08 - 7337

SUPERINTENDENCIA DE INDUSTRIA Y COMERCIO
INVENTARIO

Organizacion y
Digitalizacion CSA Ltda



Industria y Comercio

SUPERINTENDENCIA

Delegatura de Propiedad Industrial
Division de Nuevas Creaciones

SOLICITUD PCT

PATENTE DE INVENCIÓN

21 EXPEDIENTE No 08-7337

54 TITULO " CARBOXAMIDAS FUNGICIDAS "

51 CLASIFICACION INTERNACIONAL

71 SOLICITANTE E I DUPONT DE NEMOURS AND COMPANY

DOMICILIO DELAWARE, ESTADOS UNIDOS

74 APODERADO ALVARO CORREA ORDOÑEZ
T P No 20 281

22 BOGOTA, D C , ENERO 25, 2008

202099

PETITORIO

SUPERINTENDENCIA DE INDUSTRIA Y COMERCIO

No. 08-007337- -00000-0000

Fecha: 2008-01-25 16:31:13 Dep. 2020 NUECREACION ón
Tra. 11 PATENTEIYII Eve: 378 FASENACIONALI
Act. 411 PRESENTACION Folios: 806

Industria y Comercio
SUPERINTENDENCIA

FORMULARIO ÚNICO DE SOLICITUD DE PATENTE
PCT
ENTRADA EN FASE NACIONAL

26 Feb 2008

(1) SOLICITUD DE :

[X]	Patente de Invención	[ ]	Patente de Modelo de Utilidad
[X]	Capítulo I.	[ ]	Capítulo II.

(2) SOLICITANTE (71)

Nombre: E.I. DU PONT DE NEMOURS AND COMPANY

Dirección: 1007 Market Street, Wilmington, Delaware 19898, Estados Unidos de América

Nacionalidad o Domicilio: Delaware, Estados Unidos de América

Lugar de Constitución: Estados Unidos de América

Teléfono: Fax:

E-Mail

IDENTIFICACION

C.C. [ ] NIT [ ]

C.E. [ ] Otro [ ]

Cual

Número

(3) REPRESENTANTE O APODERADO

Nombre: ALVARO CORREA ORDOÑEZ

Dirección: Avenida 82 No.10-62 Piso 6

Teléfono: 634 1500 Fax: 376 2211

E-Mail: office.bogota@bakernet.com

IDENTIFICACION

C.C. [X] NIT [ ]

C.E. [ ] Otro [ ]

Cual

Número 79.143.366 de Usaquén TP 20.281

(4) INVENTOR (ES) (72)

Nombre: BISAHA, John Joseph; KOVACS, Patrick Ryan; LETT, Renee Marie; LONG, Jeffrey Keith; PASTERIS, Robert James; FINKELSTEIN, Bruce Lawrence; SMITH, Brenton Todd y KLYASHCHITSKY, Boris Abramovich

Dirección: 511 Runnymeade Road, Hockessin, Delaware 19707, Estados Unidos de América; 129 Highland Boulevard, Apartment G, New Castle, Delaware 19720, Estados Unidos de América; 4 Auspice Circle, Newark, Delaware 19711, Estados Unidos de América; 1210 Bruce Road, Wilmington, Delaware 19803, Estados Unidos de América; 842 Colorado Drive, Newark, DE 19713, Estados Unidos de América; 26 Auspice Circle, Newark, Delaware 19711, Estados Unidos de América; 914 Grandview Drive, Exton, Pennsylvania 19341, Estados Unidos de América y 138 Fairhill Drive, Wilmington, Delaware 19808, Estados Unidos de América, respectivamente.

Nacionalidad o Domicilio: Estadounidense

(5) PCT (85)

Solicitud Internacional No. PCT/US2006/029175 Fecha 26-JUL-06

Publicación Internacional No. WO/2007/014290 Fecha 01-FEB-07

(6) Título (54) CARBOXAMIDAS FUNGICIDAS

(7) Clasificación Internacional (51) C07D 417/04, A01N 43/72, A01P 3/00, C07D 263/34, C07D 277/56, C07D 401/04, C07D 401/06, C07D 401/14, C07D 403/04, C07D 403/06, C07D 403/14, C07D 413/04, C07D 413/14, C07D 417/12, C07D 417/14

(8) Prioridad

SI [X] NO [ ]

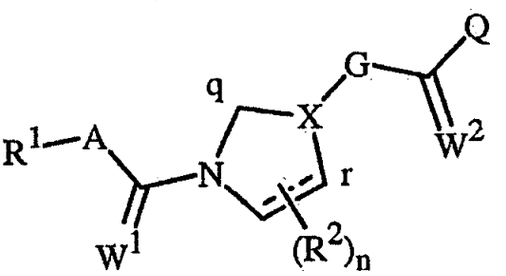
(33) País de Origen	(32) Fecha	(31) Número de Solicitud
US	26-JUL-05	60/702,579

(9) Comprobante de pago No. 08-4651 Fecha 18-ENE-08

(10) **ANEXOS**

- [X] Comprobante de pago de la tasa de presentación de la solicitud
- [X] Documento que acredita la existencia y representación legal cuando el solicitante sea persona jurídica
- [X] Poderes, si fuere el caso
- [ ] Documento de cesión del inventor al solicitante o a su causante
- [ ] Declaraciones
- [X] Copia de la solicitud internacional. (Resumen, descripción, reivindicaciones, dibujos)
- [X] Traducción de la solicitud internacional al castellano. (Resumen, descripción, reivindicaciones, dibujos)
- [ ] Copia del examen preliminar internacional efectuado por la Autoridad Internacional de Examen preliminar (IPEA)
- [ ] Traducción del examen preliminar internacional 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 12 x 12
- [ ] 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
- [ ] De ser el caso, modificaciones efectuadas a la solicitud internacional.
- [X] Otros: Extractos, Reporte de búsqueda Internacional y opinión escrita, notificación de presentación de documento de prioridad

(11) **FIGURA CARATERISTICA**



(1)

(12) Solicito la concesión de la patente.

NOMBRE: ALVARO CORREA ORDOÑEZ

FIRMA:

C.C. 79.143.366 de Usaquén T.P. 20.281

Instrucciones para la presentación de los anexos, ver reverso de esta página

RECIBO DE PAGO

3

SUPERINTENDENCIA DE INDUSTRIA Y COMERCIO

NIT : 800176089-2

2008/002

RECIBO OFICIAL DE CAJA : 08 - 4,651
FECHA : ENERO 18 DE 2008

SUPERINTENDENCIA DE INDUSTRIA Y COMERCIO
No. 08-007337- -00000-0000
Fecha: 2008-01-25 16:31:13 Dep. 2020 NUECREACIONI
Tra. 11 PATENTEIYII Eve: 378 FASENACIONALI
Act. 411 PRESENTACION Folios: 806

***** C O N S I G N A C I O N *****

OSITANTE	TIPO PAGO	BANCO	CUENTA	No. PAGO	FECHA PGO	Vr. PAGO
BAKER & MCKENZIE	CONSIGNACION	BANCO DE BOGOTA	062754387	2930863	18/01/2008	45,361,000.00

***** 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	501,000.00
		TOTAL : $	501,000.00

SON: QUINIENTOS UN MIL PESOS

RESPONSABLE : _____

IBO DE CAJA APLICADO AL EXPEDIENTE No. _____

POD- 3995

TRADUCCIÓN OFICIAL N° 120407 al español de un documento escrito en inglés, efectuada por Jaime Armando Garavito Burgos, c.c. N° 19.130.702 de Bogotá, Traductor e Intérprete Oficial inglés-español-inglés según Resolución N° 034 de enero 11 de 1983 expedida por el Ministerio de Justicia de la República de Colombia

---

Poder otorgado por E.I. DuPont De Nemours And Company

APOSTILLA

1. País: Estados Unidos de América

   Este documento público

2. Ha sido firmado Colleen A. Taylor
3. Quien actúa en calidad de Notario Público del Estado de Delaware
4. Lleva el sello de Colleen A. Taylor, Notario Público del Estado de Delaware

Certificado

JAIME A. GARAVITO B.
TRADUCTOR E INTERPRETE OFICIAL
Res. 034 de Enero 11 de 1983
MINISTERIO DE JUSTICIA

5. En Dover, Delaware
6. El día dos de abril de 2007 A.D.
7. Por el Secretario de Estado, Departamento de Estado de Delaware
8. N° 0312288
9. Sello (Aparece adherido sello dorado)
10. Firma (firmado) Harriet Smith Windsor, Secretario de Estado

---

Texto en inglés y en español mediante el cual, **E.I. DuPont De Nemours And Company**, domiciliada en **1007 Market Street, Wilmington, Delaware 19898, Estados Unidos de América,** por el presente otorga poder a **ÁLVARO CORREA ORDÓÑEZ y/o OLGA GEORGETTE OTERO y/o RICARDO METKE y/o JUAN PABLO CONCHA**

EL SUSCRITO NOTARIO ONCE (E) DE ESTE CIRCULO HACE CONSTAR QUE ESTA FOTOCOPIA COINCIDE CON EL ORIGINAL QUE HE TENIDO A LA VISTA
25 ENE 2008
BOGOTA, D.C. - COLOMBIA
MONICA ZULMA BAUTISTA NAVARRO
NOTARIA ONCE (11) E.

de la firma Raisbeck, Lara, Rodríguez & Rueda, miembros de Baker & McKenzie, domiciliada en la Avenida 82 N° 10-62, piso 6, Bogotá, Colombia.

Dado y suscrito el 21 de marzo de 2007

[Firmado] Miriam D. Meconnahey, Subsecretaria, Junta de Patentes

---

CERTIFICACIÓN NOTARIAL. Texto en inglés y en español mediante el cual el suscrito Notario certifica la existencia legal de la sociedad **E.I. DuPont De Nemours And Company**, así como las funciones y firma de su representante autorizado Miriam D. Meconnahey, Subsecretaria, Junta de Patentes, para efectos de lo cual se ha basado en: [Aparece al final, antes de la firma del notario, el siguiente texto: "Certifico que el objeto para el cual se otorga este instrumento se encuentra dentro del alcance de los objetos o actividades de la mencionada sociedad de acuerdo con el Acta de Constitución expedida en 1915 y/o los Estatutos expedidos en la ciudad de Wilmington, Delaware y fechados septiembre 8, 1915 los cuales he visto. Doy fe.

[Firmado] Colleen A. Taylor, Notario Público

[Aparece sello notarial realzado]

JAIME A. GARAVITO B.
TRADUCTOR E INTERPRETE OFICIAL
Res. 034 de Enero 11 de 1983
MINISTERIO DE JUSTICIA

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

Jaime Armando Garavito Burgos
c.c. N° 19.130.702 de Bogotá
Traductor e Intérprete Oficial según Resolución N° 034 de enero 11 de 1983 expedida por el Ministerio de Justicia

BOGDM5 # 75252

COMO NOTARIA ONCE (E) DE ESTE CIRCULO HAGO CONSTAR QUE ESTA FOTOCOPIA COINCIDE CON EL ORIGINAL QUE HE TENIDO A LA VISTA
15 ENE 2008
BOGOTA D.C. - COLOMBIA
MONICA ZULIMA BAUTISTA NAVARRO
NOTARIA ONCE (11) E.

Apostille

(Convention de La Haye du 5 Octobre 1961)

1. *Country: United States of America*

This public document:

2. *has been signed by Colleen A. Taylor*

3. *acting in the capacity of Notary Public of the State of Delaware*

4. *bears the seal/stamp of Colleen A. Taylor, Notary Public, Delaware*

Certified

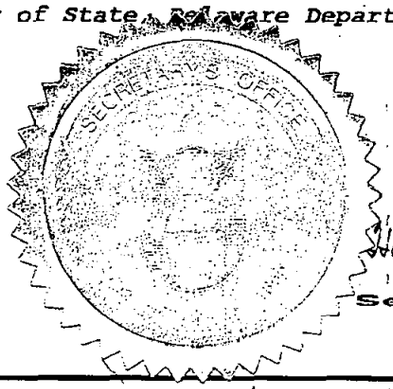
5. *at Dover, Delaware*

6. *the second day of April, A.D. 2007*

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

8. *No. 0312288*

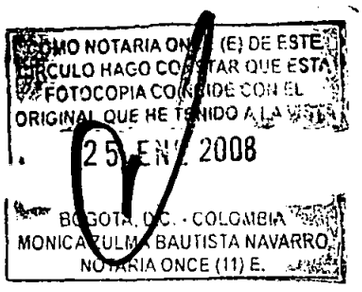
9. *Seal/Stamp:*



10. *Signature:*

Harriet Smith Windsor

Secretary of State



BAKER & McKENZIE

Raisbeck, Lara, Rodríguez & Rueda
Av. 82 No. 10 - 62 6th Floor
Bogotá, Colombia

NIT: 860.068.840-3
Tel: +57 1 634 1500
Fax: +57 1 376 2211
www.bakernet.com

POWER OF ATTORNEY

The undersigned,

E.I DuPont De Nemours And Company

domiciled in

1007 Market Street, Wilmington, Delaware 19898
United Status of America

declares that it hereby grants to

ALVARO CORREA-ORDOÑEZ and/or
OLGA GEORGETTE OTERO and/or
RICARDO METKE and/or
JUAN PABLO CONCHA

with domicile at Av. 82 No. 10 – 62, 6Th floor, of Bogotá D. C., Colombia, a full and sufficient power of attorney to 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, industrial designs, integrated circuits, layout-designs and vegetal varieties; the registration of trademarks, denominations of origin, commercial names, trade emblems, slogans and domain names; its renewal, assignments, change of name or domicile, voluntary cancellation; and the registration of licenses of use of the above rights; b)Processes of protection to the consumer, unfair competition, oppositions, cancellations, nullity, precautionary injunctions, infringement, granting of licenses, writ mandamus, the protection of trade secrets, and in general the civil, penal, an administrative processes relating to those rights. 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.

I hereby ratify all actions previously taken on behalf of this company by the aforementioned attorneys with respect to the mentioned matters.

Done and subscribed in

On the 21 day of March of 2007

Signature of the person issuing the Power

Miriam D. Meconnahey
Assistant Secretary – Patent Board

PODER DE ABOGADO

La abajo firmada,

E.I DuPont De Nemours And Company

domiciliada en

1007 Market Street, Wilmington, Delaware 19898
Estados Unidos de América

declara por el presente que otorga a

ALVARO CORREA-ORDOÑEZ y/o
OLGA GEORGETTE OTERO y/o
RICARDO METKE y/o
JUAN PABLO CONCHA

con domicilio en la Av. 82 No. 10 – 62 Piso 6 en Bogotá D. C., Colombia, poder especial amplio y suficiente, 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 autoridades 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, diseños industriales, esquemas de trazado de circuitos integrados y variedades vegetales; el registro de marcas, denominaciones de origen, nombres comerciales, enseñas, lemas comerciales y nombres de dominio; su renovación, traspaso, cambio de nombre o domicilio, cancelación voluntaria; y el registro de licencias de uso de esos derechos; b) Los procesos para la protección del consumidor, competencia desleal, oposiciones, cancelaciones, nulidades, medidas cautelares, infracciones, concesión de licencias, la tutela, la protección de secretos industriales, y en general procesos civiles, penales, administrativos relacionados con estos derechos. Y para que en todos los asuntos arriba determinados, puedan sustituir este mandato, transigir, conciliar, admitir los hechos del caso, desistir, cancelar, recibir, renunciar, y ratificar los actos de agentes oficiosos.

Igualmente, ratifico todo lo actuado hasta el momento en los mismos asuntos, por cualquiera de los mencionados apoderados en representación de ésta sociedad.

Dado y firmado en

A los 21 días del mes de Marzo de 2007

Firma de la persona que firma el Poder

EL SUSCRITO NOTARIA ONCE (E) DE ESTE CIRCULO HAGO CONSTAR QUE ESTA FOTOCOPIA CONCUERDE CON EL ORIGINAL QUE HE TENIDO A LA VISTA
21 EN 2008
BOGOTA, D.C. - COLOMBIA
MONICA ZULMA BAUTISTA NAVARRO
NOTARIA ONCE (11) E.

[redacted]

NOTARIAL CERTIFICATION

On this 21st day of March

2007 , the undersigned Notary

CERTIFIES

1. That **Miriam D. Meconnahey**

of legal age and domiciled in

1964 Lakeview Road, Wilmington, DE 19805, USA

set his hand on the foregoing document.

2. That the grantor is to me personally known and that he/she has legal capacity to execute the instrument.

3. That the grantor has executed the foregoing document as

Assistant Secretary – Patent Board

of the judicial person **E.I DuPont De Nemours And Company**

4. That the judicial person **E.I DuPont De Nemours And Company**

having its home office in

1007 Market Street, Wilmington, Delaware 19898 United Status of America

is duly organized, has present legal existence and that the purposes for which the power of attorney is granted are within the scope of its corporate purposes.

5. That the grantor has in fact the referred to authority and that his/her representation is legal.

6. That I have based certifications 3, 4 and 5 above on the following authentic documents for such purpose exhibited to me and which I mention specifically, giving their dates and their origin or source:

I certify that the purposes for which said instrument is granted are within the scope of the objects or activities of said corporation, in accordance with the Act of Incorporation issued in 1915 and/or the By-Laws issued in the City of Wilmington, Delaware and dated September 8, 1915 which both I have seen. I attest.

Notary Public

CERTIFICACION NOTARIAL

A los 21 días del mes de Marzo

de 2007 , el suscrito Notario

DA FE

1 Que Miriam D. Meconnahey

mayor y domiciliado en

1964 Lakeview Road, Wilmington, DE 19805]Estados Unidos de América

suscribió de su puño y letra el documento que antecede.

2. Que conozco al otorgante y que éste tiene capacidad legal para el otorgamiento.

3 Que el otorgante ha suscrito el referido documento en su carácter de

Subsecretaria- junta de patentes

de la persona jurídica **E.I DuPont De Nemours And Company**

4 Que la persona jurídica **E.I DuPont De Nemours And Company**

con sede en

1007 Market Street, Wilmington, Delaware 19898 United Status of America

está legalmente constituida, que tiene existencia legal actual y que el acto para el cual se otorga el poder está comprendido entre los que constituyen su objeto social.

5 Que el otorgante tiene efectivamente la representación que ostenta y que ésta es legítima.

6. Que he basado las certificaciones 3, 4 y 5 anteriores en los siguientes documentos auténticos que al efecto se me exhibieron y que menciono específicamente con expresión de sus fechas y de su origen o procedencia:

Certifico que el objeto por el cual este instrumento es para llevar a cabo las actividades de la compañía de acuerdo con el acta de constitución de fecha 1915 y estatutos en la ciudad de Wilmington , Delaware, de fecha septiembre 8, 1915 que los dos hemos visto. Doy fé.

El Notario Público

DESPACHO NOTARIA ONCE (E) DE ESTE CIRCULO HAGO CONSTAR QUE ESTA FOTOCOPIA COINCIDE CON EL ORIGINAL QUE HE TENIDO A LA VISTA
25 ENE 2008
BOGOTA, D.C. - COLOMBIA
MONICA PAULINA BAUTISTA NAVARRO
NOTARIA ONCE (11) E.

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

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
1 February 2007 (01.02.2007)

PCT

(10) International Publication Number
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(51) International Patent Classification:
C07D 417/04 (2006.01) *C07D 403/06* (2006.01)
C07D 417/14 (2006.01) *A01N 43/72* (2006.01)
C07D 413/04 (2006.01) *A01P 3/00* (2006.01)
C07D 413/14 (2006.01) *C07D 401/06* (2006.01)
C07D 401/04 (2006.01) *C07D 277/56* (2006.01)
C07D 401/14 (2006.01) *C07D 417/12* (2006.01)
C07D 403/04 (2006.01) *C07D 263/34* (2006.01)
C07D 403/14 (2006.01)

(21) International Application Number:
PCT/US2006/029175

(22) International Filing Date: 26 July 2006 (26.07.2006)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
60/702,579 26 July 2005 (26.07.2005) US

(71) Applicant *(for all designated States except US)*: **E. I. DU PONT DE NEMOURS AND COMPANY** [US/US]; 1007 Market Street, Wilmington, Delaware 19898 (US).

(72) Inventors; and
(75) Inventors/Applicants *(for US only)*: **BISAHA, John, Joseph** [US/US]; 511 Runnymeade Road, Hockessin, Delaware 19707 (US). **KOVACS, Patrick, Ryan** [US/US]; 129 Highland Boulevard, Apartment G, New Castle, Delaware 19720 (US). **LETT, Renee, Marie** [US/US]; 4 Auspice Circle, Newark, Delaware 19711 (US). **LONG, Jeffrey, Keith** [US/US]; 1210 Bruce Road, Wilmington, Delaware 19803 (US). **PASTERIS, Robert, James** [US/US]; 3208 Landsdowne Drive, Wilmington, Delaware 19810 (US). **FINKELSTEIN, Bruce, Lawrence** [US/US]; 26 Auspice Circle, Newark, Delaware 19711 (US). **SMITH, Brenton, Todd** [US/US]; 914 Grandview Drive, Exton, Pennsylvania 19341 (US). **KLYASHCHITSKY, Boris, Abramovich** [US/US]; 138 Fairhill Drive, Wilmington, Delaware 19808 (US).

(74) Agent: **HEISER, David, E.**; E. I. du Pont de Nemours and Company, Legal Patent Records Center, 4417 Lancaster Pike, Wilmington, Delaware 19805 (US).

(81) Designated States *(unless otherwise indicated, for every kind of national protection available)*: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW.

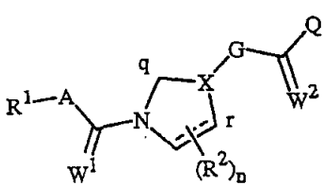
(84) Designated States *(unless otherwise indicated, for every kind of regional protection available)*: ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Published:
— *with international search report*

(88) Date of publication of the international search report:
7 June 2007

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: FUNGICIDAL CARBOXAMIDES



(1)

(57) **Abstract:** This invention is directed to compounds of Formula (1) including all geometric and stereoisomers, N oxides, and agriculturally suitable salts thereof, agricultural compositions containing them and their use as fungicides, (1) provided that the compound of Formula 1 is other than 2-[1-[(2-chlorophenyl)acetyl]-4-piperidinyl]-*N*-methyl-*N*-[(1*R*)-1-phenylethyl]-4-thiazolecarboxamide and R^1 is other than 4-fluorophenyl; wherein R^1, R^2, A, G, Q, W^1, W^2, X and n are otherwise as defined in the disclosure, Also disclosed are compositions containing the a compound having a formula corresponding to Formula 1 where the provisos are both omitted; and methods for controlling plant diseases caused by fungal plant pathogens which involves applying an effective amount of a compound having a formula corresponding to Formula (1) where the provisos are both omitted.

WO 2007/014290 A3

TITLE

FUNGICIDAL CARBOXAMIDES

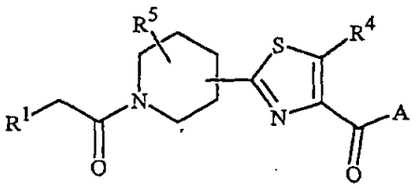
FIELD OF THE INVENTION

This invention relates to certain carboxamides, their *N*-oxides, agriculturally suitable salts and compositions, and methods of their use as fungicides.

BACKGROUND OF THE INVENTION

The control of plant diseases caused by fungal plant pathogens is extremely important in achieving high crop efficiency. Plant disease damage to ornamental, vegetable, field, cereal, and fruit crops can cause significant reduction in productivity and thereby result in increased costs to the consumer. Many products are commercially available for these purposes, but the need continues for new compounds which are more effective, less costly, less toxic, environmentally safer or have different sites of action.

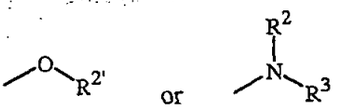
World Patent Publication WO 05/003128 discloses thiazolylpiperidine derivatives of Formula i as MTP (Microsomal Triglyceride transfer Protein) inhibitors.



i

wherein

A is a radical selected from the radicals a1 and a2 below



a1 or a2

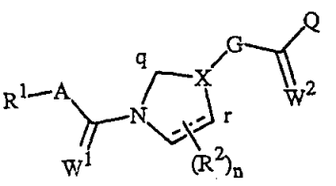
and R^1, R^2, $R^{2'}$, R^3, R^4 and R^5 are as defined in the disclosure.

World Patent Publication WO 04/058751 discloses piperidinyl-thiazole carboxamide derivatives for altering vascular tone.

SUMMARY OF THE INVENTION

This invention is directed to compounds of Formula 1 including all geometric and stereoisomers, *N*-oxides, and agriculturally suitable salts thereof, agricultural compositions containing them and their use as fungicides:

2



1

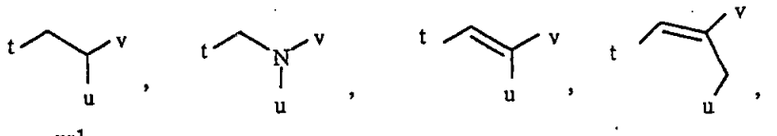
wherein

R^1 is an optionally substituted phenyl or 5- or 6-membered heteroaromatic ring;

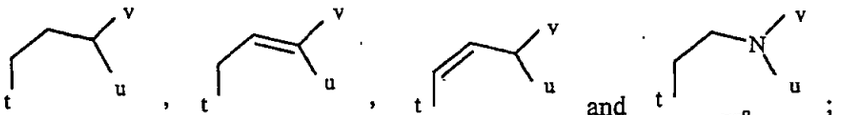
A is CH_2 or NH;

W^1 is O or S;

X is a radical selected from



X^1 X^2 X^3 X^4



X^5 X^6 X^7 and X^8 ;

wherein the bond of X which is identified with "t" is connected to the carbon atom identified with "q" of Formula 1, the bond which is identified with "u" is connected to the carbon atom identified with "r" of Formula 1, and the bond which is identified with "v" is connected to G;

each R^2 is independently C_1–C_4 alkyl, C_1–C_4 alkenyl, C_1–C_4 haloalkyl, C_1–C_4 alkoxy, halogen, cyano or hydroxy;

n is 0, 1 or 2; or

two R^2 are taken together as C_1–C_3 alkylene or C_2–C_3 alkenylene to form a bridged bicyclic ring system; or

two R^2 attached to adjacent ring carbon atoms joined by a double bond are taken together as –CH=CH–CH=CH– optionally substituted with 1–3 substituents selected from C_1–C_4 alkyl, C_1–C_4 haloalkyl, C_1–C_4 alkoxy, C_1–C_4 haloalkoxy, halogen, hydroxy, amino, cyano and nitro;

G is an optionally substituted 5-membered heteroaromatic ring or 5-membered saturated or partially saturated heterocyclic ring;

W^2 is O or S;

Q is $-NQ^aQ^b$;

Q^a is H, C_1–C_3 alkyl, C_2–C_3 alkenyl, C_2–C_3 alkynyl, C_4–C_{10} cycloalkylalkyl, C_4–C_{10} alkylcycloalkyl, C_5–C_{10} alkylcycloalkylalkyl, C_1–C_3 haloalkyl, C_2–C_3 haloalkenyl, cyano, hydroxy, C_1–C_3 alkoxy, C_2–C_3 alkoxyalkyl, C_1–C_3 hydroxyalkyl, C_2–C_3 alkylcarbonyl, C_2–C_3 alkoxycarbonyl, C_2–C_3 alkylaminocarbonyl or C_3–C_5 dialkylaminocarbonyl;

Q^b is an optionally substituted 8- to 11-membered saturated or partially saturated bicyclic ring system or an optionally substituted 10- to 15-membered partially saturated tricyclic ring system, each ring system optionally containing 1 to 3 heteroatoms selected from up to 1 O, up to 1 S and up to 3 N, and optionally including 1-3 ring members selected from the group consisting of C(=O), C(=S), S(O), or $S(O)_2$; or

Q^b is $CR^5R^6R^{15}$; or

Q^a and Q^b are taken together with the nitrogen atom to which they are bonded to form an optionally substituted 5- or 6-membered saturated or partially saturated heterocyclic ring;

R^5 is H, C_1–C_6 alkyl, C_2–C_6 alkenyl, C_2–C_6 alkynyl, C_3–C_6 cycloalkyl, C_4–C_{10} cycloalkylalkyl, C_4–C_{10} alkylcycloalkyl, C_5–C_{10} alkylcycloalkylalkyl, C_1–C_6 haloalkyl, C_2–C_6 haloalkenyl, C_2–C_6 haloalkynyl, C_3–C_6 halocycloalkyl, cyano, nitro, C_2–C_4 alkoxyalkyl, C_1–C_4 hydroxyalkyl, C_2–C_4 alkylcarbonyl, C_2–C_6 alkoxycarbonyl, C_2–C_6 alkylaminocarbonyl, C_3–C_8 dialkylaminocarbonyl or C_3–C_6 trialkylsilyl;

R^6 is an optionally substituted phenyl, benzyl, naphthalenyl, C_3–C_6 cycloalkyl, C_3–C_6 cycloalkenyl or 5- or 6-membered heteroaromatic ring; and

R^{15} is H, C_1–C_4 alkyl, C_2–C_4 alkenyl, C_2–C_4 alkynyl, C_3–C_4 cycloalkyl, C_4–C_{10} cycloalkylalkyl, C_4–C_{10} alkylcycloalkyl, C_5–C_{10} alkylcycloalkylalkyl, C_1–C_4 haloalkyl, C_2–C_4 haloalkenyl, C_2–C_4 haloalkynyl, C_3–C_4 halocycloalkyl or C_2–C_4 alkoxyalkyl; or

Q^a and R^5 are taken together with the atoms connecting them to form an optionally substituted 5- to 7-membered ring containing as ring members 2 to 7 carbon atoms and optionally 1 to 3 heteroatoms selected from up to 1 O, up to 1 S and up to 2 N; or

Q^a and R^6 are taken together with the atoms connecting them to form an optionally substituted 5- to 7-membered ring containing as ring members 2 to 7 carbon atoms and optionally 1 to 3 heteroatoms selected from up to 1 O, up to 1 S and up to 2 N; or

R^5 and R^{15} are taken together with the carbon atom to which they are bonded to form an optionally substituted 5- to 7-membered ring containing as ring members 2 to

7 carbon atoms and, optionally 1 to 3 heteroatoms selected from up to 1 O, up to 1 S and up to 1 N; or

R^5 and R^6 are taken together with the carbon atom to which they are bonded to form an optionally substituted 5- to 7-membered ring containing as ring members 2 to 7 carbon atoms and, optionally 1 to 3 heteroatoms selected from up to 1 O, up to 1 S and up to 1 N;

provided that:

(a) the compound of Formula **1** is other than 2-[1-[(2-chlorophenyl)acetyl]-4-piperidinyl]-*N*-methyl-*N*-[(1*R*)-1-phenylethyl]-4-thiazolecarboxamide;

(b) when X is X^2, X^3, X^4, X^6 or X^8, then G is not linked to X via a heteroatom of the G ring; and

(c) R^1 is other than 4-fluorophenyl.

More particularly, this invention pertains to a compound of Formula **1**, including all geometric and stereoisomers, an *N*-oxide or an agriculturally suitable salt thereof.

This invention also relates to a fungicidal composition comprising a fungicidally effective amount of a compound of Formula **1** and at least one additional component selected from the group consisting of surfactants, solid diluents or liquid diluents.

This invention also relates to a fungicidal composition comprising a mixture of a compound of Formula **1** and at least one other fungicide, particularly at least one other fungicide having a different mode of action.

This invention further relates to a method for controlling plant diseases caused by fungal plant pathogens comprising applying to the plant or portion thereof, or to the plant seed or seedling, a fungicidally effective amount of a compound of the invention (i.e. as a composition described herein).

This invention also provides a fungicidal composition comprising a fungicidally effective amount of a compound having a formula corresponding to Formula **1** except that R^1 is 4-fluorophenyl and at least one additional component selected from the group consisting of surfactants, solid diluents or liquid diluents.

This invention also relates to a fungicidal composition comprising a fungicidally effective amount of a compound having a formula corresponding to Formula **1** except that R^1 is 4-fluorophenyl and at least one other fungicide.

This invention further relates to a method for controlling plant diseases caused by fungal plant pathogens comprising applying to the plant or portion thereof, or to the plant seed or seedling, a fungicidally effective amount of the compound having a formula corresponding to Formula **1** except that R^1 is 4-fluorophenyl (i.e. as a composition described herein).

This invention also provides a fungicidal composition comprising a fungicidally effective amount of the compound of 2-[1-[(2-chlorophenyl)acetyl]-4-piperidinyl]-*N*-methyl-

N-[(1*R*)-1-phenylethyl]-4-thiazolecarboxamide and at least one additional component selected from the group consisting of surfactants, solid diluents or liquid diluents.

This invention also relates to a fungicidal composition comprising a mixture of the compound of 2-[1-[(2-chlorophenyl)acetyl]-4-piperidinyl]-*N*-methyl-*N*-[(1*R*)-1-phenylethyl]-4-thiazolecarboxamide and at least one other fungicide.

This invention further relates to a method for controlling plant diseases caused by fungal plant pathogens comprising applying to the plant or portion thereof, or to the plant seed or seedling, a fungicidally effective amount of the compound of 2-[1-[(2-chlorophenyl)acetyl]-4-piperidinyl]-*N*-methyl-*N*-[(1*R*)-1-phenylethyl]-4-thiazole-carboxamide (i.e. as a composition described herein).

DETAILS OF THE INVENTION

As used herein, the terms "comprises," "comprising," "includes," "including," "has," "having" or any other variation thereof, are intended to cover a non-exclusive inclusion. For example, a composition, process, method, article, or apparatus that comprises a list of elements is not necessarily limited to only those elements but may include other elements not expressly listed or inherent to such composition, process, method, article, or apparatus. Further, unless expressly stated to the contrary, "or" refers to an inclusive or and not to an exclusive or. For example, a condition A or B is satisfied by any one of the following: A is true (or present) and B is false (or not present), A is false (or not present) and B is true (or present), and Both A and B are true (or present).

Also, use of "a" or "an" are employed to describe elements and components of the invention. This is done merely for convenience and to give a general sense of the invention. This description should be read to include one or at least one and the singular also includes the plural unless it is obvious that it is meant otherwise.

In the above recitations, the term "alkyl", used either alone or in compound words such as "alkylthio" or "haloalkyl" includes straight-chain or branched alkyl, such as, methyl, ethyl, *n*-propyl, *i*-propyl, or the different butyl, pentyl or hexyl isomers. The term "1–2 alkyl" indicates that one or two of the available positions for that substituent may be alkyl which are independently selected. "Alkenyl" includes straight-chain or branched alkenes such as ethenyl, 1-propenyl, 2-propenyl, and the different butenyl, pentenyl and hexenyl isomers. "Alkenyl" also includes polyenes such as 1,2-propadienyl and 2,4-hexadienyl. "Alkynyl" includes straight-chain or branched alkynes such as ethynyl, 1-propynyl, 2-propynyl and the different butynyl, pentynyl and hexynyl isomers. "Alkynyl" can also include moieties comprised of multiple triple bonds such as 2,5-hexadiynyl. "Alkylene" denotes a straight-chain or branched alkanediyl. Examples of "alkylene" include CH_2, CH_2CH_2, $CH(CH_3)$, $CH_2CH_2CH_2$, $CH_2CH(CH_3)$ and the different butylene isomers. "Alkenylene" denotes a straight-chain or branched alkenediyl containing one olefinic bond.

Examples of "alkenylene" include CH=CH, CH_2CH=CH, CH=C(CH_3) and the different butenylene isomers. "Alkynylene" denotes a straight-chain or branched alkynediyl containing one triple bond. Examples of "alkynylene" include C≡C, CH_2C≡C, C≡CCH_2 and the different butynylene isomers. "Alkoxy" includes, for example, methoxy, ethoxy, *n*-propyloxy, isopropyloxy and the different butoxy, pentoxy and hexyloxy isomers. "Alkoxyalkyl" denotes alkoxy substitution on alkyl. Examples of "alkoxyalkyl" include CH_3OCH_2, $CH_3OCH_2CH_2$, $CH_3CH_2OCH_2$, $CH_3CH_2CH_2CH_2OCH_2$ and $CH_3CH_2OCH_2CH_2$. "Alkoxyalkoxy" denotes alkoxy substitution on alkoxy. "Alkenyloxy" includes straight-chain or branched alkenyloxy moieties. Examples of "alkenyloxy" include H_2C=$CHCH_2O$, $(CH_3)_2C$=$CHCH_2O$, $(CH_3)CH$=$CHCH_2O$, $(CH_3)CH$=$C(CH_3)CH_2O$ and CH_2=$CHCH_2CH_2O$. "Alkynyloxy" includes straight-chain or branched alkynyloxy moieties. Examples of "alkynyloxy" include HC≡CCH_2O, CH_3C≡CCH_2O and CH_3C≡CCH_2CH_2O. "Alkylthio" includes branched or straight-chain alkylthio moieties such as methylthio, ethylthio, and the different propylthio, butylthio, pentylthio and hexylthio isomers. "Alkylsulfinyl" includes both enantiomers of an alkylsulfinyl group. Examples of "alkylsulfinyl" include $CH_3S(O)$, $CH_3CH_2S(O)$, $CH_3CH_2CH_2S(O)$, $(CH_3)_2CHS(O)$ and the different butylsulfinyl, pentylsulfinyl and hexylsulfinyl isomers. Examples of "alkylsulfonyl" include $CH_3S(O)_2$, $CH_3CH_2S(O)_2$, $CH_3CH_2CH_2S(O)_2$, $(CH_3)_2CHS(O)_2$ and the different butylsulfonyl, pentylsulfonyl and hexylsulfonyl isomers. "Alkylamino", "dialkylamino", "alkenylthio", "alkenylsulfinyl", "alkenylsulfonyl", "alkynylthio", "alkynylsulfinyl", "alkynylsulfonyl", and the like, are defined analogously to the above examples. "Cycloalkyl" includes, for example, cyclopropyl, cyclobutyl, cyclopentyl, and cyclohexyl. The term "carbocyclic ring" denotes a ring wherein the atoms forming the ring backbone and selected only from carbon. The term "aromatic ring system" denotes fully unsaturated carbocycles and heterocycles in which the polycyclic ring system is aromatic. Aromatic indicates that each of ring atoms is essentially in the same plane and has a *p*-orbital perpendicular to the ring plane, and in which $(4n + 2)$ π electrons, when n is 0 or a positive integer, are associated with the ring to comply with Hückel's rule. The term "aromatic carbocyclic ring system" includes fully aromatic carbocycles and carbocycles in which at least one ring of a polycyclic ring system is aromatic. The terms "aromatic heterocyclic ring system" and "heteroaromatic ring" include fully aromatic heterocycles and heterocycles in which at least one ring of a polycyclic ring system is aromatic. The term "saturated heterocyclic ring system" denotes fully saturated heterocycles wherein none of the rings in the ring system are aromatic. The term "partially saturated heterocyclic ring system" denotes partially unsaturated heterocycles wherein part of the rings in the ring system can be aromatic. The heterocyclic ring systems can be attached through any available carbon or nitrogen by replacement of a hydrogen on said carbon or nitrogen. One skilled in the art will appreciate that not all nitrogen containing heterocycles can form *N*-oxides since

the nitrogen requires an available lone pair of electrons for oxidation to the oxide; one skilled in the art will recognize those nitrogen containing heterocycles which can form *N*-oxides. One skilled in the art will also recognize that tertiary amines can form *N*-oxides. Synthetic methods for the preparation of *N*-oxides of heterocycles and tertiary amines are very well known by one skilled in the art including the oxidation of heterocycles and tertiary amines with peroxy acids such as peracetic and *m*-chloroperbenzoic acid (MCPBA), hydrogen peroxide, alkyl hydroperoxides such as *t*-butyl hydroperoxide, sodium perborate, and dioxiranes such as dimethydioxirane. These methods for the preparation of *N*-oxides have been extensively described and reviewed in the literature, see for example: T. L. Gilchrist in *Comprehensive Organic Synthesis*, vol. 7, pp 748–750, S. V. Ley, Ed., Pergamon Press; M. Tisler and B. Stanovnik in *Comprehensive Heterocyclic Chemistry*, vol. 3, pp 18–20, A. J. Boulton and A. McKillop, Eds., Pergamon Press; M. R. Grimmett and B. R. T. Keene in *Advances in Heterocyclic Chemistry*, vol. 43, pp 149–161, A. R. Katritzky, Ed., Academic Press; M. Tisler and B. Stanovnik in *Advances in Heterocyclic Chemistry*, vol. 9, pp 285–291, A. R. Katritzky and A. J. Boulton, Eds., Academic Press; and G. W. H. Cheeseman and E. S. G. Werstiuk in *Advances in Heterocyclic Chemistry*, vol. 22, pp 390–392, A. R. Katritzky and A. J. Boulton, Eds., Academic Press.

The term "halogen", either alone or in compound words such as "haloalkyl", includes fluorine, chlorine, bromine or iodine. The term "1–2 halogen" indicates that one or two of the available positions for that substituent may be halogen which are independently selected. Further, when used in compound words such as "haloalkyl", said alkyl may be partially or fully substituted with halogen atoms which may be the same or different. Examples of "haloalkyl" include F_3C, $ClCH_2$, CF_3CH_2 and CF_3CCl_2. The terms "haloalkenyl", "haloalkynyl", "halocycloalkyl", "haloalkoxy", "haloalkylthio", and the like, are defined analogously to the term "haloalkyl". Examples of "haloalkenyl" include $(Cl)_2C{=}CHCH_2$ and $CF_3CH_2CH{=}CHCH_2$. Examples of "haloalkynyl" include $HC{\equiv}CCHCl$, $CF_3C{\equiv}C$, $CCl_3C{\equiv}C$ and $FCH_2C{\equiv}CCH_2$. Examples of "haloalkoxy" include CF_3O, CCl_3CH_2O, $HCF_2CH_2CH_2O$ and CF_3CH_2O. Examples of "haloalkylthio" include CCl_3S, CF_3S, CCl_3CH_2S and $ClCH_2CH_2CH_2S$. Examples of "haloalkylsulfinyl" include $CF_3S(O)$, $CCl_3S(O)$, $CF_3CH_2S(O)$ and $CF_3CF_2S(O)$. Examples of "haloalkylsulfonyl" include $CF_3S(O)_2$, $CCl_3S(O)_2$, $CF_3CH_2S(O)_2$ and $CF_3CF_2S(O)_2$. Examples of "partially saturated bicyclic ring system" include tetrahydronaphthalene, tetrahydroquinoline, tetrahydroisoquinoline. "Trialkylsilyl" includes 3 branched and/or straight-chain alkyl radicals attached to and linked through a silicon atom such as trimethylsilyl, triethylsilyl and *t*-butyl-dimethylsilyl. The total number of carbon atoms in a substituent group is indicated by the "C_i–C_j" prefix where i and j are numbers from 1 to 10. For example, C_1–C_4 alkylsulfonyl designates methylsulfonyl through butylsulfonyl; C_2 alkoxyalkyl designates CH_3OCH_2; C_3 alkoxyalkyl designates, for example, $CH_3CH(OCH_3)$, $CH_3OCH_2CH_2$ or

$CH_3CH_2OCH_2$; and C_4 alkoxyalkyl designates the various isomers of an alkyl group substituted with an alkoxy group containing a total of four carbon atoms, examples including $CH_3CH_2CH_2OCH_2$ and $CH_3CH_2OCH_2CH_2$. C_2 alkylaminoalkyl designates CH_3NHCH_2-; C_3 alkylaminoalkyl designates, for example, $CH_3(CH_3NH)CH$-, $CH_3NHCH_2CH_2$- or $CH_3CH_2NHCH_2$-; and Examples of "alkylcarbonyl" include $C(O)CH_3$, $C(O)CH_2CH_2CH_3$ and $C(O)CH(CH_3)_2$. Examples of "alkoxycarbonyl" include $CH_3OC(=O)$, $CH_3CH_2OC(=O)$, $CH_3CH_2CH_2OC(=O)$, $(CH_3)_2CHOC(=O)$ and the different butoxy- or pentoxycarbonyl isomers. Examples of "alkylaminocarbonyl" include $CH_3NHC(=O)$-, $CH_3CH_2NHC(=O)$-, $CH_3CH_2CH_2NHC(=O)$-, $(CH_3)_2CHNHC(=O)$- and the different butylamino- or pentylaminocarbonyl isomers. Examples of "dialkylaminocarbonyl" include $(CH_3)_2NC(=O)$-, $(CH_3CH_2)_2NC(=O)$-, $CH_3CH_2(CH_3)NC(=O)$-, $(CH_3)_2CHN(CH_3)C(=O)$- and $CH_3CH_2CH_2(CH_3)NC(=O)$-. In the above recitations, when a compound of Formula **1** is comprised of one or more heterocyclic rings, all substituents are attached to these rings through any available carbon or nitrogen by replacement of a hydrogen on said carbon or nitrogen.

The dotted line in Formula 1 represents that the bond indicated can be a single bond or double bond.

When X is a radical selected from X^1, X^2, X^3, X^4, X^5, X^6, X^7 and X^8, the left-hand bond of X which is identified with "t" is connected to the carbon atom next to the nitrogen identified with "q" of Formula **1**, and the right-bottom bond of X which is identified with "u" is connected to the carbon atom identified with "r" of Formula **1** and the right upper-hand bond of X which is identified with "v" is connected to the G ring of Formula **1**.

When a compound is substituted with a substituent bearing a subscript that indicates the number of said substituents can vary, when the number of said substituents is greater than 1, said substituents are independently selected from the group of defined substituents. Further, when the subscript indicates a range, e.g. $(R)_{i-j}$, then the number of substituents may be selected from the integers between i and j inclusive. Also, one skilled in the art recognizes that the number of available points of attachment places a limit on the number of substituents possible that may be lower than the broad definition; for example, the subscript "k" in U-16, U-17, U-18, U-19, U-32, U-33 and U-35 shown in Embodiment 14 cannot be greater than 1.

When a group contains a substituent which can be hydrogen, for example Q^a, R^5 or R^{15}, then, when this substituent is taken as hydrogen, it is recognized that this is equivalent to said group being unsubstituted. When a variable group is shown to be optionally attached to a position, for example $(R^2)_n$ wherein n may be 0, then hydrogen may be at the position even if not recited in the variable group definition. When a position on a group is said to be "not substituted" or "unsubstituted", then hydrogen atoms are attached to take up any free valency. The term "optionally substituted" in connection with groups listed for R^1, R^2, R^5,

R^6, R^{15}, R^{16}, R^{16a}, G, Q^a and Q^b refers to groups that are unsubstituted or have at least 1 non-hydrogen substituent. These groups may be substituted with as many optional substituents as can be accommodated by replacing a hydrogen atom with a non-hydrogen substituent on any available carbon or nitrogen atom. Commonly, the number of optional substituents (when present) ranges from 1 to 3.

As noted above, R^1 is an optionally substituted phenyl or 5- or 6-membered heteroaromatic ring; G is an optionally substituted 5-membered heteroaromatic ring or 5-membered saturated or partially saturated heterocyclic ring; Q^a and Q^b are taken together with the nitrogen atom to which they are bonded to form an optionally substituted 5- or 6-membered saturated or partially saturated heterocyclic ring; and R^6 is an optionally substituted phenyl, benzyl, naphthalenyl, C_3–C_6 cycloalkyl, C_3–C_6 cycloalkenyl or 5- or 6-membered heteroaromatic ring; and Q-2 through Q-85 are optionally substituted. The term "substituted" in connection with these R^1, G, R^6, Q^a and Q^b groups refers to groups that have at least one non-hydrogen substituent that does not extinguish the fungicidal activity. Since these groups are optionally substituted, they need not have any non-hydrogen substituents.

Naming of substituents in the present disclosure uses recognized terminology providing conciseness in precisely conveying to those skilled in the art the chemical structure. For example, as is used in nomenclature, the prefix "per" indicates "completely", and "perhydro" means that the referenced heteroaromatic ring or ring system (e.g., quinoline, isoquinoline) has been completely hydrogenated, so that it is fully saturated. Also, ending a heterocyclic substituent name with the letter "o" (e.g., "piperidino", "pyrrolidino", "isoquinolino", "isoindolo") means that the heterocyclic substituent is bonded to the remainder of the molecule through the nitrogen atom of the heterocycle. For sake of conciseness, locant descriptors may be omitted; "pyrazol-1-yl" means "1*H*-pyrazol-1-yl" according to the Chemical Abstracts system of nomenclature. The term "pyridyl" is synonymous with "pyridinyl". The order of listing substituents may be different from the Chemical Abstracts system if the difference does not affect the meaning.

A. Examples of compounds of Formula 1 include compounds wherein

R^1 is a phenyl or 5- or 6-membered heteroaromatic ring, optionally substituted with 1 to 2 substituents independently selected from R^4;

each R^4 is independently C_1–C_6 alkyl, C_2–C_6 alkenyl, C_2–C_6 alkynyl, C_3–C_6 cycloalkyl, C_4–C_{10} cycloalkylalkyl, C_4–C_{10} alkylcycloalkyl, C_5–C_{10} alkylcycloalkylalkyl, C_1–C_6 haloalkyl, C_2–C_6 haloalkenyl, C_2–C_6 haloalkynyl, C_3–C_6 halocycloalkyl, halogen, hydroxy, amino, cyano, nitro, C_1–C_4 alkoxy, C_1–C_4 haloalkoxy, C_1–C_4 alkylthio, C_1–C_4 alkylsulfinyl, C_1–C_4 alkylsulfonyl, C_1–C_4 haloalkylthio, C_1–C_4 haloalkylsulfinyl, C_1–C_4 haloalkylsulfonyl, C_1–C_4 alkylamino, C_2–C_8 dialkylamino, C_3–C_6 cycloalkylamino, C_2–C_4 alkoxyalkyl,

C_1–C_4 hydroxyalkyl, C_2–C_4 alkylcarbonyl, C_2–C_6 alkoxycarbonyl, C_2–C_6 alkylcarbonyloxy, C_2–C_6 alkylcarbonylthio, C_2–C_6 alkylaminocarbonyl, C_3–C_8 dialkylaminocarbonyl or C_3–C_6 trialkylsilyl;

G is a 5-membered heteroaromatic ring or 5-membered saturated or partially saturated heterocyclic ring, each ring optionally substituted with up to 2 substituents selected from R^3 on carbon ring members and selected from R^{11} on nitrogen ring members;

each R^3 is independently C_1–C_3 alkyl, C_1–C_3 haloalkyl or halogen;

R^{11} is C_1–C_3 alkyl; and

Q is a radical selected from Q-1 through Q-85 as described in connection with Embodiment 50 described hereinafter.

B. Of note are compounds of Paragraph A above wherein R^1 is one of U-1 through U-50 as described in connection with Embodiment 14 described hereinafter; G is one of G-1 through G-55 as described in connection with Embodiment 36 described hereinafter; each R^{3a} is independently H, C_1–C_3 alkyl, C_1–C_3 haloalkyl or halogen (more particularly H, C_1–C_3 alkyl or halogen, and most particularly H or C_1–C_3 alkyl); R^{11a} is H or C_1–C_3 alkyl; R^6 is one of H-1 through H-46 as described in connection with Embodiment 65 described hereinafter; and R^{12} is H or C_1–C_3 alkyl. Of particular note among these compounds are compounds wherein each R^4 is independently C_1–C_3 alkyl, C_2–C_3 alkenyl, C_2–C_3 alkynyl, cyclopropyl, C_1–C_3 haloalkyl, C_2–C_3 haloalkenyl, C_2–C_3 haloalkynyl, halocyclopropyl, halogen, cyano, nitro, C_1–C_2 alkoxy or C_1–C_2 haloalkoxy; R^5 is C_1–C_4 alkyl, C_2–C_4 alkenyl, C_2–C_4 alkynyl, C_3–C_4 cycloalkyl, C_1–C_4 haloalkyl, C_2–C_4 haloalkenyl, C_2–C_4 haloalkynyl, C_3–C_4 halocycloalkyl, cyano or C_2–C_4 alkoxyalkyl; and each R^7 is independently C_1–C_3 alkyl, C_2–C_3 alkenyl, C_2–C_3 alkynyl, cyclopropyl, C_1–C_3 haloalkyl, C_2–C_3 haloalkenyl, C_2–C_3 haloalkynyl, halocyclopropyl, halogen, cyano, nitro, C_1–C_2 alkoxy or C_1–C_2 haloalkoxy; each R^8 is independently H, C_1–C_4 alkyl, C_2–C_4 alkenyl, C_3–C_4 alkynyl, C_3–C_6 cycloalkyl, C_1–C_4 haloalkyl, C_2–C_4 haloalkenyl, C_2–C_4 haloalkynyl, C_3–C_6 halocycloalkyl, halogen, hydroxy, amino, cyano, nitro, C_1–C_4 alkoxy or C_2–C_4 alkylcarbonyloxy; each R^9 is independently C_1–C_3 alkyl, cyclopropyl, C_1–C_3 haloalkyl, halocyclopropyl, halogen, hydroxy, C_2–C_3 alkylcarbonyloxy, C_1–C_2 alkoxy or C_1–C_2 haloalkoxy; R^{10} is H or methyl; each R^{16} is independently C_1–C_3 alkyl, C_2–C_3 alkenyl, C_2–C_3 alkynyl, cyclopropyl, C_1–C_3 haloalkyl, C_2–C_3 haloalkenyl, C_2–C_3 haloalkynyl, halocyclopropyl, halogen, cyano, nitro, C_1–C_2 alkoxy or C_1–C_2 haloalkoxy; or a phenyl or benzyl ring, optionally substituted with up to 3 substituents selected from R^{13}; R^{16a} is H, C_1–C_3 alkyl, allyl, propargyl, cyclopropyl or C_1–C_3 haloalkyl; or a phenyl or benzyl ring, optionally substituted with up to 3 substituents selected from R^{13}; and each R^{13} is independently C_1–C_3 alkyl, C_2–C_3 alkenyl, C_2–C_3 alkynyl, cyclopropyl, C_1–C_3 haloalkyl,

C_2–C_3 haloalkenyl, C_2–C_3 haloalkynyl, halocyclopropyl, halogen, cyano, nitro, C_1–C_2 alkoxy or C_1–C_2 haloalkoxy.

C. Examples of the compounds of the Paragraph B above include compounds wherein X is one of X^1, X^2 and X^3; and each R^2 is independently C_1–C_2 alkyl, C_1–C_2 haloalkyl, C_1–C_2 alkoxy, halogen, cyano or hydroxy; Q^a is H or CH_3; and R^{15} is H or CH_3.

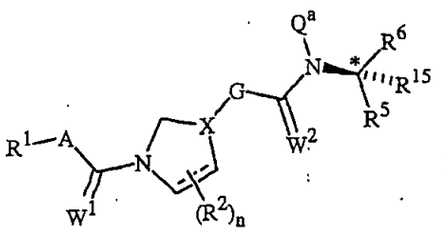
D. Examples of the compounds of the Paragraph C above include compounds wherein R^1 is one of U-1 through U-3, U-11, U-13, U-20, U-22, U-23, U-36, U-37 through U-39 and U-50; and each R^4 is independently halogen, C_1–C_3 alkyl, C_1–C_3 haloalkyl, C_1–C_2 alkoxy or C_1–C_2 haloalkoxy. Also included are compounds wherein G is G-1, G-2, G-3, G-7, G-8, G-10, G-11, G-14, G-15, G-23, G-24, G-26, G-27, G-28, G-30, G-36, G-37, G-38 or G-49 through G-55; R^{3a} is H, CH_3, Cl or Br; and R^{11} is H or CH_3. Of note are compounds wherein G is G-1, G-2, G-7, G-8, G-14, G-15, G-23, G-24, G-26, G-27, G-36, G-37, G-38, G-49 or G-50 (including e.g., where G is unsubstituted).

