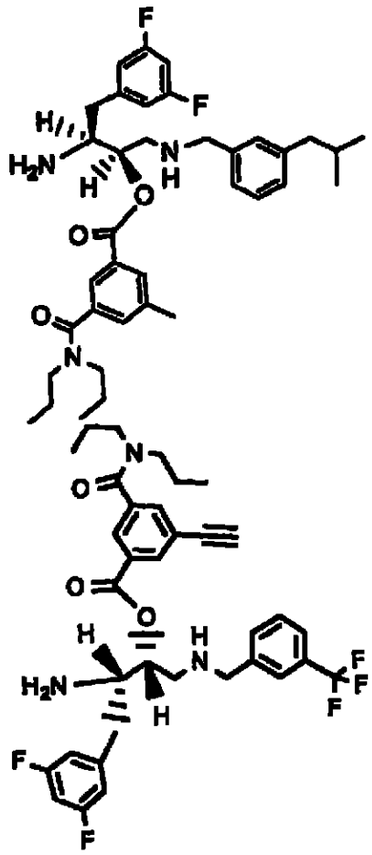
yodobenzil)amino]metil}propil
3-bromo-5-
[(dipropilamino)carbonil]benzo
ato

(1R,2S)-2-amino-3-(3,5-
difluorofenil)-1-{[(3-

56 

etilbenzil)amino]metil}propil
3-[(dipropilamino)carbonil]-5-
({[(1R)-2-hidroxi-1-
metiletil]amino}sulfonil)benzo

ato

58 

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-isobutilbenzil)amino]metil}propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

60

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[3-(trifluorometil)benzil]amino}metil)propil 3-[(dipropilamino)carbonil]-5-etinilbenzoato

62 clorhidrato de (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-{[(2R)-2-(metoximetil)pirrolidin-1-il]carbonil}-5-metilbenzoato

64 (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-[(dipropilamino)carbonil]-5-({[(1-2-hidroxi-1-metiletil]amino}sulfonil)benzoato

66 (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-{[butil(propil)amino]carbonil}-5-metilbenzoato

68 (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-[(dibutilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[3-(3-hidroxiprop-1-in-1-il)benzil]amino}metil)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

70

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-[(dipropilamino)carbonil]-5-{[(2S)-2-(hidroximetil)pirrolidin-1-il]sulfonil}benzoato

72

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-{[butil(etil)amino]carbonil}-5-metilbenzoato

74

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etinilbenzil)amino]metil}propil 3-[(dipropilamino)carbonil]-5-(1,3-oxazol-2-il)benzoato

76

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-{[ciclohexil(metil)amino]carbonil}-5-metilbenzoato

78

(1R,2S)-2-amino-1-({[3-(ciclopropilamino)benzil]amino}metil)-3-(3,5-difluorofenil)propil 3-[(dipropilamino)carbonil]-5-etinilbenzoato

80

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[3-(3-tienil)benzil]amino}metil)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

82

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[3-(trifluorometil)benzil]amino}metil)propil 3-[(dipropilamino)carbonil]-5-(1,3-oxazol-2-il)benzoato

84

dihidrocloruro de (1R,2S)-2-amino-3-(3,5-difluorofenil)-

86

1-{[(3-etilbenzil)amino]metil}propil 3-[(dipropilamino)carbonil]-5-(piperazin-1-ilsulfonil)benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[1-(3-

88 yodofenil)ciclopropil]amino}metil)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-1-{[(3-sec-butilbenzil)amino]metil}-3-

90 (3,5-difluorofenil)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

clorhidrato de (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-

92 [(dipropilamino)carbonil]-5-(3-metilisoxazol-4-il)benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[1-(3-

94 isobutilisoxazol-5-il)ciclopropil]amino}metil)propil 3-[(dipropilamino)carbonil]-5-(1,3-oxazol-2-il)benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[1-(3-

96 etilfenil)ciclopropil]amino}metil)propil 3-[(dipropilamino)carbonil]-5-(1,3-oxazol-2-il)benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

98 etilbenzil)amino]metil}propil 2-[(dipropilamino)carbonil]-6-metilisonicotinato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-

100 {[(ciclopropilmetil)(propil)amino]carbonil}-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

102

metoxibenzil)amino]metil}propil 3-
[(dipropilamino)carbonil]-5-(1,3-oxazol-2-il)benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[1-(3-
104 etinilfenil)ciclopropil]amino}metil)propil 3-
[(dipropilamino)carbonil]-5-(1,3-oxazol-2-il)benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-
106 etilbenzil)amino]metil}propil 3-(aminosulfonil)-5-
[(dipropilamino)carbonil]benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-[({3-[(1Z)-prop-
108 1-en-1-il]benzil}amino)metil]propil 3-
[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-
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110 [(dipropilamino)carbonil]-5-(1H-pirazol-4-il)benzoato
clorhidrato

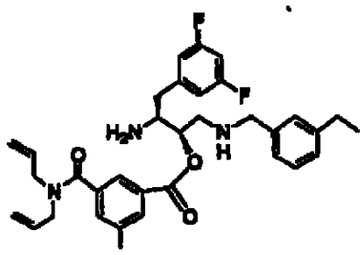
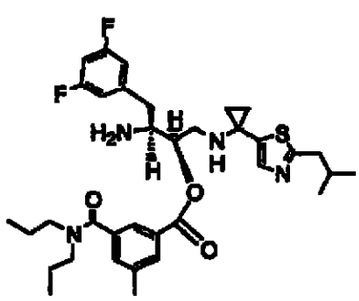
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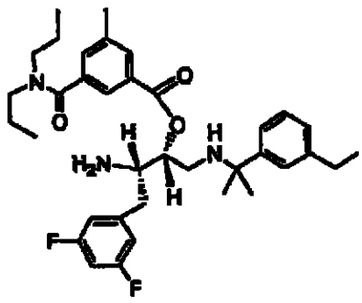
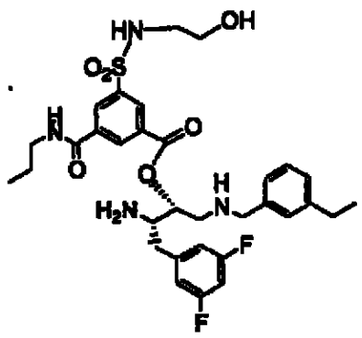
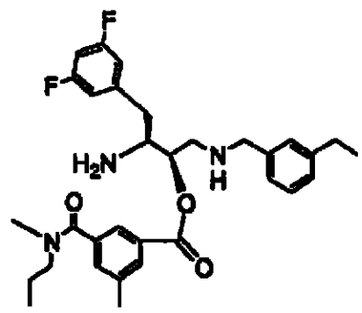
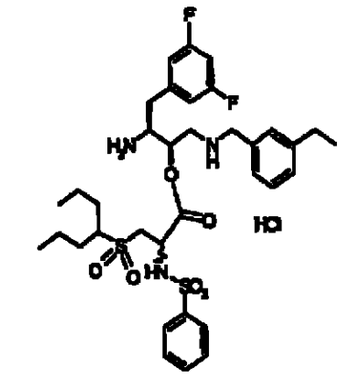
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[(dipropilamino)carbonil]-5-metilbenzoato

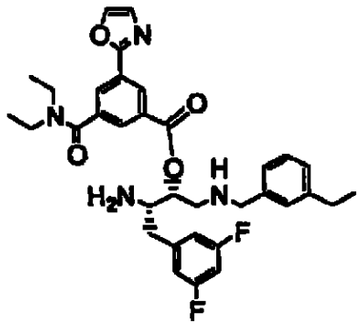
(1R,2S)-1-{[(3-alilbenzil)amino]metil}-2-amino-3-(3,5-
116 difluorofenil)propil 3-[(dipropilamino)carbonil]-5-
metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[1-(3-
118 etilfenil)ciclopropil]amino}metil)propil 3-
[(dipropilamino)carbonil]-5-metilbenzoato

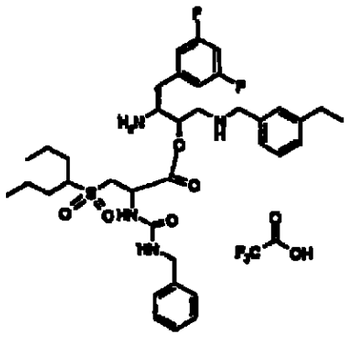
120	(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[1-(3-etilfenil)-1-metiletil]amino}metil)propil 3-[(dipropilamino)carbonil]-5-(1,3-oxazol-2-il)benzoato
122	(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-{[etil(propil)amino]carbonil}-5-metilbenzoato
124	(1R,2S)-2-amino-1-({[3-(ciclopropilamino)benzil]amino}metil)-3-(3,5-difluorofenil)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato
126	(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[1-(3-etinilfenil)ciclopropil]amino}metil)propil 3-[(dipropilamino)carbonil]-5-etinilbenzoato
128	(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[1-(3-isobutilisoxazol-5-il)ciclopropil]amino}metil)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato
130	(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[3-(5-formil-4-metil-2-tienil)benzil]amino}metil)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato
132	(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-isopropilbenzil)amino]metil}propil 5-[(dipropilamino)carbonil]nicotinato
134	(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-[({3-[(metilsulfonil)amino]benzil}amino)metil]propil 3-[(dipropilamino)carbonil]-5-metilbenzoato
136	(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-[(butilamino)carbonil]-

	5-metilbenzoato
138	(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[3-(3-metilbutil)benzil]amino}metil)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato
140	(1R,2S)-2-amino-1-{[(bifenil-3-ilmetil)amino]metil}-3-(3,5-difluorofenil)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato
142	(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[1-(3-etinilfenil)ciclopropil]amino}metil)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato
144	clorhidrato de (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3- etilbenzil)amino]metil}propil 3-[(dipropilamino)carbonil]-5-({[2-(metilamino)etil]amino}sulfonil)benzoato
146	(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[1-(3-isobutilisoxazol-5-il)ciclopropil]amino}metil)propil 3-[(dipropilamino)carbonil]-5-etinilbenzoato
148	 (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-{[(dialilamino)carbonil]-5-metilbenzoato
150	 (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[1-(2-isobutil-1,3-tiazol-5-il)ciclopropil]amino}metil)propil 3-

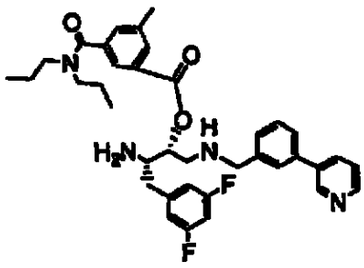
		[(dipropilamino)carbonil]-5-metilbenzoato
152		(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[1-(3-etilfenil)-1-metiletil]amino}metil)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato
154		(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-{[(2-hidroxietil)amino]sulfonil}-5-[(propilamino)carbonil]benzoato
156		(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-F etilbenzil)amino]metil}propil 3-metil-5-{[metil(propil)amino]carbonil}benzoato
158		clorhidrato de (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-(fenilsulfonil)-3-[(1-propilbutil)sulfonil]alaninato

160 

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-[(dietilamino)carbonil]-5-(1,3-oxazol-2-il)benzoato

162 

trifluoroacetato de (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-[(benzilamino)carbonil]-3-[(1-propilbutil)sulfonil]alaninato

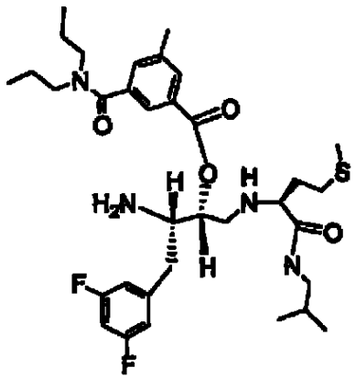
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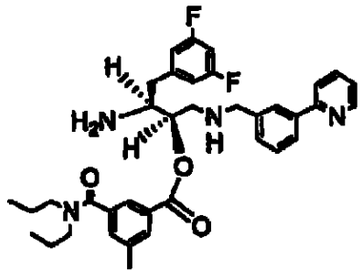
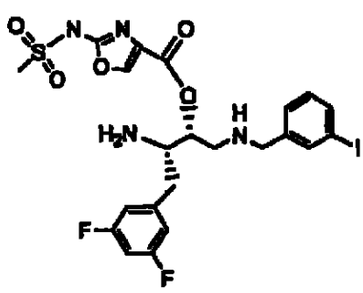
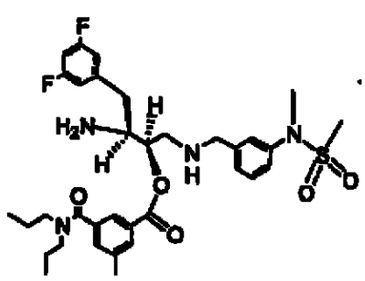
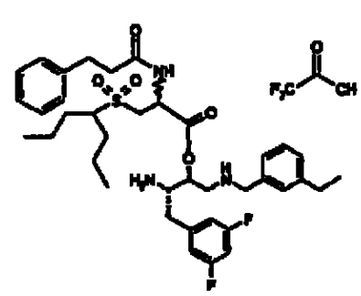
(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-piridin-3-ilbenzil)amino]metil}propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

166 1-óxido de (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 5-[(dipropilamino)carbonil]nicotinato

168 (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[3-(3-formil-2-furil)benzil]amino}metil)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

170 (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-[(dipropilamino)carbonil]-5-(1-metil-1H-imidazol-2-il)benzoato

172	(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-[(dietilamino)carbonil]-5-metilbenzoato
174	(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[3-(etilsulfinil)benzil]amino}metil)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato
176	(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-{[butil(etil)amino]sulfonil}propanoato
178	(1R,2S)-2-amino-1-{[(3-cianobenzil)amino]metil}-3-(3,5-difluorofenil)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato
180	clorhidrato de (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-[(1-propilbutil)sulfonil]propanoato
182	(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-{[isobutil(metil)amino]carbonil}-5-metilbenzoato
184	

186		(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-piridin-2-ilbenzil)amino]metil}propil 3-[(dipropilamino)carbonil]-5-metilbenzoato
188		(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-yodobenzil)amino]metil}propil 2-[(metilsulfonil)amino]-1,3-oxazol-4-carboxilato
190		(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-[({3-[metil(metilsulfonil)amino]benzil}amino)metil]propil 3-[(dipropilamino)carbonil]-5-metilbenzoato
192		trifluoroacetato de (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-(3-fenilpropanoil)-3-[(1-propilbutil)sulfonil]alaninato
194		(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[3-(etilsulfonil)benzil]amino}metil)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

clorhidrato de (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-

196 {[(3-etilbenzil)amino]metil}propil N-[(5-cloro-2-tienil)sulfonil]-3-[(1-propilbutil)sulfonil]alaninato

(1R,2S)-1-({[3-(5-acetil-2-tienil)benzil]amino}metil)-2-

198 amino-3-(3,5-difluorofenil)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

200 etilbenzil)amino]metil}propil 3-[(sec-butilamino)carbonil]-5-metilbenzoato

clorhidrato de (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-

202 {[(3-etilbenzil)amino]metil}propil 3-(1,3-oxazol-2-il)benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

204 etilbenzil)amino]metil}propil 3-metil-5-{[metil(2-feniletil)amino]carbonil}benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[3-(3,5-

206 dimetilisoxazol-4-il)benzil]amino}metil)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

208 etilbenzil)amino]metil}propil 3-metil-5-{[metil(prop-2-in-1-il)amino]carbonil}benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

210 etilbenzil)amino]metil}propil 3-{[etil(metil)amino]carbonil}-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

212 {[(dimetilamino)carbonil]oxi}benzil)amino]metil}propil 3-(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-
214 etilbenzil)amino]metil}propil 3-
{[benzil(metil)amino]carbonil}-5-metilbenzoato
(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-
216 etilbenzil)amino]metil}propil 3-{[sec-
butil(propil)amino]carbonil}-5-metilbenzoato
(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[3-(4-metil-2-
218 tienil)benzil]amino}metil)propil 3-
[(dipropilamino)carbonil]-5-metilbenzoato
(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-[({3-
220 [(metoxicarbonil) (metil)amino]benzil}amino)metil]propil
3-[(dipropilamino)carbonil]-5-metilbenzoato
(1R,2S)-2-amino-1-({[3-
(trifluorometil)benzil]amino}metil)-3-(2,3,5-
222 trifluorofenil)propil 3-[(dipropilamino)carbonil]-5-
metilbenzoato
(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-
224 etilbenzil)amino]metil}propil 3-
[(diisobutilamino)carbonil]-5-metilbenzoato
(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-
226 etilbenzil)amino]metil}propil 3-metil-5-{[metil(2-
piridin-2-iletil)amino]carbonil}benzoato
clorhidrato de (1R,2S)-2-amino-3-(3-fluoro-5-
228 hidroxifenil)-1-{[(3-metoxibenzil)amino]metil}propil 3-
[(dipropilamino)carbonil]-5-metilbenzoato
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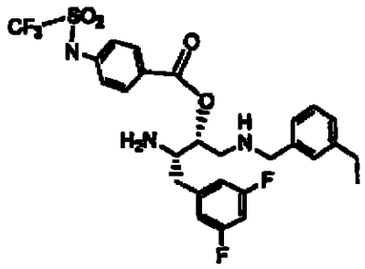
[(dipropilamino)carbonil]benzoato

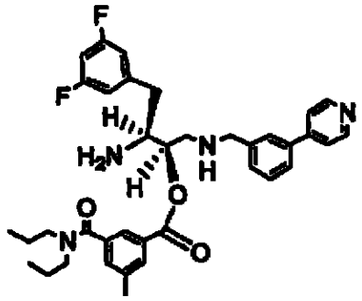
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232 yodobenzil)amino]metil}propil 4-hidroxi-3-(pirrolidin-1-ilcarbonil)benzoato

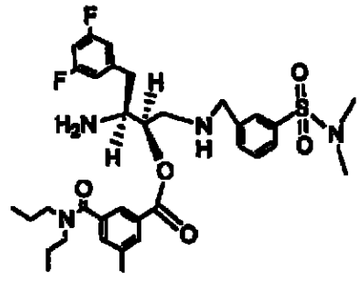
clorhidrato de (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-

234 {[(3-etilbenzil)amino]metil}propil 5-oxo-D-prolil-3-[(1-propilbutil)sulfonil]alaninato

236 



238 (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-piridin-4-ilbenzil)amino]metil}propil 3-[(dipropilamino)carbonil]-5-metilbenzoato



240 (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-[({3-[(dimetilamino)sulfonil]benzil}amino)metil]propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

242 (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(6-metoxi-1,2,3,4-tetrahidronaftalen-1-il)amino]metil}propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

244 (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

etilbenzil)amino]metil}propil N-(fenilacetil)-3-[(1-propilbutil)sulfonil]alaninato

246 (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-(azepan-1-ilcarbonil)-5-metilbenzoato

248 (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-[({3-[(metoxicarbonil)amino]benzil}amino)metil]propil 3-[(dipropilamino)carbonil]-5-metilbenzoato clorhidrato de (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-

250 {[(3-etilbenzil)amino]metil}propil 5-oxo-1-prolil-3-[(1-propilbutil)sulfonil]alaninato

252 (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-[(isobutilamino)carbonil]-5-metilbenzoato trifluoroacetato de ácido 4-[((1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

254 etilbenzil)amino]metil}propil)oxi]-4-oxo-3-{[(1-propilbutil)sulfonil]metil}butanoico

256 (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-[metil(metilsulfonil)amino]benzoato

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-

25 hidroxibutil]-N-(3-etilbenzil)-5-{[(2-hidroxietil)amino]sulfonil}-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-

27 hidroxibutil]-5-etinil-N-[(2-isobutil-1,3-tiazol-5-il)metil]-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-5-etinil-N-(3-isopropilbenzil)-N',N'-dipropilisoftalamida

29

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-isopropilbenzil)-5-(1,3-oxazol-2-il)-N',N'-dipropilisoftalamida

31

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2hidroxibutil]-N-(3-etilbenzil)-5-{[(2-hidroxi-1,1-dimetiletil)amino]sulfonil}-N',N'-dipropilisoftalamida

33

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-5-(4-metil-1,3-oxazol-2-il)-N',N'-dipropilisoftalamida

35

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-[(2-isobutil-1,3-tiazol-5-il)metil]-5-(1,3-oxazol2-il)-N',N'-dipropilisoftalamida

37

clorhidrato de N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-5-{[(3-hidroxipropil)amino]sulfonil}-N',N'-dipropilisoftalamida

39

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-5-metil-N',N'-dipropil-N-(3-propilbenzil)isoftalamida

41

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N'-butil-N-(3-etilbenzil)-N',5-dimetilisoftalamida

43

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-5-etinil-N-(3-etinilbenzil)-N',N'-dipropilisoftalamida

45

827

47	N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-5-etinil-N-[(3-isobutilisoxazol-5-il)metil]-N',N'-dipropilisoftalamida
49	N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-5-[(dimetilamino)sulfonil]-N-(3-etilbenzil)-N',N'-dipropilisoftalamida
51	clorhidrato de N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-5-(1,3-oxazol-2-il)-N',N'-dipropilisoftalamida
53	N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-[3-(5-formil-2-tienil)benzil]-5-metil-N',N'-dipropilisoftalamida
55	N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-5-bromo-N-(3-yodobenzil)-N',N'-dipropilisoftalamida
57	N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-5-{[(1R)-2-hidroxi-1-metiletil]amino}sulfonil)-N',N'-dipropilisoftalamida
59	N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-isobutilbenzil)-5-metil-N',N'-dipropilisoftalamida
61	N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-5-etinil-N',N'-dipropil-N-[3-(trifluorometil)benzil]isoftalamida
63	clorhidrato de N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-3-{[(2R)-2-(metoximetil)pirrolidin-1-il]carbonil}-5-metilbenzamida

65 N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-5-({[(1S)-2-hidroxi-1-metiletil]amino}sulfonil)-N',N'-dipropilisoftalamida

67 N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-butil-N-(3-etilbenzil)-5-metil-N'-propilisoftalamida

69 N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N',N'-dibutil-N-(3-etilbenzil)-5-metilisoftalamida

71 N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-[3-(3-hidroxiprop-1-in-1-il)benzil]-5-metil-N',N'-dipropilisoftalamida

73 N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-5-{[(2S)-2-(hidroximetil)pirrolidin-1-il]sulfonil}-N',N'-dipropilisoftalamida

75 N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N'-butil-N'-etil-N-(3-etilbenzil)-5-metilisoftalamida

77 N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etinilbenzil)-5-(1,3-oxazol-2-il)-N',N'-dipropilisoftalamida

79 N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-ciclohexil-N-(3-etilbenzil)-N',5-dimetilisoftalamida

81 N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-[3-(ciclopropilamino) benzil-5-etinil-

N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-

83 hidroxibutilj-5-metil-N',N'-dipropil-N-[3-(3-

tienil)benzil]isoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-

85 hidroxibutil]-5-(1,3-oxazol-2-il)-N',N'-dipropil-N-[3-

(trifluorometil)benzil]isoftalamida

dihidrocloruro de N-[(2R,3S)-3-amino-4-(3,5-

87 difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-5-

(piperazin-1-ilsulfonil)-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-

89 hidroxibutil]-N-[1-(3-yodofenil)ciclopropil]-5-metil-

N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-

91 hidroxibutil]-N-(3-sec-butilbenzil)-5-metil-N',N'-

dipropilisoftalamida

clorhidrato de N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-

93 2-hidroxibutil]-N-(3-etilbenzil)-5-(3-metilisoxazol-4-

il)-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-

hidroxibutil]-N-[1-(3-isobutilisoxazol-5-

95 il)ciclopropil]-5-(1,3-oxazol-2-il)-N',N'-

dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-

97 hidroxibutil]-N-[1-(3-etilfenil)ciclopropil]-5-(1,3-

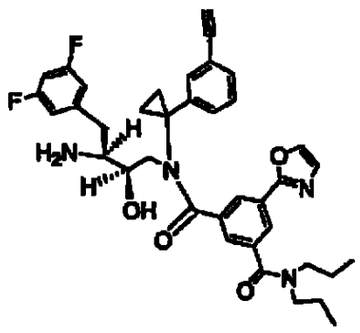
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99 N^4-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-

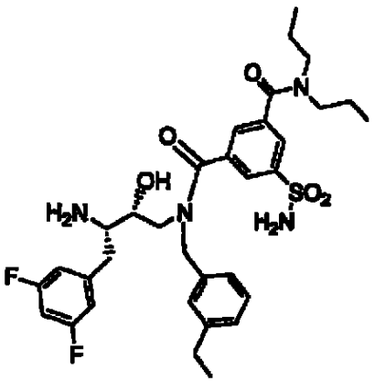
hidroxibutil]-N^4-(3-etilbenzil)-6-metil-N^2,N^2-dipropilpiridino-2,4-dicarboxamida

101 N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N'-(ciclopropilmetil)-N-(3-etilbenzil)-5-metil-N'-propilisoftalamida

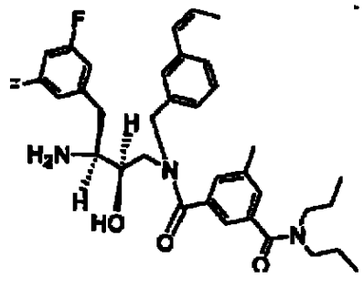
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105 

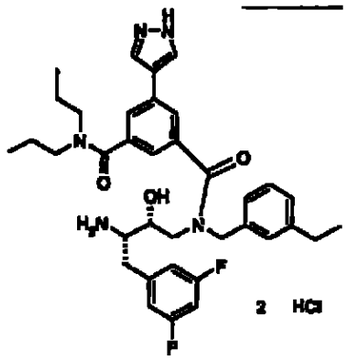
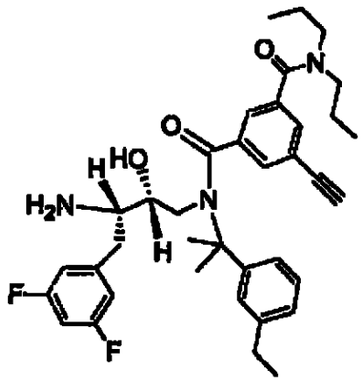
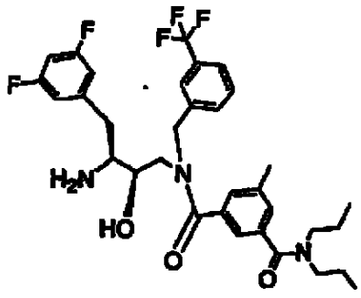
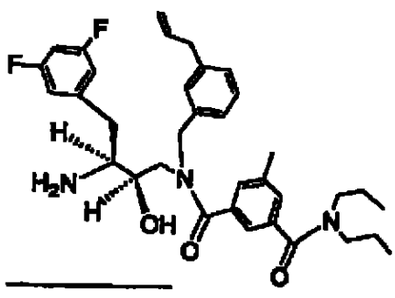
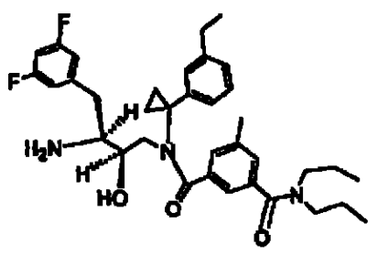
N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-[1-(3-etinilfenil)ciclopropil]-5-(1,3-oxazol-2-il)-N',N'-dipropilisoftalamida

107 

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-5-(aminosulfonil)-N-(3-etilbenzil)-N',N'-dipropilisoftalamida

109 

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-5-metil-N-{3-[(1Z)-prop-1-en-1-il]benzil}-N',N'-dipropilisoftalamida

111		dihidrocloruro de N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-N',N'-dipropil-5-(1H-pirazol-4-il)isoftalamida
113		N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-[1-(3-etilfenil)-1-metiletil]-5-etinil-N',N'-dipropilisoftalamida
115		N-[(2R,3S)-3-amino-4-(3,5-difluoroenil)-2-hidroxibutil]-5-metil-N',N'-dipropil-N-[3-(trifluorometil)benzil]isoftalamida
117		N-(3-alilbenzil)-N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-5-metil-N',N'-dipropilisoftalamida
119		N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-[1-(3-etilfenil)ciclopropil]-5-

metil-N',N'-
dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-
121 hidroxibutil]-N-[1-(3-etilfenil)-1-metiletil]-5-(1,3-
oxazol-2-il)-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-
123 hidroxibutil]-N'-etil-N-(3-etilbenzil)-5-metil-N'-
propilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-
125 hidroxibutil]-N-[3-(ciclopropilamino)benzil]-5-metil-
N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-
127 hidroxibutil]-5-etinil-N-[1-(3-etinilfenil)ciclopropil]-
N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-
129 hidroxibutil]-N-[1-(3-isobutilisoxazol-5-
il)ciclopropil]-5-metil-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-
131 hidroxibutil]-N-[3-(5-formil-4-metil-2-tienil)benzil]-5-
metil-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-
133 hidroxibutil]-N-(3-isopropilbenzil)-N',N'-
dipropilpiridino-3,5-dicarboxamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-
135 hidroxibutil]-5-metil-N-{3-
[(metilsulfonil)amino]benzil}-N',N'-dipropilisoftalamida

137 N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-

hidroxibutil]-N'-butil-N-(3-etilbenzil)-5-metilisoftalamida

139 N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-5-metil-N-[3-(3-metilbutil)benzil]-N',N'-dipropilisoftalamida

141 N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(bifenil-3-ilmetil)-5-metil-N',N'-dipropilisoftalamida

143 N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-[1-(3-etinilfenil)ciclopropil]-5-metil-N',N'-dipropilisoftalamida

145 clorhidrato de N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-5-({[2-(metilamino)etil]amino}sulfonil)-N',N'-dipropilisoftalamida

147 N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-5-etinil-N-[1-(3-isobutilisoxazol-5-il)ciclopropil]-N',N'-dipropilisoftalamida

149 N,N-dialil-N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-5-metilisoftalamida

151 N-[(2R,3R)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-[1-(2-isobutil-1,3-tiazol-5-il)ciclopropil]-5-metil-N',N'-dipropilisoftalamida

153 N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-[1-(3-etilfenil)-1-metiletil]-5-metil-N',N'-dipropilisoftalamida

155 N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-

hidroxibutil]-N-(3-etilbenzil)-5-{[(2-hidroxietil)amino]sulfonil}-N'-propilisoftalamida

157 N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-N',5-dimetil-N'-propilisoftalamida

159 clorhidrato de N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N^1-(3-etilbenzil)-N^2-(fenilsulfonil)-3-[(1-propilbutil)sulfonil]alaninamida

161 N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N',N'-dietil-N-(3-etilbenzil)-5-(1,3-oxazol-2-il)isoftalamida

163 (sal) trifluoroacetato de N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N^2-[(benzilamino)carbonil]-N^1-(3-etilbenzil)-3-[(1-propilbutil)sulfonil]alaninamida

165 N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-5-metil-N',N'-dipropil-N-(3-piridin-3-ilbenzil)isoftalamida

167 1-óxido de N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-N',N'-dipropilpiridino-3,5-dicarboxamida

169 N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N'-etil-N-[3-(3-formil-2-furil)benzil]-5-metil-N'-propilisoftalamida

171 N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-5-(1-metil-1H-imidazol-2-il)-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N',N'-dietil-N-(3-etilbenzil)-5-metilisoftalamida

173

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-[3-(etilsulfinil)benzil]-5-metil-N',N'-dipropilisoftalamida

175

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-3-{[butil(etil)amino]sulfonil}-N-(3-etilbenzil)propanamida

177

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-cianobenzil)-5-metil-N',N'-dipropilisoftalamida

179

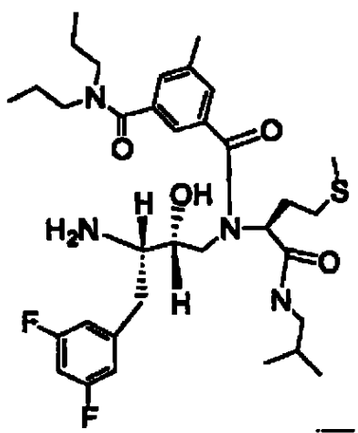
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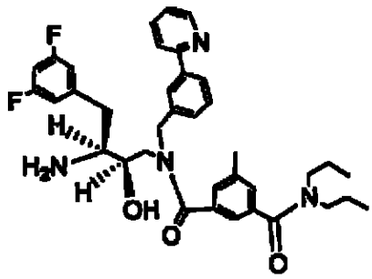
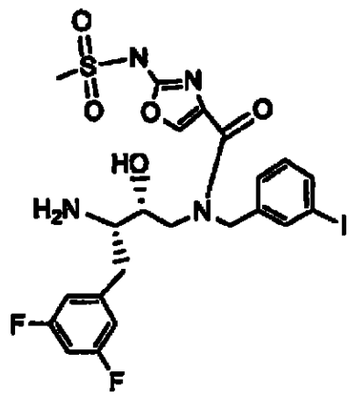
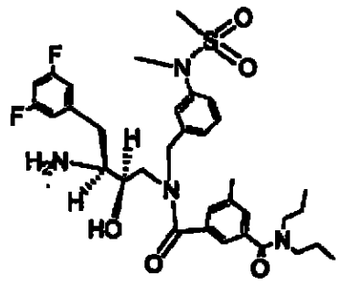
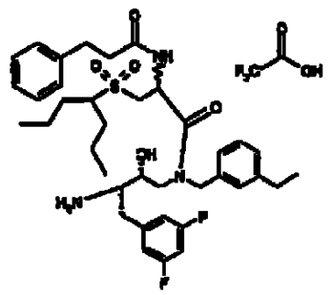
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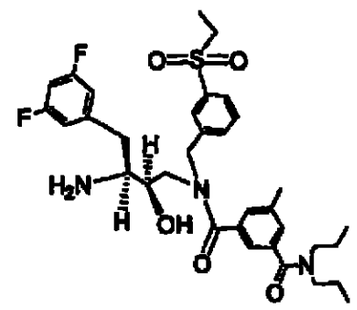
N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-N-isobutil-N',5-dimetilisoftalamida

183

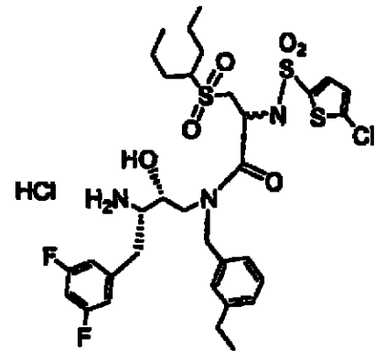
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187		N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-5-metil-N',N'-dipropil-N-(3-piridin-2-ilbenzil)isoftalamida
189		N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-yodobenzil)-2-[(metilsulfonil)amino]-1,3-oxazol-4-carboxamida
191		N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-5-metil-N-{3-[metil(metilsulfonil)amino]benzil}-N',N'-dipropilisoftalamida
		(sal) trifluoroacetato de N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N^1-(3-etilbenzil)-N^2-(3-fenilpropanoil)-3-[(1-propilbutil)sulfonil]alaninamida
193		

195 

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-[3-(etilsulfonil)benzil]-5-metil-N',N'-dipropilisoftalamida

197 

clorhidrato de N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N^2-[(5-cloro-2-tienil)sulfonil]-N^1-(3-etilbenzil)-3-[(1-propilbutil)sulfonil]alaninamida

199 N-[3-(5-acetil-2-tienil)benzil]-N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-5-metil-N',N'-dipropilisoftalamida

201 N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N'-(sec-butil)-N-(3-etilbenzil)-5-metilisoftalamida

203 clorhidrato de N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzilj-3-(1,3-oxazol-2-il)benzamida

205 N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-N',5-dimetil-N-(2-feniletil)isoftalamida

207 N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-[3-(3,5-dimetilisoxazol-4-il)benzil]-5-

metil-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-

209 hidroxibutil]-N-(3-etilbenzil)-N',5-dimetil-N-prop-2-in-1-ilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-

211 hidroxibutil]-N'-etil-N-(3-etilbenzil)-N',5-dimetilisoftalamida

3-[([(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-

213 hidroxibutil]{3-[(dipropilamino)carbonil]-5-metilbenzoil}amino)metil]fenil dimetilcarbamato

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-

215 hidroxibutil]-N'-benzil-N-(3-etilbenzil)-N',5-dimetilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-

217 hidroxibutil]-N'-(sec-butil)-N-(3-etilbenzil)-5-metil-N'-propilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-

219 hidroxibutil]-5-metil-N-[3-(4-metil-2-tienil)benzil]-N',N'-dipropilisoftalamida

metil {3-[([(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-

221 hidroxibutil]{3-[(dipropilamino)carbonil]-5-metilbenzoil}amino)metil]fenil}metilcarbamato

N-[(2R,3S)-3-amino-2-hidroxi-4-(2,3,5-

223 trifluorofenil)butil]-5-metil-N',N'-dipropil-N-[3-(trifluorometil)benzil]isoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-

225 hidroxibutil]-N-(3-etilbenzil)-N',N'-diisobutil-5-

metilisoftalamida

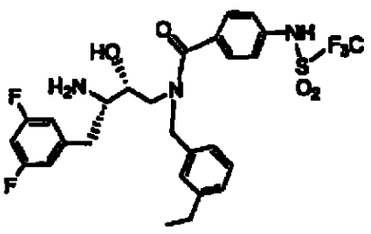
227 N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-N',5-dimetil-N'-(2-piridin-2-iletil)isoftalamida

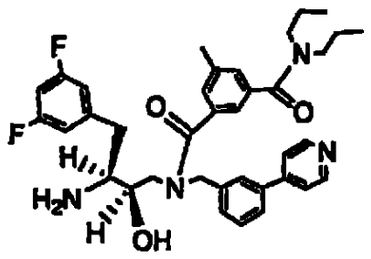
229 clorhidrato de N-[(2R,3S)-3-amino-4-(3-fluoro-5-hidroxifenil)-2-hidroxibutil]-N-(3-metoxibenzil)-5-metil-N',N'-dipropilisoftalamida

231 N^1-[{(2R-3S)-3-amino-4-(3-cloro-5-fluorofenil)-2-hidroxibutil]-N^1-(3-metoxibenzil)-N^3,N^3-dipropilbenceno-1,3,5-tricarboxamida

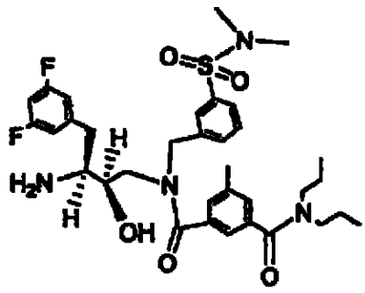
233 N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-4-hidroxi-N-(3-yodobenzil)-3-(pirrolidin-1-ilcarbonil)benzamida

235 clorhidrato de 5-oxo-D-prolil-N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N^1-(3-etilbenzil)-3-[(1-propilbutil)sulfonil]alaninamida

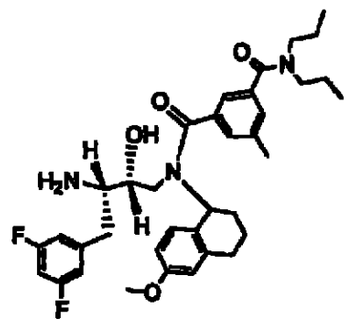
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239 

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-5-metil-N',N'-dipropil-N-(3-piridin-4-ilbenzil)isoftalamida

241 

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-{3-[(dimetilamino)sulfonil]benzil}-5-metil-N',N'-dipropilisoftalamida

243 

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(6-metoxi-1,2,3,4-tetrahidronaftalen-1-il)-5-metil-N',N'-dipropilisoftalamida

245 N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N^1-(3-etilbenzil)-N^2-(fenilacetil)-3-[(1-propilbutil)sulfonil]alaninamida

247 N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-3-(azepan-1-ilcarbonil)-N-(3-etilbenzil)-5-metilbenzamida

249 metil {3-[([(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]{3-[(dipropilamino)carbonil]-5-metilbenzoil}amino)metil]fenil}carbamato

251 clorhidrato de 5-oXo-1-prolil-N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N^1-(3-etilbenzil)-3-[(1-propilbutil)sulfonil]alaninamida

253 N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-N'-isobutil-5-metilisoftalamida

(sal) trifluoroacetato de ácido 4-[[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil] (3-

255 etilbenzil)amino]-4-oxo-3-{[(1-propilbutil)sulfonil]metil}butanoico

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-

257 hidroxibutil]-N-(3-etilbenzil)-3-[metil(metilsulfonil)amino]benzamida

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

258 etilbenzil)amino]metil}propil 3-{[etil(isopropil)amino]carbonil}-5-metilbenzoato

(1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-(2-

260 tienil)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

262 etilbenzil)amino]metil}propil 3-{[(2-hidroxietil)(propil)amino]sulfonil}propanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

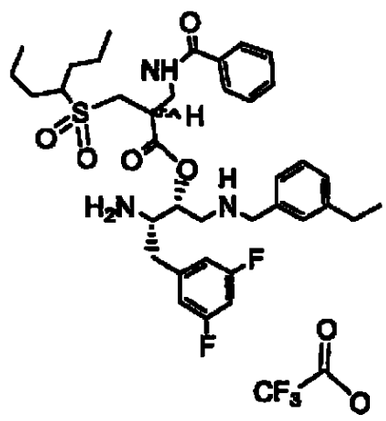
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(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

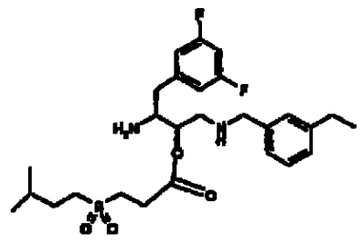
266 etilbenzil)amino]metil}propil 2-[(metilsulfonil)amino]-1,3-tiazol-4-carboxilato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

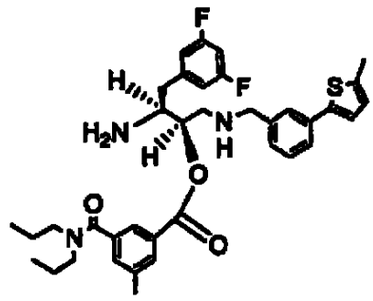
268 etilbenzil)amino]metil}propil 3-{[alil(ciclopentil)amino]carbonil}-5-metilbenzoato

270 

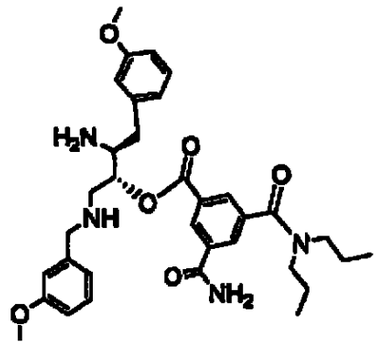
(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-[(3-metilbutil)sulfonil]propanoato

272 

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[3-(5-metil-2-tienil)benzil]amino}metil)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

274 

(1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-(3-metoxifenil)propil 3-(aminocarbonil)-5-[(dipropilamino)carbonil]benzoato

276 

278 (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(1-metilhexil)amino]metil}propil 3-

[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-1-({[1-(aminocarbonil)ciclohexil]amino}metil)-3-(3,5-

280 difluorofenil)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(2E)-hex-2-en-

282 1-ilamino]metil}propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(4-fluorofenil)-1-{[(3-

284 metoxibenzil)amino]metil}propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

286 etilbenzil)amino]metil}propil 3-hidroxiisoxazol-5-carboxilato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-[({3-[(1E)-hex-

288 1-en-1-il]benzil}amino)metil]propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

290 etilbenzil)amino]metil}propil 3-[(isopropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-(2-

292 tienil)propil 3-(aminocarbonil)-5-[(dipropilamino)carbonil]benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

294 yodobenzil)amino]metil}propil [3-(2-amino-2-oxoetoxi)fenil]acetato

296 (1R,2S)-2-amino-3-(3-bromofenil)-1-{[(3-

metoxibenzil)amino]metil}propil 3-
[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(2-
298 etilhexil)amino]metil}propil 3-(dipropilamino)carbonil]-
5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[3-(6-
300 metoxipiridin-3-il)benzil]amino}metil)propil 3-
[(dipropilamino)carbonil]-5-metilbenzoato

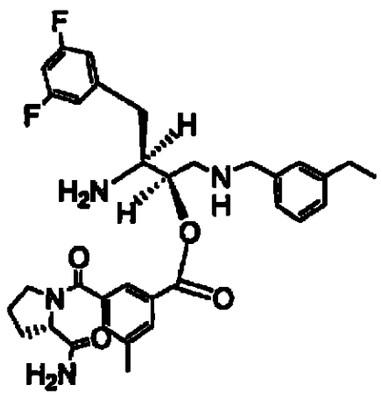
(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[3-(2,4-
302 dimetoxipirimidin-5-il)benzil]amino}metil)propil 3-
[(dipropilamino)carbonil]-5-metilbenzoato

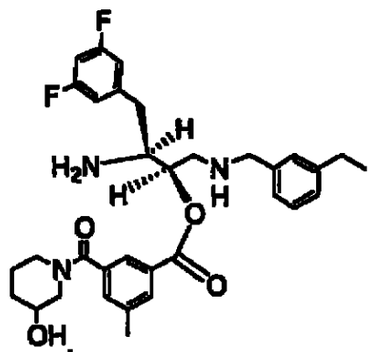
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304 etilbenzil)amino]metil}propil 3-(2-etilbutanoil)benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-
306 etilbenzil)amino]metil}propil 3-[(4-hidroxipiperidin-1-
il)carbonil]-5-metilbenzoato

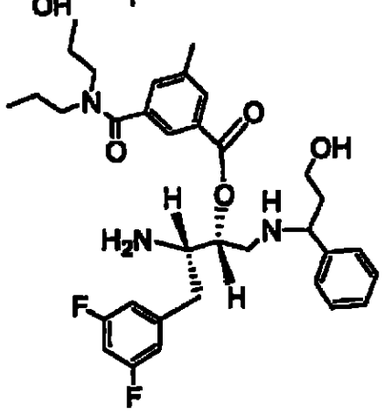
(1R,2S)-2-amino-3-(3-bromofenil)-1-{[(3-
308 metoxibenzil)amino]metil}propil 3-(aminocarbonil)-5-
[(dipropilamino)carbonil]benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-
310 yodobenzil)amino]metil}propil 4-[2'-
(aminocarbonil)bifenil-4-il]-4-oxobutanoato

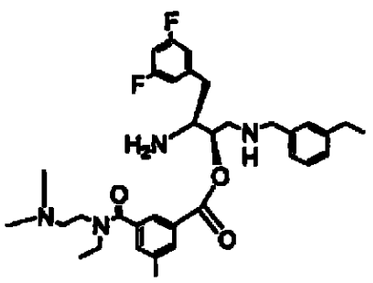
312 

314 

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-[(3-hidroxipiperidin-1-il)carbonil]-5-metilbenzoato

316 

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-hidroxi-1-fenilpropil)amino]metil}propil 1-[(dipropilamino)carbonil]-5-metilbenzoato

318 

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-{[[2-(dimetilamino)etil](etil)amino]carbonil}-5-metilbenzoato

320 (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 4-metil-4H,6H-pirrolo [1,2-a] [4,1] benzoxazepina-4-carboxilato

322 (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

etilbenzil)amino]metil}propil (5-acetil-2-tienil)acetato

(1R,2S)-2-amino-3-(3,5-diclorofenil)-1-{[(3-

324 metoxibenzil)amino]metil}propil 3-(aminocarbonil)-5-

[(dipropilamino)carbonil]benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

326 etilbenzil)amino]metil}propil 3-

[(diisopropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

328 etilbenzil)amino]metil}propil 3-

[(metilsulfonil)amino]benzoato

(1R,2S)-2-amino-3-(4-clorofenil)-1-{[(3-

330 metoxibenzil)amino]metil}propil 3-(aminocarbonil)-5-

[(dipropilamino)carbonil]benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

332 yodobenzil)amino]metil}propil [4-(2-oxopirrolidin-1-

il)fenil]acetato

(1R,2S)-2-amino-3-(3-cloro-5-fluorofenil)-1-{[(3-

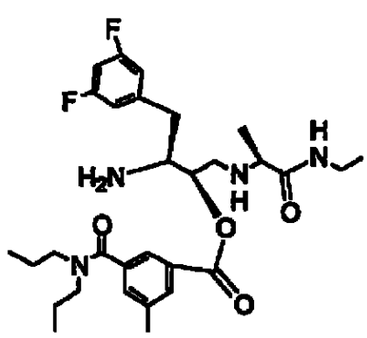
334 metoxibenzil)amino]metil}propil 3-

[(dipropilamino)sulfonil]propanoato

(1R,2S)-2-amino-3-(3-cloro-5-fluorofenil)-1-{[(3-

336 metilbutil)amino]metil}propil 3-(aminocarbonil)-5-

[(dipropilamino)carbonil]benzoato

338 

triclorhidrato de (1R,2S)-2-amino-3-(3,5-difluorofenil)-
340 1-{[(3-etilbenzil)amino]metil}propil 3-{[(1-metil-1H-
imidazol-4-il)sulfonil]amino}benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-
342 [(pentilamino)metil]propil 3-[(dipropilamino)carbonil]-
5-metilbenzoato

(1R,2S)-2-amino-3-(4-fluorofenil)-1-{[(3-
344 metoxibenzil)amino]metil}propil 3-(aminocarbonil)-5-
[(dipropilamino)carbonil]benzoato

(1R,2S)-2-amino-1-[(benzilamino)metil]-3-(3-cloro-5-
346 fluorofenil)propil 3-[(dipropilamino)carbonil]-5-
metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-
348 etilbenzil)amino]metil}propil 3-
{[ciclohexil(etil)amino]carbonil}-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[2-({[(2,4-
350 difluorofenil)amino]carbonil}oxi)etil]amino}metil)propil
3-[(dipropilamino)carbonil]-5-metilbenzoato

clorhidrato de (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-
352 {[(3-etilbenzil)amino]metil}propil3-{[(2-2-
(metoximetil)pirrolidin-1-il]carbonil}-5-metilbenzoato

(1R,2S)-2-amino-3-(3-fluoro-4-metilfenil)-1-{[(3-
354 metoxibenzil)amino]metil}propil 3-(aminocarbonil)-5-
[(dipropilamino)carbonil]benzoato

(1R,2S)-2-amino-3-(3-bromofenil)-1-{[(3-
356 metilbutil)amino]metil}propil 3-(aminocarbonil)-5-
(dipropilamino)carbonil]benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-
358 etilbenzil)amino]metil}propil 2,8-dimetilquinolino-3-
carboxilato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(6-
360 hidroxihexil)amino]metil}propil 3-
[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[(2R)-2-
362 hidroxipropil]amino}metil)propil 3-
[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-
364 fenilpropil 3-[(1-propilbutil)sulfonil]propanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-
366 etilbenzil)amino]metil}propil 3-{[(2-hidroxi-1,1-
dimetiletil)amino]sulfonil}benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(4-
368 fenilbutil)amino]metil}propil 3-
[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-
370 yodobenzil)amino]metil}propil 7-(1H-imidazol-1-il)-5,6-
dihidronaftaleno-2-carboxilato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-
372 etilbenzil)amino]metil}propil 3-(acetilamino)-4-
metilbenzoato

(1R,2S)-2-amino-1-({[2-(aminosulfonil)etil]amino}metil)-
374 3-(3,5-difluorofenil)propil 3-[(dipropilamino)carbonil]-
5-metilbenzoato

376 (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[2-

(etiltio)etil]amino}metil)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

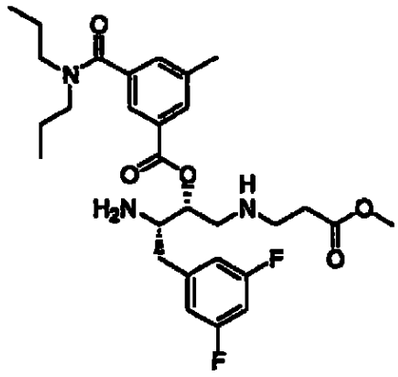
378 (1R,2S)-2-amino-3-ciclohexil-1-{[(3-metoxibenzil)amino]metil}propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

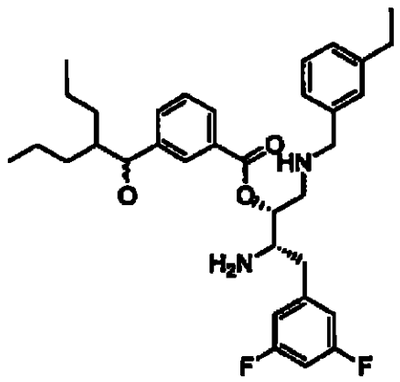
380 (1R,2S)-2-amino-1-{[benzil (cianometil)amino]metil}-3-(3,5-difluorofenil)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

382 (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(2-hidroxipropil)amino]metil}propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

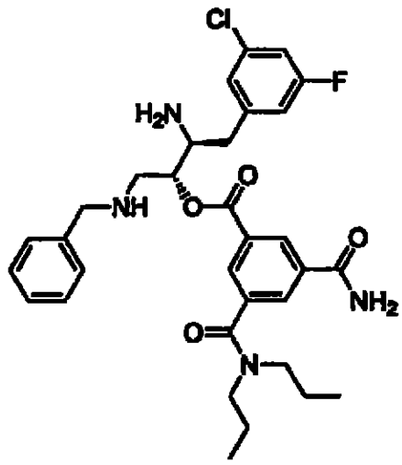
384 (1R,2S)-2-amino-1-{[(3-butoxipropil)amino]metil}-3-(3,5-difluorofenil)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

386 (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-{[2-(2-hidroxietil)piperidin-1-il]carbonil}-5-metilbenzoato

388 

390 

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-(1-hidroxi-2-propilpentil)benzoato

392 

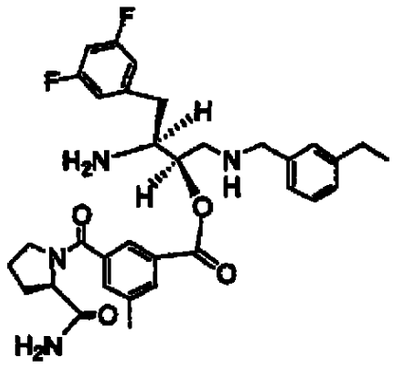
(1R,2S)-2-amino-1-[(benzilamino)metil]-3-(3-cloro-5-fluorofenil)propil 3-(aminocarbonil)-5-[(dipropilamino)carbonil]benzoato

394 trifluoroacetato de (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 4-[(metilsulfonil)amino]butanoato

396 (1R,2S)-2-amino-1-({[3-(1-benzotien-2-il)benzil]amino}metil)-3-(3,5-difluorofenil)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

398 (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-(benziloxi)isoxazol-5-carboxilato

400 trifluoroacetato de (1R,2S)-2-amino-1-{[(ciclopropilmetil)amino]metil}-3-(3,5-difluorofenil)propil N-[(benziloxi)carbonil]-3-[(1-propilbutil)sulfonil]alaninato

402 

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-
404 etilbenzil)amino]metil}propil 5-(1H-pirazol-1-
il)pentanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-
406 etilbenzil)amino]metil}propil 1-(2-furilmetil)-5-
oxopirrolidina-3-carboxilato

clorhidrato de (1R,2S)-2-amino-1-1[(3-
408 metoxibenzil)amino]metil}-3-fenilpropil 2-etilhexanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(5-
410 hidroxipentil)amino]metil}propil 3-
[(dipropilamino)carbonil]-5-metilbenzoato

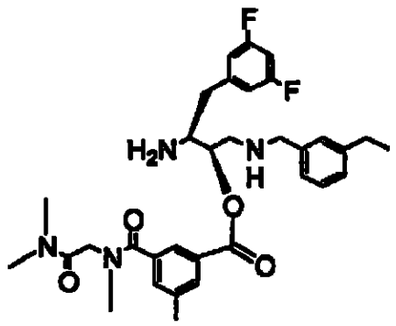
(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-
412 metoxibenzil)amino]metil}propil 3-
[(dipropilamino)carbonil]piperidino-1-carboxilato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-
414 metoxibenzil)amino]metil}propil 3-
[(dietilamino)carbonil]piperidino-1-carboxilato

(1R,2S)-2-amino-3-(pentafluorofenil)-1-({[3-
416 (trifluorometil)benzil]amino}metil)propil 3-bromo-5-
[(dipropilamino)carbonil]benzoato

418 (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

etilbenzil)amino]metil}propil 4-
[(metilsulfonil)amino]benzoato

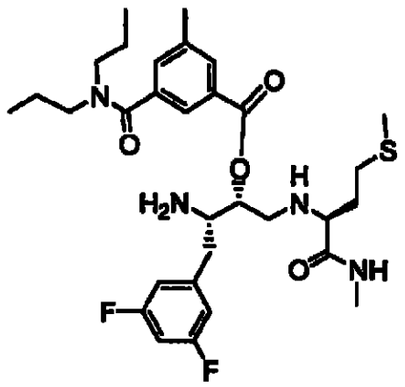
420 

(1R,2S)-2-amino-3-(3-bromofenil)-1-{[(3-
422 metoxibenzil)amino]metil}propil 3-
[(dipropilamino)sulfonil]propanoato

(1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-(2-
424 tienil)propil 3-[(dipropilamino)sulfonil]propanoato

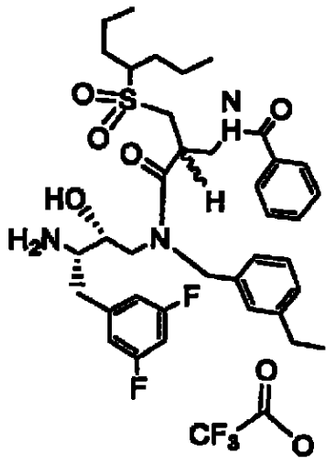
(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-
426 etoxipropil)amino]metil}propil 3-
[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-1-[(benzilamino)metil]-3-(2-
428 tienil)propil 3-[(dipropilamino)carbonil]-5-
metilbenzoato

430 

clorhidrato de (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-
432 {[(3-etilbenzil)amino]metil}propil 2-hidroxi-4-
(fenilsulfonil)butanoato

434	(1R,2S)-2-amino-3-(3,5-diclorofenil)-1-{[(3-metilbutil)amino]metil}propil 3-(aminocarbonil)-5-[(dipropilamino)carbonil]benzoato
436	(1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-[3-(trifluorometoxi)fenil]propil 3-(aminocarbonil)-5-[(dipropilamino)carbonil]benzoato
259	N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N'-etil-N'-(3-etilbenzil)-N-isopropil-5-metilisoftalamida
261	N-[(2R,3S)-3-amino-2-hidroxi-4-(2-tienil)butil]-N-(3-metoxibenzil)-5-metil-N',N'-dipropilisoftalamida
263	N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-3-{[(2-hidroxietil)(propil)amino]sulfonil}propanamida
265	N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-N'-isopropil-N',5-dimetilisoftalamida
267	N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-2-[(metilsulfonil)amino]-1,3-tiazol-4-carboxamida
269	N-alil-N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-ciclopentil-N-(3-etilbenzil)-5-metilisoftalamida

271 

273 N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-3-[(3-metilbutil)sulfonil]propanamida

275 N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-5-metil-N^1-[3-(5-metil-2-tienil)benzil]-N',N'-dipropilisoftalamida

277 N^1-[(2R,3S)-3-amino-2-hidroxi-4-(3-metoxifenil)butil]-N^1-(3-metoxibenzil)-N^3,N^3-dipropilbenceno-1,3,5-tricarboxamida

279 N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-5-metil-N-(1-metilhexil)-N',N'-dipropilisoftalamida

281 N-[1-(aminocarbonil)ciclohexil]-N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-5-metil-N',N'-dipropilisoftalamida

283 N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-[(2E)-hex-2-en-1-il]-5-metil-N',N'-dipropilisoftalamida

285 N-[(2R,3S)-3-amino-4-(4-fluorofenil)-2-hidroxibutil]-N-(3-metoxibenzil)-5-metil-N-dipropilisoftalamida

287	N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-3-hidroxiisoxazol-5-carboxamida
289	N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-{3-[(1E)-hex-1-en-1-il]benzil}-5-metil-N',N'-dipropilisoftalamida
291	N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-N'-isopropil-5-metilisoftalamida
293	N^1-[(2R,3S)-3-amino-2-hidroxi-4-(2-tienil)butil]-N^1-(3-metoxibenzil)-N^3,N^3-dipropilbenceno-1,3,5-tricarboxamida
295	2-(3-{2-[[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil](3-yodobenzil)amino]-2-oxoetil}fenoxi)acetamida
297	N-[(2R,3S)-3-amino-4-(3-bromofenil)-2-hidroxibutil]-N-(3-metoxibenzil)-5-metil-N',N'-dipropilisoftalamida
299	N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(2-etilhexil)-5-metil-N',N'-dipropilisoftalamida
301	N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-[3-(6-metoxipiridin-3-il)benzil]-5-metil-N',N'-dipropilisoftalamida
303	N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-[3-(2,4-dimetoxipirimidin-5-il)benzil]-5-metil-N',N'-dipropilisoftalamida
305	N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-3-(2-

etilbutanoil)benzamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-

307 hidroxibutil]-N-(3-etilbenzil)-3-[(4-hidroxipiperidin-1-il)carbonil]-5-metilbenzamida

N^1-[(2R,3S)-3-amino-4-(3-bromofenil)-2-hidroxibutil]-N^1-

309 (3-metoxibenzil)-N^3,N^3-dipropilbenceno-1,3,5-tricarboxamida

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

311 yodobenzil)amino]metil}propil 4-[2'-(aminocarbonil)bifenil-4-il]-4-oxobutanoato

1-(3-{[[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-

313 hidroxibutil] (3-etilbenzil)amino]carbonil}-5-metilbenzoil)-1-prolinamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-

315 hidroxibutil]-N-(3-etilbenzil)-3-[(3-hidroxipiperidin-1-il)carbonil]-5-metilbenzamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-

317 hidroxibutil]-N-(3-hidroxi-1-fenilpropil)-5-metil-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-

319 hidroxibutil]-N'-[2-(dimetilamino)etil]-N'-etil-N-(3-etilbenzil)-5-metilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-

321 hidroxibutil]-N-(3-etilbenzil)-4-metil-4H,6H-pirrolo [1,2-a] [4,1] benzoxazepina-4-carboxamida

2-(5-acetil-2-tienil)-N-[(2R,3S)-3-amino-4-(3,5-

323 difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)acetamida

N^1-[(2R,3S)-3-amino-4-(3,5-diclorofenil)-2-

325 hidroxibutil]-N^1-(3-metoxibenzil)-N^3,N^3-dipropilbenceno-1,3,5-tricarboxamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-

327 hidroxibutil]-N-(3-etilbenzil)-N',N'-diisopropil-5-metilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-

329 hidroxibutil]-N-(3-etilbenzil)-3-[(metilsulfonil)amino]benzamida

N^1-[(2R,3S)-3-amino-4-(4-clorofenil)-2-hidroxibutil]-N^1-

331 (3-metoxibenzil)-N^3,N^3-dipropilbenceno-1,3,5-tricarboxamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-

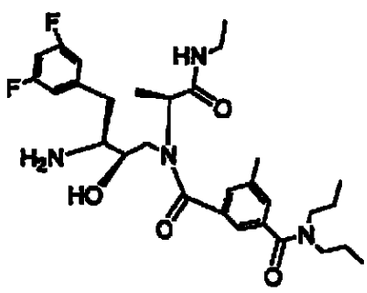
333 hidroxibutil]-N-(3-yodobenzil)-2-[4-(2-oxopirrolidin-1-il)fenil]acetamida

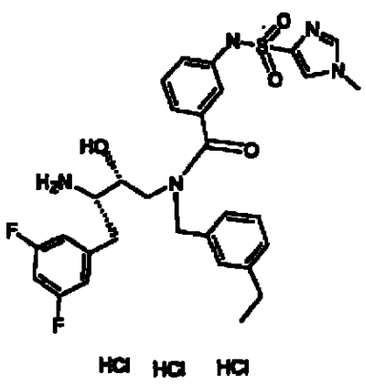
N-[(2R,3S)-3-amino-4-(3-cloro-5-fluorofenil)-2-

335 hidroxibutil]-3-[(dipropilamino)sulfonil]-N-(3-metoxibenzil)propanamida

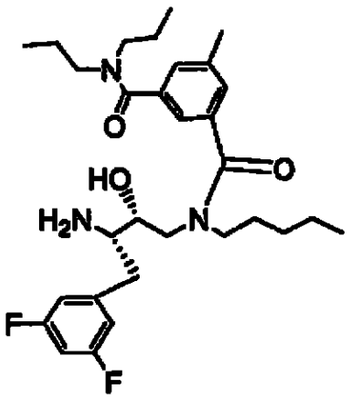
N^1-[(2R,3S)-3-amino-4-(3-cloro-5-fluorofenil)-2-

337 hidroxibutil]-N^1-(3-metilbutil)-N^3,N^3-dipropilbenceno-1,3,5-tricarboxamida

339 

341 

triclorhidrato de N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-3-{[(1-metil-1H-imidazol-4-il)sulfonil]amino}benzamida

343 

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-N-hidroxibutil]-5-metil-N-pentil-N',N'-dipropilisoftalamida

345 N^1-[(2R,3S)-3-amino-4-(4-fluorofenil)-2-hidroxibutil]-N^1-(3-metoxibenzil)-N^3,N^3-dipropilbenceno-1,3,5-tricarboxamida

347 N-[(2R,3S)-3-amino-4-(3-cloro-5-fluorofenil)-2-hidroxibutil]-N-benzil-5-metil-N',N'-dipropilisoftalamida

349 N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N'-ciclohexil-N'-etil-N-(3-etilbenzil)-5-metilisoftalamida

351 2-([(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]{3-[(dipropilamino)carbonil]-5-metilbenzoil}amino)etil (2,4-difluorofenil)carbamato

353 clorhidrato de N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-3-{[(25)-2-

(metoximetil)pirrolidin-1-il]carbonil}-5-metilbenzamida

N^1-[(2R,3S)-3-amino-4-(3-fluoro-4-metilfenil)-2-

355 hidroxibutil]-N^1-(3-metoxibenzil)-N^3,N^3-dipropilbenceno-1,3,5-tricarboxamida

N^1-[(2R,3S)-3-amino-4-(3-bromofenil)-2-hidroxibutil]-N^1-

357 (3-metilbutil)-N^3,N^3-dipropilbenceno-1,3,5-tricarboxamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-

359 hidroxibutil]-N-(3-etilbenzil)-2,8-dimetilquinolino-3-carboxamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-

361 hidroxibutil]-N-(6-hidroxihexil)-5-metil-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenilj-2-

363 hidroxibutil]-N-[(2R)-2-hidroxipropil]-5-metil-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-2-hidroxi-4-fenilbutil]-N-(3-

365 metoxibenzil)-3-[(1-propilbutil)sulfonil]propanamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-

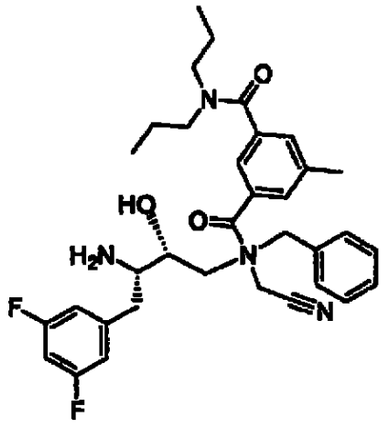
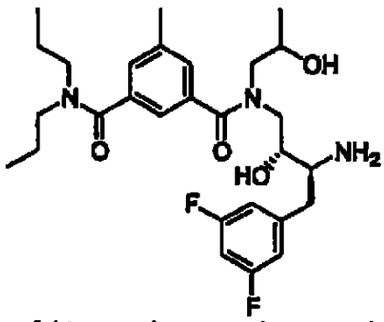
367 hidroxibutil]-N-(3-etilbenzil)-3-{[(2-hidroxi-1,1-dimetiletil)amino]sulfonil}benzamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-

369 hidroxibutil]-5-metil-N-(4-fenilbutil)-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-

371 hidroxibutil]-7-(1H-imidazol-1-il)-N-(3-yodobenzil)-5,6-dihidronaftaleno-2-carboxamida

373	3-(acetilamino)-N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-4-metilbenzamida
375	N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-[2-(aminosulfonil)etil]-5-metil-N',N'-dipropilisoftalamida
377	N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-[2-(etiltio)etil]-5-metil-N',N'-dipropilisoftalamida
379	N-[(2R,3S)-3-amino-4-ciclohexil-2-hidroxibutil]-N-(3-metoxibenzil)-5-metil-N',N'-dipropilisoftalamida
381	
383	 N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(2-hidroxipropil)-5-metil-N',N'-dipropilisoftalamida
385	N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-butoxipropil)-5-metil-N',N'-dipropilisoftalamida
387	N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-3-{[2-(2-

hidroxietil)piperidin-1-il]carbonil}-5-metilbenzamida

389 metil N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-{3-[(dipropilamino)carbonil]-5-metilbenzoil}-ß-alaninato

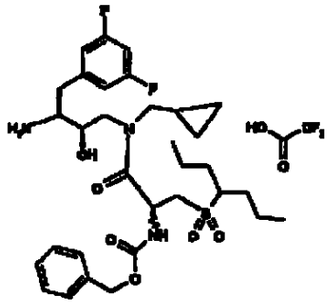
391 N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-3-(1-hidroxi-2-propilpentil)benzamida

393 N^1-[(2R,3S)-3-amino-4-(3-cloro-5-fluorofenil)-2-hidroxibutil]-N^1-benzil-N^3,N^3-dipropilbenceno-1,3,5-tricarboxamida

395 (sal) trifluoroacetato de N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-4-[(metilsulfonil)amino]butanamida

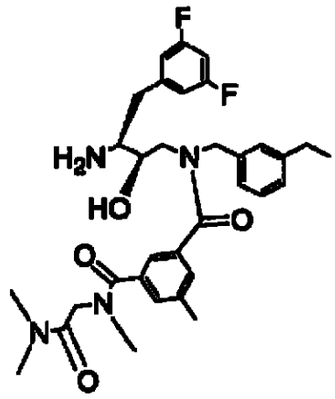
397 N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-[3-(1-benzotien-2-il)benzil]-5-metil-N',N'-dipropilisoftalamida

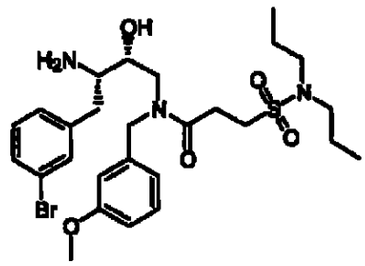
399 N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-3-(benziloxi)-N-(3-etilbenzil)isoxazol-5-carboxamida

401 

403 1-(3-{[[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil] (3-etilbenzil)amino]carbonil}-5-metilbenzoil)-D-prolinamida

405	N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-5-(1H pirazol-1-il) pentanamida
407	N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-1-(2-furilmetil)-5-oxopirrolidina-3-carboxamida
409	Clorhidrato de N-[(2R,3S)-3-amino-2-hidroxi-4-fenilbutil]-2-etil-N-(3-metoxibenzil)hexanamida
411	N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(5-hidroxipentil)-5-metil-N',N'-dipropilisoftalamida
413	N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N^1-(3-metoxibenzil)-N^3,N^3-dipropilpiperidino-1,3-dicarboxamida
415	N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N^3,N^3-dietil-N^1-(3-metoxibenzil)piperidino-1,3-dicarboxamida
417	N-[(2R,3S)-3-amino-2-hidroxi-4-(pentafluorofenil)butil]-5-bromo-N',N'-dipropil-N-[3-(trifluorometil)benzil]isoftalamida
419	N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-4-[(metilsulfonil)amino]benzamida

421 

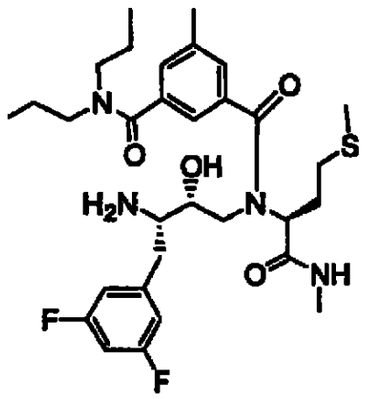
423 

N-[(2R,3S)-3-amino-4-(3-bromofenil)-2-hidroxibutil]-3-[(dipropilamino)sulfonil]-N-(3-metoxibenzil)propanamida

425 N-[(2R,3S)-3-amino-2-hidroxi-4-(2-tienil)butil]-3-[(dipropilamino)sulfonil]-N-(3-metoxibenzil)propanamida

427 N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etoxipropil)-5-metil-N',N'-dipropilisoftalamida

429 N-[(2R,3S)-3-amino-2-hidroxi-4-(2-tienil)butil]-N-benzil-5-metil-N',N'-dipropilisoftalamida

431 

433 clorhidrato de N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-2-hidroxi-4-(fenilsulfonil)butanamida

N^1-[(2R,3S)-3-amino-4-(3,5-diclorofenil)-2-

435 hidroxibutil]-N^1-(3-metilbutil)-N^3,N^3-dipropilbenceno-

1,3,5-tricarboxamida

N^1-(2R,3S)-3-amino-2-hidroxi-4-[3-

437 (trifluorometoxi)fenil]butil}-N^1-(3-metoxibenzil)-N^3,N^3-

dipropilbenceno-1,3,5-tricarboxamida

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3,3-

438 dimetilbutil)amino]metil}propil 3-

[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-1-[(benzilamino)metil]-3-(3-

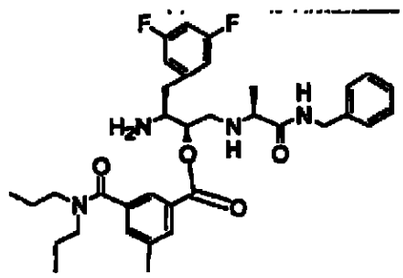
440 bromofenil)propil 3-(aminocarbonil)-5-

[(dipropilamino)carbonil]benzoato

(1R,2S)-2-amino-3-(3-cloro-5-fluorofenil)-1-{[(3-

442 metilbutil)amino]metil}propil 3-

[(dipropilamino)carbonil]-5-metilbenzoato

444 

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(1,3-

446 difenilpropil)amino]metil}propil 3-

[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[(1S)-1-

448 (hidroximetil)propil]amino}metil)propil 3-

[(dipropilamino)carbonil]benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[(3S)-2-

450 oxoazepan-3-il]amino}metil)propil 3-

[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

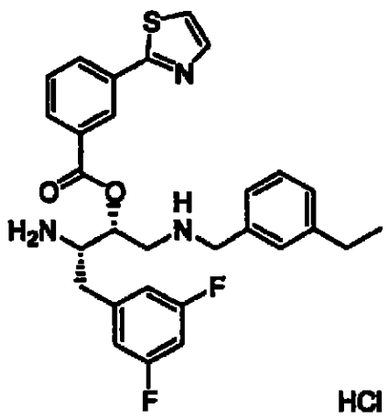
452 etilbenzil)amino]metil}propil 5-(ciclohexilamino)-5-oxopentanoato

(1R,2S)-2-amino-1-[(3-metoxibenzil)amino]metil}-3-(3-

454 metilfenil)propil 3-(aminocarbonil)-5-[(dipropilamino)carbonil]benzoato

Trifluoroacetato de (1R,2S)-2-amino-3-(3,5-

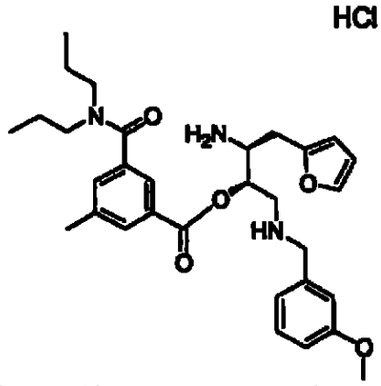
456 difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-[(2-propilpentilsulfonil]-ß-alaninato



458 dihidrocloruro de (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-(1,3-tiazol-2-il)benzoato

HCl

HCl



460 (1R,2S)-2-amino-3-(2-furil)-1-{[(3-metoxibenzil)amino]metil}propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-[({3-

462 [metil(fenil)amino]propil}amino)metil]propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-(4-

464 metilfenil)propil 3-(aminocarbonil)-5-

[(dipropilamino)carbonil]benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

466 etilbenzil)amino]metil}propil 5-oxo-1-(2-

tienilmetil)pirrolidina-3-carboxilato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

468 etilbenzil)amino]metil}propil 4-[(butiltio)metil]-5-

metil-2-furoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

470 etilbenzil)amino]metil}propil 3-{[(2-

hidroxietil)amino]sulfonil}benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

472 etilbenzil)amino]metil}propil N-[3-

(trifluorometil)benzoil]glicinato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

474 metilciclohexil)amino]metil}propil 3-

[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

476 etilbenzil)amino]metil}propil 4-(2-oxo-1,3-oxazolidin-3-

il)benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

478 etilbenzil)amino]metil}propil 4-(1H-pirrol-1-il)benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(6-metoxi-

480 1,2,3,4-tetrahidronaftalen-1-il)amino]metil}propil 3-

[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

482 etilbenzil)amino]metil}propil 1,3,4,5-

tetrahidrotiopirano [4,3-b] indol-8-carboxilato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

484 etilbenzil)amino]metil}propil 4-oxo-4-{[2-

(trifluorometil)fenil]amino}butanoato

(1R,2S)-2-amino-3-(3-bromofenil)-1-{[(3-

486 metilbutil)amino]metil}propil 3-

[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

488 etilbenzil)amino]metil}propil 4,5-dimetil-2-(1H-pirrol-

1-il)tiofeno-3-carboxilato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(2,3-

490 dihidroxipropil)amino]metil}propil 3-

[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[(2S)-2-

492 hidroxipropil]amino}metil)propil 3-

[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[(1R)-1-

494 metilpropil]amino}metil)propil 3-

[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

496 etilbenzil)amino]metil}propil 2-cloro-4-

(metilsulfonil)benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(2-

498 hidroxietil)amino]metil}propil 3-

[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metill-3-(3-

500 metoxifenil)propil 3-[(dipropilamino)sulfonil]propanoato

502 clorhidrato de (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-

{[(3-etilbenzil)amino]metil}propil 3-{metil[(trifluorometil)sulfonil]amino}benzoato

504 (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-hidroxi-6-(1-hidroxi-2,2-dimetilpropil)piridino-2-carboxilato

506 (1R,2S)-2-amino-1-{[(1,3-diciclohexilpropil)amino]metil}-3-(3,5-difluorofenil)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

508 (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 2,2'-bitiofeno-5-carboxilato

510 (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 4-(1H-imidazol-1-il)butanoato

512 (1R,2S)-2-amino-3-(3,5-difluorophonil)-I-I[(3-etilbenzil)amino]metil}propil 2,3-dihidroxi-4-[(4-metoxifenil)amino]-4-oxobutanoato

514 (1R,2S)-2-amino-1-[(benzilamino)metil]-3-(4-hidroxifenil)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

516 (1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-[3-(trifluorometil)fenil]propil 3-(aminocarbonil)-5-[(dipropilamino)carbonil]benzoato

518 (1R,2S)-2-amino-1-[(benzilamino)metil]-3-(2-tienil)propil 3-(aminocarbonil)-5-[(dipropilamino)carbonil]benzoato

(1R,2S)-2-amino-1-({[2-(aminocarbonil)-1H-indol-6-
520 il]amino}metil)-3-(3,5-difluorofenil)propil 3-
[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-1-[(benzilamino)metil]-3-(3-
522 bromofenil)propil 3-[(dipropilamino)carbonil]-5-
metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-
524 etilbenzil)amino]metil}propil N-[4-
(trifluorometil)benzoil]glicinato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-
526 etilbenzil)amino]metil}propil 2-(1-oxo-1,3-dihidro-2H-
isoindol-2-il)butanoato

(1R,2S)-2-amino-3-(3,5-fluorofenil)-1-{[(3-
528 etilbenzil)amino]metil}propil N-(3,4-
diclorobenzoil)glicinato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-
530 etilbenzil)amino]metil}propil 3-cloro-4-
(metilsulfonil)tiofeno-2-carboxilato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(1-
532 etilpropil)amino]metil}propil 3-
[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-[({[(5R)-3-etil-
534 2-oxo-1,3-oxazolidin-5-il]metil}amino)metil]propil 3-
[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-
536 etilbenzil)amino]metil}propil 5-metil-7-
(trifluorometil)pirazolo [1,5-a] pirimidino-2-

carboxilato

clorhidrato de (1R,2S)-2-amino-1-{[(3-

538 metoxibenzil)amino]metil}-3-fenilpropil N-

[(metiltio)acetil]-3-[(1-propilbutil)sulfonil]alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-[(2,3-

540 dimetilciclohexil)amino]metil}propil 3-

[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

542 etilbenzil)amino]metil}propil 4,5-dimetoxi-1-

benzotiofeno-2-carboxilato

(1R,2S)-2-amino-3-[3-fluoro-5-(trifluorometil)fenil]-1-

544 {[(3-metilbutil)amino]metil}propil 3-(aminocarbonil)-5-

[(dipropilamino)carbonil]benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-[({[(5S)-3-etil-

546 2-oxo-1,3-oxazolidin-5-il]metil}amino)metil]propil 3-

[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(1,3-benzodioxol-5-il)-1-{[(3-

548 metoxibenzil)amino]metil}propil 3-(aminocarbonil)-5-

[(dipropilamino)carbonil]benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

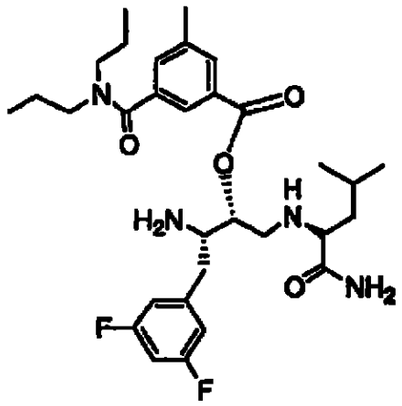
550 etilbenzil)amino]metil}propil 4-(3,5-dioxo-1,2,4-

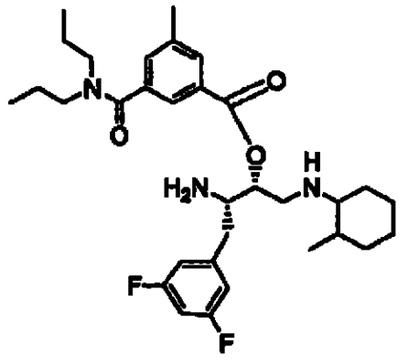
triazolidin-4-il)benzoato

clorhidrato de (1R,2S)-2-amino-1-{[(3-

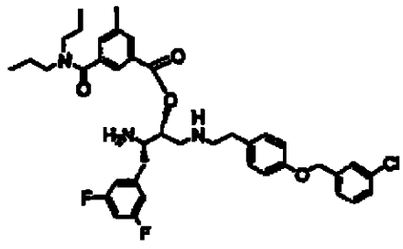
552 metoxibenzil)amino]metil}-3-fenilpropil 2-hidroxi-3-[(3-

metoxifenil)sulfonil]propanoato

554 

556 

(1R,2S)-2-amino-3-(3,5-difluorofenil)-metilciclohexil)amino]metil}propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

558 

(1R,2S)-2-amino-1-{[(2-{4-[(3-clorobenzil)oxi]fenil}etil)amino]metil}-3-(3,5-difluorofenil)propil [(dipropilamino)carbonil]-5-metilbenzoato

560 (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 2-hidroxi-4-oxo-4-(3-tienil)butanoato

562 (1R,2S)-2-amino-3-[3-(benziloxi)-5-fluorofenil]-1-{[(3-metoxibenzil)amino]metil}propil 3-(aminocarbonil)-5-[(dipropilamino)carbonil]benzoato

564 (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 2-hidroxi-4-oxo-4-[3-(trifluorometil)fenil]butanoato

566 (1R,2S)-2-amino-1-{[(3-metilbutil)amino]metil}-3-[3-

(trifluorometoxi)fenil]propil 3-(aminocarbonil)-5-[(dipropilamino)carbonil]benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[1-(hidroximetil)-3-(metiltio)propil]amino}metil)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 2-(1H-1,2,3-benzotriazol-1-il)hexanoato

(1R,2S)-2-amino-3-(3-fluoro-4-metilfenil)-1-{[(3-metilbutil)amino]metil}propil 3-(aminocarbonil)-5-[(dipropilamino)carbonil]benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-(4,4-dimetil-2,5-dioxoimidazolidin-1-il)-2-{[(1-propilbutil)sulfonil]metil}propanoato

trifluoroacetato de (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 4-{[(trifluorometil)sulfonil]amino}butanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil (5-metil-1,3-dioxo-1,3-dihidro-2H-isoindol-2-il)acetato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-hidroxipropil)amino]metil}propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[1-(hidroximetil)propil]amino}metil)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-1-[(benzilamino)metil]-3-(3,5-

584 diclorofenil)propil 3-(aminocarbonil)-5-

[(dipropilamino)carbonil]benzoato

clorhidrato de (1R,2S)-2-amino-1-{[(3-

586 metoxibenzil)amino]metil}-3-fenilpropil 3-{[(2-

hidroxietil)(propil)amino]sulfonil}propanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

588 etilbenzil)amino]metil}propil 5-(benziltio)nicotinato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

590 etilbenzil)amino]metil}propil 1H-pirazol-5-carboxilato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

592 etilbenzil)amino]metil}propil 6-cloro-3-metil-2-oxo-2,3-

dihidro-1,3-benzoxazol-5-carboxilato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

594 etilbenzil)amino]metil}propil 1H-benzimidazol-2-

carboxilato

(1R,2S)-2-amino-3-ciclohexil-1-{[(3-

596 metoxibenzil)amino]metil}propil 3-(aminocarbonil)-5-

(dipropilamino)carbonil]benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

598 etilbenzil)amino]metil}propil 6-hidroxi-4,7-dimetoxi-1-

benzofuran-5-carboxilato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(4-

600 metilciclohexil)amino]metil}propil 3-

[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

602 etilbenzil)amino]metil}propil [1,2,4] triazolo [4,3-a]

piridino-6-carboxilato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

604 etilbenzil)amino]metil}propil 2-hidroxi-4-oxo-4-(2-

tienil)butanoato

(1R,2S)-2-amino-1-[(benzilamino)metil]-3-(3,5-

606 diclorofenil)propil 3-[(dipropilamino)carbonil]-5-

metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

608 etilbenzil)amino]metil}propil 4-(2-hidroxi-5-

metilfenil)-4-oxobutanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

610 etilbenzil)amino]metil}propil 3-fenoxibenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

612 etilbenzil)amino]metil}propil 4-

[(aminocarbonil)amino]benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[(1S)-1-

614 (hidroximetil)-3-(metiltio)propil]amino}metil)propil 3-

[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

616 etilbenzil)amino]metil}propil 7-hidroxi-4-oxocromano-2-

carboxilato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[(1S)-1-

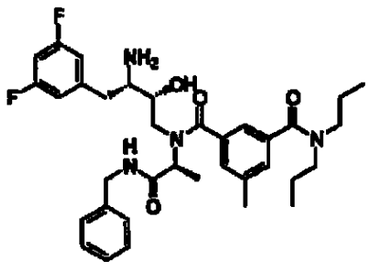
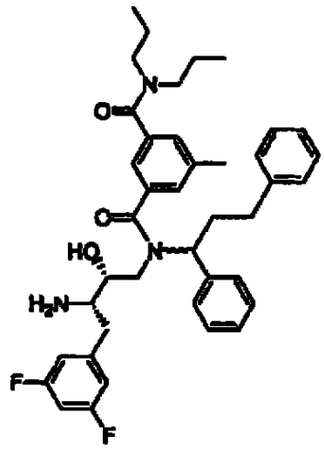
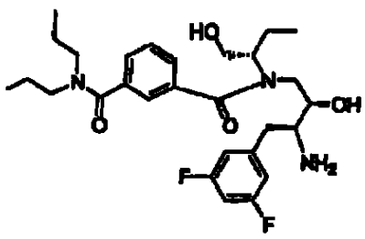
618 (hidroximetil)-3-metilbutil]amino}metil)propil 3-