E. Further examples of the compounds of Paragraph C include compounds wherein Q is Q-1, Q-2, Q-3, Q-4, Q-8, Q-9, Q-10, Q-12, Q-14, Q-22, Q-23, Q-24, Q-40, Q-41, Q-59, Q-62, Q-74 or Q-84; R^5 is C_1–C_4 alkyl, C_2–C_4 alkenyl, C_2–C_4 alkynyl, C_3–C_4 cycloalkyl, C_1–C_4 haloalkyl, C_2–C_4 haloalkenyl, C_2–C_4 haloalkynyl, C_3–C_4 halocycloalkyl, cyano or C_2–C_4 alkoxyalkyl; R^6 is H-1, H-20, H-32, H-45 or H-46; each R^7 is independently halogen, C_1–C_3 alkyl, C_1–C_3 haloalkyl, C_1–C_2 alkoxy or C_1–C_2 haloalkoxy; each R^8 is independently C_1–C_2 alkyl, C_1–C_2 haloalkyl, C_1–C_2 alkoxy, C_1–C_2 haloalkoxy, C_2–C_4 alkylcarbonyloxy or hydroxy; and each R^9 is independently halogen, hydroxy, OCH_3 or CH_3. Included are compounds wherein Q is Q-1, Q-2, Q-8, Q-14, Q-23, Q-41, Q-59 or Q-62; Q^a is methyl; R^5 is C_1–C_4 alkyl, C_1–C_4 haloalkyl, C_2–C_4 alkenyl, C_2–C_4 haloalkenyl or cyano; R^6 is H-1 or H-45; R^{12} is H or CH_3; each R^7 is independently F, Cl, Br, OCH_3 or methyl; R^{15} is H; R^8 is CH_3, OCH_3 or OH; and R^{10} is H or CH_3.

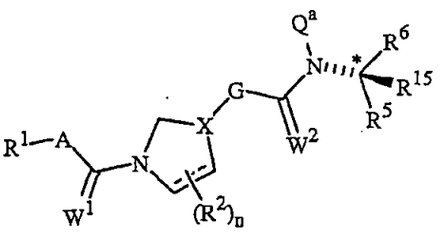
F. Additional examples of the compounds of Paragraph C include compounds wherein W^1 and W^2 are independently O; Q^a is CH_3; m, j, n and p are all independently 0 or 1; R^{3a} is H; each R^7 is independently F, Cl, Br, OCH_3 or methyl; each R^8 is independently C_1–C_2 alkyl, C_1–C_2 alkoxy or hydroxy; and each R^9 is independently F, Cl, Br, hydroxy, OCH_3 or CH_3. Included are compounds wherein R^1 is U-1 or U-50; each R^4 is independently halogen, C_1–C_3 alkyl, C_1–C_3 haloalkyl or C_1–C_2 alkoxy; G is G-1, G-2, G-15, G-26, G-27, G-36, G-37 or G-38; Q is Q-1, Q-2 , Q-8, Q-23 or Q-41; R^5 is C_1–C_4 alkyl, C_2–C_4 alkenyl or cyano; R^6 is H-45; and each R^4 is independently connected to the 3- or 5-position of U-1, each R^4 is independently connected to the 3- and 5-position of U-1, each R^4 is independently connected to the 2- or 3-position of U-50, or each R^4 is independently connected to the 2- and 5-position of U-50 (e.g., compounds where X is X^1 and G is G-1; X is X^1 and G is G-2; X is X^1 and G is G-15; X is X^1 and G is G-26; X is X^1 and G is G-36; X is X^2 and G is G-1; or X is X^2 and G is G-2). In the foregoing, "each R^4 is independently connected to the 3- or 5-

position of U-1" means k is 1 and R^4 is connected to the 3- or 5-position of U-1, "each R^4 is independently connected to the 3- and 5-position of U-1" means k is 2 and an independently selected R^4 is connected to each of the 3- and 5-positions of U-1, "each R^4 is independently connected to the 2- or 3-position of U-50" means k is 1 and R^4 is connected to the 3- or 5-position of U-50, and "each R^4 is independently connected to the 2- and 5-position of U-50" means k is 2 and an independently selected R^4 is connected to each of the 2- and 5-positions of U-50.

Compounds of this invention can exist as one or more stereoisomers. The various stereoisomers include enantiomers, diastereomers, atropisomers and geometric isomers. One skilled in the art will appreciate that one stereoisomer may be more active and/or may exhibit beneficial effects when enriched relative to the other stereoisomer(s) or when separated from the other stereoisomer(s). Additionally, the skilled artisan knows how to separate, enrich, and/or to selectively prepare said stereoisomers. Accordingly, the present invention comprises compounds selected from Formula **1**, *N*-oxides and agriculturally suitable salts thereof. The compounds of the invention may be present as a mixture of stereoisomers, individual stereoisomers, or as an optically active form. For example, when Q is Q-1, and R^5, R^6 and R^{15} of Q-1 in Formula **1** are different, then Formula **1** possesses a chiral center at the carbon atom to which they are commonly bonded. This invention comprises racemic mixtures. In addition, this invention includes compounds that are enriched compared to the racemic mixture in an enantiomer of Formula **1**.



1'



1"

Included are the essentially pure enantiomers of compounds of Formula **1**, for example, Formula **1'** and Formula **1"** wherein Q is Q-1.

When enantiomerically enriched, one enantiomer is present in greater amounts than the other, and the extent of enrichment can be defined by an expression of enantiomeric excess ("ee"), which is defined as $(2x-1)\cdot 100$ %, where x is the mole fraction of the dominant enantiomer in the mixture (e.g., an ee of 20 % corresponds to a 60:40 ratio of enantiomers).

For the compounds of Formula **1** where Q is Q-1 through Q-74, the more fungicidally active enantiomer is believed to be that wherein R^{15} is a hydrogen, the hydrogen atom attached to the carbon atom identified with an asterisk (*) is below the plane defined by the 3

non-hydrogen atoms attached to the carbon atom identified with the asterisk (*) as in Formula **1'** (with the aromatic ring of Q-2 through Q-74 positioned with respect to the carbon atom identified with an asterisk (*) in a manner analogous to R^6 in Q-1 in Formula **1'**). For example when R^5 is CH_3, R^6 is phenyl and R^{15} is H, Formula **1'** has the *R* configuration at the carbon atom to which R^5, R^6 and R^{15} are commonly bonded.

Preferably the compositions of this invention have at least a 50 % enantiomeric excess; more preferably at least a 75 % enantiomeric excess; still more preferably at least a 90 % enantiomeric excess; and the most preferably at least a 94 % enantiomeric excess of the more active isomer. Of particular note are enantiomerically pure embodiments of the more active isomer.

Compounds of Formula **1** can comprise additional chiral centers. For example, the substituents R^4, R^5, R^7, R^8, R^9, R^{13}, R^{15}, R^{16}, R^{16a}, Q^a, Q^b and X^1 through X^8 may themselves contain chiral centers. This invention comprises racemic mixtures as well as enriched and essentially pure stereoconfigurations at these additional chiral centers.

Compounds of this invention can exist as one or more conformational isomers due to the amide bonds in the compounds of Formula **1** as known by one skilled in the art. This invention comprises mixtures of conformational isomers. In addition, this invention includes compounds that are enriched compared to the mixture of a conformer of Formula **1**.

The agriculturally suitable salts of the compounds of the invention include acid-addition salts with inorganic or organic acids such as hydrobromic, hydrochloric, nitric, phosphoric, sulfuric, acetic, butyric, fumaric, lactic, maleic, malonic, oxalic, propionic, salicylic, tartaric, 4-toluenesulfonic or valeric acids. The agriculturally suitable salts of the compounds of the invention also include those formed with organic bases (e.g., pyridine, ammonia, or triethylamine) or inorganic bases (e.g., hydrides, hydroxides, or carbonates of sodium, potassium, lithium, calcium, magnesium or barium) when the compound contains an acidic group such as a carboxylic acid or phenol. One skilled in the art recognizes that because in the environment and under physiological conditions salts of the compounds of the invention are in equilibrium with their corresponding nonsalt forms, agriculturally suitable salts share the biological utility of the nonsalt forms.

Embodiments of the present invention include:

Embodiment 1. A compound of Formula **1** wherein A is CH_2.

Embodiment 2. A compound of Formula **1** wherein A is NH.

Embodiment 3. A compound of Formula **1** wherein W^1 is O.

Embodiment 4. A compound of Formula **1** wherein W^1 is S.

Embodiment 5. A compound of Formula **1** wherein W^2 is O.

Embodiment 6. A compound of Formula **1** wherein W^2 is S.

Embodiment 7. A compound of Formula **1** wherein R^2 is methyl.

Embodiment 8. A compound of Formula **1** wherein n is 0 or 1.

Embodiment 9. A compound of Embodiment 8 wherein n is 0.

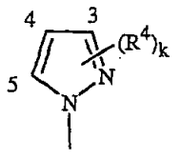
Embodiment 10. A compound of Formula **1** wherein X is X^1, X^2 or X^3.

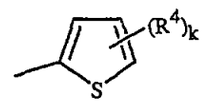
Embodiment 11. A compound of Embodiment 10 wherein X is X^1 or X^2 and each ring is saturated.

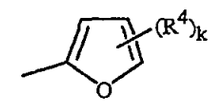
Embodiment 12. A compound of Embodiment 10 wherein X is X^1.

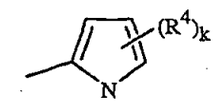
Embodiment 13. A compound of Embodiment 12 wherein X is X^1 and the ring is saturated.

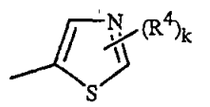
Embodiment 14. A compound of Formula **1** wherein R^1 is one of U-1 through U-50;

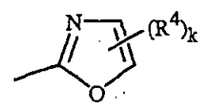

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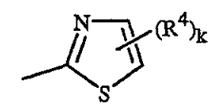

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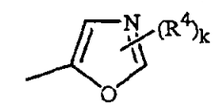

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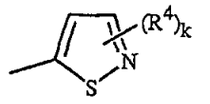

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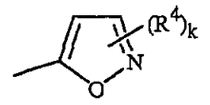

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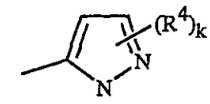

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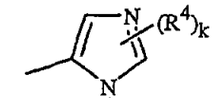

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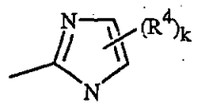

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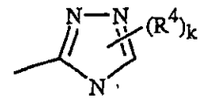

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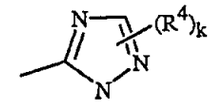

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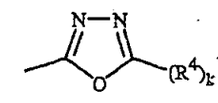

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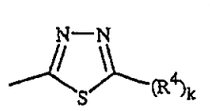

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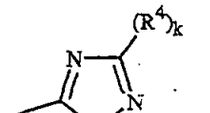

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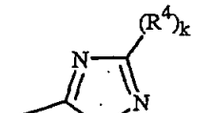

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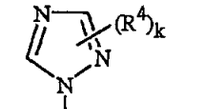

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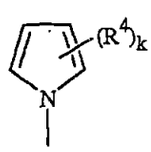

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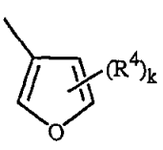

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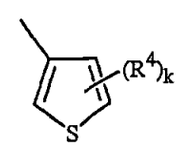

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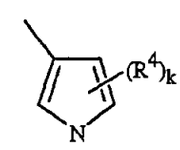

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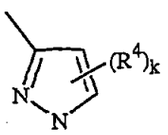

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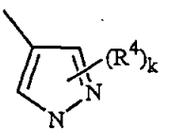

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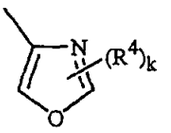

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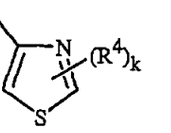

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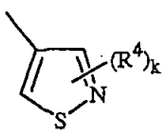

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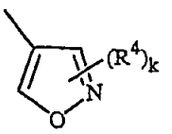

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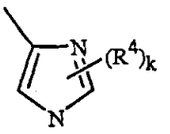

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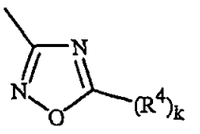

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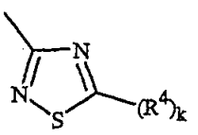

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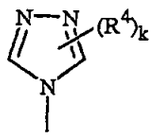

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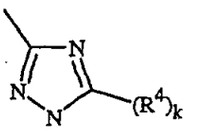

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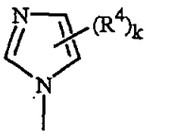

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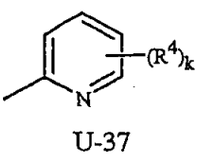

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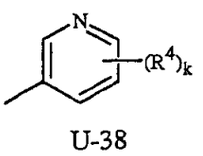

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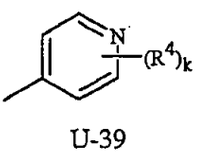

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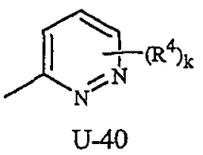

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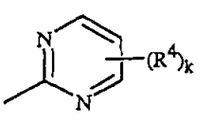

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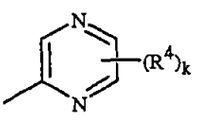

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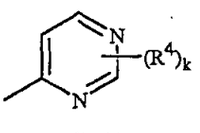

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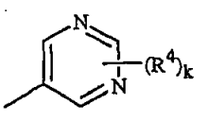

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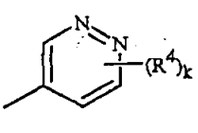

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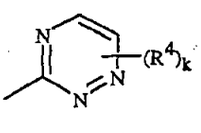

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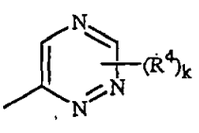

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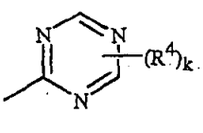

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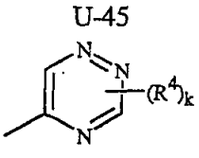

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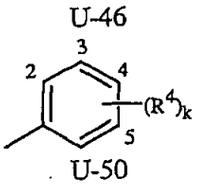

U-45 ,


U-46 ,


U-47 ,


U-48 ,


U-49 or


U-50 ;

wherein k is 0, 1 or 2;

provided that when U is U-4, U-11 through U-15, U-24 through U-26, U-31 and U-35, and an R^4 radical is attached to a nitrogen atom of the ring, said R^4 radical is C_1–C_6 alkyl, C_2–C_6 alkenyl, C_2–C_6 alkynyl, C_3–C_6 cycloalkyl, C_1–C_6 haloalkyl, C_2–C_6 haloalkenyl, C_2–C_6 haloalkynyl, C_3–C_6 halocycloalkyl or C_2–C_4 alkoxyalkyl.

Embodiment 15. A compound of Embodiment 14 wherein R^1 is selected from U-1 through U-5, U-8, U-11, U-13, U-15, U-20 through U-28, U-31, U-36, U-37, U-38, U-39 and U-50.

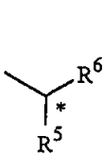
Embodiment 16. A compound of Embodiment 15 wherein R^1 is selected from U-1 through U-3, U-5, U-8, U-13, U-20, U-22, U-23, U-25 through U-28, U-36 through U-39 and U-50.

Embodiment 17. A compound of Embodiment 16 wherein R^1 is selected from U-1 through U-3, U-13, U-20, U-22, U-23, U-36 through U-39 and U-50.

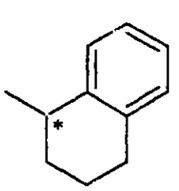
Embodiment 18. A compound of Embodiment 17 wherein R^1 is U-1 or U-50.

Embodiment 19. A compound of Embodiment 18 wherein R^1 is U-1.

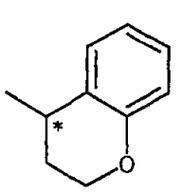
Embodiment 19a. A compound of any one of Formula **1** and Embodiments 18 and 19 where X is X^1, X^2 or X^3, each R^2 is independently C_1–C_3 alkyl, G is an optionally substituted 5-membered heteroaromatic ring containing 1 to 3 heteroatoms selected from 0 to 1 O, 0 to 1 S and 0 to 3 N, Q^a is CH_3, Q^b is radical selected from



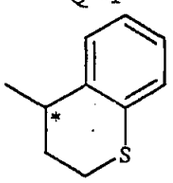
Q^b-1



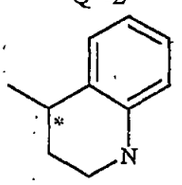
Q^b-2



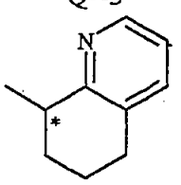
Q^b-3



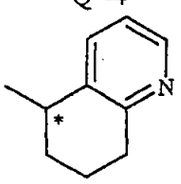
Q^b-4



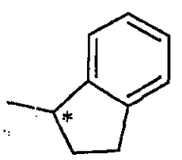
Q^b-5



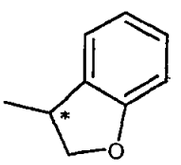
Q^b-6



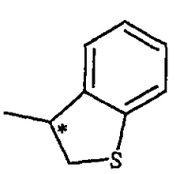
Q^b-7



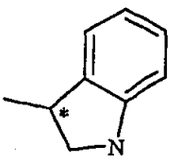
Q^b-8



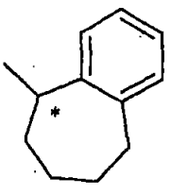
Q^b-9



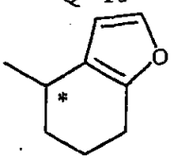
Q^b-10 ,



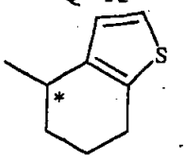
Q^b-11 ,



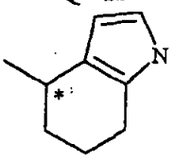
Q^b-12 ,



Q^b-13 ,



Q^b-14 and



Q^b-15 ;

wherein Q^b-2 through Q^b-15 are optionally substituted except at the carbon atom identified with an asterisk (*), R^5 is C_1–C_6 alkyl, C_2–C_6 alkenyl, C_2–C_6 alkynyl, C_3–C_6 cycloalkyl, C_1–C_6 haloalkyl, C_2–C_6 haloalkenyl, C_2–C_6 haloalkynyl, C_3–C_6 halocycloalkyl, cyano, nitro, C_2–C_4 alkoxyalkyl, C_1–C_4 hydroxyalkyl, C_2–C_4 alkylcarbonyl, C_2–C_6 alkoxycarbonyl, C_2–C_6 alkylaminocarbonyl, C_3–C_8 dialkylaminocarbonyl or C_3–C_6 trialkylsilyl, and R_t^6 is an optionally substituted phenyl, naphthalenyl or 5- or 6-membered heteroaromatic ring.

Embodiment 20. A compound of Embodiment 18 wherein R^1 is U-50.

Embodiment 21. A compound of Formula 1 wherein R^1 is a phenyl or 5- or 6-membered heteroaromatic ring, optionally substituted with 1 to 2 substituents independently selected from R^4 and each R^4 is independently C_1–C_3 alkyl, C_2–C_3 alkenyl, C_2–C_3 alkynyl, cyclopropyl, C_1–C_3 haloalkyl, C_2–C_3 haloalkenyl, C_2–C_3 haloalkynyl, halocyclopropyl, halogen, cyano, nitro, C_1–C_2 alkoxy, C_1–C_2 haloalkoxy, C_1–C_2 alkylthio, C_1–C_2 haloalkylthio, C_1–C_2 alkoxyalkyl, C_2–C_3 alkylcarbonyl, C_2–C_3 alkoxycarbonyl, C_2–C_3 alkylaminocarbonyl or C_3–C_4 dialkylaminocarbonyl.

Embodiment 22. A compound of Embodiment 21 wherein each R^4 is independently C_1–C_3 alkyl, C_2–C_3 alkenyl, C_2–C_3 alkynyl, cyclopropyl, C_1–C_3 haloalkyl, C_2–C_3 haloalkenyl, C_2–C_3 haloalkynyl, halocyclopropyl, halogen, cyano, nitro, C_1–C_2 alkoxy or C_1–C_2 haloalkoxy.

Embodiment 23. A compound of Embodiment 22 wherein each R^4 is independently halogen, C_1–C_3 alkyl, C_1–C_3 haloalkyl, C_1–C_2 alkoxy or C_1–C_2 haloalkoxy.

Embodiment 24. A compound of Embodiment 23 wherein each R^4 is independently halogen, C_1–C_2 alkyl, C_1–C_2 haloalkyl or C_1–C_2 alkoxy.

Embodiment 25. A compound of Embodiment 24 wherein each R^4 is independently Cl, Br, I, methyl, ethyl, trifluoromethyl or methoxy.

Embodiment 26. A compound of Embodiment 25 wherein at least one R^4 is Cl.

Embodiment 27. A compound of Embodiment 25 wherein at least one R^4 is Br.

Embodiment 28. A compound of Embodiment 25 wherein at least one R^4 is methyl.

Embodiment 29. A compound of Embodiment 25 wherein at least one R^4 is ethyl.

Embodiment 30. A compound of Embodiment 25 wherein at least one R^4 is trifluoromethyl.

Embodiment 31. A compound of Embodiment 25 wherein at least one R^4 is methoxy.

Embodiment 32. A compound of Embodiment 19 wherein each R^4 is independently connected to the 3- or 5-position of U-1 (i.e. k is 1, and R^4 is connected to the 3- or 5-position of U-1).

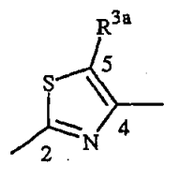
Embodiment 32a. A compound of Embodiment 19a wherein each R^4 is independently connected to the 3- or 5-position of U-1 (i.e. k is 1, and R^4 is connected to the 3- or 5-position of U-1); and each R^4 is independently C_1–C_6 alkyl, C_2–C_6 alkenyl, C_2–C_6 alkynyl, C_3–C_6 cycloalkyl, C_1–C_6 haloalkyl, C_2–C_6 haloalkenyl, C_2–C_6 haloalkynyl, C_3–C_6 halocycloalkyl, halogen, hydroxy, amino, cyano, nitro, C_1–C_4 alkoxy, C_1–C_4 haloalkoxy, C_1–C_4 alkylthio, C_1–C_4 alkylsulfinyl, C_1–C_4 alkylsulfonyl, C_1–C_4 haloalkylthio, C_1–C_4 haloalkylsulfinyl, C_1–C_4 haloalkylsulfonyl, C_1–C_4 alkylamino, C_2–C_8 dialkylamino, C_3–C_6 cycloalkylamino, C_2–C_4 alkoxyalkyl, C_1–C_4 hydroxyalkyl, C_2–C_4 alkylcarbonyl, C_2–C_6 alkoxycarbonyl, C_2–C_6 alkylcarbonyloxy, C_2–C_6 alkylcarbonylthio, C_2–C_6 alkylaminocarbonyl, C_3–C_8 dialkylaminocarbonyl or C_3–C_6 trialkylsilyl.

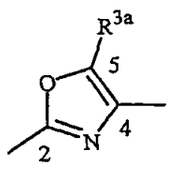
Embodiment 33. A compound of Embodiment 19 wherein each R^4 is independently connected to the 3- and 5-position of U-1 (i.e. k is 2, and an independently selected R^4 is connected to the 3- and 5-positions of U-1). Of note are compounds of Embodiment 33 which correspond to compounds of note for Embodiment 19 above where each R^4 is independently C_1–C_6 alkyl, C_2–C_6 alkenyl, C_2–C_6 alkynyl, C_3–C_6 cycloalkyl, C_1–C_6 haloalkyl, C_2–C_6 haloalkenyl, C_2–C_6 haloalkynyl, C_3–C_6 halocycloalkyl, halogen, hydroxy, amino, cyano, nitro, C_1–C_4 alkoxy, C_1–C_4 haloalkoxy, C_1–C_4 alkylthio, C_1–C_4 alkylsulfinyl, C_1–C_4 alkylsulfonyl, C_1–C_4 haloalkylthio, C_1–C_4 haloalkylsulfinyl, C_1–C_4 haloalkylsulfonyl, C_1–C_4 alkylamino, C_2–C_8 dialkylamino, C_3–C_6 cycloalkylamino, C_2–C_4 alkoxyalkyl, C_1–C_4 hydroxyalkyl, C_2–C_4 alkylcarbonyl, C_2–C_6 alkoxycarbonyl, C_2–C_6 alkylcarbonyloxy, C_2–C_6 alkylcarbonylthio, C_2–C_6 alkylaminocarbonyl, C_3–C_8 dialkylaminocarbonyl or C_3–C_6 trialkylsilyl.

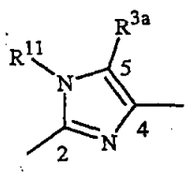
Embodiment 34. A compound of Embodiment 20 wherein each R^4 is independently connected to the 2- or 3-position of U-50 (i.e. k is 1, and R^4 is connected to the 2- or 3-position of U-50).

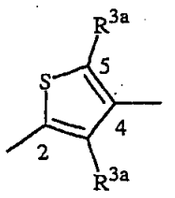
Embodiment 35. A compound of Embodiment 20 wherein each R^4 is independently connected to the 2- and 5-position of U-50 (i.e. k is 2, and an independently selected R^4 is connected to each of 2- and 5-positions of U-50).

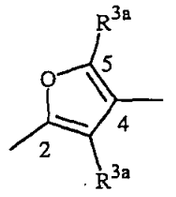
Embodiment 36. A compound of Formula 1 wherein G is one of G-1 through G-55;

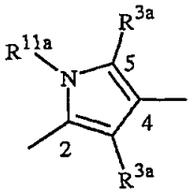

G-1

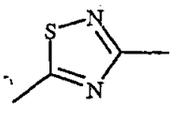

G-2

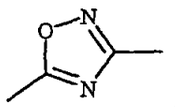

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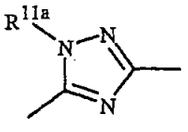

G-4

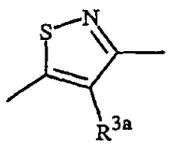

G-5

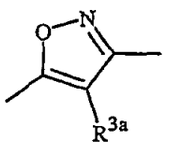

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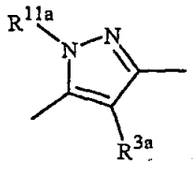

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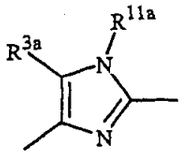

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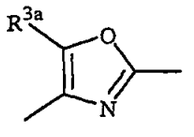

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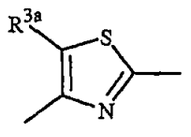

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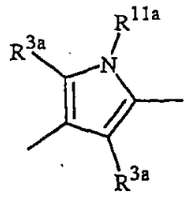

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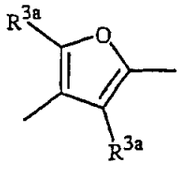

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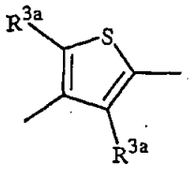

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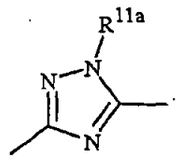

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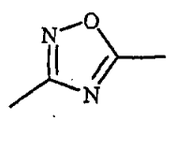

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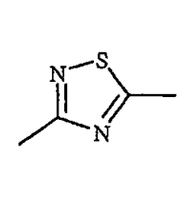

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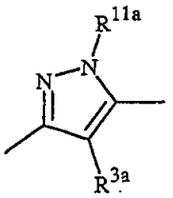

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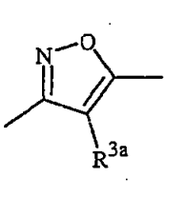

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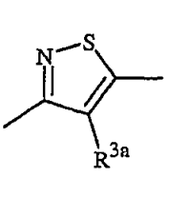

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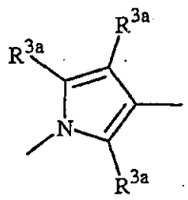

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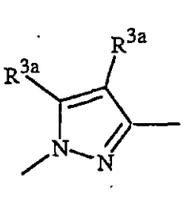

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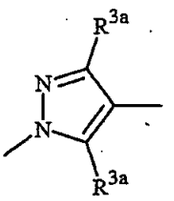

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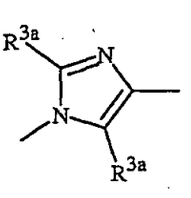

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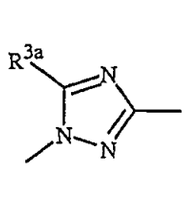

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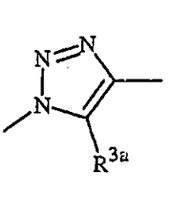

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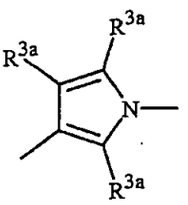

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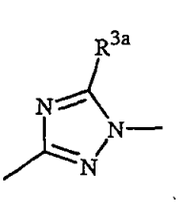

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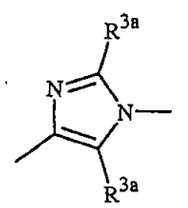

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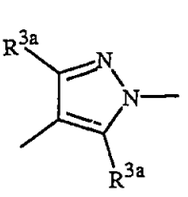

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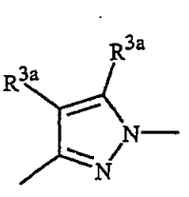

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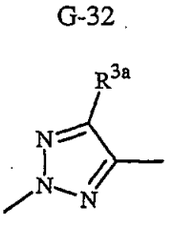

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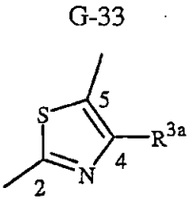

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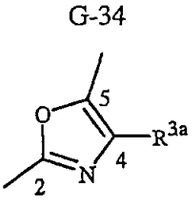

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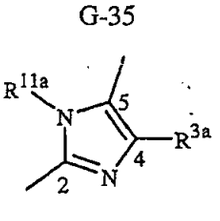

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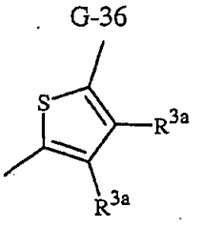

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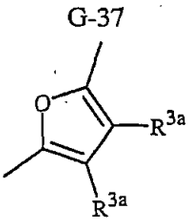

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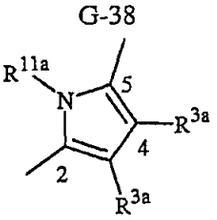

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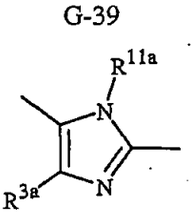

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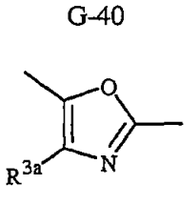

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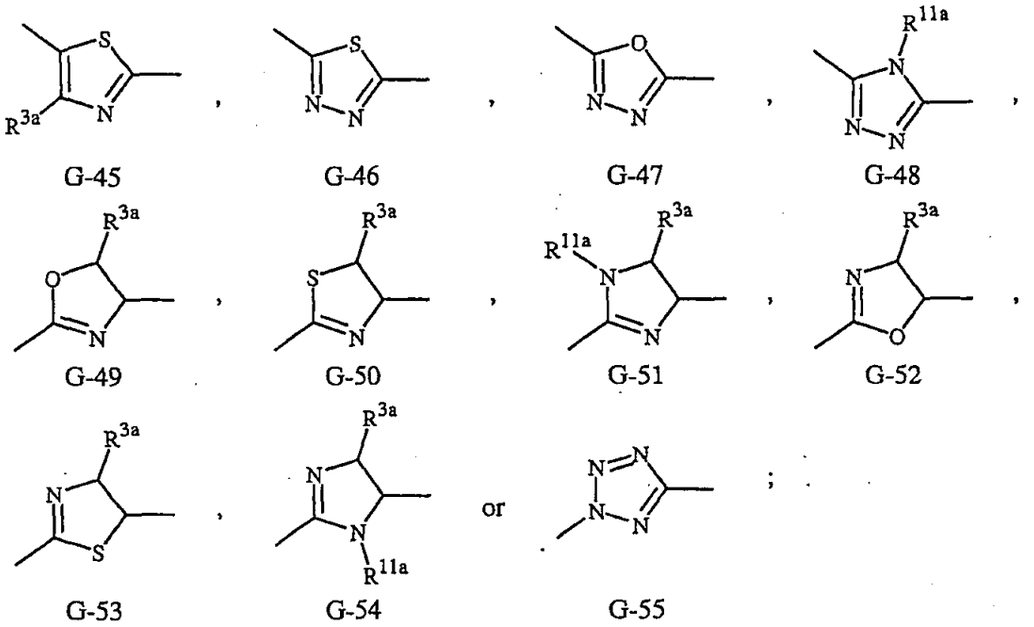

G-40 ,


G-41 ,


G-42 ,


G-43 ,


G-44 ,



G-45 G-46 G-47 G-48

G-49 G-50 G-51 G-52

G-53 G-54 or G-55 ;

wherein each R^3 is independently C_1–C_3 alkyl, C_1–C_3 haloalkyl or halogen; each R^{3a} is independently selected from H or R^3; R^{11} is C_1–C_3 alkyl; R^{11a} is selected from H or R^{11}; and the bond projecting to the left is bonded to X, and bond projecting to the right is bonded to C(=W^2).

Embodiment 37. A compound of Embodiment 36 wherein G is selected from G-1 through G-3, G-7, G-8, G-10, G-11, G-14, G-15, G-23, G-24, G-26 through G-28, G-30, G-36 through G-38 and G-49 through G-55.

Embodiment 38. A compound of Embodiment 37 wherein G is selected from G-1, G-2, G-7, G-8, G-14, G-15, G-23, G-24, G-26, G-27, G-36, G-37, G-38, G-49, G-50 and G-55.

Embodiment 39. A compound of Embodiment 38 wherein G is selected from G-1, G-2, G-15, G-26, G-27, G-36, G-37 and G-38.

Embodiment 40. A compound of Embodiment 39 wherein G is selected from G-1, G-2, G-15, G-26 and G-36.

Embodiment 41. A compound of Embodiment 40 wherein G is G-1. Of note are embodiments of these compounds within Embodiments 1 through 35, Embodiments 46 through 96, Embodiments A1 through A4, and Embodiments A6 through A13.

Embodiment 42. A compound of Embodiment 39 wherein G is G-2. Of note are embodiments of these compounds within Embodiments 1 through 35,

Embodiments 46 through 96, Embodiments A1 through A4, and Embodiments A6 through A13.

Embodiment 43. A compound of Embodiment 36 wherein G is G-15. Of note are embodiments of these compounds within Embodiments 1 through 35, Embodiments 46 through 96, Embodiments A1 through A4, and Embodiments A6 through A13.

Embodiment 44. A compound of Embodiment 36 wherein G is G-26. Of note are embodiments of these compounds within Embodiments 1 through 35, Embodiments 46 through 96, Embodiments A1 through A4, and Embodiments A6 through A13.

Embodiment 45. A compound of Embodiment 36 wherein G is G-36. Of note are embodiments of these compounds within Embodiments 1 through 35, Embodiments 46 through 96, Embodiments A1 through A4, and Embodiments A6 through A13.

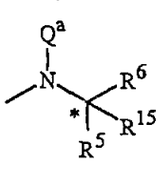
Embodiment 46. A compound of Formula 1 wherein G is a 5-membered heteroaromatic ring or 5-membered saturated or partially saturated heterocyclic ring, each ring optionally substituted with up to 2 substituents selected from R^3 on carbon ring members and selected from R^{11} on nitrogen ring members; each R^{11} is independently C_1–C_3 alkyl; each R^3 is independently C_1–C_3 alkyl or halogen.

Embodiment 47. A compound of Embodiment 46 wherein R^3 is methyl.

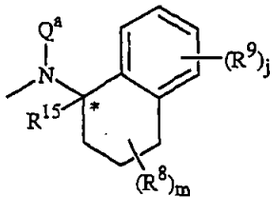
Embodiment 48. A compound of any one of Embodiments 36 through 45 wherein G is unsubstituted.

Embodiment 49. A compound of Embodiment 36 wherein R^{3a} is H and R^{11} is H or methyl.

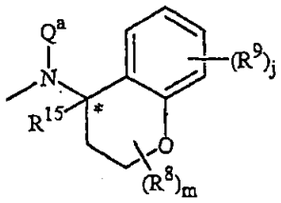
Embodiment 50. A compound of Formula 1 wherein Q is selected from Q-1 through Q-85;



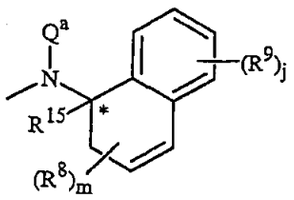
Q-1



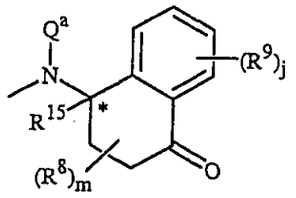
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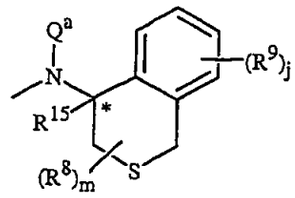
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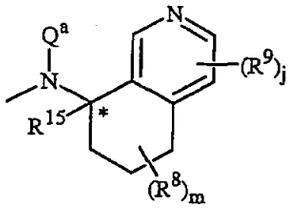
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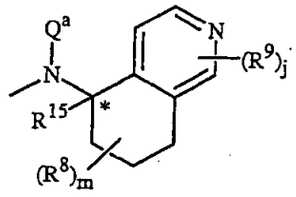
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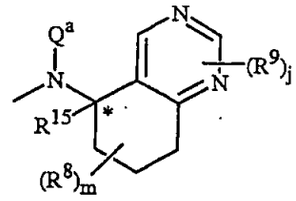
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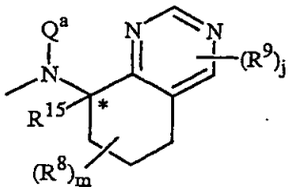
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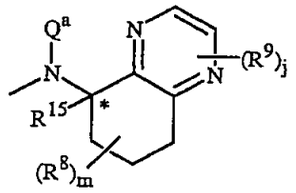
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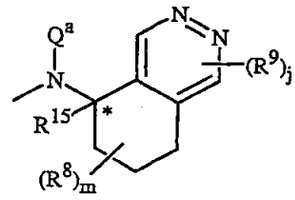
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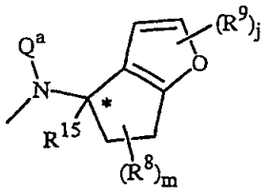
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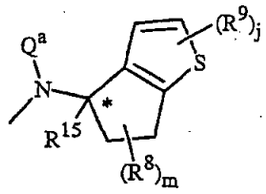
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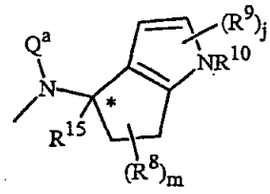
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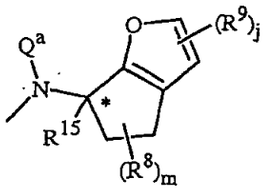
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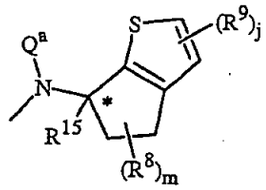
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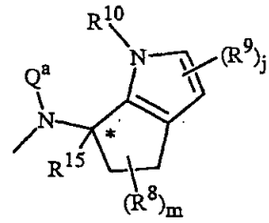
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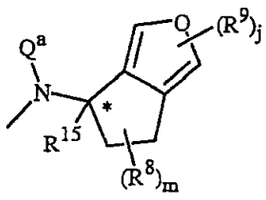
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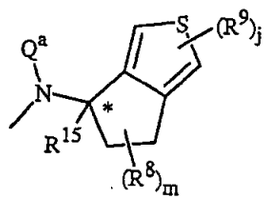
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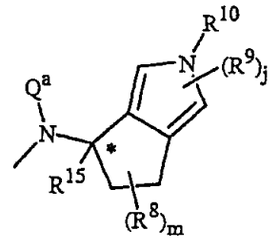
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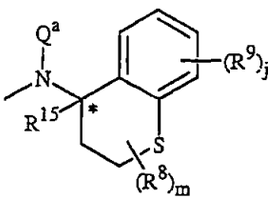
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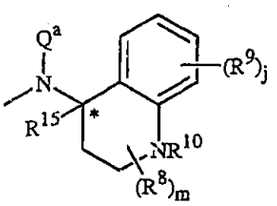
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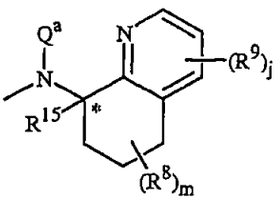
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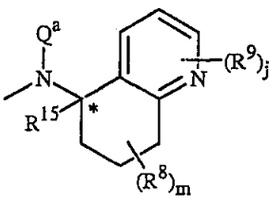
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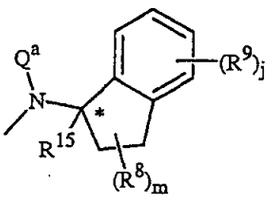
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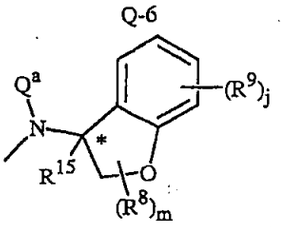
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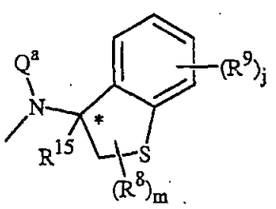
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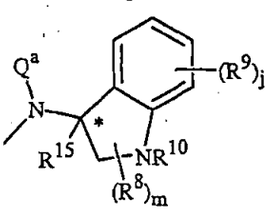
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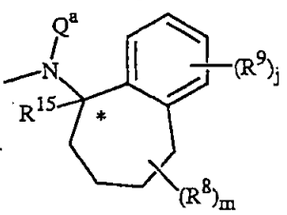
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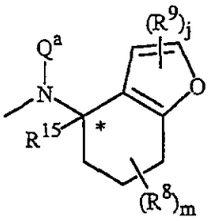
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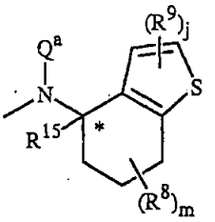
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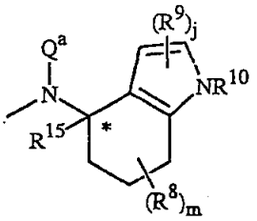
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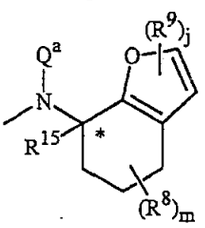
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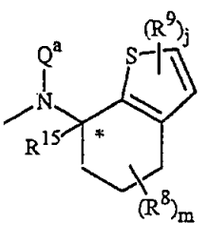
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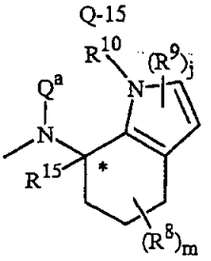
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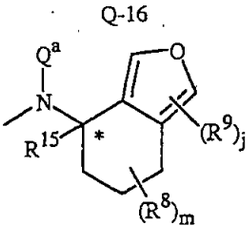
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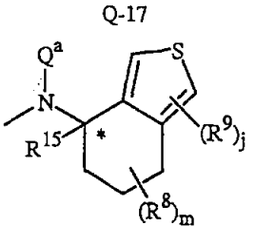
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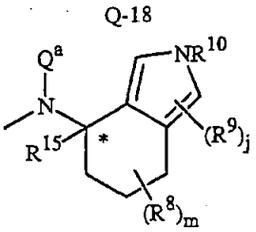
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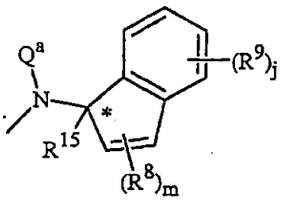
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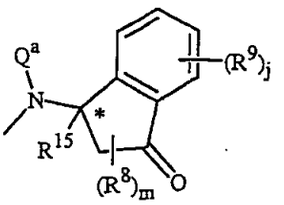
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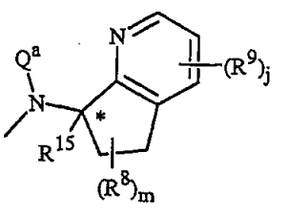
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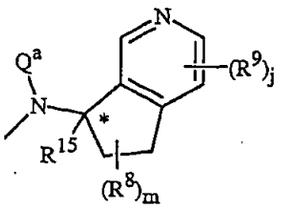
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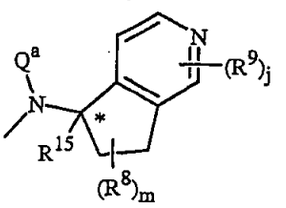
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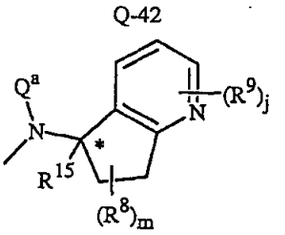
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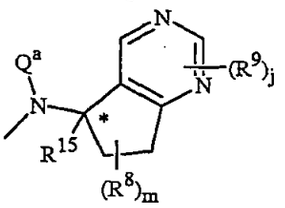
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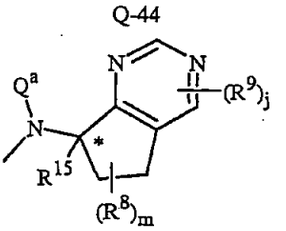
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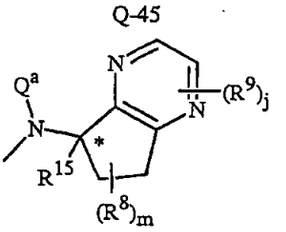
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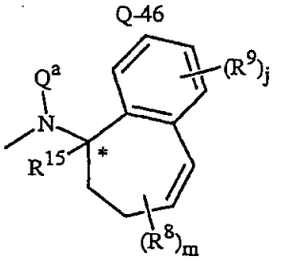
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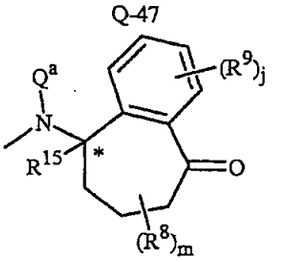
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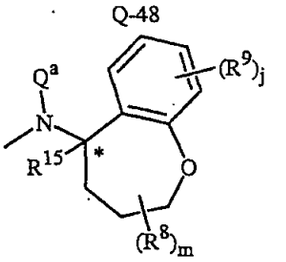
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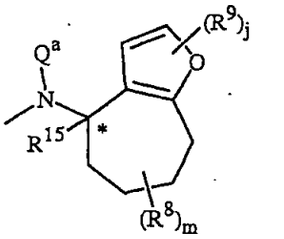
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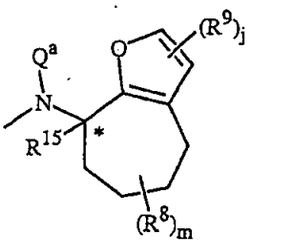
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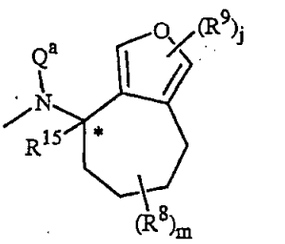
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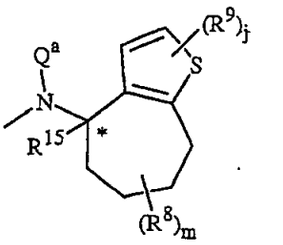
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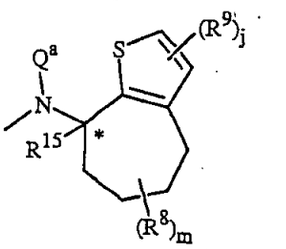
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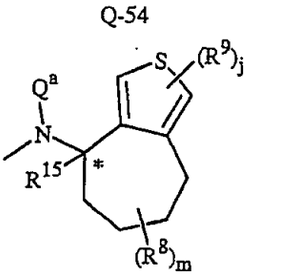
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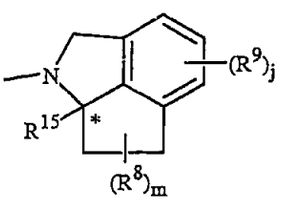
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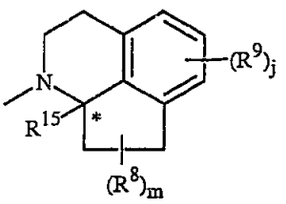
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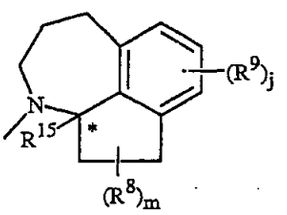
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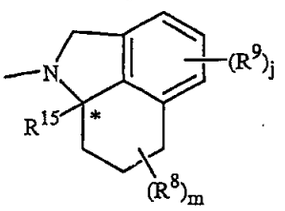
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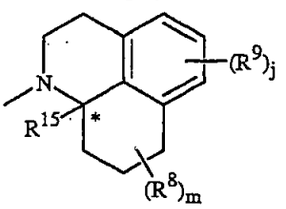
Q-59



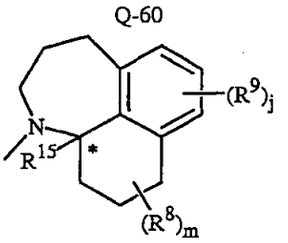
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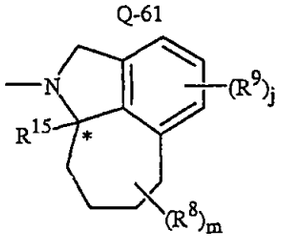
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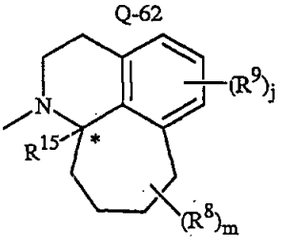
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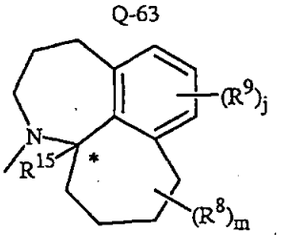
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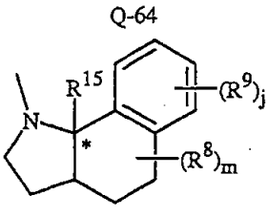
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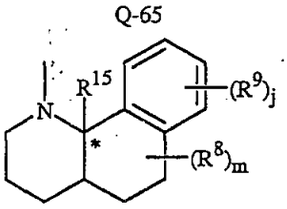
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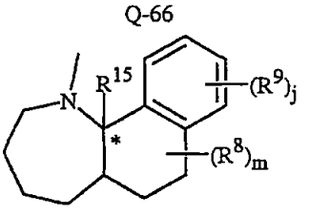
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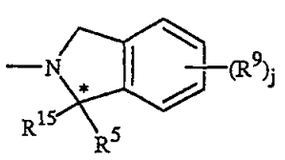
Q-67



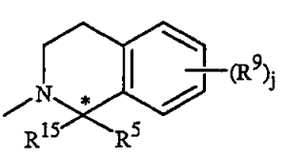
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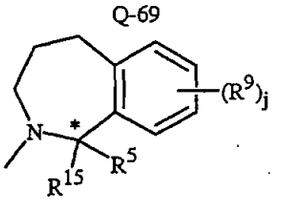
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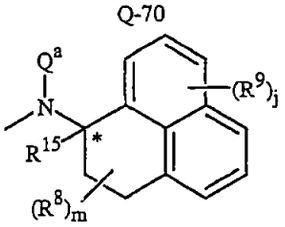
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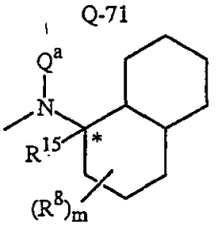
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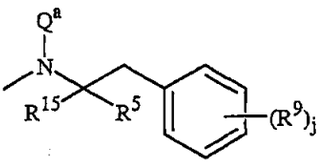
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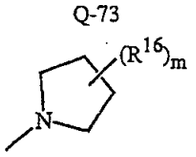
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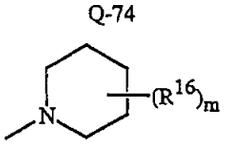
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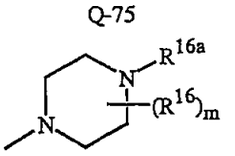
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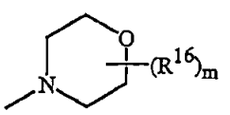
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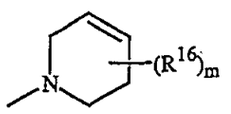


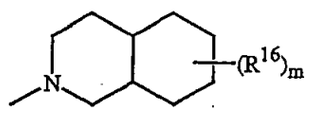
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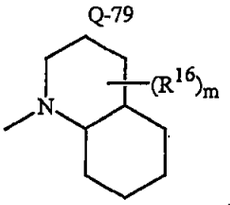


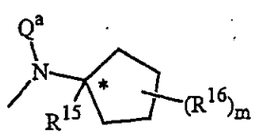
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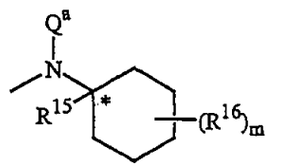

Q-79


Q-80

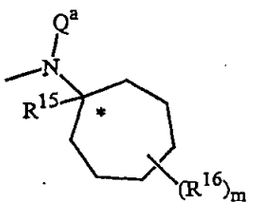

Q-81


Q-82


Q-83


Q-84

and


Q-85

;

wherein carbon atom identified with the asterisk (*) contains a stereocenter; R^{15} is as described above, and for Q-2 through Q-76, each R^8 is independently attached to the carbon atoms of the nonaromatic carbocyclic ring or heterocyclic ring of the Q group, and each R^9 is independently attached to the carbon atoms of phenyl or heteroaromatic ring of the Q group;

each R^8 is independently H, C_1–C_4 alkyl, C_2–C_4 alkenyl, C_3–C_4 alkynyl, C_3–C_6 cycloalkyl, C_1–C_4 haloalkyl, C_2–C_4 haloalkenyl, C_2–C_4 haloalkynyl, C_3–C_6 halocycloalkyl, halogen, hydroxy, amino, cyano, nitro, C_1–C_4 alkoxy, C_1–C_4 haloalkoxy, C_1–C_4 alkylthio, C_1–C_4 alkylsulfinyl, C_1–C_4 alkylsulfonyl, C_1–C_4 haloalkylthio, C_1–C_4 haloalkylsulfinyl, C_1–C_4 haloalkylsulfonyl, C_1–C_4 alkylamino, C_2–C_6 dialkylamino, C_3–C_6 cycloalkylamino, C_2–C_4 alkoxyalkyl, C_1–C_4 hydroxyalkyl, C_2–C_4 alkylcarbonyl, C_2–C_4 alkoxycarbonyl, C_2–C_4 alkylcarbonyloxy, C_2–C_4 alkylcarbonylthio, C_2–C_4 alkylaminocarbonyl, C_2–C_4 alkylaminocarbonyloxy, C_3–C_6 dialkylaminocarbonyl or C_3–C_6 trialkylsilyl;

each R^9 is independently C_1–C_6 alkyl, C_2–C_6 alkenyl, C_3–C_6 alkynyl, C_3–C_6 cycloalkyl, C_1–C_6 haloalkyl, C_2–C_6 haloalkenyl, C_2–C_6 haloalkynyl, C_3–C_6 halocycloalkyl, halogen, hydroxy, amino, cyano, nitro, C_1–C_4 alkoxy, C_1–C_4 haloalkoxy, C_1–C_4 alkylthio, C_1–C_4 alkylsulfinyl, C_1–C_4 alkylsulfonyl, C_1–C_4 haloalkylthio, C_1–C_4 haloalkylsulfinyl, C_1–C_4 haloalkylsulfonyl, C_1–C_4 alkylamino, C_2–C_8 dialkylamino, C_3–C_6 cycloalkylamino, C_2–C_4 alkoxyalkyl, C_1–C_4 hydroxyalkyl, C_2–C_4 alkylcarbonyl, C_2–C_6 alkoxycarbonyl, C_2–C_6 alkylcarbonyloxy, C_2–C_6 alkylcarbonylthio, C_2–C_6 alkylaminocarbonyl, C_3–C_8 dialkylaminocarbonyl or C_3–C_6 trialkylsilyl;

R^{10} is H or C_1–C_3 alkyl;

m is 0, 1 or 2;

j is 0, 1 or 2;

each R^{16} is independently C_1–C_6 alkyl, C_2–C_6 alkenyl, C_2–C_6 alkynyl, C_3–C_6 cycloalkyl, C_4–C_{10} cycloalkylalkyl, C_4–C_{10} alkylcycloalkyl, C_5–C_{10} alkylcycloalkylalkyl, C_1–C_6 haloalkyl, C_2–C_6 haloalkenyl, C_2–C_6 haloalkynyl, C_3–C_6 halocycloalkyl, halogen, hydroxy, amino, cyano, nitro, C_1–C_4 alkoxy, C_1–C_4 haloalkoxy, C_1–C_4 alkylthio, C_1–C_4 alkylsulfinyl, C_1–C_4 alkylsulfonyl, C_1–C_4 haloalkylthio, C_1–C_4 haloalkylsulfinyl, C_1–C_4 haloalkylsulfonyl, C_1–C_4 alkylamino, C_2–C_8 dialkylamino, C_3–C_6 cycloalkylamino, C_2–C_4 alkoxyalkyl, C_1–C_4 hydroxyalkyl, C_2–C_4 alkylcarbonyl, C_2–C_6 alkoxycarbonyl, C_2–C_6 alkylcarbonyloxy, C_2–C_6 alkylcarbonylthio, C_2–C_6 alkylaminocarbonyl, C_3–C_8 dialkylaminocarbonyl or C_3–C_6 trialkylsilyl; or a phenyl or benzyl ring, optionally substituted with up to 3 substituents selected from R^{13}; or

two R^{16} attached to adjacent ring carbon atoms are taken together as $-(CH_2)_3-$ or $-(CH_2)_4-$ optionally substituted with 1–3 substituents selected from C_1–C_4 alkyl, C_1–C_4 haloalkyl, C_1–C_4 alkoxy, C_1–C_4 haloalkoxy, halogen, hydroxy, amino, cyano and nitro;

R^{16a} is H, C_1–C_6 alkyl, C_3–C_6 alkenyl, C_3–C_6 alkynyl, C_3–C_6 cycloalkyl, C_4–C_{10} cycloalkylalkyl, C_4–C_{10} alkylcycloalkyl, C_5–C_{10} alkylcycloalkylalkyl, C_1–C_6 haloalkyl, C_3–C_6 haloalkenyl, C_3–C_6 haloalkynyl, C_3–C_6 halocycloalkyl, C_1–C_4 alkoxy, C_1–C_4 haloalkoxy, C_1–C_4 alkylsulfonyl, C_1–C_4 haloalkylsulfonyl, amino, C_1–C_4 alkylamino, C_2–C_8 dialkylamino, C_3–C_6 cycloalkylamino, C_2–C_4 alkoxyalkyl, C_1–C_4 hydroxyalkyl, C_2–C_4 alkylcarbonyl, C_2–C_6 alkoxycarbonyl, C_2–C_6 alkylaminocarbonyl or C_3–C_8 dialkylaminocarbonyl; or a phenyl or benzyl ring, optionally substituted with up to 3 substituents selected from R^{13}

each R^{13} is independently C_1–C_6 alkyl, C_2–C_6 alkenyl, C_2–C_6 alkynyl, C_3–C_6 cycloalkyl, C_4–C_{10} cycloalkylalkyl, C_4–C_{10} alkylcycloalkyl, C_5–C_{10} alkylcycloalkylalkyl, C_1–C_6 haloalkyl, C_2–C_6 haloalkenyl, C_2–C_6 haloalkynyl, C_3–C_6 halocycloalkyl, halogen, hydroxy, amino, cyano, nitro, C_1–C_4 alkoxy, C_1–C_4 haloalkoxy, C_1–C_4 alkylthio, C_1–C_4 alkylsulfinyl, C_1–C_4 alkylsulfonyl, C_1–C_4 haloalkylthio, C_1–C_4 haloalkylsulfinyl, C_1–C_4 haloalkylsulfonyl, C_1–C_4 alkylamino, C_2–C_8 dialkylamino, C_3–C_6 cycloalkylamino, C_2–C_4 alkoxyalkyl, C_1–C_4 hydroxyalkyl, C_2–C_4 alkylcarbonyl, C_2–C_6 alkoxycarbonyl, C_2–C_6 alkylcarbonyloxy, C_2–C_6 alkylcarbonylthio, C_2–C_6 alkylaminocarbonyl, C_3–C_8 dialkylaminocarbonyl or C_3–C_6 trialkylsilyl;

R^6 is a phenyl, benzyl, naphthalenyl, C_3–C_6 cycloalkyl, C_3–C_6 cycloalkenyl or 5- or 6-membered heteroaromatic ring, each optionally substituted with 1 to 3

substituents selected from R^7 on carbon ring members and R^{12} on nitrogen ring members;

each R^7 is independently C_1–C_6 alkyl, C_2–C_6 alkenyl, C_2–C_6 alkynyl, C_3–C_6 cycloalkyl, C_4–C_{10} cycloalkylalkyl, C_4–C_{10} alkylcycloalkyl, C_5–C_{10} alkylcycloalkylalkyl, C_1–C_6 haloalkyl, C_2–C_6 haloalkenyl, C_2–C_6 haloalkynyl, C_3–C_6 halocycloalkyl, halogen, hydroxy, amino, cyano, nitro, C_1–C_4 alkoxy, C_1–C_4 haloalkoxy, C_1–C_4 alkylthio, C_1–C_4 alkylsulfinyl, C_1–C_4 alkylsulfonyl, C_1–C_4 haloalkylthio, C_1–C_4 haloalkylsulfinyl, C_1–C_4 haloalkylsulfonyl, C_1–C_4 alkylamino, C_2–C_8 dialkylamino, C_3–C_6 cycloalkylamino, C_2–C_4 alkoxyalkyl, C_1–C_4 hydroxyalkyl, C_2–C_4 alkylcarbonyl, C_2–C_6 alkoxycarbonyl, C_2–C_6 alkylcarbonyloxy, C_2–C_6 alkylcarbonylthio, C_2–C_6 alkylaminocarbonyl, C_3–C_8 dialkylaminocarbonyl or C_3–C_6 trialkylsilyl; and

R^{12} is H or C_1–C_3 alkyl.