[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[(1R)-1-

620 (hidroximetil)propil]amino}metil)propil 3-

[(dipropilamino)carbonil]benzoato

622	(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(1-metil-3-fenilpropil)amino]metil}propil 3-[(dipropilamino)carbonil]-5-metilbenzoato	
439	N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3,3-dimetilbutil)-5-metil-N-dipropilisoftalamida	
441	N^1-[(2R,3S)-3-amino-4-(3-bromofenil)-2-hidroxibutil]-N^1-benzil-N^3,N^3-dipropilbenceno-1,3,5-tricarboxamida	
443	N-[(2R,3S)-3-amino-4-(3-cloro-5-fluorofenil)-2-hidroxibutil]-5-metil-N-(3-metilbutil)-N',N'-dipropilisoftalamida	
445		
447		N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(1,3-difenilpropil)-5-metil-N',N'-dipropilisoftalamida
449		N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-[(1S)-1-(hidroximetil)propil]-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-5-metil-N-[(3s)-2-oxoazepan-3-il]-N',N'-dipropilisoftalamida

451

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-ciclohexil-N-(3-etilbenzil)pentanodiamida

453

N'-[(2R,3S)-3-amino-2-hidroxi-4-(3-metilfenil)butil]-N^1-(3-, metoxibenzil)-N^3,N^3-dipropilbenceno-1,3,5-tricarboxamida

455

(sal) trifluoroacetato N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N^1-(3-etilbenzil)-N^3,N^3-[(2-propilpentil)sulfonil]-β-alaninamida

457

Dihidrocloruro de N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-3-(1,3-tiazol-2-il)benzamida

459

N-[(2R,3S)-3-amino-4-(2-furil)-2-hidroxibutil]-N-(3-metoxibenzil)-5-metil-N',N'-dipropilisoftalamida

461

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-5-metil-N-{3-[metil(fenil)amino]propil}-N',N'-dipropilisoftalamida

463

N^1-[(2R,3S)-3-amino-2-hidroxi-4-(4-metilfenil)butil]-N^1-(3-metoxibenzil)-N^3,N^3-dipropilbenceno-1,3,5-tricarboxamida

465

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-5-oxo-1-(2-tienilmetil)pirrolidina-3-carboxamida

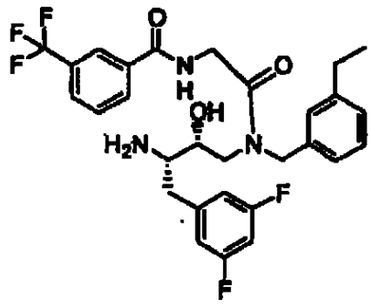
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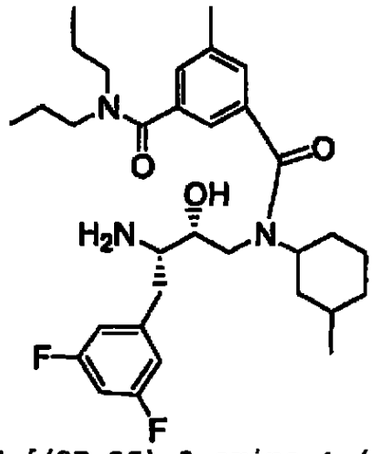
N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-

469

hidroxibutil]-4-[(butiltio)metil]-N-(3-etilbenzil)-5-metil-2-furamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-3-{[(2-hidroxietil)amino]sulfonil}benzamida

473 



475 N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-5-metil-N-(3-metilciclohexil)-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-4-(2-oxo-1,3-oxazolidin-3-il)benzamida

477

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-4-(1H-pirrol-1-il)benzamida

479

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(6-metoxi-1,2,3,4-tetrahidronaftalen-1-il)-5-metil-N',N'-dipropilisoftalamida

481

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-1,3,4,5-

483

tetrahidrotiopirano [4,3-b] indol-8-carboxamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-

485 hidroxibutil]-N-(3-etilbenzil)-N-[2-

(trifluorometil)fenil]succinamida

N-[(2R,3S)-3-amino-4-(3-bromofenil)-2-hidroxibutil]-5-

487 metil-N-(3-metilbutil)-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-

489 hidroxibutil]-N-(3-etilbenzil)-4,5-dimetil-2-(1H-pirrol-

1-il)tiofeno-3-carboxamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-

491 hidroxibutil]-N-(2,3-dihidroxipropil)-5-metil-N',N'-

dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-

493 hidroxibutil]-N-[(2S)-2-hidroxipropil]-5-metil-N',N'-

dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-

495 hidroxibutil]-5-metil-N-[(1R)-1-metilpropil]-N',N'-

dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-

497 hidroxibutil]-2-cloro-N-(3-etilbenzil)-4-

(metilsulfonil)benzamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-

499 hidroxibutil]-N-(2-hidroxietil)-5-metil-N',N'-

dipropilisoftalamida

N-[(2R,3S)-3-amino-2-hidroxi-4-(3-metoxifenil)butil]-3-

501 [(dipropilamino)sulfonil]-N-(3-metoxibenzil)propanamida

503 clorhidrato de N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-

2-hidroxibutil]-N-(3-etilbenzil)-3-{metil[(trifluorometil)sulfonil]amino}benzamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-3-hidroxi-6-(1-hidroxi-2,2-dimetilpropil)piridino-2-carboxamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(1,3-diciclohexilpropil)-5-metil-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-2,2'-bitiofeno-5-carboxamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-4-(1H-imidazol-1-il)butanamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-2,3-dihidroxi-N-(4-metoxifenil)succinamida

N-[(2R,3S)-3-amino-2-hidroxi-4-(4-hidroxifenil)butil]-N-benzil-5-metil-N',N'-dipropilisoftalamida

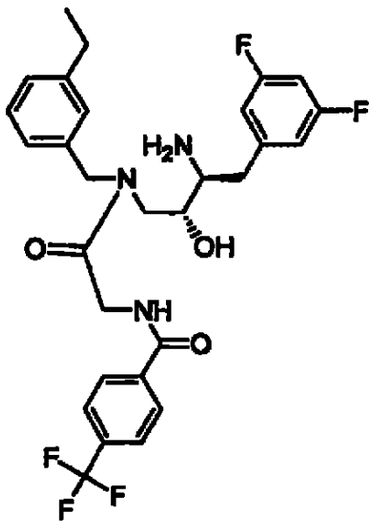
N^1-(2R,3S)-3-amino-2-hidroxi-4-[3-(trifluorometil)fenil]butil)-N^1-(3-metoxibenzil)-N^3,N^3-dipropilbenceno-1,3,5-tricarboxamida

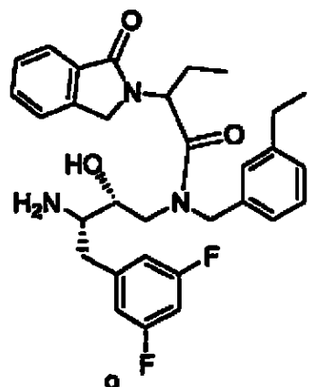
N^1-[(2R,3S)-3-amino-2-hidroxi-4-(2-tienil)butil]-N^1-benzil-N^3,N^3-dipropilbenceno-1,3,5-tricarboxamida

N-[2-(aminocarbonil)-1H-indol-6-il]-N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-5-metil-N',N'-dipropilisoftalamida

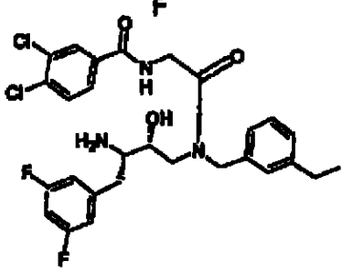
N-[(2R,3S)-3-amino-4-(3-bromofenil)-2-hidroxibutil]-N-

523 benzil-5-metil-N',N'-dipropilisoftalamida

525 



527 N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-2-(1-oxo-1,3-dihidro-2H-isoindol-2-il)butanamida



529

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-

531 hidroxibutil]-3-cloro-N-(3-etilbenzil)-4-(metilsulfonil)tiofeno-2-carboxamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-

533 hidroxibutil]-N-(1-etilpropil)-5-metil-N',N'-dipropilisoftalamida

535 N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-

hidroxibutil]-N-{[(5R)-3-etil-2-oxo-1,3-oxazolidin-5-il]metil}-5-metil-N',N'-dipropilisoftalamida

537 N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-5-metil-7-(trifluorometil)pirazolo [1,5-a] pirimidino-2-carboxamida

539 clorhidrato de N^1-[(2R,3S)-3-amino-2-hidroxi-4-fenilbutil]-N^1-(3-metoxibenzil)-N^2-[(metiltio)acetil]-3-[(1-propilbutil)sulfonil]alaninamida

541 N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(2,3-dimetilciclohexil)-5-metil-N',N'-dipropilisoftalamida

543 N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-4,5-dimetoxi-1-benzotiofeno-2-carboxamida

545 N'-(2R,3S)-3-amino-4-[3-fluoro-5-(trifluorometil)fenil]-2-hidroxibutil}-N^1-(3-metilbutil)-N^3,N^3-dipropilbenceno-1,3,5-tricarboxamida

547 N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-[(5)-3-etil-2-oxo-1,3-oxazolidin-5-il]metil}-5-metil-N',N'-dipropilisoftalamida

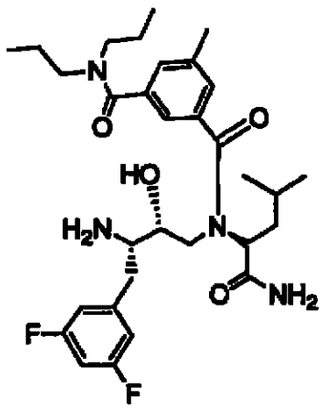
549 N^1-[(2R,3S)-3-amino-4-(1,3-benzodioxol-5-il)-2-hidroxibutil]-N^1-(3-metoxibenzil)-N^3,N^3-dipropilbenceno-1,3,5-tricarboxamida

551 N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-4-(3,5-dioxo-1,2,4-triazolidin-4-il)-N-(3-etilbenzil)benzamida

clorhidrato de N-[(2R,3S)-3-amino-2-hidroxi-4-

553 fenilbutil]-2-hidroxi-N-(3-metoxibenzil)-3-[(3-

metoxifenil)sulfonil]propanamida

555 

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-

557 hidroxibutil]-5-metil-N-(2-metilciclohexil)-N',N'-

dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-

559 hidroxibutil]-N-(2-{4-[(3-clorobenzil)oxi]fenil}etil)-5-

metil-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-

561 hidroxibutil]-N-(3-etilbenzil)-2-hidroxi-4-oxo-4-(3-

tienil)butanamida

N^1-{(2R,3S)-3-amino-4-[3-(benziloxi)-5-fluorofenil]-2-

563 hidroxibutil}-N^1-(3-metoxibenzil)-N^3,N^3-dipropilbenceno-

1,3,5-tricarboxamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-

565 hidroxibutil]-N-(3-etilbenzil)-2-hidroxi-4-oxo-4-[3-

(trifluorometil)fenil]butanamida

N-{(2R,3S)-3-amino-2-hidroxi-4-[3-

567 (trifluorometoxi)fenil]butil}-N'-(3-metilbutil)-N^3,N^3-

dipropilbenceno-1,3,5-tricarboxamida

N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N^1-[1-(hidroximetil)-3-(metiltio)propil]-5-metil-N',N'-dipropilisoftalamida

569

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-2-(1H-1,2,3-benzotriazol-1-il)-N-(3-etilbenzil)hexanamida

571

N^1-[(2R,3S)-3-amino-4-(3-fluoro-4-metilfenil)-2-hidroxibutil]-N^1-(3-metilbutil)-N^3,N^3-dipropilbenceno-1,3,5-tricarboxamida

573

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-3-(4,4-dimetil-2,5-dioxoimidazolidin-1-il)-N-(3-etilbenzil)-2-{[(1-propilbutil)sulfonil]metil}propanamida

575

(sal) trifluoroacetato de N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-4-{[(trifluorometil)sulfonillamino}butanamida

577

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-2-(5-metil-1,3-dioxo-1,3-dihidro-2H-isoindol-2-il)acetamida

579

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-hidroxipropil)-5-metil-N',N'-dipropilisoftalamida

581

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-[1-(hidroximetil)propil]-5-metil-N',N'-dipropilisoftalamida

583

N^1-[(2R,3S)-3-amino-4-(3,5-diclorofenil)-2-hidroxibutil]-N^1-benzil-N^3,N^3-dipropilbenceno-1,3,5-

585

tricarboxamida

Clorhidrato de N-[(2R,3S)-3-amino-2-hidroxi-4-

587 fenilbutil]-3-{[(2-hidroxietil)(propil)amino]sulfonil}-N-(3-metoxibenzil)propanamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-

589 hidroxibutil]-5-(benziltio)-N-(3-etilbenzil)nicotinamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-

591 hidroxibutil]-N-(3-etilbenzil)-1H-pirazol-5-carboxamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-

593 hidroxibutil]-6-cloro-N-(3-etilbenzil)-3-metil-2-oxo-2,3-dihidro-1,3-benzoxazol-5-carboxamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-

595 hidroxibutil]-N-(3-etilbenzil)-1H-benzimidazol-2-carboxamida

N^1-[(2R,3S)-3-amino-4-ciclohexil-2-hidroxibutil]-N^1-(3-

597 metoxibenzil)-N^3,N^3-dipropilbenceno-1,3,5-tricarboxamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-

599 hidroxibutil]-N-(3-etilbenzil)-6-hidroxi-4,7-dimetoxi-1-benzofuran-5-carboxamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-

601 hidroxibutil]-5-metil-N-(4-metilciclohexil)-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-

603 hidroxibutil]-N-(3-etilbenzil) [1,2,4] triazolo [4,3-a] piridino-6-carboxamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-

605 hidroxibutil]-N-(3-etilbenzil)-2-hidroxi-4-oxo-4-(2-

tienil)butanamida

607 N-[(2R,3S)-3-amino-4-(3,5-diclorofenil)-2-hidroxibutil]-N-benzil-5-metil-N',N'-dipropilisoftalamida

609 N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-4-(2-hidroxi-5-metilfenil)-4-oxobutanamida

611 N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-3-fenoxibenzamida

613 4-[(aminocarbonil)amino]-N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)benzamida

615 N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-[(1S)-1-(hidroximetil)-3-(metilthi)propil]-5-metil-N',N'-dipropilisoftalamida

617 N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-7-hidroxi-4-oxocromano-2-carboxamida

619 N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-[(1S)-1-(hidroximetil)-3-metilbutil]-5-metil-N',N'-dipropilisoftalamida

621 N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-[(1R)-1-(hidroximetil)propil]-N',N'-dipropilisoftalamida

623 N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-5-metil-N-(1-metil-3-fenilpropil)-N',N'-dipropilisoftalamida

624 (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 2-(2,3-dihidro-1-

benzofuran-5-il)-1,3-tiazol-4-carboxilato

(1R,2S)-2-amino-3-[3-(benziloxi)fenil]-1-{[(3-

626 metoxibenzil)amino]metilpropil 3-

[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(4-clorofenil)-1-{[(3-

628 metoxibenzil)amino]metil}il 3-

[(dipropilamino)sulfonil]propanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

630 etilbenzil)amino]metil]propil 3-oxo-3-

(pentilamino)propanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

632 etilbenzil)amino]metil}propil 3-

(trifluorometoxi)benzoato

(1R,2S)-2-amino-3-(3-fluoro-4-metilfenil)-1-{[(3-

634 metoxibenzil)amino]metil}propil 3-

[(dipropilamino)sulfonil]propanoato

(1R,2S)-2-amino-3-(3-cloro-5-fluorofenil)-1-{[(3-

636 metilbutil)amino]metil}propil 3-

[(dipropilamino)sulfonil]propanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

etilbenzil)amino]metil}propil3-(4,4-dimetil-2,5-

638 dioxoimidazolidin-1-il)-2-{[(1-

propilbutil)sulfonil]metil}propanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

640 etilbenzil)amino]metil}propil 4-{[4-

(acetilamino)fenil]amino}-4-oxobutanoato

642 (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

etilbenzil)amino]metil}propil 3-(1-cianoetil)benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

644 etilbenzil)amino]metil}propil 4-oxo-4-[(5-fenil-1,3,4-tiadiazol-2-il)amino]butanoato

(1R,2S)-2-amino-1-[(benzilamino)metil]-3-[3-

646 (trifluorometoxi)fenil]propil 3-(aminocarbonil)-5-[(dipropilamino)carbonil]benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[2-(2-oxo-2-

648 pirrolidin-1-iletoxi)fenil]amino}metil)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(4-clorofenil)-1-{[(3-

650 metilbutil)amino]metil}propil 3-(aminocarbonil)-5-[(dipropilamino)carbonil]benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

652 etilbenzil)amino]metil}propil (1,1-dioxidotetrahidro-2-tienil)acetato

(1R,2S)-2-amino-1-[(benzilamino)metil]-3-(4-

654 clorofenil)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

656 etilbenzil)amino]metil}propil 5-hex-1-in-1-ilnicotinato

(1R,2S)-2-amino-3-(3-bromofenil)-1-{[(3-

658 metilbutil)amino]metil}propil 3-[(dipropilamino)sulfonil]propanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

660 etilbenzil)amino]metil}propil 3-metoxiisoxazol-5-carboxilato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 2,3-dimetil-1H-indol-7-carboxilato

662

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 4-(3-clorofenil)-2-hidroxi-4-oxobutanoato

664

(1R,2S)-2-amino-3-(3-fluoro-4-metoxifenil)-1-{[(3-metoxibenzil)amino]metil}propil 3-(aminocarbonil)-5-[(dipropilamino)carbonil]benzoato

666

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil (1-metil-1H-indol-3-il)(oxo)acetato

668

(1R,2S)-2-amino-3-(3-fluoro-4-metilfenil)-1-{[(3-metilbutil)amino]metil}propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

670

(1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-(4-metilfenil)propil 3-[(dipropilamino)sulfonil]propanoato

672

(1R,2S)-2-amino-1-[(benzilamino)metil]-3-(3-fluoro-4-metilfenil)propil 3-(aminocarbonil)-5-[(dipropilamino)carbonil]benzoato

674

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil [5-(4-metilfenil)-2H-tekazol-2-il]acetato

676

(1R,2S)-2-amino-3-(3,5-diclorofenil)-1-{[(3-metoxibenzil)amino]metil}propil 3-[(dipropilamino)sulfonil]propanoato

678

(1R,2S)-2-amino-1-{[(3-metilbutil)amino]metil}-3-(2-

680

tienil)propil 3-(aminocarbonil)-5-[(dipropilamino)carbonil]benzoato

682 (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 5-metil-3-fenilisoxazol-4-carboxilato

684 (1R,2S)-2-amino-1-[(benzilamino)metil]-3-(4-fluorofenil)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

686 (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-[(metilsulfonil)acetil]-N-pentilglicinato

688 (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-(4-metoxibenzoil)glicinato

690 (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-(2,6-difluorobenzoil)glicinato

692 (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 4-(1H-indol-3-il)-4-oxobutanoato

694 (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 4-[(5-benzil-1,3,4-tiadiazol-2-il)amino]-4-oxobutanoato

696 (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 4-(3-fluoro-4-metoxifenil)-4-oxobutanoato

698 etil 4-{[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-({3-

[(dipropilamino)carbonil]-5-metilbenzoil}oxi)butil]amino}piperidino-1-carboxilato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 4-(2-fluorobenzoil)-1H-pirrol-2-carboxilato

700

(1R,2S)-2-amino-1-[(benzilamino)metil]-3-(4-clorofenil)propil 3-(aminocarbonil)-5-[(dipropilamino)carbonil]benzoato

702

(1R,2S)-2-amino-1-{[(3-metilbutil)amino]metil}-3-[3-(trifluorometil)fenil]propil 3-(aminocarbonil)-5-[(dipropilamino)carbonil]benzoato

704

(1R,2S)-2-amino-3-(4-hidroxifenil)-1-{[(3-metilbutil)amino]metil}propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

706

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil (4-morfolin-4-ilfenil)acetato

708

(1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-[3-(trifluorometoxi)fenil]propil 3-[(dipropilamino)sulfonil]propanoato

710

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 4-[benzil(1-ciclopropiletil)amino]-4-oxobutanoato

712

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-metoxibenzil)amino]metil}propil 3-(2,5-dimetilbenzoil)-5-metilbenzoato

714

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

716

etilbenzil)amino]metil}propil 4-[(2-metoxi-5-metilfenil)amino]-4-oxobutanoato

718 (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil (3-hidroxifenil)acetato

720 (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-metoxibenzil)amino]metil}propil 3-[hidroxi(2-metilfenil)metil]-5-metilbenzoato

722 (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 5-(etiltio)nicotinato

724 (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 4-[4-(2-furoil)piperazin-1-il]-4-oxobutanoato

726 (1R,2S)-2-amino-1-[(benzilamino)metil]-3-(3-fluoro-4-metilfenil)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

728 (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-oxoisoindolino-1-carboxilato

730 (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-(etiltio)benzoato

732 (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil tieno [2,3-b] quinolino-2-carboxilato

734 clorhidrato de (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-(4-metil-1,3-oxazol-2-il)benzoato

736 (1R,2S)-2-amino-1-[(benzilamino)metil]-3-(4-fluorofenil)propil 3-(aminocarbonil)-5-

[(dipropilamino)carbonil]benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

738 etilbenzil)amino]metil}propil 2-[2-

furoil(metil)amino]benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

740 etilbenzil)amino]metil}propil 2-hidroxi-4-(3-

metoxifenil)-4-oxobutanoato

(1R,2S)-2-amino-1-[(cicloheptilamino)metil]-3-(3,5-

742 difluorofenil)propil 3-[(dipropilamino)carbonil]-5-

metilbenzoato

(1R,2S)-2-amino-1-{[(3-metilbutil)amino]metil}-3-(4-

744 metilfenil)propil 3-(aminocarbonil)-5-

[(dipropilamino)carbonil]benzoato

clorhidrato de (1R,2S)-2-amino-3-(3-fluoro-5-

746 hidroxifenil)-1-{[(3-metoxibenzil)amino]metil}propil 3-

[(dipropilamino)sulfonil]propanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

748 etilbenzil)amino]metil}propil 5-hidroxi-1H-indol-2-

carboxilato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

750 etilbenzil)amino]metil}propil 2,2-dimetilcromano-8-

carboxilato

4-óxido (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

752 etilbenzil)amino]metil}propil 6-benzilpirazina-2-

carboxilato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

754 metoxibenzil)amino]metil}propil {2-

[(dipropilamino)sulfonil]etil}carbamato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[1-

756 (hidroximetil)-2-metilpropil]amino}metil)propil 3-

[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-1-[(benzilamino)metil]-3-(3-cloro-5-

758 fluorofenil)propil 3-[(dipropilamino)sulfonil]propanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

760 etilbenzil)amino]metil}propil 4-(4-metoxifenil)-4-

oxobutanoato

(1R,2S)-2-amino-1-[(benzilamino)metil]-3-(4-

762 hidroxifenil)propil 3-(aminocarbonil)-5-

[(dipropilamino)carbonil]benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

764 etilbenzil)amino]metil}propil 3-metil-4-oxo-3,4-

dihidroftalazina-1-carboxilato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

766 etilbenzil)amino]metil}propil 3,4-dihidro-2H-1,5-

benzodioxepina-7-carboxilato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

768 etilbenzil)amino]metil}propil [4-(2,5-dioxopirrolidin-1-

il)fenoxi]acetato

(1R,2S)-2-amino-3-(2-furil)-1-{[(3-

770 metoxibenzil)amino]metil}propil 3-(aminocarbonil)-5-

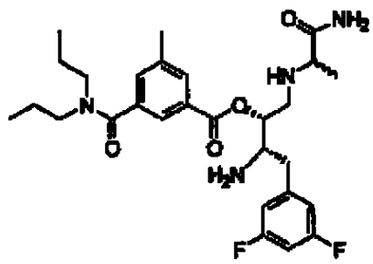
[(dipropilamino)carbonil]benzoato

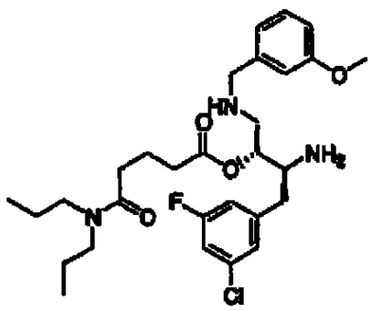
(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

772 etilbenzil)amino]metil}propil 5-metil-4-oxo-3,4-

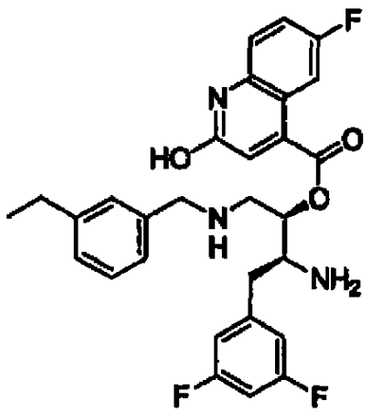
dihidrotieno [2,3-d] pirimidino-6-carboxilato

774 (1R,2S)-2-amino-3-(1,3-benzodioxol-5-il)-1-{[(3-metilbutil)amino]metil}propil 3-(aminocarbonil)-5-(dipropilamino)carbonil]benzoato

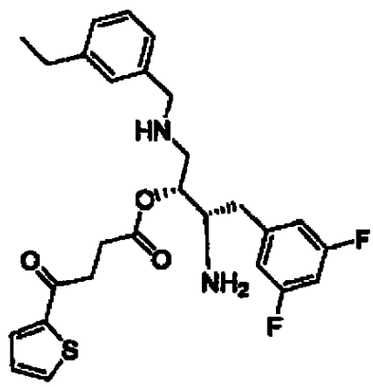
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778 

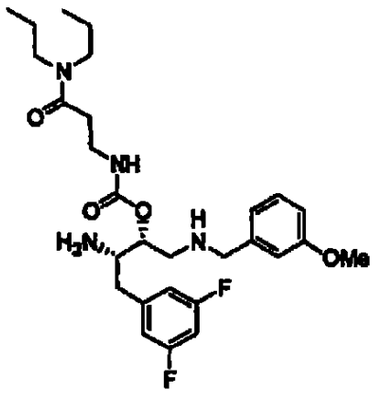
(1R,2S)-2-amino-3-(3-cloro-5-fluorofenil)-1-{[(3-metoxibenzil)amino]metil}propil 5-(dipropilamino)-5-oxopentanoato

780 

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 6-fluoro-2-hidroxiquinolino-4-carboxilato

782 

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 4-oxo-4-(2-tienil)butanoato

784 

(1R,2R)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-(feniltio)propil 3-(aminocarbonil)-5-[(dipropilamino)carbonil]benzoato

786

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[(1R)-1-(hidroximetil)-2-metilpropil]amino}metil)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

788

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[(1R,2S)-1-(hidroximetil)-2-metilbutil]amino}metil)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

790

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 2-(fenoximetil)benzoato

792

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 5-[(2,4-difluorofenil)amino]-5-oxopentanoato

794

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 5-[(4,6-dimetilpirimidin-2-il)amino]-5-oxopentanoato

796

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-metoxibenzil)amino]metil}propil 3-(3-metoxibenzoil)-5-metilbenzoato

798

(1R,2S)-2-amino-3-[3-(benziloxi)fenil]-1-{[(3-

800

metoxibenzil)amino]metil}propil 3-(aminocarbonil)-5-[(dipropilamino)carbonil]benzoato

802 (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 4-(3,4-diclorofenil)-4-oxobutanoato

804 (1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-[4-(metoxicarbonil)fenil]propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

806 (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 5-[(4-acetilfenil)amino]-5-oxopentanoato

808 (1R,2S)-2-amino-3-[4-(benziloxi)fenil]-1-{[(3-metoxibenzil)amino]metil}propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

810 (1R,2R)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-(feniltio)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

812 (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-({2-[(metilamino)carbonil]fenil}tio)propanoato

814 (1R,2S)-2-amino-1-[(3-metoxibenzil)amino]metil-3-fenilpropil 3-[(1-propilbutil)tio]propanoato clorhidrato

816 (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 4-[(4-etoxifenil)amino]-4-oxobutanoato

818 (1R,2S)-2-amino-3-[3-(benziloxi)-5-fluorofenil]-1-{[(3-metilbutil)amino]metil}propil 3-(aminocarbonil)-5-

[(dipropilamino)carbonil]benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[2-({[(3-

820 metoxifenil)amino]carbonil}oxi)etil]amino}metil)propil

3-[(dipropilamino)carbonil]-5-metilbenzoato

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-

625 hidroxibutil]-2-(2,3-dihidro-1-benzofuran-5-il)-N-(3-

etilbenzil)-1,3-tiazol-4-carboxamida

N-{(2R,3S)-3-amino-4-[3-(benziloxi)fenil]-2-

627 hidroxibutil}-N-(3-metoxibenzil)-5-metil-N',N'-

dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(4-clorofenil)-2-hidroxibutil]-3-

629 [(dipropilamino)sulfonil]-N-(3-metoxibenzil)propanamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-

631 hidroxibutil]-N-(3-etilbenzil)-N'-pentilmalonamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-

633 hidroxibutil]-N-(3-etilbenzil)-3-

(trifluorometoxi)benzamida

N-[(2R,3S)-3-amino-4-(3-fluoro-4-metilfenil)-2-

635 hidroxibutil]-3-[(dipropilamino)sulfonil]-N-(3-

metoxibenzil)propanamida

N-[(2R,3S)-3-amino-4-(3-cloro-5-fluorofenil)-2-

637 hidroxibutil]-3-[(dipropilamino)sulfonil]-N-(3-

metilbutil)propanamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-

hidroxibutil]-3-(4,4-dimetil-2,5-dioxoimidazolidin-1-

639 il)-N-(3-etilbenzil)-2-{[(1-

propilbutil)sulfonil]metil}propanamida

N'-[4-(acetilamino)fenil]-N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)succinamida

641

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-3-(1-cianoetil)-N-(3-etilbenzil)benzamida

643

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-N-(5-fenil-1,3,4-tiadiazol-2-il)succinamida

645

(2R,3S)-3-amino-2-hidroxi-4-[3-(trifluorometoxi)fenil]butil}-N^2-benzil-N^3,N^3-dipropilbenceno-1,3,5-tricarboxamida

647

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-5-metil-N-[2-(2-oxo-2-pirrolidin-1-iletoxi)fenil]-N',N'-dipropilisoftalamida

649

N^1-[(2R,3S)-3-amino-4-(4-clorofenil)-2-hidroxibutil]-N^1-(3-metilbutil)-N^3,N^3-dipropilbenceno-1,3,5-tricarboxamida

651

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-2-(1,1-dioxidotetrahidro-2-tienil)-N-(3-etilbenzil)acetamida

653

N-[(2R,3S)-3-amino-4-(4-clorofenil)-2-hidroxibutil]-N-benzil-5-metil-N',N'-dipropilisoftalamida

655

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-5-hex-1-in-1-ilnicotinamida

657

N-[(2R,3S)-3-amino-4-(3-bromofenil)-2-hidroxibutil]-3-[(dipropilamino)sulfonil]-N-(3-metilbutil)propanamida

659

661 N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-3-metoxiisoxazol-5-carboxamida

663 N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-2,3-dimetil-1H-indol-7-carboxamida

665 N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-4-(3-clorofenil)-N-(3-etilbenzil)-2-hidroxi-4-oxobutanamida

667 N^1-[(2R,3S)-3-amino-4-(3-fluoro-4-metoxifenil)-2-hidroxibutil]-N^1-(3-metoxibenzil)-N^3,N^3-dipropilbenceno-1,3,5-tricarboxamida

669 N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-2-(1-metil-1H-indol-3-il)-2-oxoacetamida

671 N-[(2R,3S)-3-amino-4-(3-fluoro-4-metilfenil)-2-hidroxibutil]-5-metil-N-(3-metilbutil)-N',N'-dipropilisoftalamida

673 N-[(2R,3S)-3-amino-2-hidroxi-4-(4-metilfenil)butil]-3-[(dipropilamino)sulfonil]-N-(3-metoxibenzil)propanamida

675 N^1-[(2R,3S)-3-amino-4-(3-fluoro-4-metilfenil)-2-hidroxibutil]-N^1-benzil-N^3,N^3-dipropilbenceno-1,3,5-tricarboxamida

677 N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-2-[5-(4-metilfenil)-2H-tetrazol-2-il]acetamida

679 N-[(2R,3S)-3-amino-4-(3,5-diclorofenil)-2-hidroxibutil]-

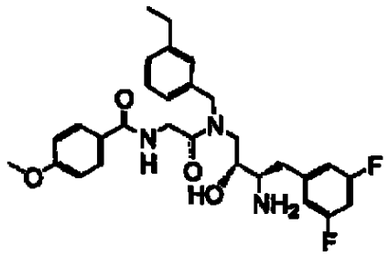
3-[(dipropilamino)sulfonil]-N-(3-metoxibenzil)propanamida

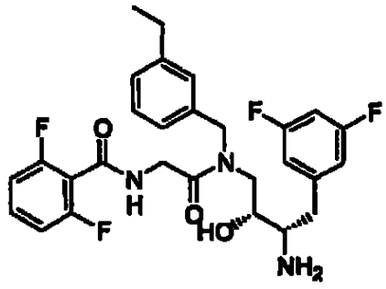
681 N^1-[(2R,3S)-3-amino-2-hidroxi-4-(2-tienil)butil]-N^1-(3-metilbutil)-N^3,N^3-dipropilbenceno-1,3,5-tricarboxamida

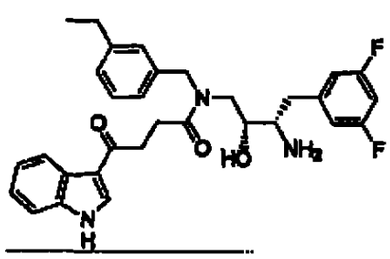
683 N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-5-metil-3-fenilisoxazol-4-carboxamida

685 N-[(2R,3S)-3-amino-4-(4-fluorofenil)-2-hidroxibutil]-N-benzil-5-metil-N',N'-dipropilisoftalamida

687 N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N^1-(3-etilbenzil)-N^2-[(metilsulfonil)acetil]-N-pentilglicinamida

689 

691 

693 

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-4-(1H-indol-3-il)-4-oxobutanamida

695 N-[(2R,3S)-3-amino-4-(3,5-difluoroph enil)-2-hidroxibutil]-N'-(5-benzil-1,3,4-tiadiazol-2-il)-N-(3-

etilbenzil)succinamida

697 N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-4-(3-fluoro-4-metoxifenil)-4-oxobutanamida

699 etil 4-([(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]{3-[(dipropilamino)carbonil]-5-metilbenzoil}amino)piperidino-1-carboxilato

701 N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-4-(2-fluorobenzoil)-1H-pirrol-2-carboxamida

703 N^1-[(2R,3S)-3-amino-4-(4-clorofenil)-2-hidroxibutil]-N^1-benzil-N^3,N^3-dipropilbenceno-1,3,5-tricarboxamida

705 N^1-{(2R,3S)-3-amino-2-hidroxi-4-[3-(trifluorometil)fenil]butil}-N^1-(3-metilbutil)-N^3,N^3-dipropilbenceno-1,3,5-tricarboxamida

707 N-[(2R,3S)-3-amino-2-hidroxi-4-(4-hidroxifenil)butil]-5-metil-N-(3-metilbutil)-N^3,N^3-dipropilisoftalamida

709 N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-2-(4-morfolin-4-ilfenil)acetamida

711 N-{(2R,3S)-3-amino-2-hidroxi-4-[3-(trifluorometoxi)fenil]butil}-3-[(dipropilamino)sulfonil]-N-(3-metoxibenzil)propanamida

713 N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-benzil-N-(1-ciclopropiletil)-N-(3-etilbenzil)succinamida

715 N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-

hidroxibutil]-3-(2,5-dimetilbenzoil)-N-(3-metoxibenzil)-5-metilbenzamida

717 N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-N-(2-metoxi-5-metilfenil)succinamida

719 N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-2-(3-hidroxifenil)acetamida

721 N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-3-[hidroxi(2-metilfenil)metil]-N-(3-metoxibenzil)-5-metilbenzamida

723 N-[(2R,3S)-3-amino-4-(3,5 difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-5-(etiltio)nicotinamida

725 N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-4-[4-(2-furoil)piperazin-1-il]-4-oxobutanamida

727 N-[(2R,3S)-3-amino-4-(3-fluoro-4-metilfenil)-2-hidroxibutil]-N-benzil-5-metil-N',N'-dipropilisoftalamida

729 N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-3-oxoisoindolino-1-carboxamida

731 N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-3-(etiltio)benzamida

733 N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil) tieno [2,3-b] quinolino-2-carbozamida

clorhidrato de N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-3-(4-metil-1,3-oxazol-2-il)benzamida

735

N^1-[(2R,3S)-3-amino-4-(4-fluorofenil)-2-hidroxibutil]-N^1-benzil-N^3,N^3-dipropilbenceno-1,3,5-tricarboxamida

737

N-(2-{[[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil] (3-etilbenzil)amino]carbonil}fenil)-N-metil-2-furamida

739

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-2-hidroxi-4-(3-metoxifenil)-4-oxobutanamida

741

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-cicloheptil-5-metil-N',N'-dipropilisoftalamida

743

N^1-[(2R,3S)-3-amino-2-hidroxi-4-(4-metilfenil)butil]-N^1-(3-metilbutil)-N^3,N^3-dipropilbenceno-1,3,5-tricarboxamida

745

clorhidrato de N-[(2R,3S)-3-amino-4-(3-fluoro-5-hidroxifenil)-2-hidroxibutil]-3-[(dipropilamino)sulfonil]-N-(3-metoxibenzil)propanamida

747

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-5-hidroxi-1H-indol-2-carboxamida

749

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-2,2-dimetilcromano-8-carboxamida

751

4-óxido N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-

753

hidroxibutil]-6-benzil-N-(3-etilbenzil)pirazina-2-carboxamida

755 2-({[[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil] (3-metoxibenzil)amino]carbonil}amino)-N,N-dipropiletanosulfonamida

757 N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-[(1R)-1-(hidroximetil)-2-metilpropil]-5-metil-N',N'-dipropilisoftalamida

759 N-[(2R,3S)-3-amino-4-(3-cloro-5-fluorofenil)-2-hidroxibutil]-N-benzil-3-[(dipropilamino)sulfonil]propanamida

761 N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-4-(4-metoxifenil)-4-oxobutanamida

763 N'-[(2R,3S)-3-amino-2-hidroxi-4-(4-hidroxifenil)butil]-N'-benzil-N',N'-dipropilbenceno-1,3,5-tricarboxamida

765 N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-3-metil-4-oxo-3,4-dihidroftalazina-1-carboxamida

767 N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-3,4-dihidro-2H-1,5-benzodioxepina-7-carboxamida

769 N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-2-[4-(2,5-dioxopirrolidin-1-il)fenoxi]-N-(3-etilbenzil)acetamida

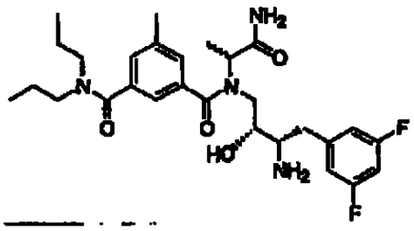
771 N^1-[(2R,3S)-3-amino-4-(2-furil)-2-hidroxibutil]-N^1-(3-metoxibenzil)-N^3,N^3-dipropilbenceno-1,3,5-tricarboxamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-

773 hidroxibutil]-N-(3-etilbenzil)-5-metil-4-oxo-3,4-dihidrotieno [2,3-d] pirimidino-6-carboxamida

N^1-[(2R,3S)-3-amino-4-(1,3-benzodioxol-5-il)-2-

775 hidroxibutil]-N^1-(3-metilbutil)-N^3,N^3-dipropilbenceno-1,3,5-tricarboxamida

777 

N-[(2R,3S)-3-amino-4-(3-cloro-5-fluorofenil)-2-

779 hidroxibutil]-N-(3-metoxibenzil)-N,N-dipropilpentanodiamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-

781 hidroxibutil]-N-(3-etilbenzil)-6-fluoro-2-hidroxiquinolino-4-carboxamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-

783 hidroxibutil]-N-(3-etilbenzil)-4-oxo-4-(2-tienil)butanamida

N^3-{[[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-

785 hidroxibutil] (3-metoxibenzil)amino]carbonil}-N^1,N^1-dipropil-ß-alaninamida

N^1-[(2R,3R)-3-amino-2-hidroxi-4-(feniltio)butil]-N^1-(3-

787 metoxibenzil)-N^3,N^3-dipropilbenceno-1,3,5-tricarboxamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-

789 hidroxibutil]-N-[(1R)-1-(hidroximetil)-2-metilpropil]-5-metil-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-[(1R,2S)-1-(hidroximetil)-2-metilbutil]-5-metil-N',N'-dipropilisoftalamida

791

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-2-(fenoximetil)benzamida

793

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N'-(2,4-difluorofenil)-N-(3-etilbenzil)pentanodiamida

795

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(4,6-dimetilpirimidin-2-il)-N-(3-etilbenzil)pentanodiamida

797

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-3-(3-metoxibenzoil)-N-(3-metoxibenzil)-5-metilbenzamida

799

N^1-{(2R,3S)-3-amino-4-[3-(benziloxi)fenil]-2-hidroxibutil}-N^1-(3-metoxibenzil)-N^3,N^3-dipropilbenceno-1,3,5-tricarboxamida

801

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-4-(3,4-diclorofenil)-N-(3-etilbenzil)-4-oxobutanamida

803

metil 4-{(25, 3R)-2-amino-4-[{3-[(dipropilamino)carbonil]-5-metilbenzoil} (3-metoxibenzil)amino]-3-hidroxibutil}benzoato

805

N^1-(4-acetilfenil)-N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)pentanodiamida

807

N-(2R,3S)-3-amino-4-[4-(benziloxi)fenil]-2-

809

hidroxibutil]-N-(3-metoxibenzil)-5-metil-N',N'-dipropilisoftalamida

811 N-[(2R,3R)-3-amino-2-hidroxi-4-(feniltio)butil]-N-(3-metoxibenzil)-5-metil-N',N'-dipropilisoftalamida

813 2-({3-[[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil] (3-etilbenzil)amino]-3-oxopropil}tio)-N metilbenzamida

815 clorhidrato de N-[(2R,3S)-3-amino-2-hidroxi-4-fenilbutil]-N-(3-metoxibenzil)-3-[(1-propilbutil)tio]propanamida

817 N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(4-etoxifenil)-N-(3-etilbenzil)succinamida

819 N-(2R,3S)-3-amino-4-[3-(benziloxi)-5-fluorofenil]-2-hidroxibutil}-N-(3-metilbutil)-N^3,N^3-dipropilbenceno-1,3,5-tricarboxamida

821 2-([(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]{3-[(dipropilamino)carbonil]-5-metilbenzoil}amino) etil (3-metoxifenil)carbamato

822 (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-(benziloxi)benzoato

824 (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[(1S)-2-hidroxi-1-metiletil]amino}metil)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

826 (1R,2S)-2-amino-3-(pentafluorofenil)-1-({[3-(trifluorometil)benzil]amino}metil)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 4-(4-hidroxifenil)-4-oxobutanoato

828

(1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-[3-(trifluorometil)fenil]propil 3-[(dipropilamino)sulfonil]propanoato

830

dihidrocloruro de (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-(piperidin-3-ilsulfonil)benzoato

832

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 6-cloro-4-hidroxiquinolino-2-carboxilato

834

(1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-(2-tienil)propil 5-(dipropilamino)-5-oxopentanoato

836

(1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metil}-4-metilpentil 3-[(dipropilamino)carbonil]-5-metilbenzoato

838

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil (6-oxo-3-fenilpiridazin-1(6H)-il)acetato

840

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-{4-[(metilsulfonil)amino]fenil}propanoato

842

(1R,2S)-2-amino-3-(4-fluoro-3-metilfenil)-1-{[(3-metoxibenzil)amino]metil}propil 3-(aminocarbonil)-5-[(dipropilamino)carbonilbenzoato

844

(1R,2S)-2-amino-1-[(benzilamino)metil]-3-(4-metilfenil)propil 3-[(dipropilamino)carbonil]-5-

846

metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

848 yodobenzil)amino]metil}propil 3-(2-

clorofenoxi)propanoato

(1R,2S)-2-amino-3-(4-fluorofenil)-1-{[(3-

850 metilbutil)amino]metil}propil 3-(aminocarbonil)-5-

[(dipropilamino)carbonil]benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

852 etilbenzil)amino]metil}propil N-(4-clorobenzoil)-D-

alaninato

clorhidrato de (1R,2S)-2-amino-3-[3-(benziloxi)-5-

854 fluorofenil]-1-{[(3-metoxibenzil)amino]metil}propil 3-

[(dipropilamino)sulfonil]propanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

856 etilbenzil)amino]metil}propil 4-(4-metilfenil)-4-

oxobutanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

858 etilbenzil)amino]metil}propil 4-oxo-4-{[3-

(trifluorometil)fenil]amino}butanoato

(1R,2S)-2-amino-3-(1,3-benzodioxol-5-il)-1-{[(3-

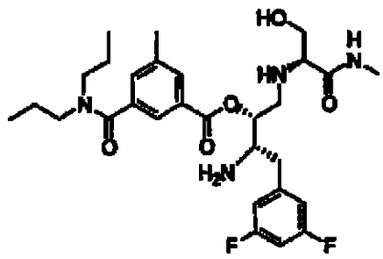
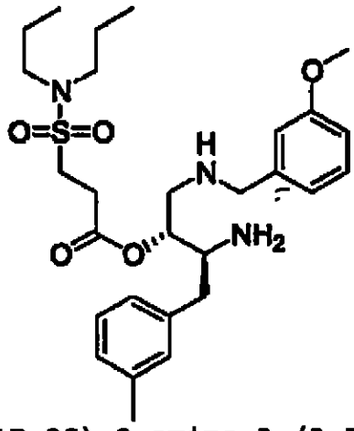
860 metoxibenzil)amino]metil}propil 3-

[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

862 etilbenzil)amino]metil}propil (5-piridin-2-il-2H-

tetrazol-2-il)acetato

864		
866		(1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-(3-metilfenil)propil 3-[(dipropilamino)sulfonil]propanoato
868		(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil isoxazol-5-carboxilato
870		(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil (3,5-dimetoxifenoxi)acetato
872		(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 4-(2,5-dimetil-1H-pirrol-1-il)-3-hidroxibenzoato
874		(1R,2S)-2-amino-3-(3-bromofenil)-1-{[(3-metoxibenzil)amino]metil}propil 5-(dipropilamino)-5-oxopentanoato
876		(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 4-{[5-(ciclopentilmetil)-1,3,4-tiadiazol-2-il]amino}-4-oxobutanoato
878		(1R,2S)-2-amino-1-[(benzilamino)metil]-3-[3-(trifluorometil)fenil]propil 3-(aminocarbonil)-5-[(dipropilamino)carbonil]benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil (3-oxo-1,2-benzisotiazol-2(3H)-il)acetato

880

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[1-metil-5-(pirrolidin-1-ilcarbonil)-1H-pirrol-3-il]amino}metil)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

882

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 4-(3,4-difluorofenil)-4-oxobutanoato

884

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 4-(2-naftil)-4-oxobutanoato

886

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 4,6-dietoxipiridino-2-carboxilato

888

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 4-(5-metil-1H-pirrol-2-il)-4-oxobutanoato

890

clorhidrato de (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-({[2-(metilamino)etil]amino}sulfonil)benzoato

892

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-metoxibenzil)amino]metil}propil 3-metil-5-(4-metilbenzoil)benzoato

894

(1R,2S)-2-amino-3-(1,3-benzodioxol-5-il)-1-[(benzilamino)metil]propil 3-[(dipropilamino)carbonil]-

896

5-metilbenzoato

clorhidrato de (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-

898 {[(3-etilbenzil)amino]metil}propil 3-(piperazin-1-ilsulfonil)benzoato

(1R,2S)-2-amino-1-[({2-[4-(aminosulfonil)fenil]etil}amino)metil]-3-(3,5-

900 difluorofenil)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[2-hidroxi-1-

902 (hidroximetil)etil]amino}metil)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(4-fluoro-3-metilfenil)-1-{[(3-

904 metilbutil)amino]metil}propil 3-(aminocarbonil)-5-[(dipropilamino)carbonil]benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

906 etilbenzil)amino]metil}propil 3-(3-oxo-2,1-benzisotiazol-1(3H)-il)propanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

908 etilbenzil)amino]metil}propil (2,6-dihidroxipirimidin-4-il)acetato

(1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-[3-

910 (trifluorometil)fenil]propil 5-(dipropilamino)-5-oxopentanoato

(1R,2S)-2-amino-1-[(benzilamino)metil]-3-(4-

912 hidroxifenil)propil 3-[(dipropilamino)sulfonil]propanoato

914 (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

etilbenzil)amino]metil}propil 4-(3,4-difluorofenil)-2-metil-4-oxobutanoato

916 (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 5-oxo-5-[(2-piridin-2-iletil)amino]pentanoato

918 (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil [2-(4-fluorofenil)-1,3-benzoxazol-5-il]acetato

920 (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-(anilinocarbonil)glicinato

922 (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-(2,6-dimetoxibenzoil)glicinato

924 (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 2-(1,3-ditian-2-il)-3-furoato

926 (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 2-[2-oxo-2-(propilamino)etil]benzoato

928 (1R,2S)-2-amino-1-[(benzilamino)metil]-3-(3-bromofenil)propil 3-[(dipropilamino)sulfonil]propanoato

930 (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-yodobenzil)amino]metil}propil 3-(2-fluorofenil)propanoato

932 (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 5-metiltiofeno-2-

carboxilato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

934 yodobenzil)amino]metil}propil [4-

(benziloxi)fenil]acetato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

936 etilbenzil)amino]metil}propil[(5,7-dimetil [1,2,4]

triazolo [4,3-a] pirimidin-3-il)tio]acetato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

938 etilbenzil)amino]metil}propil 4-[(1-acetil-2,3-dihidro-

1H-indol-7-il)amino]-4-oxobutanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

940 etilbenzil)amino]metil}propil 5-[(3-acetilfenil)amino]-

5-oxopentanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

942 etilbenzil)amino]metil}propil 3-(4-clorofenoxi)-2-

hidroxipropanoato

N^3-[(1S,2R)-3-(benzilamino)-1-(3-fluoro-4-metoxibenzil)-

944 2-hidroxipropil]-N^1,N^1-dipropilbenceno-1,3,5-

tricarboxamida

(1R,2S)-2-amino-1-[(benzilamino)metil]-3-(3-

946 metilfenil)propil 3-(aminocarbonil)-5-

[(dipropilamino)carbonil]benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

948 etilbenzil)amino]metil}propil 1H-indol-7-carboxilato

(1R,2S)-2-amino-1-{[(3-metilbutil)amino]metil}-3-(3-

950 metilfenil)propil 3-(aminocarbonil)-5-

[(dipropilamino)carbonil]benzoato

952 (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 4-(1,2,3-tiadiazol-4-il)benzoato

954 (1R,2S)-2-amino-3-[3-(benziloxi)-5-fluorofenil]-1-{[(3-metoxibenzil)amino]metil}propil 3-[(dipropilamino)sulfonil]propanoato

956 (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-(4,4-dimetil-2,5-dioxoimidazolidin-1-il)-2-{[(1-propilbutil)sulfonil]metil}propanoato

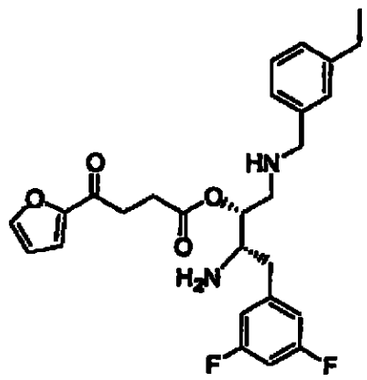
958 (1R,2S)-2-amino-1-{[(3-metilbutil)amino]metil}-3-(4-metilfenil)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

960 (1R,2S)-2-amino-1-[(benzilamino)metil]-3-[3-fluoro-5-(trifluorometil)fenil]propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

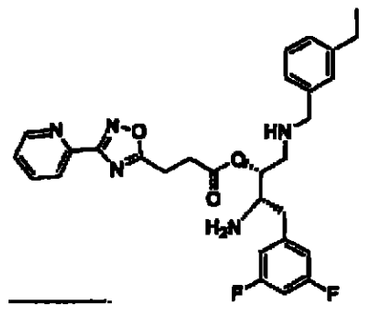
962 (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil [1-metil-3-(metiltio)-1H-indol-2-il]acetato

964 (1R,2S)-2-amino-3-(3,5-diclorofenil)-1-{[(3-metilbutil)amino]metil}propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

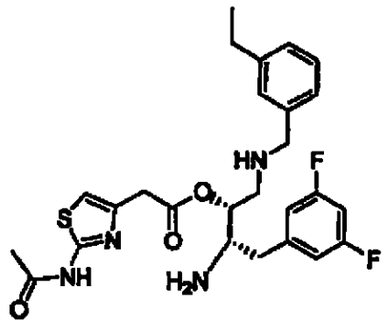
966 (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil [(2-{[4-(1,3-oxazol-5-il)fenil]amino}-2-oxoetil)tio]acetato

968 

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 4-(2-furil)-4-oxobutanoato

970 

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-(3-piridin-2-il-1,2,4-oxadiazol-5-il)il)propanoato

972 

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil [2-(acetilamino)-1,3-tiazol-4-il]acetato

974 (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil [(4-metil-4H-1,2,4-triazol-3-il)tio](fenil)acetato

976 (1R,2S)-2-amino-3-(4-clorofenil)-1-{[(3-metilbutil)amino]metil}propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

978 (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil4-(1,3-benzotiazol-2-il)butanoato

980 (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 4-[(3-cloro-4-

fluorofenil)amino]-4-oxobutanoato

(1R,2S)-2-amino-3-[3-(benziloxi)-5-fluorofenil]-1-{[(3-

982 metilbutil)amino]metil}propil 3-

[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

984 etilbenzil)amino]metil}propil [(2-oxo-2,3-

dihidroquinazolin-4-il)tio]acetato

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-

823 hidroxibutil]-3-(benziloxi)-N-(3-etilbenzil)benzamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-

825 hidroxibutil]-N-[(1)-2-hidroxi-1-metiletil]-5-metil-

N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-2-hidroxi-4-(pentafluorofenil)butil]-

827 5-metil-N',N'-dipropil-N-[3-

(trifluorometil)benzil]isoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-

829 hidroxibutil]-N-(3-etilbenzil)-4-(4-hidroxifenil)-4-

oxobutanamida

N-{(2R,3S)-3-amino-2-hidroxi-4-[3-

831 (trifluorometil)fenil]butil}-3-

[(dipropilamino)sulfonil]-N-(3-metoxibenzil)propanamida

dihidrocloruro de N-[(2R,3S)-3-amino-4-(3,5-

833 difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-3-

(piperidin-3-ilsulfonil)benzamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-

835 hidroxibutil]-6-cloro-N-(3-etilbenzil)-4-

hidroxiquinolino-2-carboxamida

837 N-[(2R,3S)-3-amino-2-hidroxi-4-(2-tienil)butil]-N-(3-metoxibenzil)-N,N-dipropilpentanodiamida

839 N-[(2R,3S)-3-amino-2-hidroxi-5-metilhexil]-N-(3-metoxibenzil)-5-metil-N',N'-dipropilisoftalamida

841 N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-2-(6-oxo-3-fenilpiridazin-1(6H)-il)acetamida

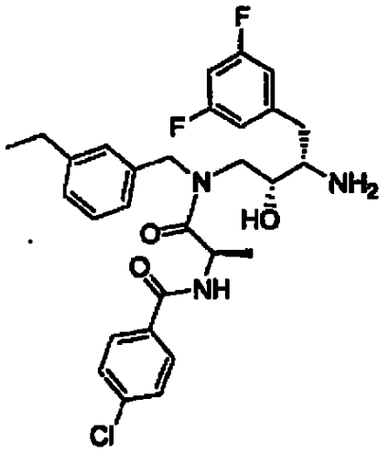
843 (2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-3-{4-[(metilsulfonil)amino]fenil}propanamida

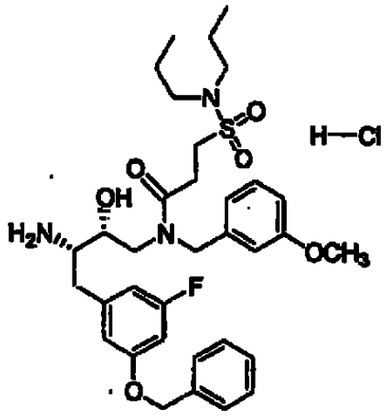
845 N^1-[(2R,3S)-3-amino-4-(4-fluoro-3-metilfenil)-2-hidroxibutil]-N^1-(3-metoxibenzil)-N^3,N^3-dipropilbenceno-1,3,5-tricarboxamida

847 N-[(2R,3S)-3-amino-2-hidroxi-4-(4-metilfenil)butil]-N-benzil-5-metil-N',N'-dipropilisoftalamida

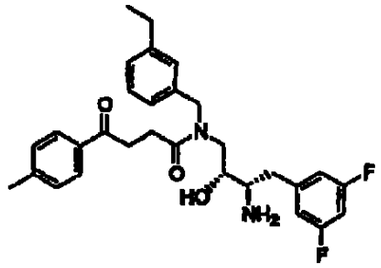
849 N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-3-(2-clorofenoxi)-N-(3-yodobenzil)propanamida

851 N^1-[(2R,3S)-3-amino-4-(4-fluorofenil)-2-hidroxibutil]-N^1-(3-metilbutil)-N^3,N^3-dipropilbenceno-1,3,5-tricarboxamida

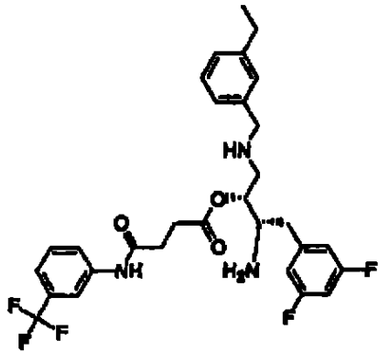
853 

855 

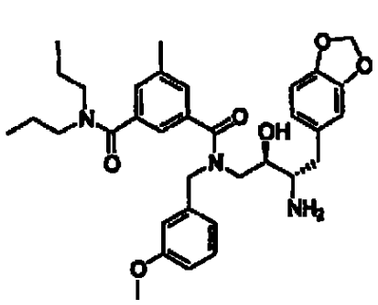
Clorhidrato de N-{(2R,3S)-3-amino-4-[3-(benziloxi)-5-fluorofenil]-2-hidroxibutil}-3-[(dipropilamino)sulfonil]-N-(3-metoxibenzil)propanamida

857 

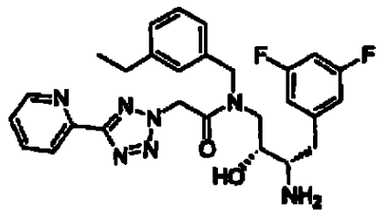
N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-4-(4-metilfenil)-4-oxobutanamida

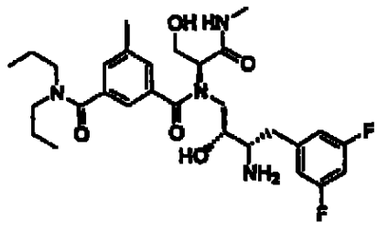
859 

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 4-oxo[3-(trifluorometil)fenil]amino}butanoato

861 

N-[(2R,3S)-3-amino-4-(1,3-benzodioxol-5-il)-2-hidroxibutil]-N-(3-metoxibenzil)-5-metil-N',N'-dipropilisoftalamida

863 

865 

867 N-[(2R,3S)-3-amino-2-hidroxi-4-(3-metilfenil)butil]-3-[(dipropilamino)sulfonil]-N-(3-metoxibenzil)propanamida

869 N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)isoxazol-5-carboxamida

871 N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-2-(3,5-dimetoxifenoxi)-N-(3-etilbenzil)acetamida

873 N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-4-(2,5-dimetil-1H-pirrol-1-il)-N-(3-etilbenzil)-3-hidroxibenzamida

875 N-[(2R,3S)-3-amino-4-(3-bromofenil)-2-hidroxibutil]-N-(3-metoxibenzil)-N',N'-dipropilpentanodiamida

877 N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N'-[5-(ciclopentilmetil)-1,3,4-tiadiazol-2-il]-N-(3-etilbenzil)succinamida

879 N^1-(2R,3S)-3-amino-2-hidroxi-4-[3-(trifluorometil)fenil]butil}-N^1-benzil-N^3,N^3-dipropilbenceno-1,3,5-tricarboxamida

881 N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-2-(3-oxo-1,2-benzisotiazol-2(3H)-il)acetamida

883 N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-5-metil-N-[1-metil-5-(pirrolidin-1-ilcarbonil)-1H-pirrol-3-il]-N',N'-dipropilisoftalamida

885 N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-4-(3,4-difluorofenil)-N-(3-etilbenzil)-4-oxobutanamida

887 N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-4-(2-naftil)-4-oxobutanamida

889 N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-4,6-dietoxi-N-(3-etilbenzil)piridino-2-carboxamida

891 N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-4-(5-metil-1H-pirrol-2-il)-4-oxobutanamida

893 N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-3-([2-(metilamino)etil]amino}sulfonil)benzamida clorhidrato

895 N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-metoxibenzil)-3-metil-5-(4-metilbenzoil)benzamida

N-[(2R,3S)-3-amino-4-(1,3-benzodioxol-5-il)-2-hidroxibutil]-N-benzil-5-metil-N',N'-dipropilisoftalamida

897

clorhidrato de N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-3-(piperazin-1-ilsulfonil)benzamida

899

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-{2-[4-(aminosulfonil)fenil]etil}-5-metil-N',N'-dipropilisoftalamida

901

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-[2-hidroxi-1-(hidroximetil)etil]-5-metil-N',N'-dipropilisoftalamida

903

N^1-[(2R,3S)-3-amino-4-(4-fluoro-3-metilfenil)-2-hidroxibutil]-N^1-(3-metilbutil)-N^3,N^3-dipropilbenceno-1,3,5-tricarboxamida

905

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-3-(3-oxo-2,1-benzisotiazol-1(3H)-il)propanamida

907

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-2-(2,6-dihidroxipirimidin-4-il)-N-(3-etilbenzil)acetamida

909

N-{(2R,3S)-3-amino-2-hidroxi-4-[3-(trifluorometil)fenil]butil}-N-(3-metoxienzil)-N',N'-dipropilpentanodiamida

911

N-[(2R,3S)-3-amino-2-hidroxi-4-(4-hidroxifenil)butil]-N-benzil-3-[(dipropilamino)sulfonil]propanamida

913

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-

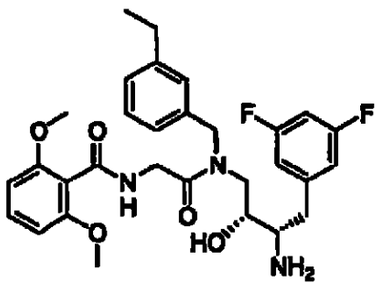
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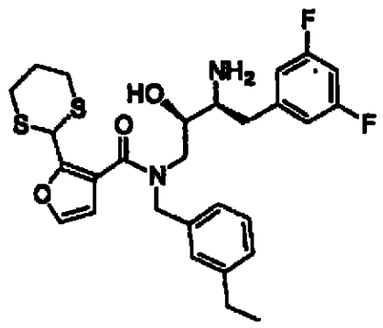
hidroxibutil]-4-(3,4-difluorofenil)-N-(3-etilbenzil)-2-metil-4-oxobutanamida

917 N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-N'-(2-piridin-2-iletil)pentanodiamida

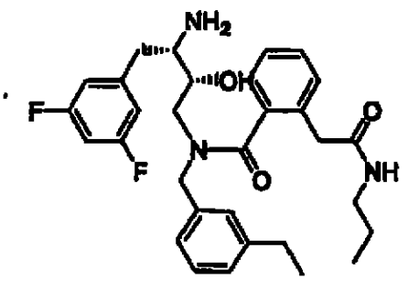
919 N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-2-(4-fluorofenil)-1,3-benzoxazol-5-il]acetamida

921 N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N^2-(anilinocarbonil)-N^1-(3-etilbenzil)glicinamida

923 

925 

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-2-(1,3-ditian-2-il)-N-(3-etilbenzil)-3-furamida

927 

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-2-[2-oxo-2-(propilamino)etil]benzamida

929 N-[(2R,3S)-3-amino-4-(3-bromofenil)-2-hidroxibutil]-N-benzil-3-[(dipropilamino)sulfonil]propanamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-3-(2-fluorofenil)-N-(3-yodobenzil)propanamida

931

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-5-metiltiofeno-2-carboxamida

933

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-2-[4-(benziloxi)fenil]-N-(3-yodobenzil)acetamida

935

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-2-[(5,7-dimetil [1,2,4] triazolo [4,3-a] pirimidin-3-il)tio]-N-(3-etilbenzil)acetamida

937

N-(1-acetil-2,3-dihidro-1H-indol-7-il)-N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)succinamida

939

N-(3-acetilfenil)-N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)pentanodiamida

941

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-3-(4-clorofenoxi)-N-(3-etilbenzil)-2-hidroxipropanamida

943

N^3-[(1S, 2R)-3-(benzilamino)-1-(3-fluoro-4-metoxibenzil)-2-hidroxipropil]-N^1,N^1-dipropilbenceno-1,3,5-tricarboxamida

945

N^1-[(2R,3S)-3-amino-2-hidroxi-4-(3-metilfenil)butil]-N^1-benzil-N^3,N^3-dipropilbenceno-1,3,5-tricarboxamida

947

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-

949

hidroxibutil]-N-(3-etilbenzil)-1H-indol-7-carboxamida

951 N^1-[(2R,3S)-3-amino-2-hidroxi-4-(3-metilfenil)butil]-N^1-(3-metilbutil)-N^3,N^3-dipropilbenceno-1,3,5-tricarboxamida

953 N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-4-(1,2,3-tiadiazol-4-il)benzamida

955 N-{(2R,3S)-3-amino-4-[3-(benziloxi)-5-fluorofenil]-2-hidroxibutil}-3-[(dipropilamino)sulfonil]-N-(3-metoxibenzil)propanamida

957 N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-3-(4,4-dimetil-2,5-dioxoimidazolidin-1-il)-N-(3-etilbenzil)-2-{[(1-propilbutil)sulfonil]metil}propanamida

959 N-[(2R,3S)-3-amino-2-hidroxi-4-(4-metilfenil)butil]-5-metil-N-(3-metilbutil-N',N'-dipropilisoftalamida

961 N-{(2R,3S)-3-amino-4-[3-fluoro-5-(trifluorometil)fenil]-2-hidroxibutil}-N-benzil-5-metil-N',N'-dipropilisoftalamida

963 N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-2-[1-metil-3-(metiltio)-1H-indol-2-il]acetamida

965 N-[(2R,3S)-3-amino-4-(3,5-diclorofenil)-2-hidroxibutil]-5-metil-N-(3-metilbutil)-N',N'-dipropilisoftalamida

967 2-({2-[[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil] (3-etilbenzil)amino]-2-oxoetil}tio)-N-[4-(1,3-oxazol-5-il)fenil]acetamida

969	N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-4-(2-furil)-4-oxobutanamida
971	N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-3-(3-piridin-2-il-1,2,4-oxadiazol-5-il)propanamida
973	2-[2-(acetilamino)-1,3-tiazol-4-il]-N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)acetamida
975	N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-2-[(4-metil-4H-1,2,4-triazol-3-il)tio]-2-fenilacetamida
977	N-[(2R,3S)-3-amino-4-(4-clorofenil)-2-hidroxibutil]-5-metil-N-(3-metilbutil)-N',N'-dipropilisoftalamida
979	N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-4-(1,3-benzotiazol-2-il)-N-(3-etilbenzil)butanamida
981	N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil-N'-(3-cloro-4-fluorofenil)-N-(3-etilbenzil)succinamida
983	N-{(2R,3S)-3-amino-4-[3-(benziloxi)-5-fluorofenil]-2-hidroxibutil}-5-metil-N-(3-metilbutil)-N',N'-dipropilisoftalamida
985	N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-2-[(2-oxo-2,3-dihidroquinazolin-4-il)tio]acetamida
986	(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-metoxibenzil)amino]metil}propil 3-metil-5-(2-

metilbenzoil)benzoato

988 (1R,2S)-2-amino-3-(4-hidroxifenil)-1-{[(3-metoxibenzil)amino]metil}propil 5-(dipropilamino)-5-oxopentanoato

990 (1R,2S)-2-amino-1-[(benzilamino)metil]-3-(4-metilfenil)propil 3-(aminocarbonil)-5-[(dipropilamino)carbonil]benzoato

992 (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 4-propoxibenzoato

994 (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 1-metil-1H-indol-2-carboxilato

996 (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 5-cloro-2-(3-metil-4H-1,2,4-triazol-4-il)benzoato

998 (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 4-(3,4-difluorofenil)-2-metoxi-4-oxobutanoato

1000 (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil [3-(2-tienil)-1H-pirazol-1-il]acetato

1002 (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 5-anilino-5-oxopentanoato

1004 (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil (2-tioxo-1,3-benzotiazol-3(2H)-il)acetato

1006 (1R,2S)-2-amino-1-[(benzilamino)metil]-3-

ciclohexilpropil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-(4-metoxifenil)propil 3-(aminocarbonil)-5-[(dipropilamino)carbonil]benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil (3-hidroxi-4-metilfenil)acetato

(1R,2S)-2-amino-3-[3-fluoro-5-(trifluorometil)fenil]-1-{[(3-metilbutil)amino]metil}propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 7-fluoro-4H-imidazo [5,1-c] [1,4] benzoxazina-3-carboxilato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 4-(3,4-dihidro-2H-1,5-benzodioxepin-7-il)-4-oxobutanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 1-benzofuran-3-carboxilato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-[(3,4-diclorofenil)amino]-3-oxopropanoato

(1R,2S)-2-amino-1-[(benzilamino)metil]-3-[3-fluoro-5-(trifluorometil)fenil]propil 3-(aminocarbonil)-5-[(dipropilamino)carbonil]benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[(1R)-2-

hidroxi-1-metiletil]amino}metil)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-1-[(benzilamino)metil]-3-(3-metilfenil)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

1026

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 5-oxo-5-(piridin-3-ilamino)pentanoato

1028

(1R,2S)-2-amino-3-(3,5-difluorofenil)-I-I[(3-etilbenzil)amino]metil}propil 2-metil-4-oxo-4H-cromeno-6-carboxilato

1030

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil ({2-[(5-metilisoxazol-3-il)amino]-2-oxoetil}tio)acetato

1032

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[3-(1H-imidazol-1-il)propil]amino}metil)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

1034

(1R,2S)-2-amino-3-[3-fluoro-5-(trifluorometil)fenil]-1-{[(3-metoxibenzil)amino]metil}propil 3-[(dipropilamino)sulfonil]propanoato

1036

(1R,2S)-2-amino-3-(4-hidroxifenil)-1-{[(3-metilbutil)amino]metil}propil 3-[(dipropilamino)sulfonil]propanoato

1038

(1R,2S)-2-amino-3-(1,3-benzodioxo-5-il)-1-{[(3-metilbutil)amino]metil}propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

1040

(1R,2S)-2-amino-1-{[(3-metilbutil)amino]metil}-3-(2-

1042

tienil)propil 3-[(dipropilamino)sulfonil]propanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

1044 etilbenzil)amino]metil}propil 4-[(2,2-

dimetilpropanoil)amino]-2-hidroxibenzoato

(1R,2S)-2-amino-3-(3-metoxifenil)-1-{[(3-

1046 metilbutil)amino]metil}propil 3-

[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(4-fluorofenil)-1-({[3-

1048 (trifluorometil)benzil]amino}metil)propil 3-{[(3-

metoxibenzil)amino]sulfonil}benzoato

(1R,2S)-2-amino-1-{[(3-metilbutil)amino]metil}-3-[3-

1050 (trifluorometil)fenil]prpil 3-[(dipropilamino)carbonil]-

5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

1052 etilbenzil)amino]metil}propil 6-(2-furoilamino)hexanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

1054 etilbenzil)amino]metil}propil [(1-fenil-4,5-dihidro-1H-
tetrazol-5-il)tio]acetato

(1S,2S)-2-amino-3-fenil-1-({[3-

1056 (trifluorometil)benzil]amino}metil)propil 3-{[(3-

metoxibenzil)amino]sulfonil}benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

1058 etilbenzil)amino]metil}propil 4-(3,4-dihidro-2H-cromen-

6-il)-4-oxobutanoato

(1R,2S)-2-amino-3-(3-metoxifenil)-1-{[(3-

1060 metilbutil)amino]metil}propil 3-(aminocarbonil)-5-

[(dipropilamino)carbonil]benzoato

(1R,2S)-2-amino-3-(3-fluoro-4-metilfenil)-1-{[(3-

1062 metoxibenzil)amino]metil}propil 5-(dipropilamino)-5-

oxopentanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

1064 etilbenzil)amino]metil}propil indolizina-2-carboxilato

(1R,2S)-2-amino-1-[(benzilamino)metil]-3-[3-

1066 (trifluorometoxi)fenil]propil 3-

[(dipropilamino)carbonil]-5-metilbenzoato

1-óxido (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

1068 etilbenzil)amino]metil}propil nicotinato

(1R,2S)-2-amino-3-[3-(benziloxi)-5-fluorofenil]-1-{[(3-

1070 metilbutil)amino]metil}propil 3-

[(dipropilamino)sulfonil]propanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

1072 yodobenzil)amino]metil}propil

[(aminocarbonil)oxi]acetato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

1074 etilbenzil)amino]metil}propil 2,3-dihidro-1H-ciclopenta

[b] quinolino-9-carboxilato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

1076 etilbenzil)amino]metil}propil 3-metil-1H-pirazol-5-

carboxilato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

1078 etilbenzil)amino]metil}propil 5-(benzoilamino)pentanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

1080 etilbenzil)amino]metil}propil 4-

[(metoximetil)tio]benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

1082 etilbenzil)amino]metil}propil 3-(1,3-benzotiazol-2-il)-

3-metoxipropanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

1084 etilbenzil)amino]metil}propil 3-

{[(metilamino)carbonil]amino}-3-(3-tienil)propanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

1086 etilbenzil)amino]metil}propil 5-piridin-2-iltiofeno-2-

carboxilato

(1R,2S)-2-amino-1-[(benzilamino)metil]-3-[3-(benziloxi)-

1088 5-fluorofenil]propil 3-(aminocarbonil)-5-

[(dipropilamino)carbonil]benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

1090 etilbenzil)amino]metil}propil(5,6-dimetil-2,4-dioxo-

1,2,3,4-tetrahidropiridin-3-il)acetato

(1R,2S)-2-amino-3-(3-fluoro-4-metoxifenil)-1-{[(3-

1092 metilbutil)amino]metil}propil 3-

[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

1094 etilbenzil)amino]metil}propil 2-isobutil-1,3-

dioxoisoindolino-5-carboxilato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

1096 etilbenzil)amino]metil}propil 5-(acetilamino)-2-furoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

1098 etilbenzil)amino]metil}propil N-[(4-

metoxifenil)acetil]glicinato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

1100 etilbenzil)amino]metil}propil isoquinolino-4-carboxilato

1102 (1R,2S)-2-amino-3-[3-(benziloxi)fenil]-1-{[(3-

metilbutil)amino]metil}propil 3-(aminocarbonil)-5-[(dipropilamino)carbonil]benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil (4-hidroxi-3-metoxifenil)acetato

1104

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil [(4-fenil-4H-1,2,4-triazol-3-il)tio]acetato

1106

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil (3,5-dimetoxifenil)acetato

1108

(1R,2S)-2-amino-1-[(benzilamino)metil]-3-(3-metoxifenil)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

1110

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil (2-etil-4H-[1,2,4] triazolo [1,5-a] benzimidazol-4-il)acetato

1112

(1R,2S)-2-amino-1-[(benzilamino)metil]-3-(2-furil)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

1114

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 7-cloro-1-benzofuran-2-carboxilato

1116

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 2-(1,3-dioxo-1,3-dihidro-2H-isoindol-2-il)propanoato

1118

(1R,2S)-2-amino-3-(3,5-difluorofenil)-I-I[(3-etilbenzil)amino]metil}propil 3-(2-oxo-2H-1,3-benzoxazin-3(4H)-il)propanoato

1120

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-
1122 etilbenzil)amino]metil}propil (pirimidin-2-iltio)acetato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-
etilbenzil)amino]metil}propil 4-{[3-(aminocarbonil)-
1124 4,5,6,7-tetrahidro-1-benzotien-2-il]amino}-4-
oxobutanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-
1126 etilbenzil)amino]metil}propil [(5-fenil-1,3,4-oxadiazol-
2-il)tio]acetato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-
1128 etilbenzil)amino]metil}propil quinolino-6-carboxilato

(1R,2S)-2-amino-1-[(benzilamino)metil]-3-(2-furil)propil
1130 3-(aminocarbonil)-5-[(dipropilamino)carbonil]benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-
1132 etilbenzil)amino]metil}propil 4-(2,3-dihidro-1,4-
benzodioxin-6-il)-4-oxobutanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-
1134 etilbenzil)amino]metil}propil 3-(1H-indol-3-il)-1H-
pirazol-5-carboxilato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-
1136 etilbenzil)amino]metil}propil 2-hidroxi-4-
{[(metilamino)carbonotioil]amino}benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-
1138 etilbenzil)amino]metil}propil 6-cloronicotinato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-
1140 etilbenzil)amino]metil}propil 4-(3-hidroxifenil)-4-
oxobutanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-
1142 etilbenzil)amino]metil}propil (ftalazin-1-iltio)acetato
(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-
1144 etilbenzil)amino]metil}propil [(1-oxidopiridin-2-
il)tio]acetato
(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-
1146 etilbenzil)amino]metil}propil 3-(acetilamino)-5-fluoro-
1H-indol-2-carboxilato
(1S,2S)-2-amino-3-fenil-1-({[3-
1148 (trifluorometil)benzil]amino}metil)propil 3-{[(3-
clorobenzil)amino]sulfonil}benzoato
(1R,2S)-2-amino-3-[4-(benziloxi)fenil]-1-{[(3-
1150 metoxibenzil)amino]metil}propil 3-(aminocarbonil)-5-
[(dipropilamino)carbonil]benzoato
(1R,2S)-2-amino-3-(1,3-benzodioxol-5-il)-1-
1152 [(benzilamino)metil]propil 3-(aminocarbonil)-5-
[(dipropilamino)carbonil]benzoato
(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-
1154 etilbenzil)amino]metil}propil 4-(3,4-diclorofenil)-2-
hidroxi-3-metil-4-oxobutanoato
(1R,2S)-2-amino-1-1[(3-metilbutil)amino]metill-3-[3-
1156 (trifluorometoxi)fenil]propil 3-
[(dipropilamino)sulfonil]propanoato
(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-
1158 etilbenzil)amino]metil}propil 4-[(5-metil-1,3,4-
tiadiazol-2-il)amino]-4-oxobutanoato
1160 (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

etilbenzil)amino]metil}propil (2-etil-1H-benzimidazol-1-il)acetato

1162 (1R,2S)-2-amino-3-(1,3-benzodioxol-5-il)-1-{[(3-metoxibenzil)amino]metil}propil 3-[(dipropilamino)sulfonil]propanoato

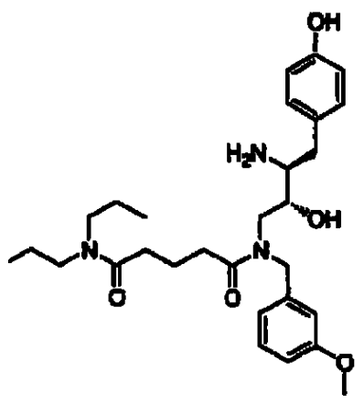
1164 (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-(2-oxo-1,3-benzoxazol-3(2H)-il)propanoato

1166 (1R,2S)-2-amino-3-(3,5-diclorofenil)-1-{[(3-metilbutil)amino]metil}propil 3-[(dipropilamino)sulfonil]propanoato

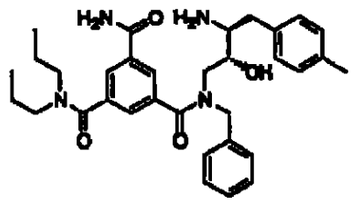
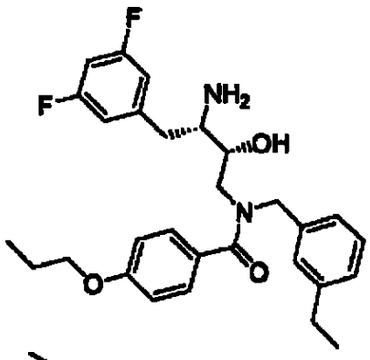
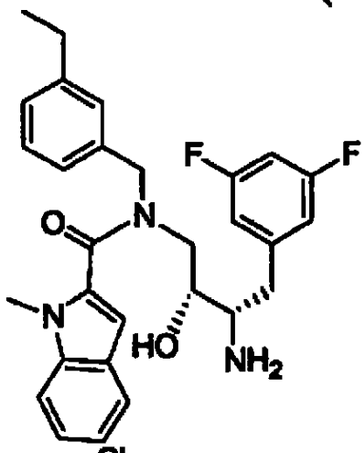
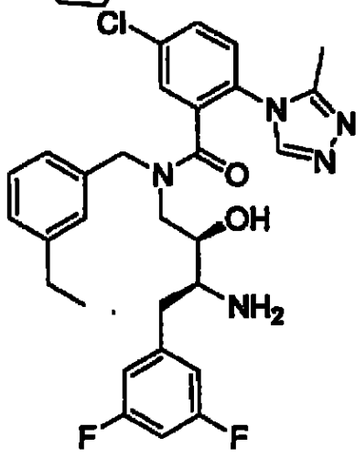
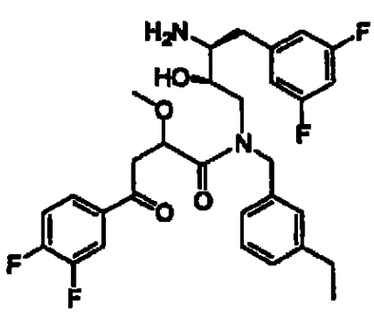
1168 (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 4-[(6-metilpiridin-2-il)amino]-4-oxobutanoato

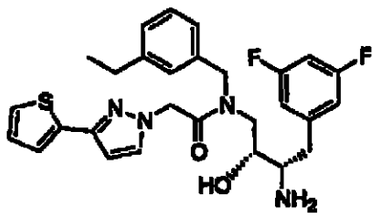
1170 4-((1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil) 3-etil (4R)-1,3-oxazolidina-3,4-dicarboxilato

987 N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-metoxibenzil)-3-metil-5-(2-metilbenzoil)benzamida

989 

N-[(2R,3S)-3-amino-2-hidroxi-4-(4-hidroxifenil)butil]-N-(3-metoxibenzil)-N',N'-dipropilpentanodiamida

991		N^1-[(2R,3S)-3-amino-2-hidroxi-4-(4-metilfenil)butil]-N^1-benzil-N^3,N^3-dipropilbenceno-1,3,5-tricarboxamida
993		N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-4-propoxibenzamida
995		N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-1-metil-1H-indol-2-carboxamida
997		N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-5-cloro-N-(3-etilbenzil)-2-(3-metil-4H-1,2,4-triazol-4-il)benzamida
999		N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-4-(3,4-difluorofenil)-N-(3-etilbenzil)-2-metoxi-4-oxobutanamida

1001 

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-2-[3-(2-tienil)-1H-pirazol-1-il]acetamida

1003 N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-N-fenilpentanodiamida

1005 N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-2-(2-tioxo-1,3-benzotiazol-3(2H)-il)acetamida

1007 N-[(2R,3S)-3-amino-4-ciclohexil-2-hidroxibutil]-N-benzil-5-metil-N',N'-dipropilisoftalamida

1009 N^1-[(2R,3S)-3-amino-2-hidroxi-4-(4-metoxifenil)butil]-N^1-(3-metoxibenzil)-N^3,N^3-dipropilbenceno-1,3,5-tricarboxamida

1011 N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-2-(3-hidroxi-4-metilfenil)acetamida

1013 N-{(2R,3S)-3-amino-4-[3-fluoro-5-(trifluorometil)fenil]-2-hidroxibutil}-5-metil-N-(3-metilbutil)-N',N'-dipropilisoftalamida

1015 N^1[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-7-fluoro-4H-imidazo [5,1-c] [1,4] benzoxazina-3-carboxamida

1017 N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-4-(3,4-dihidro-2H-1,5-benzodioxepin-7-il)-N-(3-etilbenzil)-4-oxobutanamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-1-benzofuran-3-carboxamida

1019

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N'-(3,4-diclorofenil)-N-(3-etilbenzil)malonamida

1021

N^1-(2R,3S)-3-amino-4-[3-fluoro-5-(trifluorometil)fenil]-2-hidroxibutil}-N^1-benzil-N^3,N^3-dipropilbenceno-1,3,5-tricarboxamida

1023

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-[(1R)-2-hidroxi-1-metiletil]-5-metil-N',N'-dipropilisoftalamida

1025

N-[(2R,3S)-3-amino-2-hidroxi-4-(3-metilfenil)butil]-N-benzil-5-metil-N',N'-dipropilisoftalamida

1027

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-N'-piridin-3-ilpentanodiamida

1029

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 2-metil-4-oxo-4H-cromeno-6-carboxilato

1031

2-({2-[[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil] (3-etilbenzil)amino]-2-oxoetil}tio)-N-(5-metilisoxazol-3-il)acetamida

1033

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-[3-(1H-imidazol-1-il)propil]-5-metil-N',N'-dipropilisoftalamida

1035

N-{(2R,3S)-3-amino-4-[3-fluoro-5-(trifluorometil)fenil]-2-hidroxibutil}-3-[(dipropilamino)sulfonil]-N-(3-

1037

metoxibenzil)propanamida

N-[(2R,3S)-3-amino-2-hidroxi-4-(4-hidroxifenil)butil]-3-

1039 [(dipropilamino)sulfonil]-N-(3-metilbutil)propanamida

N-[(2R,3S)-3-amino-4-(1,3-benzodioxol-5-il)-2-

1041 hidroxibutil]-5-metil-N-(3-metilbutil)-N',N'-

dipropilisoftalamida

N-[(2R,3S)-3-amino-3-hidroxi-4-(2-tienil)butil]-3-

1043 [(dipropilamino)sulfonil]-N-(3-metilbutil)propanamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-

1045 hidroxibutil]-4-[(2,2-dimetilpropanoil)amino]-N-(3-

etilbenzil)-2-hidroxibenzamida

N-[(2R,3S)-3-amino-2-hidroxi-4-(3-metoxifenil)butil]-5-

1047 metil-N-(3-metilbutil)-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(4-fluorofenil)-2-hidroxibutil]-3-

1049 [(3-metoxibenzil)amino]sulfonil}-N-[3-

(trifluorometil)benzil]benzamida

N-{(2R, 38)-3-amino-2-hidroxi-4-[3-

1051 (trifluorometil)fenil]butil}-5-metil-N-(3-metilbutil)-

N',N'-dipropilisoftalamida

N-{6-[[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-

1053 hidroxibutil] (3-etilbenzil)amino]-6-oxohexil}-2-

furamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-

1055 hidroxibutil]-N-(3-etilbenzil)-2-[(1-fenil-4,5-dihidro-

1H-tetrazol-5-il)tio]acetamida

N-[(2R,3S)-3-amino-2-hidroxi-4-fenilbutil]-3-1[(3-

1057 metoxibenzil)amino]sulfonil}-N-[3-

(trifluorometil)benzil]benzamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-