Embodiment 51. A compound of Formula **1** wherein Q is selected from Q-1 through Q-4, Q-8 through Q-10, Q-12, Q-14, Q-22 through Q-24, Q-40, Q-41, Q-59, Q-62, Q-74 and Q-84.

Embodiment 52. A compound of Embodiment 51 wherein Q is Q-1, Q-2, Q-8, Q-14, Q-23, Q-41, Q-59 and Q-62.

Embodiment 53. A compound of Embodiment 52 wherein Q is Q-1, Q-2, Q-8, Q-23 and Q-41.

Embodiment 54. A compound of Embodiment 53 wherein Q is Q-1.

Embodiment 55. A compound of Embodiment 53 wherein Q is Q-2.

Embodiment 56. A compound of Embodiment 53 wherein Q is Q-8.

Embodiment 57. A compound of Embodiment 53 wherein Q is Q-23.

Embodiment 58. A compound of Embodiment 53 wherein Q is Q-41.

Embodiment 59. A compound of Formula **1** wherein R^5 is C_1–C_4 alkyl, C_2–C_4 alkenyl, C_2–C_4 alkynyl, C_3–C_4 cycloalkyl, C_1–C_4 haloalkyl, C_2–C_4 haloalkenyl, C_2–C_4 haloalkynyl, C_3–C_4 halocycloalkyl, cyano, nitro, C_2–C_4 alkoxyalkyl, C_2–C_6 alkoxycarbonyl, C_2–C_6 alkylaminocarbonyl or C_3–C_8 dialkylaminocarbonyl.

Embodiment 60. A compound of Embodiment 59 wherein R^5 is C_1–C_4 alkyl, C_2–C_4 alkenyl, C_2–C_4 alkynyl, C_3–C_4 cycloalkyl, C_1–C_4 haloalkyl, C_2–C_4 haloalkenyl, C_2–C_4 haloalkynyl, C_3–C_4 halocycloalkyl, cyano or C_2–C_4 alkoxyalkyl.

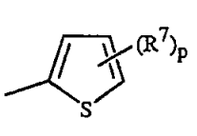
Embodiment 61. A compound of Embodiment 60 wherein R^5 is C_1–C_4 alkyl, C_1–C_4 haloalkyl, C_2–C_4 alkenyl, C_2–C_4 haloalkenyl or cyano.

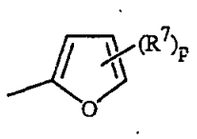
Embodiment 62. A compound of Embodiment 61 wherein R^5 is C_1–C_4 alkyl, C_2–C_4 alkenyl or cyano.

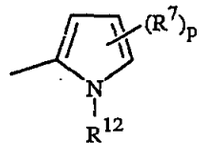
Embodiment 63. A compound of Embodiment 62 wherein R^5 is C_1–C_3 alkyl.

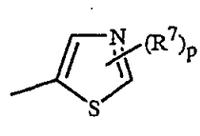
Embodiment 64. A compound of Embodiment 63 wherein R^5 is ethyl.

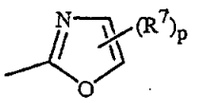
Embodiment 65. A compound of Embodiment 50 wherein R^6 is one of H-1 through H-46;

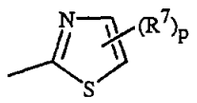

H-1

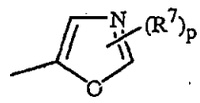

H-2

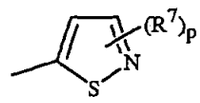

H-3

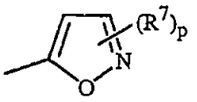

H-4

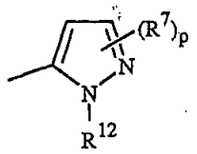

H-5

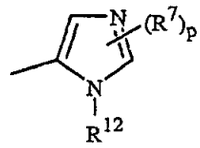

H-6

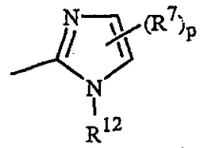

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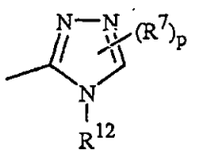

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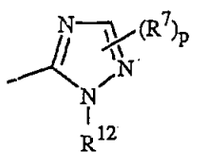

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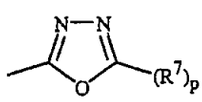

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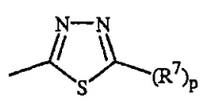

H-11

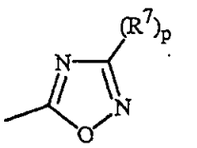

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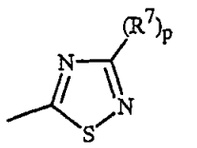

H-13

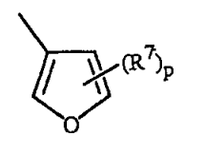

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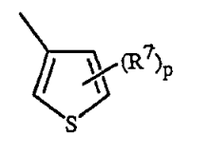

H-15

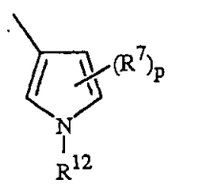

H-16

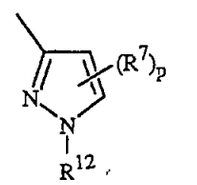

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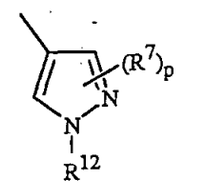

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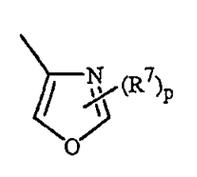

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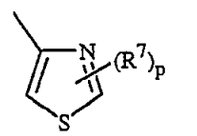

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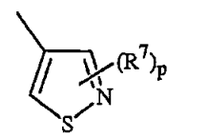

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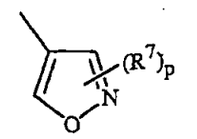

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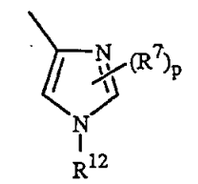

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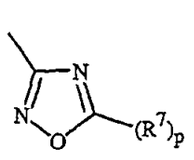

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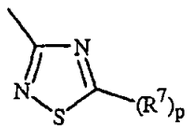

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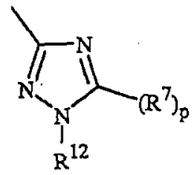

H-26

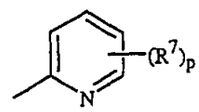

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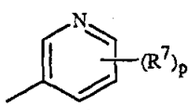

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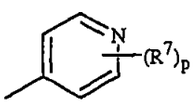

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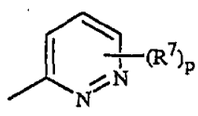

H-30

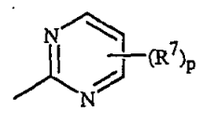

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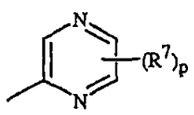

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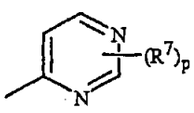

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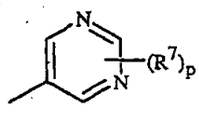

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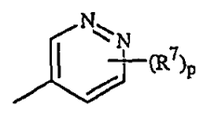

H-35

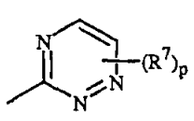

H-36

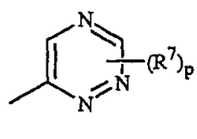

H-37

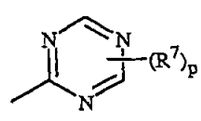

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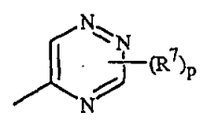

H-39

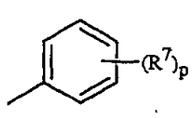
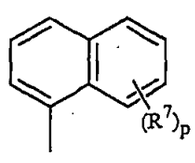

H-40


H-41


H-42


H-43


H-44


or

;

H-45 H-46

wherein each R^7 is independently C_1–C_6 alkyl, C_2–C_6 alkenyl, C_2–C_6 alkynyl, C_3–C_6 cycloalkyl, C_4–C_{10} cycloalkylalkyl, C_4–C_{10} alkylcycloalkyl, C_5–C_{10} alkylcycloalkylalkyl, C_1–C_6 haloalkyl, C_2–C_6 haloalkenyl, C_2–C_6 haloalkynyl, C_3–C_6 halocycloalkyl, halogen, hydroxy, amino, cyano, nitro, C_1–C_4 alkoxy, C_1–C_4 haloalkoxy, C_1–C_4 alkylthio, C_1–C_4 alkylsulfinyl, C_1–C_4 alkylsulfonyl, C_1–C_4 haloalkylthio, C_1–C_4 haloalkylsulfinyl, C_1–C_4 haloalkylsulfonyl, C_1–C_4 alkylamino, C_2–C_8 dialkylamino, C_3–C_6 cycloalkylamino, C_2–C_4 alkoxyalkyl, C_1–C_4 hydroxyalkyl, C_2–C_4 alkylcarbonyl, C_2–C_6 alkoxycarbonyl, C_2–C_6 alkylcarbonyloxy, C_2–C_6 alkylcarbonylthio, C_2–C_6 alkylaminocarbonyl, C_3–C_8 dialkylaminocarbonyl or C_3–C_6 trialkylsilyl; and p is 0, 1 or 2.

Embodiment 66. A compound of Embodiment 65 wherein R^6 is H-1, H-20, H-32, H-45 or H-46.

Embodiment 67. A compound of Embodiment 66 wherein R^6 is H-1 or H-45.

Embodiment 68. A compound of Embodiment 67 wherein R^6 is H-45.

Embodiment 69. A compound of Formula 1 wherein Q^b is $CR^5R^6R^{15}$; R^6 is a phenyl, benzyl, naphthalenyl, C_3–C_6 cycloalkyl, C_3–C_6 cycloalkenyl or 5- or 6-membered heteroaromatic ring, each optionally substituted with 1 to 3 substituents selected from R^7 on carbon ring members and R^{12} on nitrogen ring members; each R^7 is independently C_1–C_3 alkyl, C_2–C_3 alkenyl, C_2–C_3 alkynyl, cyclopropyl, C_1–C_3 haloalkyl, C_2–C_3 haloalkenyl, C_2–C_3 haloalkynyl, halocyclopropyl, halogen, cyano, nitro, hydroxy, C_1–C_2 alkoxy, C_1–C_2 haloalkoxy, C_1–C_2 alkylthio, C_1–C_2 haloalkylthio, C_2–C_3 alkoxyalkyl, C_2–C_3 alkylcarbonyl, C_2–C_3 alkylcarbonyloxy, C_2–C_3 alkoxycarbonyl, C_2–C_3 alkylaminocarbonyl or C_3–C_4 dialkylaminocarbonyl; and R^{12} is C_1–C_3 alkyl.

Embodiment 70. A compound of Embodiment 69 wherein each R^7 is independently C_1–C_3 alkyl, C_2–C_3 alkenyl, C_2–C_3 alkynyl, cyclopropyl, C_1–C_3 haloalkyl, C_2–C_3 haloalkenyl, C_2–C_3 haloalkynyl, halocyclopropyl, halogen, cyano, nitro, hydroxy, C_1–C_2 alkoxy or C_1–C_2 haloalkoxy.

Embodiment 71. A compound of Embodiment 70 wherein each R^7 is independently halogen, C_1–C_3 alkyl, C_1–C_3 haloalkyl, hydroxy, C_1–C_2 alkoxy or C_1–C_2 haloalkoxy.

Embodiment 72. A compound of Embodiment 71 wherein each R^7 is independently halogen, hydroxy, C_1–C_2 alkoxy or C_1–C_3 alkyl.

Embodiment 73. A compound of Embodiment 72 wherein each R^7 is independently F, Cl, Br, hydroxy, methoxy or methyl.

Embodiment 74. A compound of Embodiment 65 wherein p is 0.

Embodiment 75. A compound of Embodiment 65 wherein R^{12} is H or C_1–C_2 alkyl.

Embodiment 76. A compound of Embodiment 75 wherein R^{12} is methyl.

Embodiment 77. A compound of Formula 1 wherein R^{15} is H, C_1–C_4 alkyl, C_2–C_4 alkenyl, C_2–C_4 alkynyl, C_3–C_4 cycloalkyl or C_1–C_4 haloalkyl.

Embodiment 78. A compound of Embodiment 77 wherein R^{15} is H or C_1–C_3 alkyl.

Embodiment 79. A compound of Embodiment 78 wherein R^{15} is H.

Embodiment 80. A compound of Formula 1 wherein Q^a is H or C_1–C_3 alkyl.

Embodiment 81. A compound of Embodiment 80 wherein Q^a is H or methyl.

Embodiment 82. A compound of Embodiment 81 wherein Q^a is methyl.

Embodiment 83. A compound of Embodiment 50 wherein each R^8 is independently H, C_1–C_4 alkyl, C_2–C_4 alkenyl, C_3–C_4 alkynyl, C_3–C_6 cycloalkyl, C_1–C_4 haloalkyl, C_2–C_4 haloalkenyl, C_2–C_4 haloalkynyl, C_3–C_6 halocycloalkyl, halogen, hydroxy, amino, cyano, nitro, C_1–C_4 alkoxy or C_2–C_4 alkylcarbonyloxy.

Embodiment 84. A compound of Embodiment 83 wherein each R^8 is independently H, C_1–C_4 alkyl, C_2–C_4 alkenyl, C_3–C_4 alkynyl, C_3–C_6 cycloalkyl, C_1–C_4 haloalkyl, C_3–C_6 halocycloalkyl, halogen, hydroxy, amino, cyano, nitro, C_1–C_4 alkoxy or C_2–C_4 alkylcarbonyloxy.

Embodiment 85. A compound of Embodiment 84 wherein each R^8 is independently H, C_1–C_3 alkyl, hydroxy, C_1–C_3 alkoxy or C_2–C_3 alkylcarbonyloxy.

Embodiment 86. A compound of Embodiment 85 wherein R^8 is H, methyl, methoxy or hydroxy.

Embodiment 87. A compound of Embodiment 50 wherein m is 0 or 1.

Embodiment 88. A compound of Embodiment 87 wherein m is 0.

Embodiment 89. A compound of Embodiment 50 wherein each R^9 is independently C_1–C_3 alkyl, C_2–C_3 alkenyl, C_2–C_3 alkynyl, cyclopropyl, C_1–C_3 haloalkyl, C_2–C_3 haloalkenyl, C_2–C_3 haloalkynyl, halocyclopropyl, halogen, cyano, nitro, hydroxy, C_2–C_3 alkylcarbonyloxy, C_1–C_2 alkoxy, C_1–C_2 haloalkoxy, C_1–C_2 alkylthio, C_1–C_2 haloalkylthio, C_2–C_3 alkoxyalkyl, C_2–C_3 alkylcarbonyl, C_2–C_3 alkoxycarbonyl, C_2–C_3 alkylaminocarbonyl or C_3–C_4 dialkylaminocarbonyl.

Embodiment 90. A compound of Embodiment 89 wherein each R^9 is independently C_1–C_3 alkyl, cyclopropyl, C_1–C_3 haloalkyl, halocyclopropyl, halogen, hydroxy, C_2–C_3 alkylcarbonyloxy, C_1–C_2 alkoxy or C_1–C_2 haloalkoxy.

Embodiment 91. A compound of Embodiment 90 wherein each R^9 is independently C_1–C_3 alkyl, hydroxy, C_1–C_2 alkoxy or halogen.

Embodiment 92. A compound of Embodiment 91 wherein each R^9 is independently methyl, F, Cl, Br, hydroxy or methoxy.

Embodiment 93. A compound of Embodiment 50 wherein j is 0 or 1.

Embodiment 94. A compound of Embodiment 93 wherein j is 0.

Embodiment 95. A compound of Embodiment 50 wherein each R^{10} is H or methyl.

Embodiment 96. A compound of Formula 1 wherein Q is Q-1 through Q-75 and Q-83 through Q-85 and Q has the orientation depicted above in Embodiment 50, and wherein R^{15} has an orientation below the plane defined by the 3 non-hydrogen atoms attached to the carbon atom identified with the asterisk (*) (e.g., for Q-1, Formula 1').

Embodiment 97. A compound of Embodiment 50 wherein each R^{16} is independently C_1–C_3 alkyl, C_2–C_3 alkenyl, C_2–C_3 alkynyl, cyclopropyl, C_1–C_3 haloalkyl, C_2–C_3 haloalkenyl, C_2–C_3 haloalkynyl, halocyclopropyl, halogen, cyano, nitro, C_1–C_2 alkoxy or C_1–C_2 haloalkoxy; or a phenyl or benzyl ring, optionally substituted with up to 3 substituents selected from R^{13}.

Embodiment 98. A compound of Embodiment 50 wherein R^{16a} is H, C_1–C_3 alkyl, allyl, propargyl, cyclopropyl or C_1–C_3 haloalkyl; or a phenyl or benzyl ring, optionally substituted with up to 3 substituents selected from R^{13}.

Embodiment 99. A compound of Embodiment 50 wherein when Q is Q-76, Q-77, Q-79, Q-80, Q-81, Q-82, Q-83, Q-84 or Q-85, then m is 0 or 1.

Embodiment 100. A compound of Embodiment 99 wherein m is 1.

Embodiment 101. A compound of Embodiment 50 wherein when Q is Q-78 and R^{16a} is other than H, then m is 0.

Embodiment 102. A compound of Embodiment 50 wherein when Q is Q-78 and R^{16a} is H, then m is 1.

Embodiment 103. A compound of Embodiment 50 wherein when Q is Q-78, then R^{16a} is other than H and m is 0.

Combinations of Embodiments 1–103 are illustrated by:

Embodiment A1. A compound of Formula 1 wherein n is 0; R^1 is a phenyl or 5- or 6-membered heteroaromatic ring, optionally substituted with 1 to 2 substituents independently selected from R^4; and each R^4 is independently C_1–C_6 alkyl, C_2–C_6 alkenyl, C_2–C_6 alkynyl, C_3–C_6 cycloalkyl, C_1–C_6 haloalkyl, C_2–C_6 haloalkenyl, C_2–C_6 haloalkynyl, C_3–C_6 halocycloalkyl, halogen, hydroxy, amino, cyano, nitro, C_1–C_4 alkoxy, C_1–C_4 haloalkoxy, C_1–C_4 alkylthio, C_1–C_4 alkylsulfinyl, C_1–C_4 alkylsulfonyl, C_1–C_4 haloalkylthio, C_1–C_4 haloalkylsulfinyl, C_1–C_4 haloalkylsulfonyl, C_1–C_4 alkylamino, C_2–C_8 dialkylamino, C_3–C_6 cycloalkylamino, C_2–C_4 alkoxyalkyl, C_1–C_4 hydroxyalkyl, C_2–C_4 alkylcarbonyl, C_2–C_6 alkoxycarbonyl, C_2–C_6 alkylcarbonyloxy, C_2–C_6 alkylcarbonylthio, C_2–C_6 alkylaminocarbonyl, C_3–C_8 dialkylaminocarbonyl or C_3–C_6 trialkylsilyl.

Embodiment A2. A compound of Embodiment A1 wherein W^1 is O and W^2 is O.

Embodiment A3. A compound of Embodiment A2 wherein A is CH_2.

Embodiment A4. A compound of Embodiment A3 wherein X is X^1 and X^2.

Embodiment A5. A compound of Embodiment A4 wherein G is G-1, G-2, G-15, G-26 or G-36.

Embodiment A6. A compound of Embodiment A5 wherein G is unsubstituted.

Embodiment A7. A compound of Embodiment A6 wherein Q is Q-1, Q-2, Q-8, Q-23 or Q-41 and Q^a is H or C_1–C_3 alkyl.

Embodiment A8. A compound of Embodiment A7 wherein R^5 is C_1–C_3 alkyl, R^6 is H-45, R^{15} is H, and p is 0.

Embodiment A9. A compound of Embodiment A7 wherein j is 0, m is 0 or 1, and R^8 is H, methyl, methoxy or hydroxy.

Embodiment A10. A compound of any one of Embodiments A8 and A9 wherein R^1 is U-1 or U-50.

Embodiment A11. A compound of Embodiment A10 wherein each R^4 is independently Cl, Br, methyl, ethyl, trifluoromethyl or methoxy.

Embodiment A12. A compound of Embodiment A11 wherein Q is Q-1, Q^a is methyl, R^5 is C_1–C_2 alkyl, R^{15} is H, and the carbon atom to which R^5 and R^6 are attached is a stereocenter with the *R* configuration.

Embodiment A13. A compound of Embodiment A11 wherein Q is Q-2, Q-8, Q-23 or Q-41, Q^a is methyl, R^{15} is H, and the carbon atom identified with the asterisk (*) is a stereocenter having a configuration described as *R*, provided that when m is 1, R^8 is hydroxy or methoxy and the R^8 group is attached to the carbon adjacent to the carbon atom identified with an asterisk (*), then the carbon atom identified with the asterisk (*) is a stereocenter having a configuration described as *S*.

Specific embodiments include compounds of Formula **1** selected from the group consisting of:

2-[1-[(2,5-dimethylphenyl)acetyl]-4-piperidinyl]-*N*-methyl-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-thiazolecarboxamide,

2-[1-[(2,5-dichlorophenyl)acetyl]-4-piperidinyl]-*N*-methyl-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-thiazolecarboxamide,

N-methyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-thiazolecarboxamide,

N-[(1*R*)-2,3-dihydro-1*H*-inden-1-yl]-*N*-methyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-4-thiazolecarboxamide,

N-methyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-thiazolecarbothioamide,

N-methyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-[(1*R*,4*S*)-1,2,3,4-tetrahydro-4-hydroxy-1-naphthalenyl]-4-thiazolecarboxamide and its enantiomer,

N-methyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-(1,2,3,4-tetrahydro-2-methyl-1-naphthalenyl)-4-thiazolecarboxamide,

N-methyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-[(1*R*,4*R*)-1,2,3,4-tetrahydro-4-hydroxy-1-naphthalenyl]-4-thiazolecarboxamide and its enantiomer,

2-[1-[[5-ethyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-methyl-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-thiazolecarboxamide,

2-[1-[[3,5-bis(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-methyl-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-thiazolecarboxamide,
N-methyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-(1,2,3,4-tetrahydro-4-oxo-1-naphthalenyl)-4-thiazolecarboxamide,
N-methyl-2-[4-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-1-piperazinyl]-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-thiazolecarboxamide,
N-(2,3-dihydro-2,2-dimethyl-1*H*-inden-1-yl)-*N*-methyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-4-thiazolecarboxamide,
N-(2,3-dihydro-2-methyl-1*H*-inden-1-yl)-*N*-methyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-4-thiazolecarboxamide,
N-methyl-1-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-1*H*-pyrazole-3-carboxamide,
N-methyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-2*H*-1,2,3-triazole-4-carboxamide,
N-methyl-1-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-1*H*-pyrazole-4-carboxamide,
N-methyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-[(1*R*,2*S*)-1,2,3,4-tetrahydro-2-methyl-1-naphthalenyl]-4-thiazolecarboxamide and its enantiomer,
N-methyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-(1,2,3,4-tetrahydro-2,2-dimethyl-1-naphthalenyl]-4-thiazolecarboxamide,
2-[1-[(3,5-dichloro-1*H*-pyrazol-1-yl)acetyl]-4-piperidinyl]-*N*-methyl-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-thiazolecarboxamide,
2-[1-[[5-chloro-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-methyl-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-thiazolecarboxamide,
N-methyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-oxazolecarboxamide, and
N-methyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-(1,2,3,4-tetrahydro-1-naphthalenyl)-4-thiazolecarboxamide.

Of note are compounds of Formula 1 where G is the thiazole ring, Q is Q-1 and X is X^1 and X is linked to the G thiazole ring at the 2 position of said thiazole ring. Of particular note are embodiments of these compounds within Embodiments 1 through 35, Embodiment

14 through 35, Embodiment 41, Embodiments 59 through 82, Embodiment 96, Embodiments A1 through A4, Embodiment A6, Embodiment A8 and Embodiments A10 through A12.

Of note are compounds of Formula 1 where G is the thiazole ring, Q is Q-8 and X is X^1 and X is linked to the G thiazole ring at the 2 position of said thiazole ring. Of particular note are embodiments of these compounds within Embodiments 1 through 35, Embodiment 41, Embodiments 59 through 82, Embodiment 96, Embodiments A1 through A4, Embodiment A6, Embodiment A8 and Embodiments A10 through A12.

Of note are compounds of Formula 1 where G is the oxazole ring, Q is Q-8 and X is X^1 and X is linked to the G oxazole ring at the 2 position of said oxazole ring. Of particular note are embodiments of these compounds within Embodiments 1 through 35, Embodiment 42, Embodiments 59 through 82, Embodiment 96, Embodiments A1 through A4, Embodiment A6, Embodiment A8 and Embodiments A10 through A12.

Of note are compounds of Formula 1 where G is the thiazole ring, Q is Q-8 and X is X^2 and X is linked to the G thiazole ring at the 2 position of said thiazole ring. Of particular note are embodiments of these compounds within Embodiments 1 through 11, Embodiments 14 through 35, Embodiment 41, Embodiments 59 through 82, Embodiment 96, Embodiments A1 through A4, Embodiment A6, Embodiment A8 and Embodiments A10 through A12.

Of note are compounds of Formula 1 where G is the oxazole ring, Q is Q-8 and X is X^2 and X is linked to the G oxazole ring at the 2 position of said oxazole ring. Of particular note are embodiments of these compounds within Embodiments 1 through 11, Embodiments 14 through 35, Embodiment 41, Embodiments 59 through 82, Embodiment 96, Embodiments A1 through A4, Embodiment A6, Embodiment A8 and Embodiments A10 through A12.

This invention provides a fungicidal composition comprising a compound of Formula 1 (including all geometric and stereoisomers, *N*-oxides, and agriculturally suitable salts thereof), and at least one other fungicide. Of note as embodiment of such compositions are compositions comprising a compound corresponding to any of the compound embodiments describe above.

This invention provides a fungicidal composition comprising a fungicidally effective amount of a compound of Formula 1 (including all geometric and stereoisomers, *N*-oxides, and agriculturally suitable salts thereof), and at least one additional component selected from the group consisting of surfactants, solid diluents and liquid diluents. Of note as embodiment of such compositions are compositions comprising a compound corresponding to any of the compound embodiments describe above.

This invention provides a method for controlling plant diseases caused by fungal plant pathogens comprising applying to the plant or portion thereof, or to the plant seed or

41, Embodiments 59 through 82, Embodiment 96, Embodiments A1 through A4, Embodiment A6, Embodiment A8 and Embodiments A10 through A12.

Of note are compounds of Formula 1 where G is the oxazole ring, Q is Q-1 and X is X^1 and X is linked to the G oxazole ring at the 2 position of said oxazole ring. Of particular note are embodiments of these compounds within Embodiments 1 through 35, Embodiment 42, Embodiments 59 through 82, Embodiment 96, Embodiments A1 through A4, Embodiment A6, Embodiment A8 and Embodiments A10 through A12.

Of note are compounds of Formula 1 where G is the thiazole ring, Q is Q-1 and X is X^2 and X is linked to the G thiazole ring at the 2 position of said thiazole ring. Of particular note are embodiments of these compounds within Embodiments 1 through 11, Embodiments 14 through 35, Embodiment 41, Embodiments 59 through 82, Embodiment 96, Embodiments A1 through A4, Embodiment A6, Embodiment A8 and Embodiments A10 through A12.

Of note are compounds of Formula 1 where G is the oxazole ring, Q is Q-1 and X is X^2 and X is linked to the G oxazole ring at the 2 position of said oxazole ring. Of particular note are embodiments of these compounds within Embodiments 1 through 11, Embodiments 14 through 35, Embodiment 41, Embodiments 59 through 82, Embodiment 96, Embodiments A1 through A4, Embodiment A6, Embodiment A8 and Embodiments A10 through A12.

Of note are compounds of Formula 1 where G is the thiazole ring, Q is Q-2 and X is X^1 and X is linked to the G thiazole ring at the 2 position of said thiazole ring. Of particular note are embodiments of these compounds within Embodiments 1 through 35, Embodiment 41, Embodiments 59 through 82, Embodiment 96, Embodiments A1 through A4, Embodiment A6, Embodiment A8 and Embodiments A10 through A12.

Of note are compounds of Formula 1 where G is the oxazole ring, Q is Q-2 and X is X^1 and X is linked to the G oxazole ring at the 2 position of said oxazole ring. Of particular note are embodiments of these compounds within Embodiments 1 through 35, Embodiment 42, Embodiments 59 through 82, Embodiment 96, Embodiments A1 through A4, Embodiment A6, Embodiment A8 and Embodiments A10 through A12.

Of note are compounds of Formula 1 where G is the thiazole ring, Q is Q-2 and X is X^2 and X is linked to the G thiazole ring at the 2 position of said thiazole ring. Of particular note are embodiments of these compounds within Embodiments 1 through 11, Embodiments 14 through 35, Embodiment 41, Embodiments 59 through 82, Embodiment 96, Embodiments A1 through A4, Embodiment A6, Embodiment A8 and Embodiments A10 through A12.

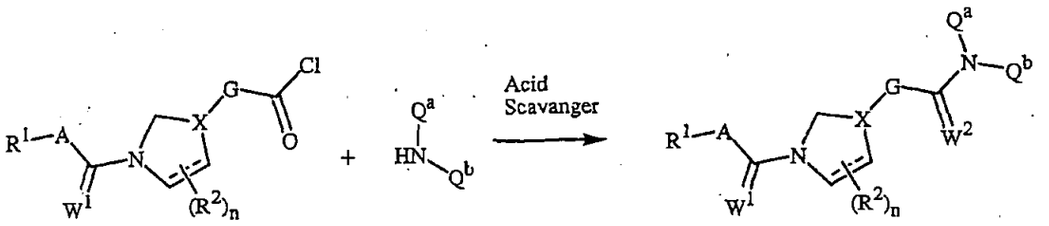
Of note are compounds of Formula 1 where G is the oxazole ring, Q is Q-2 and X is X^2 and X is linked to the G oxazole ring at the 2 position of said oxazole ring. Of particular note are embodiments of these compounds within Embodiments 1 through 11, Embodiments

seedling, a fungicidally effective amount of a compound of Formula **1** (including all geometric and stereoisomers, *N*-oxides, and agriculturally suitable salts thereof). Of note as embodiment of such methods are methods comprising applying a fungicidally effective amount of a compound corresponding to any of the compound embodiments describe above. Of particular notes are embodiment where the compounds are applied as compositions of this invention.

The compounds of Formula **1** can be prepared by one or more of the following methods and variations as described in Schemes 1-22. The definitions of R^1, R^2, A, W^1, W^2, X, G, Q^a, Q^b and n in the compounds of Formulae **1-46** below are as defined above in the Summary of the Invention unless otherwise noted. Compounds of Formulae **1a-1k** are various subsets of the compounds of Formula **1**. Compounds of Formulae **19a-19b** are various subsets of the compounds of Formula **19**. Compounds of Formulae **23a**, **26a** and **27a** are various subsets of the compounds of Formula **23**, **26** and **27** respectively.

As shown in Scheme 1, compounds of Formula **1** can be prepared by coupling of an acid chloride of Formula **2** with an amine of Formula **3** in the presence of an acid scavenger to provide the compound of Formula **1a**. Typical acid scavengers include amine bases such as triethylamine, diisopropylethylamine and pyridine. Other scavengers include hydroxides such as sodium and potassium hydroxide and carbonates such as sodium carbonate and potassium carbonate. In certain instances it is useful to use polymer-supported acid scavengers such as polymer-bound diisopropylethylamine and polymer-bound *N,N*-dimethylaminopyridine. In a subsequent step, amides of Formula **1a** can be converted to thioamides of Formula **1b** using a variety of standard thiating reagents such as phosphorus pentasulfide or 2,4-bis(4-methoxyphenyl)-1,3-dithia-2,4-diphosphetane-2,4-disulfide (Lawesson's reagent). One skilled in the art will recognize that when W^1 is O, the conversion of W^2 from O to S may be accompanied by conversion of W^1 from O to S. The amines of Formula **3** are known or can be prepared by methods known to one skilled in the art. The amines of Formula **3** wherein Q^a is an alkyl group can be prepared by either first heating a primary amine Q^a-NH_2 with alkyl formate followed by lithium aluminum hydride reduction or by a sodium borohydride reduction of *N*-alkyl imines prepared by treating Q^a(=O) with an alkylamine.

Scheme 1

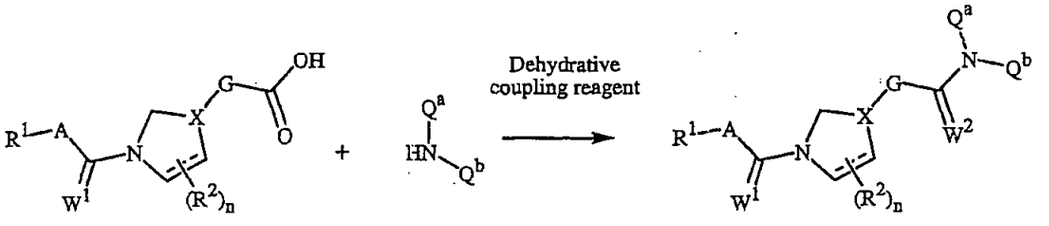


2 3

1a wherein W^2 is O
1b wherein W^2 is S

An alternate procedure for the preparation of compounds of Formula **1a** is depicted in Scheme 2 and involves coupling of an acid of Formula **4** with an amine of Formula **3** in the presence of a dehydrative coupling reagent such as dicyclohexylcarbodiimide (DCC), 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride (EDC) or *O*-benzotriazol-1-yl-*N,N,N',N'*-tetramethyluronium hexafluorophosphate (HBTU). Polymer supported reagents are again useful here, such as polymer-bound cyclohexylcarbodiimide. These reactions are typically run at 0–40 °C in a solvent such as dichloromethane or acetonitrile in the presence of a base such as triethylamine or diisopropylethylamine.

Scheme 2

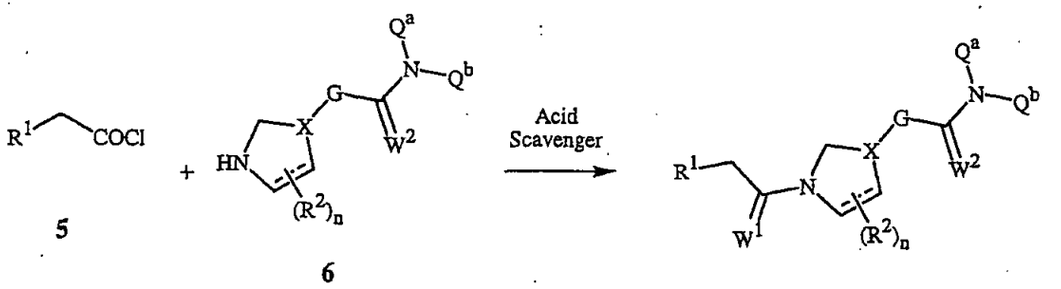


4 3

1a wherein W^2 is O

As shown in Scheme 3, compounds of Formula **1c** wherein A is methylene can be prepared by coupling of an acid chloride of Formula **5** with an amine of Formula **6** in the presence of an acid scavenger, as described for Scheme 1 above. Acid salts of the Formula **6** amines can also be used in this reaction, provided at least 2 equivalents of the acid scavenger is present, as known to one skilled in the art. Typical acids used to form salts with amines include hydrochloric acid, oxalic acid and trifluoroacetic acid. In a subsequent step, amides of Formula **1c** can be converted to thioamides of Formula **1d** using a variety of standard thiating reagents such as phosphorus pentasulfide or 2,4-bis(4-methoxyphenyl)-1,3-dithia-2,4-diphosphetane-2,4-disulfide (Lawesson's reagent). One skilled in the art will recognize that when W^2 is O, that the conversion of W^1 from O to S may not be selective.

Scheme 3

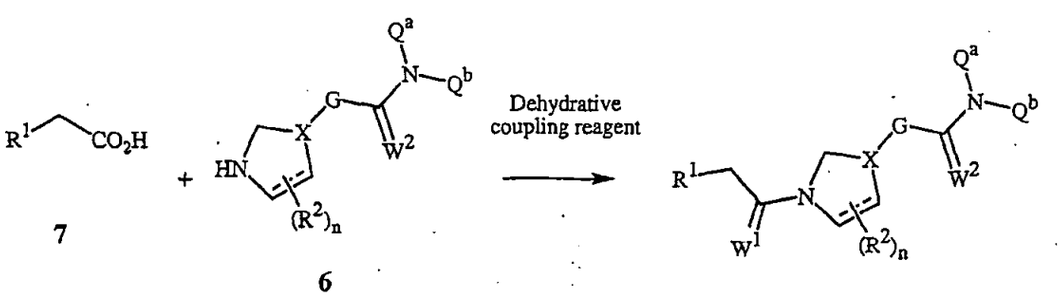


5 6

1c wherein W^1 is O
1d wherein W^1 is S

As shown in Scheme 4, compounds of Formula **1c** can also be prepared by coupling of an acid of Formula **7** with an amine of Formula **6** (or its acid salt) in the presence of a dehydrative coupling reagent, analogous to the procedure described in Scheme 2 above. The acids of Formula **7** are known or can be prepared by methods known to one skilled in the art. For example, R^1CH_2COOH where R^1 is a heteroaromatic ring linked through nitrogen can be prepared by reacting the corresponding R^1H compound with a haloacetic acid or ester in the presence of base; see, for example, US Patent 4,084,955. R^1CH_2COOH where R^1 is a phenyl or a heteroaromatic ring linked through carbon can be prepared from the corresponding R^1CH_2-halogen compounds by displacement of the halogen with cyanide followed by hydrolysis; see, for example, K. Adachi, *Yuki Gosei Kagaku Kyokaishi* **1969**, *27*, 875-876; from $R^1C(=O)CH_3$ by the Willgerodt-Kindler reaction; see, for example, H. R. Darabi, et.al., *Tetrahedron Letters* **1999**, *40*, 7549-7552 and M. M. Alam and S. R. Adapa, *Synthetic Communications* **2003**, *33*, 59-63 and references sited therein; or from R^1Br or R^1I by palladium catalyzed coupling with *t*-butyl acetate or diethyl malonate followed by ester hydrolysis; see, for example, W. A. Moradi and S. L. Buchwald, *J. Am. Chem. Soc.* **2001**, *123*, 7996-8002 and J. F. Hartwig et al., *J. Am. Chem. Soc.* **2002**, *124*, 12557-12565.

Scheme 4



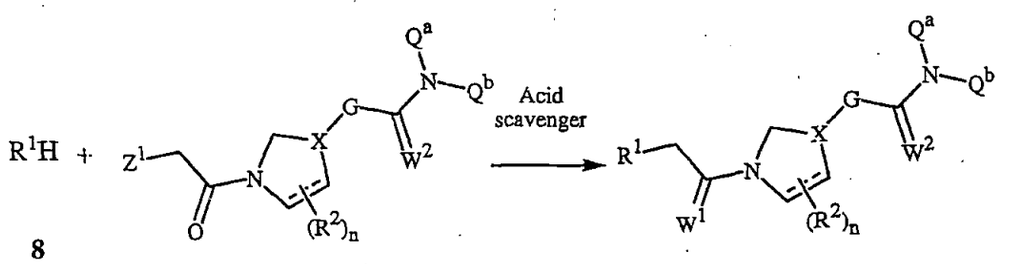
7 6

1c wherein W^1 is O

The synthetic procedures of Schemes 1, 2, 3 and 4 are only representative examples of useful methods for the preparation of Formula 1 compounds, as the synthetic literature is extensive for amide forming reactions. One skilled in the art will recognize that compound of Formula 1 where Q^a is other than H or OH can be prepared from compounds of Formula 1 where Q^a is H by standard alkylation or acylation methods. One skilled in the art will also realize that acid chlorides of Formula 2 and Formula 5 may be prepared from acids of Formula 4 and Formula 7, respectively, by numerous well-known methods.

Certain compounds of Formula 1c where R^1 is a 5-membered nitrogen containing heteroaromatic ring linked through the nitrogen atom can be prepared by reaction of the parent heterocycle of Formula 8 and a haloacetamide of Formula 9 as shown in Scheme 5. The reaction is carried out in the presence of a base such as sodium hydride or potassium carbonate in a solvent such as tetrahydrofuran, *N,N*-dimethylformamide or acetonitrile at 0 to 80 °C. The haloacetamide of Formula 9 can be prepared by the reaction of an amine of Formula 6 with a haloacetyl halide or a haloacetic acid or its anhydride, analogous to the amide-forming reactions described in Schemes 3 and 4, respectively.

Scheme 5



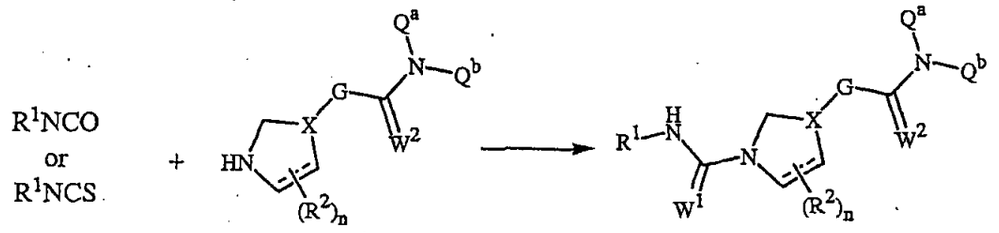
8

9 wherein Z^1 is Cl, Br or I

1c wherein W^1 is O

Compounds of Formula 1e and 1f wherein A is NH, where R^1 is phenyl or a 5- or 6-membered heteroaromatic ring linked via a carbon atom, can be prepared by reaction of an isocyanate or an isothiocyanate of Formula 10 with an amine of Formula 6, respectively, as depicted in Scheme 6. This reaction is typically carried out at an ambient temperature in an aprotic solvent such as dichloromethane or acetonitrile.

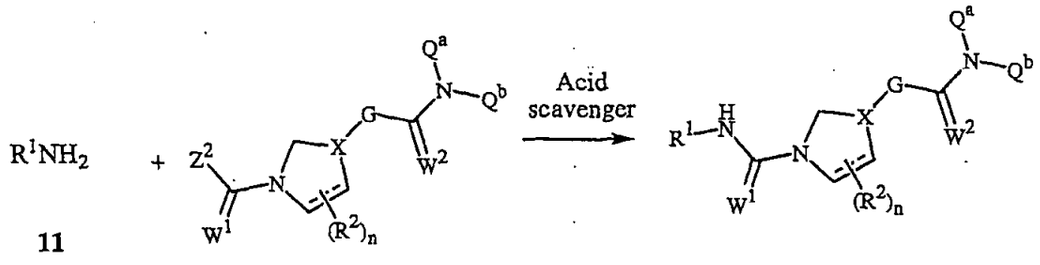
Scheme 6



10 6 1e wherein W^1 is O
1f wherein W^1 is S

Compounds of Formula **1e** and **1f** can also be prepared by the reaction of an amine of Formula **11** with a carbamoyl or thiocarbamoyl chloride or imidazole of Formula **12** as shown in Scheme 7. When Z^2 is chlorine, the reaction is typically carried out in the presence of an acid scavenger. Typical acid scavengers include amine bases such as triethylamine, diisopropylethylamine and pyridine. Other scavengers include hydroxides such as sodium and potassium hydroxide and carbonates such as sodium carbonate and potassium carbonate. The carbamoyl or thiocarbamoyl chlorides of Formula **12** (wherein Z^2 is Cl) can be prepared from amines of Formula **6** by treatment with phosgene or thiophosgene, respectively, or their equivalents, while carbamoyl or thiocarbamoyl imidazoles of Formula **12** (wherein Z^2 is imidazol-1-yl) can be prepared from amines of Formula **6** by treatment with 1,1'-carbonyldiimidazole or 1,1'-thiocarbonyldiimidazole, respectively, according to general methods known to one skilled in the art.

Scheme 7



11

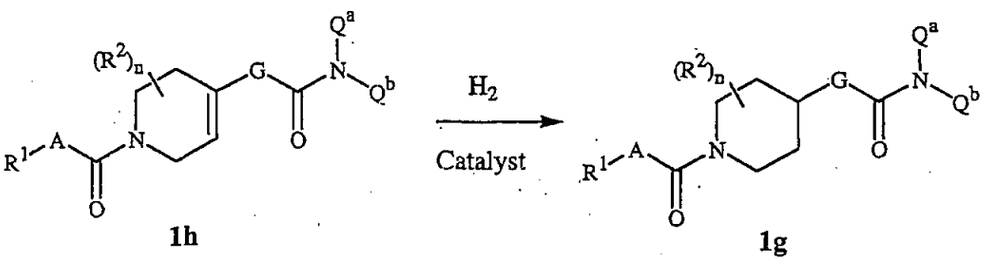
12 wherein Z^2 is Cl or imidazol-1-yl

1e wherein W^1 is O
1f wherein W^1 is S

Certain compounds of Formula **1g** where G is linked to the piperidine ring via a carbon atom can be prepared from compounds of Formula **1h** by catalytic hydrogenation as shown in Scheme 8. Typical conditions involve exposing a compound of Formula **1h** to hydrogen gas at a pressure of 14 to 100 psi (96 to 689 kPa), preferably 40 to 50 psi (276 to

345 kPa), in the presence of a metal catalyst such as palladium supported on an inert carrier such as activated carbon, in a weight ratio of 5 to 20 % of metal to carrier, suspended in a solvent such as ethanol at an ambient temperature. The synthetic literature on these types of reductions is extensive; see, for example, *Catalytic Hydrogenation*, L. Cerveny, Ed., Elsevier Science, Amsterdam, 1986. One skilled in the art will recognize that certain functionalities that may be present in compounds of Formula **1h** can also be reduced under catalytic hydrogenation conditions, requiring a suitable choice of catalyst and conditions.

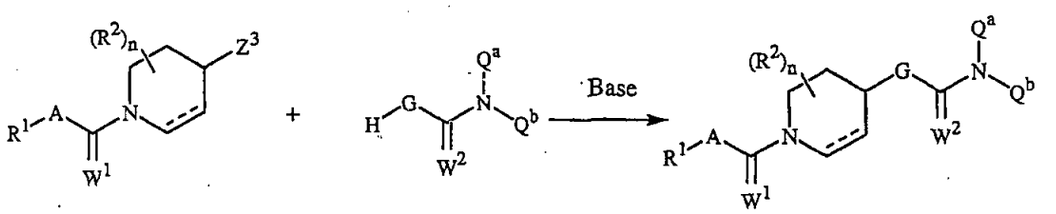
Scheme 8



1h **1g**

Certain compounds of Formula **1g** where G is linked to the piperidine ring via a nitrogen atom can be prepared by displacement of an appropriate leaving group Z^3 on a piperidine of Formula **13** with a nitrogen-containing heterocycle of Formula **14** in the presence of a base as depicted in Scheme 9. Suitable bases include sodium hydride or potassium carbonate and the reaction is carried out in a solvent such as *N,N*-dimethylformamide or acetonitrile at 0 to 80 °C. Suitable leaving groups in the piperidines of Formula **13** include bromine, iodine, mesylate (OMs, $OS(O)_2CH_3$), triflate ($OS(O)_2CF_3$) and the like, and can be prepared from the corresponding piperidine compounds of Formula **13** where Z^3 is OH, as known to one skilled in the art.

Scheme 9

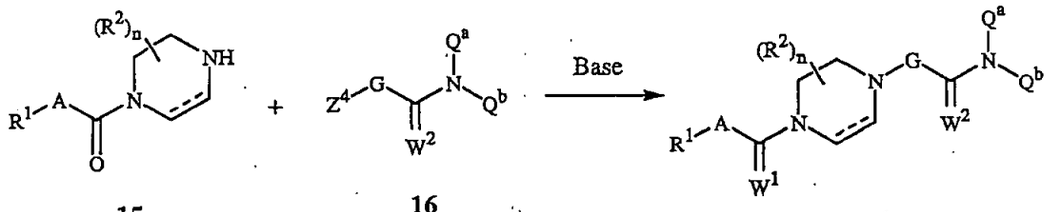


13 wherein Z^3 is Br, I, OSO_2Me or OSO_2CF_3 **14** **1g**

Compounds of Formula **1i** can be prepared by reaction of a piperazine of Formula **15** with a heterocyclic halide or triflate (OTf, $OS(O)_2CF_3$) of Formula **16** as shown is Scheme 10. The reaction is carried out in the presence of a base such as potassium carbonate in a solvent such as dimethylsulfoxide, *N,N*-dimethylformamide or acetonitrile at 0 to 80 °C. In

a subsequent step, compounds of Formula **1i** can be converted to compounds of Formula **1j** using a variety of standard thiating reagents such as phosphorus pentasulfide or 2,4-bis(4-methoxyphenyl)-1,3-dithia-2,4-diphosphetane-2,4-disulfide (Lawesson's reagent). One skilled in the art will recognize that when W^2 is O, that the conversion of W^1 from O to S may not be selective. The compounds of Formula **16** where Z^4 is triflate can be prepared from the corresponding compounds of Formula **16** where Z^4 is OH by methods known to one skilled in the art.

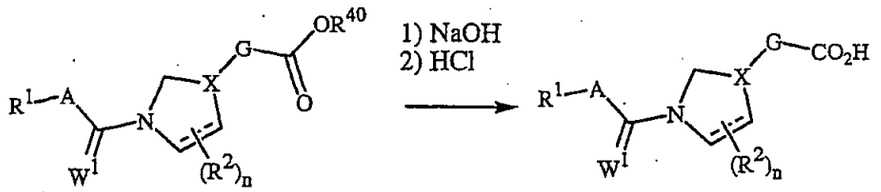
Scheme 10



15 **16** (Z^4 is Cl, Br, I or OTf) **1i** (W^1 is O) **1j** (W^1 is S)

The acid compounds of Formula **4** can be prepared by saponification of the corresponding ester compounds of Formula **17** using an alkali metal hydroxide such as LiOH, NaOH or KOH usually in the presence of water along with a co-solvent such as tetrahydrofuran and/or methanol to aid solubility of the ester as shown in Scheme 11. The reaction is typically run at 0 to 60 °C with the resultant carboxylate salt being converted to the free acid by addition of a slight excess of a mineral acid such as hydrochloric acid or sulfuric acid.