1059 hidroxibutil]-4-(3,4-dihidro-2H-cromen-6-il)-N-(3-

etilbenzil)-4-oxobutanamida

N^1-[(2R,3S)-3-amino-2-hidroxi-4-(3-metoxifenil)butil]-

1061 N^1-(3-metilbutil)-N^3,N^3-dipropilbenceno-1,3,5-

tricarboxamida

N-[(2R,3S)-3-amino-4-(3-fluoro-4-metilfenil)-2-

1063 hidroxibutil]-N-(3-metoxibenzil)-N',N'-

dipropilpentanodiamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-

1065 hidroxibutil]-N-(3-etilbenzil) indolizina-2-carboxamida

N-{(2R,3S)-3-amino-2-hidroxi-4-[3-

1067 (trifluorometoxi)fenil]butil}-N-benzil-5-metil-N',N'-

dipropilisoftalamida

1-óxido N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-

1069 hidroxibutil]-N-(3-etilbenzil)nicotinamida

N-{(2R,3S)-3-amino-4-[3-(benziloxi)-5-fluorofenil]-2-

1071 hidroxibutil}-3-[(dipropilamino)sulfonil]-N-(3-

metilbutil)propanamida

carbamato 2-[[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-

1073 hidroxibutil] (3-yodobenzil)amino]-2-oxoetil

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-

1075 hidroxibutil]-N-(3-etilbenzil)-2,3-dihidro-1H-

ciclopenta[b]quinolino-9-carboxamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-

1077 hidroxibutil]-N-(3-etilbenzil)-3-metil-1H-pirazol-5-

carboxamida

N-{5-[[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-

1079 hidroxibutil] (3-etilbenzil)amino]-5-oxopentil}benzamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-

1081 hidroxibutil]-N-(3-etilbenzil)-4-

[(metoximetil)tio]benzamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-

1083 hidroxibutil]-3-(1,3-benzotiazol-2-il)-N-(3-etilbenzil)-

3-metoxipropanamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-

1085 hidroxibutil]-N-(3-etilbenzil)-3-

{[(metilamino)carbonil]amino}-3-(3-tienil)propanamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-

1087 hidroxibutil]-N-(3-etilbenzil)-5-piridin-2-iltiofeno-2-

carboxamida

N^1-{(2R,3S)-3-amino-4-[3-(benziloxi)-5-fluorofenil]-2-

1089 hidroxibutil}-N^1-benzil-N^3,N^3-dipropilbenceno-1,3,5-

tricarboxamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-

1091 hidroxibutil]-2-(5,6-dimetil-2,4-oxo-1,2,3,4-

tetrahidropiridin-3-il)-N-(3-etilbenzil)acetamida

N-[(2R,3S)-3-amino-4-(3-fluoro-4-metoxifenil)-2-

1093 hidroxibutil]-5-metil-N-(3-metilbutil)-N',N'-

dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-

1095 hidroxibutil]-N-(3-etilbenzil)-2-isobutil-1,3-

dioxoisoindolino-5-carboxamida

5-(acetilamino)-N-[(2R,3S)-3-amino-4-(3,5-

1097 difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-2-

furamida

N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-

1099 hidroxibutil]-N^1-(3-etilbenzil)-N^2-[(4-

metoxifenil)acetil]glicinamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-

1101 hidroxibutil]-N-(3-etilbenzil) isoquinolino-4-

carboxamida

N^1-{(2R,3S)-3-amino-4-(3-(benziloxi)fenil]-2-

1103 hidroxibutil}-N^1-(3-metilbutil)-N^3,N^3-dipropilbenceno-

1,3,5-tricarboxamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-

1105 hidroxibutil]-N-(3-etilbenzil)-2-(4-hidroxi-3-

metoxifenil)acetamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-

1107 hidroxibutil]-N-(3-etilbenzil)-2-[(4-fenil-4H-1,2,4-

triazol-3-il)tio]acetamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-

1109 hidroxibutil]-2-(3,5-dimetoxifenil)-N-(3-

etilbenzil)acetamida

N-[(2R,3S)-3-amino-2-hidroxi-4-(3-metoxifenil)butil]-N-

1111 benzil-5-metil-N',N'-dipropilisofalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-

1113 hidroxibutil]-N-(3-etilbenzil)-2-(2-etil-4H-[1,2,4]

triazolo [1,5-a] benzimidazol-4-il)acetamida

1115 N-[(2R,3S)-3-amino-4-(2-furil)-2-hidroxibutil]-N-benzil-

5-metil-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-

1117 hidroxibutil]-7-cloro-N-(3-etilbenzil)-1-benzofuran-2-carboxamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-

1119 hidroxibutil]-2-(1,3-dioxo-1,3-dihidro-2H-isoindol-2-il)-N-(3-etilbenzil)propanamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-

1121 hidroxibutil]-N-(3-etilbenzil)-3-(2-oxo-2H-1,3-benzoxazin-3 (4H)-il)propanamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-

1123 hidroxibutil]-N-(3-etilbenzil)-2-(pirimidin-2-iltio)acetamida

N'-[3-(aminocarbonil)-4,5,6,7-tetrahidro-1-benzotien-2-

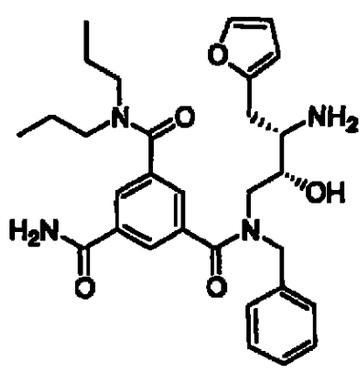
1125 il]-N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)succinamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-

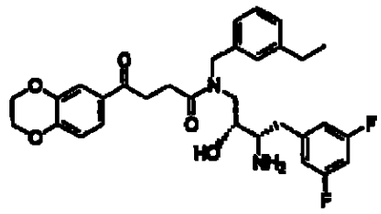
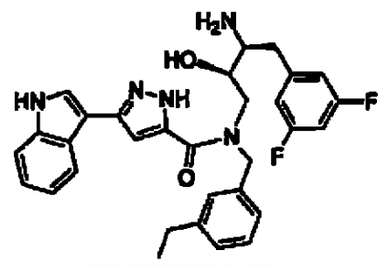
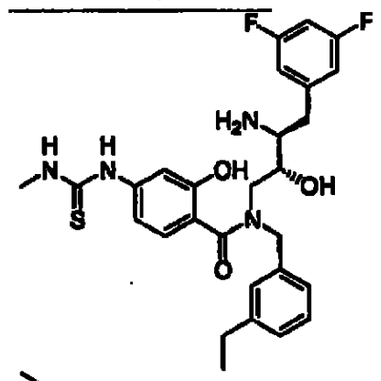
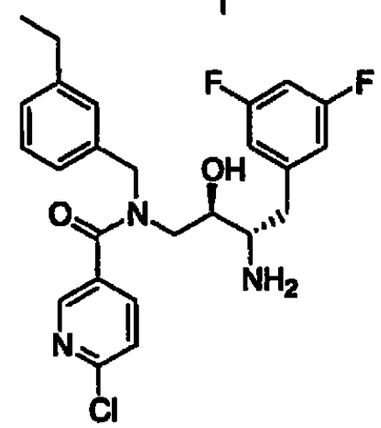
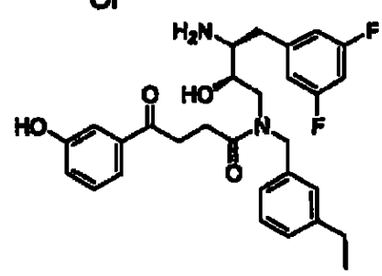
1127 hidroxibutil]-N-(3-etilbenzil)-2-[(5-fenil-1,3,4-oxadiazol-2-il)tio]acetamida

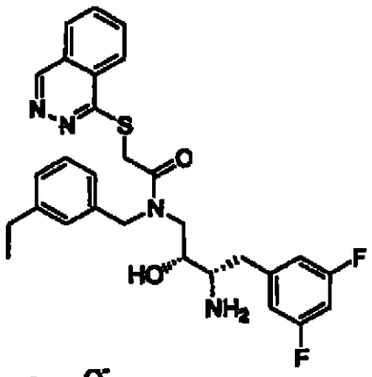
N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-

1129 hidroxibutil]-N-(3-etilbenzil) quinolino-6-carboxamida

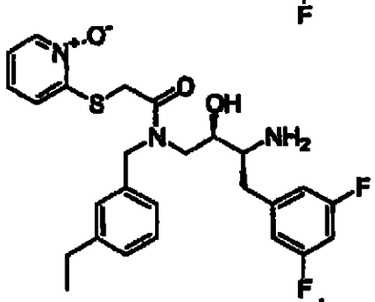


1131 N^1-[(2R,3S)-3-amino-4-(2-furil)-2-hidroxibutil]-N1-benzil-N^3,N^3-dipropilbenceno-1,3,5-tricarboxamida

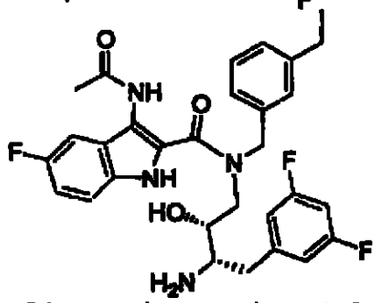
1133		N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-4-(2,3-dihidro-1,4-benzodioxin-6-il)-N-(3-etilbenzil)-4-oxobutanamida
1135		N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-3-(1H-indol-3-il)-1H-pirazol-5-carboxamida
1137		N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-2-hidroxi-4-{[(metilamino)carbonotioil]amino}-benzamida
1139		N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-6-cloro-N-(3-etilbenzil)nicotinamida
1141		N-[(2R,3S)-3-amino-4-(3,5-)-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-4-(3-hidroxifenil)-4-oxobutanamida

1143 

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-2-(ftalazin-1-iltio)acetamida

1145 

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-2-[(1-oxidopiridin-2-il)tio]acetamida

1147 

3-(acetilamino)-N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-5-fluoro-1H-indol-2-carboxamida

1149 N-[(2R,3S)-3-amino-2-hidroxi-4-fenilbutil]-3-1[(3-clorobenzil)amino]sulfonil}-N-[3-(trifluorometil)benzil]benzamida

1151 N^1-(2R,3S)-3-amino-4-[4-(benziloxi)fenil]-2-hidroxibutill-N-(3-metoxibenzil)-N^3,N^3-dipropilbenceno-1,3,5-tricarboxamida

1153 N^1-[(2R,3S)-3-amino-4-(1,3-benzodioxol-5-il)-2-hidroxibutil]-N^1-benzil-N^3,N^3-dipropilbenceno-1,3,5-tricarboxamida

1155 N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-4-(3,4-diclorofenil)-N-(3-etilbenzil)-2-hidroxi-3-metil-4-oxobutanamida

1157 N-{(2R,3S)-3-amino-2-hidroxi-4-[3-

(trifluorometoxi)fenil]butil}-3-
[(dipropilamino)sulfonil]-N-(3-metilbutil)propanamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-
1159 hidroxibutil]-N-(3-etilbenzil)-N'-(5-metil-1,3,4-
tiadiazol-2-il)succinamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-
1161 hidroxibutil]-2-(2-etil-1H-benzimidazol-1-il)-N-(3-
etilbenzil)acetamida

N-[(2R,3S)-3-amino-4-(1,3-benzodioxol-5-il)-2-
1163 hidroxibutil]-3-[(dipropilamino)sulfonil]-N-(3-
metoxibenzil)propanamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-
1165 hidroxibutil]-N-(3-etilbenzil)-3-(2-oxo-1,3-benzoxazol-
3(2H)-il)propanamida

N-[(2R,3S)-3-amino-4-(3,5-diclorofenil)-2-hidroxibutil]-
1167 3-[(dipropilamino)sulfonil]-N-(3-metilbutil)propanamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-
1169 hidroxibutil]-N-(3-etilbenzil)-N'-(6-metilpiridin-2-
il)succinamida

etil (4R)-4-}[[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-
1171 hidroxibutil] (3-etilbenzil)amino]carbonil}-1,3-
oxazolidina-3-carboxilato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-
1172 etilbenzil)amino]metil}propil 3-(butilsulfinil)-N-
(metoxicarbonil)-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-
1174 etilbenzil)amino]metil}propil S-butil-N-

(metoxivarbonil)-D-cisteinato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

1176 etilbenzil)amino]metil}propil N-[(benziloxi)carbonil]-3-

[(4,4,4-trifluorobutil)sulfonil]-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

1178 etilbenzil)amino]metil}propil N-[(benziloxi)carbonil]-3-

[(4,4,4-trifluorobutil)sulfinil]-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

1180 etilbenzil)amino]metil}propil N-[(benziloxi)carbonil]-S-

(4,4,4-trifluorobutil)-D-cisteinato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

1182 etilbenzil)amino]metil}propil 3-(butilsulfonil)-N-

(metoxicarbonil)-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

1184 etilbenzil)amino]metil}propil 3-(butilsulfonil)-N-

[(2,2,2-trifluoroetoxi)carbonil]-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

1186 etilbenzil)amino]metil}propil 3-(butilsulfonil)-N-[(2-

cianoetoxi)carbonil]-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

1188 etilbenzil)amino]metil}propil 3-(butilsulfonil)-N-

{[(3R)-pirrolidin-3-iloxi]carbonil}-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

1190 etilbenzil)amino]metil}propil 3-(butilsulfonil)-N-

{[(3S)-tetrahidrofuran-3-iloxi]carbonil}-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

1192 etilbenzil)amino]metil}propil N-{[2-

(acetilamino)etoxi]carbonil}-3-(butilsulfonil)-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-(butilsulfonil)-N-[(piridin-3-ilmetoxi)carbonil]-D-alaninato

1194

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-(butilsulfonil)-N-[(piridin-4-ilmetoxi)carbonil]-D-alaninato

1196

(1R,2S)-2-amino-1-[(ciclopropilamino)metil]-3-(3,5-difluorofenil)propil 3-(butilsulfonil)-N-(metoxicarbonil)-D-alaninato

1198

(1R,2S)-2-amino-1-[(ciclopropilamino)metil]-3-(3,5-difluorofenil)propil 3-(butilsulfonil)-N-[(2-cianoetoxi)carbonil]-D-alaninato

1200

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-metilbutil)amino]metil}propil N-[(benziloxi)carbonil]-3-(butilsulfonil)-D-alaninato

1202

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-metilbutil)amino]metil}propil 3-(butilsulfonil)-N-(metoxicarbonil)-D-alaninato

1204

(1R,2S)-2-amino-1-[(ciclopropilamino)metil]-3-(3,5-difluorofenil)propil N-[(2-cianoetoxi)carbonil]-3-[(1-propilbutil)sulfonil]-D-alaninato

1206

(1R,2S)-2-amino-1-[(ciclopropilamino)metil]-3-(3,5-difluorofenil)propil N-{[2-(acetilamino)etoxi]carbonil}-3-[(1-propilbutil)sulfonil]-D-alaninato

1208

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

1210

metilbutil)amino]metil}propil N-(metoxicarbonil)-3-[(1-propilbutil)sulfonil]-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

1212 metilbutil)amino]metil}propil N-[(benziloxi)carbonil]-3-[(1-propilbutil)sulfonil]-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-{[2-(dietilamino)-2-

1214 oxoetoxi]carbonil}-3-[(1-propilbutil)sulfonil]-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

1216 etilbenzil)amino]metil}propil N-(metoxicarbonil)-3-[(1-propilbutil)sulfonil]-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

1218 etilbenzil)amino]metillpropil N-(isopropoxicarbonil)-3-[(1-propilbutil)sulfonil]-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-

1220 [(ciclopropilmetoxi)carbonil]-3-[(1-propilbutil)sulfonil]-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

1222 etilbenzil)amino]metil}propil N-[(aliloxi)carbonil]-3-[(1-propilbutil)sulfonil]-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-[(2-

1224 cianoetoxi)carbonil]-3-[(1-propilbutil)sulfonil]-D-alaninato

1226 (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

etilbenzil)amino]metil}propil N-{[2-(acetilamino)etoxi]carbonil}-3-[(1-propilbutil)sulfonil]-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-[(1-propilbutil)sulfonil]-N-[(piridin-3-ilmetoxi)carbonil]-D-alaninato

1228

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-[(1-propilbutil)sulfonil]-N-[(piridin-4-ilmetoxi)carbonil]-D-alaninato

1230

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil (2R)-2-{[(benziloxi)carbonil]amino}-4-(metilsulfonil)butanoato

1232

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-[(benziloxi)carbonil]-3-(butilsulfonil)-D-alaninato

1234

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-[(benziloxi)carbonil]-3-(butilsulfonil)-1-alaninato

1236

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-(I[(1R)-2-hidroxi-1-feniletil]amino}metil)propil N-[(benziloxi)carbonil]-3-[(1-propilbutil)sulfonil]-D-alaninato

1238

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[(1R)-2-metoxi-1-feniletil]amino}metil)propil N-[(benziloxi)carbonil]-3-[(1-propilbutil)sulfonil]-D-

1240

alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[(1S)-2-metoxi-1-feniletil]amino}metil)propil N-

1242 [(benziloxi)carbonil]-3-[(1-propilbutil)sulfonil]-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[1-(3-etilfenil)ciclopropil]amino}metil)propil N-

1244 [(benziloxi)carbonil]-3-[(1-propilbutil)sulfonil]-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-[(1-

1246 propilbutil)sulfonil]-N-[(prop-2-in-1-iloxi)carbonil]-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-[(2-

1248 metoxietoxi)carbonil]-3-[(1-propilbutil)sulfonil]-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-({[(3R)-1-

1250 acetilpirrolidin-3-il]oxi}carbonil)-3-[(1-propilbutil)sulfonil]-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-[(1-

1252 propilbutil)sulfonil]-N-{[(3S)-tetrahidrofuran-3-iloxi]carbonil}-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

1254 etilbenzil)amino]metil}propil 3-[(1-

propilbutil)sulfonil]-N-{[(3S)-tetrahidrofuran-3-iloxi]carbonil}-1-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-[(benziloxi)carbonil]-3-[(1-propilbutil)sulfonil]-D-alaninato

1256

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-[(benziloxi)carbonil]-3-[(1-propilbutil)sulfonil]-1-alaninato

1258

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[1-(3-etilfenil)ciclopropil]amino}metil)propil N-[(benziloxi)carbonil]-3-[(1-propilbutil)sulfonil]alaninato

1260

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-[(benziloxi)carbonil]-3-[(1-propilbutil)sulfonil]alaninato

1262

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-metilbutil)amino]metil}propil N-[(benziloxi)carbonil]-3-[(1-propilbutil)sulfonil]alaninato

1264

(1R,2S)-2-amino-1-[(ciclopropilamino)metil]-3-(3,5-difluorofenil)propil N-[(benziloxi)carbonil]-3-[(1-propilbutil)sulfonil]alaninato

1266

(1R,2S)-2-amino-1-{[(ciclopropilmetil)amino]metil}-3-(3,5-difluorofenil)propil N-[(benziloxi)carbonil]-3-[(1-propilbutil)sulfonil]alaninato

1268

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilfenil)amino]metil}propil N-[(benziloxi)carbonil]-3-[(1-propilbutil)sulfonil]alaninato

1270

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-[(12-[3-(trifluorometil)fenil]etil}amino)metil]propil N-[(benziloxi)carbonil]-3-[(1-propilbutil)sulfonil]alaninato

1272

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-[(1-propilbutil)sulfonil]-N-[(piridin-3-ilmetoxi)carbonil]alaninato

1274

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-[(1-propilbutil)sulfonil]-N-{[(3S)-tetrahidrofuran-3-iloxi]carbonil}alaninato

1276

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-[(1-propilbutil)sulfonil]-N-{[(3R)-tetrahidrofuran-3-iloxi]carbonil}alaninato

1278

(1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-fenilpropil 3-[(1-propilbutil)sulfonil]-N-{[(3S)-tetrahidrofuran-3-iloxi]carbonil}alaninato

1280

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-({[(3R)-1-acetilpirrolidin-3-iloxi}carbonil)-3-[(1-propilbutil)sulfonil]alaninato

1282

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-[(1-propilbutil)sulfonil]-N {[(3R)-pirrolidin-3-iloxi]carbonil}alaninato

1284

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N ({[(3R)-1-

1286 benzilpirrolidin-3-il]oxi}carbonil)-3-[(1-propilbutil)sulfonil]alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-({[(3R)-1,1-

1288 dioxidotetrahidro-3-tienil]oxi}carbonil)-3-[(1-propilbutil)sulfonil]alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-[(1-

1290 propilbutil)sulfonil]-N {[(3R)-tetrahidro-3-tieniloxi]carbonil}alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-

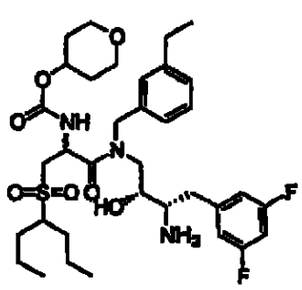
1292 [(ciclopentiloxi)carbonil]-3-[(1-propilbutil)sulfonil]alaninato

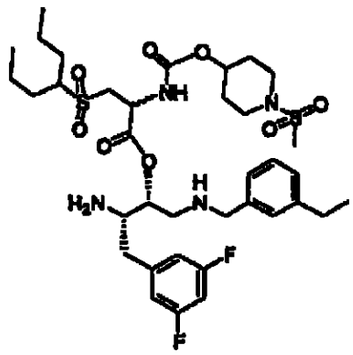
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1294 [(ciclohexiloxi)carbonil]-3-[(1-propilbutil)sulfonil]alaninato

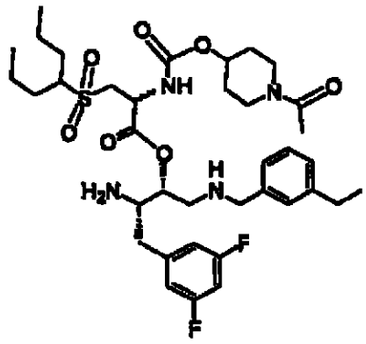
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1296 difluorofenil)propil 3-[(1-propilbutil)sulfonil]-N-[(tetrahidro-2H-piran-4-iloxi)carbonil]alaninato

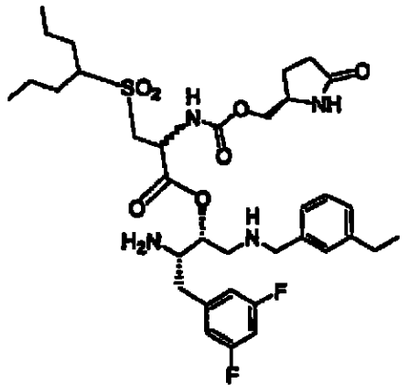
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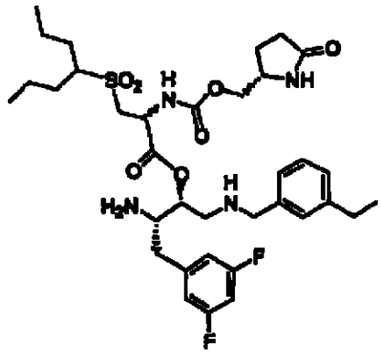
(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-({[1-(metilsulfonil)piperidin-4-il]oxi}carbonil)-3-[(1-propilbutil)sulfonil]alaninato

1302 

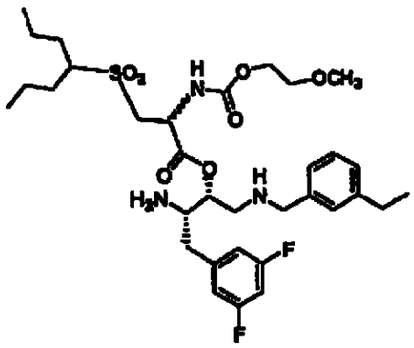
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1304 

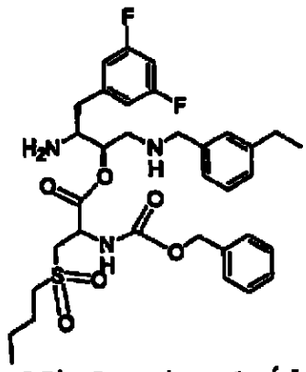
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1306 

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-({[(2S)-5-oxopirrolidin-2-il]metoxi}carbonil)-3-[(1-propilbutil)sulfonil]alaninato

1308 

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-[(2-metoxietoxi)carbonil]-3-[(1-propilbutil)sulfonil]alaninato

1310 

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-[(benziloxi)carbonil]-3-(butilsulfonil)alaninato

1312 (1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-fenilpropil N-[(benziloxi)carbonil]-3-[(1-propilbutil)sulfonil]alaninato

1314 clorhidrato de N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-2-hidroxi-4-(fenilsulfonil)butanamida

1316 (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N^2-[(benziloxi)carbonil]-N^5,N^5-dipropil-1-glutaminato

1318 (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N^2-[(benziloxi)carbonil]-N^5,N^5-dipropil-D-glutaminato

1320 (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-(butilsulfonil)-N-(3,3,3-trifluoropropanoil)-D-alaninato

1322 (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

etilbenzil)amino]metil}propil 3-(butilsulfonil)-N-(trifluoroacetil)-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-acetil-3-(butilsulfonil)-D-alaninato

1324

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-(butilsulfonil)-N-isonicotinoil-D-alaninato

1326

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-(butilsulfonil)-N-(ciclopropilcarbonil)-D-alaninato

1328

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil-alanil-3-(butilsulfonil)-D-alaninato

1330

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil glicil-3-(butilsuflonil)-D-alaninato

1332

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N,N-dimetilglicil-3-(butilsulfonil)-D-alaninato

1334

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N,N-dimetil-ß-alanil-3-(butilsulfonil)-D-alaninato

1336

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-(butilsulfonil)-N-(metoxiacetil)-D-alaninato

1338

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

1340

etilbenzil)amino]metil}propil 3-(butilsulfonil)-N-(piridin-3-ilcarbonil)-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

1342 etilbenzil)amino]metil}propil 3-(butilsulfonil)-N-[(2,4-dimetil-1,3-tiazol-5-il)carbonil]-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

1344 etilbenzil)amino]metil}propil 3-(butilsulfonil)-N-{[3-(trifluorometil)-1H-pirazol-4-il]carbonil}-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

1346 etilbenzil)amino]metil}propil 3-(butilsulfonil)-N-[(3-metil-1H-pirazol-5-il)carbonil]-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

1348 etilbenzil)amino]metil}propil 3-(butilsulfonil)-N-(1H-imidazol-4-ilcarbonil)-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

1350 etilbenzil)amino]metil}propil (2R)-5-hidroxi-2-[(metoxicarbonil)amino]nonanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

1352 etilbenzil)amino]metil}propil 3-(butilsulfonil)-N-[(6-hidroxipiridin-3-il)carbonil]-D-alaninato

(1R,2S)-2-amino-1-[(ciclopropilamino)metil]-3-(3,5-

1354 difluorofenil)propil 3-(butilsulfonil)-N-piridin-3-ilcarbonil)-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

1356 metilbutil)amino]metil}propil N-acetil-3-(butilsulfonil)-D-alaninato

1358 (1R,2S)-2-amino-1-[(ciclopropilamino)metil]-3-(3,5-

difluorofenil)propil N-(ciclopropilcarbonil)-3-[(1-propilbutil)sulfonil]-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-metilbutil)amino]metil}propil N-acetil-3-[(1-propilbutil)sulfonil]-D-alaninato

1360

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-isonicotinoil-3-[(1-propilbutil)sulfonil]-D-alaninato

1362

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-[(5-bromopiridin-3-il)carbonil]-3-[(1-propilbutil)sulfonil]-D-alaninato

1364

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-[(3-etilbenzil)amino]metil}propil N-[(5-cloropiridin-3-il)carbonil]-3-[(1-propilbutil)sulfonil]-D-alaninato

1366

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-(3-fluorobenzoil)-3-[(1-propilbutil)sulfonil]-D-alaninato

1368

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-[(5-metilpiridin-3-il)carbonil]-3-[(1-propilbutil)sulfonil]-D-alaninato

1370

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-fenilglicil-3-[(1-propilbutil)sulfonil]-D-alaninato

1372

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-[(1-propilbutil)sulfonil]-N-{[3-(trifluorometil)-1H-pirazol-4-il]carbonil}-D-alaninato

1374

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-[(3-metil-1H-pirazol-5-il)carbonil]-3-[(1-propilbutil)sulfonil]-D-alaninato

1376

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil-3-[(1-propilbutil)sulfonil]-N-(1,3-tiazol-4-ilcarbonil)-D-alaninato

1378

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-[(1-acetilpiperidin-4-il)carbonil]-3-[(1-propilbutil)sulfonil]-D-alaninato

1380

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-[4-(acetilamino)butanoil]-3-[(1-propilbutil)sulfonil]-D-alaninato

1382

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-acetil-ß-alanil-3-[(1-propilbutil)sulfonil]-D-alaninato

1384

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-(cloroacetil)-3-[(1-propilbutil)sulfonil]-D-alaninato

1386

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-(metoxiacetil)-3-[(1-propilbutil)sulfonil]-D-alaninato

1388

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-(3-metoxipropanoil)-3-[(1-propilbutil)sulfonil]-D-alaninato

1390

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

1392

etilbenzil)amino]metil}propil N-(2,2-dimetilpropanoil)-3-[(1-propilbutil)sulfonil]-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino)metil}propil N-isobutiril-3-[(1-propilbutil)sulfonil]-D-alaninato 1394

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-butiril-3-[(1-propilbutil)sulfonil]-D-alaninato 1396

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-acetil-3-[(1-propilbutil)sulfonil]-D-alaninato 1398

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[1-(3-etilfenil)ciclopropil]amino}metil)propil 3-[(1-propilbutil)sulfonil]-N-(piridin-3-ilcarbonil)-D-alaninato 1400

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[1-(3-etilfenil)ciclopropil]amino}metil)propil N-isonicotinoil-3-[(1-propilbutil)sulfonil]-D-alaninato 1402

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[(1-(3-etilfenil)ciclopropil]amino}metil)propil N-(3-hidroxibenzoil)-3-[(1-propilbutil)sulfonil-D-alaninato 1404

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-[(1-propilbutil)sulfonil]-N-(piridin-3-ilcarbonil)-D-alaninato 1406

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-(3-hidroxibenzoil)-3- 1408

[(1-propilbutil)sulfonil]-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

1410 etilbenzil)amino]metil}propil N (ciclopropilcarbonil)-3-[(1-propilbutil)sulfonil]-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-[(3-

1412 etilbenzil)aminoAmetil}propil N-propionil-3-[(1-propilbutil)sulfonil]-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

1414 etilbenzil)amino]metil}propil 3-(butilsulfonil)-N-(piridin-3-ilcarbonil)alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[1-(3-

1416 etilfenil)ciclopropil]amino}metil)propil N-(3-hidroxibenzoil)-3-[(1-propilbutil)sulfonil]alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[1-(3-

1418 etilfenil)ciclopropil]amino}metil)propil N-isonicotinoil-3-[(1-propilbutil)sulfonil]alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-[(6-oxo-1,4,5,6-

1420 tetrahidropiridazin-3-il)carbonil]-3-[(1-propilbutil)sulfonil]alaninato

clorhidrato de (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-

1422 {[(3-etilbenzil)amino]metil}propil-5-oxo-D-prolil-3-[(1-propilbutil)sulfonil]alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

1424 etilbenzil)amino]metil}propil 5-oxo-1-prolil-3-[(1-propilbutil)sulfonil]alaninato

1426 (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

etilbenzil)amino]metil}propil N-[3-(4-oxo-2-tioxo-1,3-tiazolidin-3-il)propanoil]-3-(1-propilbutil)sulfonil]alaninato

1428 (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-(piperidin-4-ilcarbonil)-3-[(1-propilbutil)sulfonillalaninato

1430 (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-[(2,4-dimetil-1,3-tiazol-5-il)carbonil]-3-[(1-propilbutil)sulfonil]alaninato

1432 (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-{[2-metil-4-(trifluorometil)-1,3-tiazol-5-il]carbonil}-3-[(1-propilbutil)sulfonil]alaninato

1434 (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-[(3,5-dimetilisoxazol-4-il)carbonil]-3-[(1-propilbutil)sulfonil]alaninato

1436 (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-[(3-metil-1H-pirazol-5-il)carbonil]-3-[(1-propilbutil)sulfonil]alaninato

1438 (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-[(1-propilbutil)sulfonil]-N-(1H-pirazol-4-ilcarbonil)alaninato

1440 (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-(1H-imidazol-5-ilcarbonil)-3-[(1-propilbutil)sulfonil]alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-
1442 etilbenzil)amino]metil}propil N-(1H-imidazol-4-
ilacetil)-3-[(1-propilbutil)sulfonil]alaninato
(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-
1444 etilbenzil)amino]metil}propil 3-[(1-
propilbutil)sulfonil]-N-(pirazin-2-ilcarbonil)alaninato
(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-
etilbenzil)amino]metil}propil N-(2,6-
1446 dihidroxiisonicotinoil)-3-[(1-
propilbutil)sulfonil]alaninato
(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-
1448 etilbenzil)amino]metil}propil N-[(6-hidroxipiridin-3-
il)carbonil]-3-[(1-propilbutil)sulfonilgalaninato
(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-
1450 etilbenzil)amino]metil}propil N-[(6-cloropyndin-3-
il)carbonil]-3-[(1-propilbutil)sulfonil]alaninato
(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-
1452 etilbenzil)amino]metil}propil N-isonicotinoil-3-[(1-
propilbutil)sulfonil]alaninato
(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-
1454 etilbenzil)amino]metil}propil 3-[(1-
propilbutil)sulfonil]-N-(piridin-3-ilcarbonil)alaninato
(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-
1456 etilbenzil)amino]metil}propil 3-[(1-
propilbutil)sulfonil]-N-(piridin-2-ilcarbonil)alaninato
clorhidrato de (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-
1458 {[(3-etilbenzil)amino]metil}propil N-(1H-indol-6-

ilcarbonil)-3-[(1-propilbutil)sulfonil]alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

etilbenzil)amino]metillpropil 3-[(I-

1460 propilbutil)sulfonil]-N-(3,4,5-

trimetoxibenzoil)alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

1462 etilbenzil)amino]metil}propil N-(2-metilbenzoil)-3-[(1-

propilbutil)sulfonil]alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

1464 etilbenzil)amino]metil}propil N-(3-hidroxibenzoil)-3-

[(1-propilbutil)sulfonil]alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

1466 etilbenzil)amino]metil}propil N-(3-metilbenzoil)-3-[(1-

propilbutil)sulfonil]alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

1468 etilbenzil)amino]metil}propil N-(3-etilbenzoil)-3-[(1-

propilbutil)sulfonil]alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

1470 etilbenzil)amino]metil}propil N-(3-clorobenzoil)-3-[(1-

propilbutil)sulfonil]alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

etilbenzil)amino]metil}propil 3-[(1-

1472 propilbutil)sulfonil]-N-[4-

(trifluorometil)benzoil]alaninato

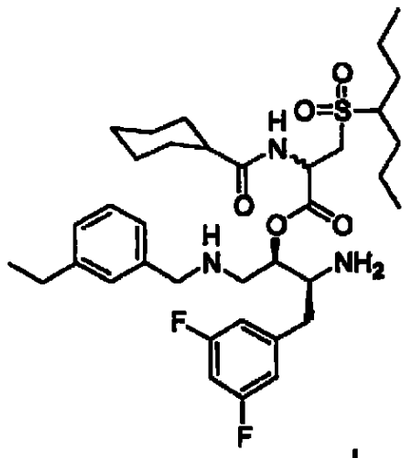
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1474 etilbenzil)amino]metil}propil N-(4-metoxibenzoil)-3-[(1-

propilbutil)sulfonil]alaninato

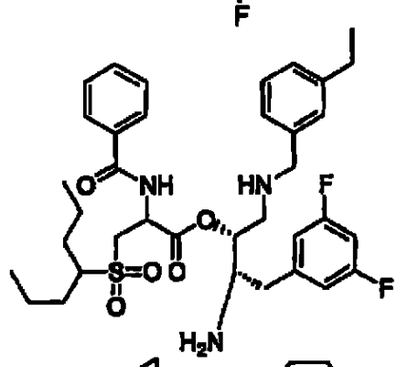
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1476



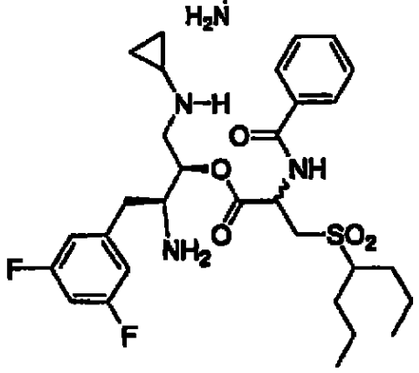
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1478



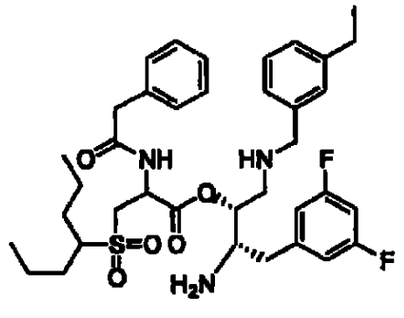
(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-benzoil-3-[(1-propilbutil)sulfonil]alaninato

1480



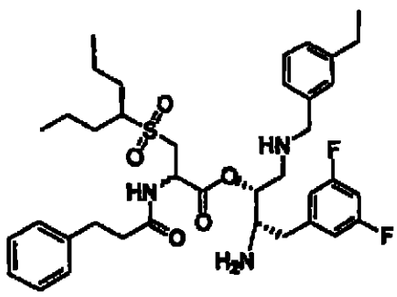
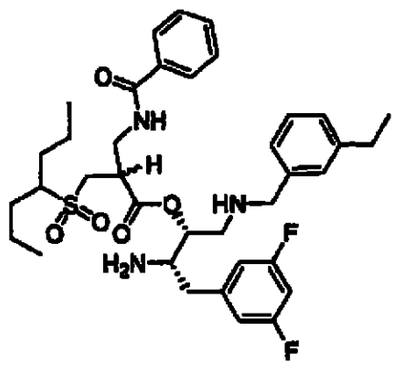
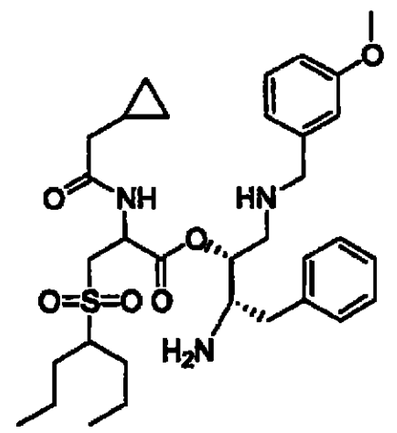
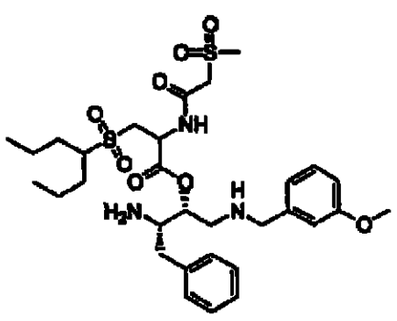
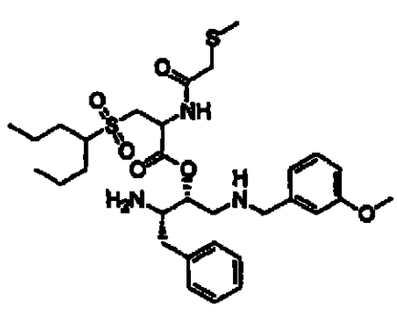
(1R,2S)-2-amino-1-(ciclopropilamino)metil]-3-(3,5-difluorofenil)propil N-benzoil-3-[(1-propilbutil)sulfonil]alaninato

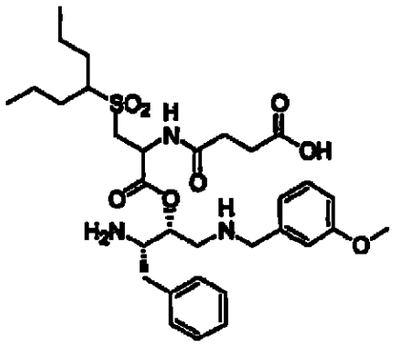
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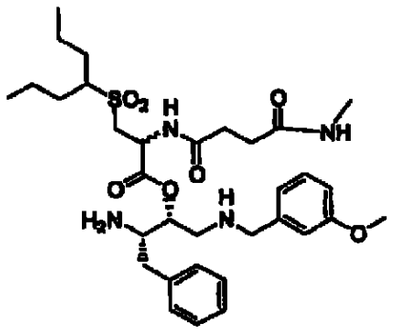


(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-(fenilacetil)-3-[(1-propilbutil)sulfonil]alaninato

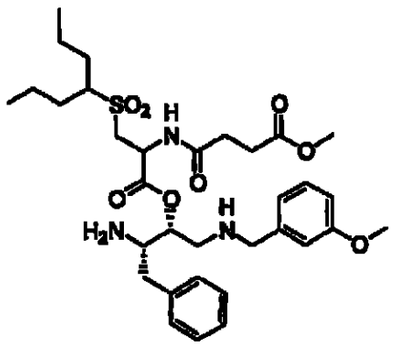
1484

1486		(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-(3-fenilpropanoil)-3-[(1-propilbutil)sulfonil]alaninato
1488		(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-(benzoilamino)-2-1[(1-propilbutil)sulfonil]metil}propanoato
1490		(1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-fenilpropil N-(ciclopropilacetil)-3-[(1-propilbutil)sulfonil]alaninato
1492		(1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-fenilpropil N-[(metilsulfonil)acetil]-3-[(1-propilbutil)sulfonil]alaninato
1494		(1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-fenilpropil N-[(metiltio)acetil]-3-[(1-propilbutil)sulfonil]alaninato

1496 

1498 

(1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-fenilpropil N-[4-(metilamino)-4-oxobutanoil]-3-[(1-propilbutil)sulfonil]alaninato

1500 

1502 (1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-fenilpropil N-(metilsulfonil)glicil-3-[(1-propilbutil)sulfonil]alaninato

1504 (1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-fenilpropil N-acetil-3-(fenilsulfonil)alaninato

1506 (1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-fenilpropil (2S)-2-[(4-metoxi-4-oxobutanoil)amino]-5-oxo-5-piperidin-1-ilpentanoato

1508 (1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-fenilpropil (2R)-2-{[(benziloxi)carbonil]amino}-5-oxo-5-piperidin-1-ilpentanoato

(1R,2S)-2-anzino-1-{[(3-metoxibenzil)amino]metil}-3-fenilpropil (2R)-2-[(3-etoxi-3-oxopropanoil)amino]-5-oxo-5-piperidin-1-ilpentanoato

1510

(1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-fenilpropil N^2-(4-metoxi-4-oxobutanoil)-N^5,N^5-dipropil-D-glutaminato

1512

(1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-fenilpropil (2R)-2-[(4-metoxi-4-oxobutanoil)amino]-5-oxo-5-piperidin-1-ilpentanoato

1514

(1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-fenilpropil (2R)-2-[(5-metoxi-5-oxopentanoil)amino]-5-oxo-5-piperidin-1-ilpentanoato

1516

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 2-(acetiloxi)-3-(butilsulfonil)propanoato

1518

(1R,2S)-2-amino-3-(3,5-difluorofenil)-I-I[(3-etilbenzil)amino]metil}propil S-butil-D-cisteinato

1520

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-(butilsulfinil)-D-alaninato

1522

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-(butilsulfonil)-D-alaninato

1524

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-(butilsulfonil)-1-alaninato

1526

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

1528

metilbutil)amino]metil}propil 3-(butilsulfonil)-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[1-(3-etilfenil)ciclopropil]amino}metil)propil 3-[(1-propilbutil)sulfonil]-D-alaninato

1530

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-[(1-propilbutil)sulfonil]-1-alaninato

1532

(1R,2S)-2-amino-1-[(ciclopropilamino)metil]-3-(3,5-difluorofenil)propil 3-[(1-propilbutil)sulfonil]-D-alaninato

1534

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-metilbutil)amino]metil}propil 3-[(1-propilbutil)sulfonil]-D-alaninato

1536

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-[(1-propilbutil)sulfonil]-D-alaninato

1538

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-[(1-propilbutil)sulfonil]alaninato

1540

(1R,2S)-2-amino-3-(3,5-difluorofenil)-I-I[(3-etilbenzil)amino]metil}propil N-(fenoxiacetil)-3-[(1-propilbutil)sulfonil]alaninato

1542

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-{[(5-cloro-2-tienil)tio]peroxi}-3-[(1-propilbutil)sulfonil]alaninato

1544

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

1546

etilbenzil)amino]metil}propil N-(fenilsulfonil)-3-[(1-propilbutil)sulfonil]alaninato

(1R,2S)-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}-2-(metilamino)propil N-

1548 [(benzilamino)carbonil]-3-[(1-propilbutil)sulfonil]alaninato

4-{[(1R,2S)-3-(3,5-difluorofenil)-1-{[(3-

1550 etilbenzil)amino]metil}-2-(metilamino)propil]oxi}-4-oxo-3-{[(1-propilbutil)sulfonil]metil}butanoic acid

Ácido 4-[((1R,2S)-2-amino-1-{[(3-

1552 metoxibenzil)amino]metil}-3-fenilpropil)oxi]-3-{[(3-metilbutil)sulfonil]metil}-4-oxobutanoico

1-((1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-

1554 fenilpropil) 4-metil 2-{[(3-metilbutil)sulfonil]metil}succinato

(1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-

1556 fenilpropil 4-amino-2-{[(3-metilbutil)sulfonil]metil}-4-oxobutanoato

(1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-

1558 fenilpropil4-(metilamino)-2-{[(3-metilbutil)sulfonil]metil}-4-oxobutanoato

(1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-

1560 fenilpropil 4-(dimetilamino)-2-{[(3-metilbutil)sulfonil]metil}-4-oxobutanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

1562 etilbenzil)amino]metil}propil3-(4,4-dimetil-2,5-dioxoimidazolidin-1-il)-2-{[(1-

propilbutil)sulfonil]metil}propanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

etilbenzil)amino]metil}propil3-(4,4-dimetil-2,5-

1564 dioxoimidazolidin-1-il)-2-{[(1-

propilbutil)sulfonil]metil}propanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

etilbenzil)amino]metil}propil3-(4,4-dimetil-2,5-

1566 dioxoimidazolidin-1-il)-2-{[(1-

propilbutil)sulfonil]metil}propanoato

(1R,2R)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-

1568 fenilpropil 3-(etilsulfonil)-2-

{[(isobutilsulfonil)amino]metil}propanoato

(1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-

1570 fenilpropil 3-(etiltio)-2-

{[(isobutilsulfonil)amino]metil}propanoato

(1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-

1572 fenilpropil (2S)-2-{[(3-metilbutil)sulfonil]amino}-4-

(metilsulfonil)butanoato

(1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-

1574 fenilpropil N-[(3-metilbutil)sulfonil]-1-metioninato

(1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-

1576 fenilpropil 3-(acetiltio)-2-{[(3-

metilbutil)sulfonil]metil}propanoato

(1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-

1578 fenilpropil 2-hidroxi-3-[(1-

propilbutil)sulfonil]propanoato

1580 (1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-

fenilpropil 2-hidroxi-3-[(3-metilbutil)sulfonil]propanoato

(1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-fenilpropil 2-hidroxi-3-[(3-metoxifenil)sulfonil]propanoato

1582

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 2-hidroxi-4-(fenilsulfonil)butanoato

1584

(1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-fenilpropil 2-hidroxi-4-[(3-metilbutil)sulfonil]butanoato

1586

(1R,2S)-2-amino-1-1[(3-metoxibenzil)amino]metil}-3-fenilpropil 4-[(3-metilbutil)sulfonil]-2-fenoxibutanoato

1588

(1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-fenilpropil 2-(3-metoxifenoxi)-4-[(3-metilbutil)sulfonil]butanoato

1590

Ácido 3-{1-{[((1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-fenilpropil)oxi]carbonil}-3-[(3-metilbutil)sulfonil]propoxi}benzoico

1592

metil 3-{1-{[((1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-fenilpropil)oxi]carbonil}-3-[(3-metilbutil)sulfonil]propoxi}benzoato

1594

(1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-fenilpropil 2-hidroxi-4-(fenilsulfonil)butanoato

1596

(1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-fenilpropil 2-hidroxi-4-(feniltio)butanoato

1598

(1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-

1600

fenilpropil2-metoxi-4-(fenilsulfonil)butanoato

(1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-

1602 fenilpropil 2-metoxi-4-(feniltio)butanoato

(1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-

1604 fenilpropil 4-(fenilsulfonil)-2-propoxibutanoato

(1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-

1606 fenilpropil 2-(benziloxi)-4-(fenilsulfonil)butanoato

(1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-

1608 fenilpropil N-[(benziloxi)carbonil] metioninato

(1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-

1610 fenilpropil (2S)-2-amino-5-oxo-5-piperidin-1-ilpentanoato

(1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-

1612 fenilpropil (2S)-2-[(2-metoxi-2-oxoetil)amino]-5-oxo-5-piperidin-1-ilpentanoato

(1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-

1614 fenilpropil (2R)-2-amino-5-oxo-5-piperidin-1-ilpentanoato

(1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-

1616 fenilpropil (2R)-2-[(2-etoxi-2-oxoetil)amino]-5-oxo-5-piperidin-1-ilpentanoato

(1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-

1618 fenilpropil (2R)-2-[(4-etoxi-4-oxobutil)amino]-5-oxo-5-piperidin-1-ilpentanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

1620 etilbenzil)amino]metil}propil (2S)-2-[(metoxicarbonil)amino]-4-oxooctanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-
1622 etilbenzil)amino]metil}propil 4-butil-N-
(metoxicarbonil)-D-homoserinato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-
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il)-N-(metoxicarbonil)-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-
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il)-N-(metoxicarbonil)-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-
1628 etilbenzil)amino]metil}propil (2R)-4,4-difluoro-2-
[(metoxicarbonil)amino]octanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-
1630 etilbenzil)amino]metil}propil (2R)-4-fluoro-2-
[(metoxicarbonil)amino]octanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-
1632 etilbenzil)amino]metil}propil (2R)-2-
[(metoxicarbonil)amino]-5-oxononanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-
1634 etilbenzil)amino]metil}propil (2R)-5-hidroxi-2-
[(metoxicarbonil)amino]nonanoato

(1R,2S)-2-amino-3-(3,5-diQuoropheuil)-1-{[(3-
1636 etilbenzil)amino]metil}propil (2R)-4-(2-butil-1,3-
dioxolan-2-il)-2-[(metoxicarbonil)amino]butanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-
1638 etilbenzil)amino]metil}propil (2R)-4-(2-butil-1,3-
dioxan-2-il)-2-[(metoxicarbonil)amino]butanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil (2R)-5-fluoro-2-[(metoxicarbonil)amino]nonanoato

1640

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil (2R)-5,5-difluoro-2-[(metoxicarbonil)amino]nonanoato

1642

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etinilbenzil)amino]metil}propil 3-(butilsulfonil)-N-(metoxicarbonil)-D-alaninato

1644

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[3-(trifluorometil)benzil]amino}metil)propil 3-(butilsulfonil)-N-(metoxicarbonil)-D-alaninato

1646

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[1-(3-etilfenil)ciclopropil]amino}metil)propil 3-(butilsulfonil)-N-(metoxicarbonil)-D-alaninato

1648

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[1-(3-etinilfenil)ciclopropil]amino}metil)propil 3-(butilsulfonil)-N-(metoxicarbonil)-D-alaninato

1650

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-[(f 1-[3-(trifluorometil)fenil]ciclopropil}amino)metil]propil 3-(butilsulfonil)-N-(metoxicarbonil)-D-alaninato

1652

(1R,2S)-2-amino-3-(3,5-difluorofenil)-I-I[(3-etinilbenzil)amino]metil}propil 3-(butilsulfonil)-N-[(3-metil-1H-pirazol-5-il)carbonil]-D-alaninato

1654

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[3-(trifluorometil)benzil]amino}metil)propil 3-(butilsulfonil)-N-[(3-metil-1H-pirazol-5-il)carbonil]-D-

1656

alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[1-(3-etilfenil)ciclopropil]amino}metil)propil 3-

1658 (butilsulfonil)-N-[(3-metil-1H-pirazol-5-il)carbonil]-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[1-(3-etinilfenil)ciclopropil]amino}metil)propil 3-

1660 (butilsulfonil)-N-[(3-metil-1H-pirazol-5-il)carbonil]-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-[({1-[3-(trifluorometil)fenil]ciclopropil}amino)metil]propil 3-

1662 (butilsulfonil)-N-[(3-metil-1H-pirazol-5-il)carbonil]-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

1664 etilbenzil)amino]metil}propil (2R)-2-{[(metilamino)carbonil]amino}-4-oxooctanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

1666 etilbenzil)amino]metil}propil 4-butil-N-[(metilamino)carbonil]-D-homoserinato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

1668 etilbenzil)amino]metil}propil 3-(2-butil-1,3-dioxolan-2-il)-N-[(metilamino)carbonil]-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

1670 etilbenzil)amino]metil}propil 3-(2-butil-1,3-dioxan-2-il)-N-[(metilamino)carbonil]-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

1672 etilbenzil)amino]metil}propil (2R)-4,4-difluoro-2-

{[(metilamino)carbonil]amino}octanoate

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

1674 etilbenzil)amino]metil}propil (2R)-4-fluoro-2-

{[(metilamino)carbonil]amino}octanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

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{[(metilamino)carbonil]amino}-5-oxononanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

1678 etilbenzil)amino]metil}propil (2R)-5-hidroxi-2-

{[(metilamino)carbonil]amino}nonanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

1680 etilbenzil)amino]metil}propil (2R)-4-(2-butil-1,3-

dioxolan-2-il)-2-{[(metilamino)carbonil]amino}butanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

1682 etilbenzil)amino]metil}propil (2R)-4-(2-butil-1,3-

dioxan-2-il)-2-{[(metilamino)carbonil]amino}butanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

1684 etilbenzil)amino]metil}propil (2R)-5-fluoro-2-

{[(metilamino)carbonil]amino}nonanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

1686 etilbenzil)amino]metil}propil (2R)-5,5-difluoro-2-

{[(metilamino)carbonil]amino}nonanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

1688 etinilbenzil)amino]metil}propil 3-(butilsulfonil)-N-

[(metilamino)carbonil]-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[3-

1690 (trifluorometil)benzil]amino}metil)propil 3-

(butilsulfonil)-N-(metilamino)carbonil-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[1-(3-

1692 etilfenil)ciclopropil]amino}metil)propil 3-

(butilsulfonil)-N-[(metilamino)carbonil]-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[1-(3-

1694 etinilfenil)ciclopropil]amino}metil)propil 3-

(butilsulfonil)-N-[(metilamino)carbonil]-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-[({1-[3-

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(butilsulfonil)-N-(metilamino)carbonil]-D-alaninato

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1700 (butilsulfonil)-N-[(4-metil-1H-pirazol-1-il)carbonil]-D-

alaninato

(1R,2S)-2-amino-3-(3,5-diflluorofenil)-1-({[1-(3-

etilfenil)ciclopropil]amino}metil)propil 3-

1702 (butilsulfonil)-N-[(4-metil-1H-pirazol-1-il)carbonil]-D-

alaninato

(1R,2S)-2-amino-3-(3,5-difmorofenil)-1-({[1-(3-

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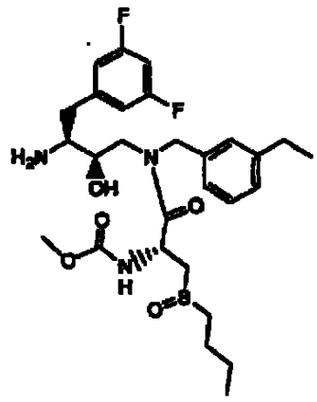
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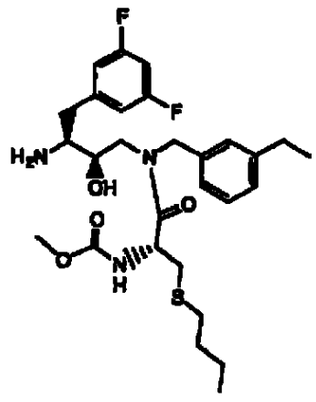
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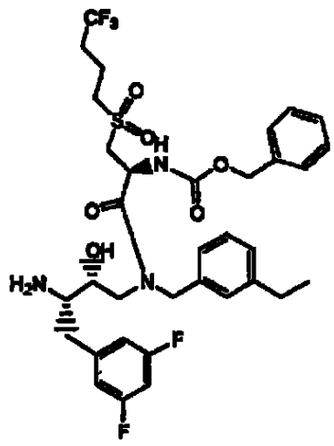
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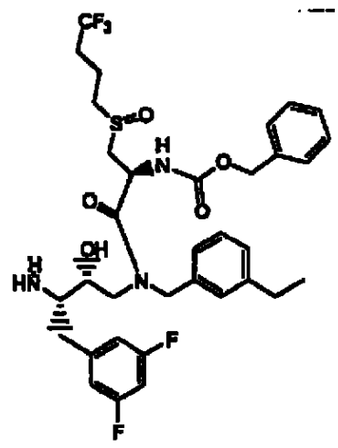
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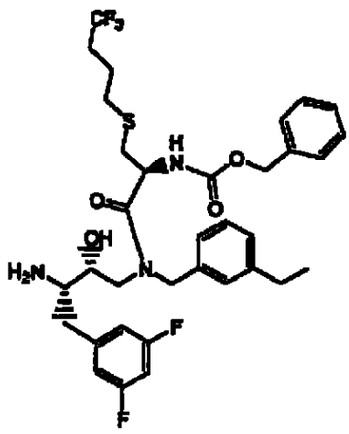
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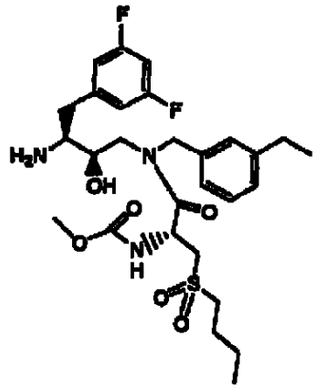
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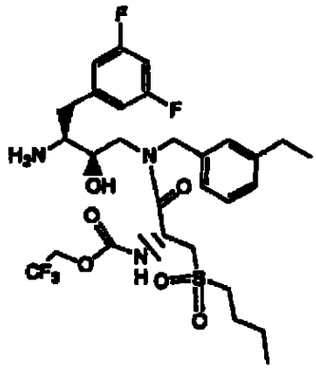
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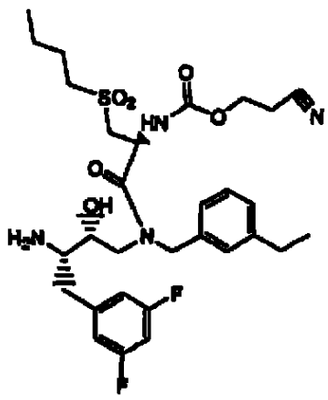
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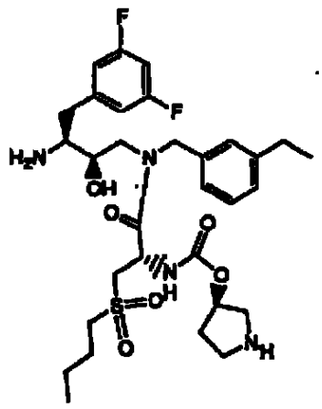
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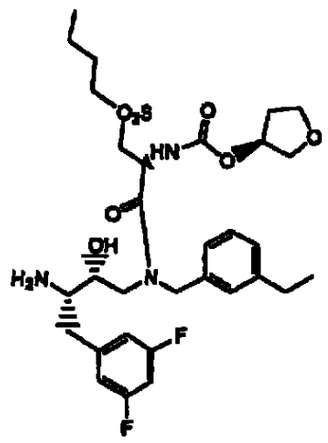
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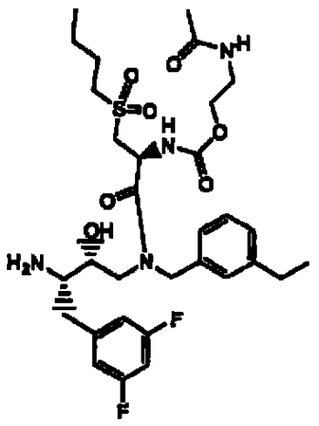
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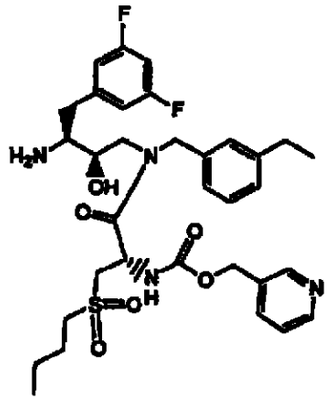
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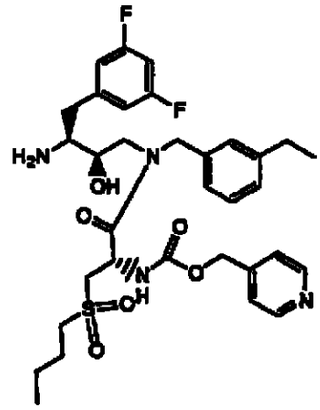
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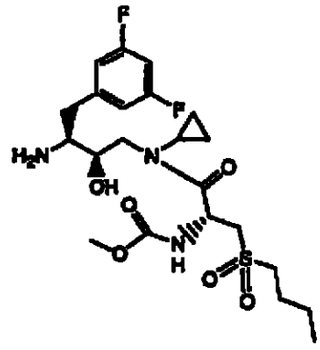
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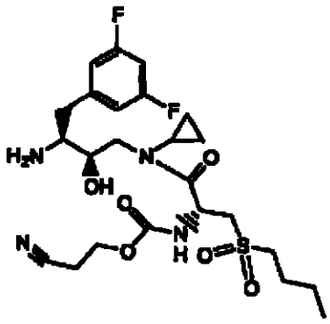
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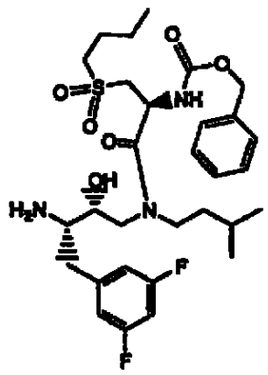
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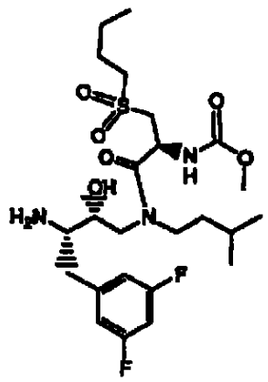
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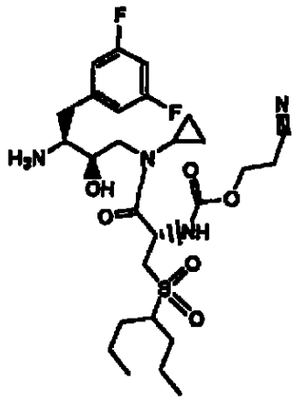
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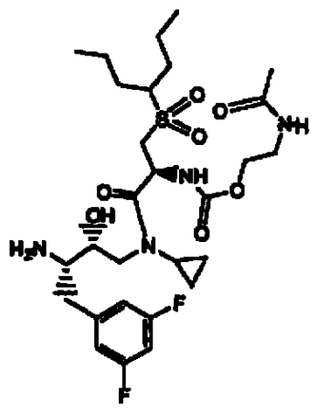
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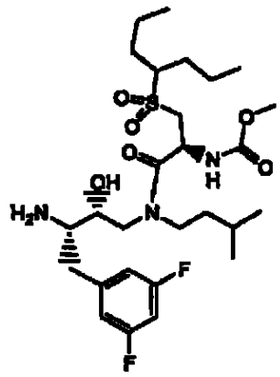
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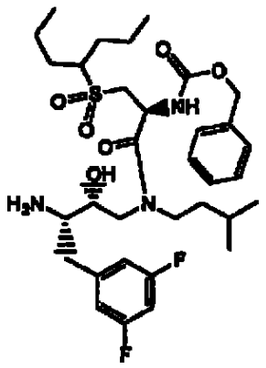
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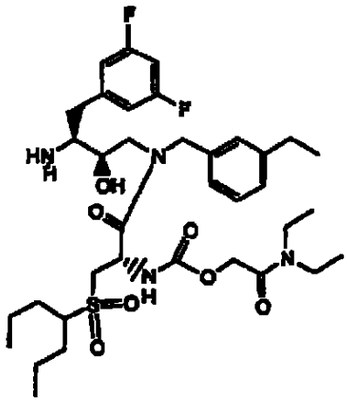
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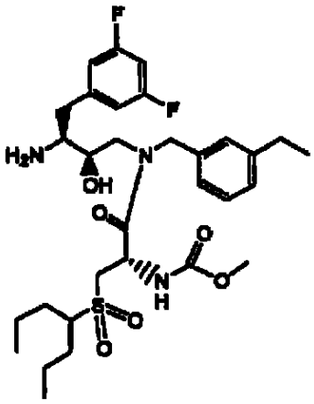
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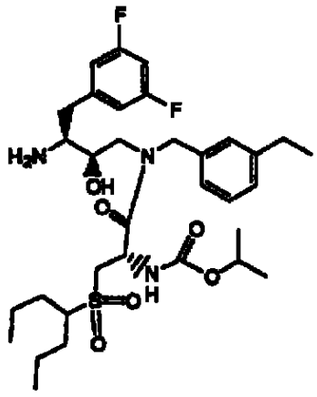
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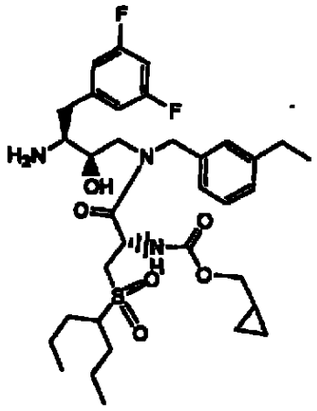
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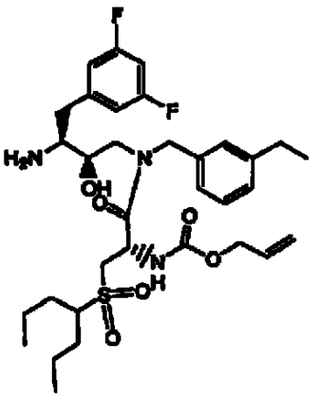
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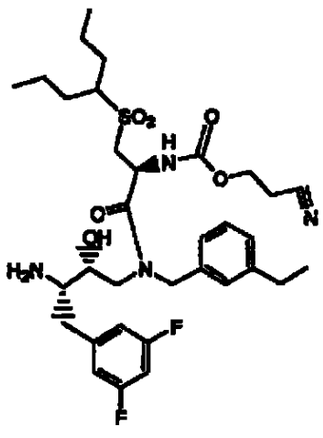
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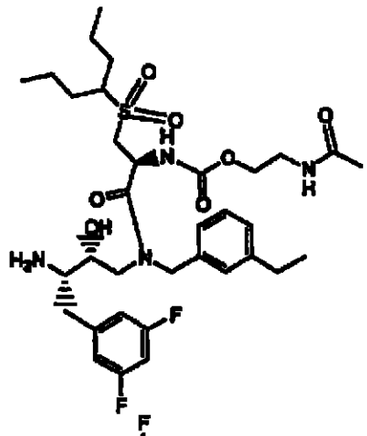
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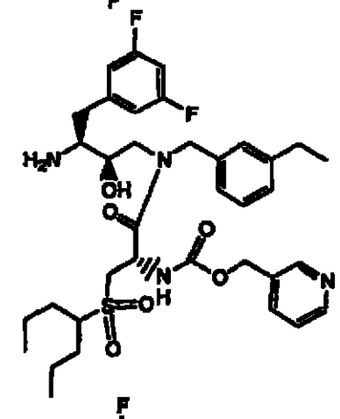
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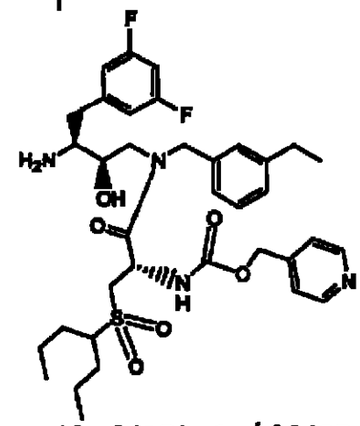
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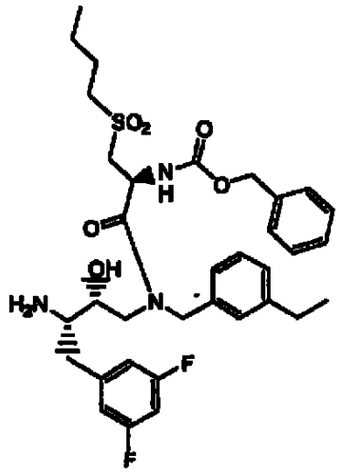


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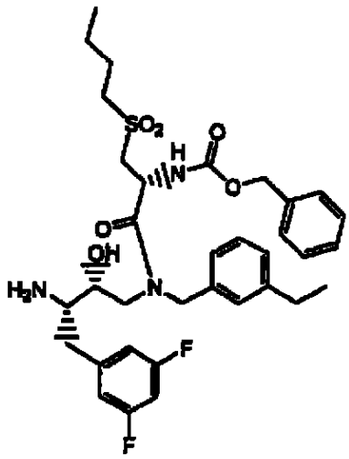


1233 benzil [(1R)-1-{[[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil] (3-etilbenzil)amino]carbonil}-3-(metilsulfonil)propil]carbamato

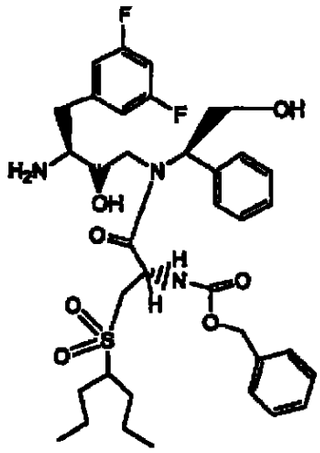
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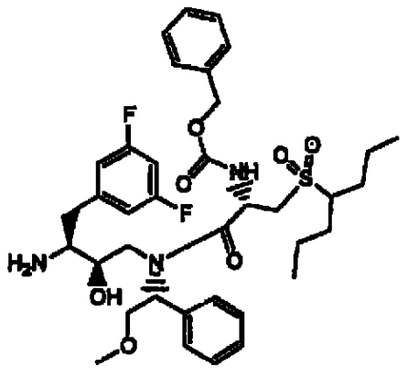
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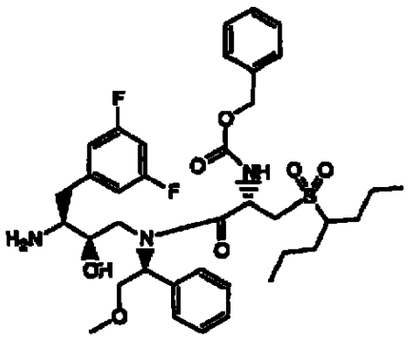
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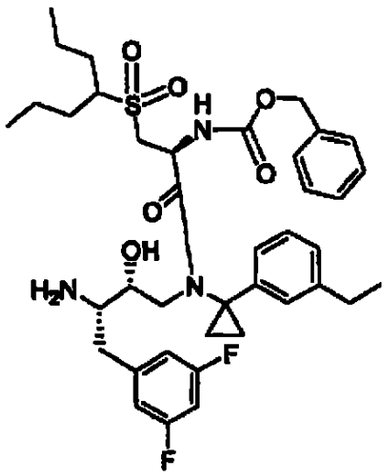
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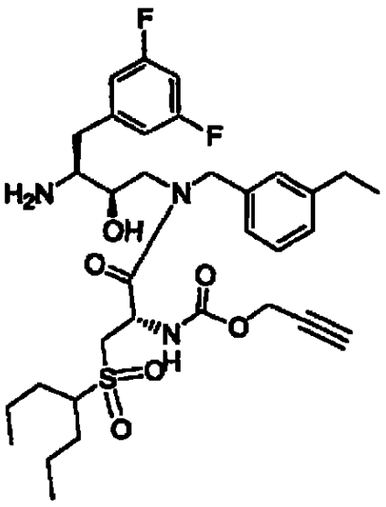
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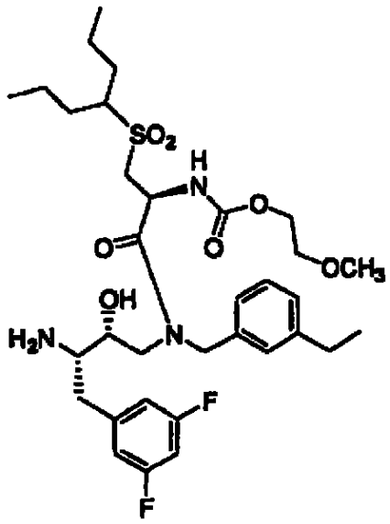
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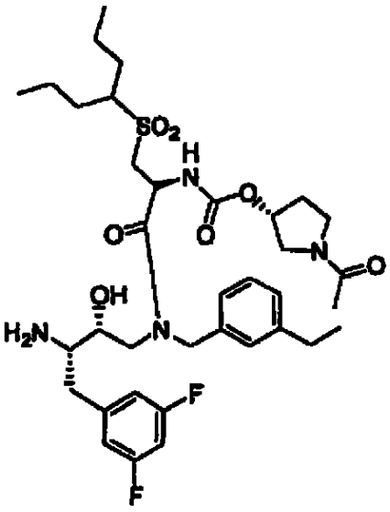
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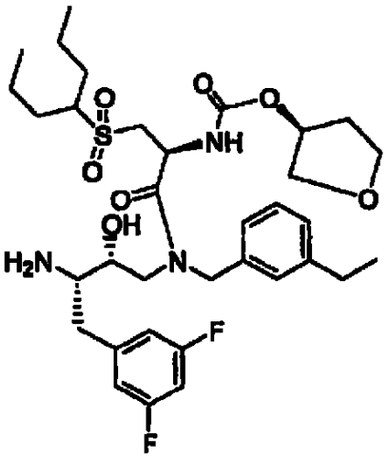
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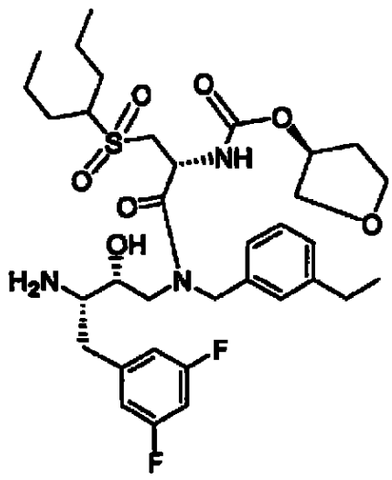
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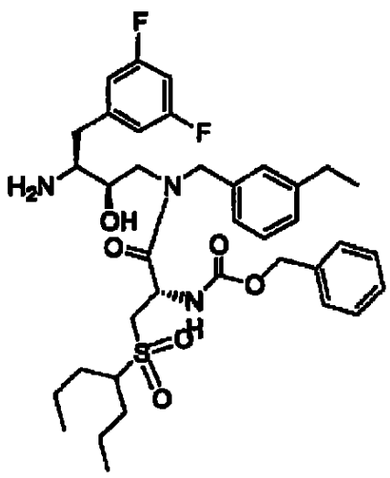
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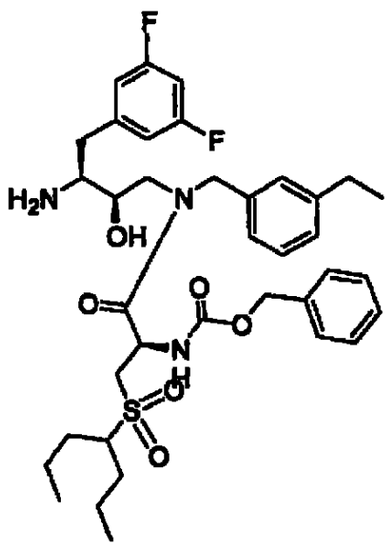
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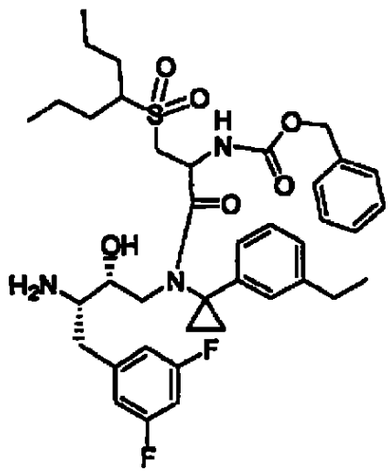
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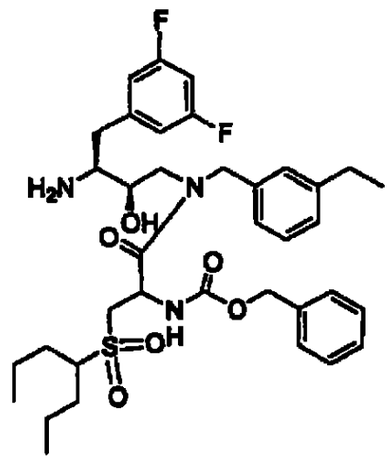
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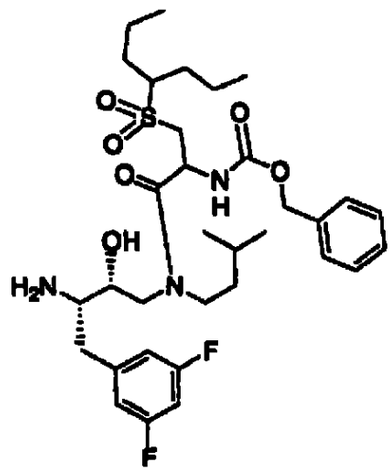
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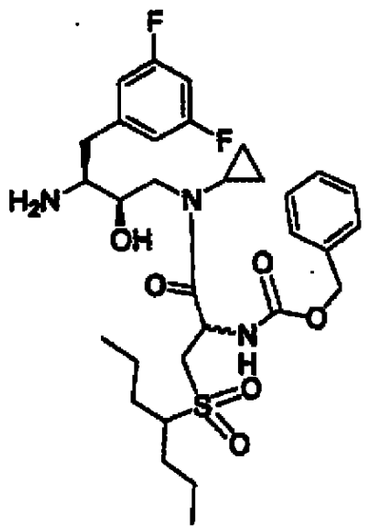
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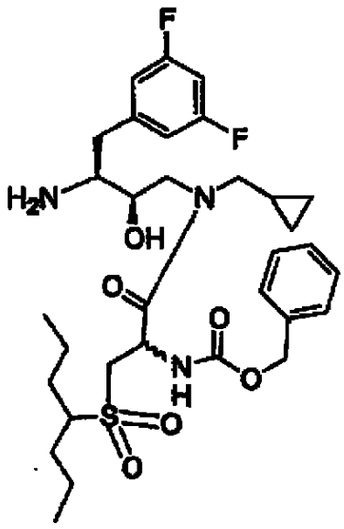
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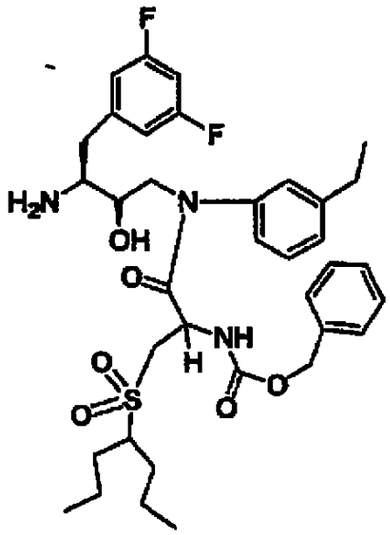
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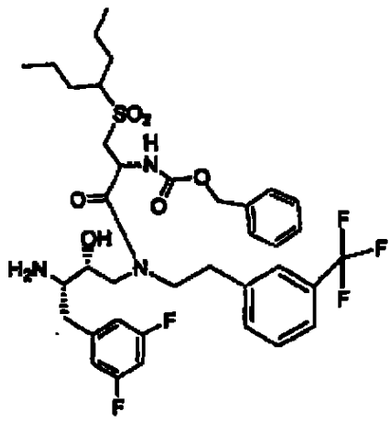
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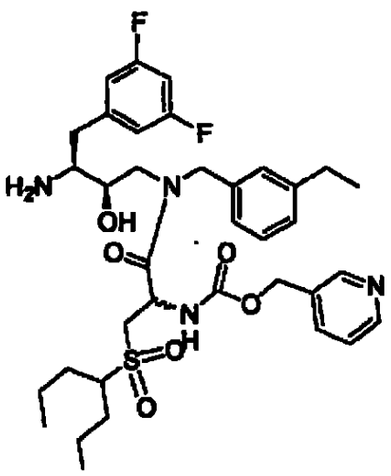
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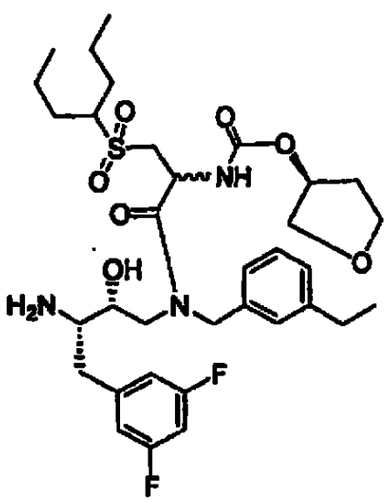
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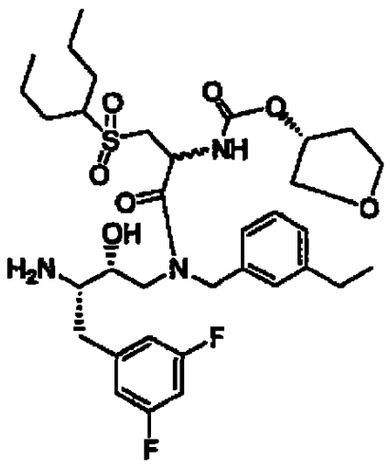
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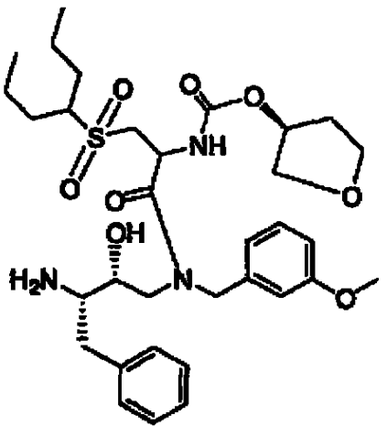
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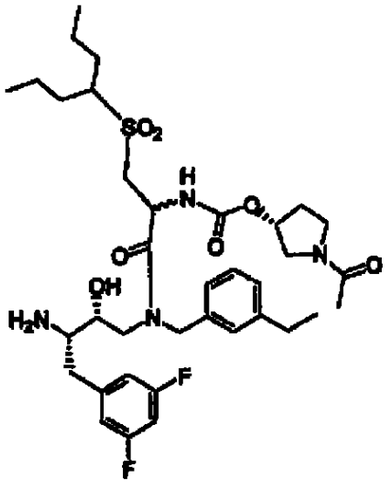
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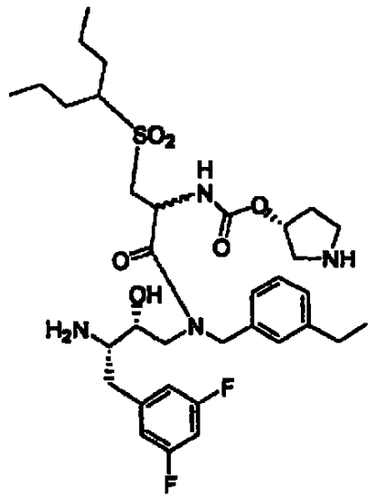
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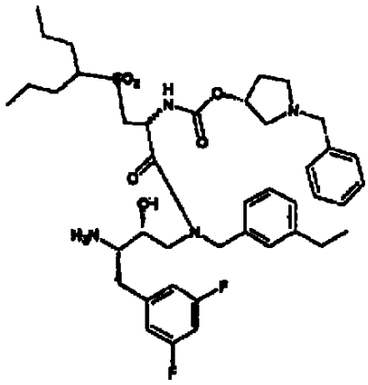
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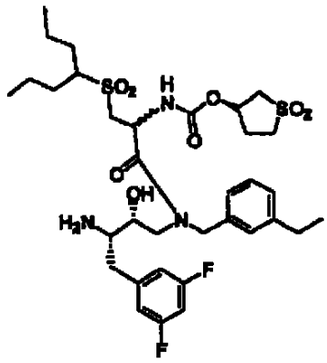
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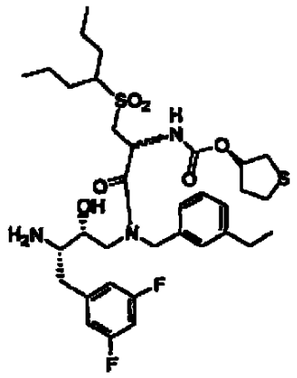
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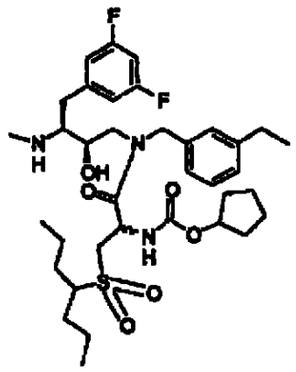
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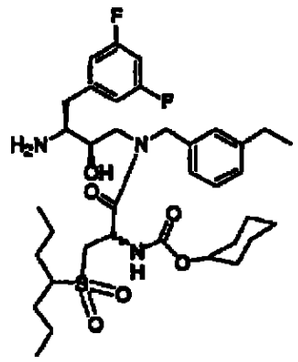
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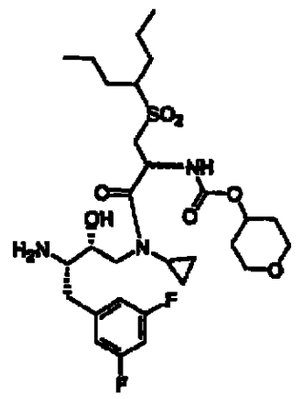
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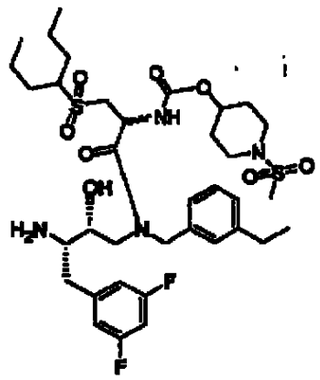
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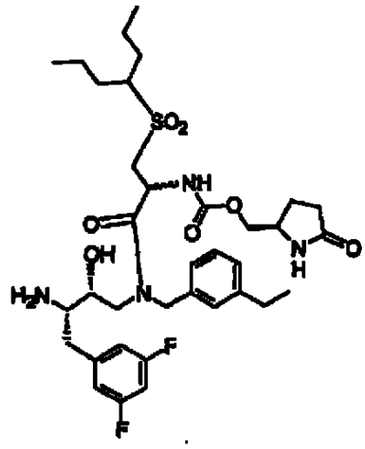
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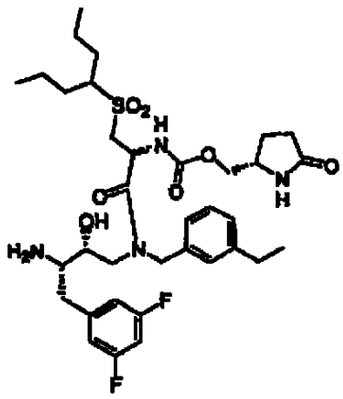