Scheme 11

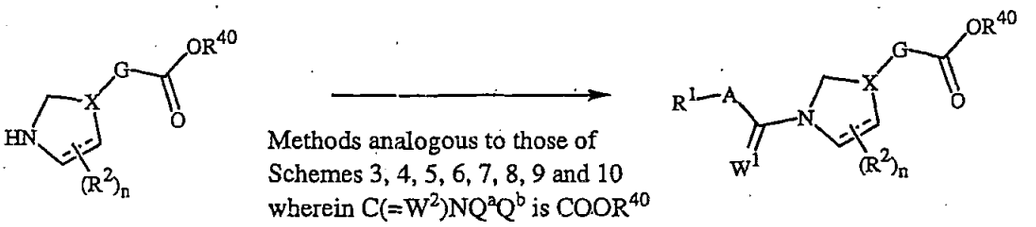


17 (R^{40} is C_1-C_4 alkyl) **4**

As outlined in Scheme 12, the ester compounds of Formula **17** can be prepared from the amine compounds of Formula **18** by methods analogous to those described above for the preparation of compounds of Formula **1** as outlined in Scheme 12. One skilled in the art will recognize that analogous methods to those of Schemes 3, 4, 5, 6, 7, 8, 9 and 10, wherein the

group $COOR^{40}$ where R^{40} is C_1–C_4 alkyl is substituted for the group $C(=W^2)NQ^aQ^b$ can be used to provide intermediates of Formula **17** useful for the preparation of compounds of Formula **1**.

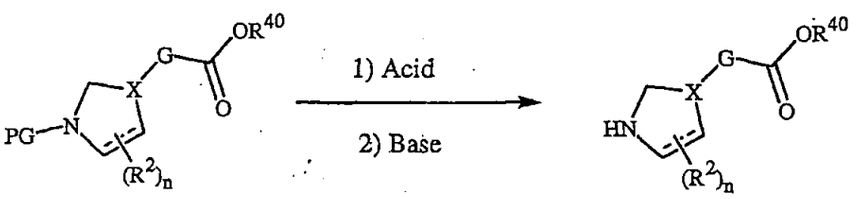
Scheme 12



18 (R^{40} is C_1-C_4 alkyl) **17** (R^{40} is C_1-C_4 alkyl)

The amine compounds of Formula **18** can be prepared from the protected amine compounds of Formula **19** where PG is an acid-labile amine protecting group such as a *t*-butoxycarbonyl (*t*-Boc) or a benzyloxycarbonyl (Cbz) group as shown in Scheme 13. The protecting group is removed by treating with an acid such as trifluoroacetic acid or gaseous HCl in the presence of a solvent such as dichloromethane or dioxane. The amine can be isolated as its acid salt or converted in a subsequent step to the free amine by treatment with a base, as known to one skilled in the art.

Scheme 13

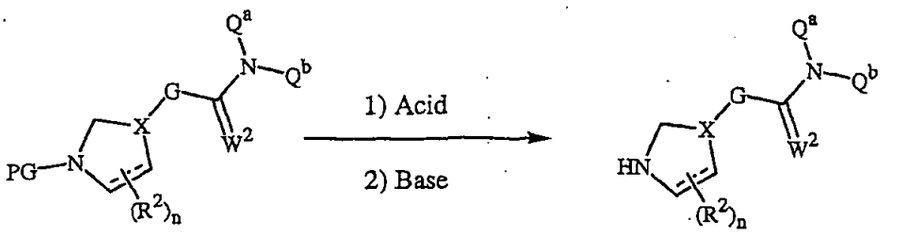


19 **18** (R^{40} is C_1-C_4 alkyl)

wherein R^{40} is C_1–C_4 alkyl; PG is an acid-labile protecting group.

The amines of Formula **6** can be prepared from the protected amines of Formula **20** where PG is an acid-labile amine protecting group such as a *t*-butoxycarbonyl (*t*-Boc) or a benzyloxycarbonyl (Cbz) group as depicted in Scheme 14 by methods analogous to those described above for the preparation of compounds of Formula **18** as outlined in Scheme 13.

Scheme 14

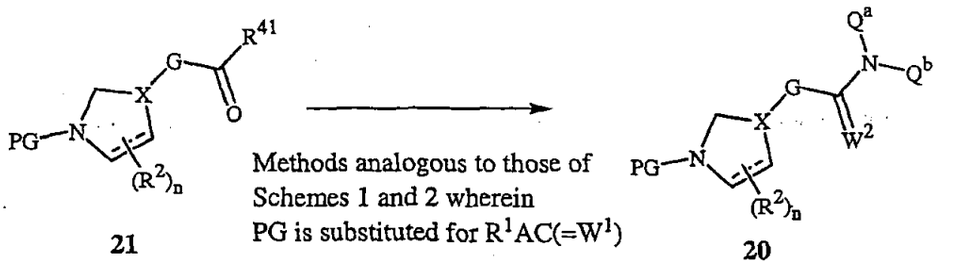


20 6

wherein PG is an acid-labile protecting group.

The protected amines of Formula **20** can be prepared from the acid or acid chloride compounds of Formula **21** by methods analogous to those described above for the preparation of compounds of Formula **1** as outlined in Scheme 15. One skilled in the art will recognize that in Schemes 1, 2, 8, 9 and 10, the group $R^1AC(=W^1)$ can analogously be replaced by PG where PG is a standard, acid-labile amine protecting group such as a *t*-butoxycarbonyl (*t*-Boc) or a benzyloxycarbonyl (Cbz) group to give useful intermediates of Formula **20** for the preparation of compounds of Formula **1**. The compounds of Formula **21** where R^{41} is OH can be obtained from compounds of Formula **19** by saponification, analogous to methods described for Scheme 11.

Scheme 15



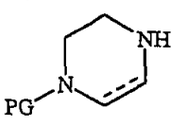
21 20

wherein R^{41} is Cl or OH; PG is an acid-labile protecting group.

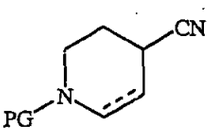
Many compounds of Formula **19** are known or can be prepared by methods known to one skilled in the art starting with the intermediates such as, but not limited to, those depicted in Exhibit 1. The synthetic literature is extensive for the formation of 5-membered heteroaromatic ring system or 5-membered partially saturated heterocyclic ring system (for example, G-1 through G-55); see, for example, *Comprehensive Heterocyclic Chemistry*, Vol. 4-6, A. R. Katritzky and C. W. Rees editors, Pergamon Press, New York, 1984; *Comprehensive Heterocyclic Chemistry II*, Vol. 2-4, A. R. Katritzky, C. W. Rees, and E. F. Scriven editors, Pergamon Press, New York, 1996; and the series, *The Chemistry of*

Heterocyclic Compounds, E. C. Taylor, editor, Wiley, New York. The use of intermediates of Formula **26** to prepare organo zinc reagents for use in cross coupling reactions with aromatic ring systems has been described, see, for example, S. Bellotte, *Synlett* **1998**, 379-380, and M. Nakamura et al., *Synlett* **2005**, 1794-1798.

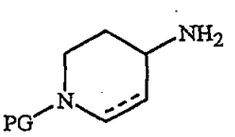
Exhibit 1



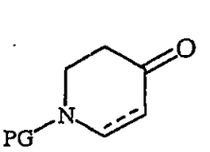
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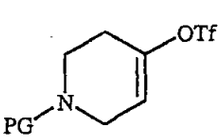
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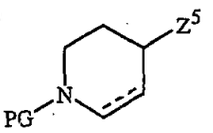
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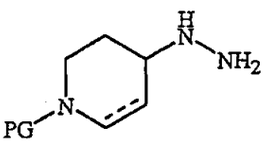
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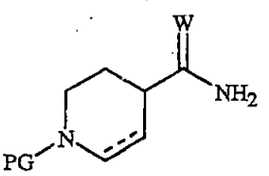
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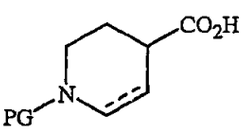
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27



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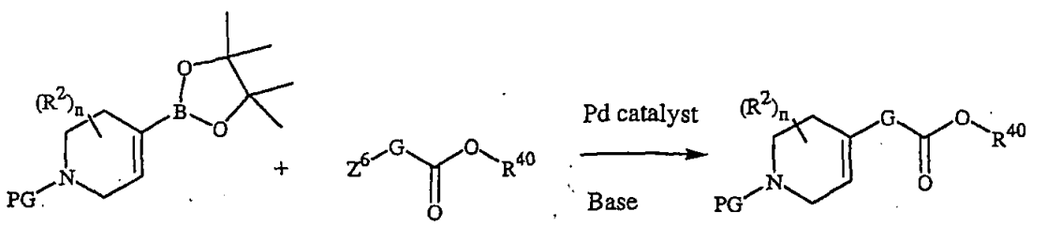


29

wherein Z^5 is Br, I, OH, OMs, or OTf; W is O or S; and PG is an acid-labile protecting group such as *t*-Boc or Cbz.

Additionally, the compounds of Formula **19a** where G is linked to the tetrahydropyridine ring via a carbon atom can be prepared by reacting the cyclic boronates of Formula **30** with the heteroaromatic compounds of Formula **31** where Z^6 is a halogen, preferably Br or I, or a triflate group as shown in Scheme 16. The reaction is carried out in the presence of a catalytic amount of palladium such as $PdCl_2$dppf ($PdCl_2$-1,1'-bis(diphenylphosphino)ferrocene) and a base such as potassium acetate in a solvent such as dioxane at 80 to 100 °C, similar to that reported for the coupling of boronates of Formula **30** with aryl halides and triflates by P. R. Eastwood, *Tetrahedron Letters* **2000**, *41*, 3705-3708. The use of palladium in the synthesis of heterocycles is well known; see, for example, J. J. Li and G. W. Gribble, "*Palladium in Heterocyclic Chemistry*", Pergamon Press, Amsterdam, 2000. There are many variations of catalyst type, base and reaction conditions which can be used as known to one skilled in the art. Many compounds of Formula **31** where Y is halogen are known or can be prepared by methods known to one skilled in the art.

Scheme 16

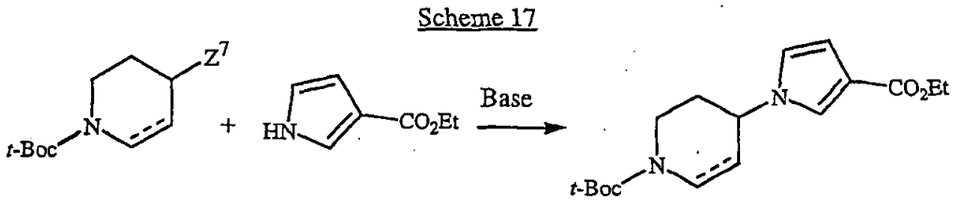


30 31 19a

wherein PG is an acid-labile protecting group; Z^6 is Cl, Br, I or OTf; R^{40} is C_1–C_4 alkyl.

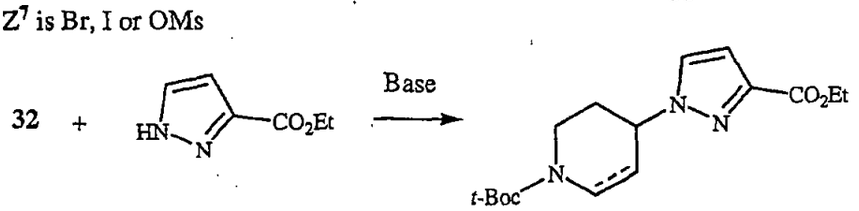
Preparation of the *N*-linked analogs wherein G is G-25 through G-30 may be carried out by displacement of an appropriate leaving group on the piperidine ring with the desired heterocycle ester as outlined in Scheme 17 using the procedures described in *Bioorganic & Medicinal Chemistry Letters* **2001**, *11(18)*, 2475-2479; *Bioorganic & Medicinal Chemistry Letters* **2002**, *12(12)*, 1683-1686; *Tetrahedron* **2002**, *58(23)*, 4707-4716 and PCT Patent Application Publication WO 2004/007499. Alternatively *N*-linked analogs can be prepared from hydroxypiperidines and the appropriate heterocycle via a Mitsunobu reaction, for examples of reactions of this type see: *J. Med. Chem.* **2004**, *47(27)*, 6921-6934. Preparation of the heterocycle esters are described in the following references: *Synthesis* **1990**, 753-754; *Synthesis* **1995**, 1491-1492; *J. Het. Chem.* **1993**, *30(4)*, 865-872; *Tetrahedron* **1986**, *42(8)*, 2351-2358; *J. Het. Chem.* **1988**, *25(2)*, 651-654; and *Helv. Chem. Acta* **1996**, *79(2)*, 449-453.

Scheme 17

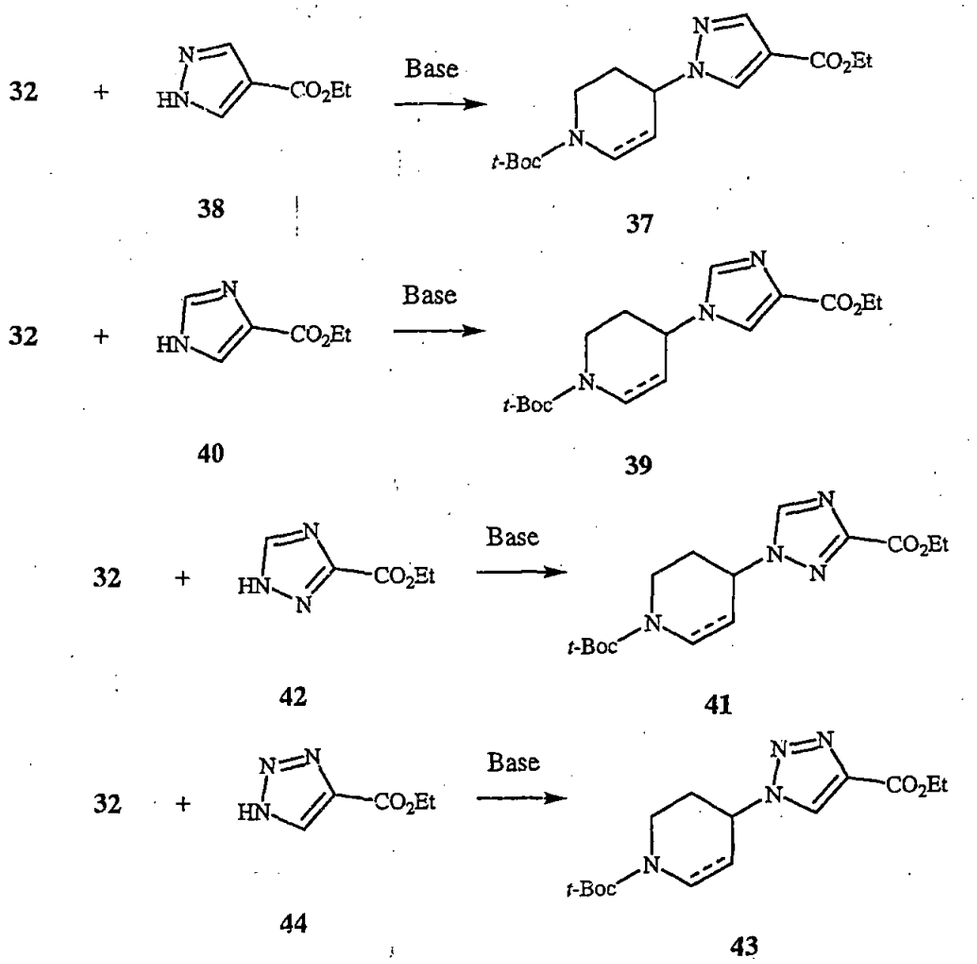


32 34 33

Z^7 is Br, I or OMs

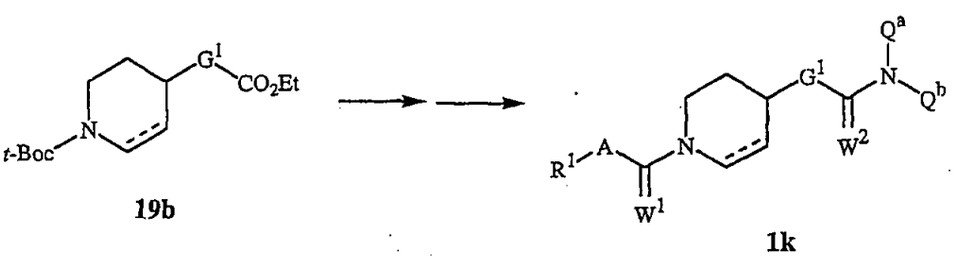


36 35



Removal of the *t*-BOC group of the compound of Formula **19b** and saponification of the ester followed by amide formation with the appropriate acid chloride or amine under standard conditions yields the final compound of Formula **1k** as shown in Scheme 18.

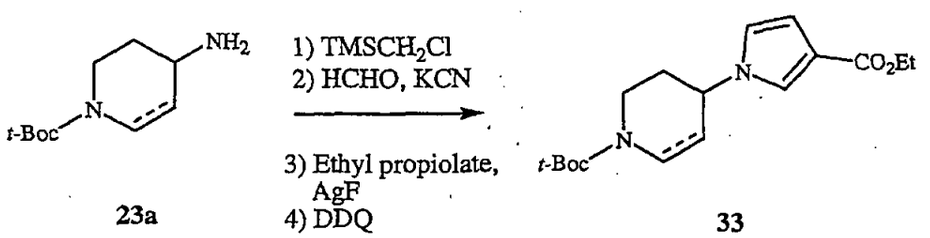
Scheme 18



G^1 is G-25 through G-30

The compound of Formula **33** can be obtained by the route shown in Scheme 19 from the amino piperidine of Formula **23a**; see, for example, *Bioorganic & Medicinal Chemistry Letters* **2001**, *11(18)*, 2475-2479 and *J. Org. Chem.* **1985**, *50(21)*, 4006-4014.

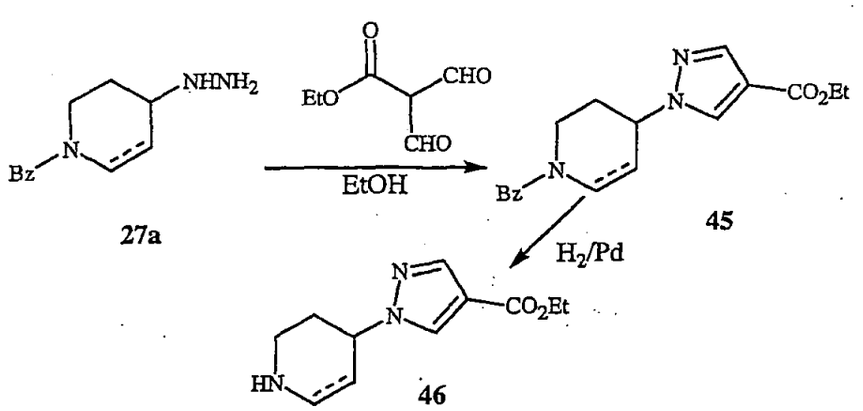
Scheme 19



23a **33**

The compound of Formula **46** can also be prepared from the *N*-benzyl hydrazino piperidine of Formula **27a** as shown in Scheme 20; see, for example, *Bioorganic & Medicinal Chemistry Letters* **1999**, *9(9)*, 1285-1290 and *J. Het. Chem.*, **1993**, *30(4)*, 865-872.

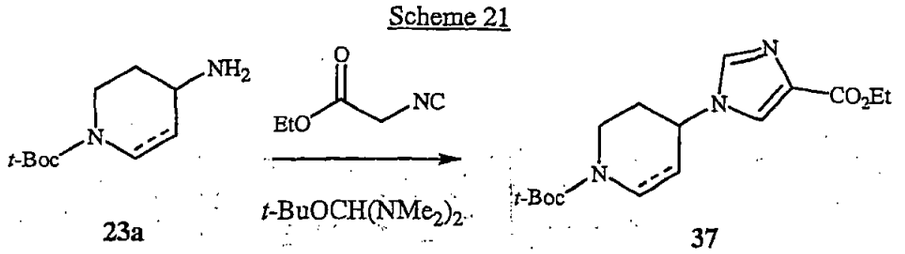
Scheme 20



27a **45** **46**

The compound of Formula **37** can also be obtained by the route shown in Scheme 21 from the amino piperidine of Formula **23a**; see, for example, *Bioorganic & Medicinal Chemistry Letters* **2001**, *11(18)*, 2475-2479 and *Organic Letters* **2002**, *4(23)*, 4133-4134.

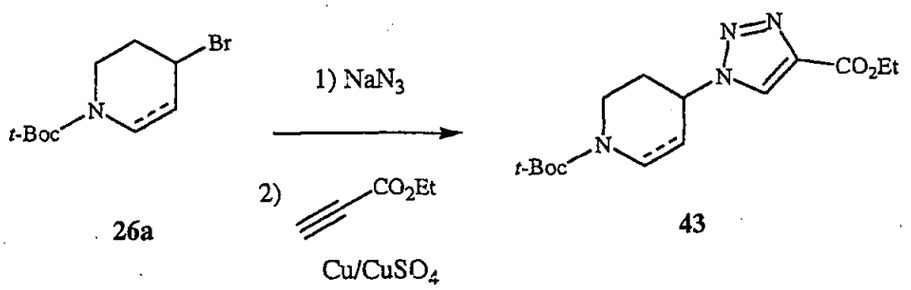
Scheme 21



23a **37**

The compound of Formula **43** is also available from the 4-bromo piperidine of Formula **26a** as shown in Scheme 22; see, for example, *Bioorganic & Medicinal Chemistry Letters* **1999**, *9(9)*, 1285-1290, by initial conversion to the azide followed by cycloaddition with ethyl propiolate according to the general method of *Organic Letters* **2004**, *6(23)*, 4223-4225.

Scheme 22



26a **43**

It is recognized that some reagents and reaction conditions described above for preparing compounds of Formula **1** may not be compatible with certain functionalities present in the intermediates. In these instances, the incorporation of protection/deprotection sequences or functional group interconversions into the synthesis will aid in obtaining the desired products. The use and choice of the protecting groups will be apparent to one skilled in chemical synthesis (see, for example, Greene, T. W.; Wuts, P. G. M. *Protective Groups in Organic Synthesis*, 2nd ed.; Wiley: New York, 1991). One skilled in the art will recognize that, in some cases, after the introduction of a given reagent as it is depicted in any individual scheme, it may be necessary to perform additional routine synthetic steps not described in detail to complete the synthesis of compounds of Formula **1**. One skilled in the art will also recognize that it may be necessary to perform a combination of the steps illustrated in the above schemes in an order other than that implied by the particular sequence presented to prepare the compounds of Formula **1**.

One skilled in the art will also recognize that compounds of Formula **1** and the intermediates described herein can be subjected to various electrophilic, nucleophilic, radical, organometallic, oxidation, and reduction reactions to add substituents or modify existing substituents.

Without further elaboration, it is believed that one skilled in the art using the preceding description can utilize the present invention to its fullest extent. The following Examples are, therefore, to be construed as merely illustrative, and not limiting of the disclosure in any way whatsoever. Steps in the following Examples illustrate a procedure for each step in an overall synthetic transformation, and the starting material for each step may not have necessarily been prepared by a particular preparative run whose procedure is described in

other Examples or Steps. Percentages are by weight except for chromatographic solvent mixtures or where otherwise indicated. Parts and percentages for chromatographic solvent mixtures are by volume unless otherwise indicated. ^{1}H NMR spectra are reported in ppm downfield from tetramethylsilane; "s" means singlet, "d" means doublet, "t" means triplet, "m" means multiplet, "q" means quartet, "br s" means broad singlet, "br d" means broad doublet, "br m" means broad multiplet.

EXAMPLE 1

Preparation of 2-[1-[(2,5-dimethylphenyl)acetyl]-4-piperidinyl]-*N*-methyl-*N*-[(1*R*)-1-phenylpropyl]-4-thiazolecarboxamide (Compound 58)

Step A: Preparation of 1,1-dimethylethyl 4-[4-(ethoxycarbonyl)-2-thiazolyl]-1-piperidinecarboxylate

To a suspension of 1,1-dimethylethyl 4-(aminothioxomethyl)-1-tetrahydropyridine-carboxylate (30 g, 123 mmol) in ethanol (180 mL), cooled to 0 °C in an ice bath, was added dropwise a solution of ethyl bromopyruvate (15.7 mL, 125 mmol) in ethanol (180 mL). The ice bath was removed, and the mixture was stirred at ambient temperature overnight. Triethylamine (30 mL) was added, and the mixture was concentrated under reduced pressure, diluted with ethyl acetate, washed with brine, dried over magnesium sulfate and concentrated under reduced pressure to give 31 g of a brown oil, which solidified on standing. A portion of this crude product (8.1 g) was heated with 200 mL of ether, and the ether was then decanted. This was repeated a second time, and the combined ether solutions were evaporated under reduced pressure to give 7.6 g of the title compound as a yellow solid.

^{1}H NMR ($CDCl_3$) δ 1.40 (t, 3H), 1.46 (s, 9H), 1.7 (m, 2H), 2.1 (m, 2H), 2.85 (m, 2H), 3.25 (m, 1H), 4.2 (m, 2H), 4.42 (q, 2H), 8.08 (s, 1H).

Step B: Preparation of 1-(1,1-dimethylethyl) 4-(4-carboxy-2-thiazolyl)-1-piperidine-carboxylate

To a solution of 1,1-dimethylethyl 4-[4-(ethoxycarbonyl)-2-thiazolyl]-1-piperidinecarboxylate (i.e. the product of Example 1, Step A) (3.4 g, 10 mmol) in 20 mL of methanol and 20 mL of tetrahydrofuran was added 1 N aqueous NaOH solution (15 mL), and the resulting mixture was stirred at ambient temperature for 1.5 h. The reaction mixture was concentrated under reduced pressure, diluted with water and acidified with excess 20 % aqueous citric acid solution to give a gummy precipitate. Ethyl acetate (30 mL) was added to dissolve the precipitate, the aqueous layer was saturated with NaCl, and the reaction mixture was extracted with ethyl acetate. The extract was dried over $MgSO_4$ and concentrated under reduced pressure to give 3.09 g of the title compound as a tan solid.

^{1}H NMR ($CDCl_3$) δ 1.47 (s, 9H), 1.75 (m, 2H), 2.13 (m, 2H), 2.88 (m, 2H), 3.2 (m, 1H), 4.22 (m, 2H), 8.19 (s, 1H).

Step C: Preparation of (α*R*)-α-ethyl-*N*-methylbenzenemethanamine (alternatively named (α,*R*)-α-ethyl-*N*-methylbenzenemethanamine)

A solution of (*R*)-(+)-1-phenylpropylamine (9.19 g, 68.1 mmol) in 90 mL of dichloromethane was cooled to –30 °C and treated with triethylamine (11.4 mL, 81.7 mmol) followed by dropwise addition of ethyl chloroformate (7.8 mL, 81.7 mmol). The reaction mixture was warmed to ambient temperature, stirred for 1 h, poured into 100 mL of 1 N aqueous hydrochloric acid and extracted with dichloromethane. The extract was washed with saturated aqueous sodium bicarbonate solution, dried over $MgSO_4$ and concentrated under reduced pressure to give 14.2 g of a colorless oil. The oil was dissolved in 15 mL of tetrahydrofuran and added dropwise to a suspension of lithium aluminum hydride (7.82 g, 206 mmol) in 25 mL of tetrahydrofuran that had been cooled to 0 °C. The reaction mixture was refluxed overnight, cooled to 0 °C and quenched by the sequential addition of 8 mL of water, 8 mL of 15 % aqueous NaOH solution and 24 mL of water. The mixture was filtered through Celite®, diatomaceous filter aid, the resulting solid was washed with hot ethyl acetate, and the combined filtrates and washings were concentrated under reduced pressure to give 7.06 g of the title compound as a yellow oil.

^{1}H NMR ($CDCl_3$) δ 0.81 (t, 3H), 1.4 (br s, 1H), 1.55-1.85 (m, 2H), 2.28 (s, 3H), 3.37 (m, 1H), 7.2-7.4 (m, 5H).

Step D: Preparation of 1,1-dimethylethyl 4-[4-[[methyl[(1*R*)-1-phenylpropyl]amino]-carbonyl]-2-thiazolyl]-1-piperidinecarboxylate

1-(1,1-Dimethylethyl) 4-(4-carboxy-2-thiazolyl)-1-piperidinecarboxylate (i.e. the product of Example 1, Step B) (3.1 g, 9.9 mmol) was suspended in 10 mL of dry acetonitrile and treated with triethylamine (3.0 mL, 21 mmol) to give a homogeneous solution. To this was added *O*-benzotriazol-1-yl-*N*,*N*,*N*',*N*'-tetramethyluronium hexafluorophosphate (3.98 g, 10.5 mmol) followed by (α*R*)-α-ethyl-*N*-methylbenzenemethanamine (i.e. the product of Example 1, Step C) (10 mmol, 1.50 g). The mixture was stirred at ambient temperature for 4 days, concentrated under reduced pressure, diluted with ethyl acetate, washed with 1 N aqueous hydrochloric acid, saturated aqueous sodium bicarbonate solution and brine, dried over $MgSO_4$ and concentrated under reduced pressure to give 5.1 g of a dark oil. Purification by silica gel chromatography using 25 % ethyl acetate in hexanes gave 3.6 g of the title compound as a yellow oil.

^{1}H NMR ($CDCl_3$) δ 0.9-1.1 (br m, 3H), 1.46 (s, 9H), 1.6-1.8 (m, 2H), 1.9-2.2 (m, 4H), 2.7-3.0 (m, 5H), 3.15 (m, 1H), 4.15 (m, 2H), 5.6-6.0 (m, 1H), 7.25-7.45 (m, 5H), 7.8 (s, 1H).

Step E: Preparation of *N*-methyl-*N*-[(1*R*)-1-phenylpropyl]-2-(4-piperidinyl)-4-thiazolecarboxamide

1,1-Dimethylethyl 4-[4-[[methyl[(1*R*)-1-phenylpropyl]amino]carbonyl]-2-thiazolyl]-1-piperidinecarboxylate (i.e. the product of Example 1, Step D) (3.6 g, 8.1 mmol) was dissolved in 100 mL of ether and treated with 20 mL of 4 N HCl in dioxane. The reaction mixture was stirred at ambient temperature for 4 h during which time a precipitate formed and was collected. The mother liquid was concentrated under reduced pressure, treated with 20 mL of 4 N HCl in dioxane, stirred at ambient temperature for 1 h and concentrated under reduced pressure. The residue was combined with the previously collected precipitate, dissolved in water and washed with ether. The aqueous layer was basified with 1 N aqueous NaOH solution and extracted with ethyl acetate. The extract was dried over $MgSO_4$ and concentrated under reduced pressure to give 2.33 g of the title compound as an orange oil suitable for use in subsequent reactions.

^{1}H NMR ($CDCl_3$) δ 0.9-1.1 (br m, 3H), 1.75 (m, 2H), 1.9-2.2 (m, 5H), 2.7-3.0 (m, 5H), 5.7-6.0 (m, 1H); 7.25-7.45 (m, 5H), 7.8 (s, 1H).

Step F: Preparation of 2-[1-[(2,5-dimethylphenyl)acetyl]-4-piperidinyl]-*N*-methyl-*N*-[(1*R*)-1-phenylpropyl]-4-thiazolecarboxamide

N-Methyl-*N*-[(1*R*)-1-phenylpropyl]-2-(4-piperidinyl)-4-thiazolecarboxamide (i.e. the product of Example 1, Step E) (206 mg, 0.6 mmol) was dissolved in 4 mL of dry dichloromethane. To this reaction mixture was added triethylamine (90 µL, 0.65 mmol), 2,5-dimethylbenzeneacetic acid (98 mg, 0.6 mmol), 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride (125 mg, 0.65 mmol) and a catalytic amount of 4-(dimethylamino)pyridine (~1 mg). The mixture was shaken overnight at ambient temperature, passed through a 5 mL capacity ChemElute™, diatomaceous filter tube, pretreated with 3 mL of 1 N aqueous hydrochloric acid solution and eluted with 2 column volumes of dichloromethane. The dichloromethane solution was concentrated under reduced pressure, and the residue was purified by silica gel chromatography using acetone to give 276 mg of the title product, a compound of the present invention as a light yellow oil.

^{1}H NMR ($CDCl_3$) δ 0.9-1.1 (br m, 3H), 1.5-1.9 (m, 2H), 1.9-2.2 (m, 4H), 2.23 (s, 3H), 2.26 (s, 3H), 2.7-3.0 (m, 4H), 3.1-3.3 (m, 2H), 3.65 (s, 2H), 3.8 (br m, 1H), 4.7 (br m, 1H), 5.6-6.0 (m, 1H), 6.9-7.1 (m, 3H) 7.25-7.45 (m, 5H), 7.8 (s, 1H).

EXAMPLE 2

Preparation of *N*-methyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-[(1*R*)-1-phenylpropyl]-4-thiazolecarboxamide (Compound 117)

Step A: Preparation of 5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-acetic acid

A mixture of 3-methyl-5-trifluoromethylpyrazole (10.0 g, 66.7 mmol), ethyl bromoacetate (11.1 mL, 100 mmol) and potassium carbonate (18.4 g, 133 mmol) in 80 mL

of *N,N*-dimethylformamide was stirred at ambient temperature overnight. The orange mixture was filtered, diluted with ethyl acetate, washed with water and brine, dried over $MgSO_4$ and concentrated under reduced pressure to give 15.7 g of the pyrazole ester. The ester, in 100 mL of tetrahydrofuran, was treated with 11 mL of a 50 % aqueous NaOH solution in 90 mL of water and stirred at ambient temperature overnight. The tetrahydrofuran was removed under reduced pressure and the aqueous solution was washed with ether and acidified with conc. HCl to pH 1 to give a precipitate. The precipitate was filtered, washed with water and dried to give 12.1 g of the title compound as a white solid.

^{1}H NMR (Acetone-d_6) δ 2.35 (s, 3H), 5.07 (s, 2H), 6.45 (s, 1H).

Step B: Preparation of *N*-methyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-[(1*R*)-1-phenylpropyl]-4-thiazolecarboxamide

N-Methyl-*N*-[(1*R*)-1-phenylpropyl]-2-(4-piperidinyl)-4-thiazolecarboxamide (i.e. the product of Example 1, Step E) (150 mg, 0.44 mmol) was dissolved in 3 mL of dry dichloromethane. To this solution was added triethylamine (30 μL, 0.22 mmol), 5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-acetic acid (83 mg, 0.4 mmol), 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride (84 mg, 0.44 mmol) and a catalytic amount of 4-(dimethylamino)pyridine (~1 mg). The reaction mixture was shaken overnight at ambient temperature, concentrated under reduced pressure and passed through a silica gel column (2 g) using 1:1 hexanes/ethyl acetate as eluant. The dichloromethane/hexanes/ethyl acetate solution was concentrated under reduced pressure, and the residue was purified by preparative reverse phase High Pressure Liquid Chromatography (HPLC) using a solvent gradient going from 100 % water to 100 % acetonitrile to give 85 mg of the title product, a compound of the present invention as an oil.

^{1}H NMR ($CDCl_3$) δ 0.9-1.1 (br m, 3H), 1.7-1.9 (m, 2H), 1.9-2.2 (m, 4H), 2.31 (s, 3H), 2.7-3.0 (m, 4H), 3.2-3.4 (m, 2H), 3.9-4.6 (br m, 2H), 4.96 (br s, 2H), 5.6-6.0 (m, 1H), 6.3 (s, 1H) 7.20-7.45 (m, 5H), 7.8 (s, 1H).

EXAMPLE 3

Preparation of 2-[1-[(2,5-dichlorophenyl)acetyl]-4-piperidinyl]-*N*-methyl-*N*-[(1*R*)-1-phenylpropyl]-4-thiazolecarboxamide (Compound 110)

Step A: Preparation of 2,5-dichlorobenzeneacetic acid

A mixture of 2,5-dichlorobenzyl bromide (5.4 g, 22.5 mmol) in 16 mL of ethanol and potassium cyanide (1.63 g, 25 mmol) in 4 mL of water was heated at 80 °C overnight, then cooled, and the solids were filtered and washed with ethanol to give 3.5 g of 2,5-dichlorophenylacetonitrile as a white powder melting at 89-91 °C. The nitrile was suspended in 20 mL of ethanol, and 20 mL of a 25 % aqueous NaOH solution was added. The mixture was heated in a CEM Explore™ microwave reactor at 140 °C for 30 minutes, then cooled, poured into ice water and acidified to pH 1 with concentrated HCl to give a

precipitate. The precipitate was filtered, washed with water and dried in a vacuum oven at 90 °C for 5 h to give the title compound as a white powder.

^{1}H NMR ($CDCl_3$) δ 3.79 (s, 2H), 7.2-7.4 (m, 3H).

Step B: Preparation of 2-[1-[(2,5-dichlorophenyl)acetyl]-4-piperidinyl]-*N*-methyl-*N*-[(1*R*)-1-phenylpropyl]-4-thiazolecarboxamide

N-Methyl-*N*-[(1*R*)-1-phenylpropyl]-2-(4-piperidinyl)-4-thiazolecarboxamide (i.e. the product of Example 1, Step E) (171 mg, 0.5 mmol) was dissolved in 3 mL of dry dichloromethane. To this was added triethylamine (35 μL, 0.25 mmol), 2,5-dichlorobenzeneacetic acid (102 mg, 0.5 mmol) (i.e. the product of Example 3, Step A), 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride (100 mg, 0.56 mmol) and a catalytic amount of 4-(dimethylamino)pyridine (~1 mg). The mixture was shaken overnight at ambient temperature, diluted with dichloromethane, washed with 1 N aqueous hydrochloric acid, saturated aqueous sodium bicarbonate solution and brine, dried over $MgSO_4$ and concentrated under reduced pressure. The residue was purified by silica gel chromatography using ethyl acetate to give 170 mg of the title product, a compound of the present invention as an oil.

^{1}H NMR ($CDCl_3$) δ 0.9-1.1 (br m, 3H), 1.65-1.9 (m, 2H), 1.9-2.2 (m, 4H), 2.7-3.0 (m, 4H), 3.25 (m, 2H), 3.8 (s, 2H), 3.9 (br m, 1H), 4.6 (br m, 1H), 5.6-6.0 (m, 1H), 7.15-7.45 (m, 8H), 7.81 (s, 1H).

EXAMPLE 4

Preparation of 2-[4-[(2,5-dimethylphenyl)acetyl]-1-piperazinyl]-*N*-methyl-*N*-[(1*R*)-1-phenylethyl]-5-thiazolecarboxamide (Compound 74)

Step A: Preparation of 1,1-dimethylethyl 4-[5-(methoxycarbonyl)-2-thiazolyl]-1-piperazinecarboxylate

1,1-Dimethylethyl 1-piperazinecarboxylate (1.86 g, 10 mmol), methyl 2-bromo-5-thiazolecarboxylate (2.0 g, 9.0 mmol), diazabicycloundecene (1.5 mL, 10 mmol) and a catalytic amount of potassium iodide (2 mg) were dissolved in 10 mL of dry dimethylsulfoxide and stirred at ambient temperature for 1 h to give a precipitate. An additional 10 mL of dimethylsulfoxide was added, the mixture was heated briefly to dissolve the solids, and the mixture was stirred at ambient temperature for 40 minutes and then at 50 °C for 2 h. The warm solution was added dropwise with stirring to 200 mL of cold water containing 10 mL of 1 N aqueous hydrochloric acid, and the resulting precipitate was filtered, dissolved in ether, dried over $MgSO_4$ and concentrated under reduced pressure to give 2.62 g of the title compound as a light yellow solid.

^{1}H NMR ($CDCl_3$) δ 1.48 (s, 9H), 3.56 (s, 8H), 3.83 (s, 3H), 7.88 (s, 1H).

Step B: Preparation of 1-(1,1-dimethylethyl) 4-(5-carboxy-2-thiazolyl)-1-piperazine-carboxylate

1,1-Dimethylethyl 4-[5-(methoxycarbonyl)-2-thiazolyl]-1-piperazinecarboxylate (i.e. the product of Example 4, Step A) (2.56 g, 8 mmol) in 15 mL of methanol and 15 mL of tetrahydrofuran was added 1 N aqueous NaOH solution (10 mL), and the mixture was stirred at ambient temperature overnight. The mixture was concentrated under reduced pressure, diluted with water, washed with ether, and acidified with excess 20 % aqueous citric acid solution to give a precipitate. The precipitate was filtered, washed with water and dried to give 2.12 g of the title compound as a slightly pink solid.

^{1}H NMR ($CDCl_3$) δ 1.49 (s, 9H), 3.58 (br s, 8H), 7.97 (s, 1H).

Step C: Preparation of 1,1-dimethylethyl 4-[5-[[methyl[(1*R*)-1-phenylethyl]amino]-carbonyl]-2-thiazolyl]-1-piperazinecarboxylate

1-(1,1-Dimethylethyl) 4-(5-carboxy-2-thiazolyl)-1-piperazinecarboxylate (i.e. the product of Example 4, Step B) (1.0 g, 3.2 mmol,) was suspended in 10 mL of dry acetonitrile and treated with triethylamine (892 µL, 6.4 mmol) to give a homogeneous solution. To this was added *O*-benzotriazol-1-yl-*N*,*N*,*N*',*N*'-tetramethyluronium hexafluorophosphate (1.33 g, 3.5 mmol) followed by (*αR*)-*N*,*α*-dimethylbenzenemethanamine (i.e. the product of Example 1, Step C) (200 µL, 1.38 mmol). The reaction mixture was stirred at ambient temperature for 3 days, concentrated under reduced pressure, diluted with ethyl acetate, washed with 1 N aqueous hydrochloric acid, saturated aqueous sodium bicarbonate solution and brine, dried over magnesium sulfate and concentrated under reduced pressure to give 1.38 g of an orange foam. Purification by silica gel chromatography using an ethyl acetate/hexanes gradient from 1:9 to 100:0 gave 0.78 g of the title compound as a yellow solid.

^{1}H NMR ($CDCl_3$) δ 1.48 (s, 9H), 1.6 (m, 3H), 2.88 (s, 3H), 3.54 (br m, 8H), 5.9 (m, 1H), 7.25-7.45 (m, 5H), 7.47 (s, 1H).

Step D: Preparation of *N*-methyl-*N*-[(1*R*)-1-phenylethyl]-2-(1-piperazinyl)-5-thiazole-carboxamide

1,1-Dimethylethyl 4-[5-[[methyl[(1*R*)-1-phenylethyl]amino]carbonyl]-2-thiazolyl]-1-piperazinecarboxylate (i.e. the product of Example 4, Step C) (0.75 g, 1.7 mmol) in 10 mL of methanol and 10 mL of dichloromethane was treated with 15 mL of 1 N HCl in ether. The reaction mixture was stirred at ambient temperature overnight, concentrated under reduced pressure, dissolved in water and brine, basified with 1 N aqueous NaOH solution and extracted with dichloromethane. The extract was dried over $MgSO_4$ and concentrated under reduced pressure to give 0.48 g of the title compound as a yellow oil.

^{1}H NMR ($CDCl_3$) δ 1.6 (d, 3H), 2.87 (s, 3H), 2.98 (m, 4H), 3.52 (m, 4H), 5.9 (m, 1H), 7.25-7.45 (m, 5H), 7.47 (s, 1H).

Step E: Preparation of 2-[4-[(2,5-dimethylphenyl)acetyl]-1-piperazinyl]-*N*-methyl-*N*-[(1*R*)-1-phenylethyl]-5-thiazolecarboxamide

2,5-Dimethylphenylacetic acid (1.64 g, 10 mmol) in 40 mL of dry dichloromethane was treated with oxalyl chloride (1.0 mL, 11 mmol) and a catalytic amount of *N,N*-dimethylformamide (1 drop) and allowed to stir at ambient temperature overnight. The reaction mixture was concentrated under reduced pressure to give 2,5-dimethylphenylacetyl chloride as an oil. The acid chloride (97 µL, 0.6 mmol) was added to a mixture of *N*-methyl-*N*-[(1*R*)-1-phenylethyl]-2-(1-piperazinyl)-5-thiazolecarboxamide (i.e. the product of Example 4, Step D) (165 mg, 0.5 mmol) and polymer-bound 4-(dimethylamino)pyridine (PS-DMAP, 1.4 meq (milli-equivalent)/g, 1.0 g) in 15 mL of dichloromethane, which was then shaken for 3 h, filtered and concentrated under reduced pressure to give 140 mg of the title product, a compound of the present invention as a yellow solid.

^{1}H NMR ($CDCl_3$) δ 1.6 (br d, 3H), 2.24 (s, 3H), 2.28 (s, 3H), 2.87 (s, 3H), 3.42 (m, 2H), 3.55 (m, 4H), 3.69 (s, 2H), 3.82 (m, 2H), 5.9 (m, 1H), 6.9-7.1 (m, 3H) 7.25-7.45 (m, 5H), 7.46 (s, 1H).

EXAMPLE 5

Preparation of *N*-methyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-[(1*R*)-1-phenylethyl]-4-thiazolecarboxamide (Compound 70)

Step A: Preparation of 1,1-dimethylethyl 4-[4-[[methyl[(1*R*)-1-phenylethyl]amino]-carbonyl]-2-thiazolyl]-1-piperidinecarboxylate

1-(1,1-Dimethylethyl) 4-(4-carboxy-2-thiazolyl)-1-piperidinecarboxylate (i.e. the product of Example 1, Step B) (6.86 g, 21.96 mmol) was suspended in 30 mL of dry acetonitrile and treated with triethylamine (6.12 mL, 43.92 mmol) to give a homogeneous solution. To this was added *O*-benzotriazol-1-yl-*N,N,N',N'*-tetramethyluronium hexafluorophosphate (9.16 g, 24.16 mmol) followed by (α*R*)-*N*,α-dimethylbenzene-methanamine (3.19 mL, 21.96 mmol). The reaction mixture was stirred at ambient temperature for 3 days, concentrated under reduced pressure, diluted with ethyl acetate, washed with 1 N aqueous hydrochloric acid, saturated aqueous sodium bicarbonate solution and brine, dried over magnesium sulfate and concentrated under reduced pressure to give a dark oil. Purification by silica gel chromatography using 25-100 % ethyl acetate in hexanes as eluant gave 9.12 g of the title compound as an oil.

^{1}H NMR ($CDCl_3$) δ 1.46 (s, 9H), 1.6-1.8 (m, 5H), 2.0-2.2 (m, 2H), 2.7-3.0 (m, 5H), 3.15 (m, 1H), 4.15 (m, 2H), 5.7-6.2 (m, 1H), 7.25-7.45 (m, 5H), 7.81 (s, 1H).

Step B: Preparation of *N*-methyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-[(1*R*)-1-phenylethyl]-4-thiazolecarboxamide

A solution of 400 mg (0.77 mmol) of 1,1-dimethylethyl 4-[4-[[methyl[(1*R*)-1-phenylethyl]amino]carbonyl]-2-thiazolyl]-1-piperidinecarboxylate (i.e. the product of

Example 5, Step A) in 10 mL of a 1:1 mixture of methanol and dichloromethane was treated with 10 mL of 2 N hydrochloric acid in ether and stirred at room temperature for 4 h. The reaction mixture was concentrated on rotary evaporator, and the residue was three times treated with 10 mL of methanol followed by concentration to leave the crude piperidine hydrochloride. The reaction mixture was then dissolved in 10 mL of acetonitrile, and 1.0 mL of triethylamine was added. Meanwhile, a solution of 310 mg (1.49 mmol) of 5-methyl-3-(trifluoromethyl)-1*H*-pyrazole-1-acetic acid in 10 mL of acetonitrile was treated with 1.0 mL of a solution of 1-propanephosphonic acid cyclic anhydride (50 % in ethyl acetate), stirred at room temperature for 15 minutes, then combined with the above amine solution. The reaction mixture was stirred at room temperature overnight, diluted with 50 mL of ethyl acetate, washed with 1 N aqueous hydrochloric acid, 1 N aqueous sodium hydroxide and brine, dried with $MgSO_4$, filtered and concentrated under reduced pressure. Purification by Medium Pressure Liquid Chromatography (MPLC) on silica gel using ethyl acetate/methanol as eluant provided 330 mg of the title product, a compound of the present invention as a white solid.

^{1}H NMR ($CDCl_3$) δ 1.60-1.80 (m, 5 H), 2.18 (m, 2 H), 2.30 (s, 3H), 2.80 (m, 5 H), 3.27 (m, 2 H), 4.00 (m, 1H), 4.95 (s, 2 H), 5.79 and 6.14 (m, total 1H), 6.35 (s, 1H), 7.37 (m, 5H), 7.84 (s, 1H).

EXAMPLE 6

General preparation of 2-[1-[(substituted-phenyl)acetyl]-4-piperidinyl]-*N*-methyl-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-thiazolecarboxamide (Compound 144, Compound 145, Compound 146, Compound 147, Compound 148 and Compound 132) and *N*-methyl-2-[1-[[substituted-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-thiazolecarboxamide (Compound 149 and Compound 150)

Step A: Preparation of (1*R*)-1,2,3,4-tetrahydro-*N*-methyl-1-naphthalenamine

(1*R*)-1,2,3,4-Tetrahydro-1-naphthalenamine (5.0 g, 34 mmol) was heated in 15 mL of ethyl formate at 60 °C overnight, during which time a precipitate formed. The reaction mixture was added to 100 mL of hexanes with stirring, and the resulting solids were filtered, washed with hexanes and dried to give 4.63 g of the formamide as white needles. The resulting formamide (4.54 g, 26 mmol) was dissolved in 50 mL of tetrahydrofuran and added dropwise to a suspension of lithium aluminum hydride (1.1 g, 29 mmol) in 20 mL of tetrahydrofuran that had been cooled to 0 °C. The reaction mixture was refluxed overnight, then cooled to 0 °C and quenched by the sequential addition of 1.1 mL of water, 1.1 mL of 15 % aqueous NaOH solution and 3.3 mL of water. The mixture was stirred at ambient temperature for 30 minutes and diluted with ethyl acetate. Several grams of $MgSO_4$ were added, and the mixture was filtered through Celite® diatomaceous filter aid and concentrated under reduced pressure to give 4.0 g of the title compound as a colorless oil.

^{1}H NMR ($CDCl_3$) δ 1.17 (s, 1H), 1.65-2.0 (m, 4H), 2.47 (s, 3H), 2.65-2.85 (m, 2H), 3.63 (m, 1H), 7.0-7.35 (m, 4H).

Step B: Preparation of 1,1-dimethylethyl 4-[4-[(methyl[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]amino]carbonyl]-2-thiazolyl]-1-piperidinecarboxylate

A mixture of 1-(1,1-dimethylethyl) 4-[4-carboxy-2-thiazolyl]-1-piperidinecarboxylate (i.e. the product of Example 1, Step B) (3.84 g, 12.29 mmol), 1-[3-(dimethylamino)propyl]-3-ethylcarbodiimide hydrochloride (2.36 g, 12.29 mmol) and triethylamine (2.3 mL, 16.76 mmol) in 50 mL dichloromethane was stirred for 15 minutes at room temperature. (1*R*)-1,2,3,4-Tetrahydro-*N*-methyl-1-naphthalenamine (i.e. the product of Example 6, Step A) (2.18 g, 13.5 mmol) was added and the reaction mixture was stirred for 16 h. The reaction mixture was diluted with 20 mL of dichloromethane, washed with 1 N aqueous hydrochloric acid, water, saturated aqueous sodium bicarbonate solution, water and brine, dried over $MgSO_4$ and concentrated under reduced pressure. The residue was purified by silica gel chromatography using 20 % to 100 % of ethyl acetate in hexanes to give 2.4 g of the title compound as an oil.

^{1}H NMR ($CDCl_3$) δ 1.46-1.48 (s, 9H), 1.62-2.35 (m, 9H), 2.7-2.93 (m, 6H), 3.08-3.10 (m, 1H), 4.06-4.10 (m, 2H), 5.68-6.04 (m, 1H), 7.1-7.2 (m, 4H), 7.82-7.83 (m, 1H).

Step C: Preparation of *N*-methyl-2-(4-piperidinyl)-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-thiazolecarboxamide monohydrochloride

1,1-Dimethylethyl 4-[4-[(methyl[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]amino]-carbonyl]-2-thiazolyl]-1-piperidinecarboxylate (i.e. the product of Example 6, Step B) (2.4 g, 5.26 mmol) was dissolved in 20 mL of a mixture of dichloromethane and methanol (1:1), and 13.15 mL (52.6 mmol) of 1 N HCl in dioxane was added. The reaction mixture was stirred at room temperature for 2 h and then concentrated under reduced pressure. The residue was dissolved in 20 mL of methanol and concentrated under reduced pressure (this procedure was repeated 3 times) resulting in 1.7 g of the title compound as a solid.

^{1}H NMR (DMSO-D_6) δ 1.80-2.05 (m, 3H), 2.1-2.3 (m, 2H), 2.5-2.9 (m, 8H), 2.92-3.12 (m, 2H), 3.25-3.42 (m, 3H), 4.55-4.63 (m, 2H), 5.3-5.8 (m, 1H), 7.0-7.2 (m, 4H), 8.1-8.14 (m, 1H), 8.72-8.88 (m, 1H), 9.0-9.1 (m, 1H).

Step D: General preparation of 2-[1-[(substituted-phenyl)acetyl]-4-piperidinyl]-*N*-methyl-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-thiazolecarboxamide and *N*-methyl-2-[1-[[substituted-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-thiazolecarboxamide

A mixture of *N*-methyl-2-(4-piperidinyl)-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-thiazolecarboxamide monohydrochloride (i.e. the product of Example 6, Step C) (157 mg, 0.4 mmol), the appropriate aryl or heteroaryl acetic acid (0.44 mmol), 1-[3-(dimethylamino)propyl]-3-ethylcarbodiimide hydrochloride (92 mg, 0.48 mmol),

triethylamine (100 μL) and a catalytic amount of 4-(dimethylamino)pyridine (~1 mg) in 3 mL of dichloromethane was stirred at room temperature for 16 h. The reaction mixture was diluted with 10 mL of dichloromethane, washed with 1 N aqueous hydrochloric acid, water and brine, dried over $MgSO_4$ and concentrated under reduced pressure. The products were purified by silica gel chromatography using ethyl acetate or a mixture of ethyl acetate with 20 % methanol as eluant to give following title products, compounds of the present invention as oils.

2-[1-[(2,5-dichlorophenyl)acetyl]-4-piperidinyl]-*N*-methyl-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-thiazolecarboxamide (Compound 144); ^{1}H NMR ($CDCl_3$) δ 1.7-2.24 (m, 8H), 2.7-3.0 (m, 6H), 3.2-3.3 (m, 2H), 3.8-4.0 (m, 3H), 4.5-4.7 (m, 1H), 5.62-6.05 (m, 1H), , 7.05-7.3 (m, 7H), 7.85 (d, 1H).

2-[1-[(2-methoxyphenyl)acetyl]-4-piperidinyl]-*N*-methyl-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-thiazolecarboxamide (Compound 145); ^{1}H NMR ($CDCl_3$) δ 1.5-2.24 (m, 8H), 2.7-2.9 (m, 5H), 3.05-3.1 (m, 2H), 3.62-4.01 (m, 7H), 4.58-4.65 (m, 1H), 5.62-6.05 (m, 1H), 6.82-7.27 (m, 8H), 7.82 (d, 1H).

2-[1-[(2-methoxy-5-methylphenyl)acetyl]-4-piperidinyl]-*N*-methyl-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-thiazolecarboxamide (Compound 146); ^{1}H NMR ($CDCl_3$) δ 1.5-2.2 (m, 11H), 2.7-2.9 (m, 6H), 3.05-3.22 (m, 2H), 3.6-4.0 (m, 6H), 4.57-4.72 (m, 1H), 5.6-6.04 (m, 1H), 6.76-7.22 (m, 7H), 7.82 (d, 1H).