1299 (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-[(1-propilbutil)sulfonil]-N-[(tetrahidro-2H-piran-4-iloxi)carbonil]alaninato

1301 

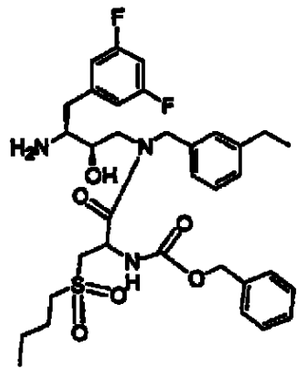
1303 S-(3-[[(2R,3S)-3-amino-2-hidroxi-4-fenilbutil] (3-metoxibenzil)amino]-2-[(3-metilbutil)sulfonil]metil}-3-oxopropil)etanotioato

1305 

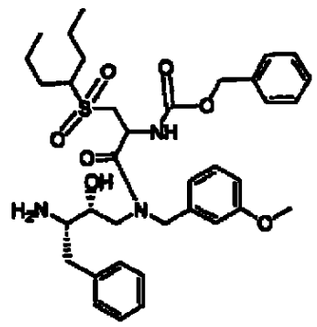
1307 

1309 N-[(2R,3S)-3-amino-2-hidroxi-4-fenilbutil]-N-(3-metoxibenzil)-2-(3-metoxifenoxi)-4-[(3-metilbutil)sulfonil]butanamida

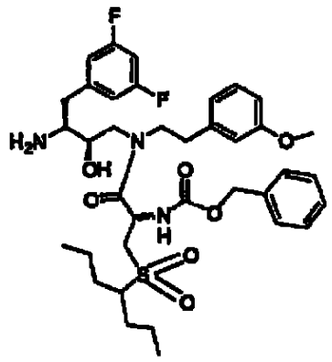
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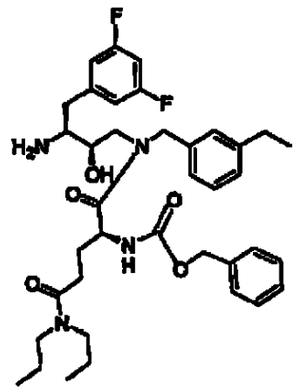
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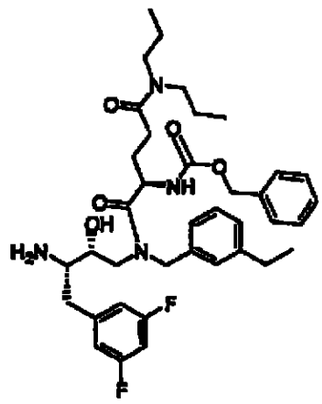
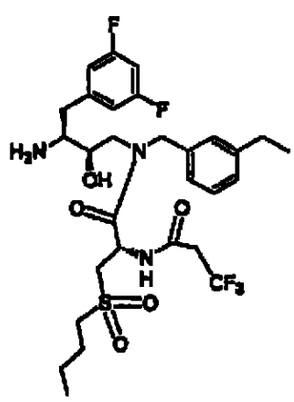
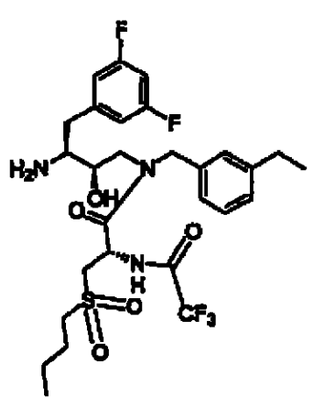
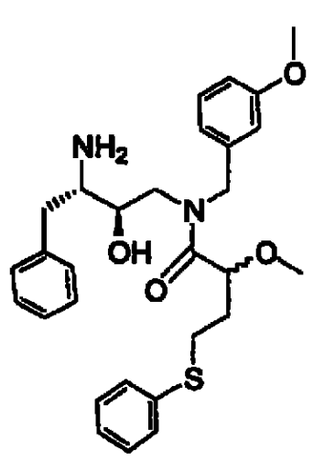


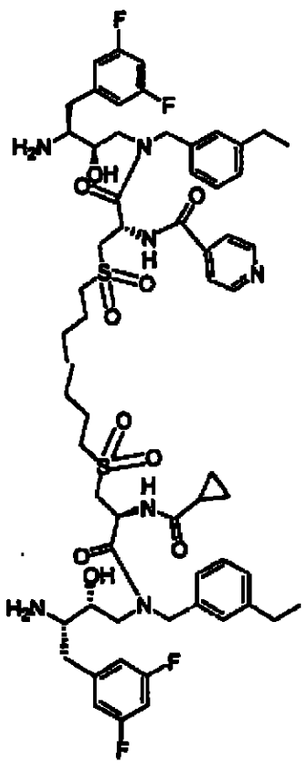
1315



1317



1319		
1321		N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-3-(butilsulfonil)-N^1-(3-etilbenzil)-N^2-(3,3,3-trifluoropropanoil)-D-alaninamida
1323		N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-3-(butilsulfonil)-N^1-(3-etilbenzil)-N^2-(trifluoroacetil)-D-alaninamida
1325		N-[(2R,3S)-3-amino-2-hidroxi-4-fenilbutil]-2-metoxi-N-(3-metoxibenzil)-4-(feniltio)butanamida

1327 

N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-3-(butilsulfonil)-N^2-(ciclopropilcarbonil)-N^1-(3-etilbenzil)-D-alaninamida

1329

ß-alanil-N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-3-(butilsulfonil)-N^1-(3-etilbenzil)-D-alaninamida

1331

glicil-N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-3-(butilsulionil)-N^1-(3-etilbenzil)-D-alaninamida

1333

(1R,2S)-2-amino-1-{[(3-metoxibenzil)aminogmetil}-3-fenilpropil (2R)-2-[(4-etoxi-4-oxobutil)amino]-S-oxo-5-piperidin-1-ilpentanoato

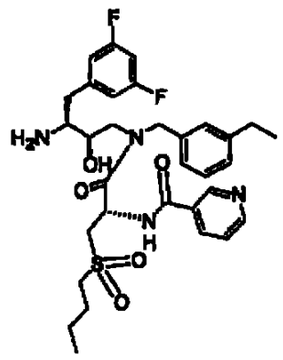
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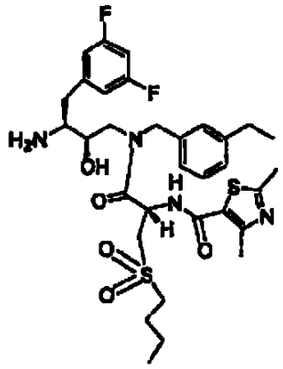
N,N-dimetil-p-alanil-N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-3-(butilsulfonil)-N^1-(3-etilbenzil)-D-alaninamida

1337

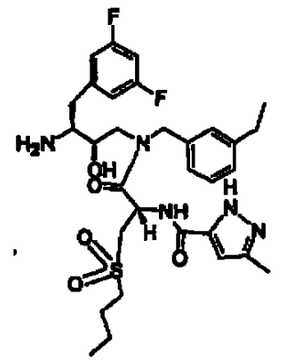
N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-3-(butilSulfonil)-N'-(3-etilbenzil)-N-(metoxiacetil)-D-alaninamida

1339

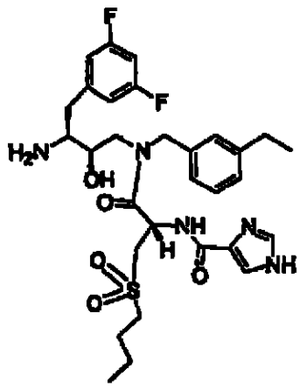
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1343 

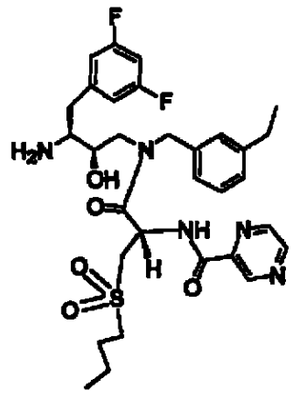
1345 (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-(2-butil-1,3-dioxan-2-il)-N-(metoxicarbonil)-D-alaninato

1347 

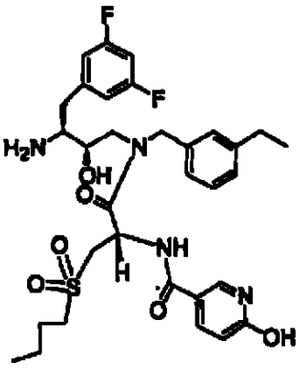
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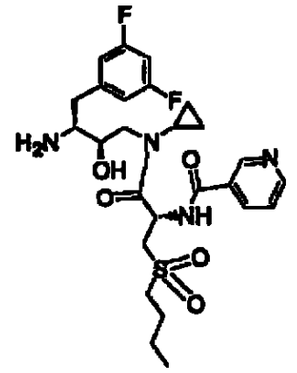
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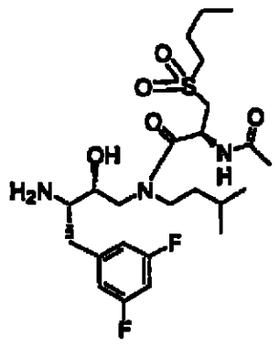
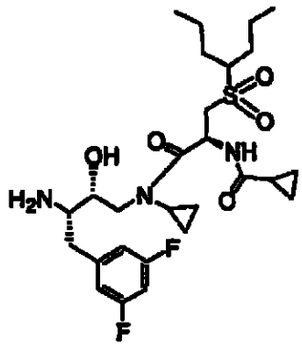
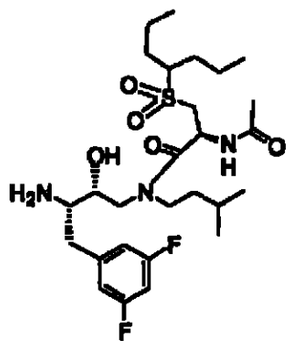
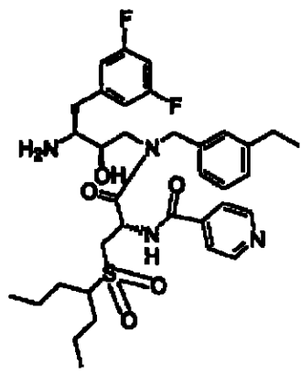


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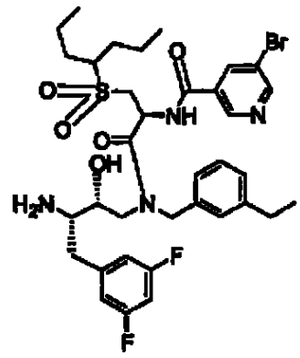


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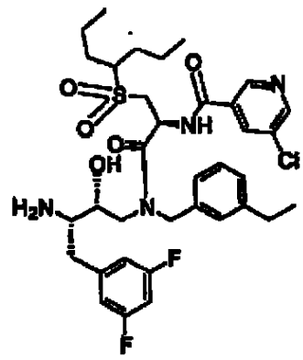


1357		N^2-acetil-N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-3-(butilsulfonil)-N^1-(3-metilbutil)-D-alaninamida
1359		N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N^1-ciclopropil-N^2-(ciclopropilcarbonil)-3-[(1-propilbutil) sulfonil]-D-alaninamida
1361		N^2-acetil-N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N^1-(3-metilbutil)-3-[(1-propilbutil)sulfonil]-alaninamida
1363		

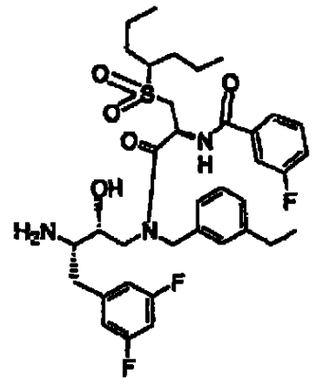
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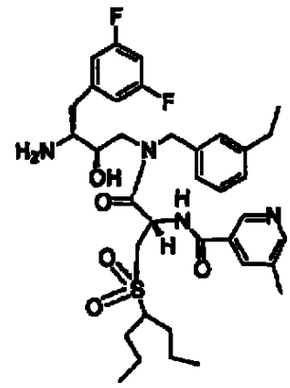
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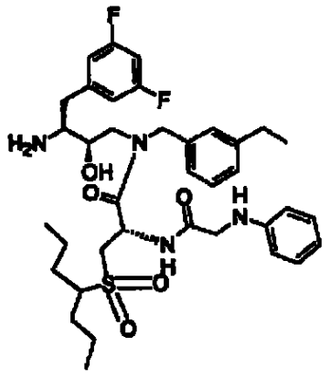
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1371

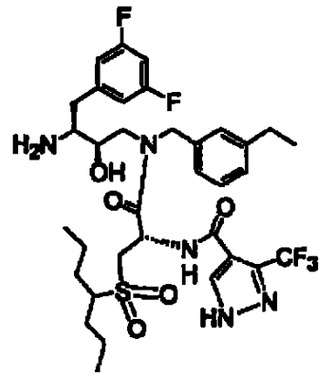


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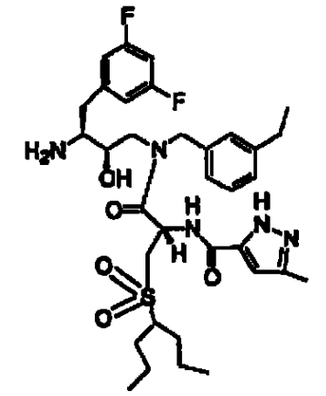


N-fenilglicil-N^1-[(2R,3S)-3-amino-4-[(3,5-difluorofenil)-2-hidroxibutil]-N^1-(3-etilbenzil)-3-[(1-propilbutil)sulfonil]-D-alaninamida

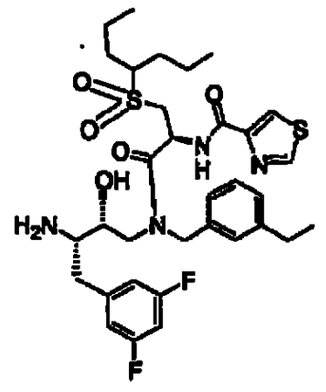
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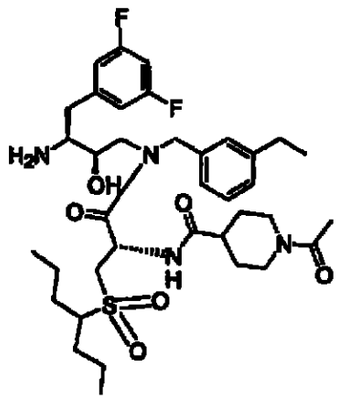


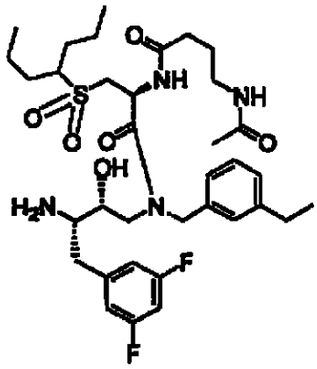
1377



1379



1381 

1383 

1385 N-acetil-p-alanil-N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N^1-(3-etilbenzil)-3-[(1-propilbutil)sulfonil]-D-alaninamida

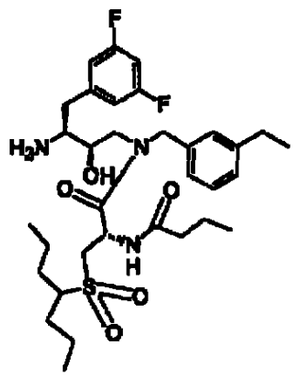
1387 N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N^2-(cloroacetil)-N^1-(3-etilbenzil)-3-[(I-propilbutil)sulfonil]-D-alaninamida

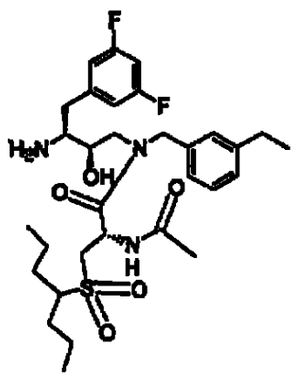
1389 N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N^1-(3-etilbenzil)-N^2-(metoxiacetil)-3-[(1-propilbutil)sulfonil]-D-alaninamida

1391 N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N^1-(3-etilbenzil)-N^2-(3-metoxipropanoil)-3-[(1-propilbutil)sulfonil]-D-alaninamida

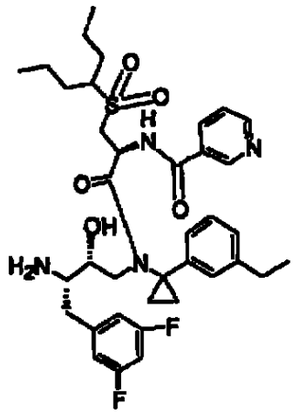
1393 N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N^2-(2,2-dimetilpropanoil)-N^1-(3-etilbenzil)-3-[(1-propilbutil)sulfonil]-D-alaninamida

1395 N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N^1-(3-etilbenzil)-N^2-isobutiril-3-[(1-propilbutil)sulfonil]-D-alaninamida

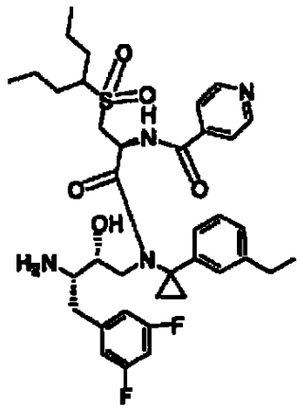
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1399 

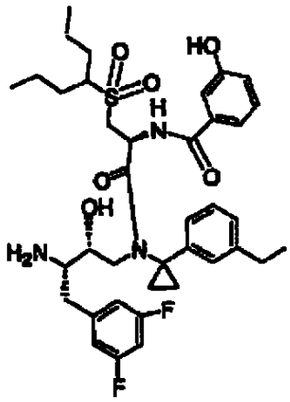
N^2-acetil-N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N^1-(3-etilbenzil)-3-[(1-propilbutil)sulfonil]-alaninamida

1401 

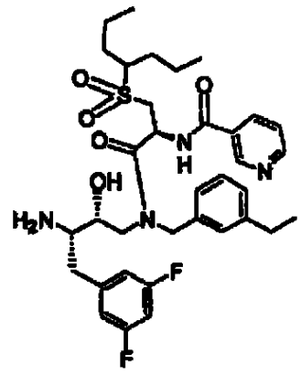
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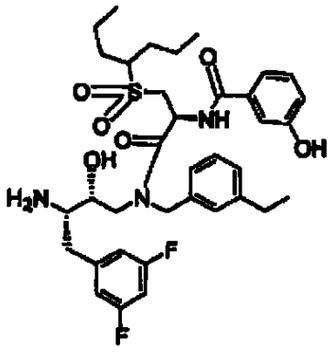
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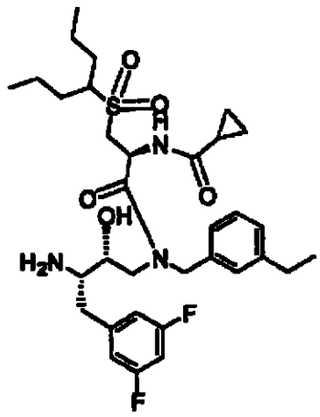


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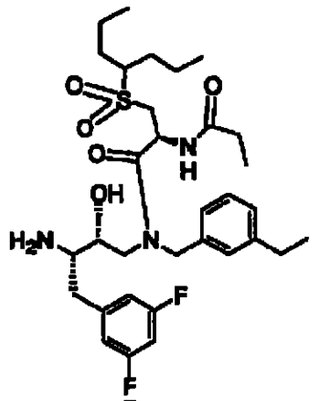


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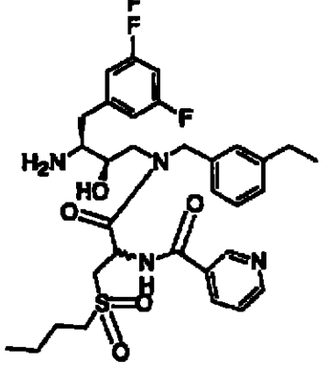


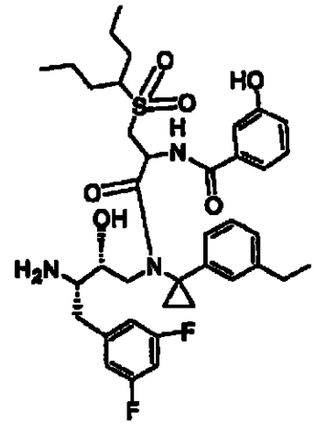
1411 

N^2-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N^2-(ciclopropilcarbonil)-N^1-(3-etilbenzil)-3-[(1-propilbutil)sulfonil]-D-alaninamida

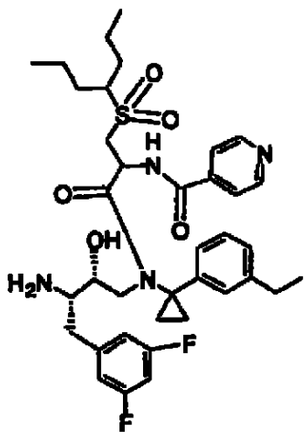
1413 

N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N^1-(3-etilbenzil)-N^2-propionil-3-[(1-propilbutil)sulfonil]-D-alaninamida

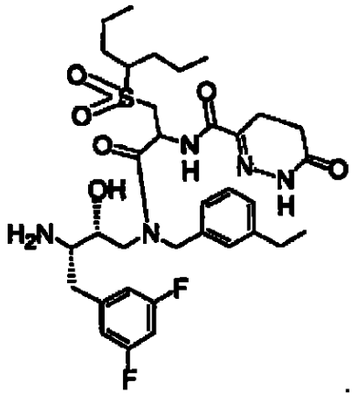
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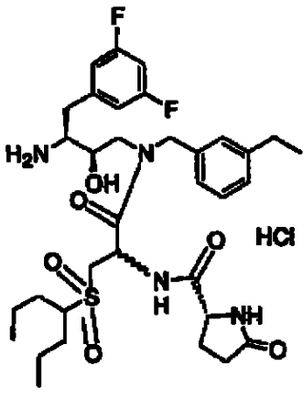
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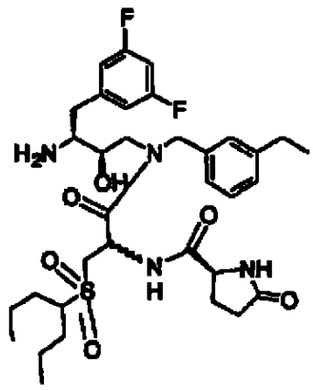


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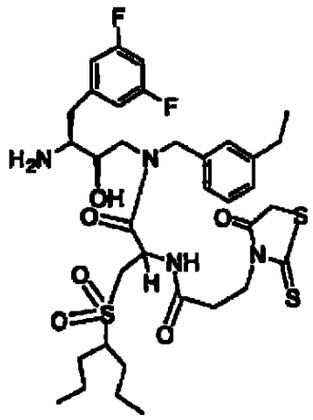


clorhidrato de 5-oxo-D-prolil-N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N^1-(3-etilbenzil)-3-[(1-propilbutil)sulfonil]alaninamida

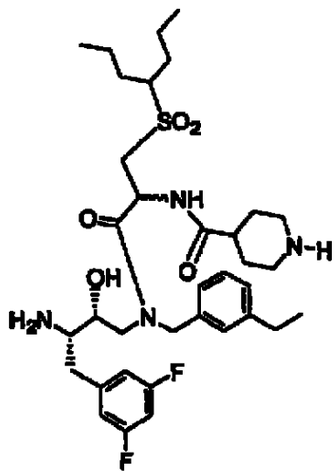
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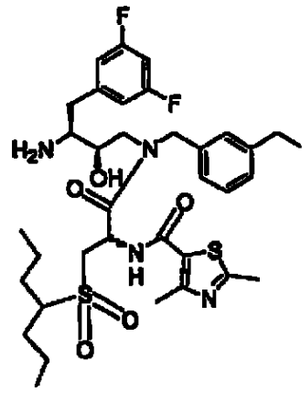


5-oxo-1-prolil-N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N^1-(3-etilbenzil)-3-[(1-propilbutil)sulfonil]alaninamida

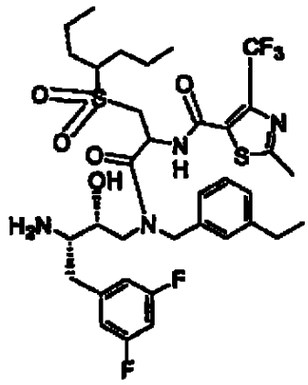
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N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N^1-(3-etilbenzil)-N^2-[3-(4-oxo-2-tioxo-1,3-tiazolidin-3-il)propanoil]-3-[(1-propilbutil)sulfonil]alaninamida

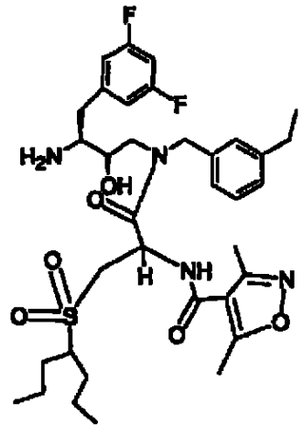
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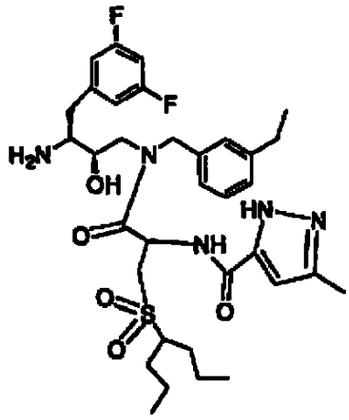
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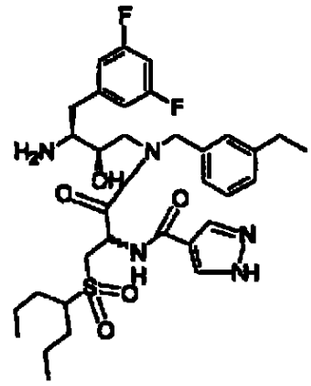
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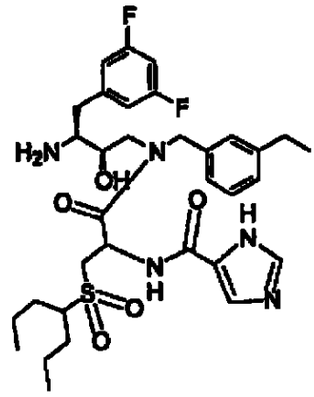


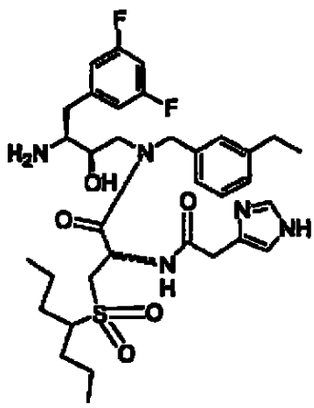
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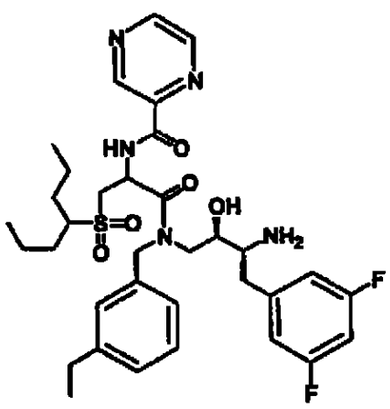
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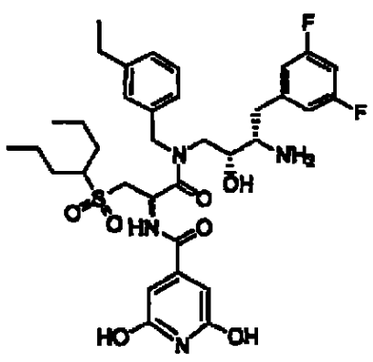


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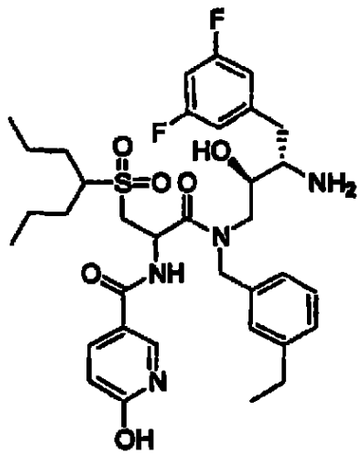
1443 

N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N^1-(3-etilbenzil)-N^2-(1H-imidazol-4-ilacetil)-3-[(1-propilbutil)sulfonil]alaninamida

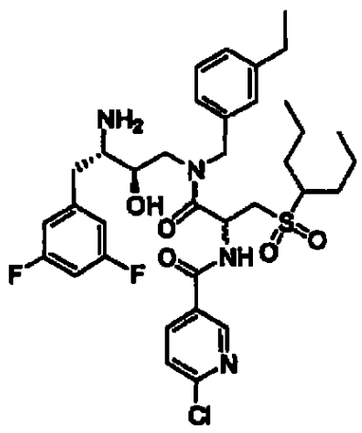
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1447 

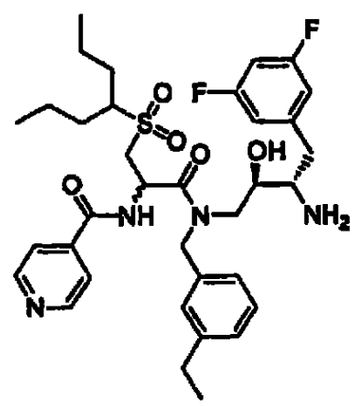
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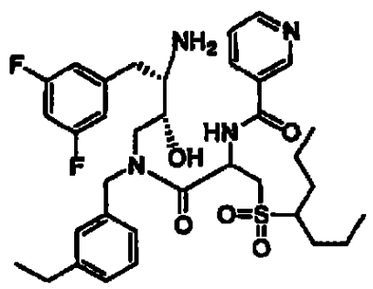
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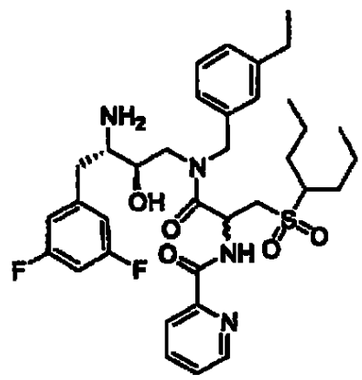
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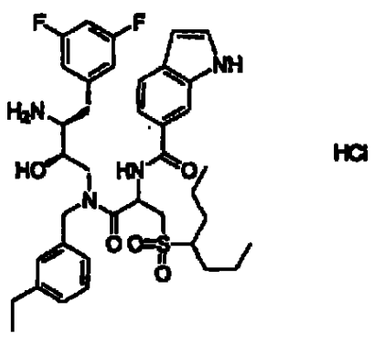
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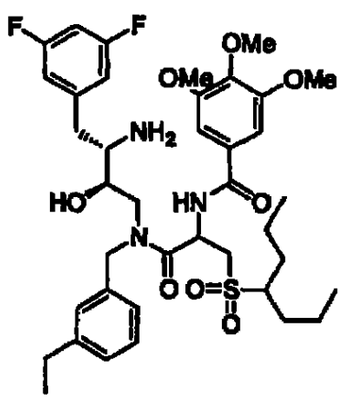


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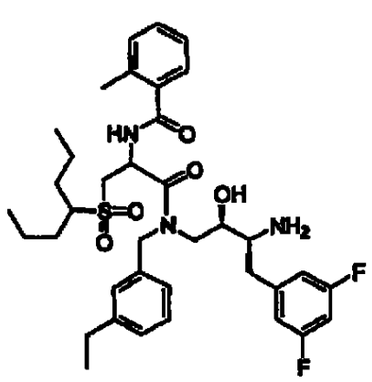


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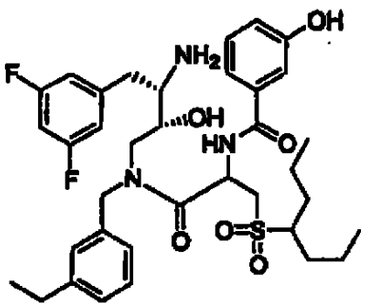
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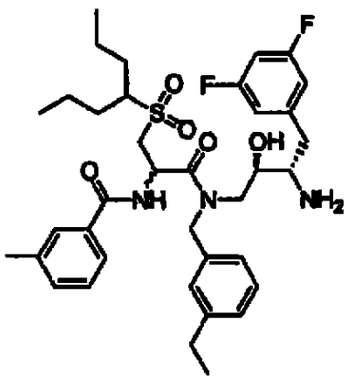
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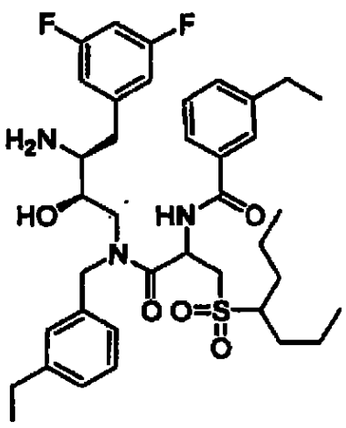
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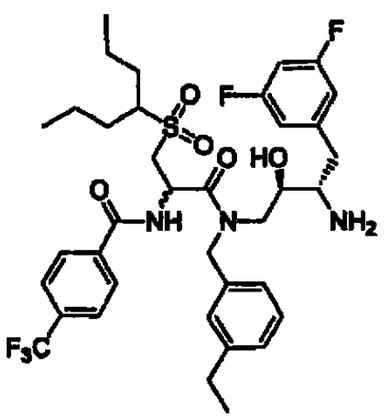
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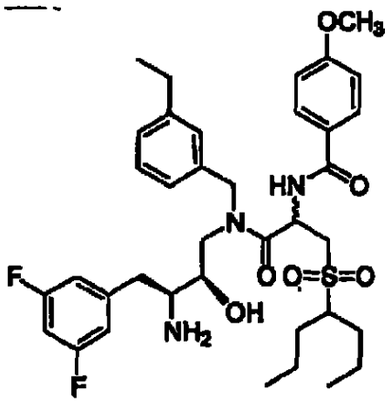
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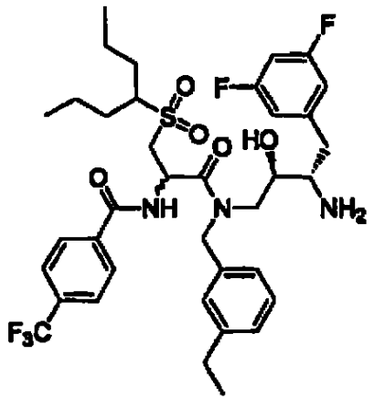
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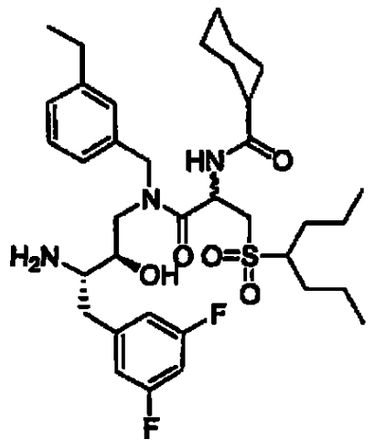
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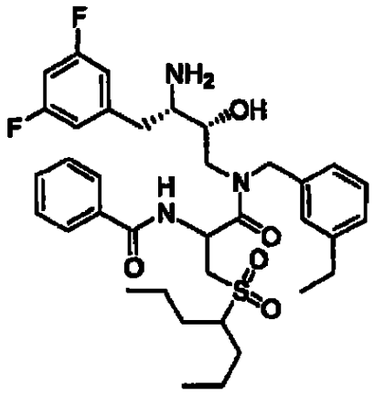
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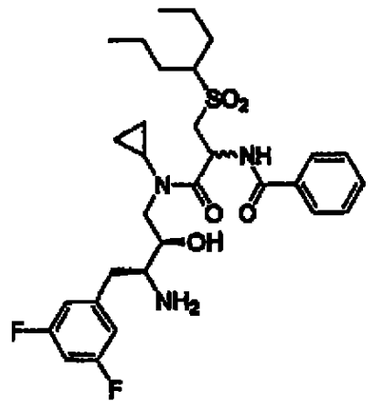


1479



1481



1483 

N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N^1-(3-etilbenzil)-N^2-(fenilacetil)-3-[(1-propilbutil)sulfonil]alaninamida

1485

N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N^1-(3-etilbenzil)-N^2-(3-fenilpropanoil)-3-[(1-propilbutil)sulfonilEalaninamida

1487

N-(3-[[(2R,3S)-3-amino-4-(3,5-difluorofenil}-2-hidroxibutil] (3-etilbenzil)amino]-3-oxo-2-{[(1-propilbutil)sulfonil]metil}propil)benzamida

1489

N^1-[(2R,3S)-3-amino-2-hidroxi-4-fenilbutil]-N^2-(ciclopropilacetil)-N^1-(3-metoxibenzil)-3-[(1-propilbutil)sulfonil]alaninamida

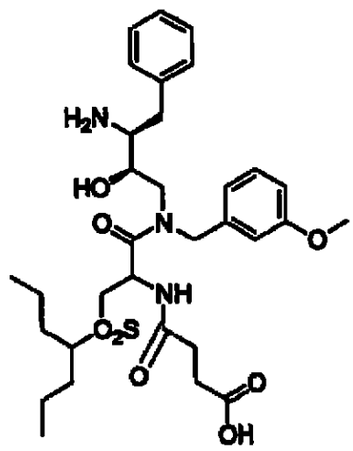
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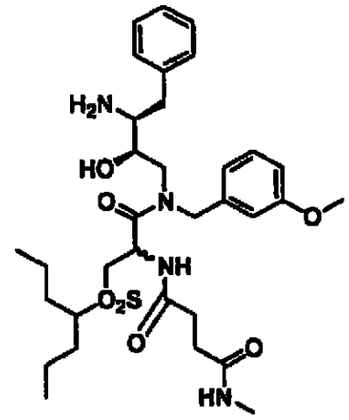
N^1-[(2R,3S)-3-amino-2-hidroxi-4-fenilbutil]-N^1-(3-metoxibenzil)-N^2-[(metilsulfonil)acetil]-3-[(1-propilbutil)sulfonil]alaninamida

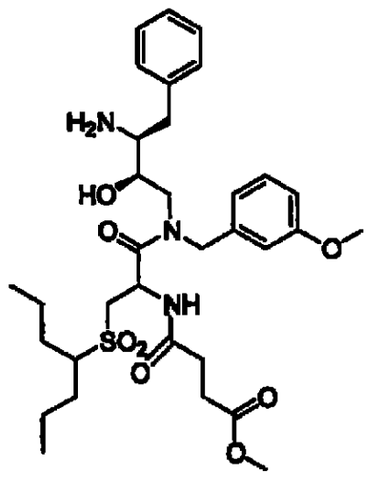
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N^1-[(2R,3S)-3-amino-2-hidroxi-4-fenilbutil]-N^1-(3-metoxibenzil)-N^2-[(metiltio)acetil]-3-[(1-propilbutil)sulfonil]alaninamida

1495

1497 

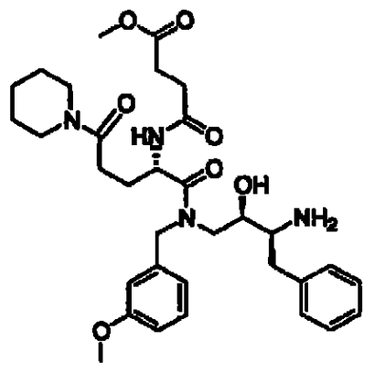
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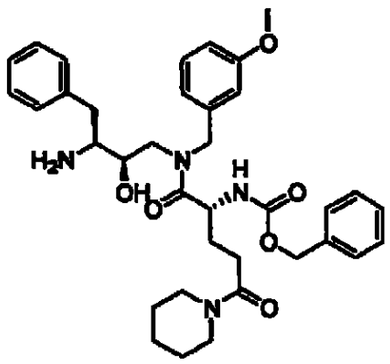
1503 N-(metilsulfonil)glicil-N^1-[(2R,3S)-3-amino-2-hidroxi-4-fenilbutil]-N^1-(3-metoxibenzil)-3-[(1-propilbutil)sulfonil]alaninamida

1505 N^2-acetil-N^1-[(2R,3S)-3-amino-2-hidroxi-4-fenilbutil]-N^1-(3-metoxibenzil)-3-(fenilsulfonil) alaninamida

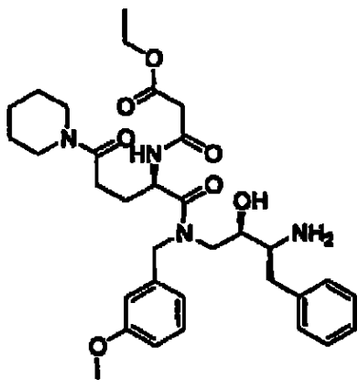
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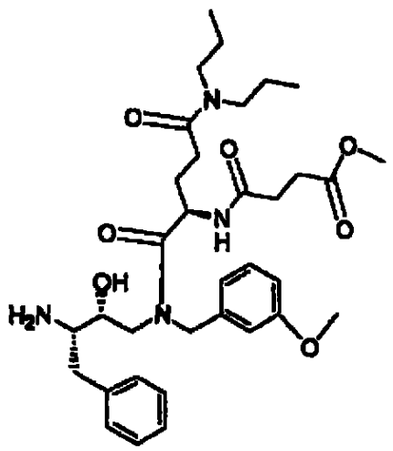
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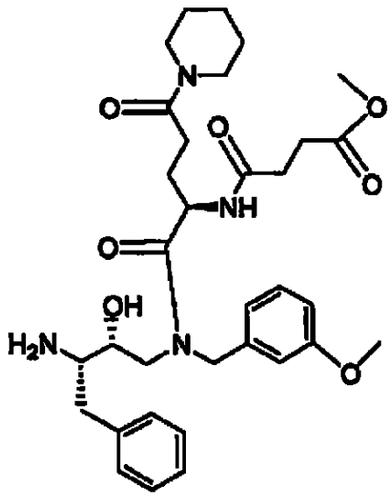


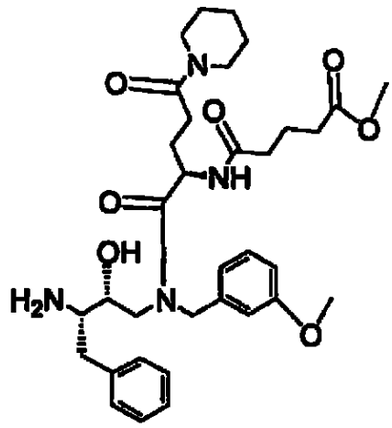
1511



1513



1515 

1517 

1519 2-[[(2R,3S)-3-amino-4-(3,5-difluoroph enil)-2-hidroxibutil] (3-etilbenzil)amino]-1-[(butilsulibnil)metil]-2-oxoetil acetato

1521 N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-S-butil-N^1-(3-etilbenzil)-D-cisteinamida

1523 N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-3-(butilSulfinil)-N^1-(3-etilbenzil)-D-alaninamida

1525 N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-3-(butilSulfonil)-N^1-(3-etilbenzil)-D-alaninamida

1527 N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-

hidroxibutil-3-(butilsulfonil)-N^1-(3-etilbenzil)-1-alaninamida

N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-3-(butilsulfonil)-N^1-(3-metilbutil)-D-alaninamida

1529

N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N^1-[1-(3-etilfenil)ciclopropil]-3-[(1-propilbutil)sulfonil]-D-alaninamida

1531

N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N^1-(3-etilbenzil)-3-[(1-propilbutil)sulfonil]-1-alaninamida

1533

N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N^1-ciclopropil-3-[(1-propilbutil)sulfonil]-D-alaninamida

1535

N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N^1-(3-metilbutil)-3-[(1-propilbutil)sulfonil]-D-alaninamida

1537

N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N^1-(3-etilbenzil)-3-[(1-propilbutil)sulfonil]-D-alaninamida

1539

N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N^1-(3-etilbenzil)-3-[(1-propilbutil)sulfonil]alaninamida

1541

N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N^1-(3-etilbenzil)-N^2-(fenoxiacetil)-3-[(1-propilbutil)sulfonil]alaninamida

1543

N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-

1545

hidroxibutil]-N^2-}-{[(5-cloro-2-tienil)tio]peroxi}-N^1-(3-etilbenzil)-3-[(1-propilbutil)sulfonil]alaninamida

N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N^1-(3-etilbenzil)-N^2-(fenilsulfonil)-3-[(1-propilbutil)sulfonil]alaninamida

1547

N^2-[(benzilamino)carbonil]-N^1-[(2R,3S)-4-(3,5-difluorofenil)-2-hidroxi-3-(metilamino)butil]-N^1-(3-etilbenzil)-3-[(1-propilbutil)sulfonil]alaninamida

1549

Ácido 4-[[(2R,3S)-4-(3,5-difluorofenil)-2-hidroxi-3-(metilamino)butil] (3-etilbenzil)amino]-4-oxo-3-{[(1-propilbutil)sulfonil]metil}butanoico

1551

Ácido 4-[[(2R,3S)-3-amino-2-hidroxi-4-fenilbutil] (3-metoxibenzil)amino]-3-{[(3-metilbutil)sulfonil]metil}-4-oxobutanoic

1553

metil 4-[[(2R,3S)-3-amino-2-hidroxi-4-fenilbutil] (3-metoxibenzil)amino]-3-{[(3-metilbutil)sulfonil]metil}-4-oxobutanoato

1555

N^1-[(2R,3S)-3-amino-2-hidroxi-4-fenilbutil]-N^1-(3-metoxibenzil)-2-1[(3-metilbutil)sulfonil]metil}succinamida

1557

N^1-[(2R,3S)-3-amino-2-hidroxi-4-fenilbutil-N^1-(3-metoxibenzil)-N^4-metil-2-{[(3-metilbutil)sulfonil]metil}succinamida

1559

N^1-[(2R,3S)-3-amino-2-hidroxi-4-fenilbutil]-N^1-(3-metoxibenzil)-N^4,N^4-dimetil-2-{(3-metilbutil)sulfonil]metil}succinamida

1561

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-

1563

hidroxibutil]-3-(4,4-dimetil-2,5-dioxoimidazolidin-1-il)-N-(3-etilbenzil)-2-{[(1-propilbutil)sulfonil]metil}propanamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-3-(4,4-dimetil-2,5-dioxoimidazolidin-1-

1565 il)-N-(3-etilbenzil)-2-{[(1-propilbutil)sulfonil]metil}propanamida

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-(4,4-dimetil-2,5-

1567 dioxoimidazolidin-1-il)-2-{[(1-propilbutil)sulfonil]metil}propanoato

N-[(2R,3S)-3-amino-2-hidroxi-4-fenilbutil]-3-

1569 (etilsulfonil)-2-{[(isobutilsulfonil)amino]metil}-N-(3-metoxibenzil)propanamida

N-[(2R,3S)-3-amino-2-hidroxi-4-fenilbutil]-3-(etiltio)-

1571 2-{[(isobutilsulfonil)amino]metil}-N-(3-metoxibenzil)propanamida

(2S)-N-[(2R,3S)-3-amino-2-hidroxi-4-fenilbutil]-N-(3-

1573 metoxibenzil)-2-{[(3-metilbutil)sulfonil]amino}-4-(metilsulfonil)butanamida

N^1-[(2R,3S)-3-amino-2-hidroxi-4-fenilbutil]-N^1-(3-

1575 metoxibenzil)-N^2-[(3-metilbutil)sulfonil]-1-metioninamida

S-(3-[[(2R,3S)-3-amino-2-hidroxi-4-fenilbutil] (3-

1577 metoxibenzil)amino]-2-{[(3-metilbutil)sulfonil]metil}-3-oxopropil)etanotioato

1579 N-[(2R,3S)-3-amino-2-hidroxi-4-fenilbutil]-2-hidroxi-N-

(3-metoxibenzil)-3-[(1-propilbutil)sulfonil]propanamida

N-[(2R,3S)-3-amino-2-hidroxi-4-fenilbutil]-2-hidroxi-N-

1581 (3-metoxibenzil)-3-[(3-metilbutil)sulfonil]propanamida

N-[(2R,3S)-3-amino-2-hidroxi-4-fenilbutil]-2-hidroxi-N-

1583 (3-metoxibenzil)-3-[(3-metoxifenil)sulfonil]propanamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-

1585 hidroxibutil]-N-(3-etilbenzil)-2-hidroxi-4-

(fenilsulfonil)butanamida

N-[(2R,3S)-3-amino-2-hidroxi-4-fenilbutil]-2-hidroxi-N-

1587 (3-metoxibenzil)-4-[(3-metilbutil)sulfonil]butanamida

N-[(2R,3S)-3-amino-2-hidroxi-4-fenilbutil]-N-(3-

1589 metoxibenzil)-4-[(3-metilbutil)sulfonil]-2-

fenoxibutanamida

N-[(2R,3S)-3-amino-2-hidroxi-4-fenilbutil]-N-(3-

1591 metoxibenzil)-2-(3-metoxifenoxi)-4-[(3-

metilbutil)sulfonil]butanamida

Ácido 3-{{1-[[(2R,3S)-3-amino-2-hidroxi-4-fenilbutil]

1593 (3-metoxibenzil)amino]carbonil}-3-[(3-

metilbutil)sulfonil]propoxi}benzoico

metil 3-{1-{[[(2R,3S)-3-amino-2-hidroxi-4-fenilbutil]

1595 (3-metoxibenzil)amino]carbonil}-3-[(3-

metilbutil)sulfonil]propoxi}benzoato

N-[(2R,3S)-3-amino-2-hidroxi-4-fenilbutil]-2-hidroxi-N-

1597 (3-metoxibenzil)-4-(fenilsulfonil)butanamida

N-[(2R,3S)-3-amino-2-hidroxi-4-fenilbutil]-2-hidroxi-N-

1599 (3-metoxibenzil)-4-(feniltio)butanamida

1601 N-[(2R,3S)-3-amino-2-hidroxi-4-fenilbutil]-2-metoxi-N-

(3-metoxibenzil)-4-(fenilsulfonil)butanamida

N-[(2R,3S)-3-amino-2-hidroxi-4-fenilbutil]-2-metoxi-N-

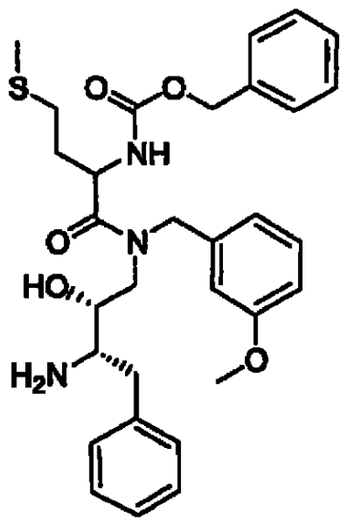
1603 (3-metoxibenzil)-4-(feniltio)butanamida

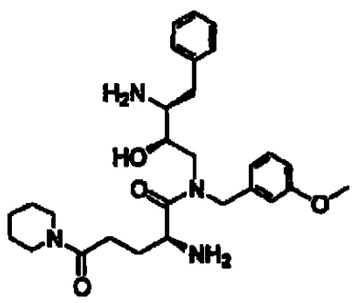
N-[(2R,3S)-3-amino-2-hidroxi-4-fenilbutil]-N-(3-

1605 metoxibenzil)-4-(fenilsulfonil)-2-propoxibutanamida

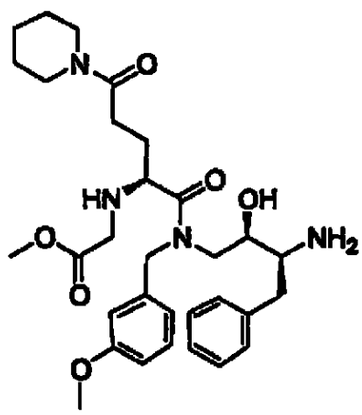
N-(2R,3S)-3-amino-2-hidroxi-4-fenilbutil]-2-(benziloxi)-

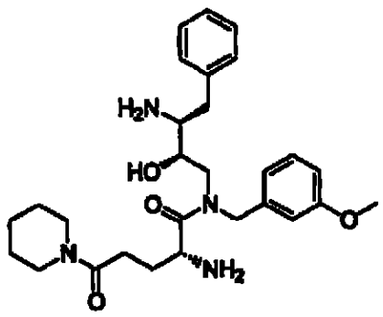
1607 N-(3-metoxibenzil)-4-(fenilsulfonil)butanamida

1609 

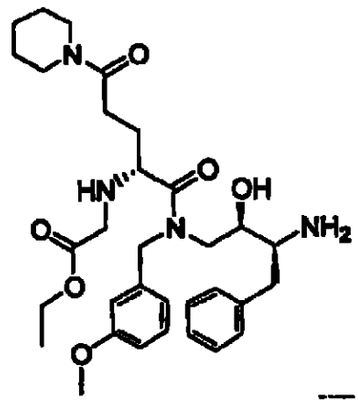
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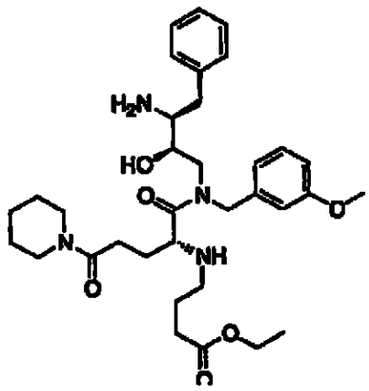
(2S)-2-amino-N-[(2R,3S)-3-amino-2-hidroxi-4-fenilbutil]-N-(3-metoxibenzil)-5-oxo-5-piperidin-1-ilpentanamida

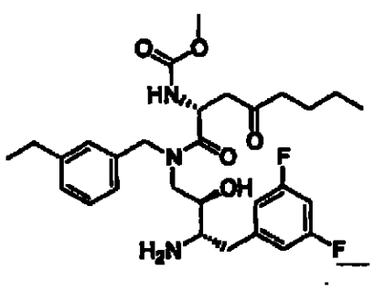
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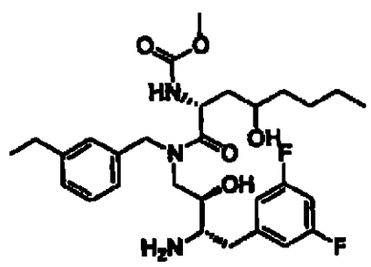
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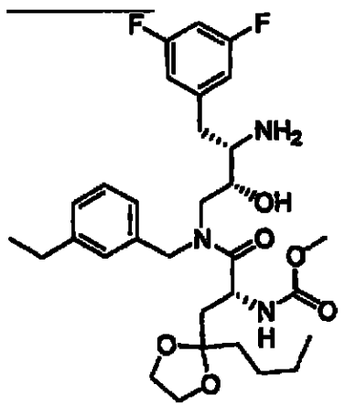
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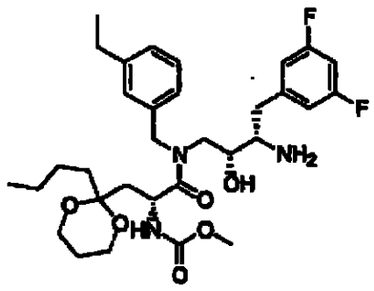
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1621 

metil ((1R)-1-{[[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil](3-etilbenzil)amino]carbonil}-3-oxoheptil)carbamato

1623 

1625 

1627 

1629 metil ((1R)-1-{[[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil] (3-etilbenzil)amino]carbonil}-3,3-difluoroheptil)carbamato

1631 metil ((1R)-1-{[[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil] (3-etilbenzil)amino]carbonil}-3-fluoroheptil)carbamato

1633 metil ((1R)-1-{[[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil] (3-etilbenzil)amino]carbonil}-4-oxooctil)carbamato

1635 metil ((1R)-1-{[[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil] (3-etilbenzil)amino]carbonil}-4-hidroxioctil)carbamato

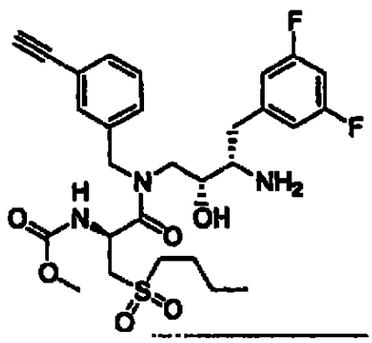
1637 metil[(1R)-1-{[[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil] (3-etilbenzil)amino]carbonil}-3-(2-butil-1,3-dioxolan-2-il)propil]carbamato

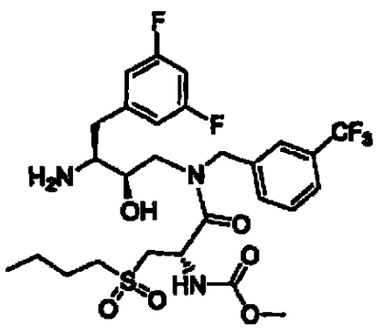
1639 metil[(1R)-1-{[[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-

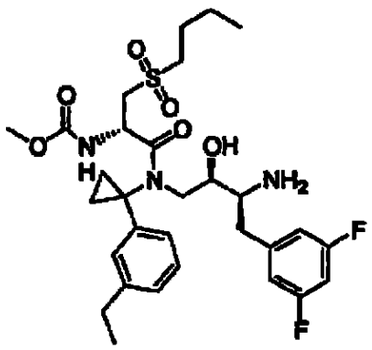
hidroxibutil] (3-etilbenzil)amino]carbonil)-3-(2-butil-1,3-dioxan-2-il)propil]carbamato

1641 metil ((1R)-1-{[[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil] (3-etilbenzil)amino]carbonil}-4-fluorooctil)carbamato

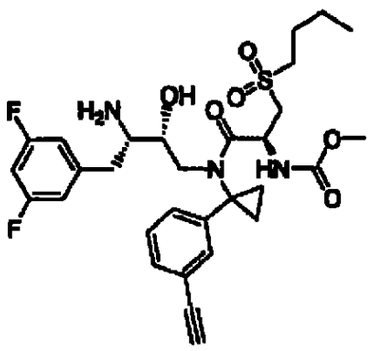
1643 metil ((1R)-1-1[[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil] (3-etilbenzil)amino]carbonil}-4,4-difluorooctil)carbamato

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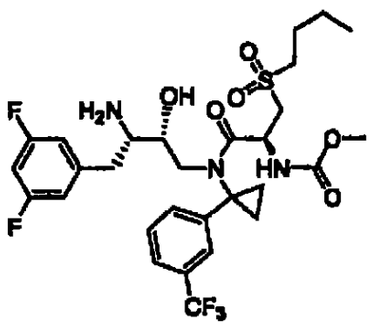
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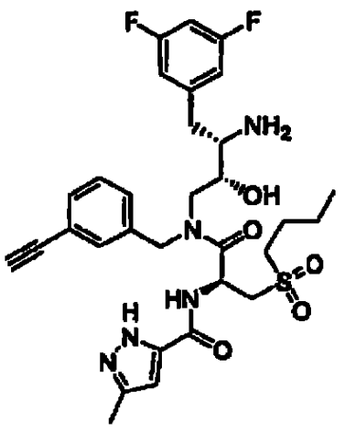
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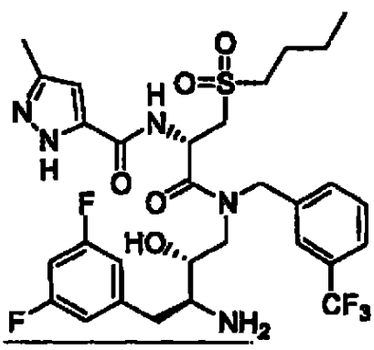
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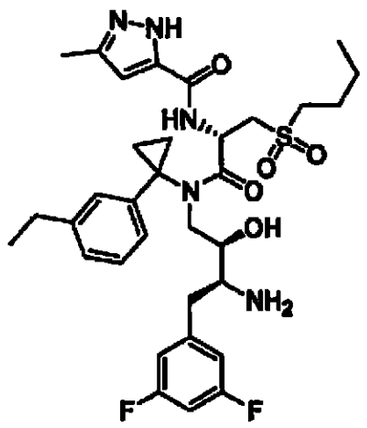


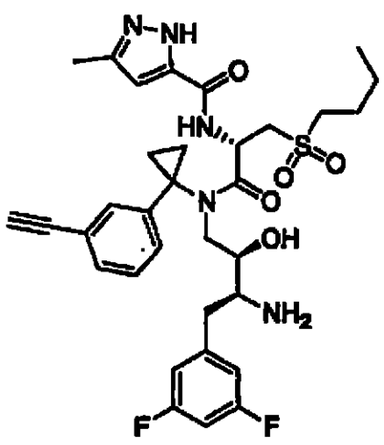
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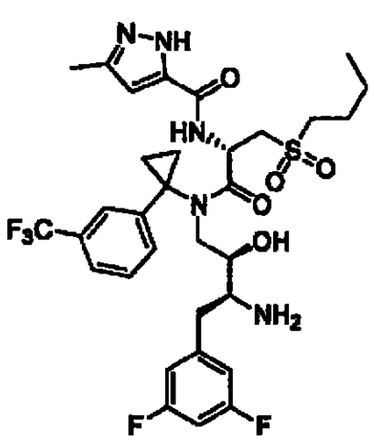


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1665 (2R)-N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-2-{[(metilamino)carbonil]amino}-4-oxooctanamida

1667 N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-4-butil-N^1-(3-etilbenzil)-N^2-[(metilamino)carbonil]-D-homoserinamida

1669 N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-

hidroxibutil]-3-(2-butil-1,3-dioxolan-2-il)-N^1-(3-etilbenzil)-N^2-[(metilamino)carbonil]-D-alaninamida

1671 N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-3-(2-butil-1,3-dioxan-2-il)-N^1-(3-etilbenzil)-N^2-[(metilamino)carbonil]-D-alaninamida

1673 (2R)-N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-4,4-difluoro-2-{[(metilamino)carbonil]amino}octamida

1675 (2R)-N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-4-fluoro-2-{[(metilamino)carbonil]amino}octamida

1677 (2R)-N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-2-{[(metilamino)carbonil]amino}-5-oxononanamida

1679 (2R)-N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-5-hidroxi-2-{[(metilamino)carbonil]amino}nonanamida

1681 (2R)-N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-4-(2-butil-1,3-dioxolan-2-il)-N-(3-etilbenzil)-2-{[(metilamino)carbonil]amino}-5-butananamida

1683 (2R)-N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-4-(2-butil-1,3-dioxan-2-il)-N-(3-etilbenzil)-2-{[(metilamino)carbonil]amino}-5-butananamida

1685 (2R)-N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-5-fluoro-2-

{[(metilamino)carbonil]amino}nonanamida

(2R)-N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-

1687 hidroxibutil]-N-(3-etilbenzil)-5,5-difluoro-2-{[(metilamino)carbonil]amino}nonanamida

N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-

1689 hidroxibutil]-3-(butilsulfonil)-N^1-(3-etinilbenzil)-N^2-[(metilamino)carbonil]-D-alaninamida

N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-

1691 hidroxibutil]-3-(butilsulfonil)-N^2-(3-etinilbenzil)-N^1-[(metilamino)carbonil]-D-alaninamida

N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-3-(butilsulfonil)-N^1-[1-(3-

1693 etilfenil)ciclopropil]-N^2-[(metilamino)carbonil]-D-alaninamida

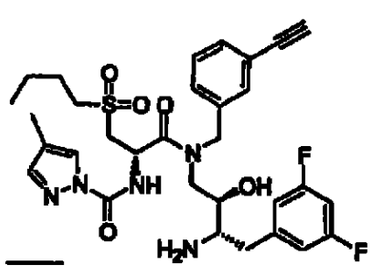
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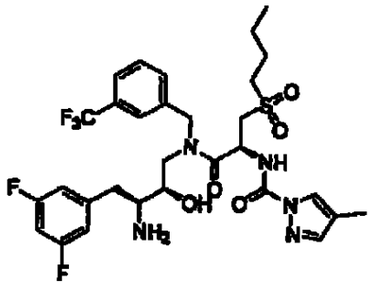
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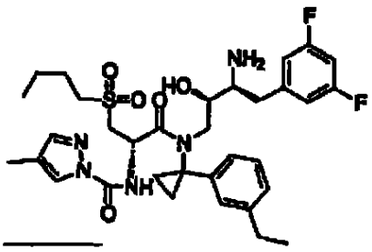
1697 [(metilamino)carbonil]-N^1-{3-trifluorometil)fenil]ciclopropil}-D-alaninamida

1699 

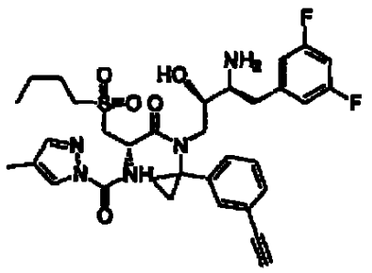
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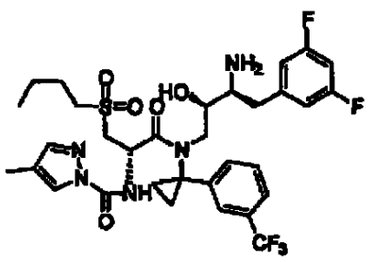
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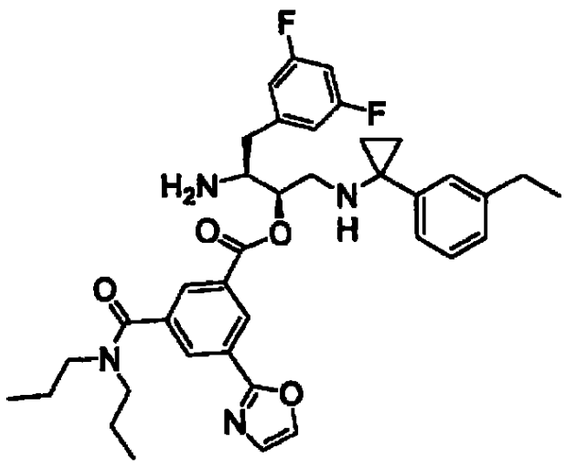
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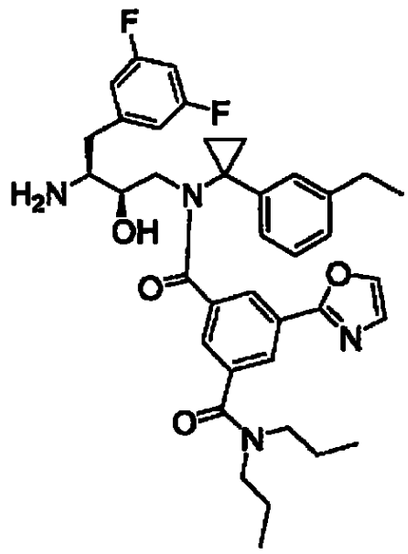
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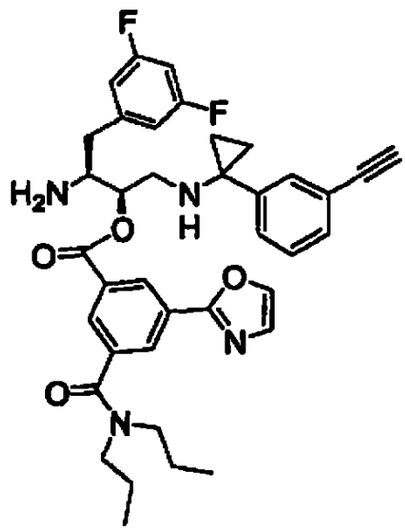
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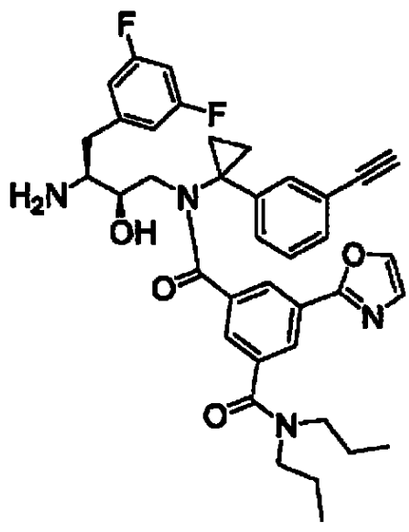
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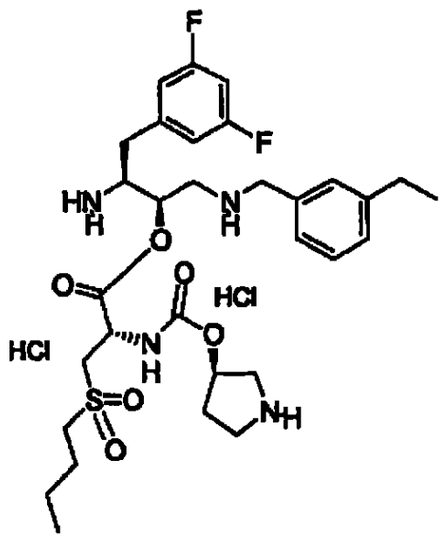
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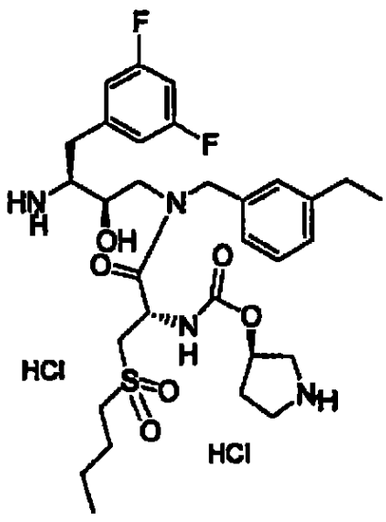
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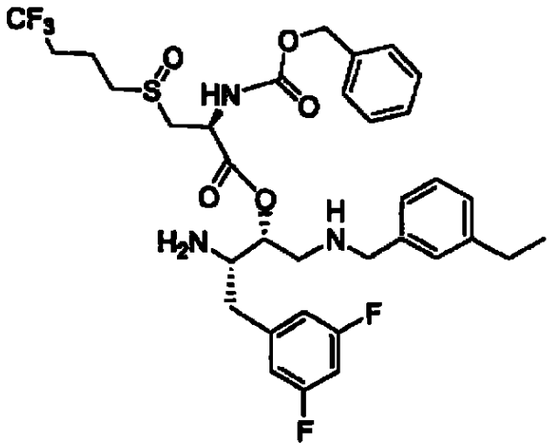
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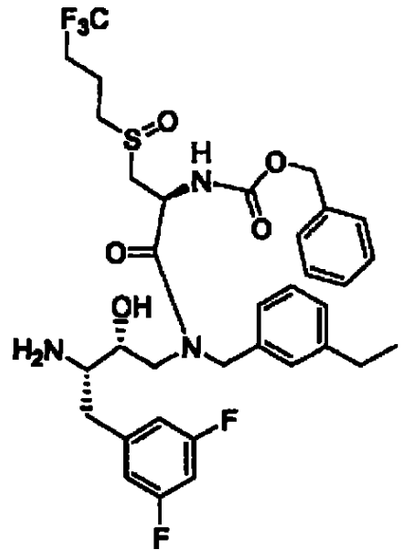
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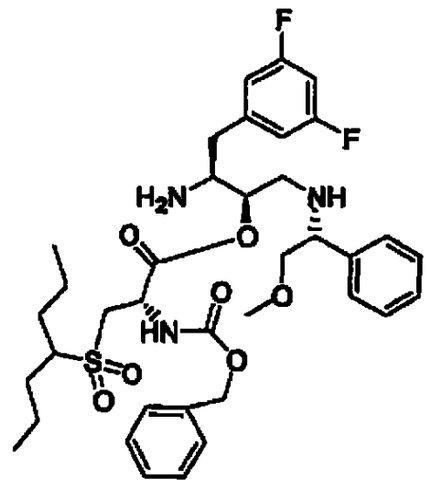
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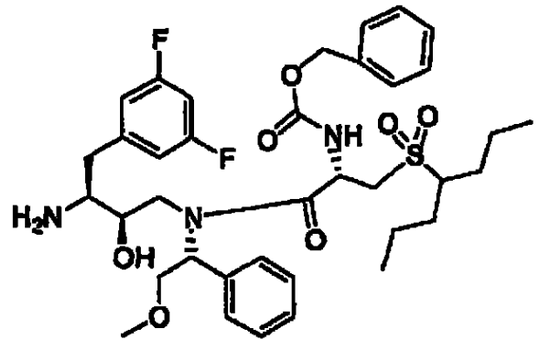
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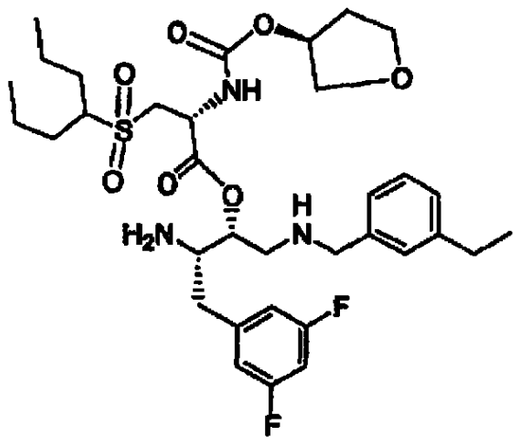
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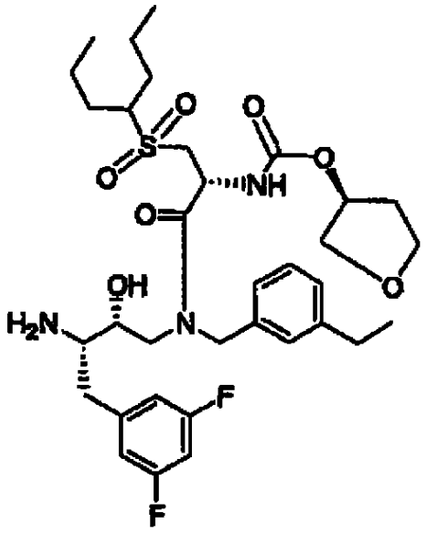
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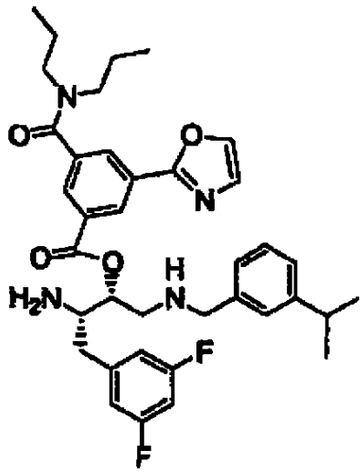
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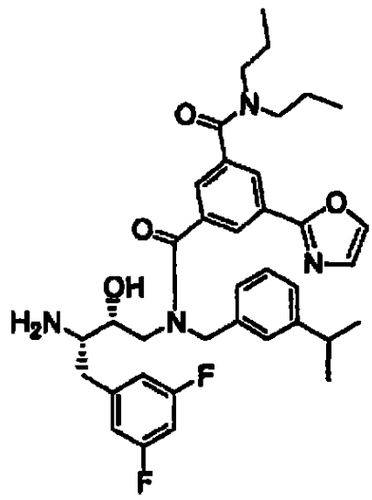
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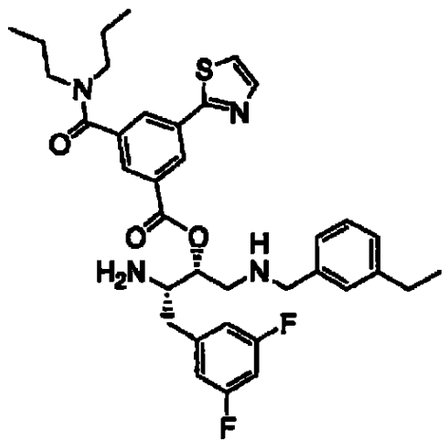
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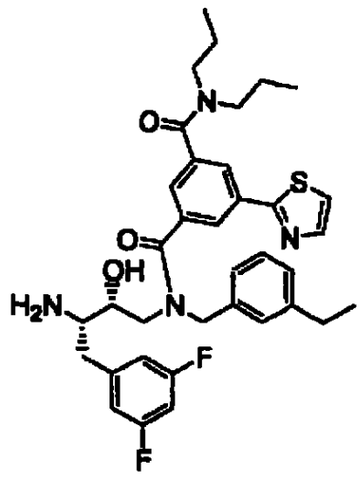
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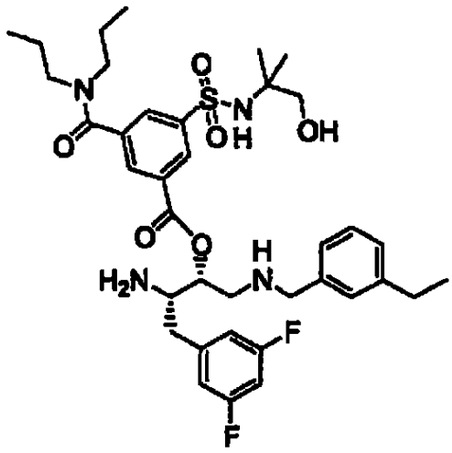
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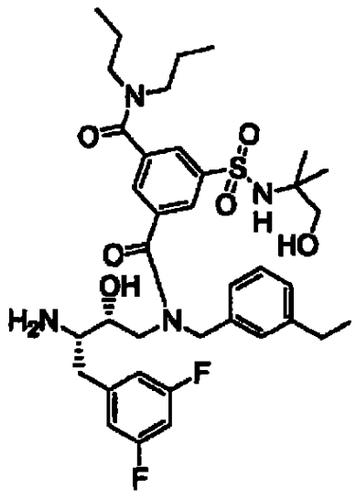
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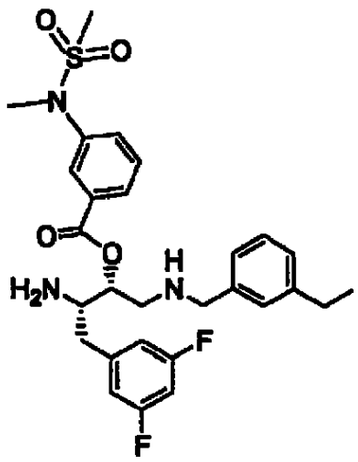
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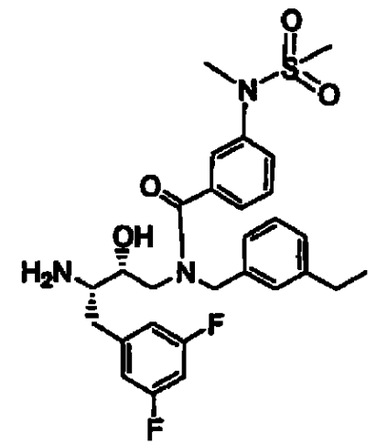
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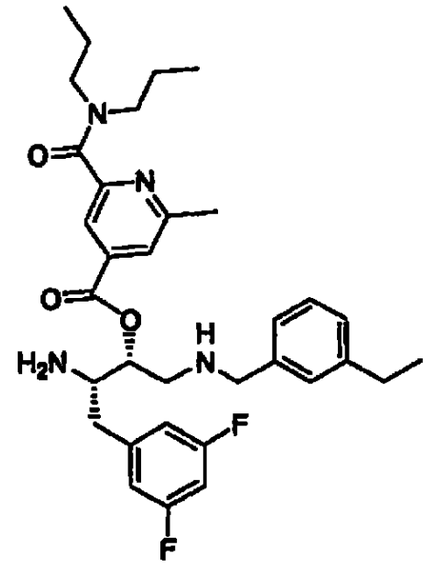
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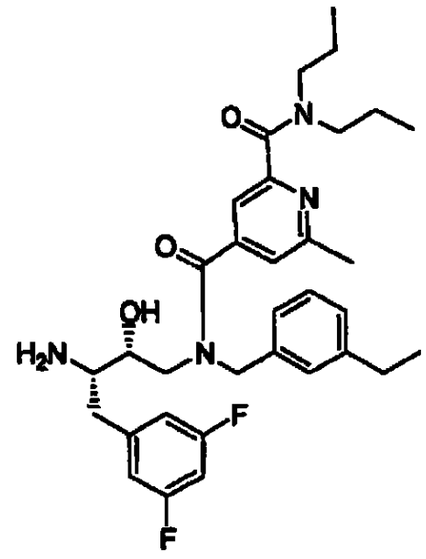
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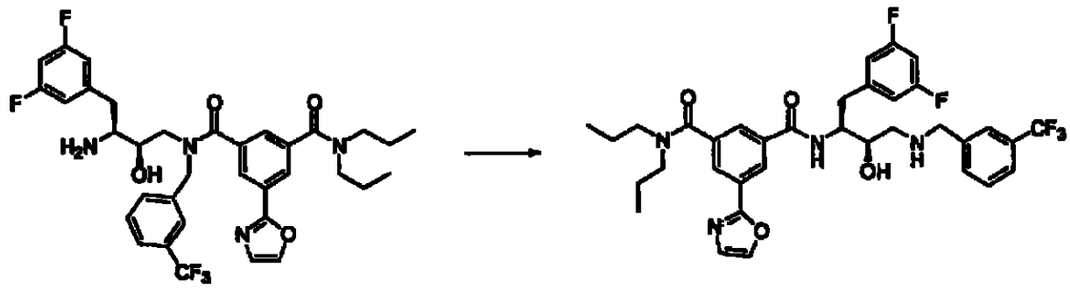
EJEMPLOS DE REDISPOSICIÓN

Los siguientes ejemplos ilustran la migración de grupo acilo que tiene lugar con los compuestos de la invención. Estos ejemplos son únicamente con propósito de ilustración, y no tienen la intención de limitar el alcance de la invención.

Procedimiento General:

Un compuesto de fórmula (I) o (X) (5 mg) es disuelto en DMSO-d_6 (500 µL) y sea en una solución amortiguadora con pH 4 (500 µL, amortiguador de ftalato de hidrógeno de potasio) o una solución amortiguadora con pH 7 (500 µL, amortiguador de fosfato de sodio y potasio) es agregada. La muestra es entonces calentada a 40° C. La migración de O-acilo o N-acilo en N-terminal N-acilo es monitoreada observando el cambio químico para las fluorinas aromáticas utilizando ^{19}F-RMN. (Cambios de fluorina asociados con la migración deseada fueron confirmados por medio de anulación con análogos auténticos). La muestra es analizada por ^{19}F-RMN en aproximadamente 0, 1,5, 3, 24, 48, y 144 horas. LA antidad de la prodroga O-acilo, la prodroga N-acilo, el producto de migración deseado en cada punto de tiempo es asignada por medio de integrar la señal RMN correspondiente.

EJEMPLO 1: Ejemplo Específico RMN

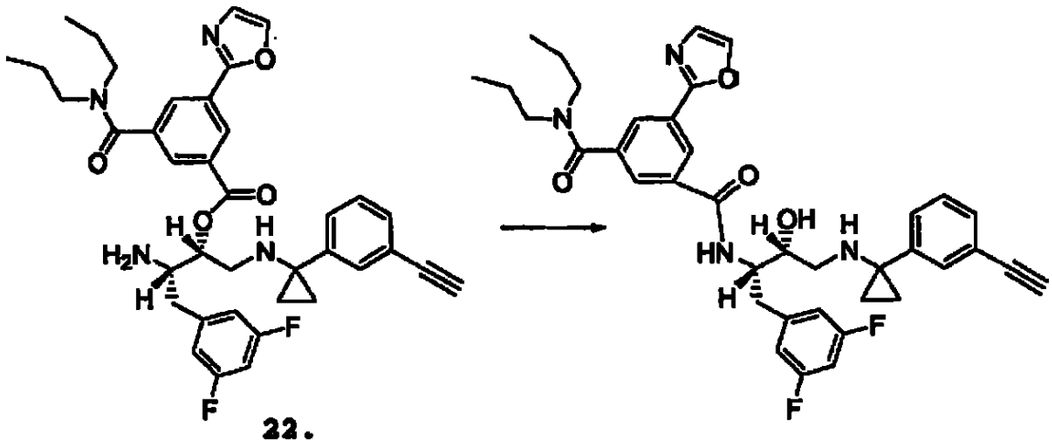


clorhidrato de N~1~-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-5-(1,3-oxazol-2-il)-N~3~,N~3~-dipropil-N~1~-[3-(trifluorometil)benzil]-isoftalamida (PREPARACIÓN 7, 5 mg) es disuelto en DMSO-d_6 (500 µL) y la solución amortiguadora con pH 4 (500 µL, amortiguador de ftalato de potasio hidrógeno) es agregado. La muestra es entonces calentada a 40° C. La migración de N-acilo a N-terminal N-acilo es monitoreada por medio de observar el cambio en la variación química para las fluorinas aromáticas utilizando ^{19}F-RMN. (Los cambios de fluorina para el producto de migración deseado en la presencia del amortiguador fue confirmado por medio de anulación con el producto de migración auténtico, N^1-((1S,2R)-1-(3,5-difluorobenzil)-2-hidroxi-3-{[3-(trifluorometil)benzil]amino}propil)-5-(1,3-oxasol-2-il)-N^3,N^3-dipropilisoftalamida). Los datos RMN son recolectados en 0, 1, 3, 25, 48, 96, y 144 horas. La cantidad de prodroga N-acilo y el producto de migración deseado presente en cada punto del tiempo es asignado por medio de integrar la señal RMN correspondiente. Ninguna migración a la

prodroga O-acilo fue observada utilizando este método y fue confirmado por anulación con el compuesto auténtico.

Los ejemplos siguientes ilustran la migración de la solución del grupo acilo de compuestos de fórmula (I) y (X) según se observó por la espectroscopia ^{19}F-RMN. Los datos fueron recolectados y descritos en el Ejemplo 1, anterior.

EJEMPLO 2: Redisposición de 3-[(dipropilamino)carbonil]-5-(1,3-oxazol-2-il)benzoato de (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[1-(3-etinilfenil)ciclopropil]amino}metil)propilo (22)



22.

prodroga

Producto HEA
(ver tablas)

Las Tablas 1-2 suministran concentraciones relativas como una función del tiempo y variación del pH de la prodroga 22 y su producto de redisposición.

Tabla 1. Concentraciones a 40° C, pH 7.

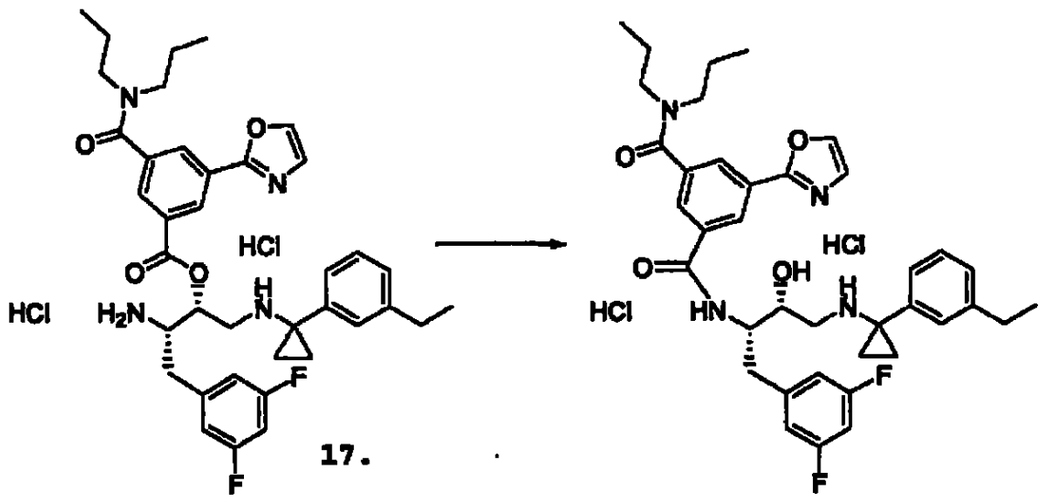
pH 7 40° C			
TIEMPO (hr)	Prodroga O-acilo (% presente)	Prodroga N-acilo (% presente)	Producto HEA[1] (% presente)
0	100	0	0
0,5	10	0	10
1	0	0	100

[1]HEA = el producto hidroxietilamina de la migración del grupo acilo

Tabla 2. Concentraciones a 40° C, pH 4.

pH 4 40° C			
TIEMPO (hr)	Prodroga O-acilo (% presente)	Prodroga N-acilo (% presente)	Producto HEA (% presente)
0	100	0	0
0,5	93	0	7
1	87	0	13
3	66	0	27
6	49	0	42
24	9	0	78
48	0	0	86

EJEMPLO 3: Redisposición de diclorhidrato de 3-[(dipropilamino)carbonil]-5-(1,3-oxazol-2-il)benzoato de (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[1-(3-etilfenil)ciclopropil]amino}metil)propilo (17)



17.

prodroga producto

Las Tablas 3-4 suministran las concentraciones relativas como una función del tiempo y en pH variable de la prodroga 17 y su producto de redisposición.

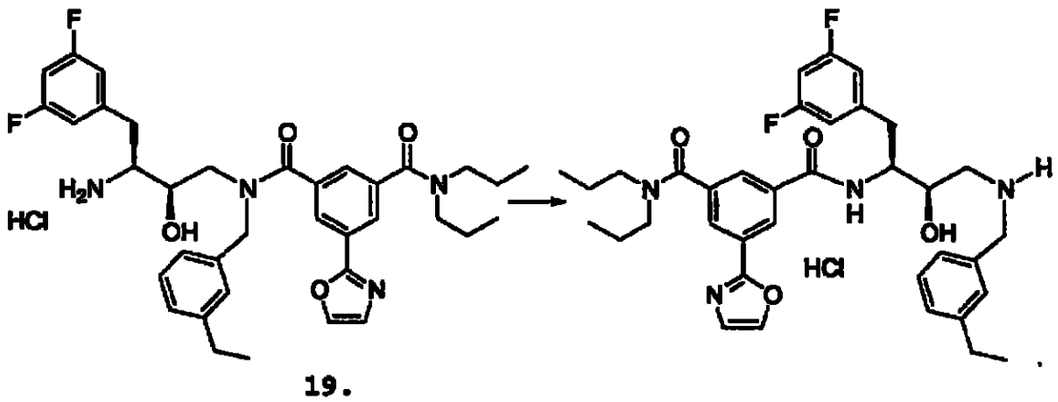
Tabla 3. Concentraciones a 40° C, pH 7

pH 7 40° C			
TIEMPO (hr)	Prodroga O-acilo (% presente)	Prodroga N-acilo (% presente)	Producto HEA (% presente)
0	100	0	0
1,5	3	0	97
7		0	
24		0	
48		0	
144		0	

Tabla 4. Concentraciones a 40° C, pH 4.

pH 4 40° C			
TIEMPO (hr)	Prodroga O-acilo (% presente)	Prodroga N-acilo (% presente)	Producto HEA (% presente)
0	100	0	0
1,5	53	0	47
3	33	0	67
6	11	0	89
24	0	0	100

EJEMPLO 4: Redisposición de clorhidrato de N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N\(u)1\(d)-(3-etilbenzil)-5-(1,3-oxazol-2-il)-N^3,N^3-dipropilisoftalamida (19)



19.

prodroga producto

Las Tablas 5-6 suministran las concentraciones relativas como una función del tiempo y en un pH variable de la prodroga 19 y su producto de redisposición.

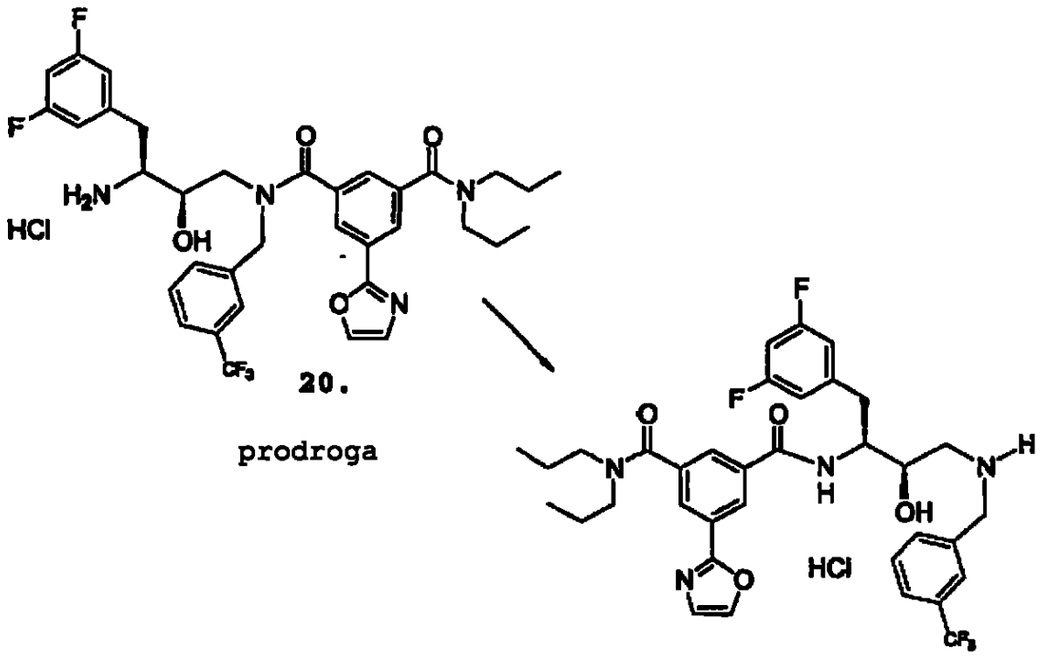
Tabla 5. Concentraciones a 40° C, pH 7.

pH 7 40° C			
TIEMPO (hr)	Prodroga O-acilo (% presente)	Prodroga N-acilo (% presente)	Producto HEA (% presente)
0	0	100	0
1	0	97	3
3	0	91	9
24	0	60	40
48	0	37	63
96	0	19	81

Tabla 6. Concentraciones a 40° C, pH 4.

pH 4 40° C			
TIEMPO (hr)	Prodroga O-acilo (% presente)	Prodroga N-acilo (% presente)	Producto HEA (% presente)
0	0	100	0
1	0	100	0
3	0	100	0
24	0	97	3
48	0	95	5
96	0	92	8

EJEMPLO 5: Redisposición de clorhidrato de N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-5-(1,3-oxazol-2-il)-N^3,N^3-dipropil-N^1[3-(trifluorometil)benzil]-isoftalamida (20).



20.

prodroga

producto

Las Tablas 7 a 8 sumnistran las concentraciones relativas como una función del tiempo y a pH variable de la prodroga 20 y su producto de redisposición.

Tabla 7. Concentraciones a 40° C, pH 7.

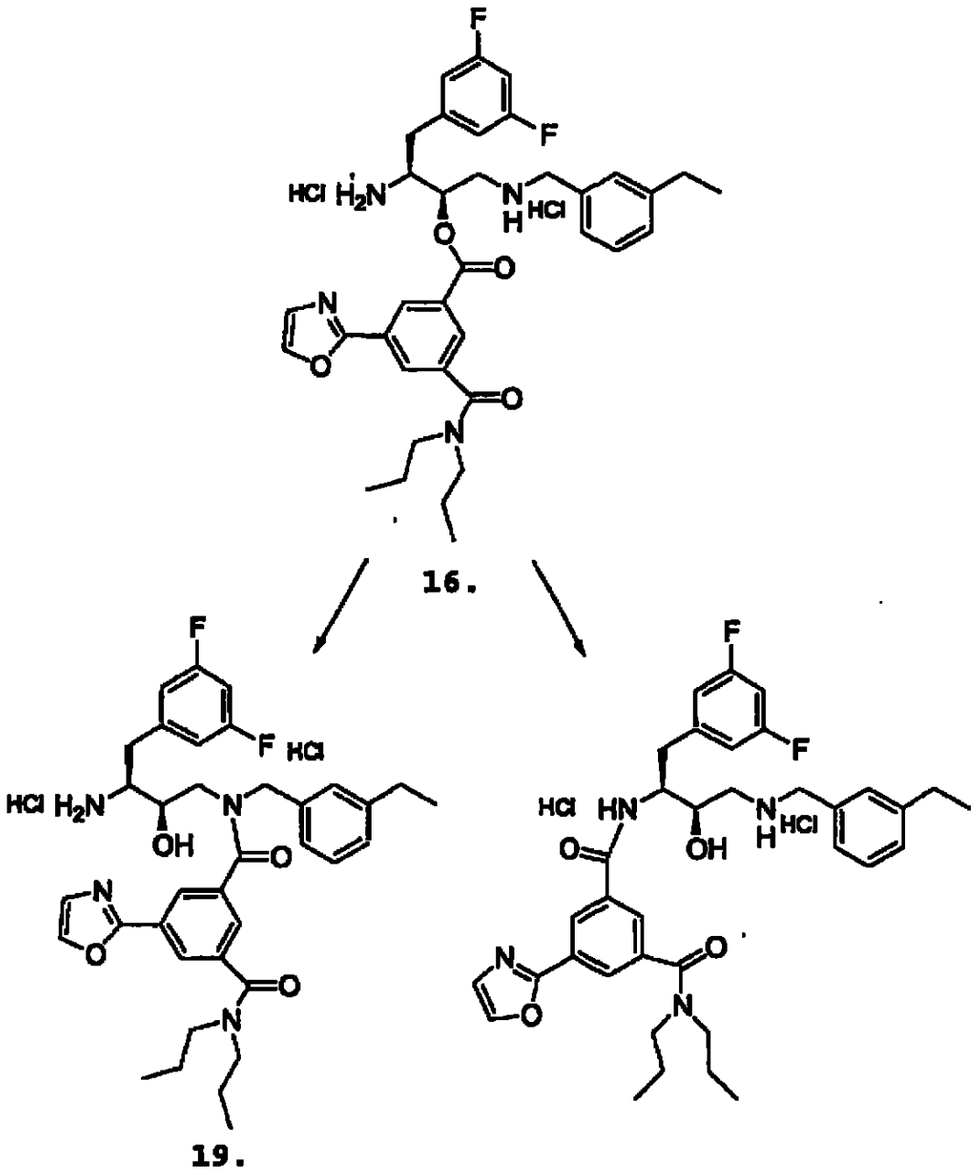
pH 7 40° C			
TIEMPO	Prodroga O-acilo	Prodroga N-acilo	Producto HEA
(hr)	(% presente)	(% presente)	(% presente)
0	0	100	0

1	0	93	7
3	0	88	12
24	0	49	51
48	0	27	73
96	0	12	88

Tabla 8. Concentraciones a 40° C, pH 4

pH 4 40° C			
TIEMPO (hr)	Prodroga O-acilo (% presente)	Prodroga N-acilo (% presente)	Producto HEA (% presente)
0	0	100	0
1	0	97	3
3	0	96	4
24	0	93	7
48	0	92	8
96	0	88	12

EJEMPLO 6: Redisposición de diclorhidrato de 3-[(dipropilamino)carbonil]-5-(1,3-oxazol-2-il)benzoato de (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propilo (16)



Producto A Producto B

Las Tablas 9-10 suministran las concentraciones relativas como una función del tiempo y con pH variable de la prodroga 16 y sus productos de redisposición.

Tabla 9. Concentraciones a 40° C, pH 7

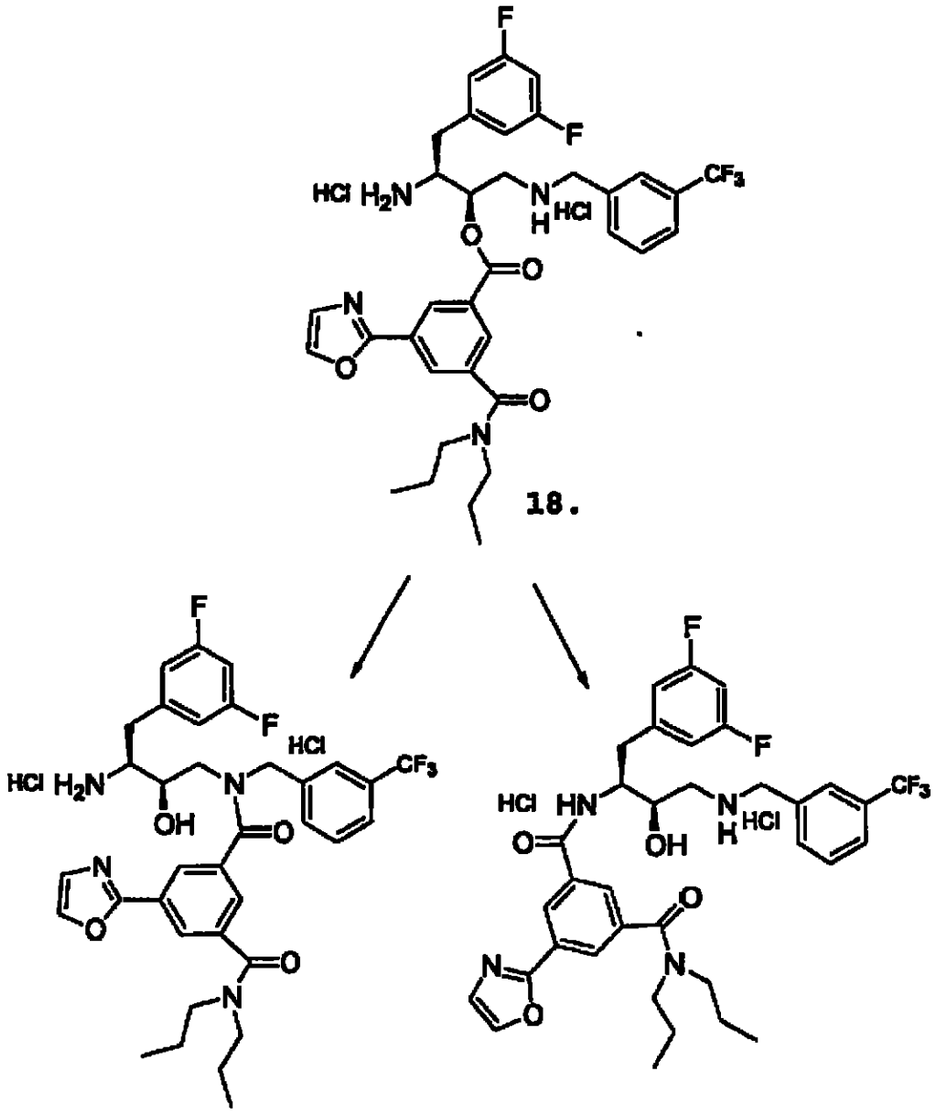
pH 7 40° C			
TIEMPO (hr)	Prodroga O-acilo (% presente)	Prodroga N-acilo (producto A) (% presente)	Producto HEA (producto B) (% presente)
0	100	0	0
1,5	0	52	48
7	0	46	54
24	0	38	62
48	0	29	71
144	0	15	85

Tabla 10. Concentraciones a 40° C, pH 4.

pH 4 40° C			
TIEMPO (hr)	Prodroga O-acilo (% presente)	Prodroga N-acilo (producto A) (% presente)	Producto HEA (producto B) (% presente)
0	100	0	0
1,5	33	13	54
3	9	15	76
24	0	12	88
48	0	12	88
144	0	9	91

EJEMPLO 7: Redisposición de diclorhidrato de 3-[(dipropilamino)carbonil]-5-(1,3-oxazol-2-il)benzoato de

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[3-(trifluorometil)benzil]amino}metil)propilo (18)



18.

20.

Producto A Producto B

Las Tablas 11-12 suministran las concentraciones relativas como una función del tiempo y a pH variable de la prodroga 18 y sus productos de redisposición.

Tabla 11. Concentraciones a 40° C, pH 7.

pH 7 40° C			
TIEMPO	Prodroga O-acilo	Prodroga N-acilo (producto A)	Producto HEA (producto B)
(hr)	(% presente)	(% presente)	(% presente)
0	100	0	0
1,5	0	66	34
7	0	59	41
24	0	43	57
48	0	27	73
144	0	16	84

Tabla 12. Concentraciones a 40° C, pH 4.

pH 4 40° C			
TIEMPO	Prodroga O-acilo	Prodroga N-acilo (producto A)	Producto HEA (producto B)
(hr)	(% presente)	(% presente)	(% presente)
0	100	0	0
1,5	32	14	54
3	8	18	74
30	0	21	79
54	0	20	80
126	0	14	86

EJEMPLOS DE BIOLOGÍA

Ejemplo A

Ensayo de Inhibición de Enzima

Los compuestos redispuestos de la invención son analizados en cuanto a su actividad inhibitoria por el uso del ensayo MBP-C125. Este ensayo determina la inhibición relativa del clivage de la beta-secretasa de un modelo de sustrato APP, MBP-C125SW, por los compuestos ensayados en comparación 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 que liga la maltosa (BMP) y el terminal carboxi 125 de los aminoácidos de APP-SW, la Mutación Sueca. La enzima beta-secretasa es derivada del tejido del cerebro humano según se describió en Sinha et al., 1999, *Nature* 40: 537-540) o producida recombinantemente como la enzima de longitud completa (1-501 aminoácidos) y puede ser preparada, por ejemplo, a partir de 293 células que expresan el cADN recombinante, como se describió en la WO00/47618.

La inhibición de la enzima es analizada, por ejemplo, por el inmunoensayo de los productos de clivage de la enzima. Un ELISA ilustrativo usa un anticuerpo de captura de anti-MBP que es depositado en 96 pozos pre-recubiertos y bloqueados de placas altamente ligantes, seguido por la incubación con el

sobrenadante de la reacción de la enzima diluida, la incubación con un anticuerpo reportero específico, por ejemplo, el anticuerpo reportero anti-SW192 biotinilado, y la incubación adicional con estreptavidina/fosfatasa alcalina. En el ensayo, el clivage de la proteína de fusión MBP-C125SW intacta resulta en la generación de un fragmento aminoterminal truncado, que expone un nuevo epitopo de anticuerpo positivo SW-192 en el terminal carboxi. La detección es efectuada por una señal de sustrato fluorescente en el clivage por la fosfatasa. El ELISA solamente detecta el clivage luego de Leu 596 en el sitio de mutación del APP-SW 751 del sustrato.

Procedimiento Específico del Ensayo:

Los compuestos son diluidos en una serie de diluciones 1:1 hasta una curva de concentración de seis puntos (dos pozos por concentración) en una fila de 96 placas por compuesto ensayado. Cada uno de los compuestos de ensayo es preparado en DMSO para hacer una solución de partida 10 milimolar. La solución de partida es diluida seriadamente en DMSO para obtener una concentración de compuesto final de 200 micromolares en un punto alto de una curva de dilución de seis puntos. Diez (10) microlitros de cada dilución es agregado a cada uno de los dos pozos en la fila C de una placa de fondo en forma V correspondiente a la cual 190 microlitros de NaOAc de 52 milimolar, 7,9% DMSO, pH 4,5 son pre-agregados. La placa de compuesto diluida de NaOAc es

reducida a un precipitado de gránujlos y 20 microlitros/pozo es transferido a una placa de fondo plano correspondiente en la cual 30 microlitros de la mezcla de enzima-sustrato con hielo frío, (2,5 microlitros de sustrato MBP-C125SW, 0,03 microlitros de enzima y 24,5 microlitros de hielo frío 0,09% TX100 por 30 microlitros) se agrega. La mezcla de reacción final del compuesto de 200 micromolar en el punto de curva más alto está en un 5% de DMSO, 20 milimolar de NaOAc, 0,06% de TX100, a un pH de 4,5.

Se calilentan las placas a 37° C iniciando la reacción de la enzima. Después de 90 minutos a 37° C, 200 microlitros/pozo del diluyente del espécimen frío es agregado para detener la reacción y 20 microlitros/pozo fueron transferidos a un anticuerpo anti-MBP correspondiente recubierto en una placa de ELISA 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 es desarrollado el siguiente día después de 2 horas de incubación con anticuerpo anti-192SW, seguido por el conjugado de estrepvidina-AP y sustrato fluorescente. La señal es leída en un lector de placa fluorescente.

La potencia de inhibición del compuesto relativa es determinada calculando la concentración del compuesto que mostró un 50% de reducción 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 preferidos de la invención exhiben un IC_{50} de menos de 50 micromolar.

Ejemplo B

Ensayo de Inhibición de Célula Libre que Utiliza un Sustrato APP Sintético

Un sustrato APP sintético que puede ser clivado por beta-secretasa y que tiene biotina en el terminal N y tiene fluorescia por el ligado covalente de Oregon verde en 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 sustratos útiles incluyen los siguientes:

Biotin-SEVNL-DAEFRC[oregon verde]KK [ID de Sec. No.: 1]

Biotin-SEVKM-DAEFRC[oregon verde]KK [ID de Sec. No.: 2]

Biotin-GLNIKTEEISEISY-EVEFRC[oregon verde]KK [ID de Sec. No.: 3]

Biotin-ADRGLTTRPGSGLTNIKTEEISEVNL-DAEFRC[oregon verde]KK [ID de Sec. No.: 4]

Biotin-FVNQHLCoxGSHLVEALY-LVCoxGERGFFYTPKAC[oregon verde]KK [ID de Sec. No.: 5]

La enzima (0,1 nanomolar) y los compuestos de ensayo (0,001 a 100 micromolar) son incubados en placas negras pre-bloqueadas, de baja afinidad (384 pozos) a 37° durante 30 minutos. La reacción es iniciada por la adición de un

sustrato de 150 milimolar a un volumen final de 30 microlitros por pozo. Las condiciones de ensayo finales son: inhibidor de compuesto 0,001 a 100 micromolar; acetato de sodio 0,1 molar (pH 4,5); sustrato 150 nanomolar; beta-secretasa soluble 0,1 nanomolar; 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 por la adición de una concentración saturada de estreptavidina inmunopura. Después de la incubación con estreptavidina a temperatura ambiente durante 15 minutos, la polarización de fluorescencia es medida, por ejemplo, usando un LJL Acqurest (Ex485 nm/530 nm). La actividad de la enzima beta-secretasa es detectada por cambios en la polarización de la fluorescencia que ocurren cuando el sustrato es dividido por la enzima. La incubación en la presencia o ausencia del compuesto inhibidor demuestra la inhibición específica del clivage enzimático de la beta-secretasa de su sustrato APP sintético. En este ensayo, los compuestos preferidos de la invención exhiben un IC_{50} de menos de 50 micromolar.

Ejemplo C

Inhibición de Beta-Secretasa: Ensayo P26-P4'SW

Los sustratos sintéticos que contienen el sitio de clivage de la beta-secretasa del APP son usados para el ensayo de la actividad de la beta-secretasa, usando el método descrito, por ejemplo, en la solicitud PCT publicada

WO00/47618. El sustrato P26-P4'SW es un péptido de la secuencia:

(biotin)CGGADRGLTTRPGSGLTNIKTEEISEVNLDAEF [ID de Sec. No.: 6]

El estándar P26-P1 tiene la secuencia:

(biotin)CGGADRGLTTRPGSGLTNIKTEEISEVNL [ID de Sec. No.: 7]

Brevemente, los sustratos sintéticos acoplados con biotina son incubados en una concentración entre cerca de 0 a cerca de 200 micromolar en este ensayo. Cuando se ensayan los compuestos inhibitorios, una concentración del sustrato de cerca de 1,0 micromolar es lo preferido. Los compuestos de ensayo diluidos en DMSO son agregados a la mezcla de reacción, con una concentración final de DMSO del 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 el rango lineal del ensayo ELISA, cerca de 125 a 2000 picomolar, después de la dilución.

La mezcla de reacción también incluye acetato de sodio 20 milimolar, pH 4,5, 0,06% Triton X100, y es incubada a 37° C durante 1 a 3 horas. Las muestras son entonces diluidas en el amortiguador de ensayo (por ejemplo, cloruro de sodio al

145,4 nanomolar, fosfato de sodio 9,51 milimolar, y azida de sodio 7,7 milimolar, 0,05% de Triton X405, 6 g/litro de albúmina de suero bovino, pH 7,4) para calmar la reacción, y entonces diluida adicionalmente para el inmunoensayo de los productos de clivage.

Los productos de clivage pueden ser ensayados por ELISA. Las muestras diluidas y los estándares son incubados en placas de ensayo recubiertas con el anticuerpo de captura, por ejemplo, SW192, durante cerca de 24 horas a 4° C. Después de lavar en un amortiguador de TTBS (cloruro de sodio 150 milimolar, Tris 25 milimolar, 0,05% de Tween 20, pH 7,5), las muestras son incubadas con estreptavidina-AP de acuerdo con las instrucciones del fabricante. Después de una hora de incubación a temperatura ambiente, la muestras son lavadas en TTBS e incubadas con una solución de sustrato fluorescente A (31,2 g/liltro de 2-amino-2-metil-1-propanol, 30 mg/liltro, pH 9,5). La reacción con la estreptavidina-fosfato alcalino permite la detección por fluorescencia. Los compuestos que son inhibidores efectivos de la actividad de la beta secretasa demuestran un clivage reducido del sustrato en comparación con un control.

Ejemplo D

Ensayos Usando Sustratos de Olipéptido Sintético

Los oligopéptidos sintéticos son preparados los cuales incorporan el sitio de clivage conocido de la beta-secretasa,

y etiquetas detectables opcionalmente, tal como partes fluorescentes o cromogénicas. Ejemplos de tales péptidos, lo mismo que su producción y métodos de detección son descritos en la Patente Estadounidense No. 5,942,400, incorporada aquí como referencia. Los productos de división pueden ser detectados usando cromatografía líquida de alto desempeño, o métodos de detección fluorescente o cromogénica 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 [ID de Sec. No.: 8] y el sitio de clivage está entre los residuos 5 y 6. Otro sustrato preferido tiene la secuencia ADRGLTTRPGSGLTNIKTEEISEVNL-DAEF [ID de Sec. No.: 9], y el sitio de clivage 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 clivage mediado por beta-secretasa del sustrato. La comparación de los resultados del clivage en la presencia del compuesto inhibidor con respecto al control resulta en suministrar una medida de la actividad inhibitoria del compuesto.

Ejemplo E

Inhibición de la Actividad Beta-Secretasa - Ensayo Celular

Un ensayo ilustrativo para el análisis de la inhibición de la actividad de la beta secretasa utiliza la línea celular de riñón embriónico humano HEKp293 (Acceso ATCC No. CRL-1573) transfectado con el APP 751 que contiene la mutación de doble ocurrencia naturalmente Lys651Met52 a Asn651Leu652 (numerada para el APP751), comúnmente llamada la Mutación Sueca y mostrada para sobre producir beta A (Citron et al., 1992, *Nature* 360: 672-674), según se describió en la Patente Estadounidense No. 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 la actividad de la beta-secretasa, por ejemplo, por análisis de fragmentos de clivage. El beta A puede ser analizado por inmuinoensayo utilizando anticuerpos de detección específicos. La actividad enzimática es medida en la presencia y ausencia de los compuestos inhibidores para demostrar la inhibición específica del clivage mediado por beta-secretasa del sustrato APP.

Ejemplo F

Inhibición de la Beta-Secretasa en Modelos Animales de AD

Diversos modelos animales pueden ser usados para tamizar en cuanto a la inhibición de la actividad de la beta-secretasa. Ejemplos de modelos de animales útiles en la

invención incluyen, pero no se limitan a, ratones, cerdos de guinea, perros, y similares. Los animales usados pueden ser del tipo salvaje, transgénico, o modelos alterados. Además, los modelos de mamíferos pueden expresar mutaciones en APP, tal como la APP695-SW y similares descritos aquí. Ejemplos de modelos de mamíferos no humanos transgénicos son descritos en la Patente Estadounidense No. 5,604,102, 5,912,410, y 5,811,633.

Los ratones PDAPP, preparados según se describió en Games et al., 1995, *Nature* 373: 523-527 son útiles para analizar *in vivo* la supresión de la liberación de beta A en la presencia de compuestos inhibitorios putativos. Como se describió en la Patente Estadounidense No. 6,191,166, unos ratones PDAPP de cuatro meses de nacidos se les administra el compuesto formulado en un vehículo, tal como aceite de maíz. Los ratones son dosificados con el compuesto (1-30 mg/ml, preferiblemente 1-10 mg/ml). Después de un tiempo, por ejemplo 3-10 horas, los animales son sacrificados, sus cerebros son removidos para el análisis.

A los animales transgénicos se les administra una cantidad del compuesto inhibidor formulado en un vehículo adecuado para el modo escogido de administración. Los animales de control no son tratados, tratados con vehículo, otratados con un compuesto inactivo. La administración puede ser aguda, es decir, dosis única o dosis múltiples en un día, o puede ser crónica, es decir la dosificación es repetida

diariamente durante un periodo de días. Iniciando en el tiempo 0, el tejido cerebral o fluido cerebral es obtenido de los animales seleccionados y analizados en cuanto a la presencia de péptidos de clivage APP, incluyendo el beta A, por ejemplo, por inmunoensayo utilizando anticuerpos específicos para la detección del beta A. Al final del periodo de prueba, los animales son sacrificados y los tejidos cerebrales o fluidos cerebrales analizados en cuanto a la presencia de beta A y/o placas beta-amiloides. Los tejidos son también analizados para su necrosis.

A los animales se les administró los compuestos inhibitorios de la invención se espera que demuestren un beta A reducido en los tejidos cerebrales o en los fluidos cerebrales y placas beta-amiloides reducidas en el tejido cerebral, en comparación con los controles no tratados.

Ejemplo G

Inhibición de la Producción de Beta A en Pacientes Humanos

Pacientes que sufren de la enfermedad de Alzheimer (AD) demuestran una cantidad incrementada de beta A en el cerebro. A los pacientes con AD se les administra una cantidad del compuesto inhibidor formulado en un vehículo adecuado para el modo de administración escogido. La administración es repetida diariamente durante la duración del periodo de prueba. Iniciando en el día 0, los ensayos cognitivos y de memoria se llevan a cabo, por ejemplo una vez por mes.

A los pacientes que se les administró los compuestos inhibidores se espera que demuestren un retardamiento o estabilización de la progresión de la enfermedad según sea analizado por los cambios en uno o más de los siguientes parámetros de la enfermedad: beta A presente en el CSF o plasma; volumen del cerebro o del hipocampo; depósitos de beta A en el cerebro; placa amiloide en el cerebro; y marcaciones en cuanto a las funciones cognitivas y de memoria, en comparación con el control o pacientes no tratados.

Ejemplo H

Prevención de la Producción de Beta A en Pacientes con Riesgo de AD

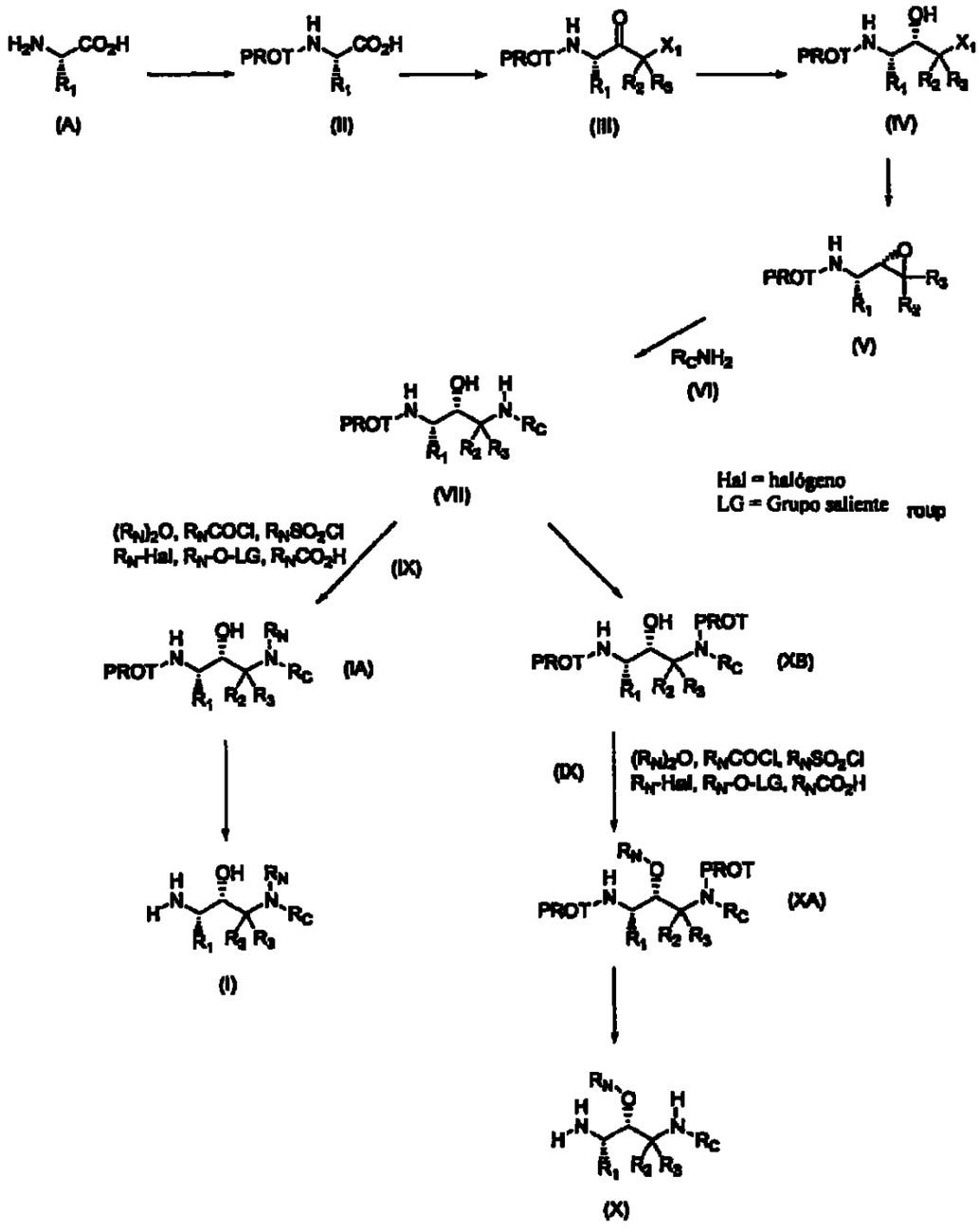
Los pacientes predispuestos o con riesgo de desarrollar el AD son identificados sea por el reconocimiento de un patrón de herencia familiar, por ejemplo, presencia de la Mutación Sueca, y/o por parámetros de diagnóstico de monitoreo. Los pacientes identificados como predispuestos o que tienen un riesgo para desarrollar AD se les administra una cantidad del compuesto inhibidor formulado en un vehículo adecuado para el modo de administración escogido. La administración es repetida diariamente durante la duración del periodo de prueba. Iniciando en el día 0, se hacen ensayos cognitivos y de memoria, por ejemplo una vez por mes.

A los pacientes que se les administraron los compuestos inhibidores se espera que demuestren un retardamiento o estabilización de la progresión de la enfermedad según se analizó por los cambios en uno o más de los parámetros de enfermedad siguientes: beta A presente en el CSF o plasma; volumen del cerebro o del hipocampo; placa amiloide en el cerebro; y marcadores en cuanto a funciones cognitivas y de memoria, en comparación con los pacientes de control no tratados.

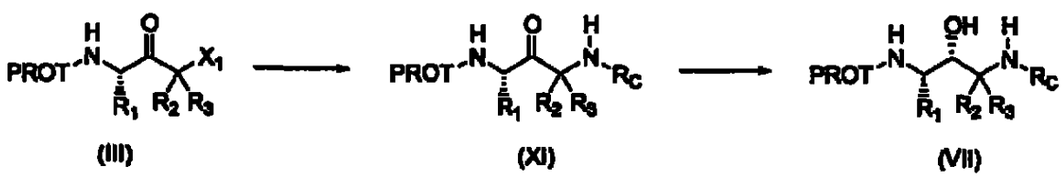
La invención ha sido descrita con referencia a diversas modalidades específicas y preferidas y técnicas. Sin embargo, debe entenderse que muchas variaciones y modificaciones pueden ser hechas mientras se permanece dentro del alcance y espíritu de la invención.

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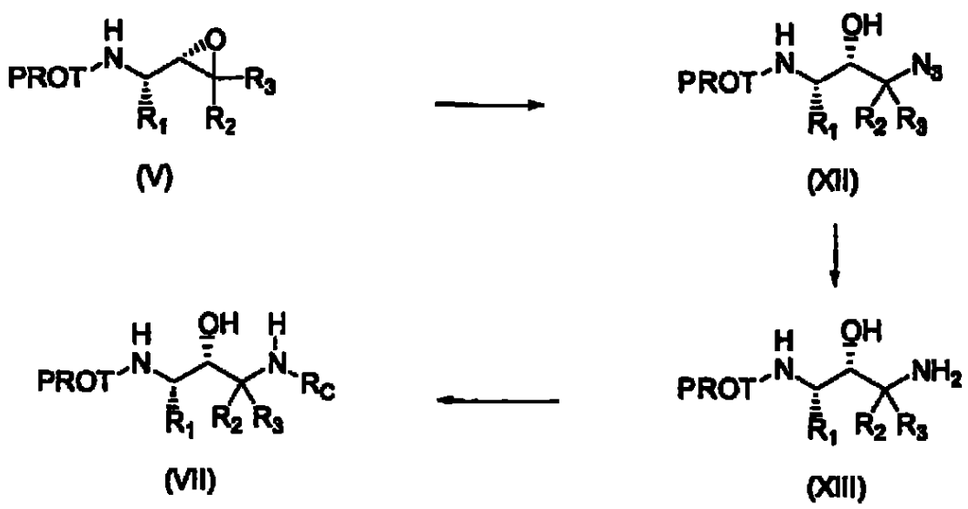
ESQUEMA A



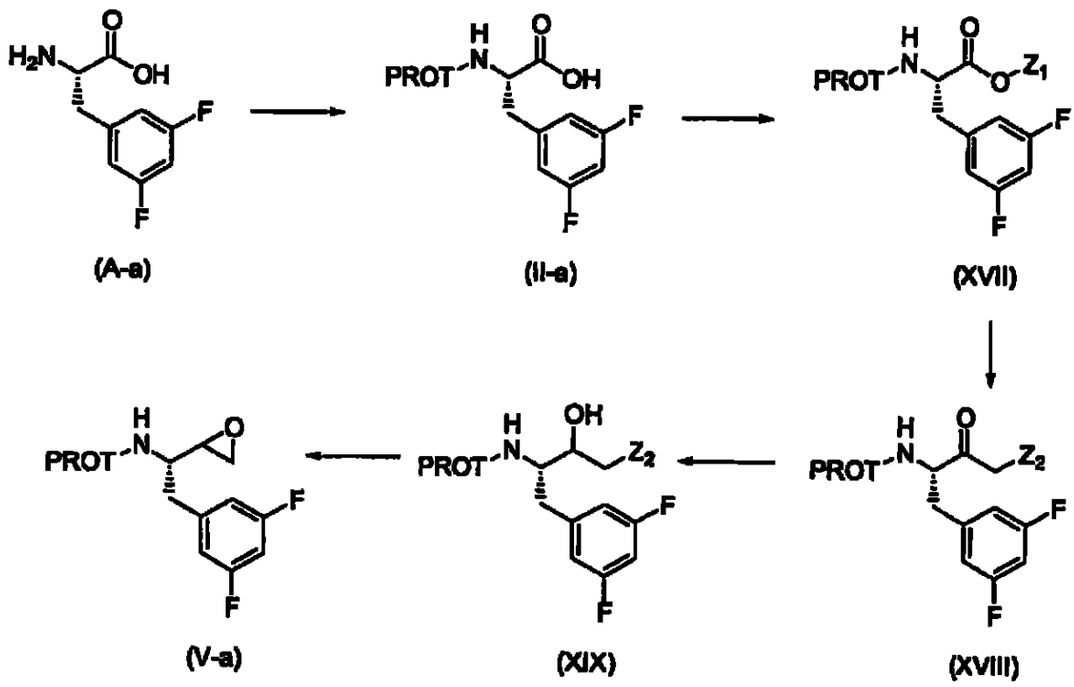
ESQUEMA B



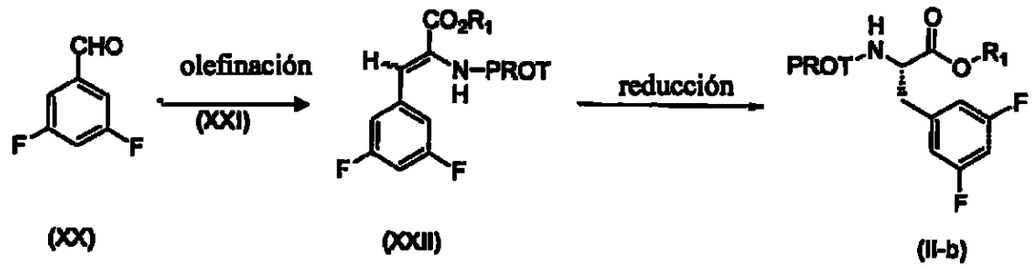
ESQUEMA C



ESQUEMA D



ESQUEMA E

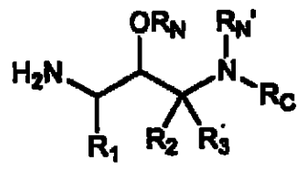


(XXI)=$(X_3\text{-}O\text{-})_2PO\text{-}CH(NH\text{-}GRUPO\ PROTECTOR)\text{-}CO\text{-}R_1$

La invención ha sido descrita con referencia a diversas modalidades específicas y preferidas y técnicas. Sin embargo debe entenderse que muchas variaciones y modificaciones pueden ser hechas aunque se permanece dentro del espíritu y alcance de la invención.

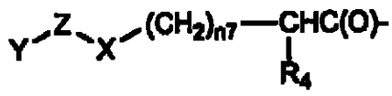
REIVINDICACIONES

1. Un compuesto de la fórmula:



y sales farmacéuticamente aceptables de ésta, en donde uno de R_N y R_N' es hidrógeno y

el otro es $-C(=O)-(CRR')_{0-6}R_{100}$, $-C(=O)-(CRR')_{1-6}-O-R'_{100}$, $-C(=O)-(CRR')_{1-6}-S-R'_{100}$, $-C(=O)-(CRR')_{1-6}-C(=O)-R_{100}$, $-C(=O)-(CRR')_{1-6}-SO_2-R_{100}$, $-C(=O)-(CRR')_{1-6}-NR_{100}-R'_{100}$, o



en donde R_4 se selecciona del grupo que consiste de H; NH_2; $-NH-(CH_2)_{n6}-R_{4-1}$; $-NHR_8$; $-NR_{50}C(O)R_5$; alquilo $C_1-C_4-NHC(O)R_5$; $-(CH_2)_{0-4}R_8$; alcanoilo $-O-C_1-C_4$; OH; ariloxi C_6-C_{10} opcionalmente sustituido con 1, 2, o 3 grupos que son independientemente halógeno, alquilo C_1-C_4, $-CO_2H$, alcoxi $-C(O)-C_1-C_4$, o alcoxi C_1-C_4; alcoxi C_1-C_6; aril alcoxi C_1-C_4; $-NR_{50}CO_2R_{51}$; alquilo $-C_1-C_4-NR_{50}CO_2R_{51}$; $-C\equiv N$; $-CF_3$; $-CF_2-CF_3$; $-C\equiv CH$; $-CH_2-CH=CH_2$; $-(CH_2)_{1-4}-R_{4-1}$; $-(CH_2)_{1-4}-NH-R_{4-1}$; $-O-$

$(CH_2)_{n6}$-R_{4-1}; -S-$(CH_2)_{n6}$-R_{4-1}; -$(CH_2)_{0-4}$-NHC(O)-$(CH_2)_{0-6}$-R_{52}; -$(CH_2)_{0-4}$-R_{53}-$(CH_2)_{0-4}$-R_{54}; en donde

n_6 es 0, 1, 2, o 3;

n_7 es 0, 1, 2, o 3;

R_{4-1} se selecciona del grupo que consiste de -SO_2-(alquilo C_1-C_8), -SO-(alquilo C_1-C_8), -S-(alquilo C_1-C_8), -S-CO-(alquilo C_1-C_6), -SO_2-$NR_{4-2}R_{4-3}$; alquilo -CO-C_1-C_2; -CO-$NR_{4-3}R_{4-4}$;

R_{4-2} y R_{4-3} son independientemente H, alquilo C_1-C_3, o cicloalquilo C_3-C_6;

R_{4-4} es alquilo, arilalquilo, alcanoilo, o arilalcanoilo;

R_{4-6} es -H o alquilo C_1-C_6;

R_5 se selecciona del grupo que consiste de cicloalquilo C_3-C_7; alquilo C_1-C_6 opcionalmente sustituido con 1, 2, o 3 grupos que son independientemente halógeno, -NR_6R_7, alcoxi C_1-C_4, heterocicloalquilo C_5-C_6, heteroarilo C_5-C_6, arilo C_6-C_{10}, cicloalquilo C_3-C_7 alquilo C_1-C_7, alquilo -S-C_1-C_4, alquilo -SO_2-C_1-C_4, -CO_2H, -$CONR_6R_7$, alquilo -CO_2-C_1-C_4, ariloxi C_6-C_{10}; heteroarilo opcionalmente sustituido con 1, 2, o 3 grupos que son independientemente alquilo C_1-C_4, alcoxi C_1-C_4, halógeno, haloalquilo C_1-C_4, o OH; heterocicloalquilo opcionalmente sustituido con 1, 2, o 3

grupos que son independientemente alquilo C_1-C_4, alcoxi C_1-C_4, halógeno, o alcanoilo C_2-C_4; arilo opcionalmente sustituido con 1, 2, 3, o 4 grupos que son independientemente halógeno, OH, alquilo C_1-C_4, alcoxi C_1-C_4, o haloalquilo C_1-C_4; y $-NR_6R_7$; en donde

R_6 y R_7 son independientemente seleccionados del grupo que consiste de H, alquilo C_1-C_6, alcanoilo C_2-C_6, fenilo, alquilo $-SO_2$-C_1-C_4, fenil alquilo C_1-C_4;

R_8 se selecciona del grupo que consiste de $-SO_2$-heteroarilo, $-SO_2$-arilo, $-SO_2$-heterocicloalquilo, alquilo $-SO_2$-C_1-C_{10}, $-C(O)NHR_9$, heterocicloalquilo, alquilo -S-C_1-C_6, Alcanoilo -S-C_2-C_4,

en donde R_9 es aril alquilo C_1-C_4, alquilo C_1-C_6, o H;

R_{50} es H o alquilo C_1-C_6;

R_{51} se selecciona del grupo que consiste de aril alquilo C_1-C_4; alquilo C_1-C_6 opcionalmente sustituido con 1, 2, o 3 grupos que son independientemente halógeno, ciano, heteroaril, $-NR_6R_7$, $-C(O)NR_6R_7$, cicloalquilo C_3-C_7, o alcoxi -C_1-C_4; heterocicloalquilo opcionalmente sustituido con 1 o 2 grupos que son independientemente alquilo C_1-C_4, alcoxi C_1-C_4, halógeno, alcanoilo C_2-C_4, aril alquilo C_1-C_4, y $-SO_2$ alquilo C_1-C_4; alquenilo; alquinilo; heteroarilo opcionalmente sustituido con 1, 2, o 3 grupos que son independientemente OH, alquilo C_1-C_4, alcoxi C_1-C_4, halógeno, NH_2, NH (alquilo C_1-C_6) o N(alquilo C_1-C_6) (alquilo C_1-C_6); heteroarilalquilo opcionalmente

sustituido con 1, 2, o 3 grupos que son independientemente alquilo C_1-C_4, alcoxi C_1-C_4, halógeno, NH_2, NH(alquilo C_1-C_6) o N(alquilo C_1-C_6) (alquilo C_1-C_6); arilo; heterocicloalquilo; cicloalquilo C_3-C_8; y cicloalquilalquilo; en donde the arilo; heterocicloalquilo, cicloalquilo C_3-C_8, y los grupos cicloalquilalquilo son opcionalmente sustituidos con 1, 2, 3, 4 o 5 grupos que son independientemente halógeno, CN, NO_2, alquilo C_1-C_6, alcoxi C_1-C_6, alcanoilo C_2-C_6, haloalquilo C_1-C_6, haloalcoxi C_1-C_6, hidroxi, hidroxialquilo C_1-C_6, alcoxi C_1-C_6 alquilo C_1-C_6, tioalcoxi C_1-C_6, tioalcoxi C_1-C_6 alquilo C_1-C_6, o alcoxi C_1-C_6 alcoxi C_1-C_6;

R_{52} es heterocicloalquilo, heteroarilo, arilo, cicloalquilo, alquilo -$S(O)_{0-2}$-C_1-C_6, CO_2H, -$C(O)NH_2$, -C(O)NH(alquil), -C(O)N(alquil) (alquil), -CO_2-alquil, alquilo -$NHS(O)_{0-2}$-C_1-C_6, -N(alquil)$S(O)_{0-2}$-C_1-C_6 alquil, -$S(O)_{0-2}$-heteroaril, -$S(O)_{0-2}$-aril, -NH(arilalquil), -N(alquil) (arilalquil), tioalcoxi, o alcoxi, cada uno de los cuales es opcionalmente sustituido con 1, 2, 3, 4, o 5 grupos que son independientemente alquilo, alcoxi, tioalcoxi, halógeno, haloalquilo, haloalcoxi, alcanoilo, NO_2, CN, alcoxicarbonil, o aminocarbonil;

R_{53} es ausente, -O-, -C(O)-, -NH-, -N(alquil)-, -NH-$S(O)_{0-2}$-, -N(alquil)-$S(O)_{0-2}$-, -$S(O)_{0-2}$-NH-, -$S(O)_{0-2}$-N(alquil)-, -NH-C(S)-, o -N(alquil)-C(S)-;

R_{54} es heteroarilo, arilo, arilalquilo, heterocicloalquilo, CO_2H, $-CO_2$-alquil, $-C(O)NH$(alquil), $-C(O)N$(alquil)(alquil), $-C(O)NH_2$, alquilo C_1-C_8, OH, ariloxi, alcoxi, arilalcoxi, NH_2, NH(alquil), N(alquil)(alquil), o alquilo $-C_1$-C_6 alquilo $-CO_2-C_1$-C_6, cada uno de los cuales es opcionalmente sustituido con 1, 2, 3, 4, o 5 grupos que son independientemente alquilo, alcoxi, CO_2H, $-CO_2$-alquilo, tioalcoxi, halógeno, haloalquilo, haloalcoxi, hidroxialquilo, alcanoilo, NO_2, CN, alcoxicarbonilo, o aminocarbonilo;

X se selecciona del grupo que consiste de alquilidenilo $-C_1$-C_6 opcionalmente sustituido con 1, 2, o 3 grupos metilo; y $-NR_{4-6}-$; o R_4 y R_{4-6} se combinan para formar $-(CH_2)_{n10}-$, en donde n_{10} es 1, 2, 3, o 4;

Z se selecciona del grupo que consiste de un enlace; SO_2; SO; S; y C(O);

Y se selecciona del grupo que consiste de H; haloalquilo C_1-C_4; heterocicloalquilo C_5-C_6; arilo C_6-C_{10}; OH; $-N(Y_1)(Y_2)$; alquilo C_1-C_{10} opcionalmente sustituido con 1 hasta 3 sustituyentes los cuales pueden ser iguales o diferentes y se seleccionan del grupo que consiste de halógeno, hidroxi, alcoxi, tioalcoxi, y haloalcoxi; cicloalquilo C_3-C_8 opcionalmente sustituido con 1, 2, o 3 grupos independientemente seleccionados de alquilo C_1-C_3, y

halógeno; alcoxi; arilo opcionalmente sustituido con halógeno, alquilo, alcoxi, CN o NO_2; arilalquilo opcionalmente sustituido con halógeno, alquilo, alcoxi, CN o NO_2; en donde

Y_1 y Y_2 son iguales o diferentes y son H; alquilo C_1-C_{10} opcionalmente sustituido con 1, 2, o 3 sustituyentes seleccionados del grupo que consiste de halógeno, alcoxi C_1-C_4, cicloalquilo C_3-C_8, y OH; alquenilo C_2-C_6; alcanoilo C_2-C_6; fenilo; alquilo -SO_2-C_1-C_4; fenil alquilo C_1-C_4; o cicloalquilo C_3-C_8 alquilo C_1-C_4; o

Y_1, Y_2 y el nitrógeno al cual ellos están adheridos forman un anillo seleccionado del grupo que consiste de piperazinilo, piperidinilo, morfolinilo, y pirolidinilo, en donde cada anillo es opcionalmente sustituido con 1, 2, 3, o 4 grupos que son independientemente alquilo C_1-C_6, alcoxi C_1-C_6, alcoxi C_1-C_6 alquilo C_1-C_6, o halógeno;

R_1 es $-(CH_2)_{1-2}-S(O)_{0-2}-$(alquilo C_1-C_6), o

alquilo C_1-C_{10} opcionalmente sustituido con 1, 2, o 3 grupos independientemente seleccionados de halógeno, OH, =O, -SH, -C≡N, $-CF_3$, alcoxi -C_1-C_3, amino, mono- o dialquilamino, -N(R)C(O)R'-, -OC(=O)-amino y -OC(=O)-mono- o dialquilamino, o

alquenilo C_2-C_6 o alquinilo C_2-C_6, cada uno de los cuales es opcionalmente sustituido con 1, 2, o 3 grupos independientemente seleccionados de halógeno, -OH, -SH, -C≡N, $-CF_3$, alcoxi C_1-C_3, amino, y mono- o dialquilamino, o arilo, heteroarilo, heterociclilo, alquil-arilo $-C_1$-C_6, alquil-heteroarilo $-C_1$-C_6, o alquil-heterociclilo $-C_1$-C_6, donde las porciones de anillo de cada uno son opcionalmente sustituidas con 1, 2, 3, o 4 grupos independientemente seleccionados de halógeno, -OH, -SH, -C≡N, $-NR_{105}R'_{105}$, $-CO_2R$, -N(R)COR', o $-N(R)SO_2R'$, alquilo -C(=O)-(C_1-C_4), $-SO_2$-amino, $-SO_2$-mono o dialquilamino, -C(=O)-amino, -C(=O)-mono o dialquilamino, alquilo $-SO_2$-(C_1-C_4), o

alcoxi $-C_1$-C_6 opcionalmente sustituido con 1, 2, o 3 grupos los cuales son independientemente un halógeno, o

cicloalquilo C_3-C_7 opcionalmente sustituido con 1, 2, o 3 grupos independientemente seleccionados de halógeno, -OH, -SH, -C≡N, $-CF_3$, alcoxi C_1-C_3, amino, alquilo $-C_1$-C_6 y mono- o dialquilamino, o

alquilo C_1-C_{10} opcionalmente sustituido con 1, 2, o 3 grupos independientemente seleccionados de halógeno, -OH, -SH, -C≡N, $-CF_3$, alcoxi $-C_1$-C_3, amino, mono- o dialquilamino y alquilo $-C_1$-C_3, o

alquenilo C_2-C_{10} o alquinilo C_2-C_{10} cada uno de los cuales es opcionalmente sustituido con 1, 2, o 3 grupos independientemente seleccionados de

halógeno, -OH, -SH, -C≡N, $-CF_3$, alcoxi C_1-C_3, amino, alquilo C_1-C_6 y mono- o dialquilamino; y

el grupo heterociclilo está opcionalmente además sustituido con oxo;

R y R'independientemente son hidrógeno o alquilo C_1-C_{10};

R_2 se selecciona del grupo que consiste de H; alquilo C_1-C_6, opcionalmente sustituido con 1, 2, o 3 sustituyentes que son independientemente seleccionados del grupo que consiste de alquilo C_1-C_3, halógeno, -OH, -SH, -C≡N, $-CF_3$, alcoxi C_1-C_3, y $-NR_{1-a}R_{1-b}$; en donde R_{1-a} y R_{1-b} son -H o alquilo C_1-C_6; $-(CH_2)_{0-4}$-aril; $-(CH_2)_{0-4}$-heteroaril; alquenilo C_2-C_6; alquinilo C_2-C_6; $-CONR_{N-2}R_{N-3}$; $-SO_2NR_{N-2}R_{N-3}$; $-CO_2H$; y $-CO_2$-(alquilo C_1-C_4);

R_3 se selecciona del grupo que consiste de H; alquilo C_1-C_6, opcionalmente sustituido con 1, 2, o 3 sustituyentes independientemente seleccionados del grupo que consiste de alquilo C_1-C_3, halógeno, -OH, -SH, -C≡N, $-CF_3$, alcoxi C_1-C_3, y $-NR_{1-a}R_{1-b}$; $-(CH_2)_{0-4}$-aril; $-(CH_2)_{0-4}$-heteroaril; alquenilo C_2-C_6; alquinilo C_2-C_6; $-CO-NR_{N-2}R_{N-3}$; $-SO_2-NR_{N-2}R_{N-3}$; $-CO_2H$; y -CO-O-(alquilo C_1-C_4); o

R_2, R_3 y el carbono al cual ellos están adheridos forman un carbociclo de tres hasta siete átomos de carbono, en donde

un átomo de carbono se reemplaza opcionalmente por un grupo seleccionado de -O-, -S-, -SO_2-, o -NR_{M-2}-;

Rc se selecciona del grupo que consiste de alquilo C_1-C_{10} opcionalmente sustituido con 1, 2, o 3 grupos independientemente seleccionados del grupo que consiste de R_{205}, -$OC{=}ONR_{235}R_{240}$, -$S(=O)_{0-2}$(alquilo C_1-C_6), -SH, -$NR_{235}C{=}ONR_{235}R_{240}$, -$C{=}ONR_{235}R_{240}$, y -$S(=O)_2NR_{235}R_{240}$; -$(CH_2)_{0-3}$-($C_3$-$C_8$) cicloalquil en donde el cicloalquil es opcionalmente sustituido con 1, 2, o 3 grupos independientemente seleccionados del grupo que consiste de R_{205}, -CO_2H, y -CO_2-(alquilo C_1-C_4); -$(CR_{245}R_{250})_{0-4}$-arilo; -$(CR_{245}R_{250})_{0-4}$-heteroarilo; -$(CR_{245}R_{250})_{0-4}$-heterocicloalquil; -$(CR_{245}R_{250})_{0-4}$-aril-heteroarilo; -$(CR_{245}R_{250})_{0-4}$-aril-heterocicloalquilo; -$(CR_{245}R_{250})_{0-4}$-aril-arilo; -$(CR_{245}R_{250})_{0-4}$-heteroaril-arilo; -$(CR_{245}R_{250})_{0-4}$-heteroaril-heterocicloalquilo; -$(CR_{245}R_{250})_{0-4}$-heteroaril-heteroarilo; -$(CR_{245}R_{250})_{0-4}$-heterocicloalquil-heteroarilo; -$(CR_{245}R_{250})_{0-4}$-heterocicloalquil-heterocicloalquilo; -$(CR_{245}R_{250})_{0-4}$-heterocicloalquil-arilo; -$[C(R_{255})\ (R_{260})]_{1-3}$-CO-N-$(R_{255})_2$; -$CH(aril)_2$; -$CH(heteroaril)_2$; -$CH(heterocicloalquil)_2$; -CH(aril) (heteroaril); ciclopentilo, ciclohexilo, o anillo cicloheptilo fusionado a arilo, heteroarilo, o heterocicloalquilo en donde un carbono del ciclopentilo, ciclohexilo, o cicloheptilo es opcionalmente reemplazado con NH, NR_{215}, O, o $S(=0)_{0-2}$, y en donde el grupo ciclopentilo, ciclohexilo, o cicloheptilo puede ser opcionalmente sustituido con 1 o 2 grupos que son

independientemente R_{205} o =O; $-CO-NR_{235}R_{240}$; $-SO_2-$(alquilo C_1-C_4); alquenilo C_2-C_{10} opcionalmente sustituido con 1, 2, o 3 grupos R_{205}; alquinilo C_2-C_{10} opcionalmente sustituido con 1, 2, o 3 grupos R_{205}; $-(CH_2)_{0-1}-CH((CH_2)_{0-6}-OH)-(CH_2)_{0-6}-$arilo; $-(CH_2)_{0-1}-CHR_{C-6}-(CH_2)_{0-1}-$heteroarilo; -CH(-aril o -heteroaril)-CO-O(alquilo C_1-C_4); $-CH(-CH_2-OH)-CH(OH)-$fenil-NO_2; (alquilo C_1-C_6)-O-(alquilo C_1-C_6)-OH; $-CH_2-NH-CH_2-CH(-O-CH_2-CH_3)_2$; -H; y $-(CH_2)_{0-6}-C(=NR_{235})$ $(NR_{235}R_{240})$; en donde

cada arilo es opcionalmente sustituido con 1, 2, o 3 R_{200};

cada heteroarilo es opcionalmente sustituido con 1, 2, 3, o 4 R_{200};

cada heterocicloalquilo es opcionalmente sustituido con 1, 2, 3, o 4 R_{210};

R_{200} en cada ocurrencia se selecciona independientemente del grupo que consiste de alquilo C_1-C_6 opcionalmente sustituido con 1, 2, o 3 grupos R_{205}; OH; $-NO_2$; halógeno; $-CO_2H$; C≡N; $-(CH_2)_{0-4}-CO-NR_{220}R_{225}$; $-(CH_2)_{0-4}-CO-$(alquilo C_1-C_{12}); $-(CH_2)_{0-4}-CO-$(alquenilo C_2-C_{12}); $-(CH_2)_{0-4}-CO-$(alquinilo C_2-C_{12}); $-(CH_2)_{0-4}-CO-$(cicloalquilo C_3-C_7); $-(CH_2)_{0-4}-CO-$arilo; $-(CH_2)_{0-4}-CO-$heteroarilo; $-(CH_2)_{0-4}-CO-$heterocicloalquilo; $-(CH_2)_{0-4}-CO_2R_{215}$; $-(CH_2)_{0-4}-SO_2-NR_{220}R_{225}$; $-(CH_2)_{0-4}-SO-$(alquilo C_1-C_8); $-(CH_2)_{0-4}-SO_2-$(C_1-C_{12} alquilo); $-(CH_2)_{0-4}-SO_2-$(cicloalquilo C_3-C_7); $-(CH_2)_{0-4}-N(H$ o $R_{215})-CO_2R_{215}$; $-(CH_2)_{0-4}-N$ $(H$ o $R_{215})-CO-N(R_{215})_2$; $-(CH_2)_{0-4}-N-CS-$

$N(R_{215})_2$; $-(CH_2)_{0-4}-N$ (-H o R_{215})-CO-R_{220}; $-(CH_2)_{0-4}-NR_{220}R_{225}$; $-(CH_2)_{0-4}-O-CO-$(alquilo C_1-C_6); $-(CH_2)_{0-4}-O-P(O)-(OR_{240})_2$; $-(CH_2)_{0-4}-O-CO-N(R_{215})_2$; $-(CH_2)_{0-4}-O-CS-N(R_{215})_2$; $-(CH_2)_{0-4}-O-(R_{215})_2$; $-(CH_2)_{0-4}-O-(R_{215})_2-COOH$; $-(CH_2)_{0-4}-S-(R_{215})_2$; $-(CH_2)_{0-4}-O-$(alquilo C_1-C_6 opcionalmente sustituido con 1, 2, 3, o 5 -F); cicloalquilo C_3-C_7; alquenilo C_2-C_6 opcionalmente sustituido con 1 o 2 grupos R_{205}; alquinilo C_2-C_6 opcionalmente sustituido con 1 o 2 grupos R_{205}; $-(CH_2)_{0-4}-N$ (H o R_{215})-SO_2-R_{220}; y $-(CH_2)_{0-4}-C_3-C_7$ cicloalquilo;

en donde cada grupo arilo en cada ocurrencia es opcionalmente sustituido con 1, 2, o 3 grupos que son independientemente R_{205}, R_{210} o alquilo C_1-C_6 sustituido con 1, 2, o 3 grupos que son independientemente R_{205} o R_{210};

en donde cada grupo heterocicloalquilo en cada ocurrencia es opcionalmente sustituido con 1, 2, o 3 grupos que son independientemente R_{210};

en donde cada heterogrupo arilo en cada ocurrencia es opcionalmente sustituido con 1, 2, o 3 grupos que son independientemente R_{205}, R_{210}, o alquilo C_1-C_6 sustituido con 1, 2, o 3 grupos que son independientemente R_{205} o R_{210};

R_{205} en cada ocurrencia se selecciona independientemente del grupo que consiste de alquilo C_1-C_6, halógeno, -OH, -O-fenilo, -SH, -C≡N, $-CF_3$, alcoxi C_1-C_6, NH_2, NH(alquilo C_1-C_6), y N-(alquilo C_1-C_6) (alquilo C_1-C_6);

R_{210} en cada ocurrencia se selecciona independientemente del grupo que consiste de alquilo C_1-C_6 opcionalmente sustituido con 1, 2, o 3 grupos R_{205}; alquenilo C_2-C_6 opcionalmente sustituido con 1, 2, o 3 grupos R_{205}; alquinilo C_2-C_6 opcionalmente sustituido con 1, 2, o 3 grupos R_{205}; halógeno; alcoxi C_1-C_6; haloalcoxi C_1-C_6; -$NR_{220}R_{225}$; OH; C≡N; cicloalquilo C_3-C_7 opcionalmente sustituido con 1, 2, o 3 grupos R_{205}; -CO-(alquilo C_1-C_4); $\_SO_2\_NR_{235}R_{240}$; -CO-$NR_{235}R_{240}$; -SO_2-(alquilo C_1-C_4); y =O; en donde

R_{215} en cada ocurrencia se selecciona independientemente del grupo que consiste de alquilo C_1-C_6, -$(CH_2)_{0-2}$-(aril), alquenilo C_2-C_6, alquinilo C_2-C_6, cicloalquilo C_3-C_7, y -$(CH_2)_{0-2}$-(heteroaril), -$(CH_2)_{0-2}$-(heterocicloalquil); en donde el grupo arilo en cada ocurrencia es opcionalmente sustituido con 1, 2, o 3 grupos que son independientemente R_{205} o R_{210}; en donde el grupo heterocicloalquilo en cada ocurrencia es opcionalmente sustituido con 1, 2, o 3 R_{210}; en donde cada grupo heteroarilo en cada ocurrencia es opcionalmente sustituido con 1, 2, o 3 R_{210};

R_{220} y R_{225} en cada ocurrencia son independientemente seleccionados del grupo que consiste de -H, alquilo -C_1-C_6, hidroxi alquilo C_1-C_6, amino alquilo C_1-C_6; halo alquilo C_1-C_6; cicloalquilo -C_3-C_7, -(alquilo C_1-C_2)-(cicloalquilo C_3-C_7), -(alquilo C_1-C_6)-O-(alquilo C_1-C_3), alquenilo -C_2-C_6, alquinilo -C_2-C_6, cadena alquilo -C_1-C_6

con un enlace doble y un enlace triple, -arilo, -heteroarilo, y -heterocicloalquilo; en donde el grupo arilo en cada ocurrencia es opcionalmente sustituido con 1, 2, o 3 grupos R_{270}, en donde

R_{270} en cada ocurrencia es independientemente R_{205}, alquilo C_1-C_6 opcionalmente sustituido con 1, 2, o 3 grupos R_{205}; alquenilo C_2-C_6 opcionalmente sustituido con 1, 2, o 3 grupos R_{205}; alquinilo C_2-C_6 opcionalmente sustituido con 1, 2, o 3 grupos R_{205}; halógeno; alcoxi C_1-C_6; haloalcoxi C_1-C_6; $NR_{235}R_{240}$; OH; C-N; cicloalquilo C_3-C_7 opcionalmente sustituido con 1, 2, o 3 grupos R_{205}; -CO-(alquilo C_1-C_4); $\_SO_2\_NR_{235}R_{240}$; $-CO-NR_{235}R_{240}$; $-SO_2$-(alquilo C_1-C_4); y =O; en donde el grupo heterocicloalquilo en cada ocurrencia es opcionalmente sustituido con 1, 2, o 3 grupos R_{205}; en donde cada grupo heteroarilo en cada ocurrencia es opcionalmente sustituido con 1, 2, o 3 grupos R_{205};

R_{235} y R_{240} en cada ocurrencia son independientemente H, o alquilo C_1-C_6;

R_{245} y R_{250} en cada ocurrencia son independientemente seleccionados del grupo que consiste de H, alquilo C_1-C_4, hidroxialquilo C_1-C_4, alcoxi C_1-C_4, haloalcoxi C_1-C_4, $-(CH_2)_{0-4}$-C_3-C_7 cicloalquilo, alquenilo C_2-C_6, alquinilo C_2-C_6, aril alquilo C_1-C_4, heteroaril alquilo C_1-C_4, y fenilo; o

R_{245} y R_{250} son tomados junto con el carbono al cual ellos están adheridos 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 del grupo que consiste de -O-, -S-, $-SO_2-$, y $-NR_{220}-$;

R_{255} y R_{260} en cada ocurrencia son independientemente seleccionados del grupo que consiste de H; alquilo C_1-C_6 opcionalmente sustituido con 1, 2, o 3 grupos R_{205}; alquenilo C_2-C_6 opcionalmente sustituido con 1, 2, o 3 grupos R_{205}; alquinilo C_2-C_6 opcionalmente sustituido con 1, 2, o 3 grupos R_{205}; $-(CH_2)_{1-2}-S(O)_{0-2}-$(alquilo C_1-C_6); $-(CH_2)_{0-4}-C_3$-C_7 cicloalquilo opcionalmente sustituido con 1, 2, o 3 grupos R_{205}; -(alquilo C_1-C_4)-arilo; -(alquilo C_1-C_4)-heteroarilo; -(alquilo C_1-C_4)-heterocicloalquilo; -arilo; -heteroarilo; -heterocicloalquilo; $-(CH_2)_{1-4}-R_{265}-(CH_2)_{0-4}$-arilo; $-(CH_2)_{1-4}-R_{265}-(CH_2)_{0-4}$-heteroarilo; y; $-(CH_2)_{1-4}-R_{265}-(CH_2)_{0-4}$-heterocicloalquilo; en donde R_{265} en cada ocurrencia es independientemente -O-, -S- o -N(alquilo C_1-C_6)-;

cada arilo o fenilo es opcionalmente sustituido con 1, 2, o 3 grupos que son independientemente R_{205}, R_{210}, o alquilo C_1-C_6 sustituido con 1, 2, o 3 grupos que son independientemente R_{205} o R_{210};

cada heteroarilo es opcionalmente sustituido con 1, 2, 3, o 4 R_{200}, cada heterocicloalquilo es opcionalmente sustituido con 1, 2, 3, o 4 R_{210};

R_{100} y R'_{100} independientemente representan arilo, heteroarilo, heterociclilo, -aril-W-arilo, -aril-W-heteroarilo, -aril-W-heterociclilo, -heteroaril-W-arilo, heteroaril-W-heteroarilo, -heteroaril-W-heterociclilo, -heterociclil-W-arilo, -heterociclil-W-heteroarilo, -heterociclil-W-heterociclilo, $-CH[(CH_2)_{0-2}-O-R_{150}]-(CH_2)_{0-2}$-arilo, $-CH[(CH_2)_{0-2}-O-R_{150}]-(CH_2)_{0-2}$-heterociclilo o $-CH[(CH_2)_{0-2}-O-R_{150}]-(CH_2)_{0-2}$-heteroarilo, donde las porciones de anillo de cada uno son opcionalmente sustituidas con 1, 2, o 3 grupos independientemente seleccionados de

-OR, $-NO_2$, alquilo C_1-C_6, halógeno, -C≡N, $-OCF_3$, $-CF_3$, $-(CH_2)_{0-4}-O-P(=O)(OR)(OR')$, $-(CH_2)_{0-4}-CO-NR_{105}R'_{105}$, $-(CH_2)_{0-4}-O-(CH_2)_{0-4}-CONR_{102}R_{102}'$, $-(CH_2)_{0-4}-CO-($ alquilo $C_1-C12)$, $-(CH_2)_{0-4}-CO-$(alquenilo C_2-C_{12}), $-(CH_2)_{0-4}-CO-$(alquinilo C_2-C_{12}), $-(CH_2)_{0-4}-CO-(CH_2)_{0-4}$(cicloalquilo C_3-C_7), $-(CH_2)_{0-4}-R_{110}$, $-(CH_2)_{0-4}-R_{120}$, $-(CH_2)_{0-4}-R_{130}$, $-(CH_2)_{0-4}-CO-R_{110}$, $-(CH_2)_{0-4}-CO-R_{120}$, $-(CH_2)_{0-4}-CO-R_{130}$, $-(CH_2)_{0-4}-CO-R_{140}$, $-(CH_2)_{0-4}-CO-O-R_{150}$, $-(CH_2)_{0-4}-SO_2-NR_{105}R'_{105}$, $-(CH_2)_{0-4}-SO-$(alquilo C_1-C_8), $-(CH_2)_{0-4}-SO_2-($ alquilo C_1-C_{12}), $-(CH_2)_{0-4}-SO_2-(CH_2)_{0-4}-$(cicloalquilo C_3-C_7), $-(CH_2)_{0-4}-N(R_{150})-CO-O-R_{150}$, $-(CH_2)_{0-4}-N(R_{150})-CO-N(R_{150})_2$, $-(CH_2)_{0-4}-N(R_{150})-CS-N(R_{150})_2$, $-(CH_2)_{0-4}-N(R_{150})-CO-R_{105}$, $-(CH_2)_{0-4}-NR_{105}R'_{105}$, $-(CH_2)_{0-4}-R_{140}$, $-(CH_2)_{0-4}-O-CO-$(alquilo C_1-C_6), $-(CH_2)_{0-4}-O-P(O)-(O-R_{110})_2$, $-(CH_2)_{0-4}-O-CO-N(R_{150})_2$, $-(CH_2)_{0-4}-O-CS-N(R_{150})_2$, $-(CH_2)_{0-4}-O-(R_{150})$, $-(CH_2)_{0-4}-O-R_{150}'-COOH$, $-(CH_2)_{0-4}-S-(R_{150})$, $-(CH_2)_{0-4}-N(R_{150})-SO_2-R_{105}$, $-(CH_2)_{0-4}-C_3-C_7$

cicloalquilo, alquenilo (C_2-C_{10}), y alquinilo (C_2-C_{10}), o

R_{100} es alquilo C_1-C_{10} opcionalmente sustituido con 1, 2, o 3 grupos R_{115}, o

R_{100} es -(alquilo C_1-C_6)-O-C_1-C_6 alquil) o -(alquilo C_1-C_6)-S-(alquilo C_1-C_6), cada uno de los cuales es opcionalmente sustituido con 1, 2, o 3 grupos R_{115}, o

R_{100} es cicloalquilo C_3-C_8 opcionalmente sustituido con 1, 2, o 3 grupos R_{115};

W es $-(CH_2)_{0-4}-$, -O-, $-S(O)_{0-2}-$, $-N(R_{135})-$, -CR(CH)- o -C(O)-;

R_{102} y R_{102}' independientemente son hidrógeno, o

alquilo C_1-C_{10} opcionalmente sustituido con 1, 2, o 3 grupos que son independientemente halógeno, arilo o $-R_{110}$;

R_{105} y R'_{105} independientemente representan -H, $-R_{110}$, $-R_{120}$, cicloalquilo C_3-C_7, -(alquilo C_1-C_2)-(cicloalquilo C_3-C_7), -(alquilo C_1-C_6)-O-(alquilo C_1-C_3), alquenilo C_2-C_6, alquinilo C_2-C_6, o cadena alquilo C_1-C_6 con un enlace doble y un enlace triple, o

alquilo C_1-C_6 opcionalmente sustituido con -OH o $-NH_2$; o,

alquilo C_1-C_6 opcionalmente sustituido con 1, 2, o 3 grupos independientemente seleccionados de halógeno, o

R_{105} y R'_{105} junto con el átomo al cual ellos están adheridos forman un anillo carbocíclico de 3 a 7 miembros, donde un miembro es opcionalmente un heterátomo seleccionado de -O-, $-S(O)_{0-2}-$, $-N(R_{135})-$, el anillo es opcionalmente sustituido con 1, 2 o 3 grupos R_{140} seleccionados independientemente;

R_{115} en cada ocurrencia es independientemente halógeno, -OH, $-CO_2R_{102}$, tioalcoxi $-C_1$-C_6, $-CO_2$-fenilo, $-NR_{105}R'_{135}$, $-SO_2$-(alquilo C_1-C_8), $-C(=O)$ R_{180}, R_{180}, $-CONR_{105}R'_{105}$, $-SO_2NR_{105}R'_{105}$, -NH-CO-(alquilo C_1-C_6), -NH-C(=O)-OH, -NH-C(=O)-OR, -NH-C(=O)-O-fenilo, -O-C(=O)-(alquilo C_1-C_6), -O-C(=O)-amino, -O-C(=O)-mono- o dialquilamino, -O-C(=O)-fenilo, -O-(alquilo C_1-C_6)-CO_2H, $-NH-SO_2-$(alquilo C_1-C_6), alcoxi C_1-C_6 o haloalcoxi C_1-C_6;

R_{135} es alquilo C_1-C_6, alquenilo C_2-C_6, alquinilo C_2-C_6, cicloalquilo C_3-C_7, $-(CH_2)_{0-2}-$(aril), $-(CH_2)_{0-2}-$(heteroaril), o $-(CH_2)_{0-2}-$(heterociclil);

R_{140} es heterociclilo opcionalmente sustituido con 1, 2, 3, o 4 grupos independientemente seleccionados de alquilo C_1-C_6, alcoxi C_1-C_6, halógeno, hidroxi, ciano, nitro, amino, mono (C_1-C_6) alquilamino, di(C_1-C_6)alquilamino, alquenilo C_2-C_6, alquinilo C_2-C_6, haloalquilo C_1-C_6, haloalcoxi C_1-C_6,

amino(C_1-C_6)alquilo, mono(C_1-C_6)alquilamino(C_1-C_6)alquilo, di(C_1-C_6)alquilamino(C_1-C_6)alquilo, y =O;

R_{145} es alquilo C_1-C_6 o CF_3;

R_{150} es hidrógeno, cicloalquilo C_3-C_7, -(alquilo C_1-C_2)-(cicloalquilo C_3-C_7), alquenilo C_2-C_6, alquinilo C_2-C_6, alquilo C_1-C_6 con un enlace doble y un enlace triple, -R_{110}, -R_{120}, o

alquilo C_1-C_6 opcionalmente sustituido con 1, 2, 3, o 4 grupos independientemente seleccionados de -OH, -NH_2, alcoxi C_1-C_3, R_{110}, y halógeno;

R_{150}' es cicloalquilo C_3-C_7, -(alquilo C_1-C_3)-(cicloalquilo C_3-C_7), alquenilo C_2-C_6, alquinilo C_2-C_6, alquilo C_1-C_6 con un enlace doble y un enlace triple, -R_{110}, -R_{120}, o

alquilo C_1-C_6 opcionalmente sustituido con 1, 2, 3, o 4 grupos independientemente seleccionados de -OH, -NH_2, alcoxi C_1-C_3, R_{110}, y halógeno;

R_{155} es cicloalquilo C_3-C_7, -(alquilo C_1-C_2)-(cicloalquilo C_3-C_7), alquenilo C_2-C_6, alquinilo C_2-C_6, alquilo C_1-C_6 con un enlace doble y un enlace triple, -R_{110}, -R_{120}, o

alquilo C_1-C_6 opcionalmente sustituido con 1, 2, 3, o 4 grupos independientemente seleccionados de -OH, -NH_2, alcoxi C_1-C_3, y halógeno;

R_{180} se selecciona de morfolinilo, tiomorfolinilo, piperazinilo, piperidinilo, homomorfolinilo, homotiomorfolinilo, homotiomorfolinilo S-óxido, homotiomorfolinil S,S-dióxido, pirrolinilo y pirrolidinilo, cada uno de los cuales es opcionalmente sustituido con 1, 2, 3, o 4 grupos independientemente seleccionados de alquilo C_1-C_6, alcoxi C_1-C_6, halógeno, hidroxi, ciano, nitro, amino, mono(C_1-C_6)alquilamino, di(C_1-C_6)alquilamino, alquenilo C_2-C_6, alquinilo C_2-C_6, haloalquilo C_1-C_6, haloalcoxi C_1-C_6, amino (C_1-C_6)alquilo, mono(C_1-C_6)alquilamino(C_1-C_6)alquilo, di(C_1-C_6)alquilamino(C_1-C_6)alquilo, y =O;

R_{110} es arilo opcionalmente sustituido con 1 o 2 grupos R_{125};

R_{125} en cada ocurrencia es independientemente halógeno, amino, mono- o dialquilamino, -OH, -C≡N, $-SO_2-NH_2$, $-SO_2-NH-C_1-C_6$ alquilo, $-SO_2-N$(alquilo C_1-C_6)$_2$, $-SO_2-$(alquilo C_1-C_4), -CO-NH_2, -CO-NH-C_1-C_6 alquilo, o -CO-N(alquilo C_1-C_6)$_2$, o

alquilo C_1-C_6, alquenilo C_2-C_6 o alquinilo C_2-C_6, cada uno de los cuales es opcionalmente sustituido con 1, 2, o 3 grupos que son independientemente seleccionados de alquilo C_1-C_3, halógeno, -OH, -SH, -C≡N, $-CF_3$, alcoxi C_1-C_3, amino, y mono- y dialquilamino, o

alcoxi C_1-C_6 opcionalmente sustituido con uno, dos o tres de halógeno;

R_{120} es heteroarilo, el cual es opcionalmente sustituido con 1 o 2 grupos R_{125}; y

R_{130} es heterociclilo opcionalmente sustituido con 1 o 2 grupos R_{125}.

2. Un compuesto de acuerdo con la reivindicación 1 en donde R_N es hidrógeno.

3. Un compuesto de acuerdo con la reivindicación 1 en donde $R_{N'}$ es hidrógeno.

4. Un compuesto de acuerdo con la reivindicación 1 en donde

R_1 es alquil-arilo -C_1-C_6, alquil-heteroarilo -C_1-C_6, o alquil-heterociclilo -C_1-C_6, donde las porciones de anillo de cada uno están opcionalmente sustituidas con 1, 2, 3, o 4 grupos independientemente seleccionados de halógeno, -OH, -SH, -C≡N, -NO_2, -$NR_{105}R'_{105}$, -CO_2R, -N(R)COR', o -N(R)SO_2R', alquilo -C(=O)-(C_1-C_4), -SO_2-amino, -SO_2-mono o dialquilamino, -C(=O)-amino, -C(=O)-mono o dialquilamino, alquilo -SO_2-(C_1-C_4), o

alcoxi C_1-C_6 opcionalmente sustituido con 1, 2, o 3 grupos los cuales son independientemente seleccionados de halógeno, o

cicloalquilo C_3-C_7 opcionalmente sustituido con 1, 2, o 3 grupos independientemente seleccionados de halógeno, -OH, -SH, -C≡N, $-CF_3$, alcoxi C_1-C_3, amino, alquilo -C_1-C_6 y mono- o dialquilamino, o

alquilo C_1-C_{10} opcionalmente sustituido con 1, 2, o 3 grupos independientemente seleccionados de halógeno, -OH, -SH, -C≡N, $-CF_3$, alcoxi -C_1-C_3, amino, mono- o dialquilamino y alquilo -C_1-C_3, o

alquenilo C_2-C_{10} o alquinilo C_2-C_{10} cada uno de los cuales es opcionalmente sustituido con 1, 2, o 3 grupos independientemente seleccionados de halógeno, -OH, -SH, -C≡N, $-CF_3$, alcoxi C_1-C_3, amino, alquilo C_1-C_6 y mono- o dialquilamino; y el grupo heterociclilo es además opcionalmente sustituido con oxo.

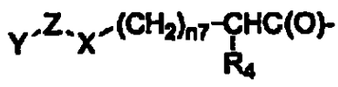
5. Un compuesto de acuerdo con la reivindicación 1 en donde

R_2 y R_3 son independientemente seleccionados de H o alquilo C_1-C_6 opcionalmente sustituido con 1, 2, o 3 sustituyentes seleccionados del grupo que consiste de alquilo C_1-C_3, halógeno, -OH, -SH, -C≡N, $-CF_3$, alcoxi C_1-C_3, y $-NR_{1-a}R_{1-b}$.

6. Un compuesto de acuerdo con la reivindicación 1 en donde

R_c es $-(CR_{245}R_{250})_{0-4}$-arilo, o $-(CR_{245}R_{250})_{0-4}$-heteroarilo, en donde arilo y heteroarilo son opcionalmente sustituidos con 1, 2, o 3 grupos R_{200}.