2-[1-[(2-chloro-5-(trifluoromethyl)phenyl)acetyl]-4-piperidinyl]-*N*-methyl-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-thiazolecarboxamide (Compound 147); ^{1}H NMR ($CDCl_3$) δ 1.6-2.0 (m, 5H), 2.1-2.2 (m, 3H), 2.7-3.0 (m, 6H), 3.22-3.35 (m, 2H), 3.85-4.0 (m, 3H), 4.5-4.7 (m, 1H), 5.6-6.05 (m, 1H), 7.05-7.6 (m, 7H), 7.83 (d, 1H).

2-[1-[(5-bromo-2-methoxyphenyl)acetyl]-4-piperidinyl]-*N*-methyl-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-thiazolecarboxamide (Compound 148); ^{1}H NMR ($CDCl_3$) δ 1.6-2.25 (m, 9H), 2.7-2.9 (m, 5H), 3.15-3.28 (m, 2H), 3.6-3.7 (m, 2H), 3.8 (m, 3H), 3.9-4.0 (m, 1H), 4.5-4.7 (m, 1H), 5.62-6.07 (m, 1H), 6.7-6.72 (m, 1H), 7.1-7.35 (m, 6H), 7.82 (d, 1H).

N-methyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-thiazolecarboxamide (Compound 149); ^{1}H NMR ($CDCl_3$) δ 1.6-2.1 (m, 5H), 2.1-2.3 (m, 3H), 2.32 (m, 3H), 2.7-3.0 (m, 6H), 3.2-3.35 (m, 2H), 3.95-4.1 (m, 1H), 4.35-4.6 (m, 1H), 4.96-5.02 (m, 2H), 5.6-6.1 (m, 1H), 6.32 (s, 1H), 7.05-7.25 (m, 4H), 7.85 (m, 1H).

2-[1-[(3,5-dimethyl-1*H*-pyrazol-1-yl)acetyl]-4-piperidinyl]-*N*-methyl-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-thiazolecarboxamide (Compound 150); ^{1}H NMR ($CDCl_3$) δ 1.7-2.2 (m, 14H), 2.7-2.9 (m, 5H), 3.2-3.3 (m, 2H), 3.95-4.6 (m, 3H), 5.05 (m, 2H), 5.85 (s, 1H), 5.65-6.05 (m, 1H), 7.12-7.3 (m, 4H), 7.85 (m, 1H).

2-[1-[(2,5-dimethylphenyl)acetyl]-4-piperidinyl]-*N*-methyl-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-thiazolecarboxamide (Compound 132); ^{1}H NMR ($CDCl_3$) δ 1.6-2.3 (m, 8H), 2.7-2.9 (m, 7H), 3.1-3.3 (m, 2H), 3.63-3.65 (m, 2H), 3.8-3.9 (m, 1H), 4.4.55-4.77 (m, 1H), 5.62-6.07 (m, 1H), 6.92-7.22 (m, 7H), 7.82-7.85 (m, 1H).

EXAMPLE 7

Preparation of *N*-methyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-[(1*R*)-1-phenylpropyl]-4-oxazolecarboxamide (Compound 152)

Step A: Preparation of 1,1-dimethylethyl 4-[[[1-(hydroxymethyl)-2-methoxy-2-oxoethyl]amino]carbonyl]-1-piperidinecarboxylate

A mixture of 1-(1,1-dimethylethyl) hydrogen 1,4-piperidinedicarboxylate (5.5 g, 24 mmol), 1-[3-(dimethylamino)propyl]-3-ethylcarbodiimide hydrochloride (5.08 g, 26.5 mmol), and *N*-methylmorpholine (2.75 mL, 25 mmol) in 100 mL of dichloromethane was stirred at room temperature for 15 minutes. *DL*-Serine methyl ester hydrochloride (3.89 g, 25 mmol) was added, and the reaction mixture was stirred at room temperature for 16 h. The reaction mixture was partitioned between 1 N aqueous hydrochloric acid and dichloromethane, and the organic layer was washed with 1 N aqueous hydrochloric acid, water and brine, dried over $MgSO_4$ and concentrated under reduced pressure to give 6.58 g of the title compound.

^{1}H NMR ($CDCl_3$) δ 1.45 (s, 9H), 1.62-1.75 (m, 3H), 1.9 (m, 2H), 2.38 (t, 1H), 2.7-2.8 (m, 3H), 3.8 (s, 3H), 3.9-4.0 (m, 2H), 4.08 (br s, 2H), 4.68 (m, 1H), 6.5 (m, 1H).

Step B: Preparation of 1,1-dimethylethyl 4-[4,5-dihydro-4-(methoxycarbonyl)-2-oxazolyl]-1-piperidinecarboxylate

To a solution of 1,1-dimethylethyl 4-[[[1-(hydroxymethyl)-2-methoxy-2-oxoethyl]amino]carbonyl]-1-piperidinecarboxylate (i.e. the product of Example 7, Step A) (6.58 g, 19.92 mmol) in 70 mL of dry acetonitrile and 20 mL of dry dichloromethane was added triphenylphosphine (7.8 g, 29.87 mmol) and then 4.12 g (31.87 mmol) of *N,N*-diisopropylethylamine. The reaction mixture was stirred until homogeneous, and 4.59 g (29.87 mmol) of carbon tetrachloride was added dropwise over 5 minutes. The reaction mixture was stirred for 2.5 h at room temperature, cooled to 0 °C and diluted with 170 mL of ethyl acetate followed by 50 mL of saturated aqueous sodium bicarbonate solution. The mixture was stirred for 10 minutes, poured into 120 mL of water, and the organic layer was separated, washed with brine, dried over $MgSO_4$ and concentrated under reduced pressure to give a yellow oil. The oil was purified by silica gel chromatography using 75-100 % ethyl acetate in hexanes as eluant to give 2.95 g of the title compound as an oil containing traces of triphenylphosphine.

^{1}H NMR ($CDCl_3$) δ 1.43 (s, 9H), 1.6-176 (m, 2H), 1.86-1.91 (m, 2H), 2.47-2.55 (m, 1H), 2.80-2.86 (m, 2H), 3.8 (s, 3H), 4.02 (br s, 2H), 4.38-4.50 (m, 2H), 4.71-4.75 (m, 1H).

Step C: Preparation of 1,1-dimethylethyl 4-[4-(methoxycarbonyl)-2-oxazolyl]-1-piperidinecarboxylate

To a solution of 1,1-dimethylethyl 4-[4,5-dihydro-4-(methoxycarbonyl)-2-oxazolyl]-1-piperidinecarboxylate (i.e. the product of Example 7, Step B) (2.89 g, 9.26 mmol) in 100 mL of dichloromethane at 0 °C was added 1.52 mL (10.18 mmol) of 1,8-diazabicyclo[5.4.0]undec-7-ene (DBU), and the reaction mixture was stirred for 10 minutes at 0 °C. Bromotrichloromethane (1 mL, 10.18 mmol) was added dropwise over 7 minutes, and the reaction mixture was stirred for 6 h at 0 °C. The mixture was washed with saturated aqueous ammonium chloride (2 x 50 mL), the aqueous phase was extracted with ethyl acetate (2 x 25 mL), and the combined organic layers were dried over magnesium sulfate and concentrated under reduced pressure. The residue was purified by silica gel chromatography using 25-75 % of ethyl acetate in hexanes as eluant to give 1.41 g of the title compound as white crystals.

^{1}H NMR ($CDCl_3$) δ 1.46 (s, 9H), 1.77-1.85 (m, 2H), 2.00-2.05 (m, 2H), 2.85-2.92 (m, 2H), 2.99-3.02 (m, 1H), 3.9 (s, 3H), 4.08-4.15 (m, 2H), 8.18 (s, 1H).

Step D: Preparation of 1-(1,1-dimethylethyl) 4-(4-carboxy-2-oxazolyl)-1-piperidinecarboxylate

1,1-Dimethylethyl 4-[4-(methoxycarbonyl)-2-oxazolyl]-1-piperidinecarboxylate (i.e. the product of Example 7, Step C) (1.41 g, 4.55 mmol) was dissolved in 12 mL tetrahydrofuran, and 8 mL of water was added. The reaction mixture was cooled to 0 °C with vigorous stirring. A 1 N aqueous sodium hydroxide solution (9.1 mL) was added dropwise, and the reaction mixture was stirred at room temperature for 2 h. The mixture was diluted with saturated sodium chloride solution (10 mL), 30 mL of diethyl ether was added and the aqueous phase was acidified to pH 3-4 by dropwise addition of 20 % citric acid solution. The precipitated solid was filtered and dried to give 1.21 g of the title compound.

^{1}H NMR (DMSO-d_6) δ 1.4 (s, 9H), 1.55-1.60 (m, 2H), 1.92-2.00 (m, 2H), 2.90-2.99 (m, 2H), 3.00-3.1 (m, 1H), 3.9-4.0 (m, 2H), 8.45 (s, 1H).

Step E: Preparation of 1,1-dimethylethyl 4-[4-[[methyl[(1*R*)-1-phenylpropyl]amino]-carbonyl]-2-oxazolyl]-1-piperidinecarboxylate

A mixture of 1-(1,1-dimethylethyl) 4-(4-carboxy-2-oxazolyl)-1-piperidinecarboxylate (i.e. the product of Example 7, Step D) (600 mg, 2.02 mmol), 1-[3-(dimethylamino)propyl]-3-ethylcarbodiimide hydrochloride (425 mg, 2.22 mmol) and *N*-methylmorpholine (224 mg, 2.22 mmol) in 4 mL dichloromethane was stirred for 15 minutes at room temperature. To the reaction mixture 392.5 mg (2.63 mmol) of (α*R*)-α-ethyl-*N*-methylbenzenemethanamine was added and the reaction mixture was stirred at ambient temperature for 16 h. The reaction mixture was poured into 4 mL of 1 N aqueous hydrochloric acid, and the organic layer was washed with 1 N aqueous hydrochloric acid, water and brine, dried ($MgSO_4$) and

concentrated under reduced pressure. The residue was purified by silica gel chromatography using 25-75 % of ethyl acetate in hexanes as eluant to give 209 mg of the title compound as an oil.

^{1}H NMR ($CDCl_3$) δ 0.95-1.02 (m, 3H), 1.42 (s, 9H), 1.72-1.86 (m, 2H), 1.90-2.11 (m, 4H), 2.9-3.0 (m, 4H), 4.0-4.1 (m, 2H), 5.9-6.2 (m, 1H), 7.2-7.4 (m, 5H), 8.1 (s, 1H).

Step F: Preparation of *N*-methyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-[(1*R*)-1-phenylpropyl]-4-oxazolecarboxamide

1,1-Dimethylethyl 4-[4-[[methyl[(1*R*)-1-phenylpropyl]amino]carbonyl]-2-oxazolyl]-1-piperidinecarboxylate (i.e. the product of Example 7, Step E) (209 mg, 0.49 mmol) was dissolved in 3 mL of a mixture of dichloromethane and methanol (1:1), and 1.23 mL (4.9 mmol) of 1 N HCl in dioxane was added. The reaction mixture was stirred at room temperature for 3 h. The solvents were evaporated under reduced pressure, and the residue was dissolved in 5 mL methanol and concentrated under reduced pressure (this procedure was repeated 3 times) to give the amine hydrochloride. To a solution of 5-methyl-3-(trifluoromethyl)-1*H*-pyrazole-1-acetic acid (89.5 mg, 0.43 mmol) and triethylamine (87 mg, 0.86 mmol) in 2 mL of dry acetonitrile was added a suspension of *O*-benzotriazol-1-yl-*N,N,N',N'*-tetramethyluronium hexafluorophosphate (178.25 mg. 0.47 mmol) in 2 mL acetonitrile and then a mixture of 140 mg (0.43 mmol) of the amine hydrochloride in 2 mL acetonitrile. The resulting mixture was stirred at room temperature for 3 h and concentrated under reduced pressure. The residue was purified by silica gel chromatography using 25-75 % of ethyl acetate in hexanes to give 84 mg of the title product, a compound of the present invention as an oil.

^{1}H NMR ($CDCl_3$) δ 0.90-1.04 (m, 3H), 1.71-1.89 (m, 2H), 1.90-2.19 (m, 4H), 2.28-2.35 (m, 3H), 2.72 (s, 2H), 3.00-3.2 (m, 3H), 3.30-3.36 (t, 1H), 3.87-4.35 (m, 2H), 4.98 (s, 2H), 5.92-6.12 (m, 1H), 6.3 (s, 1H), 7.25-7.4 (m, 5H), 8.08-8.15 (br s, 1H).

EXAMPLE 8

Preparation of *N*-methyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-oxazolecarboxamide (Compound 151)

Step A: Preparation of 1,1-dimethylethyl 4-[4-[[methyl[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]amino]carbonyl]-2-oxazolyl]-1-piperidinecarboxylate

A mixture of 1-(1,1-dimethylethyl) 4-(4-carboxy-2-oxazolyl)-1-piperidinecarboxylate (600 mg, 2.02 mmol), 1-[3-(dimethylamino)propyl]-3-ethylcarbodiimide hydrochloride (425.57 mg, 2.22 mmol) and *N*-methylmorpholine (224.55 mg, 2.22 mmol) in 4 mL dichloromethane was stirred for 15 minutes at room temperature. To the reaction mixture was added 424 mg (2.63 mmol) of (1*R*)-1,2,3,4-tetrahydro-*N*-methyl-1-naphthalenamine was added and the reaction mixture was stirred for 16 h. The reaction mixture was concentrated

under reduced pressure, and the residue was purified by silica gel chromatography using 25-75 % of ethyl acetate in hexanes as eluant to give 360 mg of the title compound as an oil.
^{1}H NMR ($CDCl_3$) δ 1.42 (s, 9H), 1.68-2.26 (m, 9H), 2.72-3.01 (m, 7H), 4.0-4.1 (m, 2H), 6.0-6.1 (m, 1H), 7.10-7.18 (m, 4H), 8.12-8.14 (m, 1H).

Step B: Preparation of *N*-methyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-oxazolecarboxamide

1,1-Dimethylethyl 4-[4-[[methyl[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]amino]-carbonyl]-2-oxazolyl]-1-piperidinecarboxylate (i.e. the product of Example 8, Step A) (317 mg, 0.72 mmol) was dissolved in 3 mL of a mixture of dichloromethane and methanol (1:1), and 1.8 mL (7.2 mmol) of 1 N HCl in dioxane was added. The reaction mixture was stirred at room temperature for 3 h. The solvents were evaporated under reduced pressure, and the residue was dissolved in 5 mL methanol and evaporated (this sequence was repeated 3 times) giving the amine hydrochloride. A mixture of 5-methyl-3-trifluoromethylpyrazol-1-ylacetic acid (179 mg, 0.86 mmol), 1-[3-(dimethylamino)propyl]-3-ethylcarbodiimide hydrochloride (191.7 mg, 1.00 mmol), and 1-methylmorpholine (354 mg, 3.5 mmol) in 3 mL of dry dichloromethane was stirred 15 minutes at room temperature, and a solution of the amine hydrochloride in 2 mL of dry dichloromethane was added. The resulting mixture was stirred at room temperature for 16 h and concentrated under reduced pressure. The residue was purified by silica gel chromatography using 75 % ethyl acetate in hexanes as eluant to give 79 mg of the title product, a compound of the present invention as an oil.
^{1}H NMR ($CDCl_3$) δ 1.70-2.00 (m, 5H), 2.01-2.32 (m, 6H), 2.72-3.2 (m, 7H), 3.28-3.40 (m, 1H), 3.85-4.4 (m, 2H), 4.96-5.00 (m, 2H), 5.97-6.1 (m, 1H), 6.29-6.31 (m, 1H), 7.1-7.2 (m, 4H), 8.13-8.18 (m, 1H).

EXAMPLE 9

Preparation of 2-[1-[(2,5-dimethylphenyl)acetyl]-4-piperidinyl]-*N*-methyl-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-oxazolecarboxamide (Compound 153)

Step A: Preparation of methyl 1-[(2,5-dimethylphenyl)acetyl]-4-piperidine-carboxylate

A solution of 2.86 g (20 mmol) of methyl isonipecotate and 2.53 g (2.5 mmol) of triethylamine in 10 mL of dry dichloromethane was cooled to 0 °C, and a solution of 4.02 g (22 mmol) of 2,5-dimethylphenylacetyl chloride in 5 mL of dichloromethane was added dropwise. The mixture was stirred at room temperature for 16 h and then poured into 20 mL of water. The organic layer was washed with water and brine, dried ($MgSO_4$) and concentrated under reduced pressure. The residue was crystallized from a mixture of ethyl acetate and hexanes to give 4.95 g (87.5 % yield) of the title compound as white crystals.

^{1}H NMR ($CDCl_3$) δ 1.5-1.7 (m, 2H), 1.78-1.98 (m, 2H), 2.22 (s, 3H), 2.28 (s, 3H), 2.50-2.58 (m, 1H), 2.85-3.10 (m, 2H), 3.65 (s, 2H), 3.70 (s, 3H), 3.71-3.98 (m, 1H), 4.45-4.52 (m, 1H), 6.90-7.08 (m, 3H).

Step B: Preparation of 1-[(2,5-dimethylphenyl)acetyl]-4-piperidinecarboxylic acid

Methyl 1-[(2,5-dimethylphenyl)acetyl]-4-piperidinecarboxylate (i.e. the product of Example 9, Step A) (4.95 g, 17.1 mmol) was dissolved in 20 mL of tetrahydrofuran, and 15 mL of water was added. With vigorous stirring the reaction mixture was cooled to 0 °C, and 35 mL of a 1 N aqueous sodium hydroxide solution was added dropwise. The reaction mixture was stirred at room temperature for 1 h, diluted with 20 mL of brine, washed with diethyl ether (3 x 20 mL), and the aqueous phase was acidified with 1 N aqueous hydrochloric acid to pH 3-4. The precipitate was collected and dried to give 4.08 g of the title compound.

^{1}H NMR ($CDCl_3$) δ 1.2 (m, 2H), 1.8 (m, 2H), 2.16 (s, 3H), 2.22 (s, 3H), 2.5 (m, 1H), 2.75 (m 1H), 3.1 (m, 1H), 3.62 (m, 2H), 3.8 (m, 1H), 4.25 (m, 1H), 6.8-7.1 (m, 3H), 12.1 (s, 1H).

Step C: Preparation of *N*-[[1-[(2,5-dimethylphenyl)acetyl]-4-piperidinyl]carbonyl]-*DL*-serine methyl ester

A mixture of 1-[(2,5-dimethylphenyl)acetyl]-4-piperidinecarboxylic acid (i.e. the product of Example 9, Step B) (1.44 g, 5.23 mmol), 1-[3-(dimethylamino)propyl]-3-ethylcarbodiimide hydrochloride (1.1g, 5.75 mmol), and *N*-methylmorpholine (529 mg, 5.23 mmol) in 5 mL of dichloromethane was stirred at room temperature for 15 minutes. DL-Serine methyl ester hydrochloride (814 mg, 5.23 mmol) was added and the reaction mixture was stirred at room temperature for 16 h. The reaction was partitioned between 1 N aqueous hydrochloric acid (10 mL) and dichloromethane (10 mL), and the organic layer was washed with 1 N aqueous hydrochloric acid, water and brine, dried over $MgSO_4$ and concentrated under reduced pressure to give 1.61 g of the title compound.

^{1}H NMR ($CDCl_3$) δ 1.6-1.92 (m, 4H), 2.12 (s, 3H), 2.26 (s, 3H), 2.38-2.45 (m, 1H), 2.7-2.8 (m, 2H), 3.0-3.3 (m, 2H), 3.63 (s, 2H), 3.72 (s, 2H), 3.8-4.0 (m, 2H), 4.55-4.62 (m, 2H), 6.62-6.70 (m, 1H), 6.90-7.05 (m, 3H).

Step D: Preparation of methyl 2-[1-[(2,5-dimethylphenyl)acetyl]-4-piperidinyl]-4,5-dihydro-4-oxazolecarboxylate

To a solution of *N*-[[1-[(2,5-dimethylphenyl)acetyl]-4-piperidinyl]carbonyl]-DL-serine methyl ester (i.e. the product of Example 9, Step C) (2.59 g, 6.88 mmol) in 25 mL of dry acetonitrile and 7 mL of dry dichloromethane was added triphenylphosphine (2.71 g, 10.32 mmol) and then *N,N*-diisopropylethylamine (1.6 g, 12.38 mmol). The reaction mixture was stirred until homogeneous, and carbon tetrachloride (1.59 g, 10.32 mmol) was added dropwise over 5 minutes. The reaction mixture was stirred for 2.5 h at room temperature, cooled to 0 °C and diluted with 50 mL of ethyl acetate followed by 15 mL of saturated

aqueous sodium bicarbonate solution. The mixture was stirred for 10 minutes, poured into 40 mL of water, and the organic layer was separated, washed with brine, dried over $MgSO_4$ and concentrated under reduced pressure to give 6 g of a yellow oil. The oil was purified by flash chromatography on silica gel using 50-100 % of ethyl acetate in hexanes to give 900 mg of the title compound. 360 mg of starting amide was also recovered from the reaction mixture.

^1H NMR ($CDCl_3$) δ 1.57-2.00 (m, 5H), 2.2 (s, 3H), 2.27 (s, 3H), 2.57-2.62 (m, 1H), 2.82-2.93 (m, 2H), 3.6 (s, 2H), 3.72-3.80 (s, 3H), 4.37-4.5 (m, 3H), 4.68-4.75 (m, 1H), 6.90-7.03 (m, 3H).

Step E: Preparation of methyl 2-[1-[(2,5-dimethylphenyl)acetyl]-4-piperidinyl]-4-oxazolecarboxylate

To a solution of methyl 2-[1-[(2,5-dimethylphenyl)acetyl]-4-piperidinyl]-4,5-dihydro-4-oxazolecarboxylate (i.e. the product of Example 9, Step D) (1.09 g, 3.04 mmol) in 25 mL of dichloromethane at 0 °C was added 1,8-diazabicyclo[5.4.0]undec-7-ene (508 mg, 3.34 mmol). Bromotrichloromethane (662 mg, 3.34 mmol) was then added dropwise over 5 minutes. The reaction mixture was stirred for 6 h at 0 °C. The reaction mixture was washed with saturated aqueous ammonium chloride (2 x 50 mL), the aqueous phase was back-extracted with ethyl acetate (2 x 25 mL), and the combined organic layers were dried over $MgSO_4$ and concentrated under reduced pressure. The residue was purified by silica gel chromatography using 50-100 % of ethyl acetate in hexanes as eluant to give 600 mg of the title compound as an oil.

^1H NMR ($CDCl_3$) δ 1.70-1.86 (m, 2H), 2.00-2.25 (m, 2H), 2.21 (s, 3H), 2.28 (s, 3H), 2.90-2.98 (m, 1H), 3.05-3.20 (m, 2H), 3.64 (s, 2H), 3.80-3.85 (m, 1H), 3.9 (s, 3H), 4.60-4.77 (m, 1H), 6.91-7.06 (m, 3H), 8.18 (s, 1H).

Step F: Preparation of 2-[1-[(2,5-dimethylphenyl)acetyl]-4-piperidinyl]-4-oxazole-carboxylic acid

Methyl 2-[1-[(2,5-dimethylphenyl)acetyl]-4-piperidinyl]-4-oxazolecarboxylate (i.e. the product of Example 9, Step E) (665 mg, 1.87 mmol) was dissolved in 5 mL tetrahydrofuran, and 3.3 mL of water was added. The reaction mixture was cooled to 0 °C with vigorous stirring. A 1 N aqueous sodium hydroxide solution (3.7 mL) was added dropwise, and the reaction mixture was stirred at room temperature for 2 h. The reaction mixture was diluted with saturated sodium chloride solution (4 mL), washed with diethyl ether, and the aqueous phase was acidified to pH 3-4 by dropwise addition of 20 % citric acid solution. The precipitated solid was filtered and dried to give 490 mg of the title compound.

^1H NMR (DMSO-d_6) δ 1.55 (m, 2H), 2.0 m, 2H), 2.14 (s, 3H), 2.23 (s, 3H), 2.85 (m, 1H), 3.1-3.3 (m, 2H), 3.65 (m, 2H), 3.9 (m, 1H), 4.35 (m, 1H), 6.8-7.1 (m, 3H), 8.66 (s, 1H), 13.0 (s, 1H).

Step G: Preparation of 2-[1-[(2,5-dimethylphenyl)acetyl]-4-piperidinyl]-*N*-methyl-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-oxazolecarboxamide

A mixture of 2-[1-[(2,5-dimethylphenyl)acetyl]-4-piperidinyl]-4-oxazolecarboxylic acid (i.e. the product of Example 9, Step F) (245 mg, 0.72 mmol), 1-[3-(dimethylamino)propyl]-3-ethylcarbodiimide hydrochloride (153 mg, 80 mmol) and *N*-methyl morpholine (88 μL) in 3 mL of dichloromethane was stirred at room temperature for 15 minutes. (1*R*)-1,2,3,4-Tetrahydro-*N*-methyl-1-naphthalenamine (129 mg, 0.80 mmol) was added and the reaction mixture was stirred at ambient temperature overnight. The reaction mixture was diluted with dichloromethane, washed with 1 N aqueous hydrochloric acid and water, dried over $MgSO_4$ and concentrated under reduced pressure. The products were purified by silica gel chromatography using ethyl acetate as eluant to give 75 mg of the title product, a compound of the present invention as an oil.

^{1}H NMR ($CDCl_3$) δ 1.6-2.3 (m, 8H), 2.2-2.3 (m, 6H), 2.7-2.9 (m, 3H), 3.0-3.25 (m, 4H), 3.64 (m, 2H), 3.75 (m, 1H), 4.4-4.6 (m, 1H), 5.95-6.1 (m, 1H), 6.9-7.2 (m, 7H), 8.1(m, 1H).

EXAMPLE 10

Preparation of 2-[1-[(2,5-dimethylphenyl)acetyl]-4-piperidinyl]-*N*-methyl-*N*-[(1*R*)-1-phenylpropyl]-4-oxazolecarboxamide (Compound 154)

A mixture of 2-[1-[(2,5-dimethylphenyl)acetyl]-4-piperidinyl]-4-oxazolecarboxylic acid (i.e. the product of Example 9, Step F) (245 mg,. 0.72 mmol), 1-[3-(dimethylamino)propyl]-3-ethylcarbodiimide hydrochloride (153 mg, 80 mmol) and 4-methylmorpholine (88 μL) in 3 mL of dichloromethane were stirred at room temperature for 15 minutes. (α*R*)-α-Ethyl-*N*-methylbenzenemethanamine (i.e. the product of Example 1, Step C) (0.80 mmol, 119 mg) was added and the reaction mixture was stirred at ambient temperature overnight. The reaction mixture was diluted with dichloromethane, washed with 1 N aqueous hydrochloric acid and water, dried over $MgSO_4$ and concentrated under reduced pressure. The products were purified by silica gel chromatography using 50-100 % ethyl acetate in hexanes as eluant to give 90 mg of the title product, a compound of the present invention as an oil.

^{1}H NMR ($CDCl_3$) δ 0.9-1.1 (br m, 3H), 1.6-1.9 (m, 2H), 1.9-2.2 (m, 4H), 2.22 (s, 3H), 2.26 (s, 3H), 2.72 (br s, 2H), 2.9-3.1 (m, 4H), 3.15 (m, 1H), 3.65 (s, 2H), 3.75 (br m, 1H), 4.45 (br m, 1H), 5.85-6.2 (m, 1H), 6.9-7.1 (m, 3H) 7.25-7.40 (m, 5H), 8.1 (m, 1H).

EXAMPLE 11

Preparation of *N*-[(1*R*)-1-(3,5-dichloro-2-pyridinyl)ethyl]ethyl]-2-[1-[(2,5-dimethylphenyl)acetyl]-4-piperidinyl]-*N*-methyl-4-thiazolecarboxamide (Compound 111)

To a solution of 200 mg (0.56 mmol) of 2-[1-[(2,5-dimethylphenyl)acetyl]-4-piperidinyl]-4-thiazolecarboxylic acid (i.e. the product of Example 9, Step B) in 5 mL of acetonitrile was added 0.5 mL of a solution of 1-propanephosphonic acid cyclic anhydride

(50 % in ethyl acetate, 0.8 mmol) and stirred at room temperature for 15 minutes. To this mixture was added 110 mg (0.54 mmol) of (*R*)-[1-(3,5-dichloro-pyridin-2-yl)-ethyl]-methylamine in 5 mL of acetonitrile containing 0.5 mL of triethylamine. The reaction mixture was stirred at room temperature overnight, diluted with ethyl acetate, washed with 1 N aqueous sodium hydroxide and brine, dried ($MgSO_4$), filtered and concentrated. The crude product was purified by silica gel medium pressure liquid chromatography using ethyl acetate/methanol as eluant to provide 80 mg of the title product, a compound of the present invention as a viscous oil.

^{1}H NMR ($CDCl_3$) δ 1.50-1.80 (m, 3H), 2.04 (m, 1H), 2.17 (m, 1H), 2.23 (s, 3H), 2.26 (s, 3H), 2.80-3.30 (m, 6H), 3.68 (s, 2H), 3.82 (m, 1H), 4.71 (m, 1H), 6.16 (m, 1H), 6.96 (m, 2H), 7.08 (m, 1H), 7.78 (m, 2H), 8.51 (br s, 1H).

EXAMPLE 12

Preparation of 2-[1-[(3,5-dichloro-1*H*-pyrazol-1-yl)acetyl]-4-piperidinyl]-*N*-methyl-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-thiazolecarboxamide (Compound 238)

Step A: Preparation of *N,N*-dimethyl-1*H*-pyrazole-1-sulfonamide

To a solution of pyrazole (10.0 g, 147 mmol) in dichloromethane (150 mL), triethylamine (26.6 mL, 192 mmol) and *N,N*-dimethylsulfamoyl chloride (20.0 mL, 181 mmol) were added and the reaction mixture was heated to reflux for approximately 60 h. The reaction mixture was then cooled to ambient temperature and filtered through a pad of silica gel using dichloromethane as an eluent. The filtrate was then concentrated under reduced pressure and treated with diethyl ether (100 mL) resulting in the formation of a solid. The suspension was filtered, and the precipitate was washed with diethyl ether. The combined filtrates were combined and concentrated in vacuo to give 27.46 g of the title compound. This compound was of sufficient purity to use in subsequent reactions.

^{1}H NMR ($CDCl_3$) δ 2.94 (s, 6H), 6.40 (s, 1H), 7.75 (s, 1H), 7.99 (s, 1H).

Step B: Preparation of 3-chloro-*N,N*-dimethyl-1*H*-pyrazole-1-sulfonamide

Under a nitrogen atmosphere, a solution of *N,N*-dimethyl-1*H*-pyrazole-1-sulfonamide (5.0 g, 28 mmol) (i.e. the product of Example 12, Step A) in tetrahydrofuran (50 mL) was cooled to -78 °C and then treated with *n*-butyllithium (2 M solution in cyclohexane, 15.0 mL, 30 mmol) dropwise. The reaction mixture formed a thick precipitate, and stirring was continued for 30 minutes after the addition. To the stirred suspension, a solution of hexachloroethane (7.1 g, 30 mmol) in tetrahydrofuran (20 mL) was added dropwise. After 30 minutes the resulting clear solution was warmed to ambient temperature and quenched with the addition of water (70 mL). The reaction mixture was extracted with dichloromethane and dried over $MgSO_4$. The reaction mixture was filtered and concentrated under reduced pressure. The crude product was purified by silica gel chromatography (50 % hexanes in dichloromethane as eluant) to give 1.71 g of 5-chloro-*N,N*-dimethyl-1*H*-pyrazole-

1-sulfonamide. The 5-chloro-*N,N*-dimethyl-1*H*-pyrazole-1-sulfonamide was heated to 110 °C for 12 h with a catalytic amount of pyrazole to isomerize to the title compound.

^{1}H NMR ($CDCl_3$) δ 3.07 (s, 6H), 6.33 (s, 1H), 7.60 (s, 1H).

Step C: Preparation of 3,5-dichloro-*N,N*-dimethyl-1*H*-pyrazole-1-sulfonamide

Under a nitrogen atmosphere, a solution of 3-chloro-*N,N*-dimethyl-1*H*-pyrazole-1-sulfonamide (1.68 g, 8 mmol) (i.e. the product of Example 12, Step B) in tetrahydrofuran (10 mL) was cooled to -78 °C and then treated with a solution of 2 M *n*-butyllithium in cyclohexane (4.5 mL, 9 mmol) dropwise. The solution formed a thick precipitate and was allowed to stir for 30 minutes after the addition. To the stirred suspension, a solution of hexachloroethane (2.0 g, 8.5 mmol) in tetrahydrofuran (10 mL) was added dropwise. After 1.5 h the resulting clear solution was warmed to ambient temperature and quenched with the addition of water (20 mL). The reaction mixture was extracted with dichloromethane and dried over $MgSO_4$. The solution was filtered and concentrated under reduced pressure to give 1.97 g of the title compound. This compound was of sufficient purity to use in subsequent reactions.

^{1}H NMR ($CDCl_3$) δ 3.10 (s, 6H), 6.28 (s, 1H).

Step D: Preparation of 3,5-dichloro-1*H*-pyrazole

In a round bottom flask with magnetic stirrer, 3,5-dichloro-*N,N*-dimethyl-1*H*-pyrazole-1-sulfonamide (1.97 g, 8.0 mmol) (i.e. the product of Example 12, Step C) was cooled to 0 °C and treated with trifluoroacetic acid (1.3 mL, 17 mmol) and stirred for 1.5 h. The solution was extracted with diethyl ether. The extract was dried over $MgSO_4$ and concentrated under reduced pressure giving 690 mg of the title compound. This compound was of sufficient purity to use in subsequent reactions.

^{1}H NMR ($CDCl_3$) δ 2.98 (s, 1H), 6.21 (s, 1H).

Step E: Preparation of ethyl 3,5-dichloro-1*H*-pyrazole-1-acetate

A suspension of 3,5-dichloro-1*H*-pyrazole (690 mg, 5.0 mmol) (i.e. the product of Example 12, Step D), potassium carbonate (3 g, 21 mmol) in *N,N*-dimethylformamide (10 mL) was treated with ethyl bromoacetate (1.0 mL, 9.0 mmol) and stirred at ambient temperature for 12 h. The suspension was diluted with ethyl acetate, washed with water, and dried over $MgSO_4$. The reaction mixture was then concentrated under reduced pressure giving 1.05 g of the title compound. This compound was of sufficient purity to use in subsequent reactions.

^{1}H NMR ($CDCl_3$) δ 1.29 (t, 3H), 4.25 (q, 2H), 4.85 (s, 2H), 6.20 (m, 1H).

Step F: Preparation of 3,5-dichloro-1*H*-pyrazol-1-acetic acid

A solution of ethyl 3,5-dichloro-1*H*-pyrazole-1-acetate (1.29 g, 5.8 mmol) (i.e. the product of Example 12, Step E) in tetrahydrofuran (10 mL) was treated with sodium hydroxide (5 mL, 15 % aqueous solution) in water (3 mL), and the reaction mixture was

stirred at ambient temperature for 1.5 h. The reaction mixture was then diluted with water (15 mL) and was concentrated under reduced pressure. The aqueous solution was acidified with concentrated hydrochloric acid to pH 1. The reaction mixture was then extracted with ethyl acetate and the extract was dried over $MgSO_4$. The extract was then concentrated and recrystallized from 20 % ethyl acetate in hexanes to give 370 mg of the title compound.
^{1}H NMR ($CDCl_3$) δ 4.94 (s, 2H), 6.24 (s, 1H).

Step G: Preparation of 2-[1-[(3,5-dichloro-1*H*-pyrazol-1-yl)acetyl]-4-piperidinyl]-*N*-methyl-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-thiazolecarboxamide

A solution of 3,5-dichloro-1*H*-pyrazol-1-acetic acid (70 mg, 0.36 mmol) (i.e. the product of Example 12, Step F) in dichloromethane (1 mL) and a catalytic amount of *N,N*-dimethylformamide (1 drop) was treated with oxalyl chloride (0.1 mL, 1.1 mmol) and stirred at ambient temperature for 20 minutes. The reaction mixture was concentrated under reduced pressure and re-dissolved in dichloromethane (1 mL). The reaction mixture was added to a stirred suspension of *N*-methyl-2-(4-piperidinyl)-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-thiazolecarboxamide monohydrochloride (i.e. the product of Example 6, Step C) (100 mg, 0.26 mmol), triethylamine (0.1 mL, 0.72 mmol), and potassium carbonate (150 mg, 1 mmol) in dichloromethane (2 mL). The reaction mixture was then heated to reflux for 2 h, and then cooled to ambient temperature. The resulting suspension was diluted with dichloromethane (10 mL), filtered, and concentrated in vacuo. The crude product was purified by silica gel chromatography using 50-100 % ethyl acetate in hexanes as eluant to give 80 mg of the title product, a compound of the present invention as an oil.
^{1}H NMR ($CDCl_3$) δ 1.6-2.1 (m, 5H), 2.1-2.3 (m, 3H), 2.32 (m, 3H), 2.7-3.0 (m, 6H), 3.2-3.35 (m, 2H), 3.95-4.1 (m, 1H), 4.35-4.6 (m, 1H), 4.96-5.02 (m, 2H), 5.6-6.1 (m, 1H), 6.20 (s, 1H), 7.11-7.24 (m, 4H), 7.86 (m, 1H).

EXAMPLE 13

Preparation of 2-[1-[[5-chloro-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-methyl-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-thiazolecarboxamide (Compound 249)

Step A: Preparation of *N,N*-dimethyl-3-(trifluoromethyl)-1*H*-pyrazole-1-sulfonamide

To a solution of 3-trifluoromethylpyrazole (5.0 g, 36 mmol), triethylamine (7.0 mL, 50 mmol) in dichloromethane (40 mL) was added *N,N*-dimethylsulfamoyl chloride (5.5 mL, 51 mmol) and the reaction mixture was warmed to reflux for 2 days. The reaction mixture was cooled to ambient temperature and filtered through a pad of silica gel using dichloromethane as an eluent. The resulting solution was then concentrated under reduced pressure to give an amber residue. The residue was dissolved in diethyl ether and washed with water. The extract was then dried over $MgSO_4$ and concentrated under reduced pressure giving 8.71 g of the title compound. This compound was of sufficient purity to use in subsequent reactions.

^{1}H NMR ($CDCl_3$) δ 3.01 (s, 6H), 6.65 (s, 1H), 8.03 (s, 1H).

Step B: Preparation of 5-chloro-*N,N*-dimethyl-3-(trifluoromethyl)-1*H*-pyrazole-1-sulfonamide

Under a nitrogen atmosphere, *N,N*-dimethyl-3-(trifluoromethyl)-1*H*-pyrazole-1-sulfonamide (4.0 g, 16 mmol) (i.e. the product of Example 13, Step A) in tetrahydrofuran (25 mL) was cooled to -78 °C was then treated with *n*-butyllithium (2 M solution in cyclohexane, 8.6 mL, 17.2 mmol) dropwise. The reaction mixture formed a thick precipitate, and stirring was continued for 30 minutes after the addition. To the stirred suspension, a solution of hexachloroethane (4.2 g, 18 mmol) in tetrahydrofuran (15 mL) was added dropwise. After 1 h the resulting clear solution was warmed to ambient temperature and quenched with the addition of water (50 mL). The reaction mixture was extracted with dichloromethane and dried over $MgSO_4$. The reaction mixture was filtered and concentrated under reduced pressure to give 4.38 g of the title compound. This compound was of sufficient purity to use in subsequent reactions.

^{1}H NMR ($CDCl_3$) δ 3.15 (s, 6H), 6.58 (s, 1H).

Step C: Preparation of 5-chloro-3-trifluoromethyl-1*H*-pyrazole

In a round bottom flask with magnetic stirrer, 5-chloro-*N,N*-dimethyl-3-(trifluoromethyl)-1*H*-pyrazole-1-sulfonamide (4.38 g, 15.8 mmol) (i.e. the product of Example 13, Step B) was cooled to 0 °C and treated with trifluoroacetic acid (2.7 mL, 35 mmol). The reaction mixture was stirred at 0 °C for 1.5 h. The resulting solution was diluted with water (15 mL) and basified with sodium carbonate to pH 12. The reaction mixture was extracted with diethyl ether. The extract was dried over $MgSO_4$ and concentrated under reduced pressure giving 2.1 g of the title compound. This compound was of sufficient purity to use in subsequent reactions.

^{1}H NMR ($CDCl_3$) δ 6.57 (m, 1H).

Step D: Preparation of ethyl 5-chloro-3-(trifluoromethyl)-1*H*-pyrazole-1-acetate

A suspension of 5-chloro-3-trifluoromethyl-1*H*-pyrazole (2.1 g, 12.3 mmol) (i.e. the product of Example 13, Step C), potassium carbonate (3.6 g, 26 mmol) in *N,N*-dimethylformamide (20 mL) was treated with ethyl bromoacetate (2.1 mL, 18.8 mmol) and the reaction mixture was stirred at ambient temperature for 12 h. The reaction mixture was diluted with ethyl acetate, washed with water, and dried over $MgSO_4$. The reaction mixture was filtered and concentrated under reduced pressure. The crude product was purified by silica gel chromatography using 0-50 % ethyl acetate in hexanes as eluant to give 940 mg of the title compound.

^{1}H NMR ($CDCl_3$) δ 1.29 (m, 3H), 4.27 (q, 2H), 4.96 (m, 2H), 6.55 (s, 1H).

Step E: Preparation of 5-chloro-3-(trifluoromethyl)-1*H*-pyrazole-1-acetic acid

A solution of ethyl 5-chloro-3-(trifluoromethyl)-1*H*-pyrazole-1-acetate (218 mg, 0.85 mmol) in tetrahydrofuran (1 mL) was treated with sodium hydroxide (0.2 mL, 50 % aqueous solution) in water (0.6 mL), and stirred at ambient temperature for 4 h. The reaction mixture was then diluted with water (15 mL) and was concentrated under reduced pressure. The reaction mixture was acidified with concentrated hydrochloric acid to pH 1. The resulting mixture was extracted with ethyl acetate, dried over $MgSO_4$, and concentrated to give 140 mg of the title compound. This compound was of sufficient purity to use in subsequent reactions.

^{1}H NMR (DMSO-d_6) δ 5.41 (s, 2H), 7.09 (s, 1H).

Step F: Preparation of 2-[1-[[5-chloro-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-methyl-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-thiazolecarboxamide

A solution of 5-chloro-3-(trifluoromethyl)-1*H*-pyrazole-1-acetic acid (140 mg, 0.61 mmol) (i.e. the product of Example 13, Step E) in dichloromethane (2 mL) and a catalytic amount of *N,N*-dimethylformamide (1 drop) was treated with oxalyl chloride (0.1 mL, 1.1 mmol) and stirred at ambient temperature for 20 minutes. The reaction mixture was concentrated under reduced pressure and re-dissolved in dichloromethane (1 mL). The reaction mixture was added to a stirred suspension of *N*-methyl-2-(4-piperidinyl)-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-thiazolecarboxamide monohydrochloride (i.e. the product of Example 6, Step C) (280 mg, 0.72 mmol), triethylamine (0.2 mL, 1.5 mmol), and potassium carbonate (300 mg, 2.1 mmol) in dichloromethane (2 mL). The reaction mixture was then heated to reflux for 4 h, and then cooled to ambient temperature. The resulting suspension was diluted with dichloromethane (10 mL), filtered, and concentrated in vacuo. The crude product was purified by silica gel chromatography using 50-100 % ethyl acetate in hexanes as eluant to give 130 mg of the title product, a compound of the present invention as an oil.

^{1}H NMR ($CDCl_3$) δ 1.6-2.1 (m, 5H), 2.1-2.3 (m, 3H), 2.32 (m, 3H), 2.7-3.0 (m, 6H), 3.2-3.35 (m, 2H), 3.95-4.1 (m, 1H), 4.35-4.6 (m, 1H), 4.96-5.02 (m, 2H), 5.6-6.1 (m, 1H), 6.59 (s, 1H); 7.05-7.25 (m, 4H), 7.96 (m, 1H).

EXAMPLE 14

Preparation of 2-[1-[[3,5-bis(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-methyl-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-thiazolecarboxamide (Compound 210)

Step A: Preparation of 3,5-bis-(trifluoromethyl)-1*H*-pyrazole-1-acetic acid

A solution of 3,5-bis-(trifluoromethyl)pyrazole (1.0 g, 4.90 mmol) in *N,N*-dimethylformamide (10 mL) at 0 °C was treated with sodium hydride (60 % dispersion in oil, 215

mg, 5.37 mmol) and the reaction mixture was allowed to stir at room temperature for 1 h. A solution of ethyl iodoacetate (2.0 g, 9.30 mmol) in *N,N*-dimethylformamide (10 mL) was then added and the reaction mixture heated at 80 °C for 24 h. The reaction mixture was then cooled to room temperature, diluted with ethyl acetate and washed with water. The organic layer was dried with $MgSO_4$, filtered and concentrated to provide 570 mg of the crude ester. The crude ester was dissolved in tetrahydrofuran (2 mL) and treated with sodium hydroxide (150 mg) in water (1.5 mL). The reaction mixture was then stirred at room temperature overnight. The resulting mixture was diluted with water (10 mL), extracted with diethyl ether (20 mL). The organic layers were dried with $MgSO_4$, filtered and concentrated in vacuo to provide 190 mg of the title compound. This compound was of sufficient purity to use in subsequent reactions.

^{1}H NMR (DMSO-d_6) δ 5.29 (s, 2H), 7.65 (s, 1H).

^{19}F NMR (DMSO-d_6) δ -59.4, -61.4.

Step B: Preparation of 2-[1-[[3,5-bis(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-methyl-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-thiazolecarboxamide

A solution of 3,5-bis-(trifluoromethyl)-1*H*-pyrazole-1-acetic acid (190 mg, 0.73 mmol) (i.e. the product of Example 14, Step A) in ethyl acetate (5.0 mL) was treated with 1-propanephosphonic acid cyclic anhydride (50 % solution in ethyl acetate, 1.0 mL, 1.6 mmol) and the reaction mixture was stirred at room temperature for 30 minutes. The reaction mixture was added to a stirred suspension of *N*-methyl-2-(4-piperidinyl)-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-thiazolecarboxamide monohydrochloride (i.e. the product of Example 6, Step C) (210 mg, 0.53 mmol), triethylamine (0.5 mL, 3.75 mmol) in ethyl acetate (5 mL). The reaction mixture was then stirred at room temperature for 12 h. The resulting suspension was concentrated in vacuo and purified by MPLC on silica gel using ethyl acetate/hexanes as eluant to give 110 mg of the title product, a compound of the present invention as an oil.

^{1}H NMR ($CDCl_3$) δ 1.60-2.31 (m, 5H), 2.67-3.06 (m, 9H), 3.20-3.45 (m, 2H), 3.62-3.92 (m, 1H), 4.26-4.60 (m, 1H), 5.08-5.23 (m, 2H), 5.60-6.10 (m, 1H), 6.93 (s, 1H), 7.07-7.30 (m, 4H), 7.86 (m, 1H).

EXAMPLE 15

Preparation of 2-[1-[(3,5-diethyl-1*H*-pyrazol-1-yl)acetyl]-4-piperidinyl]-*N*-methyl-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-thiazolecarboxamide (Compound 209)

Step A: Preparation of 3,5-diethyl-1*H*-pyrazole

A solution of 3,5-heptanedione (2.4 g, 18.8 mmol) and hydrazine hydrate (1.0 g, 19.0 mmol) and acetic acid (1 drop) in water (10 mL) was heated to reflux for 1 h. The reaction mixture was then cooled in an ice bath to form a white precipitate. The precipitate

was then filtered, dissolved in chloroform and dried over $MgSO_4$. The resulting reaction was concentrated under reduced pressure to provide 2.14 g of the title compound. This compound was of sufficient purity to use in subsequent reactions.

^1H NMR ($CDCl_3$) δ 1.27 (t, 6H), 2.65 (q, 4H), 5.90 (s, 1H).

Step B: Preparation of ethyl 3,5-diethyl-1*H*-pyrazole-1-acetate

To a solution of 3,5-diethyl-1*H*-pyrazole (2.14 g, 17.2 mmol) (i.e. the product of Example 15, Step A) in *N*,*N*-dimethylformamide (10 mL) was added potassium carbonate (4.7 g) and ethyl bromoacetate (2.9 mL, 26.1 mmol). The reaction mixture was stirred at room temperature overnight. The resulting solids were filtered off and the filtrate was diluted with ethyl acetate, washed with water and dried over $MgSO_4$. The reaction mixture was concentrated under reduced pressure to give 2.79 g of the title compound.

^1H NMR ($CDCl_3$) δ 1.27 (m, 9H), 2.57 (m, 4H), 4.22 (q, 2H), 4.78 (s, 2H), 5.93 (s, 1H).

Step C: Preparation of 3,5-diethyl-1*H*-pyrazole-1-acetic acid

Ethyl 3,5-diethyl-1*H*-pyrazole-1-acetate (2.79 g, 13.3 mmol) (i.e. the product of Example 15, Step B) in tetrahydrofuran (10 mL) was treated with sodium hydroxide (1.0 g) in water (7.5 mL). The reaction mixture was then stirred at room temperature overnight. The reaction mixture was concentrated under reduced pressure and washed with diethyl ether. The resulting aqueous layer was acidified with concentrated hydrochloric acid to give a white precipitate. The precipitate was filtered and dried in air to give 690 mg of the title compound.

^1H NMR (DMSO-d_6) δ 1.12 (m, 6H), 2.49 (m, 4H), 4.74 (s, 2H), 5.87 (s, 1H).

Step D: Preparation of 2-[1-[(3,5-diethyl-1*H*-pyrazol-1-yl)acetyl]-4-piperidinyl]-*N*-methyl-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-thiazolecarboxamide

A solution of 3,5-diethyl-1*H*-pyrazol-1-acetic acid (135mg, 0.74 mmol) (i.e. the product of Example 15, Step C) in ethyl acetate (5.0 mL) was treated with 1-propanephosphonic acid cyclic anhydride (50 % solution in ethyl acetate, 1.0 mL, 1.6 mmol) and the reaction mixture was stirred at room temperature for 30 minutes. The reaction mixture was added to a stirred suspension of *N*-methyl-2-(4-piperidinyl)-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-thiazolecarboxamide monohydrochloride (i.e. the product of Example 6, Step C) (210 mg, 0.53 mmol), triethylamine (0.5 mL, 3.75 mmol) in ethyl acetate (5 mL). The reaction mixture was then stirred at room temperature for 12 h. The resulting suspension was concentrated in vacuo and purified by MPLC on silica gel using ethyl acetate/hexanes as eluant to give 60 mg of the title product, a compound of the present invention as an oil.

^1H NMR ($CDCl_3$) δ 1.10-1.30 (m, 6H), 1.50-2.30 (m, 8H), 2.45-2.65 (m, 4H), 2.70-2.95 (m, 5H), 3.10-3.30 (m, 2H), 3.90-4.15 (m, 2H), 4.40-4.60 (m, 1H), 4.70-5.00 (m, 2H), 5.60-6.10 (m, 2H), 7.05-7.50 (m, 4H), 7.87 (m, 1H).

EXAMPLE 16

Preparation of 2-[1-[[5-ethyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-methyl-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-thiazolecarboxamide (Compound 208)

Step A: Preparation of 5-ethyl-3-(trifluoromethyl)-1*H*-pyrazole

A solution of 1,1,1-trifluoro-2,4-hexane-dione (2.4 g, 14.3 mmol), hydrazine hydrate (1.0 g, 19.0 mmol) and acetic acid (1 drop) in water (10 mL) was heated to reflux for 1 h. The reaction mixture was then cooled in an ice bath to form a white precipitate. The precipitate was then filtered, dissolved in chloroform and dried over $MgSO_4$. The resulting solution was concentrated under reduced pressure to provide 1.39 g of the title compound. This compound was of sufficient purity to use in subsequent reactions.

^{1}H NMR ($CDCl_3$) δ 1.26 (t, 3H), 2.70 (q, 2H), 6.34 (s, 1H).

Step B: Preparation of ethyl 5-ethyl-3-(trifluoromethyl)-1*H*-pyrazole-1-acetate

To a solution of 5-ethyl-3-(trifluoromethyl)-1*H*-pyrazole (1.39 g, 8.5 mmol) (i.e. the product of Example 16, Step A) in *N,N*-dimethylformamide (10 mL) was added potassium carbonate (2.3 g) and ethyl bromoacetate (1.4 mL, 12.6 mmol). The reaction mixture was stirred at room temperature overnight. The resulting solids were filtered off and the filtrate was diluted with ethyl acetate, washed with water and dried over $MgSO_4$. The resulting solution was concentrated under reduced pressure to give 1.34 g of the title compound. This compound was of sufficient purity to use in subsequent reactions.

Step C: Preparation of 5-ethyl-3-(trifluoromethyl)-1*H*-pyrazole-1-acetic acid

Ethyl 5-ethyl-3-(trifluoromethyl)-1*H*-pyrazole-1-acetate (1.34 g, 7.5 mmol) (i.e. the product of Example 16, Step B) in tetrahydrofuran (5 mL) was treated with sodium hydroxide (0.5 g) in water (3.5 mL). The reaction mixture was then stirred at room temperature overnight. The reaction mixture was concentrated under reduced pressure and washed with diethyl ether. The resulting aqueous layer was acidified with concentrated hydrochloric acid to give a white precipitate. The precipitate was filtered and dried in air to give 690 mg of the title compound.

^{1}H NMR (DMSO-d_6) δ 1.20 (m, 3H), 2.60 (m, 2H), 5.06 (s, 2H), 6.54 (s, 1H).

Step D: Preparation of 2-[1-[[5-ethyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-methyl-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-thiazolecarboxamide

A solution of 5-ethyl-3-(trifluoromethyl)-1*H*-pyrazole-1-acetic acid (170 mg, 0.76 mmol) (i.e. the product of Example 16, Step C) in ethyl acetate (5.0 mL) was treated with 1-propanephosphonic acid cyclic anhydride (50 % solution in ethyl acetate, 1.0 mL, 1.6 mmol) and the reaction mixture was stirred at room temperature for 30 minutes. The reaction mixture was added to a stirred suspension of *N*-methyl-2-(4-piperidinyl)-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-thiazolecarboxamide monohydrochloride (i.e. the product of

Example 6 Step C) (219 mg, 0.56 mmol), triethylamine (0.5 mL, 3.75 mmol) in ethyl acetate (5 mL). The reaction mixture was then stirred at room temperature for 12 h. The resulting suspension was concentrated in vacuo and purified by silica gel MPLC using ethyl acetate/hexanes as eluant to give 200 mg of the title product, a compound of the present invention as an oil.

^{1}H NMR ($CDCl_3$) δ 1.20-1.30 (m, 3H), 1.55-2.25 (m, 8 H), 2.50-2.70 (m, 2H), 2.70-3.00 (m, 6H), 3.10-3.50 (m, 2H), 3.90-4.10 (m, 1H), 4.30-4.60 (m, 1H), 4.80-5.10 (m, 2H), 5.60-6.10 (m, 1H), 6.33 (m, 1H), 7.05-7.50 (m, 4H), 7.88 (m, 1H).

EXAMPLE 17

Preparation of *N*-methyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-oxazolinecarboxamide (Compound 246)

Step A: Preparation of 1,1-dimethylethyl [(1*S*)-1-(hydroxymethyl)-2-[methyl[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]amino]-2-oxoethyl]carbamate

A solution of (1*R*)-1,2,3,4-tetrahydro-*N*-methyl-1-naphthalenamine (0.887 g, 5.5 mmol) (i.e. the product of Example 6, Step A) in tetrahydrofuran (15 mL) was treated with *t*-Boc-L-serine (1.03 g, 5 mmol), *N*-hydroxybenzotriazole (0.677 g, 0.5 mmol) and *N,N*-diisopropylcarbodiimide (0.663 g, 5.25 mmol) at 0 °C. The reaction mixture was allowed to warm to room temperature and stirred for 16 h. The precipitate formed was filtered and washed with tetrahydrofuran. The filtrate and washings were concentrated, and the residue was purified by medium-pressure liquid chromatography using a gradient of 50-100 % of ethyl acetate in hexanes as eluant to give 1.11 g of the title compound.

^{1}H NMR ($CDCl_3$) δ 1.46-1.48 (m, 9H), 1.8-1.9 (m, 2H), 1.97-2.05 (m, 2H), 2.65, 2.83 (d, 3H), 2.72-2.80 (br s, 2H), 3.33-3.43 (m, 1H), 3.78-4.00 (m, 2H), 4.65-4.80 (m, 1H), 5.27-5.91 (m, 2H), 6.98-7.2 (m, 4H).

Step B: Preparation of (2*S*)-2-amino-3-hydroxy-*N*-methyl-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]propanamide monohydrochloride

To a solution of 1,1-dimethylethyl [(1*S*)-1-(hydroxymethyl)-2-[methyl[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]amino]-2-oxoethyl]carbamate (1.11 g, 3.19 mmol) (i.e. the product of Example 17, Step A) in methanol (15 mL) was added a 2 M solution of hydrogen chloride in ether (15 mL, 30 mmol), and the reaction mixture was stirred at room temperature for 3 h. The reaction mixture was concentrated in vacuo and the resulting residue was dissolved in methanol and concentrated in vacuo again. The residue was dried in high vacuum to give 750 mg of the title compound as a white solid.

^{1}H NMR (DMSO-d_6) δ 1.6-2.0 (m, 4H), 2.7 (s, 3H), 3.61-3.80 (m, 2H), 4.38-4.43 (d, 1H), 5.18-5.66 (m, 2H), 7.0-7.2 (m, 4H), 8.2-8.3 (br s, 3H).

Step C: Preparation of ethyl 1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinylcarboxylate

A solution of ethyl 4-piperidinecarboxylate (1.57 g, 10 mmol) and triethylamine (2.09 mL, 15 mmol) in dichloromethane (20 mL) was cooled to 0 °C and a solution of 5-methyl-3-(trifluoromethyl)-1*H*-pyrazole-1-acetyl chloride (prepared as described in Example 19, step B) in 5 mL of dichloromethane was added dropwise with stirring. The reaction mixture was allowed to warm to room temperature and stirred for 16 h. The reaction mixture was poured in 50 mL of water, and the organic layer was subsequently washed with water, 1 M aqueous hydrochloric acid, water, saturated aqueous solution of sodium bicarbonate and brine. The separated organic layers were dried ($MgSO_4$) and evaporated in vacuo to give 3.2 g of the title compound.

^{1}H NMR ($CDCl_3$) δ 1.22-1.24 (t, 3H), 1.61-1.74 (m, 2H), 1.85-2.00 (m, 2H), 2.3 (s, 3H), 2.5-2.6 (m, 1H), 2.88-3.23 (m, 2H), 3.82-4.32 (m, 2H), 4.17-4.19 (q, 2H), 4.85 (s, 2H), 6.31 (s, 1H).

Step D: Preparation of 1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinylcarboxylic acid

A solution of ethyl 1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinylcarboxylate (3.6 g, 10.36 mmol) (i.e. the product of Example 17, Step C) in methanol (10 mL) was treated with 1 M sodium hydroxide aqueous solution (15.54 mL, 15.54 mmol) at 0 °C. The reaction mixture was stirred at room temperature for 2 h and then 1 M hydrochloric acid (15.54 mL, 15.54 mmol) was added, and most of methanol was evaporated in vacuo leaving white crystals. The crystals were filtered and dried to give 2.25 g of the title compound as a white solid.

^{1}H NMR (DMSO-d_6) δ 1.30-1.65 (m, 2H), 1.80-1.92 (m, 2H), 2.2 (s, 3H), 2.72-3.21 (m, 2H), 3.25-3.36 (m, 1H), 3.77-4.20 (m, 2H), 5.18-5.34 (m, 2H), 6.5 (s, 1H).

Step E: Preparation of *N*-[(1*S*)-1-(hydroxymethyl)-2-[methyl[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]amino]-2-oxoethyl]-1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinecarboxamide

A solution of (2*S*)-2-amino-3-hydroxy-*N*-methyl-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]propanamide monohydrochloride (546.33 mg, 2.2 mmol) (i.e. the product of Example 17, Step B) and *N*-methylmorpholine (222.53 mg, 2.2 mmol) in 8 mL of tetrahydrofuran was cooled to 0 °C and 1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinylcarboxylic acid (638.56 mg, 2 mmol) (i.e. the product of Example 17, Step D) was added followed by *N*-hydroxybenzotriazole (27 mg, 0.2 mmol) and *N,N*-diisopropylcarbodiimide (265 mg, 2.1 mmol). The reaction mixture was stirred for 16 h at room temperature and filtered. The precipitate was washed with tetrahydrofuran and the resulting filtrate and washings were concentrated in vacuo. The residue was dissolved in

dichloromethane and the resulting solution was washed with water, 1 M aqueous hydrochloric acid, water, saturated aqueous solution of sodium bicarbonate and brine, dried over $MgSO_4$ and concentrated in vacuo. The resulting product was purified by medium-pressure liquid chromatography on silica gel using 0-20 % methanol in ethyl acetate as eluant to give 600 mg of the title compound as a white solid.

^1H NMR ($CDCl_3$) δ 1.65-2.05 (m, 8H), 2.3 (s, 3H), 2.40-3.26 (m, 2H), 2.7-2.9 (m, 6H), 3.55 (s, 1H), 3.8-3.92 (m, 2H), 3.92-4.54 (m, 2H), 5.0-5.1 (m, 2H), 5.2-5.9 (m, 1H), 6.35 (s, 1H), 6.9-7.2 (m, 5H).

Step F: Preparation of *N*-methyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-oxazolinecarboxamide

A mixture of 207 mg (0.38 mmol) of *N*-[(1*S*)-1-(hydroxymethyl)-2-[methyl[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]amino]-2-oxoethyl]-1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinecarboxamide (207 mg, 0.38 mmol) (i.e. the product of Example 17, Step E) and (methoxycarbonylsulfamoyl)triethylammonium hydroxide, inner salt (Burgess reagent) (104.86 mg, 0.44 mmol) in 2 mL of tetrahydrofuran were heated at 70 °C for 2.5 h in the sealed tube. The reaction mixture was concentrated in vacuo and further purified by medium-pressure liquid chromatography using 75-100 % of ethyl acetate in hexanes as eluant to give 90 mg of the title compound, a compound of the present invention as an oil.

^1H NMR ($CDCl_3$) δ 1.60-2.05 (m, 8H), 2.1 (s, 3H), 2.65-2.97 (m, 5H), 3.00-3.12 (m, 1H), 3.2-3.92 (m, 2H), 4.20-4.35 (m, 2H), 4.9-5.1 (m, 4H), 05.6-5.9 (m, 1H), 6.32 (s, 1H), 6.97-7.20 (m, 4H).

EXAMPLE 18

Preparation of *N*-methyl-1-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-1*H*-pyrazole-3-carboxamide (Compound 231)

Step A: Preparation of 1,1-dimethylethyl 4-[(methylsulfonyl)oxy]-1-piperidinecarboxylate

To a solution of 1,1-dimethylethyl 4-hydroxy-1-piperidinecarboxylate (4.02 g, 20 mmol) and triethylamine (4.4 mL) in 50 mL of dichloromethane was slowly added methanesulfonylchloride (1.7 mL, 22 mmol) at 0 °C. The reaction mixture was stirred for 1 h at 0 °C, washed with 1 M aqueous hydrochloric acid, dried over $MgSO_4$ and concentrated in vacuo to give 5 g of the title compound as a white solid.

^1H NMR ($CDCl_3$) δ 1.44 (s, 9H), 1.74-1.86 (m, 2H), 1.9-2.1 (m, 2H), 3.02 (s, 3H), 3.27-3.35 (m, 2H), 3.66-3.75 (m, 2H), 4.84-4.92 (m, 1H).

Step B: Preparation of 1,1-dimethylethyl 4-[3-(methoxycarbonyl)-1*H*-pyrazol-1-yl]-1-piperidinecarboxylate

A suspension of 60 % dispersion of sodium hydride in mineral oil (192 mg, 4.8 mmol) in 20 mL of *N,N*-dimethylformamide was cooled to 0 °C, and methyl 3-pyrazolecarboxylate (605.37 mg, 4.8 mmol) was gradually added with stirring in nitrogen atmosphere. The reaction mixture was stirred at room temperature for 0.5 h and cooled again to 0 °C. A solution of 1,1-dimethylethyl 4-[(methylsulfonyl)oxy]-1-piperidine-carboxylate (1.18 g, 4 mmol) (i.e. the product of Example 18, Step A) in 5 mL of *N,N*-dimethylformamide was gradually added to the reaction mixture. The resulting mixture was stirred for 5 days at 60 °C. The reaction mixture was poured in ice water and extracted with ethyl acetate. The organic layer was dried ($MgSO_4$), evaporated in vacuo, and purified by medium-pressure liquid chromatography on silica gel eluting with 0-10 % methanol in ethyl acetate as eluant to give 290 mg of the title compound as a white solid.

^{1}H NMR ($CDCl_3$) δ 1.46 (s, 9H), 1.88-2.00 (m, 2H), 2.12-2.20 (m, 2H), 2.80-2.92 (m, 2H), 3.92 (s, 3H), 4.24-4.33 (m, 2H), 4.34-4.31 (m, 1H), 6.82 (s, 1H), 7.44 (s, 1H).

Additionally, 370 mg of 1,1-dimethylethyl 4-[5-(methoxycarbonyl)-1*H*-pyrazol-1-yl]-1-piperidinecarboxylate was isolated eluting before the title compound.

^{1}H NMR ($CDCl_3$) δ 1.46 (s, 9H), 1.92-2.00 (m, 2H), 2.08-2.15 (m, 2H), 2.85-2.95 (m, 2H), 3.89 (s, 3H), 4.20-4.31 (m, 2H), 5.24-5.32 (m, 1H), 6.85 (s, 1H), 7.51 (s, 1H).

Step C: Preparation of methyl 1-(4-piperidinyl)-1*H*-pyrazole-3-carboxylate monohydrochloride

To a solution of 1,1-dimethylethyl 4-[3-(methoxycarbonyl)-1*H*-pyrazol-1-yl]-1-piperidinecarboxylate (300 mg, 0.97 mmol) (i.e. the product of Example 18, Step B) in 5 mL of diethyl ether was added a 2 M solution of hydrogen chloride in ether (4.85 mL, 9.7 mmol), and the reaction mixture was stirred for 5 h at room temperature. The reaction mixture was evaporated in vacuo, the resulting residue was dissolved in methanol and concentrated in vacuo. The residue was dried in high vacuum to give 200 mg of the title compound as a white solid.

^{1}H NMR (DMSO-d_6) δ 2.1-2.3 (m, 4H), 3.0-3.1 (m, 2H), 3.31-3.41 (m, 2H), 3.8 (s, 3H), 4.56-4.68 (m, 1H), 6.8 (s, 1H), 7.96 (s, 1H), 9.10-9.58 (br s, 2H).

Step D: Preparation of Methyl 1-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-1*H*-pyrazole-3-carboxylate

A mixture of methyl 1-(4-piperidinyl)-1*H*-pyrazole-3-carboxylate monohydrochloride (220 mg, 0.9 mmol) (i.e. the product of Example 18, Step C) and triethylamine (0.42 mL, 3 mmol) in 5 mL of dichloromethane was stirred at room temperature for about 15 minutes until complete dissolution and cooled to 0 °C. A solution of 5-methyl-3-(trifluoromethyl)-1*H*-pyrazole-1-acetyl chloride (227 mg, 1 mmol) (prepared

as described in Example 19, Step B) was gradually added, and the resulting mixture was stirred at room temperature for 16 h. The reaction mixture was poured in water, the organic layer was washed with 1 M aqueous hydrochloric acid, water, saturated aqueous solution of sodium bicarbonate, brine. The filtered reaction mixture was dried over magnesium sulfate and concentrated in vacuo to give 320 mg of the title compound.

^{1}H NMR ($CDCl_3$) δ 1.90-2.07 (m, 2H), 2.2-2.3 (m, 2H), 2.34 (s, 3H), 2.79-3.36 (m, 2H), 3.93 (s, 3H), 4.10-4.71 (m, 2H), 4.25-4.52 (m, 1H), 4.94-5.04 (m, 2H), 6.35 (s, 1H), 6.85 (s, 1H), 7.22 (s, 1H).

Step E: Preparation of 1-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-1*H*-pyrazole-3-carboxylic acid

A solution of methyl 1-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-1*H*-pyrazole-3-carboxylate (320 mg, 0.8 mmol) (i.e. the product of Example 18, Step D) in 8 mL of methanol was cooled to 0 °C and 1 M aqueous sodium hydroxide solution (1.6 mL, 1.6 mmol) was gradually added. The reaction mixture was stirred at 50 °C for 16 h, and 1 M aqueous hydrochloric acid (1.6 mL, 1.6 mmol) was added followed by 5 mL of saturated aqueous solution of sodium chloride. The resulting mixture was extracted with ethyl acetate, and the extract was dried over magnesium sulfate and evaporated in vacuo to give 270 mg of the title compound as a glassy solid.

^{1}H NMR (DMSO-d_6) δ 1.80-2.19 (m, 4H), 2.2 (s, 3H), 2.8-3.3 (m, 2H), 3.98-4.48 (m, 2H), 4.5-4.6 (m, 1H), 5.23-5.4 (m, 2H), 6.5 (s, 1H), 6.7 (s, 1H), 7.9 (s, 1H), 12.6 (s, 1H).

Step F: Preparation of *N*-methyl-1-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-1*H*-pyrazole-3-carboxamide

A mixture of 1-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-1*H*-pyrazole-3-carboxylic acid (270 mg, 0.7 mmol) (i.e. the product of Example 18, Step E), *N*-(3-dimethylaminopropyl)-*N'*-ethylcarbodiimide hydrochloride (EDC) (147.61 mg, 0.77 mmol) and *N*-methylmorpholine (0.088 mL, 0.8 mmol) in 5 mL of dichloromethane was stirred at room temperature for 15 minutes. (1*R*)-1,2,3,4-tetrahydro-*N*-methyl-1-naphthalenamine (124.16 mg, 0.77 mmol) (i.e. the product of Example 6, Step A) was added and the reaction mixture was stirred at room temperature for 16 h. The reaction mixture was poured in water, and the organic layer was subsequently washed with water, 1 M aqueous hydrochloric acid, water, saturated aqueous solution of sodium bicarbonate, brine. The filtered reaction mixture was dried over magnesium sulfate and evaporated in vacuo. The crude product was purified by medium-pressure liquid chromatography on silica gel using 75-100 % of ethyl acetate in hexanes as eluant to give 55 mg of the title compound, a compound of the present invention as an oil.

^{1}H NMR ($CDCl_3$) δ 1.68-2.10 (m, 6H), 2.11-2.27 (s, 3H), 2.33-2.35 (d, 3H), 2.74-3.00 (m, 5H), 3.22-3.4 (m, 1H), 4.00-4.18 (m, 1H), 4.30-4.66 (m, 2H), 4.93-5.07 (m, 2H), 5.88-6.12 (m, 1H), 6.29-6.38 (m, 1H), 6.74-6.81 (d, 1H), 7.1-7.3 (m, 4H), 7.40-7.42 (d, 1H).

EXAMPLE 19

N-[(1*R*)-2,3-dihydro-1*H*-inden-1-yl]-*N*-methyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-4-thiazolecarboxamide (Compound 178)

Step A: Preparation of ethyl 2-(4-piperidinyl)-4-thiazolecarboxylate monohydrochloride

A solution of 1,1-dimethylethyl 4-[4-(ethoxycarbonyl)-2-thiazolyl]-1-piperidine-carboxylate (11.1g, 32.7 mmol) (i.e. the product of Example 1, Step A) in 100 mL of diethyl ether was treated with a solution of 2 M hydrogen chloride in diethyl ether (166 mL, 331 mmol) at 0 °C. The resulting reaction precipitate was dissolved with 100 mL of absolute ethanol and was stirred overnight at room temperature. The reaction mixture was evaporated in vacuo, re-dissolved in ethanol and evaporated again to give a solid. The resulting solid was placed under a high vacuum for several hours to give 10.38 g of the title compound as a hygroscopic white powder.

^{1}H NMR (DMSO-d_6) δ 1.30 (t, 3H), 1.9 (m, 2H), 2.2 (m, 2H), 3.0 (m, 2H), 3.35 (m, 2H), 3.4 (m, 1H), 4.3 (q, 2H), 8.9-9.3 (b, 2H).

Step B: Preparation of ethyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-4-thiazolecarboxylate

5-Methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetic acid (7.58 g, 36.4 mmol) (i.e. the product of Example 2, Step A) was dissolved in 100 mL of dichloromethane. To the reaction mixture, 1 drop of *N,N*-dimethylformamide was added and the reaction mixture was cooled to 0 °C. The reaction mixture was treated with oxalyl chloride (3.5 mL, 40 mmol) dropwise and allowed to warm to room temperature and stirred for 3 h. The resulting mixture was evaporated in vacuo and placed under high vacuum to give 7.93 g of the corresponding acid chloride, 5-methyl-3-(trifluoromethyl)-1*H*-pyrazole-1-acetyl chloride, as a tan solid. The acid chloride was dissolved in 50 mL of dichloromethane and a solution of ethyl 2-(4-piperidinyl)-4-thiazolecarboxylate monohydrochloride (10.38 g, 33.1 mmol) (i.e. the product of Example 19, Step A) and triethylamine (23 mL, 165 mmol) in 200 mL of dichloromethane was added at 0 °C. The reaction mixture was allowed to warm to room temperature and stirred overnight. The reaction mixture was poured into water and extracted with dichloromethane. The extract was washed with 1 M aqueous hydrochloric acid, water, saturated aqueous solution of sodium bicarbonate, and brine. The filtered mixture was dried ($MgSO_4$) and evaporated in vacuo to give 13.0 g of the title compound as an oil.

^{1}H NMR ($CDCl_3$) δ 1.4 (t, 3H), 1.78 (m, 2H), 2.2 (m, 2H), 2.32 (s, 3H), 2.80 (m, 1 H), 3.25 (m, 1H), 3.36 (m, 1H), 4.07 (m, 1H), 4.42 (q, 2H), 4.62 (m, 1H), 4.98 (m, 2H), 6.34 (s, 1H), 8.09 (s, 1H).

Step C: Preparation of 2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-4-thiazolecarboxylic acid

A solution of ethyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-4-thiazolecarboxylate (13.0 g, 30.2 mmol) (i.e. the product of Example 19, Step B) in 60 mL of methanol was cooled to 0 °C and treated with a 1 N aqueous NaOH solution (36.3 mL, 36.3 mmol). The reaction mixture was allowed to warm to room temperature, and stirred for 5 h. The reaction mixture was cooled again to 0 °C and treated with 1 N aqueous hydrochloric acid (36.3 mL, 36.3 mmol). The resulting precipitate was filtered, washed with water and dried in a vacuum oven at 100 °C to give 10.95 g of the title compound as a white solid.

^{1}H NMR (DMSO-d_6) δ 1.55 (m, 1H), 1.80 (m, 1H), 2.1 (m, 2H), 2.21 (s, 3H), 2.82 (m, 1H), 3.30 (m, 2H), 3.98 (m, 1H), 4.38 (m, 1H), 5.28 (m, 2H), 6.50 (s, 1H), 8.36 (s, 1H), 12.9 (br s, 1H).

Step D: Preparation of 2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-4-thiazolecarbonyl chloride

A solution of 2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-4-thiazolecarboxylic acid (2.55 g, 5.87 mmol) (i.e. the product of Example 19, Step C) in 100 mL of dichloromethane was cooled to -10 °C and added 1 drop of *N,N*-dimethylformamide. The reaction mixture was treated with a dropwise addition of a solution of oxalyl chloride (0.60 mL, 6.8 mmol) in 10 mL of dichloromethane. The reaction mixture was stirred at -10 °C for 30 minutes, allowed to warm to room temperature and stirred an additional 16 h. The resulting homogeneous mixture was evaporated in vacuo and the residue placed under high vacuum for several hours to give 2.46 g of the title compound as a light yellow solid.

^{1}H NMR ($CDCl_3$) δ 1.80 (m, 2H), 2.2 (m, 2H), 2.33 (s, 3H), 2.88 (m, 1H), 3.36 (m, 2H), 4.10 (m, 1H), 4.60 (m, 1H), 4.99 (m, 2H), 6.34 (s, 1H), 8.39 (s, 1H).

Step E: Preparation of *N*-[(1*R*)-2,3-dihydro-1*H*-inden-1-yl]-*N*-methyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-4-thiazolecarboxamide

2-[1-[[5-Methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-4-thiazolecarbonyl chloride (210 mg, 0.5 mmol) (i.e. the product of Example 19, Step D) was treated with a solution of (1*R*)-2,3-dihydro-*N*,2-dimethyl-1*H*-inden-1-amine (147 μL, 1.0 mmol) and triethylamine (139 μL, 1.0 mmol) in 5 mL of dichloromethane. The reaction mixture was stirred at room temperature for 2 h, passed through a Varian 1005 Chem Elut ™

column pretreated with 3 mL of 1 N aqueous hydrochloric acid. The column was flushed with three column volumes of dichloromethane. The collected dichloromethane solution was evaporated in vacuo and purified by medium-pressure liquid chromatography on silica gel using 50-100 % of ethyl acetate in 1-chlorobutane as eluant to give 214 mg of the title compound, the product of present invention as a white foam.

^{1}H NMR ($CDCl_3$) δ 178 (m, 3H), 2.18 (m, 2H), 2.39-3.31 (two s, 3H), 2.45 (m, 1 H), 2.7-3.2 (s and m, 6H), 3.28 (m, 2H), 4.00 (m, 1H), 4.50 (m, 1H), 4.97 (m, 2H), 5.9-6.4 (m, 2H), 7.2-7.3 (m, 4H), 7.83 (s, 1H).

EXAMPLE 20

Preparation of *N*-(2,3-dihydro-2-methyl-1*H*-inden-1-yl)-*N*-methyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-4-thiazolecarboxamide (Compound 226)

To a solution of 2,3-dihydro-*N*,2-dimethyl-1*H*-inden-1-amine (177 mg, 1.1 mmol) and triethylamine (0.22 mL, 1.6 mmol) in 5 mL of dichloromethane was gradually added 2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-4-thiazolecarbonyl chloride (421 mg, 1 mmol) (i.e. the product of Example 19, Step D) at 0 °C. The reaction mixture was stirred at room temperature for 16 h, diluted with 4 mL of dichloromethane, and washed with water, 1 N aqueous hydrochloric acid, water, saturated solution of sodium bicarbonate and brine. The filtered reaction mixture was dried over magnesium sulfate and concentrated in vacuo to give 215 mg of the title compound, the product of present invention as a white foam.

^{1}H NMR ($CDCl_3$) δ 1.56 (s, 3H), 1.70-1.86 (m, 2H), 2.11-2.27 (m, 2H), 2.3 (s, 3H), 2.62-2.75 (m, 4H), 2.87-3.00 (m, 2H), 3.09-3.18 (m, 1H), 3.2-3.4 (m, 2H), 4.00-4.55 (m, 2H), 4.90-5.05 (m, 2H), 5.93-6.20 (m, 1H), 6.30-6.35 (m, 1H), 7.20-7.33 (m, 4H). 7.8-7.9 (d, 1H).

EXAMPLE 21

Preparation of *N*-(2,3-dihydro-2,2-dimethyl-1*H*-inden-1-yl)-*N*-methyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-4-thiazolecarboxamide (Compound 222)

To a solution of 2,3-dihydro-*N*,2,2-trimethyl-1*H*-inden-1-amine (193 mg, 1.1 mmol) and triethylamine (0.19 mL, 1.38 mmol) in 5 mL of dichloromethane was gradually added 2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-4-thiazolecarbonyl chloride (386 mg, 0.92 mmol) (i.e. the product of Example 19, Step D) at 0 °C. The reaction mixture was stirred at room temperature for 16 h, diluted with 4 mL of dichloromethane, and washed with water, 1 N aqueous hydrochloric acid, water, saturated solution of sodium bicarbonate and brine. The filtered reaction mixture was dried over magnesium sulfate and concentrated in vacuo to give 300 mg of the title compound, the product of present invention as a white foam.

^{1}H NMR ($CDCl_3$) δ 0.98 (s, 2H), 1.18-1.28 (m, 4H), 1.70-1.82 (m, 2H), 2.12-2.29 (m, 2H), 2.3 (s, 3H), 2.61-2.75 (m, 4H), 2.82-2.98 (m, 2H), 3.22-3.37 (m, 2H), 3.98-4.60 (m, 2H), 4.92-5.08 (m, 2H), 5.52-5.81 (d, 1H), 6.3 (s, 1H), 7.20-7.32 (m, 4H), 7.80-7.83 (d, 1H).

EXAMPLE 22

Preparation of *N*-methyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-(1,2,3,4-tetrahydro-2-methyl-1-naphthalenyl)-4-thiazolecarboxamide (Compound 193)

To a solution of 1,2,3,4-tetrahydro-*N*,2-dimethyl-1-naphthalenamine (115 mg, 0.66 mmol) and triethylamine (0.12 mL, 0.825 mmol) in 2 mL of dichloromethane was gradually added 2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-4-thiazolecarbonyl chloride (231 mg, 0.55 mmol) (i.e. the product of Example 19, Step D) at 0 °C. The reaction mixture was stirred at room temperature for 16 h, diluted with 4 mL of dichloromethane, and washed with water, 1 N aqueous hydrochloric acid, water, saturated solution of sodium bicarbonate and brine. The filtered reaction mixture was dried over magnesium sulfate and concentrated in vacuo to give 270 mg of the title compound, the product of present invention as a white foam.

^{1}H NMR ($CDCl_3$) δ 1.06-1.10 (m, 3H), 1.61-1.83 (m, 4H), 2.08-2.24 (m, 3H), 2.32-2.35 (m, 3H), 2.72-2.82 (m, 4H), 2-86-3.00 (m, 3H), 3.20-3.38 (m, 2H), 3.93-4.08 (m, 1H), 4.47-4.59 (m, 1H), 4.91-5.06 (m, 2H), 5.82-6.15 (m, 1H), 6.32-6.35 (m, 1H), 7.10-7.54 (m, 4H), 7.79-7.90 (d, 1H).

EXAMPLE 23

Preparation of *N*-methyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-(1,2,3,4-tetrahydro-1-naphthalenyl)-4-thiazolecarboxamide (compound 188)

To a solution of 1,2,3,4-tetrahydro-*N*-methyl-1-naphthalenamine (145 mg, 0.9 mmol) (prepared by the method described from Example 6, Step A) and triethylamine (0.16 mL, 1.13 mmol) in 2 mL of dichloromethane was gradually added 2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-4-thiazolecarbonyl chloride (316 mg, 0.75 mmol) (i.e. the product of Example 19, Step D) at 0 °C. The reaction mixture was stirred at room temperature for 16 h, diluted with 4 mL of dichloromethane, and washed with water, 1 N aqueous hydrochloric acid, water, saturated solution of sodium bicarbonate and brine. The filtered reaction mixture was dried over magnesium sulfate and concentrated in vacuo. The crude product was purified by medium-pressure liquid chromatography on silica gel using 60-100 % of ethyl acetate in hexanes as eluant to give 242 mg of the title compound, a compound of the present invention as white foam.

^{1}H NMR ($CDCl_3$) δ 1.6-2.0 (m, 4H), 2.05-2.3 (m, 6H), 2.7-3.0 (m, 6H), 3.22-3.35 (m, 2H), 3.95-4.58 (m, 3H), 4.96-5.02 (m, 2H), 5.67-6.05 (m, 1H), 6.32 (s, 1H), 7.05-7.25 (m, 4H), 7.85 (m, 1H).

EXAMPLE 24

Preparation of *N*-methyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-[(1*R*,2*S*)-1,2,3,4-tetrahydro-2-methyl-1-naphthalenyl]-4-thiazolecarboxamide and its enantiomer (Compound 234)

To a solution of (1*R*,2*S*)-1,2,3,4-tetrahydro-*N*,2-dimethyl-naphthalenamine and its enantiomer (0.043 g, 0.25 mmol) (prepared by the method described from Example 6, Step A) and triethylamine (0.104 mL, 0.74 mmol) in 2 mL of dichloromethane was gradually added 2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-4-thiazolecarbonyl chloride (85 mg, 0.2 mmol) (i.e. the product of Example 19, Step D) at 0 °C. The reaction mixture was stirred at room temperature for 16 h, diluted with 4 mL of dichloromethane, and washed with water, 1 N aqueous hydrochloric acid, water, saturated solution of sodium bicarbonate and brine. The filtered reaction mixture was dried over sodium sulfate and concentrated in vacuo. The crude product was purified by medium-pressure liquid chromatography on silica gel using 60-100 % of ethyl acetate in hexanes as eluant to give 43 mg of the title compounds, compounds of the present invention as white foam.

Mass spectrum at 558 (M+1).

EXAMPLE 25

Preparation of *N*-methyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-(1,2,3,4-tetrahydro-2,2-dimethyl-1-naphthalenyl]-4-thiazolecarboxamide (Compound 236)

To a solution of 1,2,3,4-tetrahydro-*N*,2,2-trimethyl-naphthalenamine (0.0423 g, 0.22 mmol) (prepared by the method described from Example 6, Step A) and triethylamine (0.036 mL, 0.26 mmol) in 1 mL of dichloromethane was gradually added 2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-4-thiazolecarbonyl chloride (316 mg, 0.75 mmol) (i.e. the product of Example 19, Step D) at 0 °C. The reaction mixture was stirred at room temperature for 16 h, diluted with 2 mL of dichloromethane, and washed with water, 1 N aqueous hydrochloric acid, water, saturated solution of sodium bicarbonate and brine. The filtered reaction mixture was dried over sodium sulfate and concentrated in vacuo. The crude product was purified by medium-pressure liquid chromatography on silica gel using 60-100 % of ethyl acetate in hexanes as eluant to give 70 mg of the title compound, a compound of the present invention as white foam.

^{1}H NMR ($CDCl_3$) δ 0.85 and 1.10 (two s, total 4H), 0.94-1.65 (m, 2H), 1.02 and 1.14 (two s, total 3H), 1.77 (m, 3H), 2.17 (m, 1H), 2.29 and 2.32 (two s, total 3H), 2.77 and 2.86 (two s, total 3H), 2.82 (m, 1H), 2.90 (m, 1H), 3.29 (m, 1H), 4.00 (m, 1H), 4.37 (m, 1H), 4.50 (m, 1H), 5.00 (m, 2H), 5.69 and 5.85 (s and d, total 1H), 6.34 (m, 1H), 7.19-7.42 (m, 4H), 7.79 and 7.86 (two s, total 1H),

EXAMPLE 26

Preparation of *N*-methyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-[(1*R*,4*S*)-1,2,3,4-tetrahydro-4-hydroxy-1-naphthalenyl]-4-thiazolecarboxamide and its enantiomer (Compound 191)

Step A: Preparation of (1*S*,4*R*)-1,2,3,4-tetrahydro-4-(methylamino)-1-naphthalenol and its enantiomer

To a solution of 1,2,3,4-tetrahydro-1,4-epoxynaphthalene (2.92 g, 20 mmol) and triethylamine (0.3 mL, 2 mmol) in 40 mL of dichloromethane at 0 °C was added 9-bromo-9-borabicyclo[3.3.1]nonane (1 M solution in tetrahydrofuran, 30 mL, 30 mmol). The reaction mixture was stirred at 0 °C for 20 minutes, and a 2 M solution of methylamine in tetrahydrofuran (40 mL) was then added, forming a white precipitate. The reaction mixture was stirred at room temperature for 16 h, poured into 100 mL of 1 M aqueous hydrochloric acid, and filtered. The filtered aqueous layer was washed with dichloromethane, basified with NaOH pellets to pH 13, and then extracted with dichloromethane. The extract was washed with brine, dried over magnesium sulfate and evaporated in vacuo to give a gummy yellow solid. The solid was slurried in diethyl ether, filtered, washed with diethyl ether and air dried to give 2.15 g of the title compounds as white powder.

^{1}H NMR ($CDCl_3$) δ 1.90 (m, 2H), 2.1 (m, 1H), 2.25 (m, 1H), 2.35 (s, 3H), 3.0-4.0 (br s, 2H), 3.76 (m, 1H), 4.70 (m, 1H), 7.2-7.4 (m, 4H).

Step B: Preparation of *N*-methyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-[(1*R*,4*S*)-1,2,3,4-tetrahydro-4-hydroxy-1-naphthalenyl]-4-thiazolecarboxamide and its enantiomer

To a solution of (1*S*,4*R*)-1,2,3,4-tetrahydro-4-(methylamino)-1-naphthalenol and its enantiomer (283 mg, 1.6 mmol) (i.e. the product of Example 26, Step A) and triethylamine (0.5 mL, 3.6 mmol) in 5 mL of dichloromethane was gradually added 2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-4-thiazolecarbonyl chloride (605 mg, 1.44 mmol) (i.e. the product of Example 19, Step D) at 0 °C. The reaction mixture was stirred at room temperature for 1 h, diluted with dichloromethane, and washed with water, 1 N aqueous hydrochloric acid, water, saturated solution of sodium bicarbonate and brine. The filtered reaction mixture was dried over magnesium sulfate and concentrated in vacuo. The crude product was purified by medium-pressure liquid chromatography on silica gel using 0-20 % of acetone in ethyl acetate as eluant to give 700 mg of the title compounds, compounds of the present invention as an off-white powder.

^{1}H NMR ($CDCl_3$) δ 1.6-1.9 (m, 3H), 2.0-2.3 (m, 6H), 2.31 and 2.33 (2s, 3H), 2.40 (m, 1 H), 2.7-3.0 (s and m, 4H), 3.2-3.4 (m, 2H), 3.9-4.1 (m, 1H), 4.3-4.6 (m, 1H), 4.80 (m, 1H), 4.97 (m, 2H), 5.6-6.0 (m, 1H), 6.35 (m,1H), 7.2-7.4 (m, 4H), 7.88 (s, 1H).

EXAMPLE 27

Preparation of *N*-methyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-(1,2,3,4-tetrahydro-4-oxo-1-naphthalenyl)-4-thiazolecarboxamide (Compound 211)

A mixture of *N*-methyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-[(1*R*,4*S*)-1,2,3,4-tetrahydro-4-hydroxy-1-naphthalenyl]-4-thiazolecarboxamide and its enantiomer (96 mg, 0.17 mmol) (i.e. the product of Example 26, Step B) and manganese dioxide (400 mg, 4.6 mmol) in chloroform (2 mL) were swirled to form a vortex overnight at room temperature. The reaction mixture was filtered through Celite® diatomaceous filter aid, and purified by medium-pressure liquid chromatography on silica gel using 20 % acetone in ethyl acetate as eluant to give 70 mg of the title compound, a compound of the present invention as a white foam.

^{1}H NMR ($CDCl_3$) δ 1.6-1.9 (m, 3H), 2.0-2.7 (m, 7H), 2.7-3.4 (s and m, 7H), 3.8-4.2 (m, 1 H), 4.3-4.7 (m, 1H), 4.9-5.1 (m, 2H), 6.0-6.4 (m, 2H), 7.4 (m, 2H), 7.6 (m, 1H), 7.98 (m, 1H), 8.1 (m, 1H).

EXAMPLE 28

Preparation of *N*-methyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-[(1*R*,4*R*)-1,2,3,4-tetrahydro-4-hydroxy-1-naphthalenyl]-4-thiazolecarboxamide and its enantiomer (compound 206)

Step A: Preparation of (1*R*,4*R*)-1,2,3,4-tetrahydro-4-(methylamino)-1-naphthalenol and its enantiomer

To a solution of (1*S*,4*R*)-1,2,3,4-tetrahydro-4-(methylamino)-1-naphthalenol and its enantiomer (517.6 mg, 2.92 mmol) (i.e. the product of Example 26, Step A) in tetrahydrofuran (3 mL) was added triphenylphosphine (766 mg, 2.92 mmol) and acetic acid (175 mg, 2.92 mmol). The mixture was cooled to 0 °C and diethyl azodicarboxylate (0.541 mL, 3.4 mmol) was gradually added. The reaction mixture was stirred at room temperature for 16 h, and concentrated in vacuo. The resulting residue was diluted with diethyl ether and allowed to stand at room temperature for 16 h. The precipitate formed was filtered, the filtrate was washed with saturated aqueous solution of sodium bicarbonate, dried over magnesium sulfate and concentrated under reduced pressure. The reaction residue was diluted with diethyl ether and extracted with 1 N aqueous hydrochloric acid. The aqueous extract was basified with 50 % aqueous solution of sodium hydroxide to pH 9 and immediately extracted with diethyl ether. The organic extract was dried ($MgSO_4$) and concentrated to give 390 mg of inverted acetates, (1*R*,4*R*)-1,2,3,4-tetrahydro-4-(methylamino)-1-naphthalenyl acetate and its enantiomer. The acetate and its enantiomer were shaken for 6 h at room temperature with 2 g of Bio-Rad AG1-X2 ($OH^{\ominus}$) resin. The resin was filtered, the filtrate was evaporated in vacuo and the resulting residue was diluted

with diethyl ether and extracted with 1 N aqueous hydrochloric acid. The acidic extract was basified with 50 % aqueous solution of sodium hydroxide and extracted with dichloromethane. The organic extract was dried over magnesium sulfate and concentrated to give 70 mg of the title compounds as solid..

^{1}H NMR ($CDCl_3$) δ 1.73-1.86 (m, 2H), 2.1-2.3 (m, 2H), 3.68-3.72 (m, 1H), 4.78-4.81 (m, 1H), 7.23-7.46 (m, 4H).

Step B: Preparation of *N*-methyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-[(1*R*,4*R*)-1,2,3,4-tetrahydro-4-hydroxy-1-naphthalenyl]-4-thiazolecarboxamide and its enantiomer

To a solution of (1*R*,4*R*)-1,2,3,4-tetrahydro-4-(methylamino)-1-naphthalenol and its enantiomer (70 mg, 0.39 mmol) (i.e. the product of Example 28, Step A) and triethylamine (0.082 mL, 0.59 mmol) in 2 mL of dichloromethane was gradually added 2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-4-thiazolecarbonyl chloride (181 mg, 0.43 mmol) (i.e. the product of Example 19, Step D) at 0 °C. The reaction mixture was stirred at room temperature for 3 h, diluted with 5 mL of dichloromethane, and washed with water, 1 N aqueous hydrochloric acid, water, saturated solution of sodium bicarbonate and brine. The filtered reaction mixture was dried over magnesium sulfate and concentrated in vacuo. The crude product was purified by medium-pressure liquid chromatography on silica gel using 0-20 % of acetone in ethyl acetate as eluant to give 160 mg of the title compounds, compounds of the present invention as a white foam.

^{1}H NMR ($CDCl_3$) δ 1.6-2.3 (m, 11H), 2.78-3.03 (m, 4H), 3.20-3.36 (m, 2H), 3.88-4.61 (m, 2H), 4.80-5.05 (m, 3H), 5.78-6.12 (m, 1H), 6.32 (s, 1H), 7.18-7.36 (m, 4H), 7.60-7.66 (m, 1H), 7.91-7.95 (m, 1H).

EXAMPLE 29

Preparation of *N*-methyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-thiazolecarbothioamide (Compound 289)

A mixture of *N*-methyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-thiazolecarboxamide (Compound 149) (273 mg, 0.5 mmol) (i.e. the product of Example 6, Step D) and 2,4-bis(4-methoxyphenyl)-1,3-dithia-2,4-diphosphetane-2,4-disulfide (202 mg, 0.5 mmol) (Lawesson's reagent) in 5 mL of toluene was heated at 100 °C for 3 days. The reaction mixture was concentrated in vacuo, and the resulting residue was dissolved in 10 mL of dichloromethane and washed with 1 M aqueous solution of potassium carbonate, and dried over magnesium sulfate. The filtered residue was concentrated in vacuo and purified by medium-pressure liquid chromatography on silica gel using 50-100 % of ethyl acetate in

hexanes as eluant to give 70 mg of the title compound, a compound of the present invention as a white foam.

^{1}H NMR ($CDCl_3$) δ 1.54-2.40 (m, 11H), 2.70-2.93 (m, 4H), 3.20-3.33 (m, 4H), 3.90-4.60 (m, 2H), 4.92-5.45 (m, 3H), 6.31 (s, 1H), 7.00-7.22 (m, 4H), 7.72 (s, 1H).

EXAMPLE 30

Preparation of *N*-methyl-2-[4-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-1-piperazinyl]-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-thiazolecarboxamide (Compound 220)

Step A: Preparation of 1,1-dimethylethyl 4-[4-(ethoxycarbonyl)-2-thiazolyl]-1-piperazinecarboxylate

1,1-Dimethylethyl 1-piperazinecarboxylate (1.86 g, 10 mmol), methyl 2-chloro-5-thiazolecarboxylate (1.92 g, 10.0 mmol), diazabicycloundecene (1.5 mL, 10 mmol) and a catalytic amount of potassium iodide (2 mg) were dissolved in 10 mL of dry dimethylsulfoxide and warmed to 80 °C for 16 h. The warm solution was added dropwise with stirring to 200 mL of cold water. The reaction mixture was extracted with diethyl ether. The resulting extract was washed with water and brine, dried over magnesium sulfate and concentrated under reduced pressure to give 3.23 g of a yellow oil which solidified on standing. The solid was recrystallized from diethyl ether/hexanes to give 1.0 g of the title compound as light yellow crystals. This compound was of sufficient purity to use in subsequent reactions.

^{1}H NMR ($CDCl_3$) δ 1.37 (t, 3H), 1.48 (s, 9H), 3.53 (s, 8H), 4.38 (q, 2H), 7.47 (s, 1H).

Step B: Preparation of ethyl 2-(1-piperazinyl)-4-thiazolecarboxylate monohydrochloride

A solution of 1,1-dimethylethyl 4-[4-(ethoxycarbonyl)-2-thiazolyl]-1-piperazinecarboxylate (1.0 g, 3.4 mmol) (i.e. the product of Example 30, Step A) in 10 mL of dichloromethane was treated with 2 M hydrogen chloride in diethyl ether (10 mL) and the reaction mixture was stirred at room temperature for 16 h. The resulting mixture was evaporated in vacuo to give 1.0 g of the title compound as a white solid. This compound was of sufficient purity to use in subsequent reactions.

^{1}H NMR (DMSO-d_6) δ 1.27 (t, 3H), 3.20 (br s, 4H), 3.70 (m, 4H), 4.22 (q, 2H), 7.81 (s, 1H), 9.55 (br s, 2H).

Step C: Preparation of ethyl-2-[4-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-1-piperazinyl]-4-thiazolecarboxylate

5-Methyl-3-(trifluoromethyl)-1*H*-pyrazole-1-acetyl chloride (1.05 g, 2.5 mmol) (prepared as described in Example 19, step B) was dissolved in 10 mL of dichloromethane and added to a mixture of 2-(1-piperazinyl)-4-thiazolecarboxylate monohydrochloride (1.0 g, 3.0 mmol) (i.e. the product of Example 30, Step B) and powdered anhydrous potassium

carbonate (2.2 g, 15.9 mmol) in 20 mL of dichloromethane at 0 °C. The reaction mixture was allowed to warm to room temperature and stirred for 3 h. Then triethylamine (2 mL) was added to the reaction mixture, and the stirring was continued for an additional 30 minutes. The reaction mixture was diluted with dichloromethane, washed with 1 N aqueous hydrochloric acid, water, saturated aqueous solution of sodium bicarbonate and brine, and dried over magnesium sulfate. The filtered residue was evaporated in vacuo to give 1.0 g of a white foam. The resulting foam was slurried in 1-chlorobutane and filtered to give 0.83 g of the title compound as a white solid.

^{1}H NMR ($CDCl_3$) δ 1.38 (t, 3H), 2.33 (s, 3H), 3.5-3.8 (m, 8H), 4.36 (q, 2H), 5.00 (s, 2H), 6.34 (s, 1H), 7.51 (s, 1H).

Step D: Preparation of 2-[4-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-1-piperazinyl]-4-thiazolecarboxylic acid

A solution of ethyl-2-[4-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-1-piperazinyl]-4-thiazolecarboxylate (0.83 g, 1.93 mmol) (i.e. the product of Example 30, Step C) in a mixture of methanol (10 mL) and tetrahydrofuran (10 mL) was treated with a 1 N aqueous NaOH solution (4.0 mL, 4.0 mmol). The reaction mixture was stirred at room temperature for 2 h, then treated with 1 N aqueous hydrochloric acid solution (4.5 mL, 4.5 mmol). The resulting mixture was concentrated in vacuo and the resulting suspension was diluted with dichloromethane and filtered to give solid. The resulting solid was washed with dichloromethane and diethyl ether, and air dried to give 0.64 g of the title compound as a white solid.

^{1}H NMR (DMSO-d_6) δ 2.21 (s, 3H), 3.4-3.7 (m, 8H), 5.32 (m, 2H), 6.51 (s, 1H), 7.69 (s, 1H), 12.7 (br s, 1H).

Step E: Preparation of *N*-methyl-2-[4-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-1-piperazinyl]-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-thiazolecarboxamide

2-[4-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-1-piperazinyl]-4-thiazolecarboxylic acid (200 mg, 0.5 mmol) (i.e. the product of Example 30, Step D) was suspended in 5 mL of dry dichloromethane and treated with triethylamine (150 µl, 1.08 mmol) to give a homogeneous solution. To this reaction mixture was added *O*-benzotriazol-1-yl-*N*,*N*,*N*',*N*'-tetramethyluronium hexafluorophosphate (HBTU, 210 mg, 0.55 mmol) followed by (1*R*)-1,2,3,4-tetrahydro-*N*-methyl-1-naphthalenamine (106 mg, 0.60 mmol) (i.e. the product of Example 6, Step A). The reaction mixture was stirred at ambient temperature for 3 h, passed through a Varian Chem Elut™ CE1010 column pretreated with 5 mL of 20 % aqueous citric acid solution. The column was flushed with three column volumes of dichloromethane, concentrated and purified by silica gel chromatography eluting with ethyl acetate to give 223 mg of the title product, the compound of present invention as white foam.

^{1}H NMR ($CDCl_3$) δ 1.7-2.1 (m, 4H), 2.32 (s, 3H), 2.27 and 2.80(two s, 3H),3.4-3.8 (m, 8H), 4.98 (m, 2H), 5-65-6.05 (m, 1H), 6.34 (s, 1H), 7.1-7.3 (m, 5H).

EXAMPLE 31

Preparation of *N*-methyl-2-[1,2,3,6-tetrahydro-1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-pyridinyl]-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-thiazolecarboxamide (Compound 218) and *N*-methyl-2-[1,2,3,4-tetrahydro-1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-pyridinyl]-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-thiazolecarboxamide (Compound 315)

Step A: Preparation of 1,1-dimethylethyl 4-bromo-4-[4-(ethoxycarbonyl)-2-thiazolyl]-1-piperidinecarboxylate

A mixture of 1,1-dimethylethyl 4-[4-(ethoxycarbonyl)-2-thiazolyl]-1-piperazinecarboxylate (3.4 g, 10 mmol) (i.e. the product of Example 30, Step A), *N*-bromosuccinimide (1.96 g, 11 mmol) and 2,2'-azobisisobutyronitrile (AIBN, 40 mg, 0.24 mmol) in 40 mL of carbon tetrachloride was refluxed for 1 h. The reaction mixture was then cooled, filtered, concentrated in vacuo, and purified by medium-pressure liquid chromatography on silica gel using 0-20 % of ethyl acetate in 1-chlorobutane as eluant to give 1.9 g of the title compound as an oil.

^{1}H NMR ($CDCl_3$) δ 1.40 (t, 3H), 1.46 (s, 9H), 2.3-2.5 (m, 4H), 3.35 (m, 2H), 4.05 (m, 2H), 4.2 (m, 2H), 4.41 (q, 2H), 8.20 (s, 1H).

Step B: Preparation of 1,1-dimethylethyl 4-[4-(ethoxycarbonyl)-2-thiazolyl]-3,6-dihydro-1(2*H*)-piperidinecarboxylate

A mixture of 1,1-dimethylethyl 4-bromo-4-[4-(ethoxycarbonyl)-2-thiazolyl]-1-piperidinecarboxylate (1.9 g, 4.5 mmol) (i.e. the product of Example 31, Step A) and anhydrous potassium carbonate (1.0 g, 7.2 mmol) were heated in 20 mL of acetonitrile at 80 °C overnight. The reaction mixture was cooled, filtered, concentrated in vacuo, and purified by medium-pressure liquid chromatography on silica gel using 0-20% of ethyl acetate in 1-chlorobutane as eluant to give 1.1 g of the title compound as a yellow oil.

^{1}H NMR ($CDCl_3$) δ 1.41 (t, 3H), 1.47 (s, 9H), 2.75 (m, 2H), 3.65 (m, 2H), 4.12 (m, 2H), 4.42 (q, 2H), 6.62 (m, 1H), 8.07 (s, 1H).

Step C: Preparation of ethyl 2-(1,2,3,6-tetrahydro-4-pyridinyl)-4-thiazolecarboxylate monohydrochloride

A mixture of 1,1-dimethylethyl 4-[4-(ethoxycarbonyl)-2-thiazolyl]-3,6-dihydro-1(2*H*)-piperidinecarboxylate (1.1 g, 3.25 mmol) (i.e. the product of Example 31, Step B) in 50 mL of dichloromethane was treated with 10 mL of a 2 M solution of HCl in diethyl ether. The reaction mixture was stirred at room temperature for 16 h and concentrated in vacuo to give 1.0 g of the title compound as an orange solid.

^{1}H NMR (DMSO-d_6) δ 1.31 (t, 3H), 2.80 (m, 2H), 3.33 (m, 2H), 3.80 (m, 2H), 4.33 (q, 2H), 6.70 (m, 1H), 8.50 (s, 1H), 9.45 (br s, 2H).

Step D: Preparation of ethyl 2-[1,2,3,6-tetrahydro-1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-pyridinyl]-4-thiazolecarboxylate

5-Methyl-3-(trifluoromethyl)-1*H*-pyrazole-1-acetyl chloride (1.05 g, 2.5 mmol) (prepared as described in Example 19, step B) was dissolved in 10 mL of dichloromethane and added to a mixture of 2-(1,2,3,6-tetrahydro-4-pyridinyl)-4-thiazolecarboxylate monohydrochloride (1.0 g, 3.3 mmol) (i.e. the product of Example 31, Step C) and powdered anhydrous potassium carbonate (2.2 g, 15.9 mmol) in 20 mL of dichloromethane at 0 °C. The reaction mixture was allowed to warm to room temperature and stirred for 3 h. Then triethylamine (2 mL) was added to the reaction mixture, and the stirring continued for an additional 20 minutes. The reaction mixture was diluted with dichloromethane, washed with 1 N aqueous hydrochloric acid, water, saturated aqueous solution of sodium bicarbonate and brine, and dried over magnesium sulfate. The filtered residue was evaporated in vacuo to give 1.0 g of a white foam. The resulting foam was purified by medium-pressure liquid chromatography on silica gel eluting with 0-50 % ethyl acetate in 1-chlorobutane to give 0.67 g of the title compound as a yellow oil which solidified on standing.

^{1}H NMR ($CDCl_3$) δ1.42 (t, 3H), 2.32 (s, 3H), 2.8 (m, 2H), 3.75-3.90 (m, 2H), 4.30 (m, 2H), 4.42 (q, 2H), 5.00 (m, 2H), 6.34 (s, 1H), 6.62 (m, 1H), 8.06 (m, 1H).

Step E: Preparation of *N*-methyl-2-[1,2,3,6-tetrahydro-1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-pyridinyl]-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-thiazolecarboxamide (Compound 218) and *N*-methyl-2-[1,2,3,4-tetrahydro-1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-pyridinyl]-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-thiazolecarboxamide (Compound 315)

Ethyl 2-[1,2,3,6-tetrahydro-1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-pyridinyl]-4-thiazolecarboxylate (0.67 g) (i.e. the product of Example 31, Step D) was dissolved in 10 mL of methanol and treated with 2 mL of a 1 N aqueous NaOH solution. The reaction mixture was stirred at room temperature for 1 h, and a solution of 1 N aqueous hydrochloric acid (2 mL) was added. The reaction mixture was diluted with water and the resulting aqueous layer was extracted with ethyl acetate. The extract was dried over magnesium sulfate and concentrated in vacuo to give 0.61 g of a tan solid. The resulting solid was dissolved in 25 mL of dichloromethane and treated with 0.5 mL of oxalyl chloride and 5 μL of *N*,*N*-dimethylformamide. The reaction mixture was stirred at room temperature for 3 h, and then concentrated in vacuo to give a tan foam. The resulting foam was dissolved in 3 mL of dichloromethane and the resulting mixture was added dropwise to a mixture of (1*R*)-1,2,3,4-tetrahydro-*N*-methyl-1-naphthalenamine (350 mg, 1.97 mmol) (i.e. the product of Example 6, Step A) and triethylamine (0.5 mL, 3.6 mmol) in 5 mL of dichloromethane.

The reaction mixture was then stirred at ambient temperature for 1 h and passed through a Varian Chem Elut™ CE1010 column pretreated with 7 mL of 1 N aqueous hydrochloric acid. The column was flushed with three column volumes of dichloromethane, concentrated in vacuo and purified by silica gel chromatography eluting with ethyl acetate to give two isomeric compounds:

124 mg of *N*-methyl-2-[1,2,3,4-tetrahydro-1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-pyridinyl]-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-thiazolecarboxamide (Compound 315) as white foam; ^{1}H NMR ($CDCl_3$) δ 1.7-2.1 (m, 4H), 2.1-2.4 (m, 5H), 2.7-3.0 (m, 4H),3.5-4.1 (m, 4H), 4.85-5.15 (m, 3H), 5.25-6.95 (m, 3H), 7.1-7.3 (m, 4H), 7.85 (m, 1H) and 114 mg of *N*-methyl-2-[1,2,3,6-tetrahydro-1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-pyridinyl]-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-thiazolecarboxamide (Compound 218) as a white foam; ^{1}H NMR ($CDCl_3$) δ 1.7-2.1 (m, 3H), 2.1-2.4 (m, 4H), 2.6-3.0 (m, 7H),3.7-3.9 (m; 2H), 4.25 (m, 2H),5.02 (m, 2H), 5.7-6.6 (m, 3H), 7.1-7.3 (m, 4H), 7.88 (m, 1H).

EXAMPLE 32

Preparation of *N*-methyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-2*H*-1,2,3-triazole-4-carboxamide (Compound 232)

Step A: Preparation of 1,1-dimethylethyl 4-[4-(ethoxycarbonyl)-2*H*-1,2,3-triazol-2-yl]-1-piperidinecarboxylate

To a solution of *t*-butyl 4-hydroxypiperidine-1-carboxylate (0.43 g, 3.3 mmol) and triphenylphosphine (1.05 g, 4.0 mmol) in tetrahydrofuran (15 mL) at 0 °C was added dropwise diethyl azodicarboxylate (0.63 mL, 4.0 mmol). After 5 minutes ethyl 1*H*-1,2,3-triazole-4-carboxylate (0.43 g, 3.0 mmol, prepared according to D. R. Buckle, C. J. M. Rockell, *J. Chem Soc., Perkin Transaction 1* **1982**, 2, 627-630.) was added in tetrahydrofuran (5 mL). The reaction mixture was stirred overnight at room temperature. The reaction mixture was concentrated under reduced pressure and the residue was purified by medium pressure liquid chromatography (MPLC) using 15 to 40 % ethyl acetate in hexanes as eluant to afford 0.42 g of the title compound as an oil.

^{1}H NMR ($CDCl_3$) δ 1.41 (t, 3H), 1.47 (s, 9H), 2.13 (m, 4H), 2.97 (m, 2H), 4.19 (m, 2H), 4.42 (q, 2H), 4.69 (m, 1H), 8.04 (s, 1H).