7. Un compuesto de acuerdo con la reivindicación 2 en donde R_M es:



en donde

R_4 es NH_2; $-NH-(CH_2)_{n6}-R_{4-1}$; $-NHR_8$; $-NR_{50}C(O)R_5$; o $-NR_{50}CO_2R_{51}$;

en donde

n_6 es 0, 1, 2, o 3;

n_7 es 0, 1, 2, o 3;

R_{4-1} se selecciona del grupo que consiste de $-SO_2-$(alquilo C_1-C_8), -SO-(alquilo C_1-C_8), -S-(alquilo C_1-C_8), -S-CO-(alquilo C_1-C_6), $-SO_2-NR_{4-2}R_{4-3}$; alquilo -CO-C_1-C_2; $-CO-NR_{4-3}R_{4-4}$;

R_{4-2} y R_{4-3} son independientemente H, alquilo C_1-C_3, o cicloalquilo C_3-C_6;

R_{4-4} es alquilo, fenilalquilo, alcanoilo C_2-C_4, o fenilalcanoilo;

R_5 es ciclopropilo; ciclobutilo; ciclopentilo; y ciclohexilo; en donde cada grupo cicloalquilo es opcionalmente sustituido con uno o dos grupos que son alquilo C_1-C_6, más preferiblemente alquilo C_1-C_2, alcoxi C_1-C_6, más preferiblemente alcoxi C_1-C_2, CF_3, OH, NH_2, NH(alquilo C_1-C_6), N(alquilo C_1-C_6) (alquilo C_1-C_6), halógeno, CN, o NO_2; o el grupo cicloalquilo es sustituido con 1 o 2 grupos que son independientemente CF_3, Cl, F, metilo, etilo o ciano; alquilo C_1-C_6 opcionalmente sustituido con 1, 2, o 3 grupos que son independientemente halógeno, $-NR_6R_7$, alcoxi C_1-C_4, heterocicloalquilo C_5-C_6, heteroarilo C_5-C_6, fenilo, cicloalquilo C_3-C_7, alquilo -S-C_1-C_4, alquilo $-SO_2$-C_1-C_4, $-CO_2H$, $-CONR_6R_7$, alquilo $-CO_2$-C_1-C_4, o feniloxi; heteroarilo opcionalmente sustituido con 1, 2, o 3 grupos que son independientemente alquilo C_1-C_4, alcoxi C_1-C_4, halógeno, haloalquilo C_1-C_4, o OH; heterocicloalquilo opcionalmente sustituido con 1, 2, o 3 grupos que son independientemente alquilo C_1-C_4, alcoxi C_1-C_4, halógeno, o alcanoilo C_2-C_4; fenilo opcionalmente sustituido con 1, 2, 3, o 4 grupos que son independientemente halógeno, OH, alquilo C_1-C_4, alcoxi C_1-C_4, o haloalquilo C_1-C_4; y $-NR_6R_7$; en donde

R_6 y R_7 son independientemente seleccionados del grupo que consiste de H, alquilo C_1-C_6, alcanoilo C_2-C_6, fenilo, alquilo $-SO_2$-C_1-C_4, y fenil alquilo C_1-C_4;

R_8 se selecciona del grupo que consiste de $-SO_2$-heteroarilo opcionalmente sustituido con 1 o 2 grupos que son

independientemente alquilo C_1-C_4 o halógeno; -SO_2-arilo, -SO_2-heterocicloalquilo, -C(O)NHR_9, heterocicloalquilo, alcanoilo -S-C_2-C_4, en donde

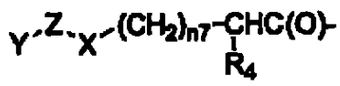
R_9 es fenil alquilo C_1-C_4, alquilo C_1-C_6, o H;

R_{50} es H o alquilo C_1-C_6;

R_{51} se selecciona del grupo que consiste de fenil alquilo C_1-C_4; alquilo C_1-C_6 opcionalmente sustituido con 1, 2, o 3 grupos que son independientemente halógeno, ciano, -NR_6R_7, -C(O)NR_6R_7, alcoxi C_3-C_7 o -C_1-C_4; heterocicloalquilo opcionalmente sustituido con 1 o 2 grupos que son independientemente alquilo C_1-C_4, alcoxi C_1-C_4, halógeno, alcanoilo C_2-C_4, fenil alquilo C_1-C_4, y alquilo -SO_2 C_1-C_4; heterocicloalquilalquilo opcionalmente sustituido con 1 o 2 grupos que son independientemente alquilo C_1-C_4, alcoxi C_1-C_4, halógeno, alcanoilo C_2-C_4, fenil alquilo C_1-C_4, y -SO_2 alquilo C_1-C_4; alquenilo; alquinilo; heteroarilo opcionalmente sustituido con 1, 2, o 3 grupos que son independientemente OH, alquilo C_1-C_4, alcoxi C_1-C_4, halógeno, NH_2, NH(alquilo C_1-C_6) o N(alquilo C_1-C_6) (alquilo C_1-C_6); heteroarilalquilo opcionalmente sustituido con 1, 2, o 3 grupos que son independientemente alquilo C_1-C_4, alcoxi C_1-C_4, halógeno, NH_2, NH(alquilo C_1-C_6) o N(alquilo C_1-C_6) (alquilo C_1-C_6); fenilo; cicloalquilo C_3-C_8, y cicloalquilalquilo, en donde los grupos fenilo; cicloalquilo C_3-C_8, y cicloalquilalquilo

son opcionalmente sustituidos con 1, 2, 3, 4 o 5 grupos que son independientemente halógeno, CN, NO_2, alquilo C_1-C_6, alcoxi C_1-C_6, alcanoilo C_2-C_6, haloalquilo C_1-C_6, haloalcoxi C_1-C_6, hidroxi, hidroxialquilo C_1-C_6, alcoxi C_1-C_6 alquilo C_1-C_6, tioalcoxi C_1-C_6, tioalcoxi C_1-C_6 alquilo C_1-C_6, o alcoxi C_1-C_6 alcoxi C_1-C_6.

8. Un compuesto de acuerdo con la reivindicación 3 en donde R_N es:



en donde

R_4 es NH_2; $-NH-(CH_2)_{n6}-R_{4-1}$; $-NHR_8$; $-NR_{50}C(O)R_5$; o $-NR_{50}CO_2R_{51}$;

en donde

n_6 es 0, 1, 2, o 3;

n_7 es 0, 1, 2, o 3;

R_{4-1} se selecciona del grupo que consiste de $-SO_2-$(alquilo C_1-C_8), $-SO-$(alquilo C_1-C_8), $-S-$(alquilo C_1-C_8), $-S-CO-$(alquilo C_1-C_6), $-SO_2-NR_{4-2}R_{4-3}$; alquilo $-CO-C_1$-C_2; $-CO-NR_{4-3}R_{4-4}$;

R_{4-2} y R_{4-3} son independientemente H, alquilo C_1-C_3, o cicloalquilo C_3-C_6;

R_{4-4} es alquilo, fenilalquilo, alcanoilo C_2-C_4, o fenilalcanoilo;

R_5 es ciclopropilo; ciclobutilo; ciclopentilo; y ciclohexilo; en donde cada grupo cicloalquilo es opcionalmente sustituido con uno o dos grupos que son alquilo C_1-C_6, más preferiblemente alquilo C_1-C_2, alcoxi C_1-C_6, más preferiblemente alcoxi C_1-C_2, CF_3, OH, NH_2, NH(alquilo C_1-C_6), N(alquilo C_1-C_6) (alquilo C_1-C_6), halógeno, CN, o NO_2; o el grupo cicloalquilo es sustituido con 1 o 2 grupos que son independientemente CF_3, Cl, F, metilo, etilo o ciano; alquilo C_1-C_6 opcionalmente sustituido con 1, 2, o 3 grupos que son independientemente halógeno, $-NR_6R_7$, alcoxi C_1-C_4, heterocicloalquilo C_5-C_6, heteroarilo C_5-C_6, fenilo, cicloalquilo C_3-C_7, alquilo -S-C_1-C_4, alquilo $-SO_2$-C_1-C_4, $-CO_2H$, $-CONR_6R_7$, alquilo $-CO_2$-C_1-C_4, o feniloxi; heteroarilo opcionalmente sustituido con 1, 2, o 3 grupos que son independientemente alquilo C_1-C_4, alcoxi C_1-C_4, halógeno, haloalquilo C_1-C_4, o OH; heterocicloalquilo opcionalmente sustituido con 1, 2, o 3 grupos que son independientemente alquilo C_1-C_4, alcoxi C_1-C_4, halógeno, o alcanoilo C_2-C_4; fenilo opcionalmente sustituido con 1, 2, 3, o 4 grupos que son independientemente halógeno, OH, alquilo C_1-C_4, alcoxi C_1-C_4, o haloalquilo C_1-C_4; y $-NR_6R_7$; en donde

R_6 y R_7 son independientemente seleccionados del grupo que consiste de H, alquilo C_1-C_6, alcanoilo C_2-C_6, fenilo, alquilo $-SO_2$-C_1-C_4, y fenil alquilo C_1-C_4;

R_8 se selecciona del grupo que consiste de -SO_2-heteroarilo opcionalmente sustituido con 1 o 2 grupos que son independientemente alquilo C_1-C_4 o halógeno; -SO_2-arilo, -SO_2-heterocicloalquilo, -C(O)NHR_9, heterocicloalquilo, alcanoilo -S-C_2-C_4, en donde

R_9 es fenil alquilo C_1-C_4, alquilo C_1-C_6, o H;

R_{50} es H o alquilo C_1-C_6;

R_{51} se selecciona del grupo que consiste de fenil alquilo C_1-C_4; alquilo C_1-C_6 opcionalmente sustituido con 1, 2, o 3 grupos que son independientemente halógeno, ciano, -NR_6R_7, -C(O)NR_6R_7, alcoxi C_3-C_7 o -C_1-C_4; heterocicloalquilo opcionalmente sustituido con 1 o 2 grupos que son independientemente alquilo C_1-C_4, alcoxi C_1-C_4, halógeno, alcanoilo C_2-C_4, fenil alquilo C_1-C_4, y alquilo -SO_2 C_1-C_4; heterocicloalquilalquilo opcionalmente sustituido con 1 o 2 grupos que son independientemente alquilo C_1-C_4, alcoxi C_1-C_4, halógeno, alcanoilo C_2-C_4, fenil alquilo C_1-C_4, y -SO_2 alquilo C_1-C_4; alquenilo; alquinilo; heteroarilo opcionalmente sustituido con 1, 2, o 3 grupos que son independientemente OH, alquilo C_1-C_4, alcoxi C_1-C_4, halógeno, NH_2, NH(alquilo C_1-C_6) o N(alquilo C_1-C_6) (alquilo C_1-C_6); heteroarilalquilo opcionalmente sustituido con 1, 2, o 3 grupos que son independientemente alquilo C_1-C_4, alcoxi C_1-C_4, halógeno, NH_2, NH(alquilo C_1-

C_6) o N(alquilo C_1-C_6) (alquilo C_1-C_6); fenilo; cicloalquilo C_3-C_8, y cicloalquilalquilo, en donde los grupos fenilo; cicloalquilo C_3-C_8, y cicloalquilalquilo son opcionalmente sustituidos con 1, 2, 3, 4 o 5 grupos que son independientemente halógeno, CN, NO_2, alquilo C_1-C_6, alcoxi C_1-C_6, alcanoilo C_2-C_6, haloalquilo C_1-C_6, haloalcoxi C_1-C_6, hidroxi, hidroxialquilo C_1-C_6, alcoxi C_1-C_6 alquilo C_1-C_6, tioalcoxi C_1-C_6, tioalcoxi C_1-C_6 alquilo C_1-C_6, o alcoxi C_1-C_6 alcoxi C_1-C_6.

9. Un compuesto de acuerdo con la reivindicación 2 en donde

$R_{N'}$ es -C(=O)-fenilo, donde el anillo fenilo está opcionalmente sustituido con 1 o 2 grupos independientemente seleccionados de

alquilo C_1-C_6, halógeno, $-(CH_2)_{0-4}$-CO-$NR_{105}R'_{105}$, $-(CH_2)_{0-4}$-O-CO-$N(R_{150})_2$, $-(CH_2)_{0-4}$-$N(R_{150})$-SO_2-R_{105}, $-(CH_2)_{0-4}$-SO_2-$NR_{105}R'_{105}$, cicloalquilo C_3-C_7, alquenilo (C_2-C_{10}), $-(CH_2)_{0-4}$-R_{110}, $-(CH_2)_{0-4}$-R_{120}, $-(CH_2)_{0-4}$-R_{130}, o alquinilo (C_2-C_{10}).

10. Un compuesto de acuerdo con la reivindicación 3 en donde

R_N es -C(=O)-fenilo, donde el anillo fenilo está opcionalmente sustituido con 1 o 2 grupos independientemente seleccionados de

alquilo C_1-C_6, halógeno, $-(CH_2)_{0-4}$-CO-$NR_{105}R'_{105}$, $-(CH_2)_{0-4}$-O-CO-$N(R_{150})_2$, $-(CH_2)_{0-4}$-$N(R_{150})$-SO_2-R_{105}, $-(CH_2)_{0-4}$-SO_2-$NR_{105}R'_{105}$, cicloalquilo C_3-C_7, alquenilo (C_2-C_{10}), $-(CH_2)_{0-4}$-R_{110}, $-(CH_2)_{0-4}$-R_{120}, $-(CH_2)_{0-4}$-R_{130}, o alquinilo (C_2-C_{10}).

11. Un compuesto de acuerdo con la reivindicación 1 seleccionado a partir del grupo que consiste de:

dihidrocloruro de N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-3-(butilsulfonil)-N^1-(3-etilbenzil)-D-alaninamida

trifluoroacetato de N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hiroxibutil]-N^1-(3-etilbenzil)-N^2(benziloxi)carbonil]-3-[(1-propilbutil)sulfonil]-D-alaninamida

clorhidrato de N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N^1-(3-etilbenzil)-3-[(1-propilbutil)sulfonil]-D-alaninamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-2-[(metilsulfonil)amino]-1,3-tiazol-5-carboxamida

N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N^1-

(3-etilbenzil)-3-[(1-propilbutil)sulfonil]-L-alaninamida bis (trifluoroacetato)

clorhidrato de N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-3-(butilsulfonil)-N-(3-etilbenzil)propanamida

dihidrocloruro de (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-(butilsulfonil)propanoato

dihidrocloruro de (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-[(dipropilamino)carbonil]-5-(1,3-oxazol-2-il)benzoato

dihidrocloruro de (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[1-(3-etilfenil)ciclopropil]amino}metil)propil 3-[(dipropilamino)carbonil]-5-(1,3-oxazol-2-il)benzoato

dihidrocloruro de (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[3-(trifluorometil)benzil]amino}metil)propil 3-[(dipropilamino)carbonil]-5-(1,3-oxazol-2-il)benzoato

clorhidrato de N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N\(u)1\(d)-(3-etilbenzil)-5-(1,3-oxazol-2-il)-N^3,N^3-dipropilisoftalamida

clorhidrato de N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-5-(1,3-oxazol-2-il)-N^3,N^3-dipropil-N^1-[3-(trifluorometil)benzil]isoftalamida

N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-5-(1,3-oxazol-2-il)-N^3,N^3-dipropil-N^1-[3-(trifluorometil)benzil]isoftalamida

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[1-(3-etinilfenil)ciclopropil]amino}metil)propil 3-

[(dipropilamino)carbonil]-5-(1,3-oxazol-2-il)benzoato

dihidrocloruro de N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-3-(butilsulfonil)-N^1-(3-etilbenzil)-N^2[(metoxi)carbonil]-D-alaninamida

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-[(dipropilamino)carbonil]-5-{[(2-hidroxietil)amino]sulfonil}benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[(2-isobutil-1,3-tiazol-5-il)metil]amino}metil)propil 3-[(dipropilamino)carbonil]-5-etinilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-isopropilbenzil)amino]metil}propil 3-[(dipropilamino)carbonil]-5-etinilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-isopropilbenzil)amino]metil}propil 3-[(dipropilamino)carbonil]-5-(1,3-oxazol-2-il)benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-[(dipropilamino)carbonil]-5-{[(2-hidroxi-1,1-dimetiletil)amino]sulfonil}benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-[(dipropilamino)carbonil]-5-(4-metil-1,3-oxazol-2-il)benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[(2-isobutil-1,3-tiazol-5-il)metil]amino}metil)propil 3-[(dipropilamino)carbonil]-5-(1,3-oxazol-2-il)benzoato

clorhidrato de (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-[(dipropilamino)carbonil]-5-

{[(3-hidroxipropil)amino]sulfonil}benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-propilbenzil)amino]metil}propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-{[butil(metil)amino]carbonil}-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etinilbenzil)amino]metil}propil 3-[(dipropilamino)carbonil]-5-etinilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[(3-isobutilisoxazol-5-il)metil]amino}metil)propil 3-[(dipropilamino)carbonil]-5-etinilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-[(dimetilamino)sulfonil]-5-[(dipropilamino)carbonil]benzoato

clorhidrato de (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-[(dipropilamino)carbonil]-5-(1,3-oxazol-2-il)benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[3-(5-formil-2-tienil)benzil]amino}metil)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-yodobenzil)amino]metil}propil 3-bromo-5-[(dipropilamino)carbonil]benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-[(dipropilamino)carbonil]-5-

({[(1R)-2-hidroxi-1-metiletil]amino}sulfonil)benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-isobutilbenzil)amino]metil}propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[3-(trifluorometil)benzil]amino}metil)propil 3-[(dipropilamino)carbonil]-5-etinilbenzoato

clorhidrato de (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-{[(2R)-2-(metoximetil)pirrolidin-1-il]carbonil}-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-[(dipropilamino)carbonil]-5-({[(1-2-hidroxi-1-metiletil]amino}sulfonil)benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-{[butil(propil)amino]carbonil}-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-[(dibutilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[3-(3-hidroxiprop-1-in-1-il)benzil]amino}metil)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-[(dipropilamino)carbonil]-5-{[(2S)-2-(hidroximetil)pirrolidin-1-il]sulfonil}benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-{[butil(etil)amino]carbonil}-

5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etinilbenzil)amino]metil}propil 3-[(dipropilamino)carbonil]-5-(1,3-oxazol-2-il)benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-{[ciclohexil(metil)amino]carbonil}-5-metilbenzoato

(1R,2S)-2-amino-1-({[3-(ciclopropilamino)benzil]amino}metil)-3-(3,5-difluorofenil)propil 3-[(dipropilamino)carbonil]-5-etinilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[3-(3-tienil)benzil]amino}metil)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[3-(trifluorometil)benzil]amino}metil)propil 3-[(dipropilamino)carbonil]-5-(1,3-oxazol-2-il)benzoato

dihidrocloruro de (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-[(dipropilamino)carbonil]-5-(piperazin-1-ilsulfonil)benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[1-(3-yodofenil)ciclopropil]amino}metil)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-1-{[(3-sec-butilbenzil)amino]metil}-3-(3,5-difluorofenil)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

clorhidrato de (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-[(dipropilamino)carbonil]-5-

(3-metilisoxazol-4-il)benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[1-(3-isobutilisoxazol-5-il)ciclopropil]amino}metil)propil 3-[(dipropilamino)carbonil]-5-(1,3-oxazol-2-il)benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[1-(3-etilfenil)ciclopropil]amino}metil)propil 3-[(dipropilamino)carbonil]-5-(1,3-oxazol-2-il)benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 2-[(dipropilamino)carbonil]-6-metilisonicotinato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-{[(ciclopropilmetil)(propil)amino]carbonil}-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-metoxibenzil)amino]metil}propil 3-[(dipropilamino)carbonil]-5-(1,3-oxazol-2-il)benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[1-(3-etinilfenil)ciclopropil]amino}metil)propil 3-[(dipropilamino)carbonil]-5-(1,3-oxazol-2-il)benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-(aminosulfonil)-5-[(dipropilamino)carbonil]benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-[({3-[(1Z)-prop-1-en-1-il]benzil}amino)metil]propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-[(dipropilamino)carbonil]-5-

(1H-pirazol-4-il)benzoato clorhidrato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[1-(3-etilfenil)-1-metiletil]amino}metil)propil 3-[(dipropilamino)carbonil]-5-etinilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-(1[3-(trifluorometil)benzil]amino}metil)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-1-{[(3-alilbenzil)amino]metil}-2-amino-3-(3,5-difluorofenil)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[1-(3-etilfenil)ciclopropil]amino}metil)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[1-(3-etilfenil)-1-metiletil]amino}metil)propil 3-[(dipropilamino)carbonil]-5-(1,3-oxazol-2-il)benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-{[etil(propil)amino]carbonil}-5-metilbenzoato

(1R,2S)-2-amino-1-({[3-(ciclopropilamino)benzil]amino}metil)-3-(3,5-difluorofenil)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[1-(3-etinilfenil)ciclopropil]amino}metil)propil 3-[(dipropilamino)carbonil]-5-etinilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[1-(3-isobutilisoxazol-5-il)ciclopropil]amino}metil)propil 3-

[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[3-(5-formil-4-metil-2-tienil)benzil]amino}metil)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-isopropilbenzil)amino]metil}propil 5-[(dipropilamino)carbonil]nicotinato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-[({3-[(metilsulfonil)amino]benzil}amino)metil]propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-[(butilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[3-(3-metilbutil)benzil]amino}metil)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-1-{[(bifenil-3-ilmetil)amino]metil}-3-(3,5-difluorofenil)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[1-(3-etinilfenil)ciclopropil]amino}metil)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

clorhidrato de (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-[(dipropilamino)carbonil]-5-({[2-(metilamino)etil]amino}sulfonil)benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[1-(3-isobutilisoxazol-5-il)ciclopropil]amino}metil)propil 3-

[(dipropilamino)carbonil]-5-etinilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-{[(dialilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[1-(2-isobutil-1,3-tiazol-5-il)ciclopropil]amino}metil)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[1-(3-etilfenil)-1-metiletil]amino}metil)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-{[(2-hidroxietil)amino]sulfonil}-5-[(propilamino)carbonil]benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-F etilbenzil)amino]metil}propil 3-metil-5-{[metil(propil)amino]carbonil}benzoato

clorhidrato de (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-(fenilsulfonil)-3-[(1-propilbutil)sulfonil]alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-[(dietilamino)carbonil]-5-(1,3-oxazol-2-il)benzoato

trifluoroacetato de (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-[(benzilamino)carbonil]-3-[(1-propilbutil)sulfonil]alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-piridin-3-ilbenzil)amino]metil}propil 3-[(dipropilamino)carbonil]-5-

metilbenzoato

1-óxido de (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 5-[(dipropilamino)carbonil]nicotinato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[3-(3-formil-2-furil)benzil]amino}metil)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-[(dipropilamino)carbonil]-5-(1-metil-1H-imidazol-2-il)benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-[(dietilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[3-(etilsulfinil)benzil]amino}metil)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-{[butil(etil)amino]sulfonil}propanoato

(1R,2S)-2-amino-1-{[(3-cianobenzil)amino]metil}-3-(3,5-difluorofenil)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

clorhidrato de (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-[(1-propilbutil)sulfonil]propanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-

{[isobutil(metil)amino]carbonil}-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-piridin-2-ilbenzil)amino]metil}propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-yodobenzil)amino]metil}propil 2-[(metilsulfonil)amino]-1,3-oxazol-4-carboxilato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-[({3-[metil(metilsulfonil)amino]benzil}amino)metil]propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

trifluoroacetato de (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-(3-fenilpropanoil)-3-[(1-propilbutil)sulfonil]alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[3-(etilsulfonil)benzil]amino}metil)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-[(5-cloro-2-tienil)sulfonil]-3-[(1-propilbutil)sulfonil]alaninato clorhidrato

(1R,2S)-1-({[3-(5-acetil-2-tienil)benzil]amino}metil)-2-amino-3-(3,5-difluorofenil)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-[(sec-butilamino)carbonil]-5-metilbenzoato

clorhidrato de (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-(1,3-oxazol-2-il)benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-metil-5-{[metil(2-feniletil)amino]carbonil}benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[3-(3,5-dimetilisoxazol-4-il)benzil]amino}metil)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-metil-5-{[metil(prop-2-in-1-il)amino]carbonil}benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-{[etil(metil)amino]carbonil}-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-{[(dimetilamino)carbonil]oxi}benzil)amino]metil}propil 3-(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil]propil 3-{[benzil(metil)amino]carbonil}-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-{[sec-butil(propil)amino]carbonil}-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[3-(4-metil-2-tienil)benzil]amino}metil)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-[({3-[(metoxicarbonil) (metil)amino]benzil}amino)metil]propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-1-({[3-(trifluorometil)benzil]amino}metil)-3-(2,3,5-trifluorofenil)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-[(diisobutilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-metil-5-{[metil(2-piridin-2-iletil)amino]carbonil}benzoato

clorhidrato de (1R,2S)-2-amino-3-(3-fluoro-5-hidroxifenil)-1-{[(3-metoxibenzil)amino]metil}propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3-cloro-5-fluorofenil)-1-{[(3-metoxibenzil)amino]metil}propil 3-(aminocarbonil)-5-[(dipropilamino)carbonil]benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-yodobenzil)amino]metil}propil 4-hidroxi-3-(pirrolidin-1-ilcarbonil)benzoato

clorhidrato de (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 5-oxo-D-prolil-3-[(1-propilbutil)sulfonil]alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-piridin-4-ilbenzil)amino]metil}propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-[({3-[(dimetilamino)sulfonil]benzil}amino)metil]propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(6-metoxi-1,2,3,4-tetrahidronaftalen-1-il)amino]metil}propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-(fenilacetil)-3-[(1-propilbutil)sulfonil]alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-(azepan-1-ilcarbonil)-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-[({3-[(metoxicarbonil)amino]benzil}amino)metil]propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

clorhidrato de (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 5-oxo-1-prolil-3-[(1-propilbutil)sulfonil]alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-[(isobutilamino)carbonil]-5-metilbenzoato

trifluoroacetato de ácido 4-[((1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil)oxi]-4-oxo-3-{[(1-propilbutil)sulfonil]metil}butanoico

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-[metil(metilsulfonil)amino]benzoato

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-5-{[(2-hidroxietil)amino]sulfonil}-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-5-etinil-N-[(2-isobutil-1,3-tiazol-5-il)metil]-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-5-etinil-N-(3-isopropilbenzil)-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-isopropilbenzil)-5-(1,3-oxazol-2-il)-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2hidroxibutil]-N-(3-etilbenzil)-5-{[(2-hidroxi-1,1-dimetiletil)amino]sulfonil}-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-5-(4-metil-1,3-oxazol-2-il)-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-[(2-isobutil-1,3-tiazol-5-il)metil]-5-(1,3-oxazol2-il)-N',N'-dipropilisoftalamida

clorhidrato de N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-5-{[(3-hidroxipropil)amino]sulfonil}-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-5-metil-N',N'-dipropil-N-(3-propilbenzil)isoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N'-butil-N-(3-etilbenzil)-N',5-dimetilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-5-etinil-N-(3-etinilbenzil)-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-5-

etinil-N-[(3-isobutilisoxazol-5-il)metil]-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-5-[(dimetilamino)sulfonil]-N-(3-etilbenzil)-N',N'-dipropilisoftalamida

clorhidrato de N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-5-(1,3-oxazol-2-il)-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-[3-(5-formil-2-tienil)benzil]-5-metil-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-5-bromo-N-(3-yodobenzil)-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-5-{[(1R)-2-hidroxi-1-metiletil]amino}sulfonil)-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-isobutilbenzil)-5-metil-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-5-etinil-N',N'-dipropil-N-[3-(trifluorometil)benzil]isoftalamida

clorhidrato de N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-3-{[(2R)-2-(metoximetil)pirrolidin-1-il]carbonil}-5-metilbenzamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-5-({[(1S)-2-hidroxi-1-metiletil]amino}sulfonil)-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-butil-N-(3-etilbenzil)-5-metil-N'-propilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N',N'-dibutil-N-(3-etilbenzil)-5-metilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-[3-(3-hidroxiprop-1-in-1-il)benzil]-5-metil-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-5-{[(2S)-2-(hidroximetil)pirrolidin-1-il]sulfonil}-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N'-butil-N'-etil-N-(3-etilbenzil)-5-metilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etinilbenzil)-5-(1,3-oxazol-2-il)-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-ciclohexil-N-(3-etilbenzil)-N',5-dimetilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-[3-(ciclopropilamino) benzil-5-etinil-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutilj-5-metil-N',N'-dipropil-N-[3-(3-tienil)benzil]isoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-5-(1,3-oxazol-2-il)-N',N'-dipropil-N-[3-(trifluorometil)benzil]isoftalamida

dihidrocloruro de N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-5-(piperazin-1-ilsulfonil)-

N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-[1-(3-yodofenil)ciclopropil]-5-metil-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-sec-butilbenzil)-5-metil-N',N'-dipropilisoftalamida

clorhidrato de N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-5-(3-metilisoxazol-4-il)-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-[1-(3-isobutilisoxazol-5-il)ciclopropil]-5-(1,3-oxazol-2-il)-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-[1-(3-etilfenil)ciclopropil]-5-(1,3-oxazol-2-il)-N',N'-dipropilisoftalamida

N^4-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N^4-(3-etilbenzil)-6-metil-N^3,N^3-dipropilpiridino-2,4-dicarboxamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N'-(ciclopropilmetil)-N-(3-etilbenzil)-5-metil-N'-propilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-metoxibenzil)-5-(1,3-oxazol-2-il)-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-[1-(3-etinilfenil)ciclopropil]-5-(1,3-oxazol-2-il)-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-5-(aminosulfonil)-N-(3-etilbenzil)-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-5-metil-N-{3-[(1Z)-prop-1-en-1-il]benzil}-N',N'-dipropilisoftalamida

dihidrocloruro de N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-N',N'-dipropil-5-(1H-pirazol-4-il)isoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-[1-(3-etilfenil)-1-metiletil]-5-etinil-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluoroenil)-2-hidroxibutil]-5-metil-N',N'-dipropil-N-[3-(trifluorometil)benzil]isoftalamida

N-(3-alilbenzil)-N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-5-metil-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-[1-(3-etilfenil)ciclopropil]-5-metil-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-[1-(3-etilfenil)-1-metiletil]-5-(1,3-oxazol-2-il)-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N'-etil-N-(3-etilbenzil)-5-metil-N'-propilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-[3-(ciclopropilamino)benzil]-5-metil-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-5-

etinil-N-[1-(3-etinilfenil)ciclopropil]-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-[1-(3-isobutilisoxazol-5-il)ciclopropil]-5-metil-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-[3-(5-formil-4-metil-2-tienil)benzil]-5-metil-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-isopropilbenzil)-N',N'-dipropilpiridino-3,5-dicarboxamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-5-metil-N-{3-[(metilsulfonil)amino]benzil}-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N'-butil-N-(3-etilbenzil)-5-metilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-5-metil-N-[3-(3-metilbutil)benzil]-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(bifenil-3-ilmetil)-5-metil-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-[1-(3-etinilfenil)ciclopropil]-5-metil-N',N'-dipropilisoftalamida

clorhidrato de N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-5-({[2-(metilamino)etil]amino}sulfonil)-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-5-etinil-N-[1-(3-isobutilisoxazol-5-il)ciclopropil]-N',N'-

dipropilisoftalamida

N,N-dialil-N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-5-metilisoftalamida

N-[(2R,3R)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-[1-(2-isobutil-1, 3-tiazol-5-il)ciclopropil]-5-metil-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-[1-(3-etilfenil)-1-metiletil]-5-metil-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-5-{[(2-hidroxietil)amino]sulfonil}-N'-propilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-N',5-dimetil-N'-propilisoftalamida

clorhidrato de N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N^1-(3-etilbenzil)-N^2-(fenilsulfonil)-3-[(1-propilbutil)sulfonil]alaninamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N',N'-dietil-N-(3-etilbenzil)-5-(1,3-oxazol-2-il)isoftalamida

(sal) trifluoroacetato de N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N^2-[(benzilamino)carbonil]-N^1-(3-etilbenzil)-3-[(1-propilbutil)sulfonil]alaninamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-5-metil-N',N'-dipropil-N-(3-piridin-3-ilbenzil)isoftalamida

1-óxido de N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-N',N'-dipropilpiridino-3,5-dicarboxamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N'-etil-N-[3-(3-formil-2-furil)benzil]-5-metil-N'-propilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-5-(1-metil-1H-imidazol-2-il)-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N',N'-dietil-N-(3-etilbenzil)-5-metilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-[3-(etilsulfinil)benzil]-5-metil-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-3-{[butil(etil)amino]sulfonil}-N-(3-etilbenzil)propanamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-cianobenzil)-5-metil-N',N'-dipropilisoftalamida

clorhidrato de N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-3-[(1-propilbutil)sulfonil]propanamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-N-isobutil-N',5-dimetilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-5-metil-N',N'-dipropil-N-(3-piridin-2-ilbenzil)isoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-yodobenzil)-2-[(metilsulfonil)amino]-1,3-oxazol-4-carboxamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-N'-hidroxibutil]-5-metil-N-{3-[metil(metilsulfonil)amino]benzil}-N',N'-dipropilisoftalamida

(sal)trifluoroacetato de N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N^1-(3-etilbenzil)-N^2-(3-fenilpropanoil)-3-[(1-propilbutil)sulfonil]alaninamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-[3-(etilsulfonil)benzil]-5-metil-N',N'-dipropilisoftalamida

clorhidrato de N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N^2-[(5-cloro-2-tienil)sulfonil]-N^1-(3-etilbenzil)-3-[(1-propilbutil)sulfonil]alaninamida

N-[3-(5-acetil-2-tienil)benzil]-N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-5-metil-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N'-(sec-butil)-N-(3-etilbenzil)-5-metilisoftalamida

clorhidrato de N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzilj-3-(1,3-oxazol-2-il)benzamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-N',5-dimetil-N-(2-feniletil)isoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-[3-(3,5-dimetilisoxazol-4-il)benzil]-5-metil-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-N',5-dimetil-N-prop-2-in-1-ilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N'-etil-N-(3-etilbenzil)-N',5-dimetilisoftalamida

3-[([(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]{3-[(dipropilamino)carbonil]-5-metilbenzoil}amino)metil]fenil dimetilcarbamato

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N'-benzil-N-(3-etilbenzil)-N',5-dimetilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N'-(sec-butil)-N-(3-etilbenzil)-5-metil-N'-propilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-5-metil-N-[3-(4-metil-2-tienil)benzil]-N',N'-dipropilisoftalamida

metil {3-[([(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]{3-[(dipropilamino)carbonil]-5-metilbenzoil}amino)metil]fenil}metilcarbamato

N-[(2R,3S)-3-amino-2-hidroxi-4-(2,3,5-trifluorofenil)butil]-5-metil-N',N'-dipropil-N-[3-(trifluorometil)benzil]isoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-N',N'-diisobutil-5-metilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-N',5-dimetil-N'-(2-piridin-2-iletil)isoftalamida

clorhidrato de N-[(2R,3S)-3-amino-4-(3-fluoro-5-hidroxifenil)-2-hidroxibutil]-N-(3-metoxibenzil)-5-metil-N',N'-dipropilisoftalamida

N^1-[(2R-3S)-3-amino-4-(3-cloro-5-fluorofenil)-2-hidroxibutil]-N^1-(3-metoxibenzil)-N^3,N^3-dipropilbenceno-1,3,5-tricarboxamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-4-hidroxi-N-(3-yodobenzil)-3-(pirrolidin-1-ilcarbonil)benzamida

clorhidrato de 5-oxo-D-prolil-N^1-[(2R,3S)-3-amino-4-(3,5-

difluorofenil)-2-hidroxibutil]-N^1-(3-etilbenzil)-3-[(1-propilbutil)sulfonil]alaninamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-5-metil-N',N'-dipropil-N-(3-piridin-4-ilbenzil)isoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-{3-[(dimetilamino)sulfonil]benzil}-5-metil-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(6-metoxi-1,2,3,4-tetrahidronaftalen-1-il)-5-metil-N',N'-dipropilisoftalamida

N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N^1-(3-etilbenzil)-N^2-(fenilacetil)-3-[(1-propilbutil)sulfonil]alaninamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-3-(azepan-1-ilcarbonil)-N-(3-etilbenzil)-5-metilbenzamida

metil {3-[([(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]{3-[(dipropilamino)carbonil]-5-metilbenzoil}amino)metil]fenil}carbamato

clorhidrato de 5-oXo-1-prolil-N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N^1-(3-etilbenzil)-3-[(1-propilbutil)sulfonil]alaninamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-N'-isobutil-5-metilisoftalamida

(sal) trifluoroacetato de ácido 4-[[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil] (3-etilbenzil)amino]-4-oxo-3-{[(1-propilbutil)sulfonil]metil}butanoico

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-

(3-etilbenzil)-3-[metil(metilsulfonil)amino]benzamida

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-{[etil(isopropil)amino]carbonil}-5-metilbenzoato

(1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-(2-tienil)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-{[(2-hidroxietil)(propil)amino]sulfonil}propanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-{[isopropil(metil)amino]carbonil}-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 2-[(metilsulfonil)amino]-1,3-tiazol-4-carboxilato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-{[alil(ciclopentil)amino]carbonil}-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-[(3-metilbutil)sulfonil]propanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[3-(5-metil-2-tienil)benzil]amino}metil)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-(3-metoxifenil)propil 3-(aminocarbonil)-5-[(dipropilamino)carbonil]benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(1-metilhexil)amino]metil}propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-1-({[1-(aminocarbonil)ciclohexil]amino}metil)-3-(3,5-difluorofenil)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(2E)-hex-2-en-1-ilamino]metil}propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(4-fluorofenil)-1-{[(3-metoxibenzil)amino]metil}propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-hidroxiisoxazol-5-carboxilato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-[({3-[(1E)-hex-1-en-1-il]benzil}amino)metil]propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-[(isopropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-(2-tienil)propil 3-(aminocarbonil)-5-[(dipropilamino)carbonil]benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-yodobenzil)amino]metil}propil [3-(2-amino-2-oxoetoxi)fenil]acetato

(1R,2S)-2-amino-3-(3-bromofenil)-1-{[(3-metoxibenzil)amino]metil}propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(2-etilhexil)amino]metil}propil 3-(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[3-(6-metoxipiridin-3-il)benzil]amino}metil)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[3-(2,4-dimetoxipirimidin-5-il)benzil]amino}metil)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-(2-etilbutanoil)benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-[(4-hidroxipiperidin-1-il)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3-bromofenil)-1-{[(3-metoxibenzil)amino]metil}propil 3-(aminocarbonil)-5-[(dipropilamino)carbonil]benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-yodobenzil)amino]metil}propil 4-[2'-(aminocarbonil)bifenil-4-il]-4-oxobutanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-[(3-hidroxipiperidin-1-il)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-hidroxi-1-fenilpropil)amino]metil}propil-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-{[[2-(dimetilamino)etil](etil)amino]carbonil}-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 4-metil-4H,6H-pirrolo [1,2-a] [4,1] benzoxazepina-4-carboxilato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil (5-acetil-2-tienil)acetato

(1R,2S)-2-amino-3-(3,5-diclorofenil)-1-{[(3-metoxibenzil)amino]metil}propil 3-(aminocarbonil)-5-[(dipropilamino)carbonil]benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-[(diisopropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-[(metilsulfonil)amino]benzoato

(1R,2S)-2-amino-3-(4-clorofenil)-1-{[(3-metoxibenzil)amino]metil}propil 3-(aminocarbonil)-5-[(dipropilamino)carbonil]benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

yodobenzil)amino]metil}propil [4-(2-oxopirrolidin-1-il)fenil]acetato

(1R,2S)-2-amino-3-(3-cloro-5-fluorofenil)-1-{[(3-metoxibenzil)amino]metil}propil 3-[(dipropilamino)sulfonil]propanoato

(1R,2S)-2-amino-3-(3-cloro-5-fluorofenil)-1-{[(3-metilbutil)amino]metil}propil 3-(aminocarbonil)-5-[(dipropilamino)carbonil]benzoato

triclorhidrato de (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-{[(1-metil-1H-imidazol-4-il)sulfonil]amino}benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-[(pentilamino)metil]propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(4-fluorofenil)-1-{[(3-metoxibenzil)amino]metil}propil 3-(aminocarbonil)-5-[(dipropilamino)carbonil]benzoato

(1R,2S)-2-amino-1-[(benzilamino)metil]-3-(3-cloro-5-fluorofenil)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-{[ciclohexil(etil)amino]carbonil}-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[2-({[(2,4-difluorofenil)amino]carbonil}oxi)etil]amino}metil)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

clorhidrato de (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

etilbenzil)amino]metil}propil3-{[(2-2-(metoximetil)pirrolidin-1-il]carbonil}-5-metilbenzoato

(1R,2S)-2-amino-3-(3-fluoro-4-metilfenil)-1-{[(3-metoxibenzil)amino]metil}propil 3-(aminocarbonil)-5-[(dipropilamino)carbonil]benzoato

(1R,2S)-2-amino-3-(3-bromofenil)-1-{[(3-metilbutil)amino]metil}propil 3-(aminocarbonil)-5-(dipropilamino)carbonil]benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 2,8-dimetilquinolino-3-carboxilato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(6-hidroxihexil)amino]metil}propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[(2R)-2-hidroxipropil]amino}metil)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-fenilpropil 3-[(1-propilbutil)sulfonil]propanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-{[(2-hidroxi-1,1-dimetiletil)amino]sulfonil}benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(4-fenilbutil)amino]metil}propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-yodobenzil)amino]metil}propil 7-(1H-imidazol-1-il)-5,6-

dihidronaftaleno-2-carboxilato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-(acetilamino)-4-metilbenzoato

(1R,2S)-2-amino-1-({[2-(aminosulfonil)etil]amino}metil)-3-(3,5-difluorofenil)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[2-(etiltio)etil]amino}metil)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-ciclohexil-1-{[(3-metoxibenzil)amino]metil}propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-1-{[benzil (cianometil)amino]metil}-3-(3,5-difluorofenil)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(2-hidroxipropil)amino]metil}propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-1-{[(3-butoxipropil)amino]metil}-3-(3,5-difluorofenil)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-{[2-(2-hidroxietil)piperidin-1-il]carbonil}-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-(1-hidroxi-2-propilpentil)benzoato

(1R,2S)-2-amino-1-[(benzilamino)metil]-3-(3-cloro-5-fluorofenil)propil 3-(aminocarbonil)-5-[(dipropilamino)carbonil]benzoato

trifluoroacetato de (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 4-[(metilsulfonil)amino]butanoato

(1R,2S)-2-amino-1-({[3-(1-benzotien-2-il)benzil]amino}metil)-3-(3,5-difluorofenil)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-(benziloxi)isoxazol-5-carboxilato

trifluoroacetato de (1R,2S)-2-amino-1-{[(ciclopropilmetil)amino]metil}-3-(3,5-difluorofenil)propil N-[(benziloxi)carbonil]-3-[(1-propilbutil)sulfonil]alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 5-(1H-pirazol-1-il)pentanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 1-(2-furilmetil)-5-oxopirrolidina-3-carboxilato

clorhidrato de (1R,2S)-2-amino-1-1[(3-metoxibenzil)amino]metil}-3-fenilpropil 2-etilhexanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(5-hidroxipentil)amino]metil}propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-metoxibenzil)amino]metil}propil 3-

[(dipropilamino)carbonil]piperidino-1-carboxilato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-metoxibenzil)amino]metil}propil 3-[(dietilamino)carbonil]piperidino-1-carboxilato

(1R,2S)-2-amino-3-(pentafluorofenil)-1-({[3-(trifluorometil)benzil]amino}metil)propil 3-bromo-5-[(dipropilamino)carbonil]benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 4-[(metilsulfonil)amino]benzoato

(1R,2S)-2-amino-3-(3-bromofenil)-1-{[(3-metoxibenzil)amino]metil}propil 3-[(dipropilamino)sulfonil]propanoato

(1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-(2-tienil)propil 3-[(dipropilamino)sulfonil]propanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etoxipropil)amino]metil}propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-1-[(benzilamino)metil]-3-(2-tienil)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

clorhidrato de (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 2-hidroxi-4-(fenilsulfonil)butanoato

(1R,2S)-2-amino-3-(3,5-diclorofenil)-1-{[(3-metilbutil)amino]metil}propil 3-(aminocarbonil)-5-[(dipropilamino)carbonil]benzoato

(1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-[3-

(trifluorometoxi)fenil]propil 3-(aminocarbonil)-5-[(dipropilamino)carbonil]benzoato

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N'-etil-N'-(3-etilbenzil)-N-isopropil-5-metilisoftalamida

N-[(2R,3S)-3-amino-2-hidroxi-4-(2-tienil)butil]-N-(3-metoxibenzil)-5-metil-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-3-{[(2-hidroxietil)(propil)amino]sulfonil}propanamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-N'-isopropil-N',5-dimetilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-2-[(metilsulfonil)amino]-1,3-tiazol-4-carboxamida

N-alil-N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-ciclopentil-N-(3-etilbenzil)-5-metilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-3-[(3-metilbutil)sulfonil]propanamida

N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-5-metil-N^1-[3-(5-metil-2-tienil)benzil]-N',N'-dipropilisoftalamida

N^1-[(2R,3S)-3-amino-2-hidroxi-4-(3-metoxifenil)butil]-N^1-(3-metoxibenzil)-N^3,N^3-dipropilbenceno-1,3,5-tricarboxamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-5-metil-N-(1-metilhexil)-N',N'-dipropilisoftalamida

N-[1-(aminocarbonil)ciclohexil]-N-[(2R,3S)-3-amino-4-(3,5-

difluorofenil)-2-hidroxibutil]-5-metil-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-[(2E)-hex-2-en-1-il]-5-metil-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(4-fluorofenil)-2-hidroxibutil]-N-(3-metoxibenzil)-5-metil-N-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-3-hidroxiisoxazol-5-carboxamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-{3-[(1E)-hex-1-en-1-il]benzil}-5-metil-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-N'-isopropil-5-metilisoftalamida

N^1-[(2R,3S)-3-amino-2-hidroxi-4-(2-tienil)butil]-N^1-(3-metoxibenzil)-N^3,N^3-dipropilbenceno-1,3,5-tricarboxamida

2-(3-{2-[[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil](3-yodobenzil)amino]-2-oxoetil}fenoxi)acetamida

N-[(2R,3S)-3-amino-4-(3-bromofenil)-2-hidroxibutil]-N-(3-metoxibenzil)-5-metil-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(2-etilhexil)-5-metil-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-[3-(6-metoxipiridin-3-il)benzil]-5-metil-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-[3-(2,4-dimetoxipirimidin-5-il)benzil]-5-metil-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-3-(2-etilbutanoil)benzamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-3-[(4-hidroxipiperidin-1-il)carbonil]-5-metilbenzamida

N^1-[(2R,3S)-3-amino-4-(3-bromofenil)-2-hidroxibutil]-N^1-(3-metoxibenzil)-N^3,N^3-dipropilbenceno-1,3,5-tricarboxamida

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-yodobenzil)amino]metil}propil 4-[2'-(aminocarbonil)bifenil-4-il]-4-oxobutanoato

1-(3-{[[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil](3-etilbenzil)amino]carbonil}-5-metilbenzoil)-1-prolinamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-3-[(3-hidroxipiperidin-1-il)carbonil]-5-metilbenzamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-hidroxi-1-fenilpropil)-5-metil-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N'-[2-(dimetilamino)etil]-N'-etil-N-(3-etilbenzil)-5-metilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-4-metil-4H,6H-pirrolo [1,2-a] [4,1] benzoxazepina-4-carboxamida

2-(5-acetil-2-tienil)-N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)acetamida

N^1-[(2R,3S)-3-amino-4-(3,5-diclorofenil)-2-hidroxibutil]-N^1-(3-metoxibenzil)-N^3,N^3-dipropilbenceno-1,3,5-tricarboxamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-N',N'-diisopropil-5-metilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-3-[(metilsulfonil)amino]benzamida

N^1-[(2R,3S)-3-amino-4-(4-clorofenil)-2-hidroxibutil]-N^1-(3-metoxibenzil)-N^3,N^3-dipropilbenceno-1,3,5-tricarboxamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-yodobenzil)-2-[4-(2-oxopirrolidin-1-il)fenil]acetamida

N-[(2R,3S)-3-amino-4-(3-cloro-5-fluorofenil)-2-hidroxibutil]-3-[(dipropilamino)sulfonil]-N-(3-metoxibenzil)propanamida

N^1-[(2R,3S)-3-amino-4-(3-cloro-5-fluorofenil)-2-hidroxibutil]-N^1-(3-metilbutil)-N^3,N^3-dipropilbenceno-1,3,5-tricarboxamida

triclorhidrato de N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-3-{[(1-metil-1H-imidazol-4-il)sulfonil]amino}benzamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-N-hidroxibutil]-5-metil-N-pentil-N',N'-dipropilisoftalamida

N^1-[(2R,3S)-3-amino-4-(4-fluorofenil)-2-hidroxibutil]-N^1-(3-metoxibenzil)-N^3,N^3-dipropilbenceno-1,3,5-tricarboxamida

N-[(2R,3S)-3-amino-4-(3-cloro-5-fluorofenil)-2-hidroxibutil]-N-benzil-5-metil-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N'-ciclohexil-N'-etil-N-(3-etilbenzil)-5-metilisoftalamida

2-([(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]{3-[(dipropilamino)carbonil]-5-metilbenzoil}amino)etil (2,4-difluorofenil)carbamato

clorhidrato de N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-3-{[(2S)-2-(metoximetil)pirrolidin-1-il]carbonil}-5-metilbenzamida

N^1-[(2R,3S)-3-amino-4-(3-fluoro-4-metilfenil)-2-hidroxibutil]-N^1-(3-metoxibenzil)-N^3,N^3-dipropilbenceno-1,3,5-tricarboxamida

N^1-[(2R,3S)-3-amino-4-(3-bromofenil)-2-hidroxibutil]-N^1-(3-metilbutil)-N^3,N^3-dipropilbenceno-1,3,5-tricarboxamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-2,8-dimetilquinolino-3-carboxamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(6-hidroxihexil)-5-metil-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenilj-2-hidroxibutil]-N-[(2R)-2-hidroxipropil]-5-metil-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-2-hidroxi-4-fenilbutil]-N-(3-metoxibenzil)-3-[(1-propilbutil)sulfonil]propanamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-3-{[(2-hidroxi-1,1-dimetiletil)amino]sulfonil}benzamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-5-metil-N-(4-fenilbutil)-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-7-(1H-imidazol-1-il)-N-(3-yodobenzil)-5,6-dihidronaftaleno-2-carboxamida

3-(acetilamino)-N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-4-metilbenzamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-

[2-(aminosulfonil)etil]-5-metil-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-[2-(etiltio)etil]-5-metil-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-ciclohexil-2-hidroxibutil]-N-(3-metoxibenzil)-5-metil-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(2-hidroxipropil)-5-metil-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-butoxipropil)-5-metil-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-3-{[2-(2-hidroxietil)piperidin-1-il]carbonil}-5-metilbenzamida

metil N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-{3-[(dipropilamino)carbonil]-5-metilbenzoil}-ß-alaninato

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-3-(1-hidroxi-2-propilpentil)benzamida

N^1-[(2R,3S)-3-amino-4-(3-cloro-5-fluorofenil)-2-hidroxibutil]-N^1-benzil-N^3,N^3-dipropilbenceno-1,3,5-tricarboxamida

(sal) trifluoroacetato de N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-4-[(metilsulfonil)amino]butanamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-[3-(1-benzotien-2-il)benzil]-5-metil-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-3-

(benziloxi)-N-(3-etilbenzil)isoxazol-5-carboxamida

1-(3-{[[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil](3-etilbenzil)amino]carbonil}-5-metilbenzoil)-D-prolinamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-5-(1H pirazol-1-il) pentanamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-1-(2-furilmetil)-5-oxopirrolidina-3-carboxamida

Clorhidrato de N-[(2R,3S)-3-amino-2-hidroxi-4-fenilbutil]-2-etil-N-(3-metoxibenzil)hexanamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(5-hidroxipentil)-5-metil-N',N'-dipropilisoftalamida

N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N^1-(3-metoxibenzil)-N^3,N^3-dipropilpiperidino-1,3-dicarboxamida

N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N^3,N^3-dietil-N^1-(3-metoxibenzil)piperidino-1,3-dicarboxamida

N-[(2R,3S)-3-amino-2-hidroxi-4-(pentafluorofenil)butil]-5-bromo-N',N'-dipropil-N-[3-(trifluorometil)benzil]isoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-4-[(metilsulfonil)amino]benzamida

N-[(2R,3S)-3-amino-4-(3-bromofenil)-2-hidroxibutil]-3-[(dipropilamino)sulfonil]-N-(3-metoxibenzil)propanamida

N-[(2R,3S)-3-amino-2-hidroxi-4-(2-tienil)butil]-3-[(dipropilamino)sulfonil]-N-(3-metoxibenzil)propanamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etoxipropil)-5-metil-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-2-hidroxi-4-(2-tienil)butil]-N-benzil-5-

metil-N',N'-dipropilisoftalamida

clorhidrato de N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-2-hidroxi-4-(fenilsulfonil)butanamida

N^1-[(2R,3S)-3-amino-4-(3,5-diclorofenil)-2-hidroxibutil]-N^1-(3-metilbutil)-N^3,N^3-dipropilbenceno-1,3,5-tricarboxamida

N^1-(2R,3S)-3-amino-2-hidroxi-4-[3-(trifluorometoxi)fenil]butil}-N^1-(3-metoxibenzil)-N^3,N^3-dipropilbenceno-1,3,5-tricarboxamida

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3,3-dimetilbutil)amino]metil}propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-1-[(benzilamino)metil]-3-(3-bromofenil)propil 3-(aminocarbonil)-5-[(dipropilamino)carbonil]benzoato

(1R,2S)-2-amino-3-(3-cloro-5-fluorofenil)-1-{[(3-metilbutil)amino]metil}propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(1,3-difenilpropil)amino]metil}propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[(1@-1-(hidroximetil)propil]amino}metil)propil 3-[(dipropilamino)carbonil]benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[(3S)-2-oxoazepan-3-il]amino}metil)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

etilbenzil)amino]metil}propil 5-(ciclohexilamino)-5-oxopentanoato

(1R,2S)-2-amino-1-[(3-metoxibenzil)amino]metil}-3-(3-metilfenil)propil 3-(aminocarbonil)-5-[(dipropilamino)carbonil]benzoato

Trifluoroacetato de (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-[(2-propilpentilsulfonil]-ß-alaninato

dihidrocloruro de (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-(1,3-tiazol-2-il)benzoato

(1R,2S)-2-amino-3-(2-furil)-1-{[(3-metoxibenzil)amino]metil}propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-[({3-[metil(fenil)amino]propil}amino)metil]propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-(4-metilfenil)propil 3-(aminocarbonil)-5-[(dipropilamino)carbonil]benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 5-oxo-1-(2-tienilmetil)pirrolidina-3-carboxilato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 4-[(butiltio)metil]-5-metil-2-furoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

etilbenzil)amino]metil}propil 3-{[(2-
hidroxietil)amino]sulfonil}benzoato
(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-
etilbenzil)amino]metil}propil N-[3-
(trifluorometil)benzoil]glicinato
(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-
metilciclohexil)amino]metil}propil 3-
[(dipropilamino)carbonil]-5-metilbenzoato
(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-
etilbenzil)amino]metil}propil 4-(2-oxo-1,3-oxazolidin-3-
il)benzoato
(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-
etilbenzil)amino]metil}propil 4-(1H-pirrol-1-il)benzoato
(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(6-metoxi-1,2,3,4-
tetrahidronaftalen-1-il)amino]metil}propil 3-
[(dipropilamino)carbonil]-5-metilbenzoato
(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-
etilbenzil)amino]metil}propil 1,3,4,5-tetrahidrotiopirano
[4,3-b] indol-8-carboxilato
(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-
etilbenzil)amino]metil}propil 4-oxo-4-{[2-
(trifluorometil)fenil]amino}butanoato
(1R,2S)-2-amino-3-(3-bromofenil)-1-{[(3-
metilbutil)amino]metil}propil 3-[(dipropilamino)carbonil]-5-
metilbenzoato
(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-
etilbenzil)amino]metil}propil 4,5-dimetil-2-(1H-pirrol-1-

il)tiofeno-3-carboxilato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(2,3-dihidroxipropil)amino]metil}propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[(2S)-2-hidroxipropil]amino}metil)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[(1R)-1-metilpropil]amino}metil)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 2-cloro-4-(metilsulfonil)benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(2-hidroxietil)amino]metil}propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-(3-metoxifenil)propil 3-[(dipropilamino)sulfonil]propanoato clorhidrato de (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-{metil[(trifluorometil)sulfonil]amino}benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-hidroxi-6-(1-hidroxi-2,2-dimetilpropil)piridino-2-carboxilato

(1R,2S)-2-amino-1-{[(1,3-diciclohexilpropil)amino]metil}-3-(3,5-difluorofenil)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 2,2'-bitiofeno-5-carboxilato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 4-(1H-imidazol-1-il)butanoato

(1R,2S)-2-amino-3-(3,5-difluorophonil)-I-I[(3-etilbenzil)amino]metil}propil 2,3-dihidroxi-4-[(4-metoxifenil)amino]-4-oxobutanoato

(1R,2S)-2-amino-1-[(benzilamino)metil]-3-(4-hidroxifenil)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-[3-(trifluorometil)fenil]propil 3-(aminocarbonil)-5-[(dipropilamino)carbonil]benzoato

(1R,2S)-2-amino-1-[(benzilamino)metil]-3-(2-tienil)propil 3-(aminocarbonil)-5-[(dipropilamino)carbonil]benzoato

(1R,2S)-2-amino-1-({[2-(aminocarbonil)-1H-indol-6-il]amino}metil)-3-(3,5-difluorofenil)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-1-[(benzilamino)metil]-3-(3-bromofenil)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-[4-(trifluorometil)benzoil]glicinato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 2-(1-oxo-1,3-dihidro-2H-isoindol-2-il)butanoato

(1R,2S)-2-amino-3-(3,5-fluorofenil)-1-{[(3-

etilbenzil)amino]metil}propil N-(3,4-diclorobenzoil)glicinato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

etilbenzil)amino]metil}propil 3-cloro-4-

(metilsulfonil)tiofeno-2-carboxilato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(1-

etilpropil)amino]metil}propil 3-[(dipropilamino)carbonil]-5-

metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-[({[(5R)-3-etil-2-

oxo-1,3-oxazolidin-5-il]metil}amino)metil]propil 3-

[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

etilbenzil)amino]metil}propil 5-metil-7-

(trifluorometil)pirazolo [1,5-a] pirimidino-2-carboxilato

clorhidrato de (1R,2S)-2-amino-1-{[(3-

metoxibenzil)amino]metil}-3-fenilpropil N-[(metiltio)acetil]-

3-[(1-propilbutil)sulfonil]alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-[(2,3-

dimetilciclohexil)amino]metil}propil 3-

[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

etilbenzil)amino]metil}propil 4,5-dimetoxi-1-benzotiofeno-2-

carboxilato

(1R,2S)-2-amino-3-[3-fluoro-5-(trifluorometil)fenil]-1-{[(3-

metilbutil)amino]metil}propil 3-(aminocarbonil)-5-

[(dipropilamino)carbonil]benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-[({[(5S)-3-etil-2-

oxo-1,3-oxazolidin-5-il]metil}amino)metil]propil 3-

[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(1,3-benzodioxol-5-il)-1-{[(3-metoxibenzil)amino]metil}propil 3-(aminocarbonil)-5-[(dipropilamino)carbonil]benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 4-(3,5-dioxo-1,2,4-triazolidin-4-il)benzoato

clorhidrato de (1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-fenilpropil 2-hidroxi-3-[(3-metoxifenil)sulfonil]propanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-metilciclohexil)amino]metil}propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-1-{[(2-{4-[(3-clorobenzil)oxi]fenil}etil)amino]metil}-3-(3,5-difluorofenil)propil [(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 2-hidroxi-4-oxo-4-(3-tienil)butanoato

(1R,2S)-2-amino-3-[3-(benziloxi)-5-fluorofenil]-1-{[(3-metoxibenzil)amino]metil}propil 3-(aminocarbonil)-5-[(dipropilamino)carbonil]benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 2-hidroxi-4-oxo-4-[3-(trifluorometil)fenil]butanoato

(1R,2S)-2-amino-1-{[(3-metilbutil)amino]metil}-3-[3-(trifluorometoxi)fenil]propil 3-(aminocarbonil)-5-

[(dipropilamino)carbonil]benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[1-(hidroximetil)-3-(metiltio)propil]amino}metil)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 2-(1H-1,2,3-benzotriazol-1-il)hexanoato

(1R,2S)-2-amino-3-(3-fluoro-4-metilfenil)-1-{[(3-metilbutil)amino]metil}propil 3-(aminocarbonil)-5-[(dipropilamino)carbonil]benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-(4,4-dimetil-2,5-dioxoimidazolidin-1-il)-2-{[(1-propilbutil)sulfonil]metil}propanoato

trifluoroacetato de (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 4-{[(trifluorometil)sulfonil]amino}butanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil (5-metil-1,3-dioxo-1,3-dihidro-2H-isoindol-2-il)acetato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-hidroxipropil)amino]metil}propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[1-(hidroximetil)propil]amino}metil)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-1-[(benzilamino)metil]-3-(3,5-

diclorofenil)propil 3-(aminocarbonil)-5-
[(dipropilamino)carbonil]benzoato
clorhidrato de (1R,2S)-2-amino-1-{[(3-
metoxibenzil)amino]metil}-3-fenilpropil 3-{[(2-
hidroxietil)(propil)amino]sulfonil}propanoato
(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-
etilbenzil)amino]metil}propil 5-(benziltio)nicotinato
(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-
etilbenzil)amino]metil}propil 1H-pirazol-5-carboxilato
(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-
etilbenzil)amino]metil}propil 6-cloro-3-metil-2-oxo-2,3-
dihidro-1,3-benzoxazol-5-carboxilato
(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-
etilbenzil)amino]metil}propil 1H-benzimidazol-2-carboxilato
(1R,2S)-2-amino-3-ciclohexil-1-{[(3-
metoxibenzil)amino]metil}propil 3-(aminocarbonil)-5-
(dipropilamino)carbonil]benzoato
(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-
etilbenzil)amino]metil}propil 6-hidroxi-4,7-dimetoxi-1-
benzofuran-5-carboxilato
(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(4-
metilciclohexil)amino]metil}propil 3-
[(dipropilamino)carbonil]-5-metilbenzoato
(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-
etilbenzil)amino]metil}propil [1,2,4] triazolo [4,3-a]
piridino-6-carboxilato
(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

etilbenzil)amino]metil}propil 2-hidroxi-4-oxo-4-(2-tienil)butanoato

(1R,2S)-2-amino-1-[(benzilamino)metil]-3-(3,5-diclorofenil)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 4-(2-hidroxi-5-metilfenil)-4-oxobutanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-fenoxibenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 4-[(aminocarbonil)amino]benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[(1S)-1-(hidroximetil)-3-(metiltio)propil]amino}metil)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 7-hidroxi-4-oxocromano-2-carboxilato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[(1S)-1-(hidroximetil)-3-metilbutil]amino}metil)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[(1R)-1-(hidroximetil)propil]amino}metil)propil 3-[(dipropilamino)carbonil]benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(1-metil-3-fenilpropil)amino]metil}propil 3-[(dipropilamino)carbonil]-5-

metilbenzoato

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3,3-dimetilbutil)-5-metil-N-dipropilisoftalamida

N^1-[(2R,3S)-3-amino-4-(3-bromofenil)-2-hidroxibutil]-N^1-benzil-N^3,N^3-dipropilbenceno-1,3,5-tricarboxamida

N-[(2R,3S)-3-amino-4-(3-cloro-5-fluorofenil)-2-hidroxibutil]-5-metil-N-(3-metilbutil)-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(1,3-difenilpropil)-5-metil-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-[(1S)-1-(hidroximetil)propil]-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-5-metil-N-[(3s)-2-oxoazepan-3-il] -N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-ciclohexil-N-(3-etilbenzil)pentanodiamida

N'-[(2R,3S)-3-amino-2-hidroxi-4-(3-metilfenil)butil]-N^1-(3-, metoxibenzil)-N^3,N^3-dipropilbenceno-1,3,5-tricarboxamida

(sal) trifluoroacetato N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N^1-(3-etilbenzil)-N^3,N^3-[(2-propilpentil)sulfonil]-β-alaninamida

Dihidrocloruro de N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-3-(1,3-tiazol-2-il)benzamida

N-[(2R,3S)-3-amino-4-(2-furil)-2-hidroxibutil]-N-(3-metoxibenzil)-5-metil-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-5-metil-N-{3-[metil(fenil)amino]propil}-N',N'-dipropilisoftalamida

N^1-[(2R,3S)-3-amino-2-hidroxi-4-(4-metilfenil)butil]-N^1-(3-metoxibenzil)-N^3,N^3-dipropilbenceno-1,3,5-tricarboxamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-5-oxo-1-(2-tienilmetil)pirrolidina-3-carboxamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-4-[(butiltio)metil]-N-(3-etilbenzil)-5-metil-2-furamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-3-{[(2-hidroxietil)amino]sulfonil}benzamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-5-metil-N-(3-metilciclohexil)-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-4-(2-oxo-1,3-oxazolidin-3-il)benzamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-4-(1H-pirrol-1-il)benzamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(6-metoxi-1,2,3,4-tetrahidronaftalen-1-il)-5-metil-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-1,3,4,5-tetrahidrotiopirano [4,3-b] indol-8-carboxamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-N-[2-(trifluorometil)fenil]succinamida

N-[(2R,3S)-3-amino-4-(3-bromofenil)-2-hidroxibutil]-5-metil-N-(3-metilbutil)-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-4,5-dimetil-2-(1H-pirrol-1-il)tiofeno-3-

carboxamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(2,3-dihidroxipropil)-5-metil-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-[(2S)-2-hidroxipropil]-5-metil-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-5-metil-N-[(1R)-1-metilpropil]-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-2-cloro-N-(3-etilbenzil)-4-(metilsulfonil)benzamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(2-hidroxietil)-5-metil-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-2-hidroxi-4-(3-metoxifenil)butil]-3-[(dipropilamino)sulfonil]-N-(3-metoxibenzil)propanamida

clorhidrato de N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-3-{metil[(trifluorometil)sulfonil]amino}benzamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-3-hidroxi-6-(1-hidroxi-2,2-dimetilpropil)piridino-2-carboxamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(1,3-diciclohexilpropil)-5-metil-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-2,2'-bitiofeno-5-carboxamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-4-(1H-imidazol-1-il)butanamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-2,3-dihidroxi-N-(4-metoxifenil)succinamida

N-[(2R,3S)-3-amino-2-hidroxi-4-(4-hidroxifenil)butil]-N-benzil-5-metil-N',N'-dipropilisoftalamida

N^1-(2R,3S)-3-amino-2-hidroxi-4-[3-(trifluorometil)fenil]butil)-N^1-(3-metoxibenzil)-N^3,N^3-dipropilbenceno-1,3,5-tricarboxamida

N^1-[(2R,3S)-3-amino-2-hidroxi-4-(2-tienil)butil]-N^1-benzil-N^3,N^3-dipropilbenceno-1,3,5-tricarboxamida

N-[2-(aminocarbonil)-1H-indol-6-il]-N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-5-metil-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3-bromofenil)-2-hidroxibutil]-N-benzil-5-metil-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-N etilbenzil)-2-(1-oxo-1,3-dihidro-2H-isoindol-2-il)butanamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-3-cloro-N-(3-etilbenzil)-4-(metilsulfonil)tiofeno-2-carboxamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(1-etilpropil)-5-metil-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-{[(5R)-3-etil-2-oxo-1,3-oxazolidin-5-il]metil}-5-metil-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-5-metil-7-(trifluorometil)pirazolo [1,5-a] pirimidino-2-carboxamida

clorhidrato de N^1-[(2R,3S)-3-amino-2-hidroxi-4-fenilbutil]-N^1-(3-metoxibenzil)-N^2-[(metiltio)acetil]-3-[(1-

propilbutil)sulfonil]alaninamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(2,3-dimetilciclohexil)-5-metil-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-4,5-dimetoxi-1-benzotiofeno-2-carboxamida

N'-(2R,3S)-3-amino-4-[3-fluoro-5-(trifluorometil)fenil]-2-hidroxibutil}-N^1-(3-metilbutil)-N^3,N^3-dipropilbenceno-1,3,5-tricarboxamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-[(5)-3-etil-2-oxo-1,3-oxazolidin-5-il]metil}-5-metil-N',N'-dipropilisoftalamida

N^1-[(2R,3S)-3-amino-4-(1,3-benzodioxol-5-il)-2-hidroxibutil]-N^1-(3-metoxibenzil)-N^3,N^3-dipropilbenceno-1,3,5-tricarboxamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-4-(3,5-dioxo-1,2,4-triazolidin-4-il)-N-(3-etilbenzil)benzamida

clorhidrato de N-[(2R,3S)-3-amino-2-hidroxi-4-fenilbutil]-2-hidroxi-N-(3-metoxibenzil)-3-[(3-metoxifenil)sulfonil]propanamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-5-metil-N-(2-metilciclohexil)-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(2-{4-[(3-clorobenzil)oxi]fenil}etil)-5-metil-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-2-hidroxi-4-oxo-4-(3-tienil)butanamida

N^1-{(2R,3S)-3-amino-4-[3-(benziloxi)-5-fluorofenil]-2-hidroxibutil}-N^1-(3-metoxibenzil)-N^3,N^3-dipropilbenceno-1,3,5-

tricarboxamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-2-hidroxi-4-oxo-4-[3-(trifluorometil)fenil]butanamida

N-{(2R,3S)-3-amino-2-hidroxi-4-[3-(trifluorometoxi)fenil]butil}-N'-(3-metilbutil)-N^3,N^3-dipropilbenceno-1,3,5-tricarboxamida

N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N^1-[1-(hidroximetil)-3-(metiltio)propil]-5-metil-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-2-(1H-1,2,3-benzotriazol-1-il)-N-(3-etilbenzil)hexanamida

N^1-[(2R,3S)-3-amino-4-(3-fluoro-4-metilfenil)-2-hidroxibutil]-N^1-(3-metilbutil)-N^3,N^3-dipropilbenceno-1,3,5-tricarboxamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-3-(4,4-dimetil-2,5-dioxoimidazolidin-1-il)-N-(3-etilbenzil)-2-{[(1-propilbutil)sulfonil]metil}propanamida

(sal) trifluoroacetato de N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-4-{[(trifluorometil)sulfonillamino}butanamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-2-(5-metil-1,3-dioxo-1,3-dihidro-2H-isoindol-2-il)acetamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-hidroxipropil)-5-metil-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-

[1-(hidroximetil)propil]-5-metil-N',N'-dipropilisoftalamida

N^1-[(2R,3S)-3-amino-4-(3,5-diclorofenil)-2-hidroxibutil]-N^1-benzil-N^3,N^3-dipropilbenceno-1,3,5-tricarboxamida

Clorhidrato de N-[(2R,3S)-3-amino-2-hidroxi-4-fenilbutil]-3-{[(2-hidroxietil)(propil)amino]sulfonil}-N-(3-metoxibenzil)propanamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-5-(benziltio)-N-(3-etilbenzil)nicotinamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-1H-pirazol-5-carboxamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-6-cloro-N-(3-etilbenzil)-3-metil-2-oxo-2,3-dihidro-1,3-benzoxazol-5-carboxamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-1H-benzimidazol-2-carboxamida

N^1-[(2R,3S)-3-amino-4-ciclohexil-2-hidroxibutil]-N^1-(3-metoxibenzil)-N^3,N^3-dipropilbenceno-1,3,5-tricarboxamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-6-hidroxi-4,7-dimetoxi-1-benzofuran-5-carboxamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-5-metil-N-(4-metilciclohexil)-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil) [1,2,4] triazolo [4,3-a] piridino-6-carboxamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-2-hidroxi-4-oxo-4-(2-tienil)butanamida

N-[(2R,3S)-3-amino-4-(3,5-diclorofenil)-2-hidroxibutil]-N-benzil-5-metil-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-4-(2-hidroxi-5-metilfenil)-4-oxobutanamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-3-fenoxibenzamida

4-[(aminocarbonil)amino]-N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)benzamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-[(1S)-1-(hidroximetil)-3-(metilthi)propil]-5-metil-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-7-hidroxi-4-oxocromano-2-carboxamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-[(1S)-1-(hidroximetil)-3-metilbutil]-5-metil-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-[(1R)-1-(hidroximetil)propil]-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-5-metil-N-(1-metil-3-fenilpropil)-N',N'-dipropilisoftalamida

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 2-(2,3-dihidro-1-benzofuran-5-il)-1,3-tiazol-4-carboxilato

(1R,2S)-2-amino-3-[3-(benziloxi)fenil]-1-{[(3-metoxibenzil)amino]metilpropil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(4-clorofenil)-1-{[(3-

metoxibenzil)amino]metil}il 3-
[(dipropilamino)sulfonil]propanoato
(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-
etilbenzil)amino]metil]propil 3-oxo-3-(pentilamino)propanoato
(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-
etilbenzil)amino]metil}propil 3-(trifluorometoxi)benzoato
(1R,2S)-2-amino-3-(3-fluoro-4-metilfenil)-1-{[(3-
metoxibenzil)amino]metil}propil 3-
[(dipropilamino)sulfonil]propanoato
(1R,2S)-2-amino-3-(3-cloro-5-fluorofenil)-1-{[(3-
metilbutil)amino]metil}propil 3-
[(dipropilamino)sulfonil]propanoato
(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-
etilbenzil)amino]metil}propil3-(4,4-dimetil-2,5-
dioxoimidazolidin-1-il)-2-{[(1-
propilbutil)sulfonil]metil}propanoato
(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-
etilbenzil)amino]metil}propil 4-{[4-
(acetilamino)fenil]amino}-4-oxobutanoato
(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-
etilbenzil)amino]metil}propil 3-(1-cianoetil)benzoato
(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-
etilbenzil)amino]metil}propil 4-oxo-4-[(5-fenil-1,3,4-
tiadiazol-2-il)amino]butanoato
(1R,2S)-2-amino-1-[(benzilamino)metil]-3-[3-
(trifluorometoxi)fenil]propil 3-(aminocarbonil)-5-
[(dipropilamino)carbonil]benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[2-(2-oxo-2-pirrolidin-1-iletoxi)fenil]amino}metil)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(4-clorofenil)-1-{[(3-metilbutil)amino]metil}propil 3-(aminocarbonil)-5-[(dipropilamino)carbonil]benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil (1,1-dioxidotetrahidro-2-tienil)acetato

(1R,2S)-2-amino-1-[(benzilamino)metil]-3-(4-clorofenil)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 5-hex-1-in-1-ilnicotinato

(1R,2S)-2-amino-3-(3-bromofenil)-1-{[(3-metilbutil)amino]metil}propil 3-[(dipropilamino)sulfonil]propanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-metoxiisoxazol-5-carboxilato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 2,3-dimetil-1H-indol-7-carboxilato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 4-(3-clorofenil)-2-hidroxi-4-oxobutanoato

(1R,2S)-2-amino-3-(3-fluoro-4-metoxifenil)-1-{[(3-metoxibenzil)amino]metil}propil 3-(aminocarbonil)-5-[(dipropilamino)carbonil]benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil (1-metil-1H-indol-3-il)(oxo)acetato

(1R,2S)-2-amino-3-(3-fluoro-4-metilfenil)-1-{[(3-metilbutil)amino]metil}propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-(4-metilfenil)propil 3-[(dipropilamino)sulfonil]propanoato

(1R,2S)-2-amino-1-[(benzilamino)metil]-3-(3-fluoro-4-metilfenil)propil 3-(aminocarbonil)-5-[(dipropilamino)carbonil]benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil [5-(4-metilfenil)-2H-tekazol-2-il]acetato

(1R,2S)-2-amino-3-(3,5-diclorofenil)-1-{[(3-metoxibenzil)amino]metil}propil 3-[(dipropilamino)sulfonil]propanoato

(1R,2S)-2-amino-1-{[(3-metilbutil)amino]metil}-3-(2-tienil)propil 3-(aminocarbonil)-5-[(dipropilamino)carbonil]benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 5-metil-3-fenilisoxazol-4-carboxilato

(1R,2S)-2-amino-1-[(benzilamino)metil]-3-(4-fluorofenil)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

etilbenzil)amino]metil}propil N-[(metilsulfonil)acetil]-N-pentilglicinato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-(4-metoxibenzoil)glicinato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-(2,6-difluorobenzoil)glicinato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 4-(1H-indol-3-il)-4-oxobutanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 4-[(5-benzil-1,3,4-tiadiazol-2-il)amino]-4-oxobutanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 4-(3-fluoro-4-metoxifenil)-4-oxobutanoato

etil 4-{[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-({3-[(dipropilamino)carbonil]-5-metilbenzoil}oxi)butil]amino}piperidino-1-carboxilato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 4-(2-fluorobenzoil)-1H-pirrol-2-carboxilato

(1R,2S)-2-amino-1-[(benzilamino)metil]-3-(4-clorofenil)propil 3-(aminocarbonil)-5-[(dipropilamino)carbonil]benzoato

(1R,2S)-2-amino-1-{[(3-metilbutil)amino]metil}-3-[3-(trifluorometil)fenil]propil 3-(aminocarbonil)-5-[(dipropilamino)carbonil]benzoato

(1R,2S)-2-amino-3-(4-hidroxifenil)-1-{[(3-metilbutil)amino]metil}propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil (4-morfolin-4-ilfenil)acetato

(1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-[3-(trifluorometoxi)fenil]propil 3-[(dipropilamino)sulfonil]propanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 4-[benzil(1-ciclopropiletil)amino]-4-oxobutanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-metoxibenzil)amino]metil}propil 3-(2,5-dimetilbenzoil)-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 4-[(2-metoxi-5-metilfenil)amino]-4-oxobutanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil (3-hidroxifenil)acetato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-metoxibenzil)amino]metil}propil 3-[hidroxi(2-metilfenil)metil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 5-(etiltio)nicotinato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 4-[4-(2-furoil)piperazin-1-il]-4-oxobutanoato

(1R,2S)-2-amino-1-[(benzilamino)metil]-3-(3-fluoro-4-

metilfenil)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-oxoisoindolino-1-carboxilato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-(etiltio)benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil tieno [2,3-b] quinolino-2-carboxilato

clorhidrato de (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-(4-metil-1,3-oxazol-2-il)benzoato

(1R,2S)-2-amino-1-[(benzilamino)metil]-3-(4-fluorofenil)propil 3-(aminocarbonil)-5-[(dipropilamino)carbonil]benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 2-[2-furoil(metil)amino]benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 2-hidroxi-4-(3-metoxifenil)-4-oxobutanoato

(1R,2S)-2-amino-1-[(cicloheptilamino)metil]-3-(3,5-difluorofenil)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-1-{[(3-metilbutil)amino]metil}-3-(4-metilfenil)propil 3-(aminocarbonil)-5-[(dipropilamino)carbonil]benzoato

clorhidrato de (1R,2S)-2-amino-3-(3-fluoro-5-hidroxifenil)-1-

{[(3-metoxibenzil)amino]metil}propil 3-[(dipropilamino)sulfonil]propanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 5-hidroxi-1H-indol-2-carboxilato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 2,2-dimetilcromano-8-carboxilato

4-óxido (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 6-benzilpirazina-2-carboxilato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-metoxibenzil)amino]metil}propil {2-[(dipropilamino)sulfonil]etil}carbamato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[1-(hidroximetil)-2-metilpropil]amino}metil)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-1-[(benzilamino)metil]-3-(3-cloro-5-fluorofenil)propil 3-[(dipropilamino)sulfonil]propanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 4-(4-metoxifenil)-4-oxobutanoato

(1R,2S)-2-amino-1-[(benzilamino)metil]-3-(4-hidroxifenil)propil 3-(aminocarbonil)-5-[(dipropilamino)carbonil]benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-metil-4-oxo-3,4-dihidroftalazina-1-carboxilato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3,4-dihidro-2H-1,5-benzodioxepina-7-carboxilato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil [4-(2,5-dioxopirrolidin-1-il)fenoxi]acetato

(1R,2S)-2-amino-3-(2-furil)-1-{[(3-metoxibenzil)amino]metil}propil 3-(aminocarbonil)-5-[(dipropilamino)carbonil]benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 5-metil-4-oxo-3,4-dihidrotieno[2,3-d] pirimidino-6-carboxilato

(1R,2S)-2-amino-3-(1,3-benzodioxol-5-il)-1-{[(3-metilbutil)amino]metil}propil 3-(aminocarbonil)-5-(dipropilamino)carbonil]benzoato

(1R,2S)-2-amino-3-(3-cloro-5-fluorofenil)-1-{[(3-metoxibenzil)amino]metil}propil 5-(dipropilamino)-5-oxopentanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 6-fluoro-2-hidroxiquinolino-4-carboxilato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 4-oxo-4-(2-tienil)butanoato

(1R,2R)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-(feniltio)propil 3-(aminocarbonil)-5-[(dipropilamino)carbonil]benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[(1R)-1-

(hidroximetil)-2-metilpropil]amino}metil)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[(1R,2S)-1-(hidroximetil)-2-metilbutil]amino}metil)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 2-(fenoximetil)benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 5-[(2,4-difluorofenil)amino]-5-oxopentanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 5-[(4,6-dimetilpirimidin-2-il)amino]-5-oxopentanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-metoxibenzil)amino]metil}propil 3-(3-metoxibenzoil)-5-metilbenzoato

(1R,2S)-2-amino-3-[3-(benziloxi)fenil]-1-{[(3-metoxibenzil)amino]metil}propil 3-(aminocarbonil)-5-[(dipropilamino)carbonil]benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 4-(3,4-diclorofenil)-4-oxobutanoato

(1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-[4-(metoxicarbonil)fenil]propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 5-[(4-acetilfenil)amino]-5-

oxopentanoato

(1R,2S)-2-amino-3-[4-(benziloxi)fenil]-1-{[(3-metoxibenzil)amino]metil}propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2R)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-(feniltio)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-({2-[(metilamino)carbonil]fenil}tio)propanoato

(1R,2S)-2-amino-1-[(3-metoxibenzil)amino]metill-3-fenilpropil 3-[(1-propilbutil)tio]propanoato clorhidrato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 4-[(4-etoxifenil)amino]-4-oxobutanoato

(1R,2S)-2-amino-3-[3-(benziloxi)-5-fluorofenil]-1-{[(3-metilbutil)amino]metil}propil 3-(aminocarbonil)-5-[(dipropilamino)carbonil]benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[2-({[(3-metoxifenil)amino]carbonil}oxi)etil]amino}metil)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-2-(2,3-dihidro-1-benzofuran-5-il)-N-(3-etilbenzil)-1,3-tiazol-4-carboxamida

N-{(2R,3S)-3-amino-4-[3-(benziloxi)fenil]-2-hidroxibutil}-N-(3-metoxibenzil)-5-metil-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(4-clorofenil)-2-hidroxibutil]-3-[(dipropilamino)sulfonil]-N-(3-metoxibenzil)propanamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-N'-pentilmalonamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-3-(trifluorometoxi)benzamida

N-[(2R,3S)-3-amino-4-(3-fluoro-4-metilfenil)-2-hidroxibutil]-3-[(dipropilamino)sulfonil]-N-(3-metoxibenzil)propanamida

N-[(2R,3S)-3-amino-4-(3-cloro-5-fluorofenil)-2-hidroxibutil]-3-[(dipropilamino)sulfonil]-N-(3-metilbutil)propanamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-3-(4,4-dimetil-2,5-dioxoimidazolidin-1-il)-N-(3-etilbenzil)-2-{[(1-propilbutil)sulfonil]metil}propanamida

N'-[4-(acetilamino)fenil]-N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)succinamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-3-(1-cianoetil)-N-(3-etilbenzil)benzamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-N-(5-fenil-1,3,4-tiadiazol-2-il)succinamida

(2R,3S)-3-amino-2-hidroxi-4-[3-(trifluorometoxi)fenil]butil}-N^1-benzil-N^3,N^3-dipropilbenceno-1,3,5-tricarboxamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-5-metil-N-[2-(2-oxo-2-pirrolidin-1-iletoxi)fenil]-N',N'-dipropilisoftalamida

N^1-[(2R,3S)-3-amino-4-(4-clorofenil)-2-hidroxibutil]-N^1-(3-metilbutil)-N^3,N^3-dipropilbenceno-1,3,5-tricarboxamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-2-(1,1-dioxidotetrahidro-2-tienil)-N-(3-etilbenzil)acetamida

N-[(2R,3S)-3-amino-4-(4-clorofenil)-2-hidroxibutil]-N-benzil-

5-metil-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-5-hex-1-in-1-ilnicotinamida

N-[(2R,3S)-3-amino-4-(3-bromofenil)-2-hidroxibutil]-3-[(dipropilamino)sulfonil]-N-(3-metilbutil)propanamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-3-metoxiisoxazol-5-carboxamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-2,3-dimetil-1H-indol-7-carboxamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-4-(3-clorofenil)-N-(3-etilbenzil)-2-hidroxi-4-oxobutanamida

N^1-[(2R,3S)-3-amino-4-(3-fluoro-4-metoxifenil)-2-hidroxibutil]-N^1-(3-metoxibenzil)-N^3,N^3-dipropilbenceno-1,3,5-tricarboxamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-2-(1-metil-1H-indol-3-il)-2-oxoacetamida

N-[(2R,3S)-3-amino-4-(3-fluoro-4-metilfenil)-2-hidroxibutil]-5-metil-N-(3-metilbutil)-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-2-hidroxi-4-(4-metilfenil)butil]-3-[(dipropilamino)sulfonil]-N-(3-metoxibenzil)propanamida

N^1-[(2R,3S)-3-amino-4-(3-fluoro-4-metilfenil)-2-hidroxibutil]-N^1-benzil-N^3,N^3-dipropilbenceno-1,3,5-tricarboxamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-2-[5-(4-metilfenil)-2H-tetrazol-2-il]acetamida

N-[(2R,3S)-3-amino-4-(3,5-diclorofenil)-2-hidroxibutil]-3-[(dipropilamino)sulfonil]-N-(3-metoxibenzil)propanamida

N^1-[(2R,3S)-3-amino-2-hidroxi-4-(2-tienil)butil]-N^1-(3-metilbutil)-N^3,N^3-dipropilbenceno-1,3,5-tricarboxamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-5-metil-3-fenilisoxazol-4-carboxamida

N-[(2R,3S)-3-amino-4-(4-fluorofenil)-2-hidroxibutil]-N-benzil-5-metil-N',N'-dipropilisoftalamida

N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N^1-(3-etilbenzil)-N^2-[(metilsulfonil)acetil]-N-pentilglicinamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-4-(1H-indol-3-il)-4-oxobutanamida

N-[(2R,3S)-3-amino-4-(3,5-difluoroph enil)-2-hidroxibutil]-N'-(5-benzil-1,3,4-tiadiazol-2-il)-N-(3-etilbenzil)succinamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-4-(3-fluoro-4-metoxifenil)-4-oxobutanamida

etil 4-([(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]{3-[(dipropilamino)carbonil]-5-metilbenzoil}amino)piperidino-1-carboxilato

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-4-(2-fluorobenzoil)-1H-pirrol-2-carboxamida

N^1-[(2R,3S)-3-amino-4-(4-clorofenil)-2-hidroxibutil]-N^1-benzil-N^3,N^3-dipropilbenceno-1,3,5-tricarboxamida

N^1-{(2R,3S)-3-amino-2-hidroxi-4-[3-(trifluorometil)fenil]butil}-N^1-(3-metilbutil)-N^3,N^3-dipropilbenceno-1,3,5-tricarboxamida

N-[(2R,3S)-3-amino-2-hidroxi-4-(4-hidroxifenil)butil]-5-metil-N-(3-metilbutil)-N^3,N^3-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-2-(4-morfolin-4-ilfenil)acetamida

N-{(2R,3S)-3-amino-2-hidroxi-4-[3-(trifluorometoxi)fenil]butil}-3-[(dipropilamino)sulfonil]-N-(3-metoxibenzil)propanamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-benzil-N-(1-ciclopropiletil)-N-(3-etilbenzil)succinamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-3-(2,5-dimetilbenzoil)-N-(3-metoxibenzil)-5-metilbenzamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-N-(2-metoxi-5-metilfenil)succinamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-2-(3-hidroxifenil)acetamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-3-[hidroxi(2-metilfenil)metil]-N-(3-metoxibenzil)-5-metilbenzamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-5-(etiltio)nicotinamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-4-[4-(2-furoil)piperazin-1-il]-4-oxobutanamida

N-[(2R,3S)-3-amino-4-(3-fluoro-4-metilfenil)-2-hidroxibutil]-N-benzil-5-metil-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-3-oxoisoindolino-1-carboxamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-3-(etiltio)benzamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-

(3-etilbenzil) tieno [2,3-b] quinolino-2-carboxamida

clorhidrato de N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-3-(4-metil-1,3-oxazol-2-il)benzamida

N^1-[(2R,3S)-3-amino-4-(4-fluorofenil)-2-hidroxibutil]-N^1-benzil-N^3,N^3-dipropilbenceno-1,3,5-tricarboxamida

N-(2-{[[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil](3-etilbenzil)amino]carbonil}fenil)-N-metil-2-furamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-2-hidroxi-4-(3-metoxifenil)-4-oxobutanamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-ciclohéptil-5-metil-N',N'-dipropilisoftalamida

N^1-[(2R,3S)-3-amino-2-hidroxi-4-(4-metilfenil)butil]-N^1-(3-metilbutil)-N^3,N^3-dipropilbenceno-1,3,5-tricarboxamida

clorhidrato de N-[(2R,3S)-3-amino-4-(3-fluoro-5-hidroxifenil)-2-hidroxibutil]-3-[(dipropilamino)sulfonil]-N-(3-metoxibenzil)propanamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-5-hidroxi-1H-indol-2-carboxamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-2,2-dimetilcromano-8-carboxamida

4-óxido N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-6-benzil-N-(3-etilbenzil)pirazina-2-carboxamida

2-({[[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil](3-metoxibenzil)amino]carbonil}amino)-N,N-dipropiletanosulfonamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-

[(1R)-1-(hidroximetil)-2-metilpropil]-5-metil-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3-cloro-5-fluorofenil)-2-hidroxibutil]-N-benzil-3-[(dipropilamino)sulfonil]propanamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-4-(4-metoxifenil)-4-oxobutanamida

N'-[(2R,3S)-3-amino-2-hidroxi-4-(4-hidroxifenil)butil]-N'-benzil-N',N'-dipropilbenceno-1,3,5-tricarboxamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-3-metil-4-oxo-3,4-dihidroftalazina-1-carboxamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-3,4-dihidro-2H-1,5-benzodioxepina-7-carboxamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-2-[4-(2,5-dioxopirrolidin-1-il)fenoxi]-N-(3-etilbenzil)acetamida

N^1-[(2R,3S)-3-amino-4-(2-furil)-2-hidroxibutil]-N^1-(3-metoxibenzil)-N^3,N^3-dipropilbenceno-1,3,5-tricarboxamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-5-metil-4-oxo-3,4-dihidrotieno [2,3-d] pirimidino-6-carboxamida

N^1-[(2R,3S)-3-amino-4-(1,3-benzodioxol-5-il)-2-hidroxibutil]-N^1-(3-metilbutil)-N^3,N^3-dipropilbenceno-1,3,5-tricarboxamida

N-[(2R,3S)-3-amino-4-(3-cloro-5-fluorofenil)-2-hidroxibutil]-N-(3-metoxibenzil)-N,N-dipropilpentanodiamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-

(3-etilbenzil)-6-fluoro-2-hidroxiquinolino-4-carboxamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-4-oxo-4-(2-tienil)butanamida

N^3-{[[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil](3-metoxibenzil)amino]carbonil}-N^1,N^1-dipropil-ß-alaninamida

N^1-[(2R,3R)-3-amino-2-hidroxi-4-(feniltio)butil]-N^1-(3-metoxibenzil)-N^3,N^3-dipropilbenceno-1,3,5-tricarboxamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-[(1R)-1-(hidroximetil)-2-metilpropil]-5-metil-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-[(1R,2S)-1-(hidroximetil)-2-metilbutil]-5-metil-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-2-(fenoximetil)benzamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N'-(2,4-difluorofenil)-N-(3-etilbenzil)pentanodiamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(4,6-dimetilpirimidin-2-il)-N-(3-etilbenzil)pentanodiamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-3-(3-metoxibenzoil)-N-(3-metoxibenzil)-5-metilbenzamida

N^1-{(2R,3S)-3-amino-4-[3-(benziloxi)fenil]-2-hidroxibutil}-N^1-(3-metoxibenzil)-N^3,N^3-dipropilbenceno-1,3,5-tricarboxamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-4-(3,4-diclorofenil)-N-(3-etilbenzil)-4-oxobutanamida

metil 4-{(25, 3R)-2-amino-4-[{3-[(dipropilamino)carbonil]-5-metilbenzoil} (3-metoxibenzil)amino]-3-hidroxibutil}benzoato

N^1-(4-acetilfenil)-N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)pentanodiamida

N-(2R,3S)-3-amino-4-[4-(benziloxi)fenil]-2-hidroxibutill-N-(3-metoxibenzil)-5-metil-N',N'-dipropilisoftalamida

N-[(2R,3R)-3-amino-2-hidroxi-4-(feniltio)butil]-N-(3-metoxibenzil)-5-metil-N',N'-dipropilisoftalamida

2-({3-[[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil](3-etilbenzil)amino]-3-oxopropil}tio)-N metilbenzamida

clorhidrato de N-[(2R,3S)-3-amino-2-hidroxi-4-fenilbutil]-N-(3-metoxibenzil)-3-[(1-propilbutil)tio]propanamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(4-etoxifenil)-N-(3-etilbenzil)succinamida

N-(2R,3S)-3-amino-4-[3-(benziloxi)-5-fluorofenil]-2-hidroxibutil}-N-(3-metilbutil)-N^3,N^3-dipropilbenceno-1,3,5-tricarboxamida

2-([(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]{3-[(dipropilamino)carbonil]-5-metilbenzoil}amino) etil (3-metoxifenil)carbamato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-(benziloxi)benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[(1S)-2-hidroxi-1-metiletil]amino}metil)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(pentafluorofenil)-1-({[3-(trifluorometil)benzil]amino}metil)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

etilbenzil)amino]metil}propil 4-(4-hidroxifenil)-4-oxobutanoato

(1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-[3-(trifluorometil)fenil]propil 3-[(dipropilamino)sulfonil]propanoato dihidrocloruro

de (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-(piperidin-3-ilsulfonil)benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 6-cloro-4-hidroxiquinolino-2-carboxilato

(1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-(2-tienil)propil 5-(dipropilamino)-5-oxopentanoato

(1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metil}-4-metilpentil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil (6-oxo-3-fenilpiridazin-1(6H)-il)acetato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-{4-[(metilsulfonil)amino]fenil}propanoato

(1R,2S)-2-amino-3-(4-fluoro-3-metilfenil)-1-{[(3-metoxibenzil)amino]metil}propil 3-(aminocarbonil)-5-[(dipropilamino)carbonilbenzoato

(1R,2S)-2-amino-1-[(benzilamino)metil]-3-(4-metilfenil)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

yodobenzil)amino]metil}propil 3-(2-clorofenoxi)propanoato

(1R,2S)-2-amino-3-(4-fluorofenil)-1-{[(3-

metilbutil)amino]metil}propil 3-(aminocarbonil)-5-

[(dipropilamino)carbonil]benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

etilbenzil)amino]metil}propil N-(4-clorobenzoil)-D-alaninato

clorhidrato de (1R,2S)-2-amino-3-[3-(benziloxi)-5-

fluorofenil]-1-{[(3-metoxibenzil)amino]metil}propil 3-

[(dipropilamino)sulfonil]propanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

etilbenzil)amino]metil}propil 4-(4-metilfenil)-4-oxobutanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

etilbenzil)amino]metil}propil 4-oxo-4-{[3-

(trifluorometil)fenil]amino}butanoato

(1R,2S)-2-amino-3-(1,3-benzodioxol-5-il)-1-{[(3-

metoxibenzil)amino]metil}propil 3-[(dipropilamino)carbonil]-

5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

etilbenzil)amino]metil}propil (5-piridin-2-il-2H-tetrazol-2-

il)acetato

(1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-(3-

metilfenil)propil 3-[(dipropilamino)sulfonil]propanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

etilbenzil)amino]metil}propil isoxazol-5-carboxilato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

etilbenzil)amino]metil}propil (3,5-dimetoxifenoxi)acetato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

etilbenzil)amino]metil}propil 4-(2,5-dimetil-1H-pirrol-1-il)-3-hidroxibenzoato

(1R,2S)-2-amino-3-(3-bromofenil)-1-{[(3-metoxibenzil)amino]metil}propil 5-(dipropilamino)-5-oxopentanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 4-{[5-(ciclopentilmetil)-1,3,4-tiadiazol-2-il]amino}-4-oxobutanoato

(1R,2S)-2-amino-1-[(benzilamino)metil]-3-[3-(trifluorometil)fenil]propil 3-(aminocarbonil)-5-[(dipropilamino)carbonil]benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil (3-oxo-1,2-benzisotiazol-2(3H)-il)acetato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[1-metil-5-(pirrolidin-1-ilcarbonil)-1H-pirrol-3-il]amino}metil)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 4-(3,4-difluorofenil)-4-oxobutanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 4-(2-naftil)-4-oxobutanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 4,6-dietoxipiridino-2-carboxilato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 4-(5-metil-1H-pirrol-2-il)-4-

oxobutanoato

clorhidrato de (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-({[2-(metilamino)etil]amino}sulfonil)benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-metoxibenzil)amino]metil}propil 3-metil-5-(4-metilbenzoil)benzoato

(1R,2S)-2-amino-3-(1,3-benzodioxol-5-il)-1-[(benzilamino)metil]propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

clorhidrato de (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-(piperazin-1-ilsulfonil)benzoato

(1R,2S)-2-amino-1-[({2-[4-(aminosulfonil)fenil]etil}amino)metil]-3-(3,5-difluorofenil)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[2-hidroxi-1-(hidroximetil)etil]amino}metil)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(4-fluoro-3-metilfenil)-1-{[(3-metilbutil)amino]metil}propil 3-(aminocarbonil)-5-[(dipropilamino)carbonil]benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-(3-oxo-2,1-benzisotiazol-1(3H)-il)propanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

etilbenzil)amino]metil}propil (2,6-dihidroxipirimidin-4-il)acetato

(1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-[3-(trifluorometil)fenil]propil 5-(dipropilamino)-5-oxopentanoato

(1R,2S)-2-amino-1-[(benzilamino)metil]-3-(4-hidroxifenil)propil 3-[(dipropilamino)sulfonil]propanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 4-(3,4-difluorofenil)-2-metil-4-oxobutanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 5-oxo-5-[(2-piridin-2-iletil)amino]pentanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil [2-(4-fluorofenil)-1,3-benzoxazol-5-il]acetato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-(anilinocarbonil)glicinato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-(2,6-dimetoxibenzoil)glicinato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 2-(1,3-ditian-2-il)-3-furoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 2-[2-oxo-2-(propilamino)etil]benzoato

(1R,2S)-2-amino-1-[(benzilamino)metil]-3-(3-bromofenil)propil

3-[(dipropilamino)sulfonil]propanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-yodobenzil)amino]metil}propil 3-(2-fluorofenil)propanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 5-metiltiofeno-2-carboxilato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-yodobenzil)amino]metil}propil [4-(benziloxi)fenil]acetato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil[(5,7-dimetil [1,2,4] triazolo [4,3-a] pirimidin-3-il)tio]acetato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 4-[(1-acetil-2,3-dihidro-1H-indol-7-il)amino]-4-oxobutanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 5-[(3-acetilfenil)amino]-5-oxopentanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-(4-clorofenoxi)-2-hidroxipropanoato

N^3-[(1S,2R)-3-(benzilamino)-1-(3-fluoro-4-metoxibenzil)-2-hidroxipropil]-N^1,N^1-dipropilbenceno-1,3,5-tricarboxamida

(1R,2S)-2-amino-1-[(benzilamino)metil]-3-(3-metilfenil)propil 3-(aminocarbonil)-5-[(dipropilamino)carbonil]benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 1H-indol-7-carboxilato

(1R,2S)-2-amino-1-{[(3-metilbutil)amino]metil}-3-(3-metilfenil)propil 3-(aminocarbonil)-5-

[(dipropilamino)carbonil]benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 4-(1,2,3-tiadiazol-4-il)benzoato

(1R,2S)-2-amino-3-[3-(benziloxi)-5-fluorofenil]-1-{[(3-metoxibenzil)amino]metil}propil 3-[(dipropilamino)sulfonil]propanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-(4,4-dimetil-2,5-dioxoimidazolidin-1-il)-2-{[(1-propilbutil)sulfonil]metil}propanoato

(1R,2S)-2-amino-1-{[(3-metilbutil)amino]metil}-3-(4-metilfenil)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-1-[(benzilamino)metil]-3-[3-fluoro-5-(trifluorometil)fenil]propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil [1-metil-3-(metiltio)-1H-indol-2-il]acetato

(1R,2S)-2-amino-3-(3,5-diclorofenil)-1-{[(3-metilbutil)amino]metil}propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil [(2-{[4-(1,3-oxazol-5-il)fenil]amino}-2-oxoetil)tio]acetato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 4-(2-furil)-4-oxobutanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-(3-piridin-2-il-1,2,4-oxadiazol-5-il)il)propanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil [2-(acetilamino)-1,3-tiazol-4-il]acetato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil [(4-metil-4H-1,2,4-triazol-3-il)tio](fenil)acetato

(1R,2S)-2-amino-3-(4-clorofenil)-1-{[(3-metilbutil)amino]metil}propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil4-(1,3-benzotiazol-2-il)butanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 4-[(3-cloro-4-fluorofenil)amino]-4-oxobutanoato

(1R,2S)-2-amino-3-[3-(benziloxi)-5-fluorofenil]-1-{[(3-metilbutil)amino]metil}propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil [(2-oxo-2,3-dihidroquinazolin-4-il)tio]acetato

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-3-(benziloxi)-N-(3-etilbenzil)benzamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-

[(1)-2-hidroxi-1-metiletil]-5-metil-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-2-hidroxi-4-(pentafluorofenil)butil]-5-metil-N',N'-dipropil-N-[3-(trifluorometil)benzil]isoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-4-(4-hidroxifenil)-4-oxobutanamida

N-{(2R,3S)-3-amino-2-hidroxi-4-[3-(trifluorometil)fenil]butil}-3-[(dipropilamino)sulfonil]-N-(3-metoxibenzil)propanamida

dihidrocloruro de N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-3-(piperidin-3-ilsulfonil)benzamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-6-cloro-N-(3-etilbenzil)-4-hidroxiquinolino-2-carboxamida

N-[(2R,3S)-3-amino-2-hidroxi-4-(2-tienil)butil]-N-(3-metoxibenzil)-N,N-dipropilpentanodiamida

N-[(2R,3S)-3-amino-2-hidroxi-5-metilhexil]-N-(3-metoxibenzil)-5-metil-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-2-(6-oxo-3-fenilpiridazin-1(6H)-il)acetamida

(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-3-{4-[(metilsulfonil)amino]fenil}propanamida

N^1-[(2R,3S)-3-amino-4-(4-fluoro-3-metilfenil)-2-hidroxibutil]-N^1-(3-metoxibenzil)-N^3,N^3-dipropilbenceno-1,3,5-tricarboxamida

N-[(2R,3S)-3-amino-2-hidroxi-4-(4-metilfenil)butil]-N-benzil-5-metil-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-3-(2-clorofenoxi)-N-(3-yodobenzil)propanamida

N^1-[(2R,3S)-3-amino-4-(4-fluorofenil)-2-hidroxibutil]-N^1-(3-metilbutil)-N^3,N^3-dipropilbenceno-1,3,5-tricarboxamida clorhidrato

N-{(2R,3S)-3-amino-4-[3-(benziloxi)-5-fluorofenil]-2-hidroxibutil}-3-[(dipropilamino)sulfonil]-N-(3-metoxibenzil)propanamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-4-(4-metilfenil)-4-oxobutanamida

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 4-oxo[3-(trifluorometil)fenil]amino}butanoato

N-[(2R,3S)-3-amino-4-(1,3-benzodioxol-5-il)-2-hidroxibutil]-N-(3-metoxibenzil)-5-metil-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-2-hidroxi-4-(3-metilfenil)butil]-3-[(dipropilamino)sulfonil]-N-(3-metoxibenzil)propanamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)isoxazol-5-carboxamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-2-(3,5-dimetoxifenoxi)-N-(3-etilbenzil)acetamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-4-(2,5-dimetil-1H-pirrol-1-il)-N-(3-etilbenzil)-3-hidroxibenzamida

N-[(2R,3S)-3-amino-4-(3-bromofenil)-2-hidroxibutil]-N-(3-metoxibenzil)-N',N'-dipropilpentanodiamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N'-[5-(ciclopentilmetil)-1,3,4-tiadiazol-2-il]-N-(3-

etilbenzil)succinamida

N^1-(2R,3S)-3-amino-2-hidroxi-4-[3-(trifluorometil)fenil]butil}-N^1-benzil-N^3,N^3-dipropilbenceno-1,3,5-tricarboxamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-2-(3-oxo-1,2-benzisotiazol-2(3H)-il)acetamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-5-metil-N-[1-metil-5-(pirrolidin-1-ilcarbonil)-1H-pirrol-3-il]-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-4-(3,4-difluorofenil)-N-(3-etilbenzil)-4-oxobutanamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-4-(2-naftil)-4-oxobutanamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-4,6-dietoxi-N-(3-etilbenzil)piridino-2-carboxamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-4-(5-metil-1H-pirrol-2-il)-4-oxobutanamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-3-({[2-(metilamino)etil]amino}sulfonil)benzamida clorhidrato

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-metoxibenzil)-3-metil-5-(4-metilbenzoil)benzamida

N-[(2R,3S)-3-amino-4-(1,3-benzodioxol-5-il)-2-hidroxibutil]-N-benzil-5-metil-N',N'-dipropilisoftalamida

clorhidrato de N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-3-(piperazin-1-ilsulfonil)benzamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-{2-[4-(aminosulfonil)fenil]etil}-5-metil-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-[2-hidroxi-1-(hidroximetil)etil]-5-metil-N',N'-dipropilisoftalamida

N^1-[(2R,3S)-3-amino-4-(4-fluoro-3-metilfenil)-2-hidroxibutil]-N^1-(3-metilbutil)-N^3,N^3-dipropilbenceno-1,3,5-tricarboxamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-3-(3-oxo-2,1-benzisotiazol-1(3H)-il)propanamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-2-(2,6-dihidroxipirimidin-4-il)-N-(3-etilbenzil)acetamida

N-{(2R,3S)-3-amino-2-hidroxi-4-[3-(trifluorometil)fenil]butil}-N-(3-metoxienzil)-N',N'-dipropilpentanodiamida

N-[(2R,3S)-3-amino-2-hidroxi-4-(4-hidroxifenil)butil]-N-benzil-3-[(dipropilamino)sulfonil]propanamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-4-(3,4-difluorofenil)-N-(3-etilbenzil)-2-metil-4-oxobutanamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-N'-(2-piridin-2-iletil)pentanodiamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-2-(4-fluorofenil)-1,3-benzoxazol-5-il]acetamida

N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N^2-

(anilinocarbonil)-N^1-(3-etilbenzil)glicinamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-2-(1,3-ditian-2-il)-N-(3-etilbenzil)-3-furamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-2-[2-oxo-2-(propilamino)etil]benzamida

N-[(2R,3S)-3-amino-4-(3-bromofenil)-2-hidroxibutil]-N-benzil-3-[(dipropilamino)sulfonil]propanamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-3-(2-fluorofenil)-N-(3-yodobenzil)propanamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-5-metiltiofeno-2-carboxamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-2-[4-(benziloxi)fenil]-N-(3-yodobenzil)acetamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-2-[(5,7-dimetil [1,2,4] triazolo [4,3-a] pirimidin-3-il)tio]-N-(3-etilbenzil)acetamida

N-(1-acetil-2,3-dihidro-1H-indol-7-il)-N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)succinamida

N-(3-acetilfenil)-N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)pentanodiamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-3-(4-clorofenoxi)-N-(3-etilbenzil)-2-hidroxipropanamida

N^3-[(1S, 2R)-3-(benzilamino)-1-(3-fluoro-4-metoxibenzil)-2-hidroxipropil]-N^1,N^1-dipropilbenceno-1,3,5-tricarboxamida

N^1-[(2R,3S)-3-amino-2-hidroxi-4-(3-metilfenil)butil]-N^1-benzil-N^3,N^3-dipropilbenceno-1,3,5-tricarboxamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-1H-indol-7-carboxamida

N^1-[(2R,3S)-3-amino-2-hidroxi-4-(3-metilfenil)butil]-N^1-(3-metilbutil)-N^3,N^3-dipropilbenceno-1,3,5-tricarboxamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-4-(1,2,3-tiadiazol-4-il)benzamida

N-{(2R,3S)-3-amino-4-[3-(benziloxi)-5-fluorofenil]-2-hidroxibutil}-3-[(dipropilamino)sulfonil]-N-(3-metoxibenzil)propanamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-3-(4,4-dimetil-2,5-dioxoimidazolidin-1-il)-N-(3-etilbenzil)-2-{[(1-propilbutil)sulfonil]metil}propanamida

N-[(2R,3S)-3-amino-2-hidroxi-4-(4-metilfenil)butil]-5-metil-N-(3-metilbutil-N',N'-dipropilisoftalamida

N-{(2R,3S)-3-amino-4-[3-fluoro-5-(trifluorometil)fenil]-2-hidroxibutil}-N-benzil-5-metil-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-2-[1-metil-3-(metiltio)-1H-indol-2-il]acetamida

N-[(2R,3S)-3-amino-4-(3,5-diclorofenil)-2-hidroxibutil]-5-metil-N-(3-metilbutil)-N',N'-dipropilisoftalamida

2-({2-[[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil](3-etilbenzil)amino]-2-oxoetil}tio)-N-[4-(1,3-oxazol-5-il)fenil]acetamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-4-(2-furil)-4-oxobutanamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-

(3-etilbenzil)-3-(3-piridin-2-il-1,2,4-oxadiazol-5-il)propanamida

2-[2-(acetilamino)-1,3-tiazol-4-il]-N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)acetamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-2-[(4-metil-4H-1,2,4-triazol-3-il)tio]-2-fenilacetamida

N-[(2R,3S)-3-amino-4-(4-clorofenil)-2-hidroxibutil]-5-metil-N-(3-metilbutil)-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-4-(1,3-benzotiazol-2-il)-N-(3-etilbenzil)butanamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil-N'-(3-cloro-4-fluorofenil)-N-(3-etilbenzil)succinamida

N-{(2R,3S)-3-amino-4-[3-(benziloxi)-5-fluorofenil]-2-hidroxibutil}-5-metil-N-(3-metilbutil)-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-2-[(2-oxo-2,3-dihidroquinazolin-4-il)tio]acetamida

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-metoxibenzil)amino]metil}propil 3-metil-5-(2-metilbenzoil)benzoato

(1R,2S)-2-amino-3-(4-hidroxifenil)-1-{[(3-metoxibenzil)amino]metil}propil 5-(dipropilamino)-5-oxopentanoato

(1R,2S)-2-amino-1-[(benzilamino)metil]-3-(4-metilfenil)propil 3-(aminocarbonil)-5-[(dipropilamino)carbonil]benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 4-propoxibenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 1-metil-1H-indol-2-carboxilato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 5-cloro-2-(3-metil-4H-1,2,4-triazol-4-il)benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 4-(3,4-difluorofenil)-2-metoxi-4-oxobutanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil [3-(2-tienil)-1H-pirazol-1-il]acetato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 5-anilino-5-oxopentanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil (2-tioxo-1,3-benzotiazol-3(2H)-il)acetato

(1R,2S)-2-amino-1-[(benzilamino)metil]-3-ciclohexilpropil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-(4-metoxifenil)propil 3-(aminocarbonil)-5-[(dipropilamino)carbonil]benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil (3-hidroxi-4-metilfenil)acetato

(1R,2S)-2-amino-3-[3-fluoro-5-(trifluorometil)fenil]-1-{[(3-metilbutil)amino]metil}propil 3-[(dipropilamino)carbonil]-5-

metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 7-fluoro-4H-imidazo [5,1-c] [1,4] benzoxazina-3-carboxilato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 4-(3,4-dihidro-2H-1,5-benzodioxepin-7-il)-4-oxobutanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 1-benzofuran-3-carboxilato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-[(3,4-diclorofenil)amino]-3-oxopropanoato

(1R,2S)-2-amino-1-[(benzilamino)metil]-3-[3-fluoro-5-(trifluorometil)fenil]propil 3-(aminocarbonil)-5-[(dipropilamino)carbonil]benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[(1R)-2-hidroxi-1-metiletil]amino}metil)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-1-[(benzilamino)metil]-3-(3-metilfenil)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 5-oxo-5-(piridin-3-ilamino)pentanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-I-I[(3-etilbenzil)amino]metil}propil 2-metil-4-oxo-4H-cromeno-6-carboxilato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

etilbenzil)amino]metil}propil ({2-[(5-metilisoxazol-3-il)amino]-2-oxoetil}tio)acetato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[3-(1H-imidazol-1-il)propil]amino}metil)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-[3-fluoro-5-(trifluorometil)fenil]-1-{[(3-metoxibenzil)amino]metil}propil 3-[(dipropilamino)sulfonil]propanoato

(1R,2S)-2-amino-3-(4-hidroxifenil)-1-{[(3-metilbutil)amino]metil}propil 3-[(dipropilamino)sulfonil]propanoato

(1R,2S)-2-amino-3-(1,3-benzodioxo-5-il)-1-{[(3-metilbutil)amino]metil}propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-1-{[(3-metilbutil)amino]metil}-3-(2-tienil)propil 3-[(dipropilamino)sulfonil]propanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 4-[(2,2-dimetilpropanoil)amino]-2-hidroxibenzoato

(1R,2S)-2-amino-3-(3-metoxifenil)-1-{[(3-metilbutil)amino]metil}propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(4-fluorofenil)-1-({[3-(trifluorometil)benzil]amino}metil)propil 3-{[(3-metoxibenzil)amino]sulfonil}benzoato

(1R,2S)-2-amino-1-{[(3-metilbutil)amino]metil}-3-[3-(trifluorometil)fenil]prpil 3-[(dipropilamino)carbonil]-5-

metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 6-(2-furoilamino)hexanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil [(1-fenil-4,5-dihidro-1H-tetrazol-5-il)tio]acetato

(1S,2S)-2-amino-3-fenil-1-({[3-(trifluorometil)benzil]amino}metil)propil 3-{[(3-metoxibenzil)amino]sulfonil}benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 4-(3,4-dihidro-2H-cromen-6-il)-4-oxobutanoato

(1R,2S)-2-amino-3-(3-metoxifenil)-1-{[(3-metilbutil)amino]metil}propil 3-(aminocarbonil)-5-[(dipropilamino)carbonil]benzoato

(1R,2S)-2-amino-3-(3-fluoro-4-metilfenil)-1-{[(3-metoxibenzil)amino]metil}propil 5-(dipropilamino)-5-oxopentanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil indolizina-2-carboxilato

(1R,2S)-2-amino-1-[(benzilamino)metil]-3-[3-(trifluorometoxi)fenil]propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

1-óxido (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil nicotinato

(1R,2S)-2-amino-3-[3-(benziloxi)-5-fluorofenil]-1-{[(3-metilbutil)amino]metil}propil 3-

[(dipropilamino)sulfonil]propanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-yodobenzil)amino]metil}propil [(aminocarbonil)oxi]acetato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 2,3-dihidro-1H-ciclopenta [b] quinolino-9-carboxilato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-metil-1H-pirazol-5-carboxilato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 5-(benzoilamino)pentanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 4-[(metoximetil)tio]benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-(1,3-benzotiazol-2-il)-3-metoxipropanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-{[(metilamino)carbonil]amino}-3-(3-tienil)propanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 5-piridin-2-iltiofeno-2-carboxilato

(1R,2S)-2-amino-1-[(benzilamino)metil]-3-[3-(benziloxi)-5-fluorofenil]propil 3-(aminocarbonil)-5-[(dipropilamino)carbonil]benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil(5,6-dimetil-2,4-dioxo-1,2,3,4-

tetrahidropiridin-3-il)acetato

(1R,2S)-2-amino-3-(3-fluoro-4-metoxifenil)-1-{[(3-metilbutil)amino]metil}propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 2-isobutil-1,3-dioxoisoindolino-5-carboxilato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 5-(acetilamino)-2-furoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-[(4-metoxifenil)acetil]glicinato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil isoquinolino-4-carboxilato

(1R,2S)-2-amino-3-[3-(benziloxi)fenil]-1-{[(3-metilbutil)amino]metil}propil 3-(aminocarbonil)-5-[(dipropilamino)carbonil]benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil (4-hidroxi-3-metoxifenil)acetato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil [(4-fenil-4H-1,2,4-triazol-3-il)tio]acetato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil (3,5-dimetoxifenil)acetato

(1R,2S)-2-amino-1-[(benzilamino)metil]-3-(3-metoxifenil)propil 3-[(dipropilamino)carbonil]-5-

metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil (2-etil-4H-[1,2,4] triazolo [1,5-a] benzimidazol-4-il)acetato

(1R,2S)-2-amino-1-[(benzilamino)metil]-3-(2-furil)propil 3-[(dipropilamino)carbonil]-5-metilbenzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 7-cloro-1-benzofuran-2-carboxilato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 2-(1,3-dioxo-1,3-dihidro-2H-isoindol-2-il)propanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-I-I[(3-etilbenzil)amino]metil}propil 3-(2-oxo-2H-1,3-benzoxazin-3(4H)-il)propanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil (pirimidin-2-iltio)acetato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 4-{[3-(aminocarbonil)-4,5,6,7-tetrahidro-1-benzotien-2-il]amino}-4-oxobutanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil [(5-fenil-1,3,4-oxadiazol-2-il)tio]acetato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil quinolino-6-carboxilato

(1R,2S)-2-amino-1-[(benzilamino)metil]-3-(2-furil)propil 3-(aminocarbonil)-5-[(dipropilamino)carbonil]benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 4-(2,3-dihidro-1,4-benzodioxin-6-il)-4-oxobutanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-(1H-indol-3-il)-1H-pirazol-5-carboxilato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 2-hidroxi-4-{[(metilamino)carbonotioil]amino}benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 6-cloronicotinato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 4-(3-hidroxifenil)-4-oxobutanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil (ftalazin-1-iltio)acetato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil[(1-oxidopiridin-2-il)tio]acetato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-(acetilamino)-5-fluoro-1H-indol-2-carboxilato

(1S,2S)-2-amino-3-fenil-1-({[3-(trifluorometil)benzil]amino}metil)propil 3-{[(3-clorobenzil)amino]sulfonil}benzoato

(1R,2S)-2-amino-3-[4-(benziloxi)fenil]-1-{[(3-metoxibenzil)amino]metil}propil 3-(aminocarbonil)-5-

[(dipropilamino)carbonil]benzoato

(1R,2S)-2-amino-3-(1,3-benzodioxol-5-il)-1-[(benzilamino)metil]propil 3-(aminocarbonil)-5-[(dipropilamino)carbonil]benzoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 4-(3,4-diclorofenil)-2-hidroxi-3-metil-4-oxobutanoato

(1R,2S)-2-amino-1-1[(3-metilbutil)amino]metill-3-[3-(trifluorometoxi)fenil]propil 3-[(dipropilamino)sulfonil]propanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 4-[(5-metil-1,3,4-tiadiazol-2-il)amino]-4-oxobutanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil (2-etil-1H-benzimidazol-1-il)acetato

(1R,2S)-2-amino-3-(1,3-benzodioxol-5-il)-1-{[(3-metoxibenzil)amino]metil}propil 3-[(dipropilamino)sulfonil]propanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-(2-oxo-1,3-benzoxazol-3 (2H)-il)propanoato

(1R,2S)-2-amino-3-(3,5-diclorofenil)-1-{[(3-metilbutil)amino]metil}propil 3-[(dipropilamino)sulfonil]propanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 4-[(6-metilpiridin-2-il)amino]-

4-oxobutanoato

4-((1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil) 3-etil (4R)-1,3-oxazolidina-3,4-dicarboxilato

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-metoxibenzil)-3-metil-5-(2-metilbenzoil)benzamida

N-[(2R,3S)-3-amino-2-hidroxi-4-(4-hidroxifenil)butil]-N-(3-metoxibenzil)-N',N'-dipropilpentanodiamida

N^1-[(2R,3S)-3-amino-2-hidroxi-4-(4-metilfenil)butil]-N^1-benzil-N^3,N^3-dipropilbenceno-1,3,5-tricarboxamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-4-propoxibenzamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-1-metil-1H-indol-2-carboxamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-5-cloro-N-(3-etilbenzil)-2-(3-metil-4H-1,2,4-triazol-4-il)benzamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-4-(3,4-difluorofenil)-N-(3-etilbenzil)-2-metoxi-4-oxobutanamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-2-[3-(2-tienil)-1H-pirazol-1-il]acetamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-N-fenilpentanodiamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-2-(2-tioxo-1,3-benzotiazol-3(2H)-il)acetamida

N-[(2R,3S)-3-amino-4-ciclohexil-2-hidroxibutil]-N-benzil-5-

metil-N',N'-dipropilisoftalamida

N^1-[(2R,3S)-3-amino-2-hidroxi-4-(4-metoxifenil)butil]-N^1-(3-metoxibenzil)-N^3,N^3-dipropilbenceno-1,3,5-tricarboxamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-2-(3-hidroxi-4-metilfenil)acetamida

N-{(2R,3S)-3-amino-4-[3-fluoro-5-(trifluorometil)fenil]-2-hidroxibutil}-5-metil-N-(3-metilbutil)-N',N'-dipropilisoftalamida

N^1[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-7-fluoro-4H-imidazo [5,1-c] [1,4] benzoxazina-3-carboxamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-4-(3,4-dihidro-2H-1,5-benzodioxepin-7-il)-N-(3-etilbenzil)-4-oxobutanamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-1-benzofuran-3-carboxamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil-N'-(3,4-diclorofenil)-N-(3-etilbenzil)malonamida

N^1-(2R,3S)-3-amino-4-[3-fluoro-5-(trifluorometil)fenil]-2-hidroxibutil}-N^1-benzil-N^3,N^3-dipropilbenceno-1,3,5-tricarboxamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-[(1R)-2-hidroxi-1-metiletil]-5-metil-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-2-hidroxi-4-(3-metilfenil)butil]-N-benzil-5-metil-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-

(3-etilbenzil)-N'-piridin-3-ilpentanodiamida

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 2-metil-4-oxo-4H-cromeno-6-carboxilato

2-({2-[[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil](3-etilbenzil)amino]-2-oxoetil}tio)-N-(5-metilisoxazol-3-il)acetamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-[3-(1H-imidazol-1-il)propil]-5-metil-N',N'-dipropilisoftalamida

N-{(2R,3S)-3-amino-4-[3-fluoro-5-(trifluorometil)fenil]-2-hidroxibutil}-3-[(dipropilamino)sulfonil]-N-(3-metoxibenzil)propanamida

N-[(2R,3S)-3-amino-2-hidroxi-4-(4-hidroxifenil)butil]-3-[(dipropilamino)sulfonil]-N-(3-metilbutil)propanamida

N-[(2R,3S)-3-amino-4-(1,3-benzodioxol-5-il)-2-hidroxibutil]-5-metil-N-(3-metilbutil)-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-3-hidroxi-4-(2-tienil)butil]-3-[(dipropilamino)sulfonil]-N-(3-metilbutil)propanamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-4-[(2,2-dimetilpropanoil)amino]-N-(3-etilbenzil)-2-hidroxibenzamida

N-[(2R,3S)-3-amino-2-hidroxi-4-(3-metoxifenil)butil]-5-metil-N-(3-metilbutil)-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(4-fluorofenil)-2-hidroxibutil]-3-[(3-metoxibenzil)amino]sulfonil}-N-[3-(trifluorometil)benzil]benzamida

N-{(2R, 38)-3-amino-2-hidroxi-4-[3-(trifluorometil)fenil]butil}-5-metil-N-(3-metilbutil)-N',N'-dipropilisoftalamida

N-{6-[[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil](3-etilbenzil)amino]-6-oxohexil}-2-furamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-2-[(1-fenil-4,5-dihidro-1H-tetrazol-5-il)tio]acetamida

N-[(2R,3S)-3-amino-2-hidroxi-4-fenilbutil]-3-1[(3-metoxibenzil)amino]sulfonil}-N-[3-(trifluorometil)benzil]benzamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-4-(3,4-dihidro-2H-cromen-6-il)-N-(3-etilbenzil)-4-oxobutanamida

N^1-[(2R,3S)-3-amino-2-hidroxi-4-(3-metoxifenil)butil]-N^1-(3-metilbutil)-N^3,N^3-dipropilbenceno-1,3,5-tricarboxamida

N-[(2R,3S)-3-amino-4-(3-fluoro-4-metilfenil)-2-hidroxibutil]-N-(3-metoxibenzil)-N',N'-dipropilpentanodiamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil) indolizina-2-carboxamida

N-{(2R,3S)-3-amino-2-hidroxi-4-[3-(trifluorometoxi)fenil]butil}-N-benzil-5-metil-N',N'-dipropilisoftalamida

1-óxido N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)nicotinamida

N-{(2R,3S)-3-amino-4-[3-(benziloxi)-5-fluorofenil]-2-hidroxibutil}-3-[(dipropilamino)sulfonil]-N-(3-metilbutil)propanamida

carbamato 2-[[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil] (3-yodobenzil)amino]-2-oxoetil

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-2,3-dihidro-1H-ciclopenta[b]quinolino-9-carboxamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-3-metil-1H-pirazol-5-carboxamida

N-{5-[[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil](3-etilbenzil)amino]-5-oxopentil}benzamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-4-[(metoximetil)tio]benzamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-3-(1,3-benzotiazol-2-il)-N-(3-etilbenzil)-3-metoxipropanamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-3-{[(metilamino)carbonil]amino}-3-(3-tienil)propanamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-5-piridin-2-iltiofeno-2-carboxamida

N^1-{(2R,3S)-3-amino-4-[3-(benziloxi)-5-fluorofenil]-2-hidroxibutil}-N^1-benzil-N^3,N^3-dipropilbenceno-1,3,5-tricarboxamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-2-(5,6-dimetil-2,4-oxo-1,2,3,4-tetrahidropiridin-3-il)-N-(3-etilbenzil)acetamida

N-[(2R,3S)-3-amino-4-(3-fluoro-4-metoxifenil)-2-hidroxibutil]-5-metil-N-(3-metilbutil)-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-2-isobutil-1,3-dioxoisoindolino-5-carboxamida

5-(acetilamino)-N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-2-furamida

N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N^1-(3-etilbenzil)-N^2-[(4-metoxifenil)acetil]glicinamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil) isoquinolino-4-carboxamida

N^1-{(2R,3S)-3-amino-4-(3-(benziloxi)fenil]-2-hidroxibutil}-N^1-(3-metilbutil)-N^3,N^3-dipropilbenceno-1,3,5-tricarboxamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-2-(4-hidroxi-3-metoxifenil)acetamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-2-[(4-fenil-4H-1,2,4-triazol-3-il)tio]acetamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-2-(3,5-dimetoxifenil)-N-(3-etilbenzil)acetamida

N-[(2R,3S)-3-amino-2-hidroxi-4-(3-metoxifenil)butil]-N-benzil-5-metil-N',N'-dipropilisofalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-2-(2-etil-4H-[1,2,4] triazolo [1,5-a] benzimidazol-4-il)acetamida

N-[(2R,3S)-3-amino-4-(2-furil)-2-hidroxibutil]-N-benzil-5-metil-N',N'-dipropilisoftalamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-7-cloro-N-(3-etilbenzil)-1-benzofuran-2-carboxamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-2-

(1,3-dioxo-1,3-dihidro-2H-isoindol-2-il)-N-(3-etilbenzil)propanamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-3-(2-oxo-2H-1,3-benzoxazin-3 (4H)-il)propanamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-2-(pirimidin-2-iltio)acetamida

N'-[3-(aminocarbonil)-4,5,6,7-tetrahidro-1-benzotien-2-il]-N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)succinamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-2-[(5-fenil-1,3,4-oxadiazol-2-il)tio]acetamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil) quinolino-6-carboxamida

N^1-[(2R,3S)-3-amino-4-(2-furil)-2-hidroxibutil]-N1-benzil-N^3,N^3-dipropilbenceno-1,3,5-tricarboxamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-4-(2,3-dihidro-1,4-benzodioxin-6-il)-N-(3-etilbenzil)-4-oxobutanamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-3-(1H-indol-3-il)-1H-pirazol-5-carboxamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-2-hidroxi-4-{[(metilamino)carbonotioil]amino}-benzamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-6-cloro-N-(3-etilbenzil)nicotinamida

N-[(2R,3S)-3-amino-4-(3,5-)-difluorofenil)-2-hidroxibutil]-N-

(3-etilbenzil)-4-(3-hidroxifenil)-4-oxobutanamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-2-(ftalazin-1-iltio)acetamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-2-[(1-oxidopiridin-2-il)tio]acetamida

3-(acetilamino)-N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-5-fluoro-1H-indol-2-carboxamida

N-[(2R,3S)-3-amino-2-hidroxi-4-fenilbutil]-3-1[(3-clorobenzil)amino]sulfonil}-N-[3-(trifluorometil)benzil]benzamida

N^1-(2R,3S)-3-amino-4-[4-(benziloxi)fenil]-2-hidroxibutill-N-(3-metoxibenzil)-N^3,N^3-dipropilbenceno-1,3,5-tricarboxamida

N^1-[(2R,3S)-3-amino-4-(1,3-benzodioxol-5-il)-2-hidroxibutil]-N^1-benzil-N^3,N^3-dipropilbenceno-1,3,5-tricarboxamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-4-(3,4-diclorofenil)-N-(3-etilbenzil)-2-hidroxi-3-metil-4-oxobutanamida

N-{(2R,3S)-3-amino-2-hidroxi-4-[3-(trifluorometoxi)fenil]butil}-3-[(dipropilamino)sulfonil]-N-(3-metilbutil)propanamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-N'-(5-metil-1,3,4-tiadiazol-2-il)succinamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-2-(2-etil-1H-benzimidazol-1-il)-N-(3-etilbenzil)acetamida

N-[(2R,3S)-3-amino-4-(1,3-benzodioxol-5-il)-2-hidroxibutil]-3-[(dipropilamino)sulfonil]-N-(3-metoxibenzil)propanamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-3-(2-oxo-1,3-benzoxazol-3(2H)-il)propanamida

N-[(2R,3S)-3-amino-4-(3,5-diclorofenil)-2-hidroxibutil]-3-[(dipropilamino)sulfonil]-N-(3-metilbutil)propanamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-N'-(6-metilpiridin-2-il)succinamida

etil (4R)-4-}[[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil] (3-etilbenzil)amino]carbonil}-1,3-oxazolidina-3-carboxilato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-(butilsulfinil)-N-(metoxicarbonil)-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil S-butil-N-(metoxivarbonil)-D-cisteinato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-[(benziloxi)carbonil]-3-[(4,4,4-trifluorobutil)sulfonil]-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-[(benziloxi)carbonil]-3-[(4,4,4-trifluorobutil)sulfinil]-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-[(benziloxi)carbonil]-S-(4,4,4-trifluorobutil)-D-cisteinato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-(butilsulfonil)-N-(metoxicarbonil)-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-(butilsulfonil)-N-[(2,2,2-trifluoroetoxi)carbonil]-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-(butilsulfonil)-N-[(2-cianoetoxi)carbonil]-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-(butilsulfonil)-N-{[(3R)-pirrolidin-3-iloxi]carbonil}-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-(butilsulfonil)-N-{[(3S)-tetrahidrofuran-3-iloxi]carbonil}-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-{[2-(acetilamino)etoxi]carbonil}-3-(butilsulfonil)-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-(butilsulfonil)-N-[(piridin-3-ilmetoxi)carbonil]-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-(butilsulfonil)-N-[(piridin-4-ilmetoxi)carbonil]-D-alaninato

(1R,2S)-2-amino-1-[(ciclopropilamino)metil]-3-(3,5-difluorofenil)propil 3-(butilsulfonil)-N-(metoxicarbonil)-D-alaninato

(1R,2S)-2-amino-1-[(ciclopropilamino)metil]-3-(3,5-difluorofenil)propil 3-(butilsulfonil)-N-[(2-cianoetoxi)carbonil]-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-metilbutil)amino]metil}propil N-[(benziloxi)carbonil]-3-(butilsulfonil)-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-metilbutil)amino]metil}propil 3-(butilsulfonil)-N-(metoxicarbonil)-D-alaninato

(1R,2S)-2-amino-1-[(ciclopropilamino)metil]-3-(3,5-difluorofenil)propil N-[(2-cianoetoxi)carbonil]-3-[(1-propilbutil)sulfonil]-D-alaninato

(1R,2S)-2-amino-1-[(ciclopropilamino)metil]-3-(3,5-difluorofenil)propil N-{[2-(acetilamino)etoxi]carbonil}-3-[(1-propilbutil)sulfonil]-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-metilbutil)amino]metil}propil N-(metoxicarbonil)-3-[(1-propilbutil)sulfonil]-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-metilbutil)amino]metil}propil N-[(benziloxi)carbonil]-3-[(1-propilbutil)sulfonil]-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-{[2-(dietilamino)-2-oxoetoxi]carbonil}-3-[(1-propilbutil)sulfonil]-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-(metoxicarbonil)-3-[(1-propilbutil)sulfonil]-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metillpropil N-(isopropoxicarbonil)-3-[(1-propilbutil)sulfonil]-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-[(ciclopropilmetoxi)carbonil]-3-[(1-propilbutil)sulfonil]-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-[(aliloxi)carbonil]-3-[(1-propilbutil)sulfonil]-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-[(2-cianoetoxi)carbonil]-3-[(1-propilbutil)sulfonil]-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-{[2-(acetilamino)etoxi]carbonil}-3-[(1-propilbutil)sulfonil]-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-[(1-propilbutil)sulfonil]-N-[(piridin-3-ilmetoxi)carbonil]-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-[(1-propilbutil)sulfonil]-N-[(piridin-4-ilmetoxi)carbonil]-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil (2R)-2-{[(benziloxi)carbonil]amino}-4-(metilsulfonil)butanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-[(benziloxi)carbonil]-3-(butilsulfonil)-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

etilbenzil)amino]metil}propil N-[(benziloxi)carbonil]-3-(butilsulfonil)-1-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-(I[(1R)-2-hidroxi-1-feniletil]amino}metil)propil N-[(benziloxi)carbonil]-3-[(1-propilbutil)sulfonil]-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[(1R)-2-metoxi-1-feniletil]amino}metil)propil N-[(benziloxi)carbonil]-3-[(1-propilbutil)sulfonil]-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[(1S)-2-metoxi-1-feniletil]amino}metil)propil N-[(benziloxi)carbonil]-3-[(1-propilbutil)sulfonil]-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[1-(3-etilfenil)ciclopropil]amino}metil)propil N-[(benziloxi)carbonil]-3-[(1-propilbutil)sulfonil]-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-[(1-propilbutil)sulfonil]-N-[(prop-2-in-1-iloxi)carbonil]-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-[(2-metoxietoxi)carbonil]-3-[(1-propilbutil)sulfonil]-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-({[(3R)-1-acetilpirrolidin-3-il]oxi}carbonil)-3-[(1-propilbutil)sulfonil]-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-[(1-propilbutil)sulfonil]-N-{[(3S)-tetrahidrofuran-3-iloxi]carbonil}-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

etilbenzil)amino]metil}propil 3-[(1-propilbutil)sulfonil]-N-{[(3S)-tetrahidrofuran-3-iloxi]carbonil}-1-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-[(benziloxi)carbonil]-3-[(1-propilbutil)sulfonil]-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-[(benziloxi)carbonil]-3-[(1-propilbutil)sulfonil]-1-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[1-(3-etilfenil)ciclopropil]amino}metil)propil N-[(benziloxi)carbonil]-3-[(1-propilbutil)sulfonil]alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-[(benziloxi)carbonil]-3-[(1-propilbutil)sulfonil]alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-metilbutil)amino]metil}propil N-[(benziloxi)carbonil]-3-[(1-propilbutil)sulfonil]alaninato

(1R,2S)-2-amino-1-[(ciclopropilamino)metil]-3-(3,5-difluorofenil)propil N-[(benziloxi)carbonil]-3-[(1-propilbutil)sulfonil]alaninato

(1R,2S)-2-amino-1-{[(ciclopropilmetil)amino]metil}-3-(3,5-difluorofenil)propil N-[(benziloxi)carbonil]-3-[(1-propilbutil)sulfonil]alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilfenil)amino]metil}propil N-[(benziloxi)carbonil]-3-[(1-propilbutil)sulfonil]alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-[(12-[3-

(trifluorometil)fenil]etil}amino)metil]propil N-[(benziloxi)carbonil]-3-[(1-propilbutil)sulfonil]alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-[(1-propilbutil)sulfonil]-N-[(piridin-3-ilmetoxi)carbonil]alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-[(1-propilbutil)sulfonil]-N-{[(3S)-tetrahidrofuran-3-iloxi]carbonil}alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-[(1-propilbutil)sulfonil]-N-{[(3R)-tetrahidrofuran-3-iloxi]carbonil}alaninato

(1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-fenilpropil 3-[(1-propilbutil)sulfonil]-N-{[(3S)-tetrahidrofuran-3-iloxi]carbonil}alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-({[(3R)-1-acetilpirrolidin-3-iloxi}carbonil)-3-[(1-propilbutil)sulfonil]alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-[(1-propilbutil)sulfonil]-N{[(3R)-pirrolidin-3-iloxi]carbonil}alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N ({[(3R)-1-benzilpirrolidin-3-il]oxi}carbonil)-3-[(1-propilbutil)sulfonil]alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-({[(3R)-1,1-dioxidotetrahidro-3-tienil]oxi}carbonil)-3-[(1-propilbutil)sulfonil]alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-[(1-propilbutil)sulfonil]-N{[(3R)-tetrahidro-3-tieniloxi]carbonil}alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-[(ciclopentiloxi)carbonil]-3-[(1-propilbutil)sulfonil]alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-[(ciclohexiloxi)carbonil]-3-[(1-propilbutil)sulfonil]alaninato

(1R,2S)-2-amino-1-[(ciclopropilamino)metil]-3-(3,5-difluorofenil)propil 3-[(1-propilbutil)sulfonil]-N-[(tetrahidro-2H-piran-4-iloxi)carbonil]alaninato

(1R,2S)-2-amino-3-(3,5-l)difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-({[1-(metilsulfonil)piperidin-4-il]oxi}carbonil)-3-[(1-propilbutil)sulfonil]alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-{[(1-acetilpiperidin-4-il)oxi]carbonil}-3-[(1-propilbutil)sulfonil]alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-({[(2R)-5-oxopirrolidin-2-il]metoxi}carbonil)-3-[(1-propilbutil)sulfonil]alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-({[(2S)-5-oxopirrolidin-2-il]metoxi}carbonil)-3-[(1-propilbutil)sulfonil]alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-[(2-metoxietoxi)carbonil]-3-

[(1-propilbutil)sulfonil]alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-[(benziloxi)carbonil]-3-(butilsulfonil)alaninato

(1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-fenilpropil N-[(benziloxi)carbonil]-3-[(1-propilbutil)sulfonil]alaninato

clorhidrato de N-{(1S,2R)-1-benzil-2-hidroxi-3-[(3-metoxibenzil)amino]propil}-2-hidroxi-4-(fenilsulfonil)butanamida

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N^2-[(benziloxi)carbonil]-N^5,N^5-dipropil-1-glutaminato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N^2-[(benziloxi)carbonil]-N^5,N^5-dipropil-D-glutaminato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-(butilsulfonil)-N-(3,3,3-trifluoropropanoil)-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-(butilsulfonil)-N-(trifluoroacetil)-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-acetil-3-(butilsulfonil)-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-(butilsulfonil)-N-

isonicotinoil-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-(butilsulfonil)-N-(ciclopropilcarbonil)-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil-alanil-3-(butilsulfonil)-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil glicil-3-(butilsuflonil)-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N,N-dimetilglicil-3-(butilsulfonil)-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N,N-dimetil-ß-alanil-3-(butilsulfonil)-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-(butilsulfonil)-N-(metoxiacetil)-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-(butilsulfonil)-N-(piridin-3-ilcarbonil)-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-(butilsulfonil)-N-[(2,4-dimetil-1,3-tiazol-5-il)carbonil]-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-(butilsulfonil)-N-{[3-

(trifluorometil)-1H-pirazol-4-il]carbonil}-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-(butilsulfonil)-N-[(3-metil-1H-pirazol-5-il)carbonil]-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-(butilsulfonil)-N-(1H-imidazol-4-ilcarbonil)-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil (2R)-5-hidroxi-2-[(metoxicarbonil)amino]nonanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-(butilsulfonil)-N-[(6-hidroxipiridin-3-il)carbonil]-D-alaninato

(1R,2S)-2-amino-1-[(ciclopropilamino)metil]-3-(3,5-difluorofenil)propil 3-(butilsulfonil)-N-piridin-3-ilcarbonil)-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-metilbutil)amino]metil}propil N-acetil-3-(butilsulfonil)-D-alaninato

(1R,2S)-2-amino-1-[(ciclopropilamino)metil]-3-(3,5-difluorofenil)propil N-(ciclopropilcarbonil)-3-[(1-propilbutil)sulfonil]-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-metilbutil)amino]metil}propil N-acetil-3-[(1-propilbutil)sulfonil]-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-isonicotinoil-3-[(1-

propilbutil)sulfonil]-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-[(5-bromopiridin-3-il)carbonil]-3-[(1-propilbutil)sulfonil]-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-[(3-etilbenzil)amino]metil}propil N-[(5-cloropiridin-3-il)carbonil]-3-[(1-propilbutil)sulfonil]-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-(3-fluorobenzoil)-3-[(1-propilbutil)sulfonil]-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-[(5-metilpiridin-3-il)carbonil]-3-[(1-propilbutil)sulfonil]-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-fenilglicil-3-[(1-propilbutil)sulfonil]-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-[(1-propilbutil)sulfonil]-N-{[3-(trifluorometil)-1H-pirazol-4-il]carbonil}-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-[(3-metil-1H-pirazol-5-il)carbonil]-3-[(1-propilbutil)sulfonil]-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil-3-[(1-propilbutil)sulfonil]-N-(1,3-tiazol-4-ilcarbonil)-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-[(1-acetilpiperidin-4-

il)carbonil]-3-[(1-propilbutil)sulfonil]-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-[4-(acetilamino)butanoil]-3-[(1-propilbutil)sulfonil]-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-acetil-ß-alanil-3-[(1-propilbutil)sulfonil]-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-(cloroacetil)-3-[(1-propilbutil)sulfonil]-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-(metoxiacetil)-3-[(1-propilbutil)sulfonil]-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-(3-metoxipropanoil)-3-[(1-propilbutil)sulfonil]-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-(2,2-dimetilpropanoil)-3-[(1-propilbutil)sulfonil]-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino)metil}propil N-isobutiril-3-[(1-propilbutil)sulfonil]-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-butiril-3-[(1-propilbutil)sulfonil]-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-acetil-3-[(1-

propilbutil)sulfonil]-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[1-(3-etilfenil)ciclopropil]amino}metil)propil 3-[(1-propilbutil)sulfonil]-N-(piridin-3-ilcarbonil)-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[1-(3-etilfenil)ciclopropil]amino}metil)propil N-isonicotinoil-3-[(1-propilbutil)sulfonil]-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[(1-(3-etilfenil)ciclopropil]amino}metil)propil N-(3-hidroxibenzoil)-3-[(1-propilbutil)sulfonil-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-[(1-propilbutil)sulfonil]-N-(piridin-3-ilcarbonil)-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-(3-hidroxibenzoil)-3-[(1-propilbutil)sulfonil]-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N (ciclopropilcarbonil)-3-[(1-propilbutil)sulfonil]-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-[(3-etilbenzil)aminoAmetil}propil N-propionil-3-[(1-propilbutil)sulfonil]-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-(butilsulfonil)-N-(piridin-3-ilcarbonil)alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[1-(3-etilfenil)ciclopropil]amino}metil)propil N-(3-

hidroxibenzoil)-3-[(1-propilbutil)sulfonil]alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[1-(3-

etilfenil)ciclopropil]amino}metil)propil N-isonicotinoil-3-

[(1-propilbutil)sulfonil]alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

etilbenzil)amino]metil}propil N-[(6-oxo-1,4,5,6-

tetrahidropiridazin-3-il)carbonil]-3-[(1-

propilbutil)sulfonil]alaninato

clorhidrato de (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

etilbenzil)amino]metil}propil-5-oxo-D-prolil-3-[(1-

propilbutil)sulfonil]alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

etilbenzil)amino]metil}propil 5-oxo-1-prolil-3-[(1-

propilbutil)sulfonil]alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

etilbenzil)amino]metil}propil N-[3-(4-oxo-2-tioxo-1,3-

tiazolidin-3-il)propanoil]-3-(1-

propilbutil)sulfonil]alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

etilbenzil)amino]metil}propil N-(piperidin-4-ilcarbonil)-3-

[(1-propilbutil)sulfonillalaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

etilbenzil)amino]metil}propil N-[(2,4-dimetil-1,3-tiazol-5-

il)carbonil]-3-[(1-propilbutil)sulfonil]alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

etilbenzil)amino]metil}propil N-{[2-metil-4-(trifluorometil)-

1,3-tiazol-5-il]carbonil}-3-[(1-

propilbutil)sulfonil]alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-[(3,5-dimetilisoxazol-4-il)carbonil]-3-[(1-propilbutil)sulfonil]alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-[(3-metil-1H-pirazol-5-il)carbonil]-3-[(1-propilbutil)sulfonil]alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-[(1-propilbutil)sulfonil]-N-(1H-pirazol-4-ilcarbonil)alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-(1H-imidazol-5-ilcarbonil)-3-[(1-propilbutil)sulfonil]alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-(1H-imidazol-4-ilacetil)-3-[(1-propilbutil)sulfonil]alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-[(1-propilbutil)sulfonil]-N-(pirazin-2-ilcarbonil)alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-(2,6-dihidroxiisonicotinoil)-3-[(1-propilbutil)sulfonil]alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-[(6-hidroxipiridin-3-il)carbonil]-3-[(1-propilbutil)sulfonilgalaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-[(6-cloropyndin-3-

il)carbonil]-3-[(1-propilbutil)sulfonil]alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-isonicotinoil-3-[(1-propilbutil)sulfonil]alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-[(1-propilbutil)sulfonil]-N-(piridin-3-ilcarbonil)alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-[(1-propilbutil)sulfonil]-N-(piridin-2-ilcarbonil)alaninato

clorhidrato de (1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-(1H-indol-6-ilcarbonil)-3-[(1-propilbutil)sulfonil]alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metillpropil 3-[(I-propilbutil)sulfonil]-N-(3,4,5-trimetoxibenzoil)alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-(2-metilbenzoil)-3-[(1-propilbutil)sulfonil]alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-(3-hidroxibenzoil)-3-[(1-propilbutil)sulfonil]alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-(3-metilbenzoil)-3-[(1-propilbutil)sulfonil]alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-(3-etilbenzoil)-3-[(1-

propilbutil)sulfonil]alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-(3-clorobenzoil)-3-[(1-propilbutil)sulfonil]alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-[(1-propilbutil)sulfonil]-N-[4-(trifluorometil)benzoil]alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-(4-metoxibenzoil)-3-[(1-propilbutil)sulfonil]alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-[(1-propilbutil)sulfonil]-N-[4-(trifluorometil)benzoil]alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-(ciclohexilcarbonil)-3-[(1-propilbutil)sulfonil]alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-benzoil-3-[(1-propilbutil)sulfonil]alaninato

(1R,2S)-2-amino-1-(ciclopropilamino)metil]-3-(3,5-difluorofenil)propil N-benzoil-3-[(1-propilbutil)sulfonil]alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-(fenilacetil)-3-[(1-propilbutil)sulfonil]alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-(3-fenilpropanoil)-3-[(1-

propilbutil)sulfonil]alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-(benzoilamino)-2-1[(1-propilbutil)sulfonil]metil}propanoato

(1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-fenilpropil N-(ciclopropilacetil)-3-[(1-propilbutil)sulfonil]alaninato

(1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-fenilpropil N-[(metilsulfonil)acetil]-3-[(1-propilbutil)sulfonil]alaninato

(1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-fenilpropil N-[(metiltio)acetil]-3-[(1-propilbutil)sulfonil]alaninato

(1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-fenilpropil N-[4-(metilamino)-4-oxobutanoil]-3-[(1-propilbutil)sulfonil]alaninato

(1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-fenilpropil N-(metilsulfonil)glicil-3-[(1-propilbutil)sulfonil]alaninato

(1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-fenilpropil N-acetil-3-(fenilsulfonil)alaninato

(1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-fenilpropil (2S)-2-[(4-metoxi-4-oxobutanoil)amino]-5-oxo-5-piperidin-1-ilpentanoato

(1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-fenilpropil (2R)-2-{[(benziloxi)carbonil]amino}-5-oxo-5-piperidin-1-ilpentanoato

(1R,2S)-2-anzino-1-{[(3-metoxibenzil)amino]metil}-3-fenilpropil (2R)-2-[(3-etoxi-3-oxopropanoil)amino]-5-oxo-5-piperidin-1-ilpentanoato

(1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-fenilpropil N^2-(4-metoxi-4-oxobutanoil)-N^5,N^5-dipropil-D-glutaminato

(1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-fenilpropil (2R)-2-[(4-metoxi-4-oxobutanoil)amino]-5-oxo-5-piperidin-1-ilpentanoato

(1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-fenilpropil (2R)-2-[(5-metoxi-5-oxopentanoil)amino]-5-oxo-5-piperidin-1-ilpentanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 2-(acetiloxi)-3-(butilsulfonil)propanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-I-I[(3-etilbenzil)amino]metil}propil S-butil-D-cisteinato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-(butilsulfinil)-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-(butilsulfonil)-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-(butilsulfonil)-1-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-metilbutil)amino]metil}propil 3-(butilsulfonil)-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[1-(3-etilfenil)ciclopropil]amino}metil)propil 3-[(1-

propilbutil)sulfonil]-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-[(1-propilbutil)sulfonil]-1-alaninato

(1R,2S)-2-amino-1-[(ciclopropilamino)metil]-3-(3,5-difluorofenil)propil 3-[(1-propilbutil)sulfonil]-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-metilbutil)amino]metil}propil 3-[(1-propilbutil)sulfonil]-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-[(1-propilbutil)sulfonil]-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-[(1-propilbutil)sulfonil]alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-I-I[(3-etilbenzil)amino]metil}propil N-(fenoxiacetil)-3-[(1-propilbutil)sulfonil]alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-{[(5-cloro-2-tienil)tio]peroxi}-3-[(1-propilbutil)sulfonil]alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil N-(fenilsulfonil)-3-[(1-propilbutil)sulfonil]alaninato

(1R,2S)-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}-2-(metilamino)propil N-[(benzilamino)carbonil]-3-[(1-propilbutil)sulfonil]alaninato

4-{[(1R,2S)-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}-2-(metilamino)propil]oxi}-4-oxo-3-{[(1-propilbutil)sulfonil]metil}butanoic acid

Ácido 4-[((1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-fenilpropil)oxi]-3-{[(3-metilbutil)sulfonil]metil}-4-oxobutanoico

1-((1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-fenilpropil) 4-metil 2-{[(3-metilbutil)sulfonil]metil}succinato

(1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-fenilpropil 4-amino-2-{[(3-metilbutil)sulfonil]metil}-4-oxobutanoato

(1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-fenilpropil4-(metilamino)-2-{[(3-metilbutil)sulfonil]metil}-4-oxobutanoato

(1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-fenilpropil 4-(dimetilamino)-2-{[(3-metilbutil)sulfonil]metil}-4-oxobutanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil3-(4,4-dimetil-2,5-dioxoimidazolidin-1-il)-2-{[(1-propilbutil)sulfonil]metil}propanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil3-(4,4-dimetil-2,5-dioxoimidazolidin-1-il)-2-{[(1-propilbutil)sulfonil]metil}propanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-

etilbenzil)amino]metil}propil3-(4,4-dimetil-2,5-dioxoimidazolidin-1-il)-2-{[(1-propilbutil)sulfonil]metil}propanoato

(1R,2R)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-fenilpropil 3-(etilsulfonil)-2-{[(isobutilsulfonil)amino]metil}propanoato

(1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-fenilpropil 3-(etiltio)-2-{[(isobutilsulfonil)amino]metil}propanoato

(1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-fenilpropil (2S)-2-{[(3-metilbutil)sulfonil]amino}-4-(metilsulfonil)butanoato

(1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-fenilpropil N-[(3-metilbutil)sulfonil]-1-metioninato

(1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-fenilpropil 3-(acetiltio)-2-{[(3-metilbutil)sulfonil]metil}propanoato

(1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-fenilpropil 2-hidroxi-3-[(1-propilbutil)sulfonil]propanoato

(1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-fenilpropil 2-hidroxi-3-[(3-metilbutil)sulfonil]propanoato

(1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-fenilpropil 2-hidroxi-3-[(3-metoxifenil)sulfonil]propanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 2-hidroxi-4-(fenilsulfonil)butanoato

(1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-

fenilpropil 2-hidroxi-4-[(3-metilbutil)sulfonil]butanoato

(1R,2S)-2-amino-1-1[(3-metoxibenzil)amino]metil}-3-

fenilpropil 4-[(3-metilbutil)sulfonil]-2-fenoxibutanoato

(1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-

fenilpropil 2-(3-metoxifenoxi)-4-[(3-

metilbutil)sulfonil]butanoato

Ácido 3-{1-{[((1R,2S)-2-amino-1-{[(3-

metoxibenzil)amino]metil}-3-fenilpropil)oxi]carbonil}-3-[(3-

metilbutil)sulfonil]propoxi}benzoico

metil 3-{1-{[((1R,2S)-2-amino-1-{[(3-

metoxibenzil)amino]metil}-3-fenilpropil)oxi]carbonil}-3-[(3-

metilbutil)sulfonil]propoxi}benzoato

(1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-

fenilpropil 2-hidroxi-4-(fenilsulfonil)butanoato

(1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-

fenilpropil 2-hidroxi-4-(feniltio)butanoato

(1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-

fenilpropil2-metoxi-4-(fenilsulfonil)butanoato

(1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-

fenilpropil 2-metoxi-4-(feniltio)butanoato

(1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-

fenilpropil 4-(fenilsulfonil)-2-propoxibutanoato

(1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-

fenilpropil 2-(benziloxi)-4-(fenilsulfonil)butanoato

(1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-

fenilpropil N-[(benziloxi)carbonil] metioninato

(1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-

fenilpropil (2S)-2-amino-5-oxo-5-piperidin-1-ilpentanoato

(1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-fenilpropil (2S)-2-[(2-metoxi-2-oxoetil)amino]-5-oxo-5-piperidin-1-ilpentanoato

(1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-fenilpropil (2R)-2-amino-5-oxo-5-piperidin-1-ilpentanoato

(1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-fenilpropil (2R)-2-[(2-etoxi-2-oxoetil)amino]-5-oxo-5-piperidin-1-ilpentanoato

(1R,2S)-2-amino-1-{[(3-metoxibenzil)amino]metil}-3-fenilpropil (2R)-2-[(4-etoxi-4-oxobutil)amino]-5-oxo-5-piperidin-1-ilpentanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil (2S)-2-[(metoxicarbonil)amino]-4-oxooctanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 4-butil-N-(metoxicarbonil)-D-homoserinato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-(2-butil-1,3-dioxolan-2-il)-N-(metoxicarbonil)-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-(2-butil-1,3-dioxan-2-il)-N-(metoxicarbonil)-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil (2R)-4,4-difluoro-2-[(metoxicarbonil)amino]octanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil (2R)-4-fluoro-2-[(metoxicarbonil)amino]octanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil (2R)-2-[(metoxicarbonil)amino]-5-oxononanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil (2R)-5-hidroxi-2-[(metoxicarbonil)amino]nonanoato

(1R,2S)-2-amino-3-(3,5-diQuoropheuil)-1-{[(3-etilbenzil)amino]metil}propil (2R)-4-(2-butil-1,3-dioxolan-2-il)-2-[(metoxicarbonil)amino]butanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil (2R)-4-(2-butil-1,3-dioxan-2-il)-2-[(metoxicarbonil)amino]butanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil (2R)-5-fluoro-2-[(metoxicarbonil)amino]nonanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil (2R)-5,5-difluoro-2-[(metoxicarbonil)amino]nonanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etinilbenzil)amino]metil}propil 3-(butilsulfonil)-N-(metoxicarbonil)-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[3-(trifluorometil)benzil]amino}metil)propil 3-(butilsulfonil)-N-(metoxicarbonil)-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[1-(3-etilfenil)ciclopropil]amino}metil)propil 3-(butilsulfonil)-N-(metoxicarbonil)-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[1-(3-etinilfenil)ciclopropil]amino}metil)propil 3-(butilsulfonil)-N-(metoxicarbonil)-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-[(f 1-[3-(trifluorometil)fenil]ciclopropil}amino)metil]propil 3-(butilsulfonil)-N-(metoxicarbonil)-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-I-I[(3-etinilbenzil)amino]metil}propil 3-(butilsulfonil)-N-[(3-metil-1H-pirazol-5-il)carbonil]-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[3-(trifluorometil)benzil]amino}metil)propil 3-(butilsulfonil)-N-[(3-metil-1H-pirazol-5-il)carbonil]-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[1-(3-etilfenil)ciclopropil]amino}metil)propil 3-(butilsulfonil)-N-[(3-metil-1H-pirazol-5-il)carbonil]-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[1-(3-etinilfenil)ciclopropil]amino}metil)propil 3-(butilsulfonil)-N-[(3-metil-1H-pirazol-5-il)carbonil]-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-[(11-[3-(trifluorometil)fenil]ciclopropil}amino)metil]propil 3-(butilsulfonil)-N-[(3-metil-1H-pirazol-5-il)carbonil]-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil (2R)-2-

{[(metilamino)carbonil]amino}-4-oxooctanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 4-butil-N-[(metilamino)carbonil]-D-homoserinato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-(2-butil-1,3-dioxolan-2-il)-N-[(metilamino)carbonil]-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-(2-butil-1,3-dioxan-2-il)-N-[(metilamino)carbonil]-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil (2R)-4,4-difluoro-2-{[(metilamino)carbonil]amino}octanoate

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil (2R)-4-fluoro-2-{[(metilamino)carbonil]amino}octanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil (2R)-2-{[(metilamino)carbonil]amino}-5-oxononanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil (2R)-5-hidroxi-2-{[(metilamino)carbonil]amino}nonanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil (2R)-4-(2-butil-1,3-dioxolan-2-il)-2-{[(metilamino)carbonil]amino}butanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil (2R)-4-(2-butil-1,3-dioxan-2-

il)-2-{[(metilamino)carbonil]amino}butanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil (2R)-5-fluoro-2-{[(metilamino)carbonil]amino}nonanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil (2R)-5,5-difluoro-2-{[(metilamino)carbonil]amino}nonanoato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etinilbenzil)amino]metil}propil 3-(butilsulfonil)-N-[(metilamino)carbonil]-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[3-(trifluorometil)benzil]amino}metil)propil 3-(butilsulfonil)-N-(metilamino)carbonil-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[1-(3-etilfenil)ciclopropil]amino}metil)propil 3-(butilsulfonil)-N-[(metilamino)carbonil]-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[1-(3-etinilfenil)ciclopropil]amino}metil)propil 3-(butilsulfonil)-N-[(metilamino)carbonil]-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-[({1-[3-(trifluorometil)fenil]ciclopropil}amino)metil]propil 3-(butilsulfonil)-N-(metilamino)carbonil]-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etinilbenzil)amino]metil}propil 3-(butilsulfonil)-N-[(4-metil-1H-pirazol-1-il)carbonil]-D-alaninato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-({[3-(trifluorometil)benzil]amino}metil)propil 3-(butilsulfonil)-

N-[(4-metil-1H-pirazol-1-il)carbonil]-D-alaninato

(1R,2S)-2-amino-3-(3,5-diflluorofenil)-1-({[1-(3-etilfenil)ciclopropil]amino}metil)propil 3-(butilsulfonil)-N-[(4-metil-1H-pirazol-1-il)carbonil]-D-alaninato

(1R,2S)-2-amino-3-(3,5-difmorofenil)-1-({[1-(3-etinilfenil)ciclopropil]amino}metil)propil 3-(butilsulfonil)-N-[(4-metil-1H-pirazol-1-il)carbonil]-D-alaninato

(1R,2S)-2-amino-3-(3,5-diiluorofenil)-1-[({1-[3-(trifluorometil)fenil]ciclopropil}amino)metil]propil 3-(butilsulfonil)-N-[(4-metil-1H-pirazol-1-il)carbonil]-D-alaninato

benzil [(1R)-1-{[[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil] (3-etilbenzil)amino]carbonil}-3-(metilsulfonil)propil]carbamato

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-[(1-propilbutil)sulfonil]-N-[(tetrahidro-2H-piran-4-iloxi)carbonil]alaninato

S-(3-[[(2R,3S)-3-amino-2-hidroxi-4-fenilbutil] (3-metoxibenzil)amino]-2-[(3-metilbutil)sulfonil]metil}-3-oxopropil)etanotioato

N-[(2R,3S)-3-amino-2-hidroxi-4-fenilbutil]-N-(3-metoxibenzil)-2-(3-metoxifenoxi)-4-[(3-metilbutil)sulfonil]butanamida

N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-3-(butilsulfonil)-N^1-(3-etilbenzil)-N^2-(trifluoroacetil)-D-alaninamida

N-[(2R,3S)-3-amino-2-hidroxi-4-fenilbutil]-2-metoxi-N-(3-

metoxibenzil)-4-(feniltio)butanamida

N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-3-(butilsulfonil)-N^2-(ciclopropilcarbonil)-N^1-(3-etilbenzil)-D-alaninamida

ß-alanil-N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-3-(butilsulfonil)-N^1-(3-etilbenzil)-D-alaninamida

glicil-N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-3-(butilsulionil)-N^1-(3-etilbenzil)-D-alaninamida

(1R,2S)-2-amino-1-{[(3-metoxibenzil)aminogmetil}-3-fenilpropil (2R)-2-[(4-etoxi-4-oxobutil)amino]-S-oxo-5-piperidin-1-ilpentanoato

N,N-dimetil-p-alanil-N^1-[(2R, 3rS)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-3-(butilsulfonil)-N^1-(3-etilbenzil)-D-alaninamida

N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-3-(butilSulfonil)-N'-(3-etilbenzil)-N-(metoxiacetil)-D-alaninamida

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-(2-butil-1,3-dioxan-2-il)-N-(metoxicarbonil)-D-alaninato

N^2-acetil-N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-3-(butilsulfonil)-N^1-(3-metilbutil)-D-alaninamida

N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N^1-ciclopropil-N^2-(ciclopropilcarbonil)-3-[(1-propilbutil)

sulfonil]-D-alaninamida

N^2-acetil-N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N^1-(3-metilbutil)-3-[(1-propilbutil)sulfonil]-alaninamida

N-fenilglicil-N^1-[(2R,3S)-3-amino-4-[(3,5-difluorofenil)-2-hidroxibutil]-N^1-(3-etilbenzil)-3-[(1-propilbutil)sulfonil]-D-alaninamida

N-acetil-p-alanil-N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N^1-(3-etilbenzil)-3-[(1-propilbutil)sulfonil]-D-alaninamida

N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N^2-(cloroacetil)-N^1-(3-etilbenzil)-3-[(I-propilbutil)sulfonil]-D-alaninamida

N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N^1-(3-etilbenzil)-N^2-(metoxiacetil)-3-[(1-propilbutil)sulfonil]-D-alaninamida

N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N^1-(3-etilbenzil)-N^2-(3-metoxipropanoil)-3-[(1-propilbutil)sulfonil]-D-alaninamida

N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N^2-(2,2-dimetilpropanoil)-N^1-(3-etilbenzil)-3-[(1-propilbutil)sulfonil]-D-alaninamida

N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N^1-(3-etilbenzil)-N^2-isobutiril-3-[(1-propilbutil)sulfonil]-D-alaninamida

N^2-acetil-N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N^1-(3-etilbenzil)-3-[(1-propilbutil)sulfonil]-

alaninamida

N^2-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N^2-(ciclopropilcarbonil)-N^1-(3-etilbenzil)-3-[(1-propilbutil)sulfonil]-D-alaninamida

N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N^1-(3-etilbenzil)-N^2-propionil-3-[(1-propilbutil)sulfonil]-D-alaninamida

clorhidrato de 5-oxo-D-prolil-N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N^1-(3-etilbenzil)-3-[(1-propilbutil)sulfonil]alaninamida

5-oxo-1-prolil-N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N^1-(3-etilbenzil)-3-[(1-propilbutil)sulfonil]alaninamida

N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N^1-(3-etilbenzil)-N^2-[3-(4-oxo-2-tioxo-1,3-tiazolidin-3-il)propanoil]-3-[(1-propilbutil)sulfonil]alaninamida

N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N^1-(3-etilbenzil)-N^2-(1H-imidazol-4-ilacetil)-3-[(1-propilbutil)sulfonil]alaninamida

N^1-[(2R,3S)-3-amino-4-(3,5-difluoroph enil)-2-hidroxibutil]-N^1-(3-etilbenzil)-N^2-(fenilacetil)-3-[(1-propilbutil)sulfonil]alaninamida

N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N^1-(3-etilbenzil)-N^2-(3-fenilpropanoil)-3-[(1-propilbutil)sulfonilEalaninamida

N-(3-[[(2R,3S)-3-amino-4-(3,5-difluorofenil}-2-hidroxibutil](3-etilbenzil)amino]-3-oxo-2-{[(1-

propilbutil)sulfonil]metil}propil)benzamida

N^1-[(2R,3S)-3-amino-2-hidroxi-4-fenilbutil]-N^2-(ciclopropilacetil)-N^1-(3-metoxibenzil)-3-[(1-propilbutil)sulfonil]alaninamida

N^1-[(2R,3S)-3-amino-2-hidroxi-4-fenilbutil]-N^1-(3-metoxibenzil)-N^2-[(metilsulfonil)acetil]-3-[(1-propilbutil)sulfonil]alaninamida

N^1-[(2R,3S)-3-amino-2-hidroxi-4-fenilbutil]-N^1-(3-metoxibenzil)-N^2-[(metiltio)acetil]-3-[(1-propilbutil)sulfonil]alaninamida

N-(metilsulfonil)glicil-N^1-[(2R,3S)-3-amino-2-hidroxi-4-fenilbutil]-N^1-(3-metoxibenzil)-3-[(1-propilbutil)sulfonil]alaninamida

N^2-acetil-N^1-[(2R,3S)-3-amino-2-hidroxi-4-fenilbutil]-N^1-(3-metoxibenzil)-3-(fenilsulfonil) alaninamida

2-[[(2R,3S)-3-amino-4-(3,5-difluoroph enil)-2-hidroxibutil](3-etilbenzil)amino]-1-[(butilsulibnil)metil]-2-oxoetil acetato

N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-S-butil-N^1-(3-etilbenzil)-D-cisteinamida

N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-3-(butilSulfinil)-N^1-(3-etilbenzil)-D-alaninamida

N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-3-(butilSulfonil)-N^1-(3-etilbenzil)-D-alaninamida

N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil-3-(butilsulfonil)-N^1-(3-etilbenzil)-1-alaninamida

N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-3-

(butilsulfonil)-N^1-(3-metilbutil)-D-alaninamida

N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N^1-[1-(3-etilfenil)ciclopropil]-3-[(1-propilbutil)sulfonil]-D-alaninamida

N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N^1-(3-etilbenzil)-3-[(1-propilbutil)sulfonil]-1-alaninamida

N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N^1-ciclopropil-3-[(1-propilbutil)sulfonil]-D-alaninamida

N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N^1-(3-metilbutil)-3-[(1-propilbutil)sulfonil]-D-alaninamida

N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N^1-(3-etilbenzil)-3-[(1-propilbutil)sulfonil]-D-alaninamida

N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N^1-(3-etilbenzil)-3-[(1-propilbutil)sulfonil]alaninamida

N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N^1-(3-etilbenzil)-N^2-(fenoxiacetil)-3-[(1-propilbutil)sulfonil]alaninamida

N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N^2-}-{[(5-cloro-2-tienil)tio]peroxi}-N^1-(3-etilbenzil)-3-[(1-propilbutil)sulfonil]alaninamida

N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N^1-(3-etilbenzil)-N^2-(fenilsulfonil)-3-[(1-propilbutil)sulfonil]alaninamida

N^2-[(benzilamino)carbonil]-N^1-[(2R,3S)-4-(3,5-difluorofenil)-2-hidroxi-3-(metilamino)butil]-N^1-(3-etilbenzil)-3-[(1-propilbutil)sulfonil]alaninamida

Ácido 4-[[(2R,3S)-4-(3,5-difluorofenil)-2-hidroxi-3-

(metilamino)butil] (3-etilbenzil)amino]-4-oxo-3-{[(1-propilbutil)sulfonil]metil}butanoico

Ácido 4-[[(2R,3S)-3-amino-2-hidroxi-4-fenilbutil] (3-metoxibenzil)amino]-3-{[(3-metilbutil)sulfonil]metil}-4-oxobutanoic

metil 4-[[(2R,3S)-3-amino-2-hidroxi-4-fenilbutil] (3-metoxibenzil)amino]-3-{[(3-metilbutil)sulfonil]metil}-4-oxobutanoato

N^1-[(2R,3S)-3-amino-2-hidroxi-4-fenilbutil]-N^1-(3-metoxibenzil)-2-1[(3-metilbutil)sulfonil]metil}succinamida

N^1-[(2R,3S)-3-amino-2-hidroxi-4-fenilbutil-N^1-(3-metoxibenzil)-N^4-metil-2-{[(3-metilbutil)sulfonil]metil}succinamida

N^1-[(2R,3S)-3-amino-2-hidroxi-4-fenilbutil]-N^1-(3-metoxibenzil)-N^4,N^4-dimetil-2-{(3-metilbutil)sulfonil]metil}succinamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-3-(4,4-dimetil-2,5-dioxoimidazolidin-1-il)-N-(3-etilbenzil)-2-{[(1-propilbutil)sulfonil]metil}propanamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-3-(4,4-dimetil-2,5-dioxoimidazolidin-1-il)-N-(3-etilbenzil)-2-{[(1-propilbutil)sulfonil]metil}propanamida

(1R,2S)-2-amino-3-(3,5-difluorofenil)-1-{[(3-etilbenzil)amino]metil}propil 3-(4,4-dimetil-2,5-dioxoimidazolidin-1-il)-2-{[(1-propilbutil)sulfonil]metil}propanoato

N-[(2R,3S)-3-amino-2-hidroxi-4-fenilbutil]-3-(etilsulfonil)-

2-{[(isobutilsulfonil)amino]metil}-N-(3-metoxibenzil)propanamida

N-[(2R,3S)-3-amino-2-hidroxi-4-fenilbutil]-3-(etiltio)-2-{[(isobutilsulfonil)amino]metil}-N-(3-metoxibenzil)propanamida

(2S)-N-[(2R,3S)-3-amino-2-hidroxi-4-fenilbutil]-N-(3-metoxibenzil)-2-{[(3-metilbutil)sulfonil]amino}-4-(metilsulfonil)butanamida

N^1-[(2R,3S)-3-amino-2-hidroxi-4-fenilbutil]-N^1-(3-metoxibenzil)-N^2-[(3-metilbutil)sulfonil]-1-metioninamida

S-(3-[[(2R,3S)-3-amino-2-hidroxi-4-fenilbutil] (3-metoxibenzil)amino]-2-{[(3-metilbutil)sulfonil]metil}-3-oxopropil)etanotioato

N-[(2R,3S)-3-amino-2-hidroxi-4-fenilbutil]-2-hidroxi-N-(3-metoxibenzil)-3-[(1-propilbutil)sulfonil]propanamida

N-[(2R,3S)-3-amino-2-hidroxi-4-fenilbutil]-2-hidroxi-N-(3-metoxibenzil)-3-[(3-metilbutil)sulfonil]propanamida

N-[(2R,3S)-3-amino-2-hidroxi-4-fenilbutil]-2-hidroxi-N-(3-metoxibenzil)-3-[(3-metoxifenil)sulfonil]propanamida

N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-2-hidroxi-4-(fenilsulfonil)butanamida

N-[(2R,3S)-3-amino-2-hidroxi-4-fenilbutil]-2-hidroxi-N-(3-metoxibenzil)-4-[(3-metilbutil)sulfonil]butanamida

N-[(2R,3S)-3-amino-2-hidroxi-4-fenilbutil]-N-(3-metoxibenzil)-4-[(3-metilbutil)sulfonil]-2-fenoxibutanamida

N-[(2R,3S)-3-amino-2-hidroxi-4-fenilbutil]-N-(3-metoxibenzil)-2-(3-metoxifenoxi)-4-[(3-

metilbutil)sulfonil]butanamida

Ácido 3-{{1-[[(2R,3S)-3-amino-2-hidroxi-4-fenilbutil] (3-metoxibenzil)amino]carbonil}-3-[(3-metilbutil)sulfonil]propoxi}benzoico

metil 3-{1-{[[(2R,3S)-3-amino-2-hidroxi-4-fenilbutil] (3-metoxibenzil)amino]carbonil}-3-[(3-metilbutil)sulfonil]propoxi}benzoato

N-[(2R,3S)-3-amino-2-hidroxi-4-fenilbutil]-2-hidroxi-N-(3-metoxibenzil)-4-(fenilsulfonil)butanamida

N-[(2R,3S)-3-amino-2-hidroxi-4-fenilbutil]-2-hidroxi-N-(3-metoxibenzil)-4-(feniltio)butanamida

N-[(2R,3S)-3-amino-2-hidroxi-4-fenilbutil]-2-metoxi-N-(3-metoxibenzil)-4-(fenilsulfonil)butanamida

N-[(2R,3S)-3-amino-2-hidroxi-4-fenilbutil]-2-metoxi-N-(3-metoxibenzil)-4-(feniltio)butanamida

N-[(2R,3S)-3-amino-2-hidroxi-4-fenilbutil]-N-(3-metoxibenzil)-4-(fenilsulfonil)-2-propoxibutanamida

N-(2R,3S)-3-amino-2-hidroxi-4-fenilbutil]-2-(benziloxi)-N-(3-metoxibenzil)-4-(fenilsulfonil)butanamida

(2S)-2-amino-N-[(2R,3S)-3-amino-2-hidroxi-4-fenilbutil]-N-(3-metoxibenzil)-5-oxo-5-piperidin-1-ilpentanamida

(2R)-2-amino-N-[(2R,3S)-3-amino-2-hidroxi-4-fenilbutil]-N-(3-metoxibenzil)-5-oxo-5-piperidin-1-ilpentanamida

metil ((1R)-1-{[[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil](3-etilbenzil)amino]carbonil}-3-oxoheptil)carbamato

metil ((1R)-1-{[[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-

hidroxibutil] (3-etilbenzil)amino]carbonil}-3,3-difluoroheptil)carbamato

metil ((1R)-1-{[[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil] (3-etilbenzil)amino]carbonil}-3-fluoroheptil)carbamato

metil ((1R)-1-{[[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil] (3-etilbenzil)amino]carbonil}-4-oxooctil)carbamato

metil ((1R)-1-{[[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil] (3-etilbenzil)amino]carbonil}-4-hidroxioctil)carbamato

metil[(1R)-1-{[[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil] (3-etilbenzil)amino]carbonil}-3-(2-butil-1,3-dioxolan-2-il)propil]carbamato

metil[(1R)-1-{[[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil] (3-etilbenzil)amino]carbonil)-3-(2-butil-1,3-dioxan-2-il)propil]carbamato

metil ((1R)-1-{[[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil] (3-etilbenzil)amino]carbonil}-4-fluorooctil)carbamato

metil ((1R)-1-1[[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil] (3-etilbenzil)amino]carbonil}-4,4-difluorooctil)carbamato

(2R)-N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-2-{[(metilamino)carbonil]amino}-4-oxooctanamida

N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-4-

butil-N^1-(3-etilbenzil)-N^2-[(metilamino)carbonil]-D-homoserinamida

N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-3-(2-butil-1,3-dioxolan-2-il)-N^1-(3-etilbenzil)-N^2-[(metilamino)carbonil]-D-alaninamida

N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-3-(2-butil-1,3-dioxan-2-il)-N^1-(3-etilbenzil)-N^2-[(metilamino)carbonil]-D-alaninamida

(2R)-N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-4,4-difluoro-2-{[(metilamino)carbonil]amino}octamida

(2R)-N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-4-fluoro-2-{[(metilamino)carbonil]amino}octamida

(2R)-N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-2-{[(metilamino)carbonil]amino}-5-oxononanamida

(2R)-N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-5-hidroxi-2-{[(metilamino)carbonil]amino}nonanamida

(2R)-N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-4-(2-butil-1,3-dioxolan-2-il)-N-(3-etilbenzil)-2-{[(metilamino)carbonil]amino}-5-butananamida

(2R)-N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-4-(2-butil-1,3-dioxan-2-il)-N-(3-etilbenzil)-2-{[(metilamino)carbonil]amino}-5-butananamida

(2R)-N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-

hidroxibutil]-N-(3-etilbenzil)-5-fluoro-2-{[(metilamino)carbonil]amino}nonanamida

(2R)-N-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-N-(3-etilbenzil)-5,5-difluoro-2-{[(metilamino)carbonil]amino}nonanamida

N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-3-(butilsulfonil)-N^1-(3-etinilbenzil)-N^2-[(metilamino)carbonil]-D-alaninamida

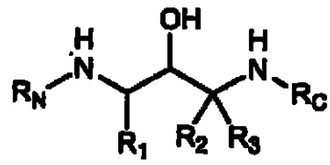
N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-3-(butilsulfonil)-N^2-(3-etinilbenzil)-N^1-[(metilamino)carbonil]-D-alaninamida

N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-3-(butilsulfonil)-N^1-[1-(3-etilfenil)ciclopropil]-N^2-[(metilamino)carbonil]-D-alaninamida

N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-3-(butilsulfonil)-N^1-[1-(3-etinilfenil)ciclopropil]-N^2-[(metilamino)carbonil]-D-alaninamida, y

N^1-[(2R,3S)-3-amino-4-(3,5-difluorofenil)-2-hidroxibutil]-3-(butilsulfonil)-N^2-[(metilamino)carbonil]-N^1-{3-trifluorometil)fenil]ciclopropil}-D-alaninamida.