Additionally eluting before the title compound was isolated 0.35 g of 1,1-dimethylethyl 4-[5-(ethoxycarbonyl)-1*H*-1,2,3-triazol-1-yl]-1-piperidinecarboxylate.

^{1}H NMR ($CDCl_3$) δ 1.41 (t, 3H), 1.48 (s, 9H), 2.09 (m, 2H), 2.29 (m, 2H), 2.94 (m, 2H), 4.30 (m, 2H), 4.39 (q, 2H), 5.27 (m, 1H), 8.13 (s, 1H).

Step B: Preparation of ethyl 2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-2*H*-1,2,3-triazole-4-carboxylate

Trifluoroacetic acid (3 mL) was added to 1,1-dimethylethyl 4-[4-(ethoxycarbonyl)-2*H*-1,2,3-triazol-2-yl]-1-piperidinecarboxylate (0.41 g, 1.3 mmol) (i.e. the product of Example 32, Step A). The reaction mixture was stirred for 45 minutes. The reaction mixture was then concentrated in vacuo. The resulting mixture was treated with saturated aqueous sodium bicarbonate and the aqueous layer was extracted three times with dichloromethane. The solvent was removed with a rotary evaporator to afford 0.23 g of ethyl 4-piperidinyl-2*H*-1,2,3-triazole-4-carboxylate as an oil. This compound was of sufficient purity to use in subsequent reactions.

To a slurry of 5-methyl-3-(trifluoromethyl)-1*H*-pyrazole-1-acetic acid (0.23 g, 1.1 mmol) in dichloromethane (5 mL) was added oxalyl chloride (0.20 mL, 1.4 mmol) and one drop of *N,N*-dimethylformamide. After 45 minutes the reaction mixture was concentrated in vacuo and the resulting residue was dissolved in dichloromethane (10 mL). The reaction mixture was then added to a solution of ethyl 4-piperidinyl-2*H*-1,2,3-triazole-4-carboxylate (0.23 g) and triethylamine (0.20 mL, 1.4 mmol) in dichloromethane (10 mL) at 0 °C. The reaction mixture was stirred at room temperature overnight. The organic layer was washed with saturated aqueous sodium bicarbonate, dried (Na_2SO_4) and the solvent was removed with a rotary evaporator. The residue was purified by medium pressure liquid chromatography (MPLC) using 35 to 60 % ethyl acetate in hexanes as eluant to afford 0.35 g of the title compound as a white solid.

^{1}H NMR ($CDCl_3$) δ 1.41 (t, 3H), 2.23 (m, 4H), 2.33 (s, 3H), 3.09 (m, 1H), 3.40 (m, 1H), 4.10 (m, 1H), 4.43 (q, 2H), 4.45 (m, 1H), 4.80 (m, 1H), 5.00 (m, 2H), 6.34 (s, 1H), 8.06 (s, 1H).

Step C: Preparation of 2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-2*H*-1,2,3-triazole-4-carboxylic acid

Ethyl 2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-2*H*-1,2,3-triazole-4-carboxylate (0.35 g, 0.82 mmol) (i.e. the product of Example 32, Step B) was dissolved in a mixture of methanol (5 mL) and tetrahydrofuran (2 mL). A 1 N aqueous solution of sodium hydroxide (1.6 mL, 1.6 mmol) was added to the reaction mixture and the mixture was stirred overnight. The reaction mixture was concentrated in vacuo and the residue was dissolved in water. The aqueous layer was washed with diethyl ether and the aqueous layer was acidified with concentrated hydrochloric acid to pH 1, and extracted with dichloromethane and then chloroform. The combined organic layers were dried (Na_2SO_4) and concentrated in vacuo to afford 0.27 g of the title compound as a white solid.

^{1}H NMR ($CDCl_3$) δ 2.23 (m, 4H), 2.33 (s, 3H), 3.10 (m, 1H), 3.41 (m, 1H), 4.08 (m, 1H), 4.45 (m, 1H), 4.81 (m, 1H), 5.02 (m, 2H), 6.37 (s, 1H), 8.13 (s, 1H).

Step D: Preparation of *N*-methyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-2*H*-1,2,3-triazole-4-carboxamide

To a slurry of 2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-2*H*-1,2,3-triazole-4-carboxylic acid (0.070 g, 0.18 mmol) (i.e. the product of Example 32, Step C) in dichloromethane (2 mL) was added oxalyl chloride (0.05 mL, 0.35 mmol) and one drop of *N,N*-dimethylformamide. After 45 minutes the reaction mixture was concentrated in vacuo and the resulting residue was dissolved in dichloromethane (10 mL). The reaction mixture was then added to a solution of (*R*)-*N*-methyl-1,2,3,4-tetrahydronaphthalen-1-ylamine (32 mg, 0.20 mmol) and triethylamine (0.033 mL, 0.24 mmol) in dichloromethane (2 mL) at 0 °C. The reaction mixture was stirred at room temperature overnight. The organic layer was washed with saturated aqueous sodium bicarbonate, dried (Na_2SO_4) and the solvent was removed with a rotary evaporator. The residue was purified by medium pressure liquid chromatography (MPLC) using 35-60 % ethyl acetate in hexanes as eluant to afford 74 mg of the title product, a compound of the present invention as an oil.

^{1}H NMR ($CDCl_3$) δ 1.8-2.3 (m, 8H), 2.31 and 2.33 (2s, total 3H), 2.86 (m, 2H), 2.81 and 3.01 (2s, total 3H), 3.13 (m, 1H), 3.40 (m, 1H), 3.98 and 4.07 (2m, total 1H), 4.32 and 4.42 (2m, total 1H), 4.71 and 4.75 (2m, total 1H), 5.02 (m, 2H), 5.83 and 6.08 (2m, total 1H), 6.34 and 6.34 (s and d, total 1H), 7.30 (m, 4H), 8.06 and 8.11 (2s, total 1H).

EXAMPLE 33

Preparation of *N*-methyl-1-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-1*H*-pyrazole-4-carboxamide (Compound 233)

Step A: Preparation of 1,1-dimethylethyl 4-[4-(ethoxycarbonyl)-1*H*-pyrazol-1-yl]-1-piperidinecarboxylate

By a procedure analogous to that of Example 32 Step A, *t*-butyl 4-hydroxypiperidine-1-carboxylate (0.79 g, 3.6 mmol) was reacted with triphenylphosphine (1.26 g, 4.8 mmol), diethyl azodicarboxylate (0.76 mL, 4.8 mmol) and ethyl 1*H*-pyrazole-4-carboxylate (0.50 g, 3.6 mmol) to afford the title compound (0.76 g) as a white solid.

^{1}H NMR (acetone-d_6) δ 1.29 (t, 3H), 1.46 (s, 9H), 1.93 (m, 2H), 2.07 (m, 2H), 2.95 (m, 2H), 4.20 (m, 2H), 4.25 (q, 2H), 4.46 (m, 1H), 7.82 (s, 1H), 8.19 (s, 1H).

Step B: Preparation of ethyl 1-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-1*H*-pyrazole-4-carboxylate

By a procedure analogous to that of Example 32 Step B, 1,1-dimethylethyl 4-[4-(ethoxycarbonyl)-1*H*-pyrazol-1-yl]-1-piperidinecarboxylate (0.38 g, 1.2 mmol) (i.e. the

product of Example 33, Step A) was deprotected with trifluoroacetic acid (4 mL) to afford the corresponding amine (0.18 g). This amine was reacted with the acid chloride formed from 5-methyl-3-(trifluoromethyl)-1*H*-pyrazole-1-acetic acid (0.18 g, 0.88 mmol) and oxalyl chloride (0.10 mL, 1.15 mmol) in the presence of triethylamine (0.16 mL, 1.15 mmol) to afford 0.24 g of the title compound as a white solid.

^{1}H NMR ($CDCl_3$) δ 1.35 (t, 3H), 1.95 (m, 2H), 2.24 (m, 2H), 2.34 (s, 3H), 2.90 (m, 1H), 3.32 (m, 1H), 4.13 (m, 1H), 4.30 (q, 2H), 4.37 (m, 1H), 4.63 (m, 1H), 4.99 (s, 2H), 6.34 (s, 1H), 7.91 (s, 1H), 7.92 (s, 1H).

Step C: Preparation of 1-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-1*H*-pyrazole-4-carboxylic acid

By a procedure analogous to that of Example 32 Step C, ethyl 2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-2*H*-1,2,3-triazole-4-carboxylate (0.24 g, 0.58 mmol) (i.e. the product of Example 33, Step B) was hydrolyzed with 1 N aqueous sodium hydroxide (1.2 mL, 1.2 mmol) to afford 0.125 g of the title compound as a white solid.

^{1}H NMR (DMSO-d_6) δ 1.82 (m, 1H), 2.07 (m, 3H), 2.21 (s, 3H), 2.83 (m, 1H), 3.26 (m, 1H), 4.00 (d, 1H), 4.39 (d, 1H), 4.52 (m, 1H), 5.29 (m, 2H), 6.50 (s, 1H), 7.82 and 7.90 (two s, total 1H), 8.30 and 8.42 (two s, total 1H).

Step D: Preparation of *N*-methyl-1-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-1*H*-pyrazole-4-carboxamide

By a procedure analogous to that of Example 32, Step D, 1-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-1*H*-pyrazole-4-carboxylic acid (0.081 g, 0.21 mmol) (i.e. the product of Example 33, Step C) was reacted with oxalyl chloride (0.05 mL) and the resulting product was reacted with (*R*)-*N*-methyl-1,2,3,4-tetrahydronaphthalen-1-ylamine (0.038 g, 0.23 mmol) and triethylamine (0.038 mL, 0.27 mmol) to afford 0.073 g of the title compound, a compound of the present invention as an oil after purification by medium pressure liquid chromatography.

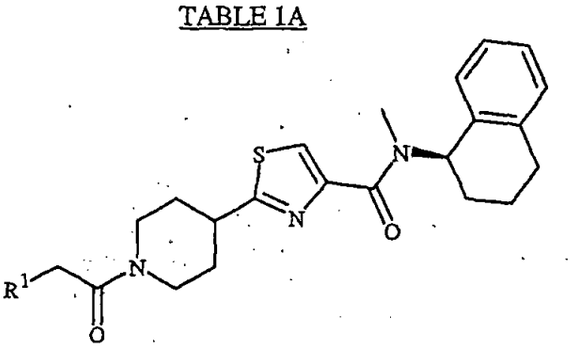
^{1}H NMR ($CDCl_3$) δ 1.8-2.3 (m, 8H), 2.33 and 2.34 (two s, total 3H), 2.82 (m, 3H), 2.78 and 2.92 (two s, total 3H), 3.31 (m, 1H), 4.00 (m, 1H), 4.37 (m, 1H), 4.64 (m, 1H), 5.00 (m, 2H), 5.36 and 6.02 (two m, total 1H), 6.34 (s, 1H), 7.19 (m, 4H), 7.68 and 7.80 (two s, total 1H), 7.80 and 7.93 (two s, total 1H).

By the procedures described herein, together with methods known in the art, the following compounds of Tables 1A to 10 can be prepared. The following abbreviations are used in the Tables which follow: *t* means tertiary, *s* means secondary, *n* means normal, *i* means iso, *c* means cyclo, Ac means acetyl, Me means methyl, Et means ethyl, Pr means

propyl, *i*-Pr means isopropyl, *c*-Pr means cyclopropyl, Bu means butyl, Pen means pentyl, Hex means hexyl, CN means cyano. A dash (-) indicates no substituents.

The invention includes but is not limited to the following exemplary species.

TABLE 1A



R^1
phenyl
2-methylphenyl
2-methoxyphenyl
2-chlorophenyl
2-bromophenyl
2-ethylphenyl
2-ethoxyphenyl
2-(methylthio)phenyl
2-(ethylthio)phenyl
2-(trifluoromethoxy)phenyl
3-chlorophenyl
3-bromophenyl
3-iodophenyl
3-methylphenyl
3-ethylphenyl
3-propylphenyl
3-isopropylphenyl
3-(trifluoromethyl)phenyl
3-(2,2,2-trifluoroethyl)phenyl
3-(pentafluoroethyl)phenyl

R^1
3-cyanophenyl
3-nitrophenyl
2,5-dichlorophenyl
5-bromo-2-chlorophenyl
2-chloro-5-iodophenyl
2-chloro-5-methylphenyl
2-chloro-5-ethylphenyl
2-chloro-5-propylphenyl
2-chloro-5-isopropylphenyl
2-chloro-5-(trifluoromethyl)phenyl
2-chloro-5-(2,2,2-trifluoroethyl)phenyl
2-chloro-5-(pentafluoroethyl)phenyl
2-chloro-5-cyanophenyl
2-chloro-5-nitrophenyl
2-bromo-5-chlorophenyl
2,5-dibromophenyl
2-bromo-5-iodophenyl
2-bromo-5-methylphenyl
2-bromo-5-ethylphenyl
2-bromo-5-propylphenyl
2-bromo-5-isopropylphenyl

R^1
2-bromo-5-(trifluoromethyl)phenyl
2-bromo-5-(2,2,2-trifluoroethyl)phenyl
2-bromo-5-(pentafluoroethyl)phenyl
2-bromo-5-cyanophenyl
2-bromo-5-nitrophenyl
5-chloro-2-methylphenyl
5-bromo-2-methylphenyl
5-iodo-2-methylphenyl
2,5-dimethylphenyl
5-ethyl-2-methylphenyl
2-methyl-5-propylphenyl
5-isopropyl-2-methylphenyl
2-methyl-5-(trifluoromethyl)phenyl
2-methyl-5-(2,2,2-trifluoroethyl)phenyl
2-methyl-5-(pentafluoroethyl)phenyl
5-cyano-2-methylphenyl
2-methyl-5-nitrophenyl
5-chloro-2-methoxyphenyl
5-bromo-2-methoxyphenyl
5-iodo-2-methoxyphenyl
2-methoxy-5-methylphenyl
5-ethyl-2-methoxyphenyl
2-methoxy-5-propylphenyl
5-isopropyl-2-methoxyphenyl
2-methoxy-5-(trifluoromethyl)phenyl
2-methoxy-5-(2,2,2-trifluoroethyl)phenyl
2-methoxy-5-(pentafluoroethyl)phenyl
5-cyano-2-methoxyphenyl
2-methoxy-5-nitrophenyl
5-chloro-2-ethylphenyl
5-bromo-2-ethylphenyl
2-ethyl-5-iodophenyl
2-ethyl-5-methylphenyl

R^1
2,5-diethylphenyl
2-ethyl-5-propylphenyl
2-ethyl-5-isopropylphenyl
2-ethyl-5-(trifluoromethyl)phenyl
2-ethyl-5-(2,2,2-trifluoroethyl)phenyl
2-ethyl-5-(pentafluoroethyl)phenyl
5-cyano-2-ethylphenyl
2-ethyl-5-nitrophenyl
3-methylpyrazol-1-yl
3-chloropyrazol-1-yl
3-bromopyrazol-1-yl
3-iodopyrazol-1-yl
3-ethylpyrazol-1-yl
3-(trifluoromethyl)pyrazol-1-yl
3-(2,2,2-trifluoroethyl)pyrazol-1-yl
3-(pentafluoroethyl)pyrazol-1-yl
3-cyanopyrazol-1-yl
3-nitropyrazol-1-yl
3,5-dimethylpyrazol-1-yl
3-chloro-5-methylpyrazol-1-yl
3-bromo-5-methylpyrazol-1-yl
3-iodo-5-methylpyrazol-1-yl
3-ethyl-5-methylpyrazol-1-yl
5-methyl-3-propylpyrazol-1-yl
3-isopropyl-5-methylpyrazol-1-yl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl
5-methyl-3-(2,2,2-trifluoroethyl)pyrazol-1-yl
5-methyl-3-(pentafluoroethyl)pyrazol-1-yl
3-cyano-5-methylpyrazol-1-yl
5-methyl-3-nitropyrazol-1-yl
5-chloro-3-methylpyrazol-1-yl
3,5-dichloropyrazol-1-yl
5-chloro-3-bromopyrazol-1-yl

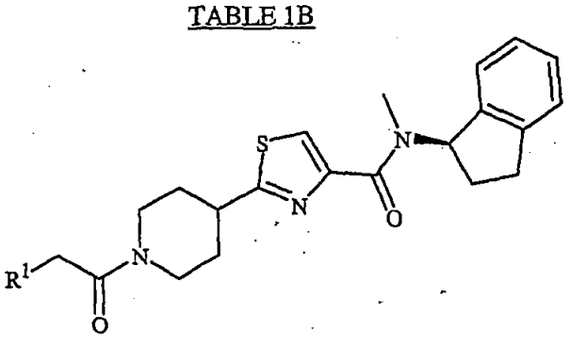
R^1
5-chloro-3-iodopyrazol-1-yl
5-chloro-3-ethylpyrazol-1-yl
5-chloro-3-propylpyrazol-1-yl
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5-chloro-3-(trifluoromethyl)pyrazol-1-yl
5-chloro-3-(2,2,2-trifluoroethyl)pyrazol-1-yl
5-chloro-3-(pentafluoroethyl)pyrazol-1-yl
5-chloro-3-cyanopyrazol-1-yl
5-chloro-3-nitropyrazol-1-yl
5-bromo-3-methylpyrazol-1-yl
5-bromo-3-chloropyrazol-1-yl
3,5-dibromopyrazol-1-yl
5-bromo-3-iodopyrazol-1-yl
5-bromo-3-ethylpyrazol-1-yl
5-bromo-3-propylpyrazol-1-yl
5-bromo-3-isopropylpyrazol-1-yl
5-bromo-3-(trifluoromethyl)pyrazol-1-yl
5-bromo-3-(2,2,2-trifluoroethyl)pyrazol-1-yl
5-bromo-3-(pentafluoroethyl)pyrazol-1-yl
5-bromo-3-cyanopyrazol-1-yl
5-bromo-3-nitropyrazol-1-yl
5-methoxy-3-methylpyrazol-1-yl
3-chloro-5-methoxypyrazol-1-yl
5-ethyl-3-methylpyrazol-1-yl
3-chloro-5-ethylpyrazol-1-yl
3-bromo-5-ethylpyrazol-1-yl
5-ethyl-3-iodopyrazol-1-yl
3,5-diethylpyrazol-1-yl
5-ethyl-3-propylpyrazol-1-yl
5-ethyl-3-isopropylpyrazol-1-yl
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl
5-ethyl-3-(2,2,2-trifluoroethyl)pyrazol-1-yl
5-ethyl-3-(pentafluoroethyl)pyrazol-1-yl

R^1
3-cyano-5-ethylpyrazol-1-yl
5-ethyl-3-nitropyrazol-1-yl
5-butyl-2-methylphenyl
5-hexyl-2-methylphenyl
5-allyl-2-methylphenyl
2-methyl-5-(4-methyl-3-pentenyl)phenyl
2-methyl-5-propargylphenyl
2-methyl-5-(3-methylpropargyl)phenyl
5-cyclopropyl-2-methylphenyl
5-cyclohexyl-2-methylphenyl
2-methyl-5-(pentafluoroisopropyl)phenyl
5-(3,3-dichloro-2-propen-1-yl)-2-methylphenyl
2-methyl-5-(4,4,4-trifluoro-2-butyn-1-yl)phenyl
5-(2,2-dichlorocyclopropan-1-yl)-2-methylphenyl
2-methyl-5-(trifluoromethoxy)phenyl
2-chloro-5-(isobutylthio)phenyl
2-chloro-5-(ethylsulfonyl)phenyl
2-chloro-5-(trifluoromethylthio)phenyl
2-chloro-5-(trifluoromethylsulfonyl)phenyl
2-chloro-5-(methylamino)phenyl
2-chloro-5-(tert-butylamino)phenyl
2-chloro-5-(dimethylamino)phenyl
2-chloro-5-9diethylamino)phenyl
2-chloro-5-(cyclopropylamino)phenyl
3-(methoxymethyl)phenyl
2-chloro-5-(ethoxymethyl)phenyl
2-chloro-5-(hyroxymethyl)phenyl
2-chloro-5-(methoxycarbonyl)phenyl
2-chloro-5-(ethylcarbonyl)phenyl
2-chloro-5-(methylcarbonyloxy)phenyl
2-chloro-5-(metylaminocarbonyl)phenyl
2-chloro-5-(dimethylaminocarbonyl)phenyl
2-methyl-5-(trimethylsilyl)phenyl

R^1
3,5-dimethyl-2-thienyl
3,5-dichloro-2-thienyl
3,5-dimethyl-2-furyl
1-methyl-2-pyrrolyl
4-methyl-2-trifluoromethyl-5-thiazolyl
4-trifluoromethyl-2-thiazolyl
4-trifluoromethyl-2-oxazolyl
4-methyl-2-trifluoromethyl-5-oxazolyl
4-bromo-5-isothiazolyl
4-bromo-5-isoxazolyl
1-methyl-5-pyrazolyl
1-methyl-5-imidazolyl
1-methyl-4-trifluoromethyl-2-imidazolyl
4-methyl-3-(1,3,4-triazolyl)
2-methyl-3-(1,2,4-triazolyl)
5-trifluoromethyl-2-(1,3,4-thiadiazolyl)
5-trifluoromethyl-2-(1,3,4-oxadiazolyl)
3-trifluoromethyl-5-(1,2,4-thiadiazolyl)
3-trifluoromethyl-5-(1,2,4-oxadiazolyl)
3-trifluoromethyl-1-(1,2,4-triazolyl)
2,5-dimethyl-1-pyrrolyl
2,5-dimethyl-3-furyl
2,5-dimethyl-3-thienyl
2,5-dichloro-3-thienyl
1,4-dimethyl-3-pyrrolyl
1,4-dimethyl-3-pyrazolyl
1,3-dimethyl-4-pyrazolyl
2,5-dimethyl-4-oxazolyl

R^1
2,5-dimethyl-4-thiazolyl
3-bromo-4-isothiazolyl
3-bromo-4-isooxazolyl
1-methyl-4-imidazolyl
5-trifluoromethyl-3-(1,2,4-oxadiazolyl)
5-trifluoromethyl-3-(1,2,4-thiadiazolyl)
2-bromo-1-(1,3,4-triazolyl)
5-trifluoromethyl-3-(1,2,4-triazolyl)
2-bromo-1-imidazolyl
3,6-dimethyl-2-pyridyl
2,5-dimethyl-3-pyridyl
2,5-dimethyl-4-pyridyl
3,6-dichloro-2-pyridyl
2,5-dichloro-3-pyridyl
2,5-dichloro-4-pyridyl
4-bromo-3-pyridazinyl
4-trifluoromethyl-2-pyrimidinyl
3,6-dimethyl-2-pyrazinyl
2,5-dimethyl-4-pyrimidinyl
4-methoxy-5-pyrimidinyl
3,6-dimethyl-4-pyridazinyl
5-trifluoromethyl-3-(1,2,4-triazinyl)
5-methoxy-6-(1,2,4-triazinly)
4-trifluoromethyl-2-(1,3,5-triazinyl)
3,6-dimethyl-5-(1,2,4-triazinyl)
3,5-bis-(trifluoromethyl)pyrazol-1-yl
1-methyl-3-(trifluoromethyl)pyrazol-5-yl
1-methyl-4-(trifluoromethyl)imidazol-2-yl

TABLE 1B



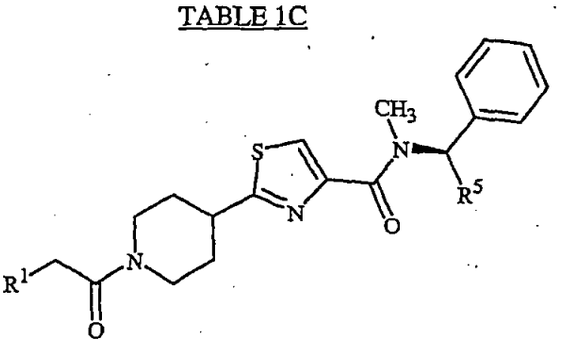
R^1	R^1
2-methoxyphenyl	2-bromo-5-(trifluoromethyl)phenyl
3-bromophenyl	2-bromo-5-(2,2,2-trifluoroethyl)phenyl
3-iodophenyl	2-bromo-5-(pentafluoroethyl)phenyl
3-(trifluoromethyl)phenyl	2-bromo-5-cyanophenyl
3-(2,2,2-trifluoroethyl)phenyl	2-bromo-5-nitrophenyl
3-(pentafluoroethyl)phenyl	5-chloro-2-methylphenyl
3-cyanophenyl	5-bromo-2-methylphenyl
3-nitrophenyl	5-iodo-2-methylphenyl
2,5-dichlorophenyl	2,5-dimethylphenyl
5-bromo-2-chlorophenyl	5-ethyl-2-methylphenyl
2-chloro-5-iodophenyl	2-methyl-5-propylphenyl
2-chloro-5-methylphenyl	5-isopropyl-2-methylphenyl
2-chloro-5-ethylphenyl	2-methyl-5-(trifluoromethyl)phenyl
2-chloro-5-(trifluoromethyl)phenyl	2-methyl-5-(2,2,2-trifluoroethyl)phenyl
2-chloro-5-(2,2,2-trifluoroethyl)phenyl	2-methyl-5-(pentafluoroethyl)phenyl
2-chloro-5-(pentafluoroethyl)phenyl	5-cyano-2-methylphenyl
2-chloro-5-cyanophenyl	2-methyl-5-nitrophenyl
2-chloro-5-nitrophenyl	5-chloro-2-methoxyphenyl
2-bromo-5-chlorophenyl	5-bromo-2-methoxyphenyl
2,5-dibromophenyl	5-iodo-2-methoxyphenyl
2-bromo-5-iodophenyl	2-methoxy-5-methylphenyl
2-bromo-5-methylphenyl	5-ethyl-2-methoxyphenyl
2-bromo-5-ethylphenyl	2-methoxy-5-propylphenyl
2-bromo-5-propylphenyl	2-methoxy-5-(trifluoromethyl)phenyl

R^1
2-methoxy-5-(2,2,2-trifluoroethyl)phenyl
2-methoxy-5-(pentafluoroethyl)phenyl
5-cyano-2-methoxyphenyl
2-methoxy-5-nitrophenyl
5-chloro-2-ethylphenyl
5-bromo-2-ethylphenyl
2-ethyl-5-iodophenyl
2-ethyl-5-methylphenyl
2,5-diethylphenyl
2-ethyl-5-propylphenyl
2-ethyl-5-((trifluoromethyl))phenyl
2-ethyl-5-(2,2,2-trifluoroethyl)phenyl
2-ethyl-5-(pentafluoroethyl)phenyl
5-cyano-2-ethylphenyl
2-ethyl-5-nitrophenyl
3-chloropyrazol-1-yl
3-bromopyrazol-1-yl
3-(trifluoromethyl)pyrazol-1-yl
3-(2,2,2-trifluoroethyl)pyrazol-1-yl
3-(pentafluoroethyl)pyrazol-1-yl
3-cyanopyrazol-1-yl
3-nitropyrazol-1-yl
3,5-dimethylpyrazol-1-yl
3-chloro-5-methylpyrazol-1-yl
3-bromo-5-methylpyrazol-1-yl
3-iodo-5-methylpyrazol-1-yl
3-ethyl-5-methylpyrazol-1-yl
5-methyl-3-propylpyrazol-1-yl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl
5-methyl-3-(2,2,2-trifluoroethyl)pyrazol-1-yl
5-methyl-3-(pentafluoroethyl)pyrazol-1-yl
3-cyano-5-methylpyrazol-1-yl
5-methyl-3-nitropyrazol-1-yl

R^1
5-chloro-3-methylpyrazol-1-yl
3,5-dichloropyrazol-1-yl
5-chloro-3-bromopyrazol-1-yl
5-chloro-3-iodopyrazol-1-yl
5-chloro-3-ethylpyrazol-1-yl
5-chloro-3-propylpyrazol-1-yl
5-chloro-3-(trifluoromethyl)pyrazol-1-yl
5-chloro-3-(2,2,2-trifluoroethyl)pyrazol-1-yl
5-chloro-3-(pentafluoroethyl)pyrazol-1-yl
5-chloro-3-cyanopyrazol-1-yl
5-chloro-3-nitropyrazol-1-yl
5-bromo-3-methylpyrazol-1-yl
5-bromo-3-chloropyrazol-1-yl
3,5-dibromopyrazol-1-yl
5-bromo-3-iodopyrazol-1-yl
5-bromo-3-ethylpyrazol-1-yl
5-bromo-3-propylpyrazol-1-yl
5-bromo-3-(trifluoromethyl)pyrazol-1-yl
5-bromo-3-(2,2,2-trifluoroethyl)pyrazol-1-yl
5-bromo-3-(pentafluoroethyl)pyrazol-1-yl
5-ethyl-3-methylpyrazol-1-yl
3-chloro-5-ethylpyrazol-1-yl
3-bromo-5-ethylpyrazol-1-yl
5-ethyl-3-iodopyrazol-1-yl
3,5-diethylpyrazol-1-yl
5-ethyl-3-propylpyrazol-1-yl
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl
5-ethyl-3-(2,2,2-trifluoroethyl)pyrazol-1-yl
5-ethyl-3-(pentafluoroethyl)pyrazol-1-yl
3,5-dimethyl-2-thienyl
3,5-dichloro-2-thienyl
2,5-dimethyl-3-thienyl
2,5-dichloro-3-thienyl

R^1	R^1
3,6-dimethyl-2-pyridyl	2,5-dichloro-4-pyridyl
2,5-dimethyl-3-pyridyl	3,5-bis-(trifluoromethyl)pyrazol-1-yl
2,5-dimethyl-4-pyridyl	1-methyl-3-(trifluoromethyl)pyrazol-5-yl
3,6-dichloro-2-pyridyl	1-methyl-4-(trifluoromethyl)imidazol-2-yl
2,5-dichloro-3-pyridyl	

TABLE 1C



R^1	R^5
2-methoxyphenyl	Et
3-bromophenyl	Et
3-iodophenyl	Et
3-(trifluoromethyl)phenyl	Et
3-(2,2,2-trifluoroethyl)phenyl	Et
3-(pentafluoroethyl)phenyl	Et
3-cyanophenyl	Et
3-nitrophenyl	Et
2,5-dichlorophenyl	Et
5-bromo-2-chlorophenyl	Et
2-chloro-5-iodophenyl	Et
2-chloro-5-methylphenyl	Et
2-chloro-5-ethylphenyl	Et
2-chloro-5-(trifluoromethyl)phenyl	Et
2-chloro-5-(2,2,2-trifluoroethyl)phenyl	Et
2-chloro-5-(pentafluoroethyl)phenyl	Et
2-chloro-5-cyanophenyl	Et
2-chloro-5-nitrophenyl	Et

R^1	R^5
2-bromo-5-chlorophenyl	Et
2,5-dibromophenyl	Et
2-bromo-5-iodophenyl	Et
2-bromo-5-methylphenyl	Et
2-bromo-5-ethylphenyl	Et
2-bromo-5-propylphenyl	Et
2-bromo-5-(trifluoromethyl)phenyl	Et
2-bromo-5-(2,2,2-trifluoroethyl)phenyl	Et
2-bromo-5-(pentafluoroethyl)phenyl	Et
2-bromo-5-cyanophenyl	Et
2-bromo-5-nitrophenyl	Et
5-chloro-2-methylphenyl	Et
5-bromo-2-methylphenyl	Et
5-iodo-2-methylphenyl	Et
2,5-dimethylphenyl	Et
5-ethyl-2-methylphenyl	Et
2-methyl-5-propylphenyl	Et
5-isopropyl-2-methylphenyl	Et
2-methyl-5-(trifluoromethyl)phenyl	Et
2-methyl-5-(2,2,2-trifluoroethyl)phenyl	Et
2-methyl-5-(pentafluoroethyl)phenyl	Et
5-cyano-2-methylphenyl	Et
2-methyl-5-nitrophenyl	Et
5-chloro-2-methoxyphenyl	Et
5-bromo-2-methoxyphenyl	Et
5-iodo-2-methoxyphenyl	Et
2-methoxy-5-methylphenyl	Et
5-ethyl-2-methoxyphenyl	Et
2-methoxy-5-propylphenyl	Et
2-methoxy-5-(trifluoromethyl)phenyl	Et
2-methoxy-5-(2,2,2-trifluoroethyl)phenyl	Et
2-methoxy-5-(pentafluoroethyl)phenyl	Et
5-cyano-2-methoxyphenyl	Et

R^1	R^5
2-methoxy-5-nitrophenyl	Et
5-chloro-2-ethylphenyl	Et
5-bromo-2-ethylphenyl	Et
2-ethyl-5-iodophenyl	Et
2-ethyl-5-methylphenyl	Et
2,5-diethylphenyl	Et
2-ethyl-5-propylphenyl	Et
2-ethyl-5-(trifluoromethyl)phenyl	Et
2-ethyl-5-(2,2,2-trifluoroethyl)phenyl	Et
2-ethyl-5-(pentafluoroethyl)phenyl	Et
5-cyano-2-ethylphenyl	Et
2-ethyl-5-nitrophenyl	Et
3-chloropyrazol-1-yl	Et
3-bromopyrazol-1-yl	Et
3-(trifluoromethyl)pyrazol-1-yl	Et
3-(2,2,2-trifluoroethyl)pyrazol-1-yl	Et
3-(pentafluoroethyl)pyrazol-1-yl	Et
3-cyanopyrazol-1-yl	Et
3-nitropyrazol-1-yl	Et
3,5-dimethylpyrazol-1-yl	Et
3-chloro-5-methylpyrazol-1-yl	Et
3-bromo-5-methylpyrazol-1-yl	Et
3-iodo-5-methylpyrazol-1-yl	Et
3-ethyl-5-methylpyrazol-1-yl	Et
5-methyl-3-propylpyrazol-1-yl	Et
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	Et
5-methyl-3-(2,2,2-trifluoroethyl)pyrazol-1-yl	Et
5-methyl-3-(pentafluoroethyl)pyrazol-1-yl	Et
3-cyano-5-methylpyrazol-1-yl	Et
5-methyl-3-nitropyrazol-1-yl	Et
5-chloro-3-methylpyrazol-1-yl	Et
3,5-dichloropyrazol-1-yl	Et
5-chloro-3-bromopyrazol-1-yl	Et

R^1	R^5
5-chloro-3-iodopyrazol-1-yl	Et
5-chloro-3-ethylpyrazol-1-yl	Et
5-chloro-3-propylpyrazol-1-yl	Et
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	Et
5-chloro-3-(2,2,2-trifluoroethyl)pyrazol-1-yl	Et
5-chloro-3-(pentafluoroethyl)pyrazol-1-yl	Et
5-chloro-3-cyanopyrazol-1-yl	Et
5-chloro-3-nitropyrazol-1-yl	Et
5-bromo-3-methylpyrazol-1-yl	Et
5-bromo-3-chloropyrazol-1-yl	Et
3,5-dibromopyrazol-1-yl	Et
5-bromo-3-iodopyrazol-1-yl	Et
5-bromo-3-ethylpyrazol-1-yl	Et
5-bromo-3-propylpyrazol-1-yl	Et
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	Et
5-bromo-3-(2,2,2-trifluoroethyl)pyrazol-1-yl	Et
5-bromo-3-(pentafluoroethyl)pyrazol-1-yl	Et
5-ethyl-3-methylpyrazol-1-yl	Et
3-chloro-5-ethylpyrazol-1-yl	Et
3-bromo-5-ethylpyrazol-1-yl	Et
5-ethyl-3-iodopyrazol-1-yl	Et
3,5-diethylpyrazol-1-yl	Et
5-ethyl-3-propylpyrazol-1-yl	Et
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	Et
5-ethyl-3-(2,2,2-trifluoroethyl)pyrazol-1-yl	Et
5-ethyl-3-(pentafluoroethyl)pyrazol-1-yl	Et
3,5-dimethyl-2-thienyl	Et
3,5-dichloro-2-thienyl	Et
2,5-dimethyl-3-thienyl	Et
2,5-dichloro-3-thienyl	Et
3,6-dimethyl-2-pyridyl	Et
2,5-dimethyl-3-pyridyl	Et
2,5-dimethyl-4-pyridyl	Et

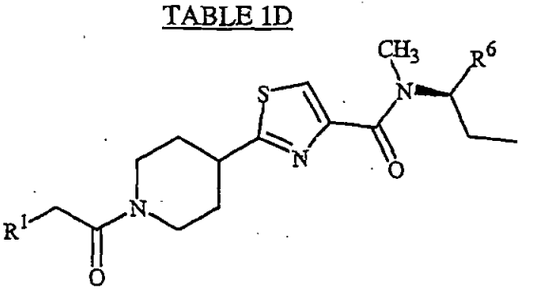
R^1	R^5
3,6-dichloro-2-pyridyl	Et
2,5-dichloro-3-pyridyl	Et
2,5-dichloro-4-pyridyl	Et
2-methoxyphenyl	Me
2,5-dichlorophenyl	Me
5-bromo-2-chlorophenyl	Me
2-chloro-5-methylphenyl	Me
2-chloro-5-(trifluoromethyl)phenyl	Me
2,5-dibromophenyl	Me
2-bromo-5-methylphenyl	Me
2-bromo-5-(trifluoromethyl)phenyl	Me
5-chloro-2-methylphenyl	Me
5-bromo-2-methylphenyl	Me
2,5-dimethylphenyl	Me
5-ethyl-2-methylphenyl	Me
2-methyl-5-(trifluoromethyl)phenyl	Me
5-bromo-2-methoxyphenyl	Me
2-methoxy-5-methylphenyl	Me
2-methoxy-5-(trifluoromethyl)phenyl	Me
3-(trifluoromethyl)pyrazol-1-yl	Me
3,5-dimethylpyrazol-1-yl	Me
3-ethyl-5-methylpyrazol-1-yl	Me
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	Me
3,5-dichloropyrazol-1-yl	Me
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	Me
3,5-dibromopyrazol-1-yl	Me
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	Me
3,5-diethylpyrazol-1-yl	Me
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	Me
2-methoxyphenyl	*n*-Pr
2,5-dichlorophenyl	*n*-Pr
5-bromo-2-chlorophenyl	*n*-Pr
2-chloro-5-methylphenyl	*n*-Pr

R^1	R^5
2-chloro-5-(trifluoromethyl)phenyl	*n*-Pr
2,5-dibromophenyl	*n*-Pr
2-bromo-5-methylphenyl	*n*-Pr
2-bromo-5-(trifluoromethyl)phenyl	*n*-Pr
5-chloro-2-methylphenyl	*n*-Pr
5-bromo-2-methylphenyl	*n*-Pr
2,5-dimethylphenyl	*n*-Pr
5-ethyl-2-methylphenyl	*n*-Pr
2-methyl-5-(trifluoromethyl)phenyl	*n*-Pr
5-bromo-2-methoxyphenyl	*n*-Pr
2-methoxy-5-methylphenyl	*n*-Pr
2-methoxy-5-(trifluoromethyl)phenyl	*n*-Pr
3-(trifluoromethyl)pyrazol-1-yl	*n*-Pr
3,5-dimethylpyrazol-1-yl	*n*-Pr
3-ethyl-5-methylpyrazol-1-yl	*n*-Pr
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	*n*-Pr
3,5-dichloropyrazol-1-yl	*n*-Pr
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	*n*-Pr
3,5-dibromopyrazol-1-yl	*n*-Pr
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	*n*-Pr
3,5-diethylpyrazol-1-yl	*n*-Pr
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	*n*-Pr
2-methoxyphenyl	CN
2,5-dichlorophenyl	CN
5-bromo-2-chlorophenyl	CN
2-chloro-5-methylphenyl	CN
2-chloro-5-(trifluoromethyl)phenyl	CN
2,5-dibromophenyl	CN
2-bromo-5-methylphenyl	CN
2-bromo-5-(trifluoromethyl)phenyl	CN
5-chloro-2-methylphenyl	CN
5-bromo-2-methylphenyl	CN
2,5-dimethylphenyl	CN

R^1	R^5
5-ethyl-2-methylphenyl	CN
2-methyl-5-(trifluoromethyl)phenyl	CN
5-bromo-2-methoxyphenyl	CN
2-methoxy-5-methylphenyl	CN
2-methoxy-5-(trifluoromethyl)phenyl	CN
3-(trifluoromethyl)pyrazol-1-yl	CN
3,5-dimethylpyrazol-1-yl	CN
3-ethyl-5-methylpyrazol-1-yl	CN
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	CN
3,5-dichloropyrazol-1-yl	CN
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	CN
3,5-dibromopyrazol-1-yl	CN
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	CN
3,5-diethylpyrazol-1-yl	CN
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	CN
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	*i*-Pr
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	*n*-Bu
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	*i*-Bu
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	*n*-Pen
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	*n*-Hex
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	ethenyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	ethynyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	4-methyl-3-penten-1-yl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	*c*-Pr
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	*c*-Bu
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	*c*-Pen
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	*c*-Hex
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	trifluoromethyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	2,2,2-trifluoroethyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	3,3-dichloro-2-propen-1-yl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	ethynyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	propynyl

R^1	R^5
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	methylethynyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	trifluoromethylethynyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	2,2-dichlorocycloprop-1-yl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	nitro
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	methoxymethyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	methoxyethyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	1-methoxyethyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	2-methoxyethyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	hydroxymethyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	acetyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	isobutyryl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	methoxycarbonyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	ethoxycarbonyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	methylaminocarbonyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	dimethylaminocarbonyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	trimethylsilyl
3,5-bis-(trifluoromethyl)pyrazol-1-yl	Et
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	Et
1-methyl-4-(trifluoromethyl)imidazol-2-yl	Et

TABLE 1D



R^1	R^6
2-methoxyphenyl	2-methylphenyl
2,5-dichlorophenyl	2-methylphenyl
5-bromo-2-chlorophenyl	2-methylphenyl
2-chloro-5-methylphenyl	2-methylphenyl
2-chloro-5-(trifluoromethyl)phenyl	2-methylphenyl

R^1	R^6
2,5-dibromophenyl	2-methylphenyl
2-bromo-5-methylphenyl	2-methylphenyl
2-bromo-5-(trifluoromethyl)phenyl	2-methylphenyl
5-chloro-2-methylphenyl	2-methylphenyl
5-bromo-2-methylphenyl	2-methylphenyl
2,5-dimethylphenyl	2-methylphenyl
5-ethyl-2-methylphenyl	2-methylphenyl
2-methyl-5-(trifluoromethyl)phenyl	2-methylphenyl
5-bromo-2-methoxyphenyl	2-methylphenyl
2-methoxy-5-methylphenyl	2-methylphenyl
2-methoxy-5-(trifluoromethyl)phenyl	2-methylphenyl
3-(trifluoromethyl)pyrazol-1-yl	2-methylphenyl
3,5-dimethylpyrazol-1-yl	2-methylphenyl
3-ethyl-5-methylpyrazol-1-yl	2-methylphenyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	2-methylphenyl
3,5-dichloropyrazol-1-yl	2-methylphenyl
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	2-methylphenyl
3,5-dibromopyrazol-1-yl	2-methylphenyl
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	2-methylphenyl
3,5-diethylpyrazol-1-yl	2-methylphenyl
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	2-methylphenyl
2-methoxyphenyl	4-methylphenyl
2,5-dichlorophenyl	4-methylphenyl
5-bromo-2-chlorophenyl	4-methylphenyl
2-chloro-5-methylphenyl	4-methylphenyl
2-chloro-5-(trifluoromethyl)phenyl	4-methylphenyl
2,5-dibromophenyl	4-methylphenyl
2-bromo-5-methylphenyl	4-methylphenyl
2-bromo-5-(trifluoromethyl)phenyl	4-methylphenyl
5-chloro-2-methylphenyl	4-methylphenyl
5-bromo-2-methylphenyl	4-methylphenyl
2,5-dimethylphenyl	4-methylphenyl
5-ethyl-2-methylphenyl	4-methylphenyl

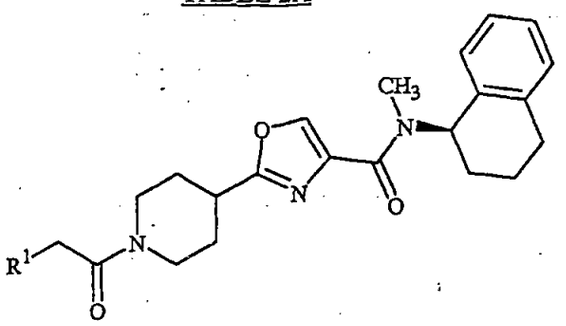
R^1	R^6
2-methyl-5-(trifluoromethyl)phenyl	4-methylphenyl
5-bromo-2-methoxyphenyl	4-methylphenyl
2-methoxy-5-methylphenyl	4-methylphenyl
2-methoxy-5-(trifluoromethyl)phenyl	4-methylphenyl
3-(trifluoromethyl)pyrazol-1-yl	4-methylphenyl
3,5-dimethylpyrazol-1-yl	4-methylphenyl
3-ethyl-5-methylpyrazol-1-yl	4-methylphenyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	4-methylphenyl
3,5-dichloropyrazol-1-yl	4-methylphenyl
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	4-methylphenyl
3,5-dibromopyrazol-1-yl	4-methylphenyl
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	4-methylphenyl
3,5-diethylpyrazol-1-yl	4-methylphenyl
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	4-methylphenyl
2-methoxyphenyl	4-chlorophenyl
2,5-dichlorophenyl	4-chlorophenyl
5-bromo-2-chlorophenyl	4-chlorophenyl
2-chloro-5-methylphenyl	4-chlorophenyl
2-chloro-5-(trifluoromethyl)phenyl	4-chlorophenyl
2,5-dibromophenyl	4-chlorophenyl
2-bromo-5-methylphenyl	4-chlorophenyl
2-bromo-5-(trifluoromethyl)phenyl	4-chlorophenyl
5-chloro-2-methylphenyl	4-chlorophenyl
5-bromo-2-methylphenyl	4-chlorophenyl
2,5-dimethylphenyl	4-chlorophenyl
5-ethyl-2-methylphenyl	4-chlorophenyl
2-methyl-5-(trifluoromethyl)phenyl	4-chlorophenyl
5-bromo-2-methoxyphenyl	4-chlorophenyl
2-methoxy-5-methylphenyl	4-chlorophenyl
2-methoxy-5-(trifluoromethyl)phenyl	4-chlorophenyl
3-(trifluoromethyl)pyrazol-1-yl	4-chlorophenyl
3,5-dimethylpyrazol-1-yl	4-chlorophenyl
3-ethyl-5-methylpyrazol-1-yl	4-chlorophenyl

R^1	R^6
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	4-chlorophenyl
3,5-dichloropyrazol-1-yl	4-chlorophenyl
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	4-chlorophenyl
3,5-dibromopyrazol-1-yl	4-chlorophenyl
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	4-chlorophenyl
3,5-diethylpyrazol-1-yl	4-chlorophenyl
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	4-chlorophenyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	2-ethylphenyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	4-*t*-butylphenyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	4-allylphenyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	4-ethynylphenyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	4-cyclopropylphenyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	4-(trifluoromethyl)phenyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	4-(2-chloroethenyl)phenyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	4-bromoethynylphenyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	4-(2,2-dichlorocycloprop-1-yl)phenyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	2-fluorophenyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	3-fluorophenyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	4-fluorophenyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	2-chlorophenyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	4-bromophenyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	4-hydroxyphenyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	4-aminophenyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	4-cyanophenyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	4-nitrophenyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	4-methoxyphenyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	4-(trifluoromethoxy)phenyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	4-(methylthio)phenyl
5-methyl-3-(trifluoromethyl)pyrazole-1-yl	4-(methylsulfonyl)phenyl
(5-methyl-3-(trifluoromethyl)pyrazol-1-yl	4-(methylsulfonyl)phenyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	4-(trifluoromethylthio)phenyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	4-(trifluoromethylsulfonyl)phenyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	4-(methylamino)phenyl

R^1	R^6
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	4-(dimethylamino)phenyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	4-(cyclopropylamino)phenyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	2-(methoxymethyl)phenyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	3,4-(dimethoxy)phenyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	4-acetylphenyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	4-(methoxycarbonyl)phenyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	4-(acetyloxy)phenyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	4-(methylaminocarbonyl)phenyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	4-(dimethylaminocarbonyl)phenyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	4-(trimethylsilyl)phenyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	2,6-difluorophenyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	2,4,6-trifluorophenyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	2,3-dimethylphenyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	2,3-dichlorophenyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	1-naphthalenyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	2-thienyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	2-furyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	1-methyl-2-pyrrolyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	5-thiazolyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	2-oxazolyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	2-thiazolyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	5-oxazolyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	5-isothiazolyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	5-isoxazolyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	1-methyl-5-pyrazolyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	1-methyl-5-imidazolyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	1-methyl-2-imidazolyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	4-methyl-1,2,4-triazolyl-3-yl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	1-methyl-1,2,4-triazolyl-5-yl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	1,3,4-oxadiazol-2-yl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	1,3,4-thiadiazol-2-yl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	1,2,4-oxadiazol-2-yl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	1,2,4-thiadiazol-2-yl

R^1	R^6
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	3-thienyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	3-furyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	1-methyl-3-pyrrolyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	1-methyl-3-pyrazolyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	1-methyl-4-pyrazolyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	4-oxazolyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	4-thiazolyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	4-isothiazolyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	4-isoxazolyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	1-methyl-4-imidazolyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	1,2,4-oxadiazol-3-yl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	1,2,4-thiadiazol-3-yl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	1-methyl-1,2,4-triazolyl-3-yl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	2-pyridyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	3,5-dichloro-2-pyridyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	3-pyridyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	4-pyridyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	3-pyrazinyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	2-pyrimidinyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	2-pyridazinyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	4-pyrimidinyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	5-pyrimidinyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	4-pyrazinyl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	1,2,4-triazin-6-yl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	1,2,4-triazin-3-yl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	1,3,5-triazin-2-yl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	1,2,4-triazin-5-yl

TABLE 2A



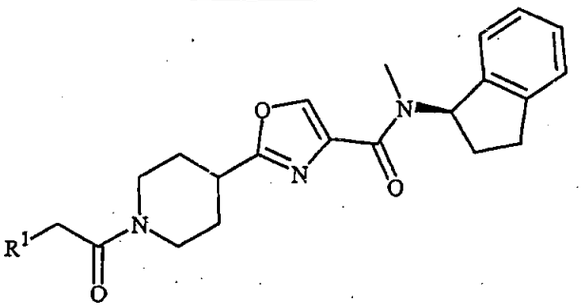
R^1	R^1
2-methoxyphenyl	2-bromo-5-(trifluoromethyl)phenyl
3-bromophenyl	2-bromo-5-(2,2,2-trifluoroethyl)phenyl
3-iodophenyl	2-bromo-5-(pentafluoroethyl)phenyl
3-(trifluoromethyl)phenyl	2-bromo-5-cyanophenyl
3-(2,2,2-trifluoroethyl)phenyl	2-bromo-5-nitrophenyl
3-(pentafluoroethyl)phenyl	5-chloro-2-methylphenyl
3-cyanophenyl	5-bromo-2-methylphenyl
3-nitrophenyl	5-iodo-2-methylphenyl
2,5-dichlorophenyl	2,5-dimethylphenyl
5-bromo-2-chlorophenyl	5-ethyl-2-methylphenyl
2-chloro-5-iodophenyl	2-methyl-5-propylphenyl
2-chloro-5-methylphenyl	5-isopropyl-2-methylphenyl
2-chloro-5-ethylphenyl	2-methyl-5-(trifluoromethyl)phenyl
2-chloro-5-(trifluoromethyl)phenyl	2-methyl-5-(2,2,2-trifluoroethyl)phenyl
2-chloro-5-(2,2,2-trifluoroethyl)phenyl	2-methyl-5-(pentafluoroethyl)phenyl
2-chloro-5-(pentafluoroethyl)phenyl	5-cyano-2-methylphenyl
2-chloro-5-cyanophenyl	2-methyl-5-nitrophenyl
2-chloro-5-nitrophenyl	5-chloro-2-methoxyphenyl
2-bromo-5-chlorophenyl	5-bromo-2-methoxyphenyl
2,5-dibromophenyl	5-iodo-2-methoxyphenyl
2-bromo-5-iodophenyl	2-methoxy-5-methylphenyl
2-bromo-5-methylphenyl	5-ethyl-2-methoxyphenyl
2-bromo-5-ethylphenyl	2-methoxy-5-propylphenyl
2-bromo-5-propylphenyl	2-methoxy-5-(trifluoromethyl)phenyl

R^1
2-methoxy-5-(2,2,2-trifluoroethyl)phenyl
2-methoxy-5-(pentafluoroethyl)phenyl
5-cyano-2-methoxyphenyl
2-methoxy-5-nitrophenyl
5-chloro-2-ethylphenyl
5-bromo-2-ethylphenyl
2-ethyl-5-iodophenyl
2-ethyl-5-methylphenyl
2,5-diethylphenyl
2-ethyl-5-propylphenyl
2-ethyl-5-(trifluoromethyl)phenyl
2-ethyl-5-(2,2,2-trifluoroethyl)phenyl
2-ethyl-5-(pentafluoroethyl)phenyl
5-cyano-2-ethylphenyl
2-ethyl-5-nitrophenyl
3-chloropyrazol-1-yl
3-bromopyrazol-1-yl
3-(trifluoromethyl)pyrazol-1-yl
3-(2,2,2-trifluoroethyl)pyrazol-1-yl
3-(pentafluoroethyl)pyrazol-1-yl
3-cyanopyrazol-1-yl
3-nitropyrazol-1-yl
3,5-dimethylpyrazol-1-yl
3-chloro-5-methylpyrazol-1-yl
3-bromo-5-methylpyrazol-1-yl
3-iodo-5-methylpyrazol-1-yl
3-ethyl-5-methylpyrazol-1-yl
5-methyl-3-propylpyrazol-1-yl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl
5-methyl-3-(2,2,2-trifluoroethyl)pyrazol-1-yl
5-methyl-3-(pentafluoroethyl)pyrazol-1-yl
3-cyano-5-methylpyrazol-1-yl
5-methyl-3-nitropyrazol-1-yl

R^1
5-chloro-3-methylpyrazol-1-yl
3,5-dichloropyrazol-1-yl
5-chloro-3-bromopyrazol-1-yl
5-chloro-3-iodopyrazol-1-yl
5-chloro-3-ethylpyrazol-1-yl
5-chloro-3-propylpyrazol-1-yl
5-chloro-3-(trifluoromethyl)pyrazol-1-yl
5-chloro-3-(2,2,2-trifluoroethyl)pyrazol-1-yl
5-chloro-3-(pentafluoroethyl)pyrazol-1-yl
5-chloro-3-cyanopyrazol-1-yl
5-chloro-3-nitropyrazol-1-yl
5-bromo-3-methylpyrazol-1-yl
5-bromo-3-chloropyrazol-1-yl
3,5-dibromopyrazol-1-yl
5-bromo-3-iodopyrazol-1-yl
5-bromo-3-ethylpyrazol-1-yl
5-bromo-3-propylpyrazol-1-yl
5-bromo-3-(trifluoromethyl)pyrazol-1-yl
5-bromo-3-(2,2,2-trifluoroethyl)pyrazol-1-yl
5-bromo-3-(pentafluoroethyl)pyrazol-1-yl
5-ethyl-3-methylpyrazol-1-yl
3-chloro-5-ethylpyrazol-1-yl
3-bromo-5-ethylpyrazol-1-yl
5-ethyl-3-iodopyrazol-1-yl
3,5-diethylpyrazol-1-yl
5-ethyl-3-propylpyrazol-1-yl
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl
5-ethyl-3-(2,2,2-trifluoroethyl)pyrazol-1-yl
5-ethyl-3-(pentafluoroethyl)pyrazol-1-yl
3,5-dimethyl-2-thienyl
3,5-dichloro-2-thienyl
2,5-dimethyl-3-thienyl
2,5-dichloro-3-thienyl

R^1	R^1
3,6-dimethyl-2-pyridyl	2,5-dichloro-4-pyridyl
2,5-dimethyl-3-pyridyl	3,5-bis-(trifluoromethyl)pyrazol-1-yl
2,5-dimethyl-4-pyridyl	1-methyl-3-(trifluoromethyl)pyrazol-5-yl
3,6-dichloro-2-pyridyl	1-methyl-4-(trifluoromethyl)imidazol-2-yl
2,5-dichloro-3-pyridyl	