12. Un método de generar un compuesto de fórmula (Y):



(Y)

Que comprende exponer un compuesto de acuerdo con la reivindicación 1 a un medio acuoso, en donde R_1, R_2, R_3, y R_N y R_C son como se definió en la reivindicación 1.

13. El método de la reivindicación 12 en donde la generación del compuesto de fórmula (Y) ocurre in vitro.

14. El método de la reivindicación 12 en donde la generación del compuesto de fórmula (Y) ocurre in vivo.

15. El método de la reivindicación 12 en donde el medio acuoso tiene un pH de cerca de 2 a cerca de 10.

16. El método de la reivindicación 3 en donde el medio acuoso tiene un pH de cerca de 3 a cerca de 7.

17. Un método para el tratamiento o prevención de enfermedad de Alzheimer, deterioro cognitivo suave Síndrome de Down, Hemorragia Cerebral Hereditaria con Amiloidosis del Tipo Dutch, angiopatía amiloide

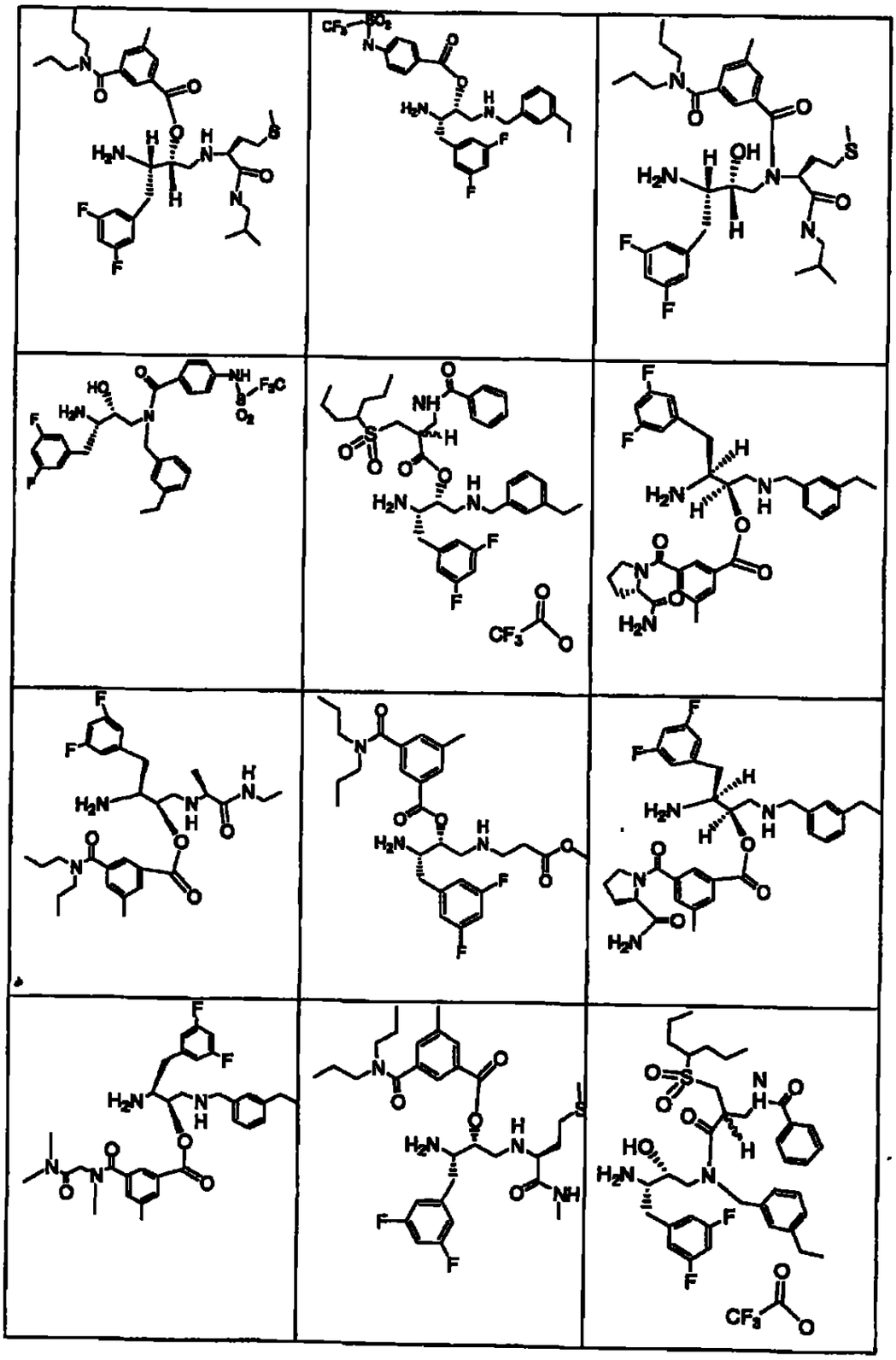
cerebral, otras demencias degenerativas, demencias de orígen vascular y degenerativo mezcladas, demencia asociada con enfermedad de Parkinson, demencia asociada con parálisis supranuclear progresiva, demencia asociada con degeneración basal cortical, el tipo de cuerpo Lewy difuso de Enfermedad de Alzheimer comprende administrar una cantidad terapéuticamente efectiva de un compuesto o sal de acuerdo con la reivindicación 1, a un paciente en necesidad de esta.

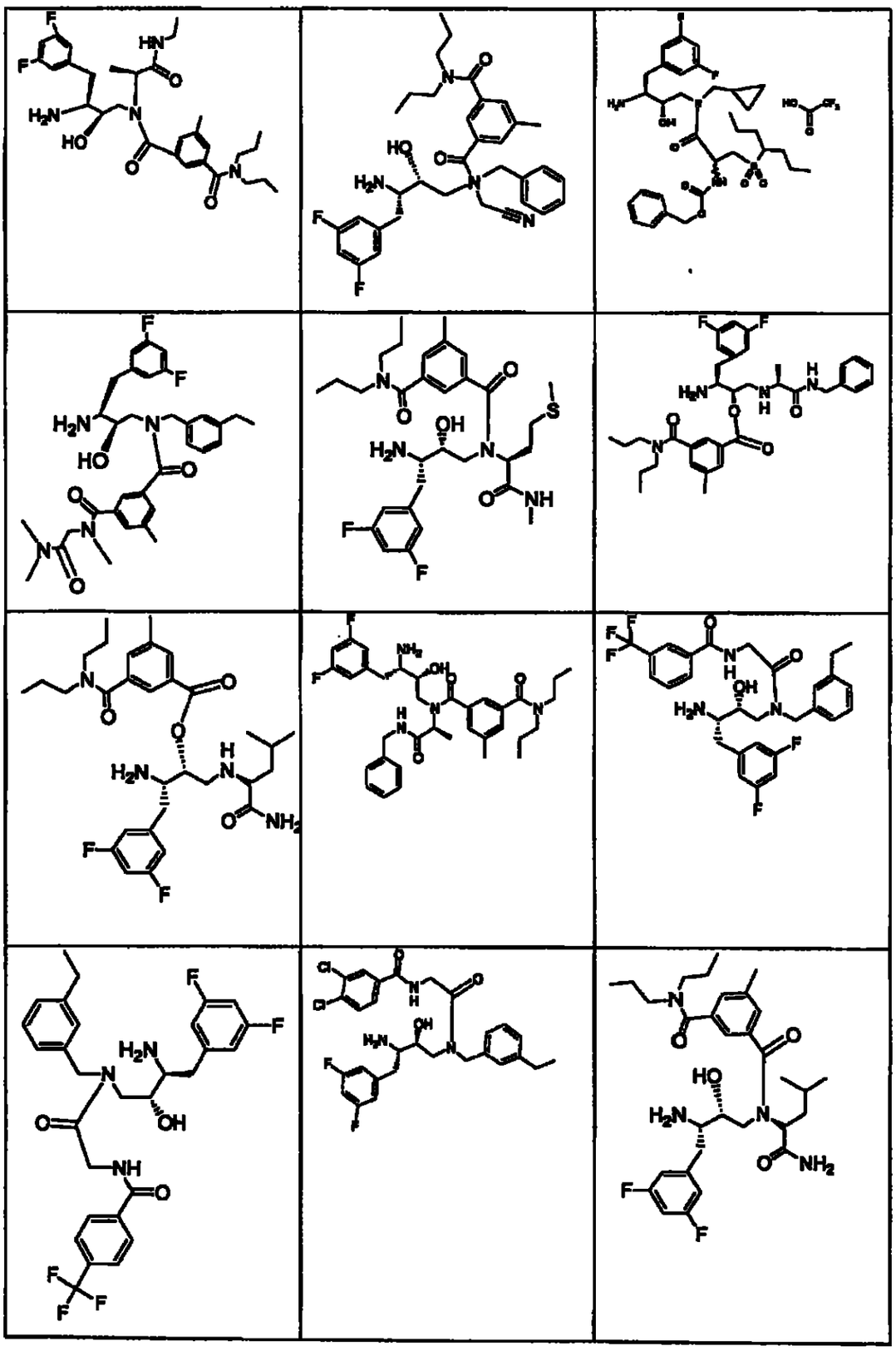
18. Un método para el tratamiento o prevención de enfermedad de Alzheimer, deterioro cognitivo suave Síndrome de Down, Hemorragia Cerebral Hereditaria con Amiloidosis del Tipo Dutch, angiopatía amiloide cerebral, otras demencias degenerativas, demencias de orígen vascular y degenerativo mezcladas, demencia asociada con enfermedad de Parkinson, demencia asociada con parálisis supranuclear progresiva, demencia asociada con degeneración basal cortical, el tipo de cuerpo Lewy difuso de Enfermedad de Alzheimer comprende la administración de una cantidad terapéuticamente efectiva de un compuesto o sal de acuerdo con la reivindicación 1, a un paciente en necesidad de esta.

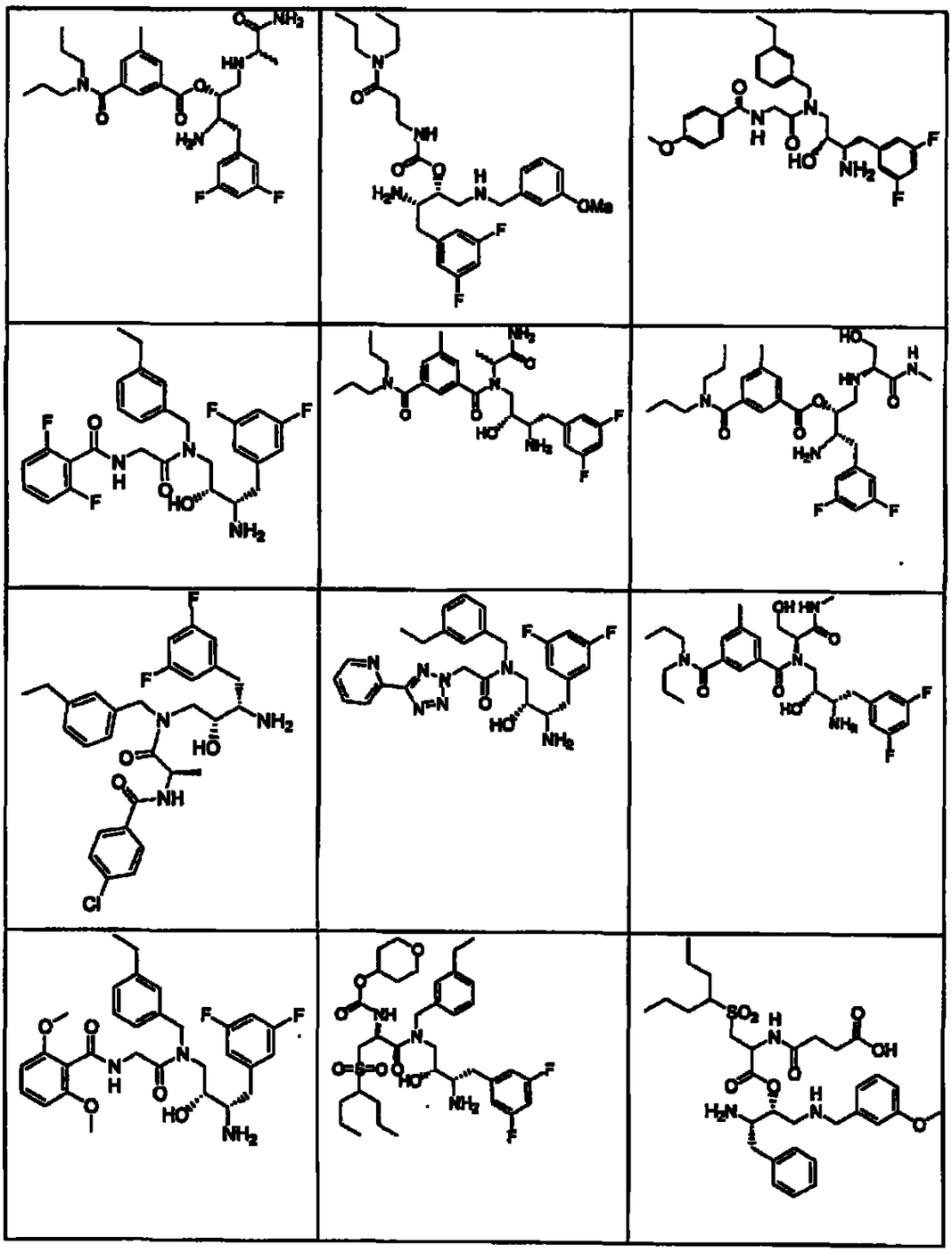
19. El uso de un compuesto o sal de acuerdo con la reivindicación 1 para la fabricación de un medicamento.

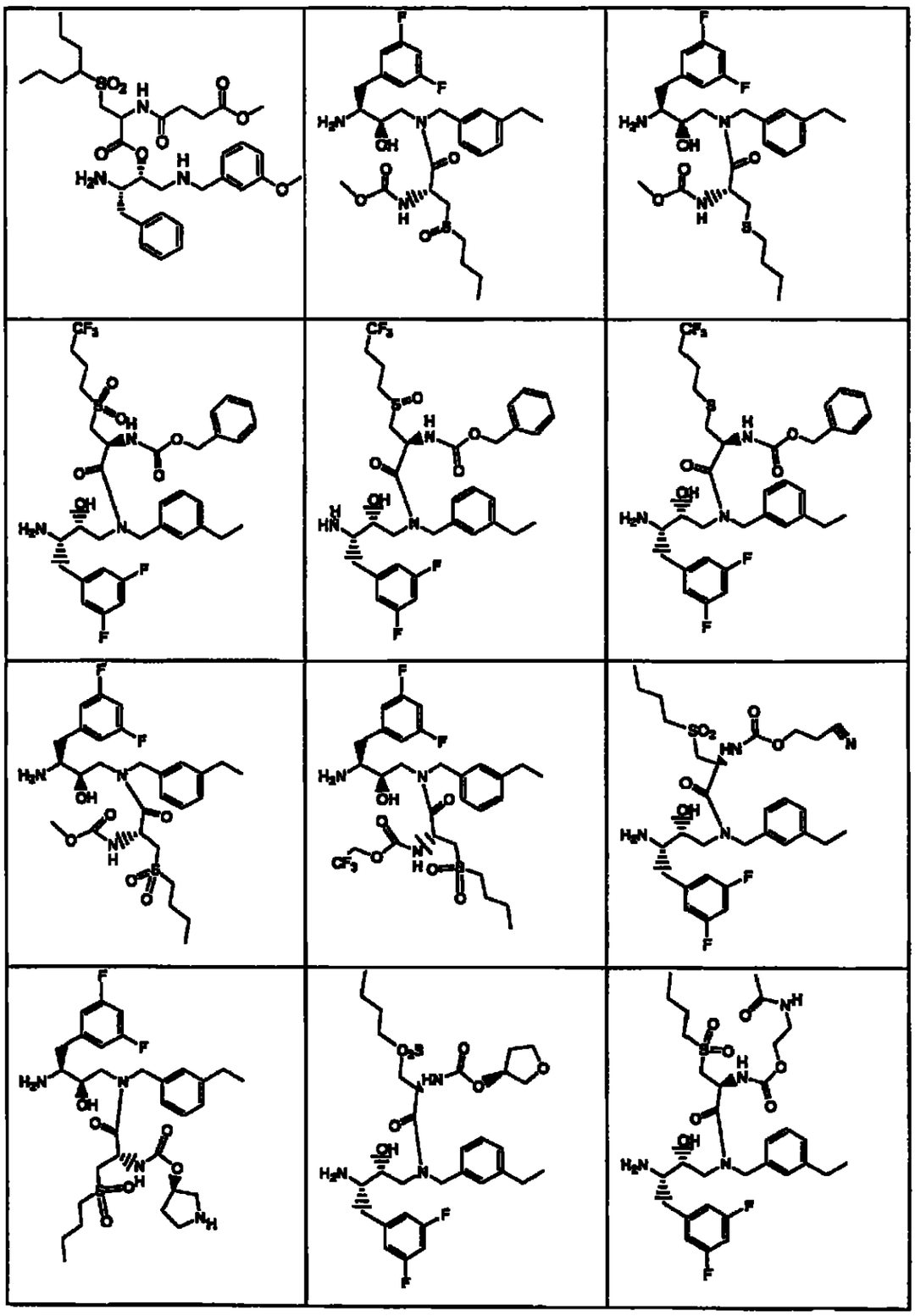
20. El uso de un compuesto o sal de acuerdo con la reivindicación 1 para la fabricación de un medicamento para uso en el tratamiento o prevención de enfermedad de Alzheimer, deterioro cognitivo suave Síndrome de Down, Hemorragia Cerebral Hereditaria con Amiloidosis del Tipo Dutch, angiopatía amiloide cerebral, otras demencias degenerativas, demencias de orígen vascular y degenerativo mezcladas, demencia asociada con enfermedad de Parkinson, demencia asociada con parálisis supranuclear progresiva, demencia asociada con degeneración basal cortical o el tipo de cuerpo Lewy difuso de Enfermedad de Alzheimer.

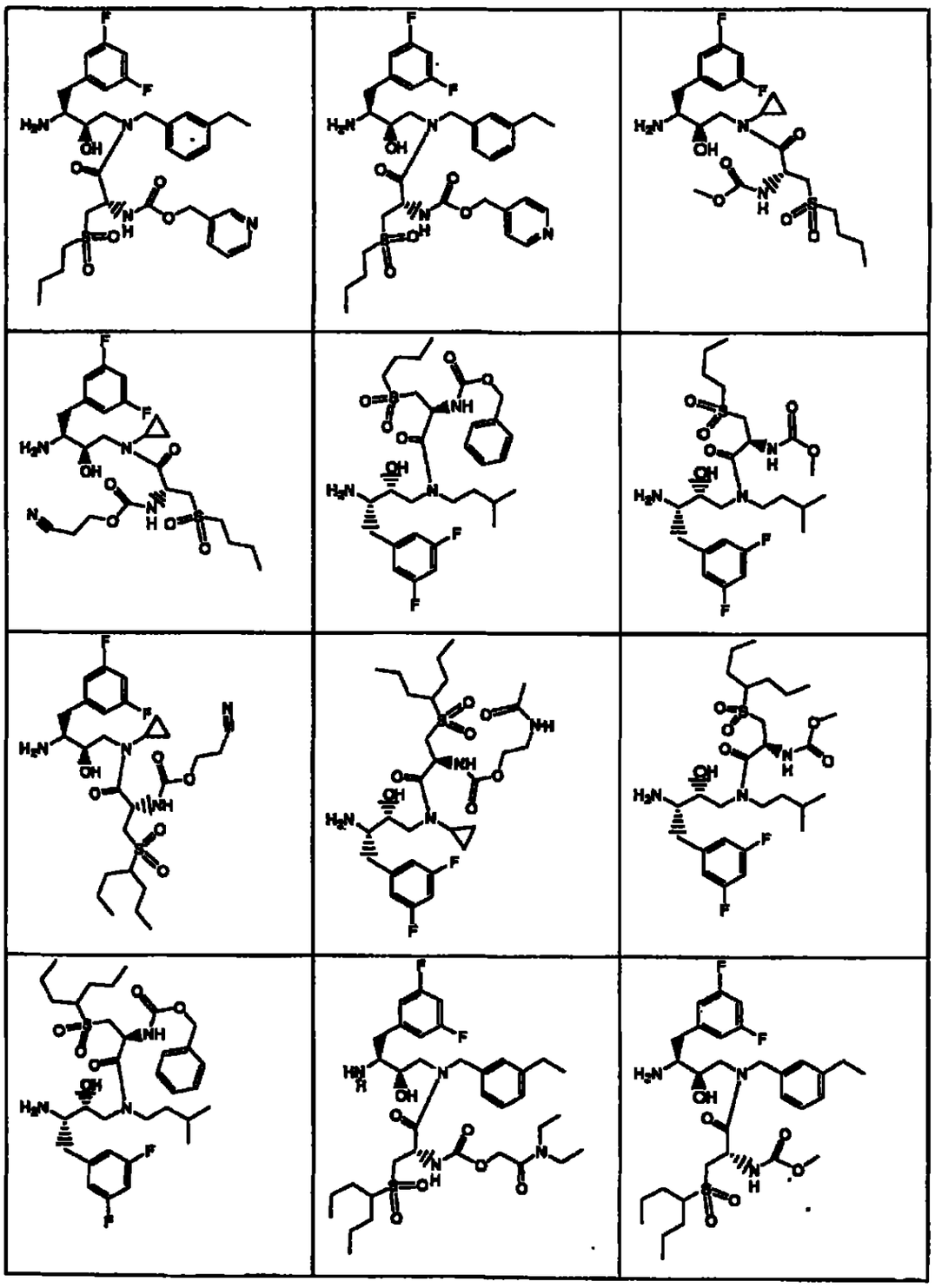
21. Un compuesto de acuerdo con la reivindicación 1 seleccionado del grupo que consiste de:

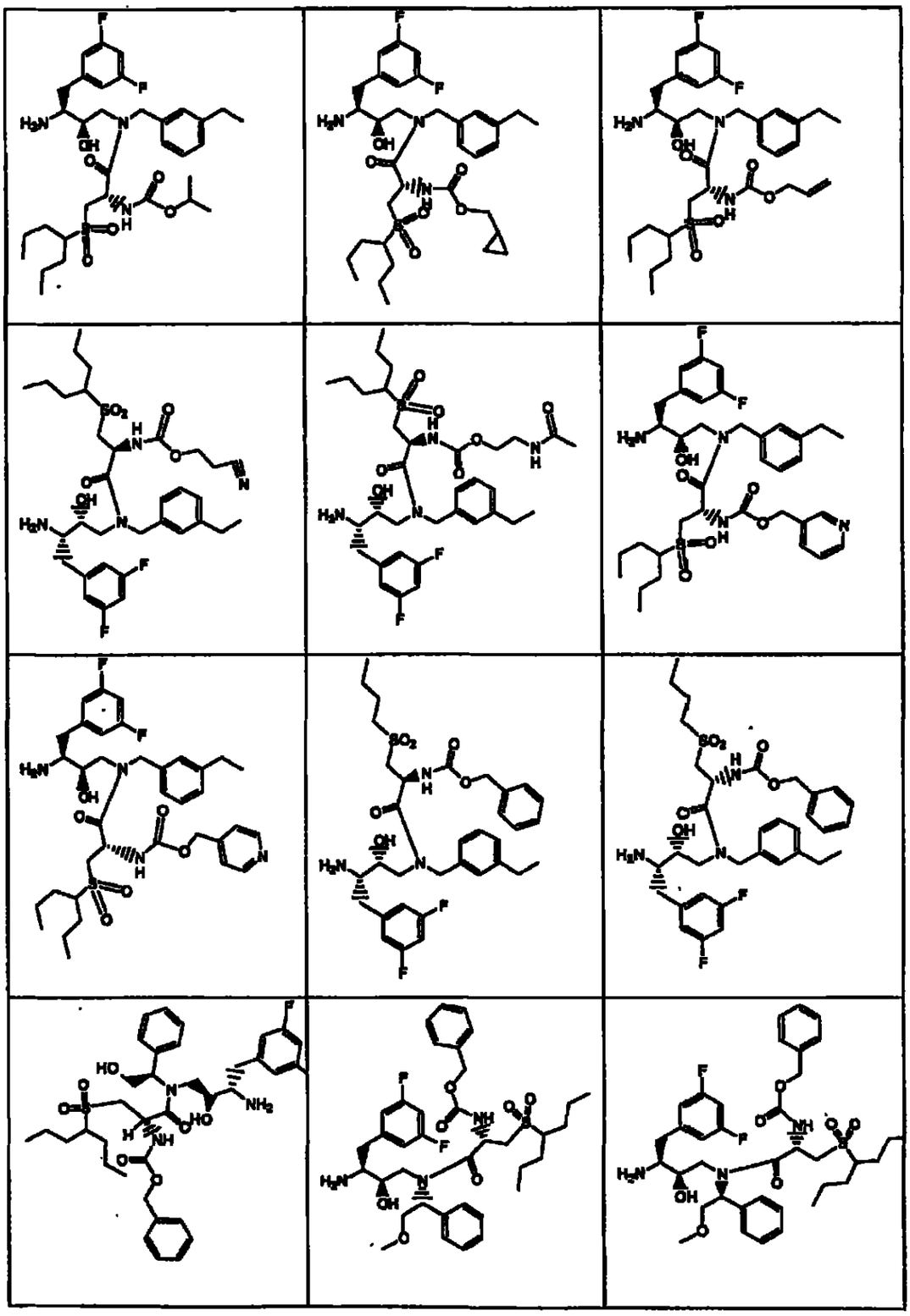


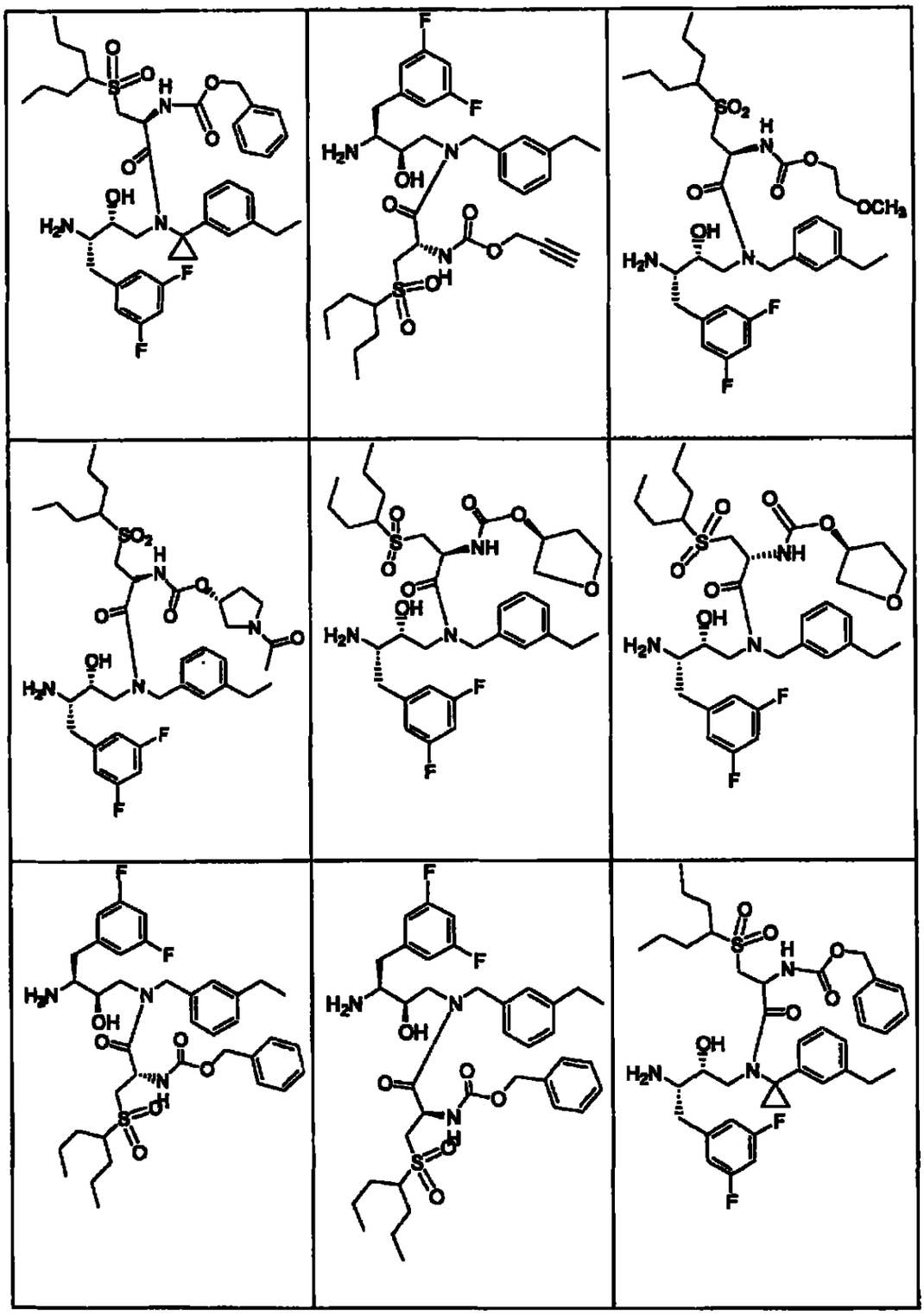


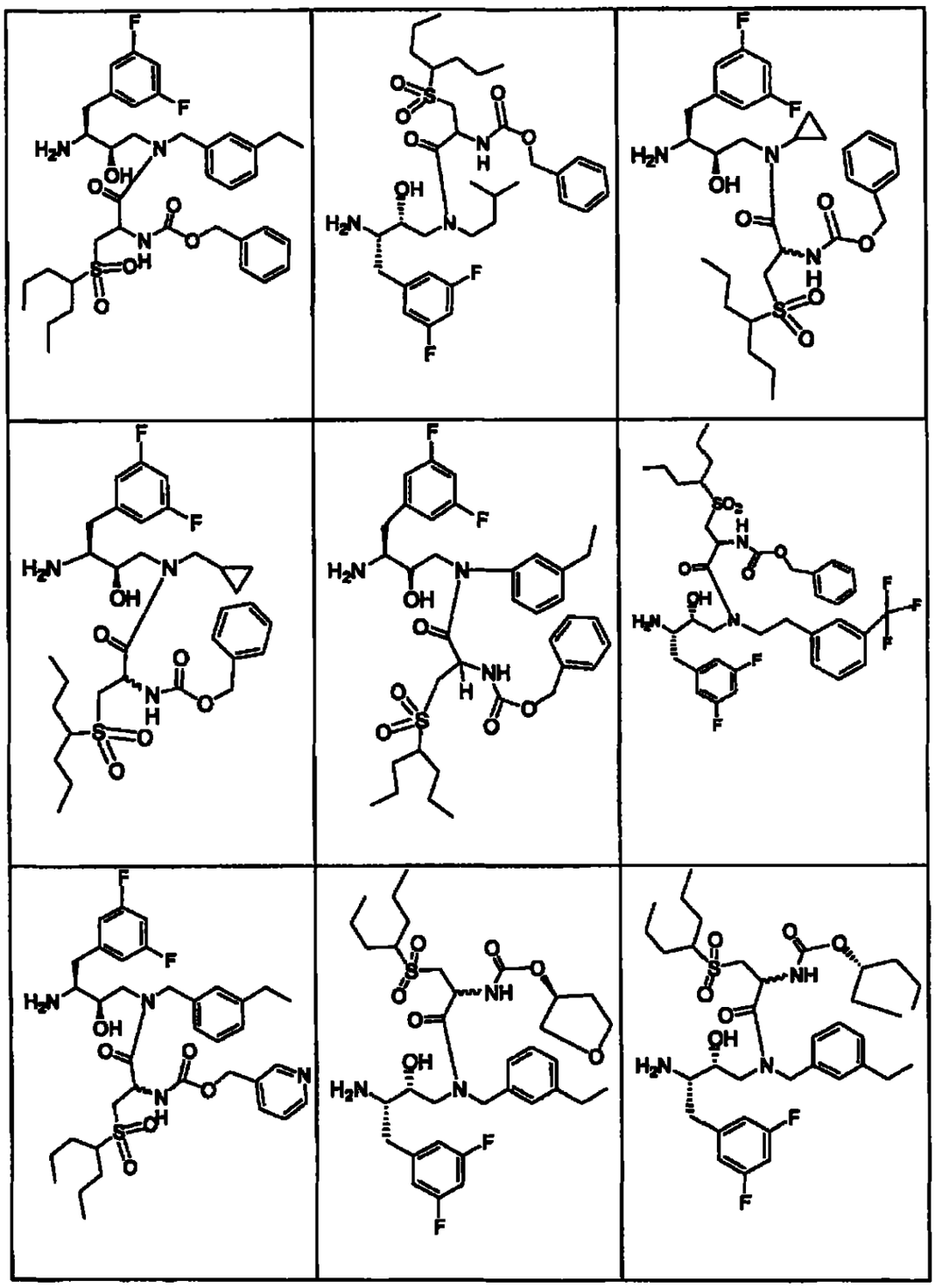


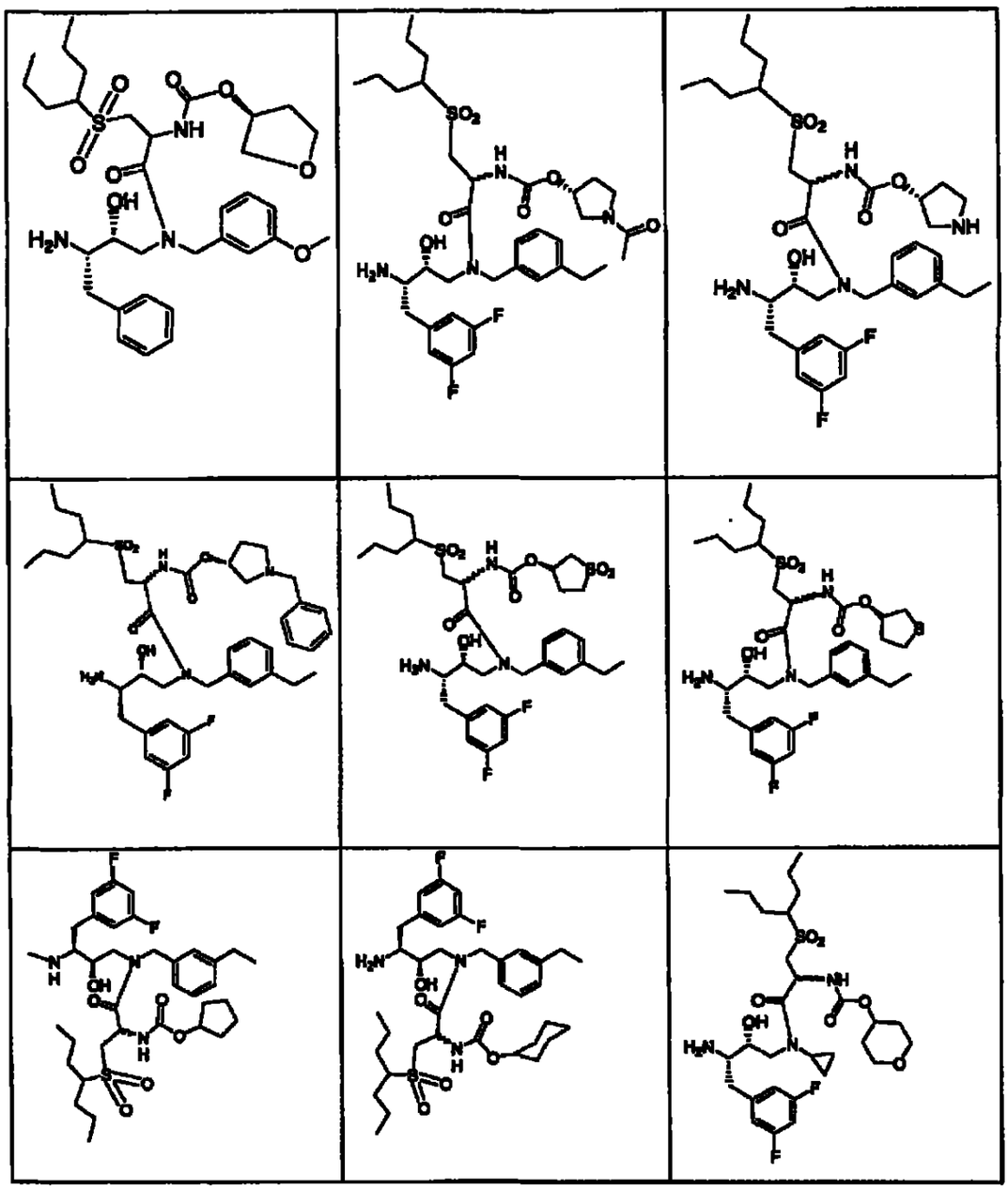


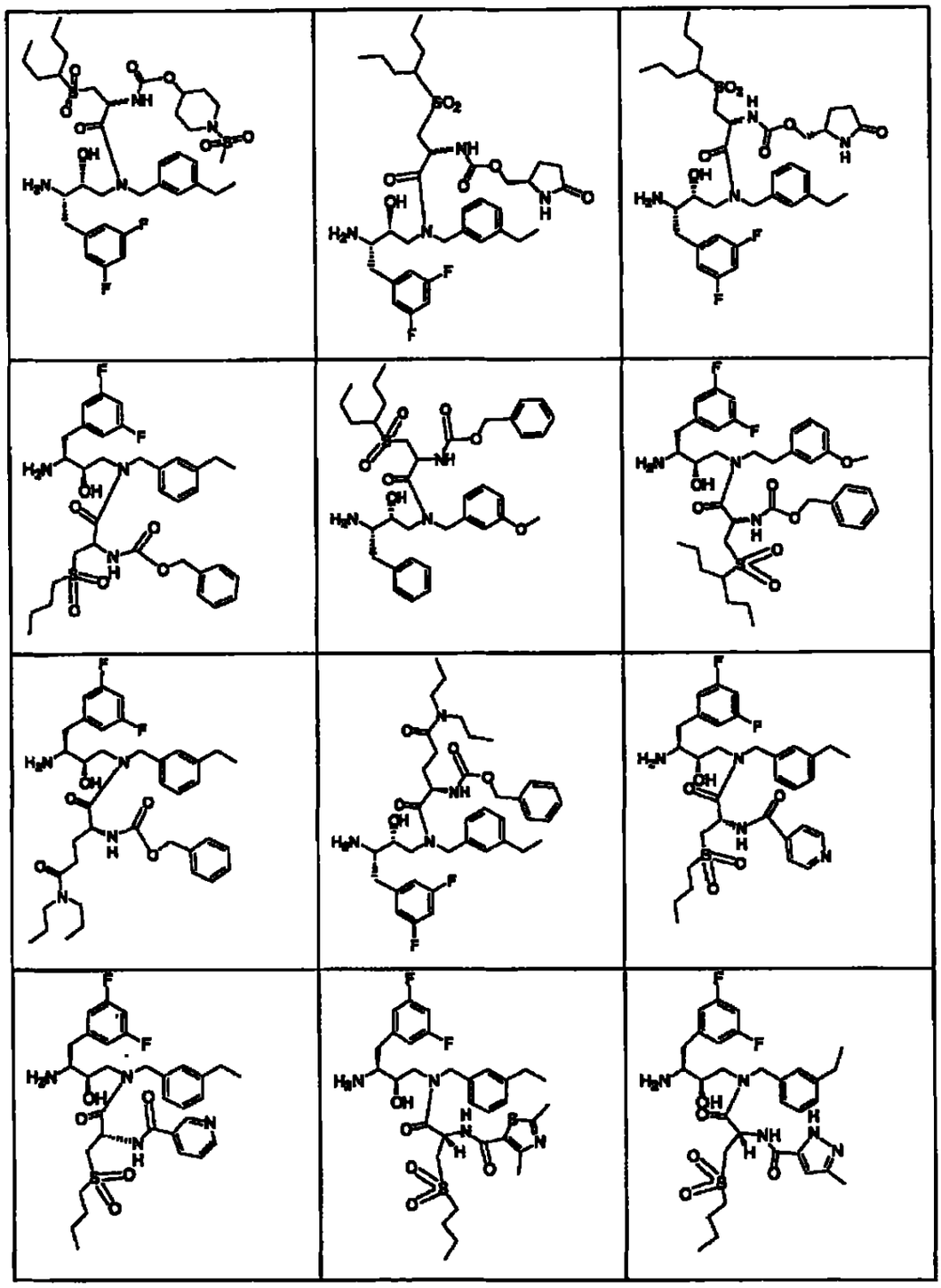


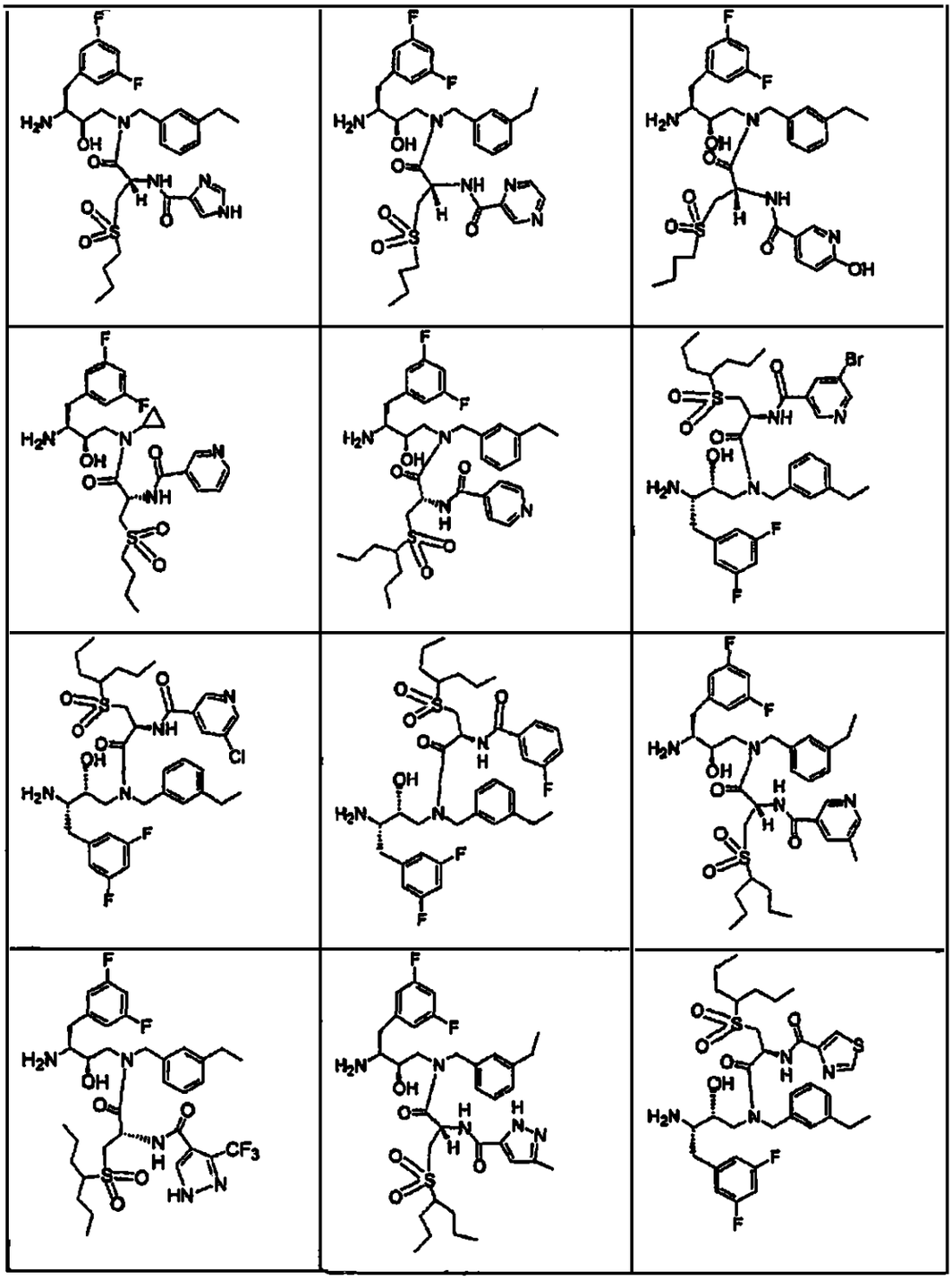


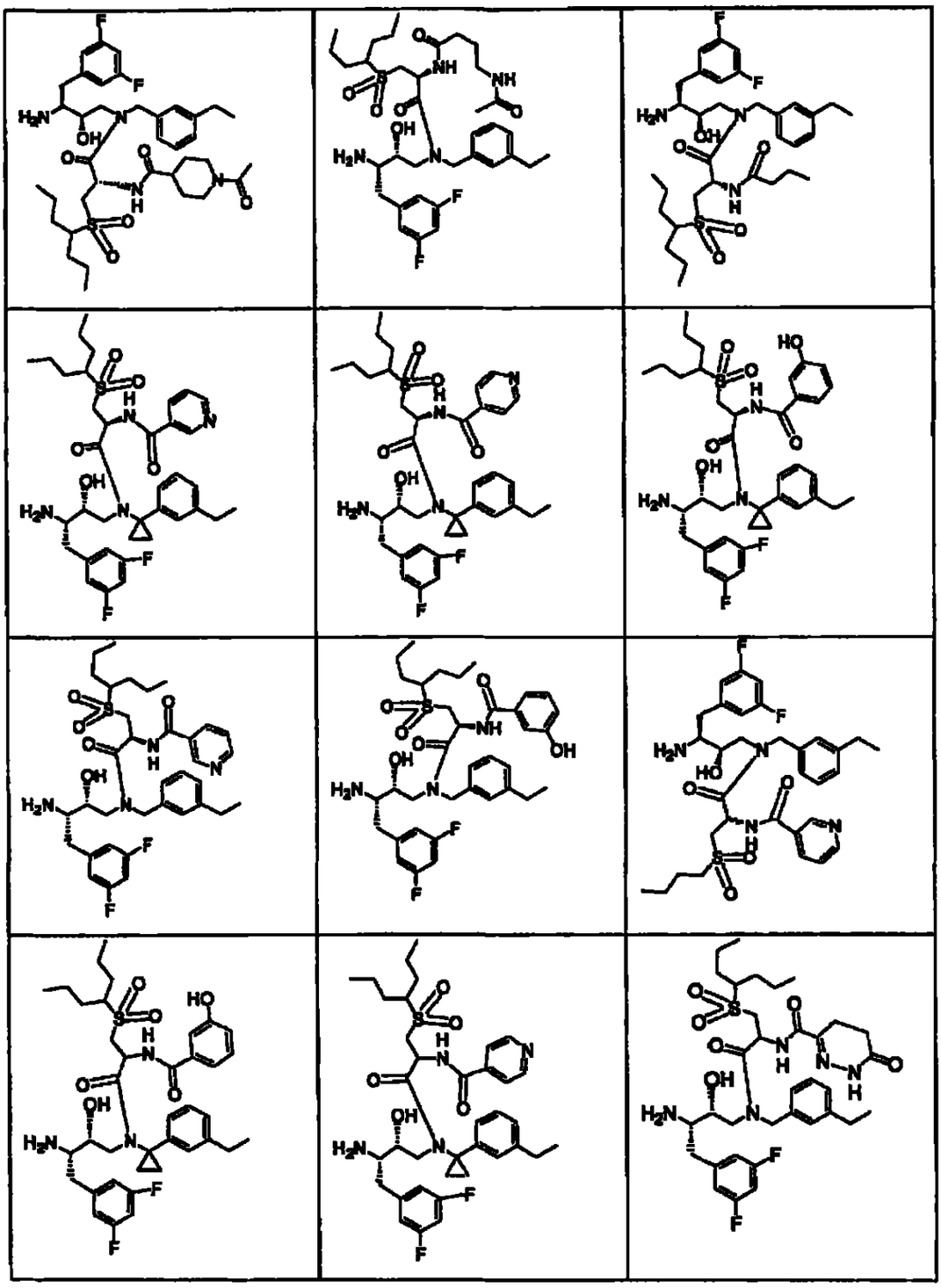


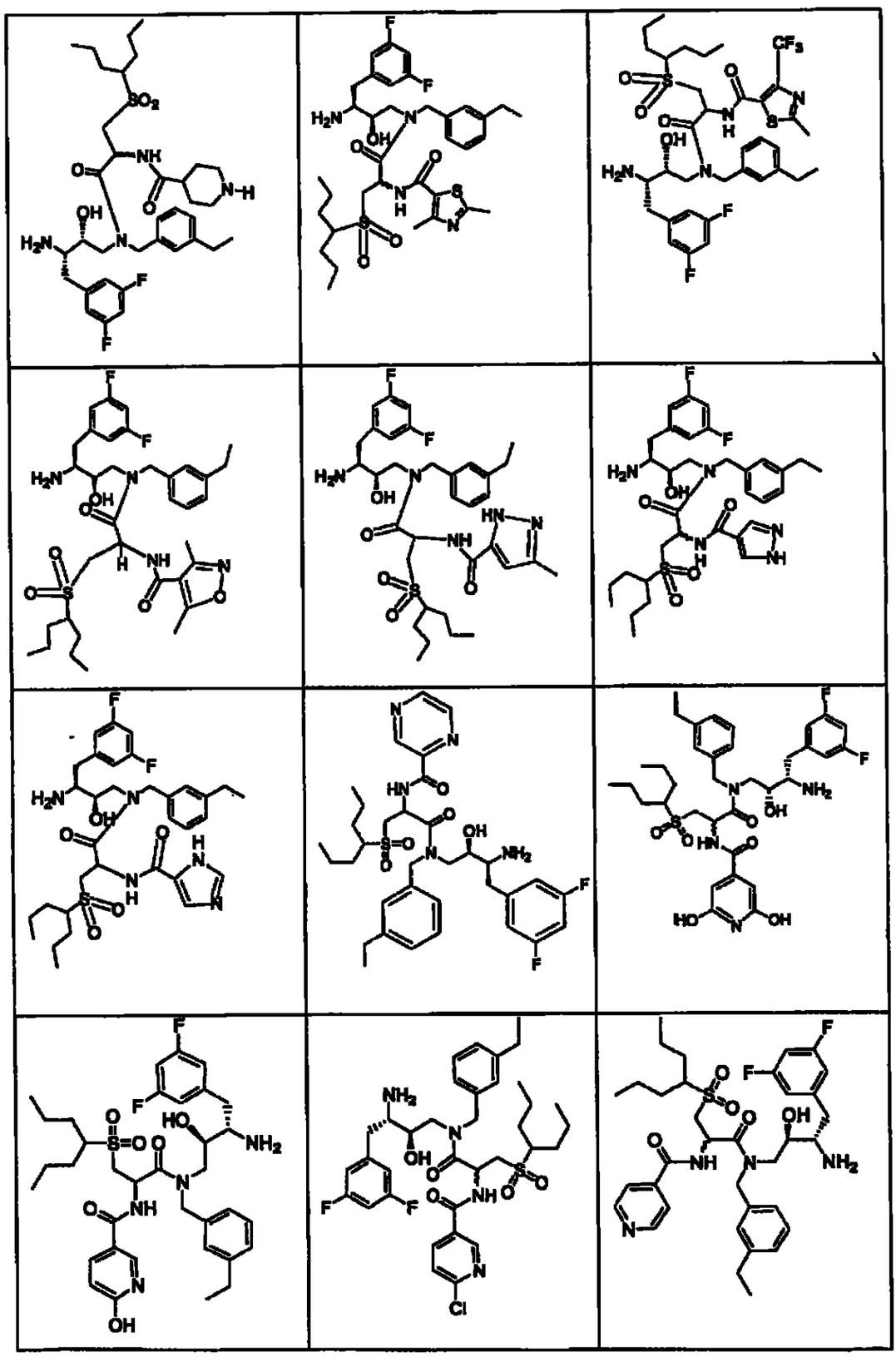


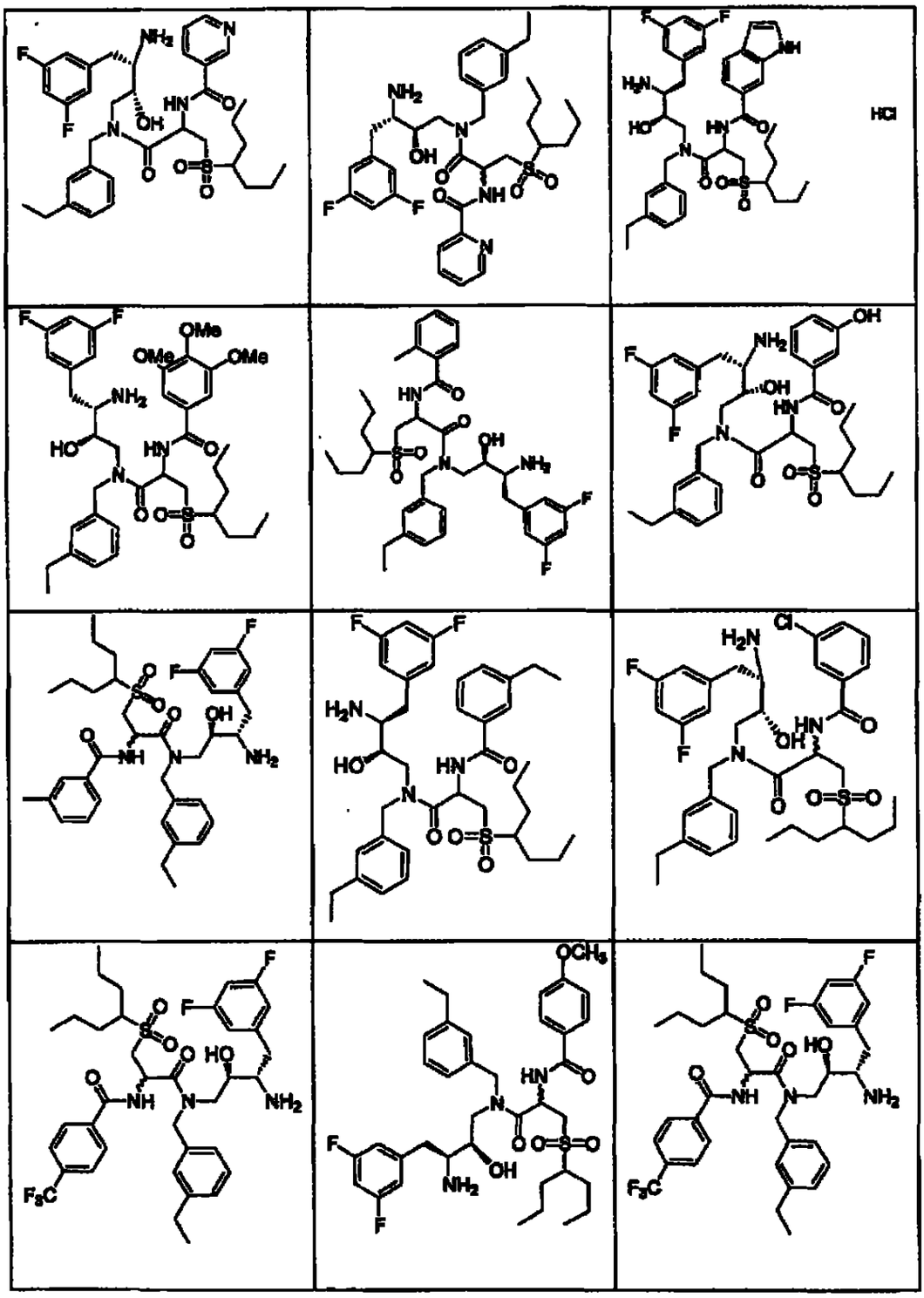


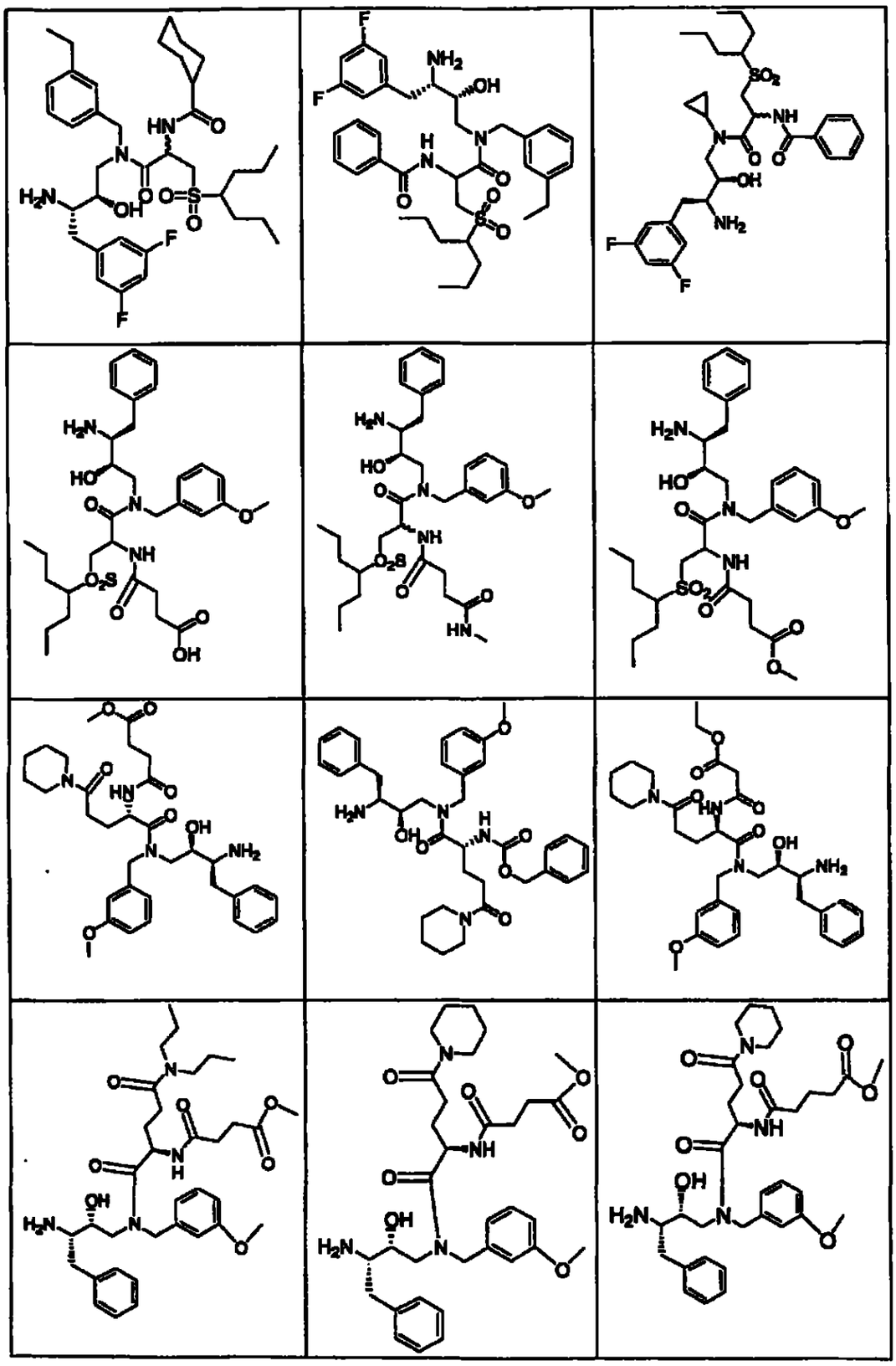


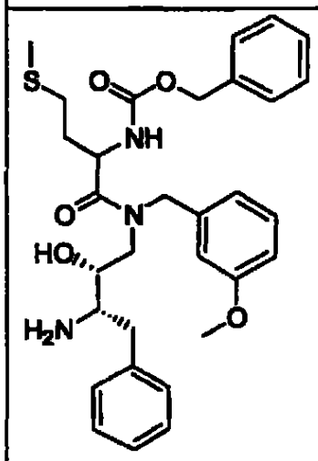
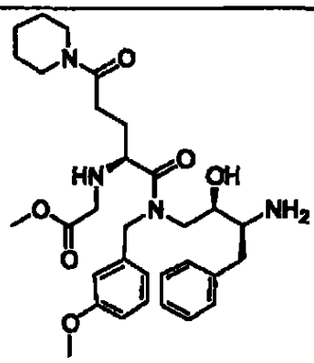
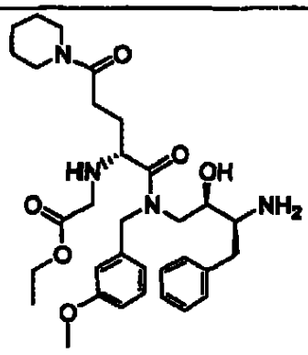
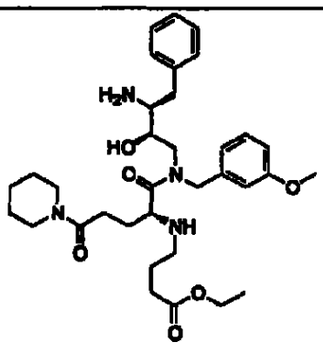
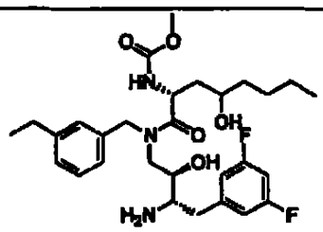
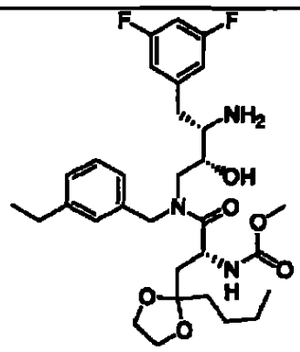
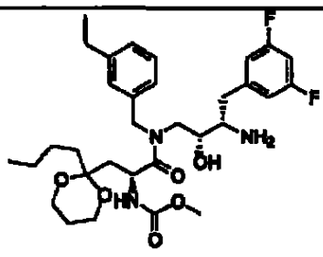
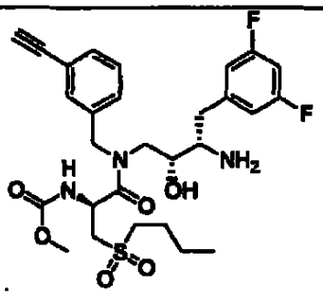
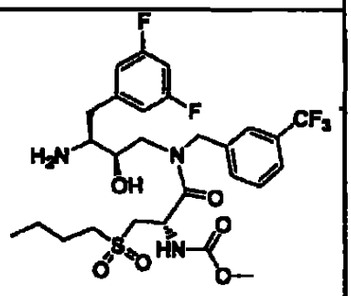
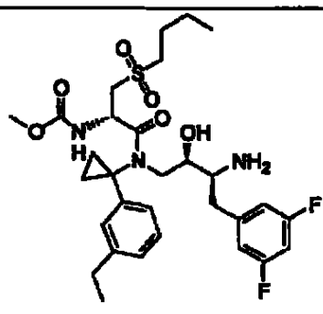
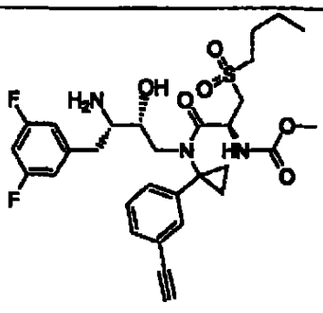
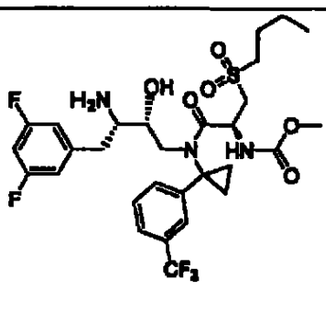


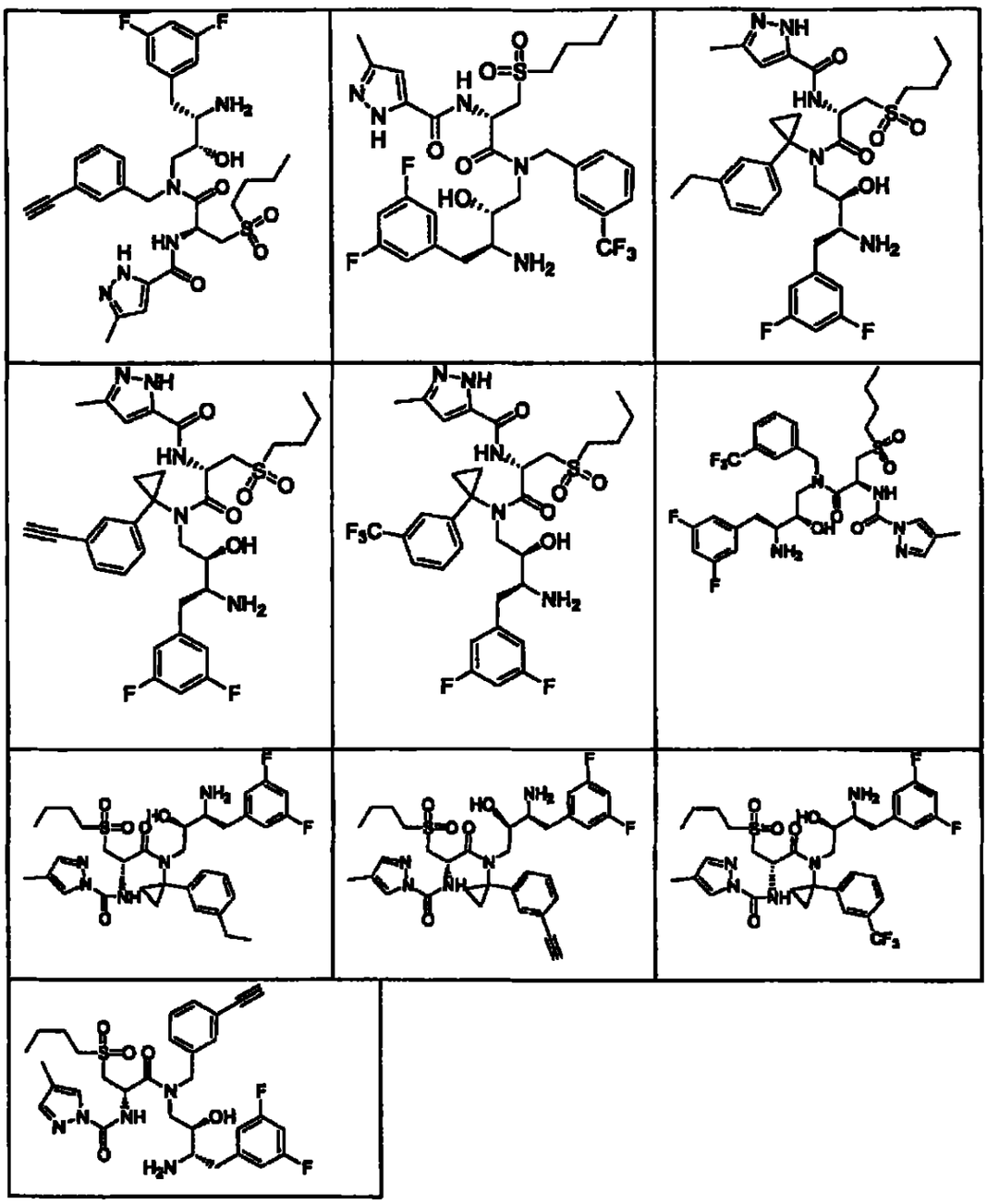


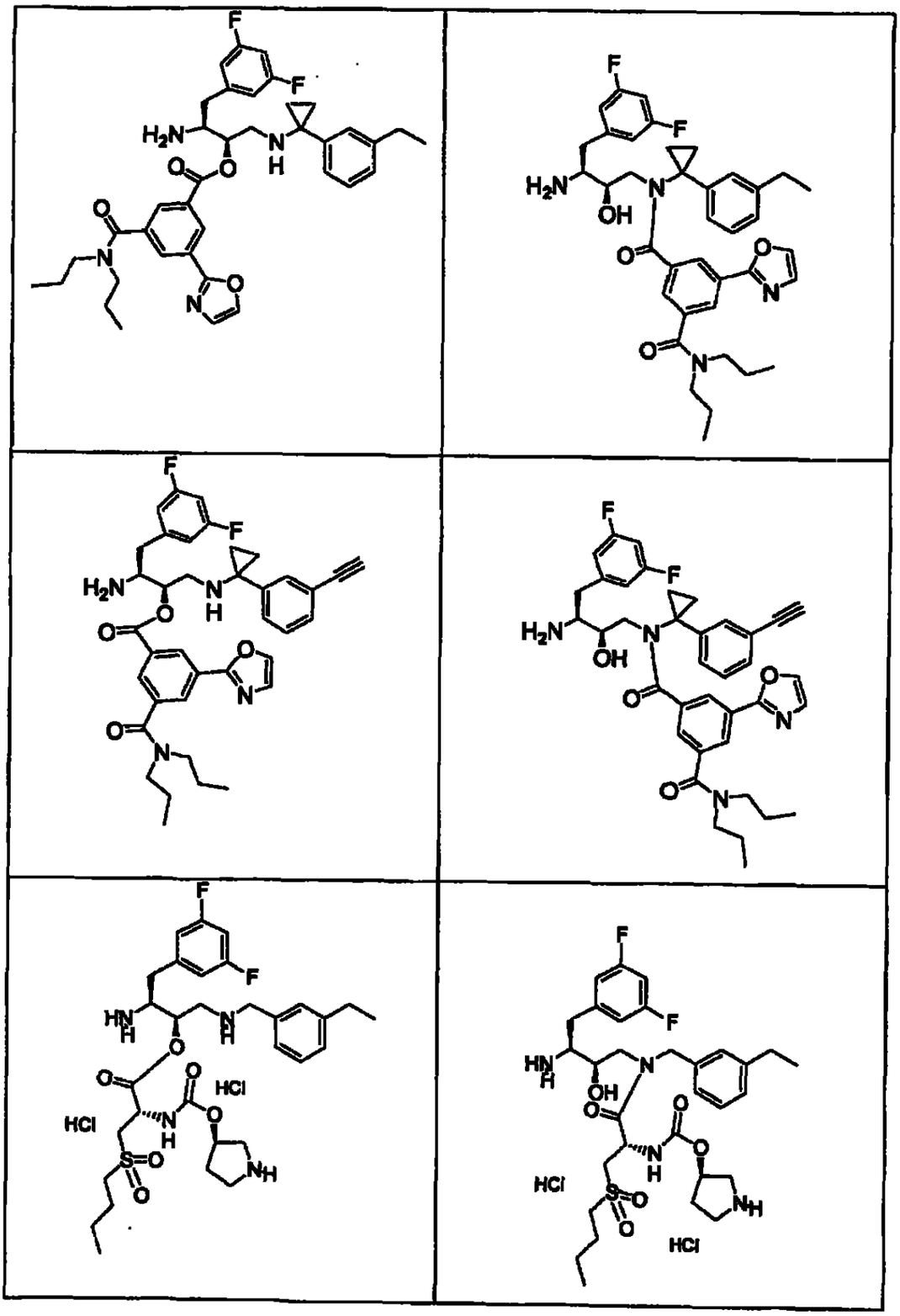


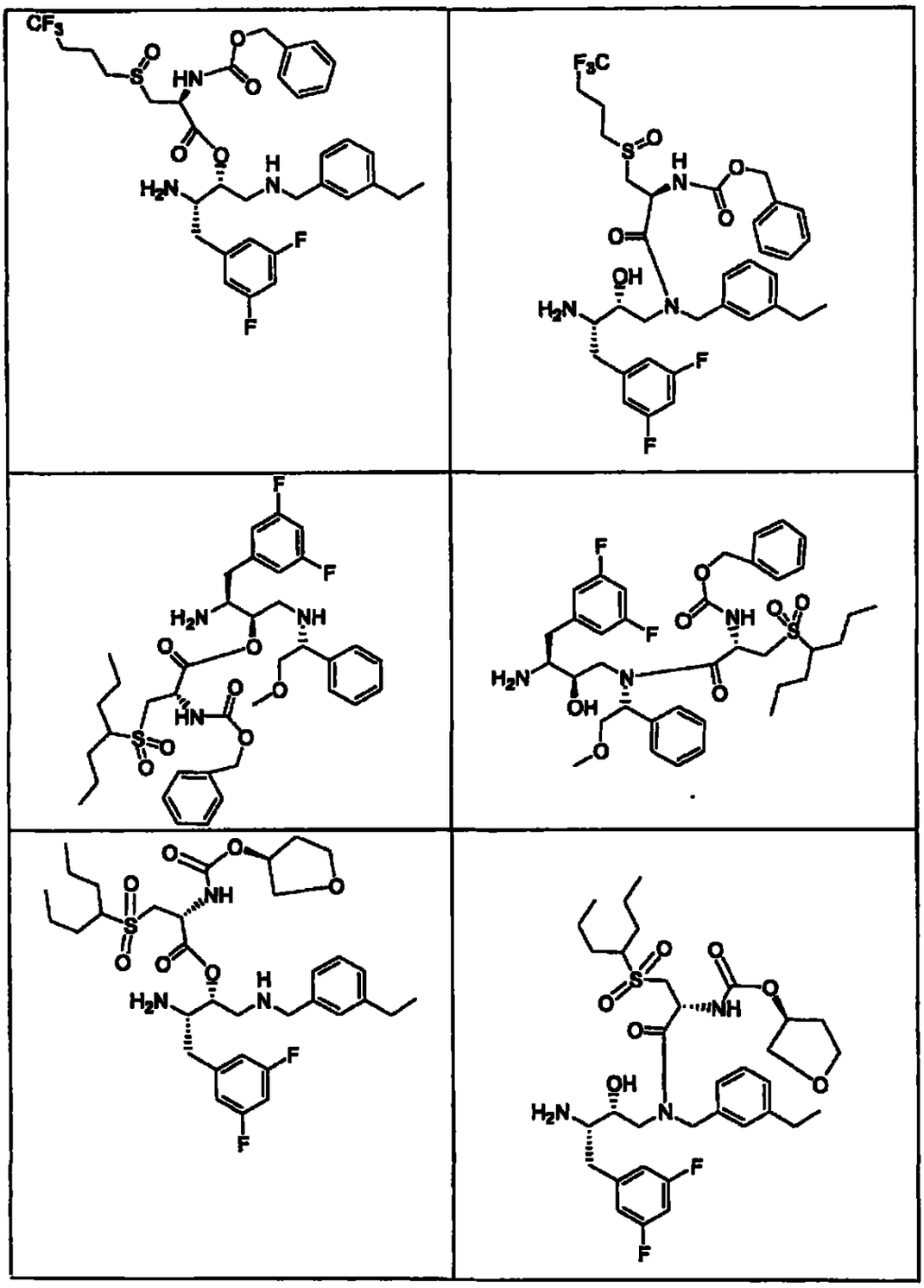


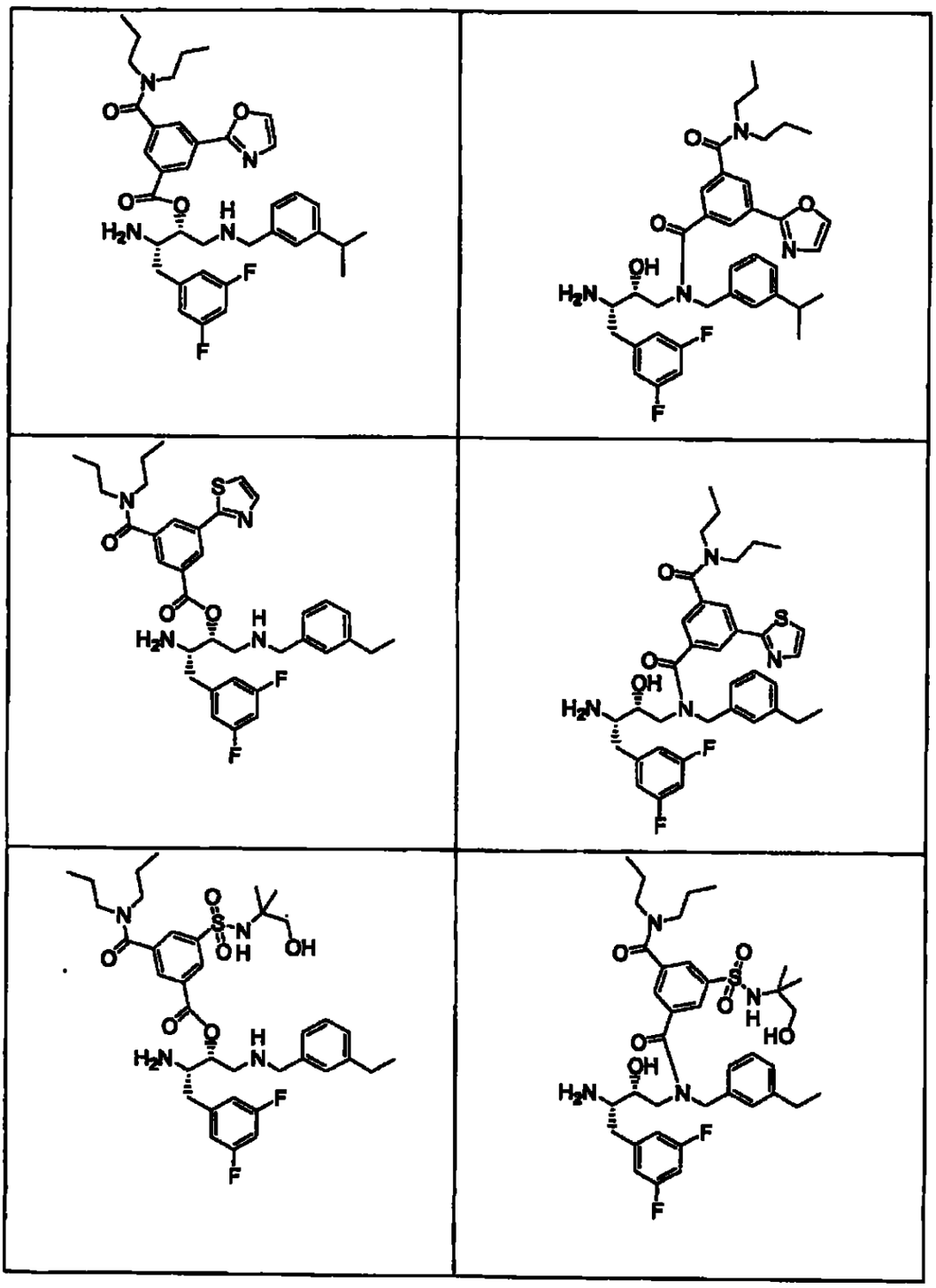


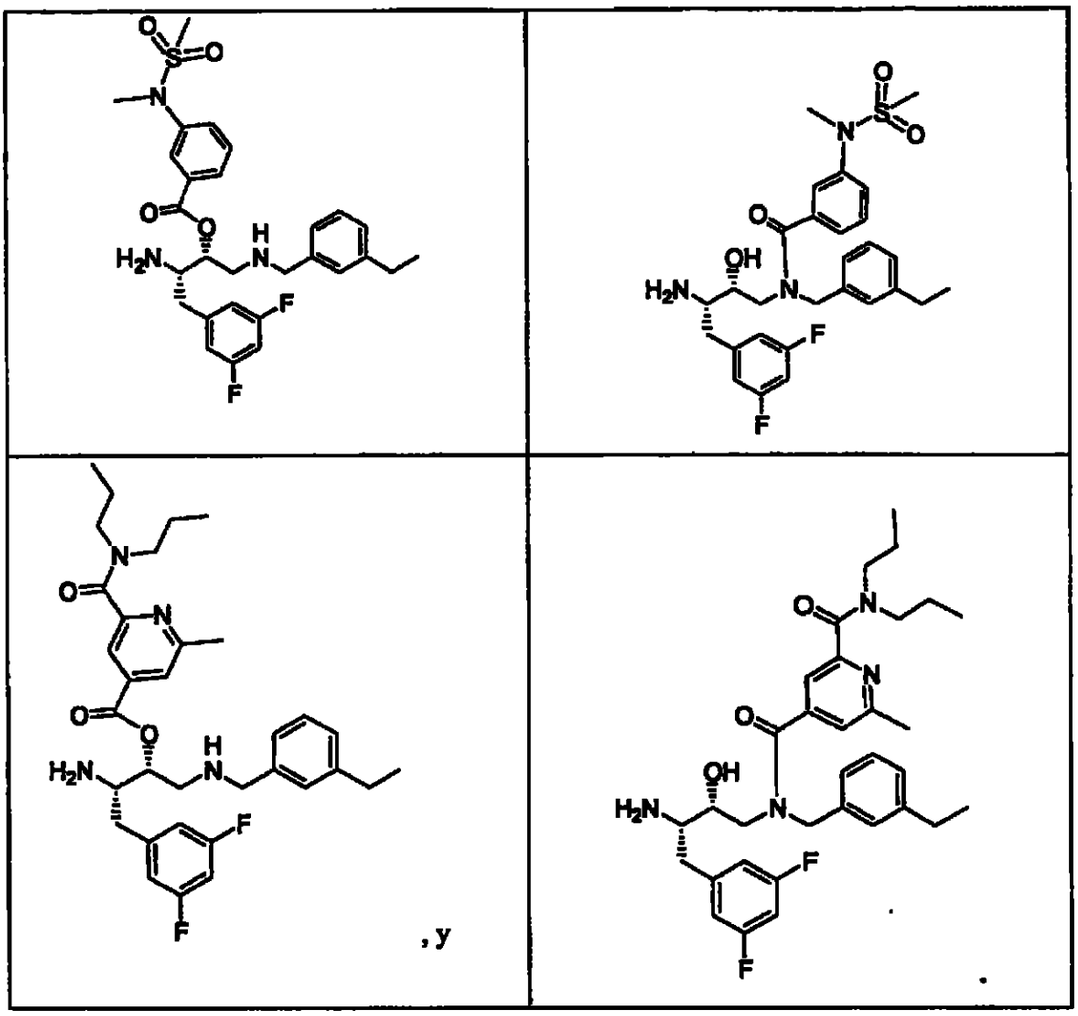
		
		
		
		











,y

22. Una composición farmacéutica que comprende un compuesto de acuerdo con una cualquiera de las reivindicaciones 1-11 o 21, en combinación con un portador fisiológicamente aceptable o excipiente.

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PATENT COOPERATION TREATY

PCT

NOTIFICATION OF THE RECORDING OF A CHANGE

(PCT Rule 92bis.1 and Administrative Instructions, Section 422)

From the INTERNATIONAL BUREAU

To:

SARUSSI, Steven, J.
McDonnell Boehnen Hulbert & Berghoff
300 South Wacker Drive
Suite 3200
Chicago, IL 60606
United States of America

Date of mailing (day/month/year) 09 March 2005 (09.03.2005)	
Applicant's or agent's file reference 02-760-B	IMPORTANT NOTIFICATION
International application No. PCT/US2003/028116	International filing date (day/month/year) 08 September 2003 (08.09.2003)

1. The following indications appeared on record concerning:

[X] the applicant [ ] the inventor [ ] the agent [ ] the common representative

Name and Address	State of Nationality	State of Residence
PHARMACIA & UPJOHN 301 Henrietta Street Kalamazoo, MI 49007 United States of America	US	US
	Telephone No.	
	Facsimile No.	
	Teleprinter No.	

2. The International Bureau hereby notifies the applicant that the following change has been recorded concerning:

[ ] the person [X] the name [ ] the address [ ] the nationality [ ] the residence

Name and Address	State of Nationality	State of Residence
PHARMACIA & UPJOHN COMPANY LLC 301 Henrietta Street Kalamazoo, MI 49007 United States of America	US	US
	Telephone No.	
	Facsimile No.	
	Teleprinter No.	

3. Further observations, if necessary:

4. A copy of this notification has been sent to:

[X] the receiving Office
[ ] the International Searching Authority
[X] the International Preliminary Examining Authority
[ ] the designated Offices concerned
[X] the elected Offices concerned
[ ] other:

The International Bureau of WIPO 34, chemin des Colombettes 1211 Geneva 20, Switzerland	Authorized officer Céline Faust (Fax 338-8995)
Facsimile No. (41-22) 338.89.95	Telephone No. (41-22) 338 9729

Form PCT/IB/308 (March 1994) 008827102

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SUPERINTENDENCIA DE INDUSTRIA Y COMERCIO

NIT : 800176089-2

SUPERINDUSTRIA Y COMERCIO
Radicacion : 05829755 00000000 Folios: 1277
Fecha (AMD): 2005-04-05 17:34:13
Tramite : 811 PCT-PATENT 379 FASE NACI 411 PRESENTAC
Dependencia: 2020 DIVISION DE NUEVAS CREACIONES

RECIBO OFICIAL DE CAJA : 05 - 19,197
FECHA : MARZO 14 DE 2005

***** C O N S I G N A C I O N *****

DEPOSITANTE	TIPO PAGO	BANCO	CUENTA	No. PAGO	FECHA PGO	Vr. PAGO
CAVELIER ABOGADOS	CONSIGNACION	BANCO POPULAR	050-00110-6	41376756	14/03/2005	30,080,000.00

***** C O N C E P T O *****

CANT.	RENTISTICO	CONCEPTO	TOTAL CONCEPTO
1	50005-01-01 SOLICITUDES	1 TRAMITES DE SOL. DE PATENTE DE IN	443,000.00
		TOTAL : $	443,000.00

SON: CUATROCIENTOS CUARENTA Y TRES MIL PESOS

RESPONSABLE : _____

RECIBO DE CAJA APLICADO AL EXPEDIENTE No._____

Clo 19692-891-001-9

1278
1279

SUPERINDUSTRIA Y COMERCIO
Radicacion : 05029755 00006030 Folios: 1277
Fecha (SCD): 2005-04-05 17:24:13
Tramite : 811 PCT-PATENT 379 FASE NACI 411 PRESENTAC
Dependencia: 2020 DIVISION DE NUEVAS CREACIONES

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.	( )	(✓)
- Descripcion 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		
- Representacion gráfica o fotografica 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	( )	( )
Representacion gráfica del esquema de trazado	( )	( )
Comprobante de pago de las tasas establecidas.	( )	( )
COMPLETA ( ) INCOMPLETA	( )	( )

Firma Responsable Claudia M Nieva

Fecha 05 ABR 2005

MT 1280

Industria y Comercio
SUPERINTENDENCIA

Folio 1279

2020
Bogotá, D.C.,

Doctor(a)
EMILIO FERRERO
Apoderado(a)

Oficio N° 12756

ASUNTO:	Radicación N°	05-29755
	Solicitud Internacional	PCT/US2003./028116
	Fecha inicio fase nacional	06/04/2005
	Trámite	011
	Evento	379
	Actuación	430
	Folios	001

Como resultado del examen de forma, realizado con fundamento en 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 las copias de los documentos en el que constan las cesiones del derecho a la patente de los inventores a los solicitantes o a sus causantes. (Art. 26-k) Decisión 486/00.

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 N° 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, 06 MAYO 2005.

202027

Carrera 13 No. 27-00 Pisos 5, 7 y 10
Conmutador: 382 0840
Fax: 350 5220
E-mail: info@sic.gov.co
www.sic.gov.co
Bogotá, D.C., Colombia