TABLE 2B



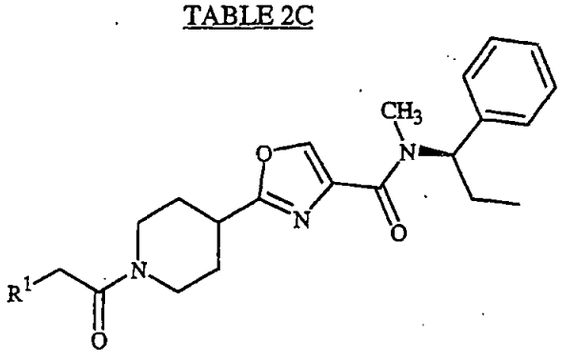
R^1	R^1
2-methoxyphenyl	2-bromo-5-chlorophenyl
3-bromophenyl	2,5-dibromophenyl
3-iodophenyl	2-bromo-5-iodophenyl
3-(trifluoromethyl)phenyl	2-bromo-5-methylphenyl
3-(2,2,2-trifluoroethyl)phenyl	2-bromo-5-ethylphenyl
3-(pentafluoroethyl)phenyl	2-bromo-5-propylphenyl
3-cyanophenyl	2-bromo-5-(trifluoromethyl)phenyl
3-nitrophenyl	2-bromo-5-(2,2,2-trifluoroethyl)phenyl
2,5-dichlorophenyl	2-bromo-5-(pentafluoroethyl)phenyl
5-bromo-2-chlorophenyl	2-bromo-5-cyanophenyl
2-chloro-5-iodophenyl	2-bromo-5-nitrophenyl
2-chloro-5-methylphenyl	5-chloro-2-methylphenyl
2-chloro-5-ethylphenyl	5-bromo-2-methylphenyl
2-chloro-5-(trifluoromethyl)phenyl	5-iodo-2-methylphenyl
2-chloro-5-(2,2,2-trifluoroethyl)phenyl	2,5-dimethylphenyl
2-chloro-5-(pentafluoroethyl)phenyl	5-ethyl-2-methylphenyl
2-chloro-5-cyanophenyl	2-methyl-5-propylphenyl
2-chloro-5-nitrophenyl	5-isopropyl-2-methylphenyl

R^1
2-methyl-5-(trifluoromethyl)phenyl
2-methyl-5-(2,2,2-trifluoroethyl)phenyl
2-methyl-5-(pentafluoroethyl)phenyl
5-cyano-2-methylphenyl
2-methyl-5-nitrophenyl
5-chloro-2-methoxyphenyl
5-bromo-2-methoxyphenyl
5-iodo-2-methoxyphenyl
2-methoxy-5-methylphenyl
5-ethyl-2-methoxyphenyl
2-methoxy-5-propylphenyl
2-methoxy-5-(trifluoromethyl)phenyl
2-methoxy-5-(2,2,2-trifluoroethyl)phenyl
2-methoxy-5-(pentafluoroethyl)phenyl
5-cyano-2-methoxyphenyl
2-methoxy-5-nitrophenyl
5-chloro-2-ethylphenyl
5-bromo-2-ethylphenyl
2-ethyl-5-iodophenyl
2-ethyl-5-methylphenyl
2,5-diethylphenyl
2-ethyl-5-propylphenyl
2-ethyl-5-(trifluoromethyl)phenyl
2-ethyl-5-(2,2,2-trifluoroethyl)phenyl
2-ethyl-5-(pentafluoroethyl)phenyl
5-cyano-2-ethylphenyl
2-ethyl-5-nitrophenyl
3-chloropyrazol-1-yl
3-bromopyrazol-1-yl
3-(trifluoromethyl)pyrazol-1-yl
3-(2,2,2-trifluoroethyl)pyrazol-1-yl
3-(pentafluoroethyl)pyrazol-1-yl
3-cyanopyrazol-1-yl

R^1
3-nitropyrazol-1-yl
3,5-dimethylpyrazol-1-yl
3-chloro-5-methylpyrazol-1-yl
3-bromo-5-methylpyrazol-1-yl
3-iodo-5-methylpyrazol-1-yl
3-ethyl-5-methylpyrazol-1-yl
5-methyl-3-propylpyrazol-1-yl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl
5-methyl-3-(2,2,2-trifluoroethyl)pyrazol-1-yl
5-methyl-3-(pentafluoroethyl)pyrazol-1-yl
3-cyano-5-methylpyrazol-1-yl
5-methyl-3-nitropyrazol-1-yl
5-chloro-3-methylpyrazol-1-yl
3,5-dichloropyrazol-1-yl
5-chloro-3-bromopyrazol-1-yl
5-chloro-3-iodopyrazol-1-yl
5-chloro-3-ethylpyrazol-1-yl
5-chloro-3-propylpyrazol-1-yl
5-chloro-3-(trifluoromethyl)pyrazol-1-yl
5-chloro-3-(2,2,2-trifluoroethyl)pyrazol-1-yl
5-chloro-3-(pentafluoroethyl)pyrazol-1-yl
5-chloro-3-cyanopyrazol-1-yl
5-chloro-3-nitropyrazol-1-yl
5-bromo-3-methylpyrazol-1-yl
5-bromo-3-chloropyrazol-1-yl
3,5-dibromopyrazol-1-yl
5-bromo-3-iodopyrazol-1-yl
5-bromo-3-ethylpyrazol-1-yl
5-bromo-3-propylpyrazol-1-yl
5-bromo-3-(trifluoromethyl)pyrazol-1-yl
5-bromo-3-(2,2,2-trifluoroethyl)pyrazol-1-yl
5-bromo-3-(pentafluoroethyl)pyrazol-1-yl
5-ethyl-3-methylpyrazol-1-yl

R^1	R^1
3-chloro-5-ethylpyrazol-1-yl	2,5-dichloro-3-thienyl
3-bromo-5-ethylpyrazol-1-yl	3,6-dimethyl-2-pyridinyl
5-ethyl-3-iodopyrazol-1-yl	2,5-dimethyl-3-pyridinyl
3,5-diethylpyrazol-1-yl	2,5-dimethyl-4-pyridinyl
5-ethyl-3-propylpyrazol-1-yl	3,6-dichloro-2-pyridinyl
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	2,5-dichloro-3-pyridinyl
5-ethyl-3-(2,2,2-trifluoroethyl)pyrazol-1-yl	2,5-dichloro-4-pyridinyl
5-ethyl-3-(pentafluoroethyl)pyrazol-1-yl	3,5-bis-(trifluoromethyl)pyrazol-1-yl
3,5-dimethyl-2-thienyl	1-methyl-3-(trifluoromethyl)pyrazol-5-yl
3,5-dichloro-2-thienyl	1-methyl-4-(trifluoromethyl)imidazol-2-yl
2,5-dimethyl-3-thienyl	

TABLE 2C



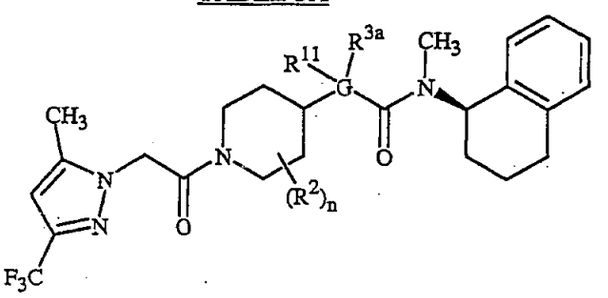
R^1	R^1
2-methoxyphenyl	2,5-dimethylphenyl
3-bromophenyl	5-ethyl-2-methylphenyl
3-iodophenyl	2-methyl-5-propylphenyl
3-(trifluoromethyl)phenyl	5-isopropyl-2-methylphenyl
3-(2,2,2-trifluoroethyl)phenyl	2-methyl-5-(trifluoromethyl)phenyl
3-(pentafluoroethyl)phenyl	2-methyl-5-(2,2,2-trifluoroethyl)phenyl
3-cyanophenyl	2-methyl-5-(pentafluoroethyl)phenyl
3-nitrophenyl	5-cyano-2-methylphenyl
2,5-dichlorophenyl	2-methyl-5-nitrophenyl
5-bromo-2-chlorophenyl	5-chloro-2-methoxyphenyl
2-chloro-5-iodophenyl	5-bromo-2-methoxyphenyl
2-chloro-5-methylphenyl	5-iodo-2-methoxyphenyl
2-chloro-5-ethylphenyl	2-methoxy-5-methylphenyl

R^1
2-chloro-5-(trifluoromethyl)phenyl
2-chloro-5-(2,2,2-trifluoroethyl)phenyl
2-chloro-5-(pentafluoroethyl)phenyl
2-chloro-5-cyanophenyl
2-chloro-5-nitrophenyl
2-bromo-5-chlorophenyl
2,5-dibromophenyl
2-bromo-5-iodophenyl
2-bromo-5-methylphenyl
2-bromo-5-ethylphenyl
2-bromo-5-propylphenyl
2-bromo-5-(trifluoromethyl)phenyl
2-bromo-5-(2,2,2-trifluoroethyl)phenyl
2-bromo-5-(pentafluoroethyl)phenyl
2-bromo-5-cyanophenyl
2-bromo-5-nitrophenyl
5-chloro-2-methylphenyl
5-bromo-2-methylphenyl
5-iodo-2-methylphenyl
3-(trifluoromethyl)pyrazol-1-yl
3-(2,2,2-trifluoroethyl)pyrazol-1-yl
3-(pentafluoroethyl)pyrazol-1-yl
3-cyanopyrazol-1-yl
3-nitropyrazol-1-yl
3,5-dimethylpyrazol-1-yl
3-chloro-5-methylpyrazol-1-yl
3-bromo-5-methylpyrazol-1-yl
3-iodo-5-methylpyrazol-1-yl
3-ethyl-5-methylpyrazol-1-yl
5-methyl-3-propylpyrazol-1-yl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl
5-methyl-3-(2,2,2-trifluoroethyl)pyrazol-1-yl
5-methyl-3-(pentafluoroethyl)pyrazol-1-yl
3-cyano-5-methylpyrazol-1-yl
5-methyl-3-nitropyrazol-1-yl
5-chloro-3-methylpyrazol-1-yl
3,5-dichloropyrazol-1-yl

R^1
5-ethyl-2-methoxyphenyl
2-methoxy-5-propylphenyl
2-methoxy-5-(trifluoromethyl)phenyl
2-methoxy-5-(2,2,2-trifluoroethyl)phenyl
2-methoxy-5-(pentafluoroethyl)phenyl
5-cyano-2-methoxyphenyl
2-methoxy-5-nitrophenyl
5-chloro-2-ethylphenyl
5-bromo-2-ethylphenyl
2-ethyl-5-iodophenyl
2-ethyl-5-methylphenyl
2,5-diethylphenyl
2-ethyl-5-propylphenyl
2-ethyl-5-(trifluoromethyl)phenyl
2-ethyl-5-(2,2,2-trifluoroethyl)phenyl
2-ethyl-5-(pentafluoroethyl)phenyl
5-cyano-2-ethylphenyl
2-ethyl-5-nitrophenyl
3-chloropyrazol-1-yl
3-bromopyrazol-1-yl
5-bromo-3-iodopyrazol-1-yl
5-bromo-3-ethylpyrazol-1-yl
5-bromo-3-propylpyrazol-1-yl
5-bromo-3-(trifluoromethyl)pyrazol-1-yl
5-bromo-3-(2,2,2-trifluoroethyl)pyrazol-1-yl
5-bromo-3-(pentafluoroethyl)pyrazol-1-yl
5-ethyl-3-methylpyrazol-1-yl
3-chloro-5-ethylpyrazol-1-yl
3-bromo-5-ethylpyrazol-1-yl
5-ethyl-3-iodopyrazol-1-yl
3,5-diethylpyrazol-1-yl
5-ethyl-3-propylpyrazol-1-yl
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl
5-ethyl-3-(2,2,2-trifluoroethyl)pyrazol-1-yl
5-ethyl-3-(pentafluoroethyl)pyrazol-1-yl
3,5-dimethyl-2-thienyl
3,5-dichloro-2-thienyl

R^1	R^1
5-chloro-3-bromopyrazol-1-yl	2,5-dimethyl-3-thienyl
5-chloro-3-iodopyrazol-1-yl	2,5-dichloro-3-thienyl
5-chloro-3-ethylpyrazol-1-yl	3,6-dimethyl-2-pyridinyl
5-chloro-3-propylpyrazol-1-yl	2,5-dimethyl-3-pyridinyl
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	2,5-dimethyl-4-pyridinyl
5-chloro-3-(2,2,2-trifluoroethyl)pyrazol-1-yl	3,6-dichloro-2-pyridinyl
5-chloro-3-(pentafluoroethyl)pyrazol-1-yl	2,5-dichloro-3-pyridinyl
5-chloro-3-cyanopyrazol-1-yl	2,5-dichloro-4-pyridinyl
5-chloro-3-nitropyrazol-1-yl	3,5-bis-(trifluoromethyl)pyrazol-1-yl
5-bromo-3-methylpyrazol-1-yl	1-methyl-3-(trifluoromethyl)pyrazol-5-yl
5-bromo-3-chloropyrazol-1-yl	1-methyl-4-(trifluoromethyl)imidazol-2-yl
3,5-dibromopyrazol-1-yl	

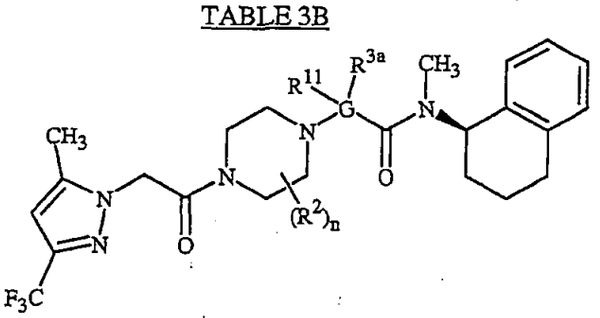
TABLE 3A



$(R^2)_n$	G	R^{3a}	R^{11}	$(R^2)_n$	G	R^{3a}	R^{11}
H	G-1	H	-	H	G-15	H	-
H	G-2	H	-	H	G-16	H	H
H	G-3	H	H	H	G-17	H	-
H	G-4	H	-	H	G-18	H	-
H	G-5	H	-	H	G-19	H	H
H	G-6	H	H	H	G-20	H	-
H	G-7	H	-	H	G-21	H	-
H	G-8	H	-	H	G-22	H	H
H	G-9	H	H	H	G-23	H	-
H	G-10	H	-	H	G-24	H	-
H	G-11	H	-	H	G-25	H	-
H	G-12	H	H	H	G-26	H	-
H	G-13	H	H	H	G-27	H	-
H	G-14	H	-	H	G-28	H	-

$(R^2)_n$	G	R^{3a}	R^{11}	$(R^2)_n$	G	R^{3a}	R^{11}
H	G-29	H	-	H	G-52	H	-
H	G-30	H	-	H	G-53	H	-
H	G-31	H	-	H	G-54	H	H
H	G-32	H	-	H	G-55	H	-
H	G-33	H	-	H	G-2	Me	-
H	G-34	H	-	H	G-2	Cl	-
H	G-35	H	-	H	G-2	F	-
H	G-36	H	-	H	G-2	CF_3	-
H	G-37	H	-	H	G-14	*n*-Pr	-
H	G-38	H	-	H	G-3	H	Me
H	G-39	H	H	H	G-3	H	*n*-Pr
H	G-40	H	-	H	G-26	5-Me	-
H	G-41	H	-	2-Me	G-1	H	-
H	G-42	H	H	3-Me	G-1	H	-
H	G-43	H	H	2,6-di-Me	G-1	H	-
H	G-44	H	-	3,5-di-Me	G-1	H	-
H	G-45	H	-	3-*n*-Bu	G-1	H	-
H	G-46	H	-	4-MeO	G-1	H	-
H	G-47	H	-	4-OH	G-1	H	-
H	G-48	H	H	4-Cl	G-1	H	-
H	G-49	H	-	4-Br	G-1	H	-
H	G-50	H	-	4-CN	G-1	H	-
H	G-51	H	H				

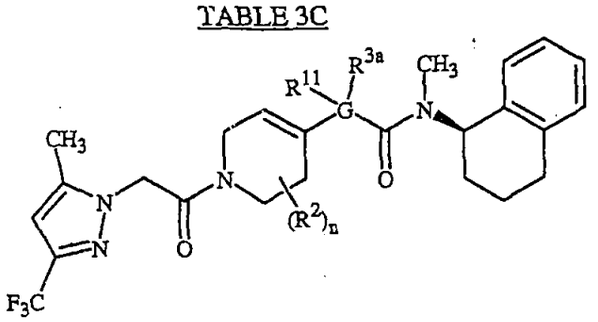
TABLE 3B



$(R^2)_n$	G	R^{3a}	R^{11}	$(R^2)_n$	G	R^{3a}	R^{11}
H	G-1	H	-	H	G-4	H	-
H	G-2	H	-	H	G-5	H	-
H	G-3	H	H	H	G-6	H	H

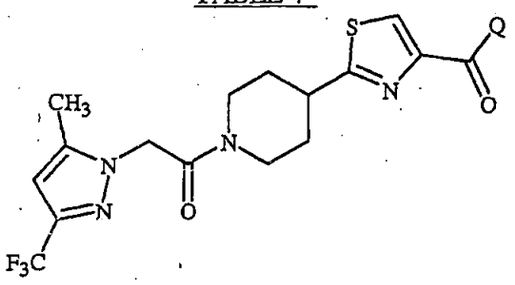
$(R^2)_n$	G	R^{3a}	R^{11}	$(R^2)_n$	G	R^{3a}	R^{11}
H	G-7	H	-	H	G-41	H	-
H	G-8	H	-	H	G-42	H	H
H	G-9	H	H	H	G-43	H	H
H	G-10	H	-	H	G-44	H	-
H	G-11	H	-	H	G-45	H	-
H	G-12	H	H	H	G-46	H	-
H	G-13	H	H	H	G-47	H	-
H	G-14	H	-	H	G-48	H	H
H	G-15	H	-	H	G-49	H	-
H	G-16	H	H	H	G-50	H	-
H	G-17	H	-	H	G-51	H	H
H	G-18	H	-	H	G-52	H	-
H	G-19	H	H	H	G-53	H	-
H	G-20	H	-	H	G-54	H	H
H	G-21	H	-	H	G-2	Me	-
H	G-22	H	H	H	G-2	Cl	-
H	G-23	H	-	H	G-2	F	-
H	G-24	H	-	H	G-2	CF_3	-
H	G-31	H	-	H	G-14	*n*-Pr	-
H	G-32	H	-	H	G-3	H	Me
H	G-33	H	-	H	G-3	H	*n*-Pr
H	G-34	H	-	2-Me	G-1	H	-
H	G-35	H	-	3-Me	G-1	H	-
H	G-37	H	-	2,6-di-Me	G-1	H	-
H	G-38	H	-	3,5-di-Me	G-1	H	-
H	G-39	H	H	3-*n*-Bu	G-1	H	-
H	G-40	H	-				

TABLE 3C



$(R^2)_n$	G	R^{3a}	R^{11}
H	G-1	H	-
H	G-2	H	-
H	G-3	H	H
H	G-4	H	-
H	G-5	H	-
H	G-6	H	H
H	G-7	H	-
H	G-8	H	-
H	G-9	H	H
H	G-10	H	-
H	G-11	H	-
H	G-12	H	H
H	G-13	H	H
H	G-14	H	-
H	G-15	H	-
H	G-16	H	H
H	G-17	H	-
H	G-18	H	-
H	G-19	H	H
H	G-20	H	-
H	G-21	H	-
H	G-22	H	H
H	G-23	H	-
H	G-24	H	-
H	G-31	H	-
H	G-32	H	-
H	G-33	H	-
H	G-34	H	-
H	G-35	H	-
H	G-37	H	-
H	G-38	H	-
H	G-39	H	H
H	G-40	H	-
H	G-41	H	-
H	G-42	H	H
H	G-43	H	H
H	G-44	H	-
H	G-45	H	-
H	G-46	H	-
H	G-47	H	-
H	G-48	H	H
H	G-49	H	-
H	G-50	H	-
H	G-51	H	H
H	G-52	H	-
H	G-53	H	-
H	G-54	H	H
H	G-2	Me	-
H	G-2	Cl	-
H	G-2	F	-
H	G-2	CF_3	-
H	G-14	*n*-Pr	-
H	G-3	H	Me
H	G-3	H	*n*-Pr
2-Me	G-1	H	-
3-Me	G-1	H	-
2,6-di-Me	G-1	H	-
3,5-di-Me	G-1	H	-
3-*n*-Bu	G-1	H	-
5-Me	G-1	H	-
6-Me	G-1	H	-

TABLE 4*



Q	Q^a	R^5	$(R^8)_m$	$(R^9)_j$	R^{10}	R^{15}	$(R^{16})_m$ / R^{16a}
Q-2	Me	-	H	H	-	H	-
Q-3	Me	-	H	H	-	H	-
Q-4	Me	-	H	H	-	H	-
Q-5	Me	-	H	H	H	H	-
Q-6	Me	-	H	H	-	H	-
Q-7	Me	-	H	H	-	H	-
Q-8	Me	-	H	H	-	H	-
Q-9	Me	-	H	H	-	H	-
Q-10	Me	-	H	H	-	H	-
Q-11	Me	-	H	H	H	H	-
Q-12	Me	-	H	H	-	H	-
Q-13	Me	-	H	H	-	H	-
Q-14	Me	-	H	H	-	H	-
Q-15	Me	-	H	H	H	H	-
Q-2	Me	-	2-Me	H	-	H	-
Q-2	Me	-	2,2-di-Me	H	-	H	-
Q-2	Me	-	2-Et	H	-	H	-
Q-2	Me	-	H	6-Me	-	H	-
Q-2	Me	-	H	6-Cl	-	H	-
Q-2	Me	-	H	6-OMe	-	H	-
Q-2	Me	-	H	6-Br	-	H	-
Q-2	Me	-	H	6-F	-	H	-
Q-2	Me	-	H	5-OMe	-	H	-
Q-2	Me	-	H	7-OMe	-	H	-
Q-3	Me	-	3-Me	H	-	H	-
Q-4	Me	-	3-Me	H	-	H	-
Q-5	Me	-	H	H	Me	H	-
Q-5	Me	-	H	H	*n*-Pr	H	-

Q	Q^a	R^5	$(R^8)_m$	$(R^9)_j$	R^{10}	R^{15}	$(R^{16})_m / R^{16a}$
Q-6	Me	-	H	3-Cl	-	H	-
Q-7	Me	-	H	2-Cl	-	H	-
Q-8	Me	-	2-Me	H	-	H	-
Q-8	Me	-	2,2-di-Me	H	-	H	-
Q-8	Me	-	2-Et	H	-	H	-
Q-8	Me	-	2-*n*-Pr	H	-	H	-
Q-8	Me	-	3,3-di-Me	H	-	H	-
Q-8	Me	-	H	5-Me	-	H	-
Q-8	Me	-	H	5-Cl	-	H	-
Q-8	Me	-	H	5-OMe	-	H	-
Q-8	Me	-	H	5-Br	-	H	-
Q-9	Me	-	2-Me	H	-	H	-
Q-10	Me	-	2-Me	H	-	H	-
Q-11	Me	-	H	H	Me	H	-
Q-13	Me	-	H	2-Me	-	H	-
Q-14	Me	-	H	2-Me	-	H	-
Q-14	Me	-	H	2-Cl	-	H	-
Q-15	Me	-	H	H	Me	H	-
Q-16	Me	-	H	H	-	H	-
Q-17	Me	-	H	H	-	H	-
Q-18	Me	-	H	H	Me	H	-
Q-19	Me	-	H	H	-	H	-
Q-20	Me	-	H	H	-	H	-
Q-21	Me	-	H	H	Me	H	-
Q-22	Me	-	H	H	-	H	-
Q-23	Me	-	H	H	-	H	-
Q-24	Me	-	H	H	-	H	-
Q-25	Me	-	H	H	-	H	-
Q-26	Me	-	H	H	-	H	-
Q-27	Me	-	H	H	-	H	-
Q-28	Me	-	H	H	-	H	-
Q-29	Me	-	H	H	-	H	-
Q-30	Me	-	H	H	-	H	-
Q-31	Me	-	H	H	-	H	-
Q-32	Me	-	H	H	-	H	-
Q-33	Me	-	H	H	Me	H	-

Q	Q^a	R^5	$(R^8)_m$	$(R^9)_j$	R^{10}	R^{15}	$(R^{16})_m / R^{16a}$
Q-34	Me	-	H	H	-	H	-
Q-35	Me	-	H	H	-	H	-
Q-36	Me	-	H	H	Me	H	-
Q-37	Me	-	H	H	-	H	-
Q-38	Me	-	H	H	-	H	-
Q-39	Me	-	H	H	Me	H	-
Q-40	Me	-	H	H	-	H	-
Q-41	Me	-	H	H	-	H	-
Q-42	Me	-	H	H	-	H	-
Q-43	Me	-	H	H	-	H	-
Q-44	Me	-	H	H	-	H	-
Q-45	Me	-	H	H	-	H	-
Q-46	Me	-	H	H	-	H	-
Q-47	Me	-	H	H	-	H	-
Q-48	Me	-	H	H	-	H	-
Q-49	Me	-	H	H	-	H	-
Q-50	Me	-	H	H	-	H	-
Q-51	Me	-	H	H	-	H	-
Q-52	Me	-	H	H	-	H	-
Q-53	Me	-	H	H	-	H	-
Q-54	Me	-	H	H	-	H	-
Q-55	Me	-	H	H	-	H	-
Q-56	Me	-	H	H	-	H	-
Q-57	Me	-	H	H	-	H	-
Q-58	-	-	H	H	-	H	-
Q-59	-	-	H	H	-	H	-
Q-60	-	-	H	H	-	H	-
Q-61	-	-	H	H	-	H	-
Q-62	-	-	H	H	-	H	-
Q-63	-	-	H	H	-	H	-
Q-64	-	-	H	H	-	H	-
Q-65	-	-	H	H	-	H	-
Q-66	-	-	H	H	-	H	-
Q-67	-	-	H	H	-	H	-
Q-68	-	-	H	H	-	H	-
Q-69	-	-	H	H	-	H	-

Q	Q^a	R^5	$(R^8)_m$	$(R^9)_j$	R^{10}	R^{15}	$(R^{16})_m$ / R^{16a}
Q-70	-	Et	-	H	-	H	-
Q-71	-	Et	-	H	-	H	-
Q-72	-	Et	-	H	-	H	-
Q-73	Me	-	-	H	-	H	-
Q-74	Me	-	-	H	-	H	-
Q-75	Me	Me	-	H	-	Me	-
Q-76	-	-	-	-	-	-	3-Ph
Q-77	-	-	-	-	-	-	4-Ph
Q-78	-	-	-	-	-	-	4-Ph
Q-79	-	-	-	-	-	-	H
Q-80	-	-	-	-	-	-	4-Ph
Q-81	-	-	-	-	-	-	2-Me
Q-82	-	-	-	-	-	-	H
Q-83	Me	-	-	-	-	H	2-Ph
Q-84	Me	-	-	-	-	H	2-Ph
Q-85	Me	-	-	-	-	H	2-Ph
Q-2	Me	-	4-Me	H	-	H	-
Q-2	Me	-	4,4-di-Me	H	-	H	-
Q-2	Me	-	4-Et	H	-	H	-
Q-2	Me	-	2-OH	H	-	H	-
Q-2	Me	-	4-OH	H	-	H	-
Q-2	Me	-	4-OMe	H	-	H	-
Q-2	Me	-	4-SMe	H	-	H	-
Q-2	Me	-	4-SOMe	H	-	H	-
Q-2	Me	-	4-SO_2Me	H	-	H	-
Q-2	Me	-	4-OCF_3	H	-	H	-
Q-2	Me	-	2-CF_3	H	-	H	-
Q-2	Me	-	4-NH_2	H	-	H	-
Q-2	Me	-	2-*n*-Bu	H	-	H	-
Q-2	Me	-	2-propenyl	H	-	H	-
Q-2	Me	-	2-propynyl	H	-	H	-
Q-2	Me	-	4-Cl	H	-	H	-
Q-2	Me	-	2-CN	H	-	H	-
Q-2	Me	-	4-CN	H	-	H	-
Q-2	Me	-	4-O-*t*-Bu	H	-	H	-
Q-2	Me	-	4-NHMe	H	-	H	-

Q	Q^a	R^5	$(R^8)_m$	$(R^9)_j$	R^{10}	R^{15}	$(R^{16})_m$ / R^{16a}
Q-2	Me	-	4-N(Me)Me	H	-	H	-
Q-2	Me	-	2-MeOMe	H	-	H	-
Q-2	Me	-	4-CH_2OH	H	-	H	-
Q-2	Me	-	4-Ac	H	-	H	-
Q-2	Me	-	4-COOMe	H	-	H	-
Q-2	Me	-	4-OAc	H	-	H	-
Q-2	Me	-	4-O(C=O)-*n*-Bu	H	-	H	-
Q-2	Me	-	4-OEt	H	-	H	-
Q-2	Me	-	4-O(C=O)Et	H	-	H	-
Q-2	Me	-	4-SAc	H	-	H	-
Q-2	Me	-	4-CONHMe	H	-	H	-
Q-2	Me	-	4-$CONMe_2$	H	-	H	-
Q-2	H	-	2-Me	H	-	H	-
Q-2	H	-	2,2-di-Me	H	-	H	-
Q-2	H	-	4-Me	H	-	H	-
Q-2	H	-	4,4-di-Me	H	-	H	-
Q-2	H	-	4-OH	H	-	H	-
Q-2	H	-	4-OMe	H	-	H	-
Q-2	H	-	4-OAc	H	-	H	-
Q-2	Me	-	2-Me	H	-	Me	-
Q-2	Me	-	2,2-di-Me	H	-	Me	-
Q-2	Me	-	4-Me	H	-	Me	-
Q-2	Me	-	4,4-di-Me	H	-	Me	-
Q-2	Me	-	4-OH	H	-	Me	-
Q-2	Me	-	4-OMe	H	-	Me	-
Q-2	Me	-	4-OAc	H	-	Me	-
Q-2	Et	-	H	H	-	H	-
Q-2	Pr	-	H	H	-	H	-
Q-2	2-propenyl	-	H	H	-	H	-
Q-2	2-propynyl	-	H	H	-	H	-
Q-2	*c*-propyl	-	H	H	-	H	-
Q-2	CF_3	-	H	H	-	H	-
Q-2	CN	-	H	H	-	H	-
Q-2	OH	-	H	H	-	H	-
Q-2	OMe	-	H	H	-	H	-
Q-2	CH_2OMe	-	H	H	-	H	-

Q	Q^a	R^5	$(R^8)_m$	$(R^9)_j$	R^{10}	R^{15}	$(R^{16})_m$ / R^{16a}
Q-2	CH_2OH	-	H	H	-	H	-
Q-2	Ac	-	H	H	-	H	-
Q-2	COEt	-	H	H	-	H	-
Q-2	CO_2Me	-	H	H	-	H	-
Q-2	CONHMe	-	H	H	-	H	-
Q-2	$CON(Me)_2$	-	H	H	-	H	-
Q-8	Me	-	3-Me	H	-	H	-
Q-8	Me	-	3,3-di-Me	H	-	H	-
Q-8	Me	-	3-OH	H	-	H	-
Q-8	Me	-	3-OMe	H	-	H	-
Q-8	Me	-	3-OAc	H	-	H	-
Q-8	Me	-	2-Et	H	-	H	-
Q-8	H	-	H	H	-	H	-
Q-14	Me	-	2-Me	H	-	H	-
Q-14	Me	-	2,2-di-Me	H	-	H	-
Q-14	Me	-	3-Me	H	-	H	-
Q-14	Me	-	3,3-di-Me	H	-	H	-
Q-14	Me	-	3-OH	H	-	H	-
Q-14	Me	-	3-OMe	H	-	H	-
Q-14	Me	-	3-OAc	H	-	H	-
Q-14	Me	-	2-Et	H	-	H	-
Q-14	Me	-	H	H	-	H	-
Q-23	Me	-	2-Me	H	-	H	-
Q-23	Me	-	2,2-di-Me	H	-	H	-
Q-23	Me	-	3-Me	H	-	H	-
Q-23	Me	-	3,3-di-Me	H	-	H	-
Q-23	H	-	H	H	-	H	-
Q-41	Me	-	2-Me	H	-	H	-
Q-41	Me	-	2,2-di-Me	H	-	H	-
Q-41	H	-	H	H	-	H	-
Q-70	-	Me	-	H	-	Me	-
Q-71	-	Me	-	H	-	Me	-
Q-78	-	-	-	-	-	-	H
Q-78	-	-	-	-	-	-	4-Me
Q-78	-	-	-	-	-	-	4-Et
Q-78	-	-	-	-	-	-	4-*i*-Pr

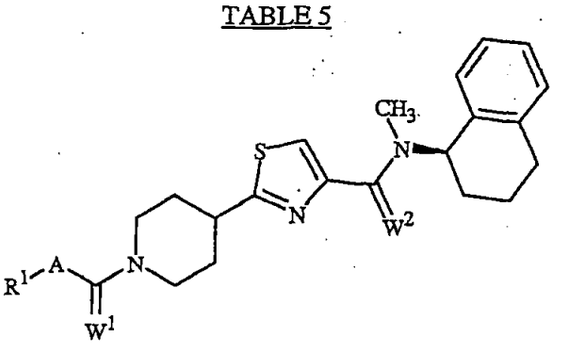
Q	Q^a	R^5	$(R^8)_m$	$(R^9)_j$	R^{10}	R^{15}	$(R^{16})_m$ / R^{16a}
Q-78	-	-	-	-	-	-	4-*t*-Bu
Q-78	-	-	-	-	-	-	4-propen-2-yl
Q-78	-	-	-	-	-	-	4-propyn-2-yl
Q-78	-	-	-	-	-	-	4-*c*-propyl
Q-78	-	-	-	-	-	-	4-*c*-hexyl
Q-78	-	-	-	-	-	-	4-CF_3
Q-78	-	-	-	-	-	-	4-CH_2CF_3
Q-78	-	-	-	-	-	-	4-SO_2Me
Q-78	-	-	-	-	-	-	4-CH_2OH
Q-78	-	-	-	-	-	-	4-Ac
Q-78	-	-	-	-	-	-	4-COEt
Q-78	-	-	-	-	-	-	4-COO-*t*-Bu
Q-78	-	-	-	-	-	-	4-benzyl
Q-78	-	-	-	-	-	-	4-(4-Cl-Ph)
Q-77	-	-	-	-	-	-	H
Q-77	-	-	-	-	-	-	4-Me
Q-77	-	-	-	-	-	-	4-*t*-Bu
Q-77	-	-	-	-	-	-	4-OH
Q-77	-	-	-	-	-	-	4-OMe
Q-77	-	-	-	-	-	-	4-OPr
Q-77	-	-	-	-	-	-	4-Br
Q-77	-	-	-	-	-	-	4-Cl
Q-77	-	-	-	-	-	-	4-NH_2
Q-77	-	-	-	-	-	-	4-NHMe
Q-77	-	-	-	-	-	-	4-$N(Et)_2$
Q-77	-	-	-	-	-	-	4-CN
Q-77	-	-	-	-	-	-	4-NO_2
Q-77	-	-	-	-	-	-	4-OCF_3
Q-77	-	-	-	-	-	-	4-SMe
Q-77	-	-	-	-	-	-	4-SO-*n*-Bu
Q-77	-	-	-	-	-	-	4-$SCHF_2$
Q-77	-	-	-	-	-	-	4-NHMe
Q-77	-	-	-	-	-	-	4-$N(Me)_2$
Q-77	-	-	-	-	-	-	4-MeOMe
Q-77	-	-	-	-	-	-	4-CO_2Me
Q-77	-	-	-	-	-	-	4-OAc

Q	Q^a	R^5	$(R^8)_m$	$(R^9)_j$	R^{10}	R^{15}	$(R^{16})_m$ / R^{16a}
Q-77	-	-	-	-	-	-	4-CONHMe
Q-77	-	-	-	-	-	-	4-trimethylsilyl
Q-77	-	-	-	-	-	-	3-Ph
Q-77	-	-	-	-	-	-	3-Me
Q-77	-	-	-	-	-	-	2-Ph
Q-75	Me	Et	-	H	-	Et	-
Q-75	Me	Et	-	H	-	Me	-
Q-75	Me	Me	-	H	-	*i*-Pr	-

Notes:

* The definitions of R^5, R^{10}, R^{15}, $(R^{16})_m$, R^{16a}, Q^a, $(R^8)_m$ and $(R^9)_j$ in the compounds of Table 4 are shown in Embodiment 50 unless otherwise noted.

TABLE 5

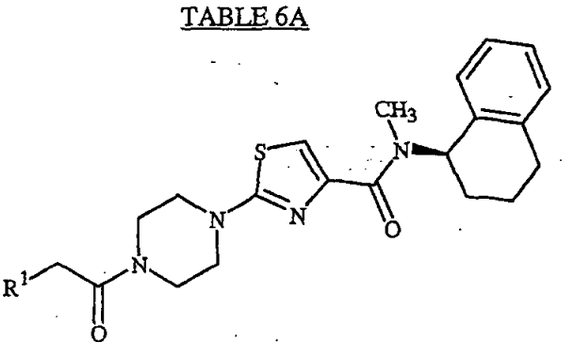


R^1	A	W^1	W^2
2-methoxyphenyl	NH	O	O
2,5-dichlorophenyl	NH	O	O
5-bromo-2-chlorophenyl	NH	O	O
2-chloro-5-methylphenyl	NH	O	O
2-chloro-5-(trifluoromethyl)phenyl	NH	O	O
2,5-dibromophenyl	NH	O	O
2-bromo-5-methylphenyl	NH	O	O
2-bromo-5-(trifluoromethyl)phenyl	NH	O	O
5-chloro-2-methylphenyl	NH	O	O
5-bromo-2-methylphenyl	NH	O	O
2,5-dimethylphenyl	NH	O	O
5-ethyl-2-methylphenyl	NH	O	O

R^1	A	W^1	W^2
2-methyl-5-(trifluoromethyl)phenyl	NH	O	O
5-bromo-2-methoxyphenyl	NH	O	O
2-methoxy-5-methylphenyl	NH	O	O
2-methoxy-5-(trifluoromethyl)phenyl	NH	O	O
3-(trifluoromethyl)pyrazol-1-yl	NH	O	O
3,5-dimethylpyrazol-1-yl	NH	O	O
3-ethyl-5-methylpyrazol-1-yl	NH	O	O
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	NH	O	O
3,5-dichloropyrazol-1-yl	NH	O	O
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	NH	O	O
3,5-dibromopyrazol-1-yl	NH	O	O
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	NH	O	O
3,5-diethylpyrazol-1-yl	NH	O	O
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	NH	O	O
2-methoxyphenyl	NH	S	O
2,5-dichlorophenyl	NH	S	O
5-bromo-2-chlorophenyl	NH	S	O
2-chloro-5-methylphenyl	NH	S	O
2-chloro-5-(trifluoromethyl)phenyl	NH	S	O
2,5-dibromophenyl	NH	S	O
2-bromo-5-methylphenyl	NH	S	O
2-bromo-5-(trifluoromethyl)phenyl	NH	S	O
5-chloro-2-methylphenyl	NH	S	O
5-bromo-2-methylphenyl	NH	S	O
2,5-dimethylphenyl	NH	S	O
5-ethyl-2-methylphenyl	NH	S	O
2-methyl-5-(trifluoromethyl)phenyl	NH	S	O
5-bromo-2-methoxyphenyl	NH	S	O
2-methoxy-5-methylphenyl	NH	S	O
2-methoxy-5-(trifluoromethyl)phenyl	NH	S	O
3-(trifluoromethyl)pyrazol-1-yl	NH	S	O
3,5-dimethylpyrazol-1-yl	NH	S	O
3-ethyl-5-methylpyrazol-1-yl	NH	S	O

R^1	A	W^1	W^2
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	NH	S	O
3,5-dichloropyrazol-1-yl	NH	S	O
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	NH	S	O
3,5-dibromopyrazol-1-yl	NH	S	O
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	NH	S	O
3,5-diethylpyrazol-1-yl	NH	S	O
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	NH	S	O
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	CH_2	S	S
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	CH_2	O	S
3,5-dichloropyrazol-1-yl	CH_2	O	S
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	CH_2	O	S
3,5-diethylpyrazol-1-yl	CH_2	O	S
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	CH_2	O	S
3,5-bis-(trifluoromethyl)pyrazol-1-yl	CH_2	O	S
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	CH_2	O	S
1-methyl-4-(trifluoromethyl)imidazol-2-yl	CH_2	O	S

TABLE 6A



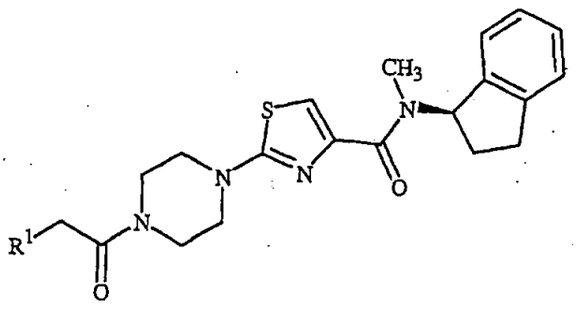
R^1	R^1
2-methoxyphenyl	3-nitrophenyl
3-bromophenyl	2,5-dichlorophenyl
3-iodophenyl	5-bromo-2-chlorophenyl
3-(trifluoromethyl)phenyl	2-chloro-5-iodophenyl
3-(2,2,2-trifluoroethyl)phenyl	2-chloro-5-methylphenyl
3-(pentafluoroethyl)phenyl	2-chloro-5-ethylphenyl
3-cyanophenyl	2-chloro-5-(trifluoromethyl)phenyl

R^1
2-chloro-5-(2,2,2-trifluoroethyl)phenyl
2-chloro-5-(pentafluoroethyl)phenyl
2-chloro-5-cyanophenyl
2-chloro-5-nitrophenyl
2-bromo-5-chlorophenyl
2,5-dibromophenyl
2-bromo-5-iodophenyl
2-bromo-5-methylphenyl
2-bromo-5-ethylphenyl
2-bromo-5-propylphenyl
2-bromo-5-(trifluoromethyl)phenyl
2-bromo-5-(2,2,2-trifluoroethyl)phenyl
2-bromo-5-(pentafluoroethyl)phenyl
2-bromo-5-cyanophenyl
2-bromo-5-nitrophenyl
5-chloro-2-methylphenyl
5-bromo-2-methylphenyl
5-iodo-2-methylphenyl
2,5-dimethylphenyl
5-ethyl-2-methylphenyl
2-methyl-5-propylphenyl
5-isopropyl-2-methylphenyl
2-methyl-5-(trifluoromethyl)phenyl
2-methyl-5-(2,2,2-trifluoroethyl)phenyl
2-methyl-5-(pentafluoroethyl)phenyl
5-cyano-2-methylphenyl
2-methyl-5-nitrophenyl
5-chloro-2-methoxyphenyl
5-bromo-2-methoxyphenyl
5-iodo-2-methoxyphenyl
2-methoxy-5-methylphenyl
5-ethyl-2-methoxyphenyl
2-methoxy-5-propylphenyl

R^1
2-methoxy-5-(trifluoromethyl)phenyl
2-methoxy-5-(2,2,2-trifluoroethyl)phenyl
2-methoxy-5-(pentafluoroethyl)phenyl
5-cyano-2-methoxyphenyl
2-methoxy-5-nitrophenyl
5-chloro-2-ethylphenyl
5-bromo-2-ethylphenyl
2-ethyl-5-iodophenyl
2-ethyl-5-methylphenyl
2,5-diethylphenyl
2-ethyl-5-propylphenyl
2-ethyl-5-(trifluoromethyl)phenyl
2-ethyl-5-(2,2,2-trifluoroethyl)phenyl
2-ethyl-5-(pentafluoroethyl)phenyl
5-cyano-2-ethylphenyl
2-ethyl-5-nitrophenyl
3-chloropyrazol-1-yl
3-bromopyrazol-1-yl
3-(trifluoromethyl)pyrazol-1-yl
3-(2,2,2-trifluoroethyl)pyrazol-1-yl
3-(pentafluoroethyl)pyrazol-1-yl
3-cyanopyrazol-1-yl
3-nitropyrazol-1-yl
3,5-dimethylpyrazol-1-yl
3-chloro-5-methylpyrazol-1-yl
3-bromo-5-methylpyrazol-1-yl
3-iodo-5-methylpyrazol-1-yl
3-ethyl-5-methylpyrazol-1-yl
5-methyl-3-propylpyrazol-1-yl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl
5-methyl-3-(2,2,2-trifluoroethyl)pyrazol-1-yl
5-methyl-3-(pentafluoroethyl)pyrazol-1-yl
3-cyano-5-methylpyrazol-1-yl

R^1	R^1
5-methyl-3-nitropyrazol-1-yl	3-chloro-5-ethylpyrazol-1-yl
5-chloro-3-methylpyrazol-1-yl	3-bromo-5-ethylpyrazol-1-yl
3,5-dichloropyrazol-1-yl	5-ethyl-3-iodopyrazol-1-yl
5-chloro-3-bromopyrazol-1-yl	3,5-diethylpyrazol-1-yl
5-chloro-3-iodopyrazol-1-yl	5-ethyl-3-propylpyrazol-1-yl
5-chloro-3-ethylpyrazol-1-yl	5-ethyl-3-(trifluoromethyl)pyrazol-1-yl
5-chloro-3-propylpyrazol-1-yl	5-ethyl-3-(2,2,2-trifluoroethyl)pyrazol-1-yl
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	5-ethyl-3-(pentafluoroethyl)pyrazol-1-yl
5-chloro-3-(2,2,2-trifluoroethyl)pyrazol-1-yl	3,5-dimethyl-2-thienyl
5-chloro-3-(pentafluoroethyl)pyrazol-1-yl	3,5-dichloro-2-thienyl
5-chloro-3-cyanopyrazol-1-yl	2,5-dimethyl-3-thienyl
5-chloro-3-nitropyrazol-1-yl	2,5-dichloro-3-thienyl
5-bromo-3-methylpyrazol-1-yl	3,6-dimethyl-2-pyridyl
5-bromo-3-chloropyrazol-1-yl	2,5-dimethyl-3-pyridyl
3,5-dibromopyrazol-1-yl	2,5-dimethyl-4-pyridyl
5-bromo-3-iodopyrazol-1-yl	3,6-dichloro-2-pyridyl
5-bromo-3-ethylpyrazol-1-yl	2,5-dichloro-3-pyridyl
5-bromo-3-propylpyrazol-1-yl	2,5-dichloro-4-pyridyl
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	3,5-bis-(trifluoromethyl)pyrazol-1-yl
5-bromo-3-(2,2,2-trifluoroethyl)pyrazol-1-yl	1-methyl-3-(trifluoromethyl)pyrazol-5-yl
5-bromo-3-(pentafluoroethyl)pyrazol-1-yl	1-methyl-4-(trifluoromethyl)imidazol-2-yl
5-ethyl-3-methylpyrazol-1-yl	

TABLE 6B



R^1	R^1
2-methoxyphenyl	3-bromophenyl

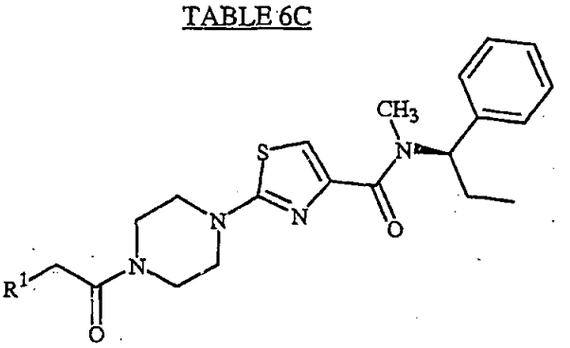
R^1
3-iodophenyl
3-(trifluoromethyl)phenyl
3-(2,2,2-trifluoroethyl)phenyl
3-(pentafluoroethyl)phenyl
3-cyanophenyl
3-nitrophenyl
2,5-dichlorophenyl
5-bromo-2-chlorophenyl
2-chloro-5-iodophenyl
2-chloro-5-methylphenyl
2-chloro-5-ethylphenyl
2-chloro-5-(trifluoromethyl)phenyl
2-chloro-5-(2,2,2-trifluoroethyl)phenyl
2-chloro-5-(pentafluoroethyl)phenyl
2-chloro-5-cyanophenyl
2-chloro-5-nitrophenyl
2-bromo-5-chlorophenyl
2,5-dibromophenyl
2-bromo-5-iodophenyl
2-bromo-5-methylphenyl
2-bromo-5-ethylphenyl
2-bromo-5-propylphenyl
2-bromo-5-(trifluoromethyl)phenyl
2-bromo-5-(2,2,2-trifluoroethyl)phenyl
2-bromo-5-(pentafluoroethyl)phenyl
2-bromo-5-cyanophenyl
2-bromo-5-nitrophenyl
5-chloro-2-methylphenyl
5-bromo-2-methylphenyl
5-iodo-2-methylphenyl
2,5-dimethylphenyl
5-ethyl-2-methylphenyl
2-methyl-5-propylphenyl

R^1
5-isopropyl-2-methylphenyl
2-methyl-5-(trifluoromethyl)phenyl
2-methyl-5-(2,2,2-trifluoroethyl)phenyl
2-methyl-5-(pentafluoroethyl)phenyl
5-cyano-2-methylphenyl
2-methyl-5-nitrophenyl
5-chloro-2-methoxyphenyl
5-bromo-2-methoxyphenyl
5-iodo-2-methoxyphenyl
2-methoxy-5-methylphenyl
5-ethyl-2-methoxyphenyl
2-methoxy-5-propylphenyl
2-methoxy-5-(trifluoromethyl)phenyl
2-methoxy-5-(2,2,2-trifluoroethyl)phenyl
2-methoxy-5-(pentafluoroethyl)phenyl
5-cyano-2-methoxyphenyl
2-methoxy-5-nitrophenyl
5-chloro-2-ethylphenyl
5-bromo-2-ethylphenyl
2-ethyl-5-iodophenyl
2-ethyl-5-methylphenyl
2,5-diethylphenyl
2-ethyl-5-propylphenyl
2-ethyl-5-(trifluoromethyl)phenyl
2-ethyl-5-(2,2,2-trifluoroethyl)phenyl
2-ethyl-5-(pentafluoroethyl)phenyl
5-cyano-2-ethylphenyl
2-ethyl-5-nitrophenyl
3-chloropyrazol-1-yl
3-bromopyrazol-1-yl
3-(trifluoromethyl)pyrazol-1-yl
3-(2,2,2-trifluoroethyl)pyrazol-1-yl
3-(pentafluoroethyl)pyrazol-1-yl

R^1
3-cyanopyrazol-1-yl
3-nitropyrazol-1-yl
3,5-dimethylpyrazol-1-yl
3-chloro-5-methylpyrazol-1-yl
3-bromo-5-methylpyrazol-1-yl
3-iodo-5-methylpyrazol-1-yl
3-ethyl-5-methylpyrazol-1-yl
5-methyl-3-propylpyrazol-1-yl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl
5-methyl-3-(2,2,2-trifluoroethyl)pyrazol-1-yl
5-methyl-3-(pentafluoroethyl)pyrazol-1-yl
3-cyano-5-methylpyrazol-1-yl
5-methyl-3-nitropyrazol-1-yl
5-chloro-3-methylpyrazol-1-yl
3,5-dichloropyrazol-1-yl
5-chloro-3-bromopyrazol-1-yl
5-chloro-3-iodopyrazol-1-yl
5-chloro-3-ethylpyrazol-1-yl
5-chloro-3-propylpyrazol-1-yl
5-chloro-3-(trifluoromethyl)pyrazol-1-yl
5-chloro-3-(2,2,2-trifluoroethyl)pyrazol-1-yl
5-chloro-3-(pentafluoroethyl)pyrazol-1-yl
5-chloro-3-cyanopyrazol-1-yl
5-chloro-3-nitropyrazol-1-yl
5-bromo-3-methylpyrazol-1-yl
5-bromo-3-chloropyrazol-1-yl
3,5-dibromopyrazol-1-yl
5-bromo-3-iodopyrazol-1-yl

R^1
5-bromo-3-ethylpyrazol-1-yl
5-bromo-3-propylpyrazol-1-yl
5-bromo-3-(trifluoromethyl)pyrazol-1-yl
5-bromo-3-(2,2,2-trifluoroethyl)pyrazol-1-yl
5-bromo-3-(pentafluoroethyl)pyrazol-1-yl
5-ethyl-3-methylpyrazol-1-yl
3-chloro-5-ethylpyrazol-1-yl
3-bromo-5-ethylpyrazol-1-yl
5-ethyl-3-iodopyrazol-1-yl
3,5-diethylpyrazol-1-yl
5-ethyl-3-propylpyrazol-1-yl
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl
5-ethyl-3-(2,2,2-trifluoroethyl)pyrazol-1-yl
5-ethyl-3-(pentafluoroethyl)pyrazol-1-yl
3,5-dimethyl-2-thienyl
3,5-dichloro-2-thienyl
2,5-dimethyl-3-thienyl
2,5-dichloro-3-thienyl
3,6-dimethyl-2-pyridyl
2,5-dimethyl-3-pyridyl
2,5-dimethyl-4-pyridyl
3,6-dichloro-2-pyridyl
2,5-dichloro-3-pyridyl
2,5-dichloro-4-pyridyl
3,5-bis-(trifluoromethyl)pyrazol-1-yl
1-methyl-3-(trifluoromethyl)pyrazol-5-yl
1-methyl-4-(trifluoromethyl)imidazol-2-yl

TABLE 6C



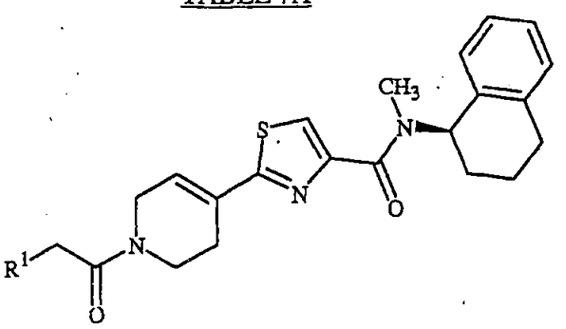
R^1	R^1
2-methoxyphenyl	2-bromo-5-(trifluoromethyl)phenyl
3-bromophenyl	2-bromo-5-(2,2,2-trifluoroethyl)phenyl
3-iodophenyl	2-bromo-5-(pentafluoroethyl)phenyl
3-(trifluoromethyl)phenyl	2-bromo-5-cyanophenyl
3-(2,2,2-trifluoroethyl)phenyl	2-bromo-5-nitrophenyl
3-(pentafluoroethyl)phenyl	5-chloro-2-methylphenyl
3-cyanophenyl	5-bromo-2-methylphenyl
3-nitrophenyl	5-iodo-2-methylphenyl
2,5-dichlorophenyl	2,5-dimethylphenyl
5-bromo-2-chlorophenyl	5-ethyl-2-methylphenyl
2-chloro-5-iodophenyl	2-methyl-5-propylphenyl
2-chloro-5-methylphenyl	5-isopropyl-2-methylphenyl
2-chloro-5-ethylphenyl	2-methyl-5-(trifluoromethyl)phenyl
2-chloro-5-(trifluoromethyl)phenyl	2-methyl-5-(2,2,2-trifluoroethyl)phenyl
2-chloro-5-(2,2,2-trifluoroethyl)phenyl	2-methyl-5-(pentafluoroethyl)phenyl
2-chloro-5-(pentafluoroethyl)phenyl	5-cyano-2-methylphenyl
2-chloro-5-cyanophenyl	2-methyl-5-nitrophenyl
2-chloro-5-nitrophenyl	5-chloro-2-methoxyphenyl
2-bromo-5-chlorophenyl	5-bromo-2-methoxyphenyl
2,5-dibromophenyl	5-iodo-2-methoxyphenyl
2-bromo-5-iodophenyl	2-methoxy-5-methylphenyl
2-bromo-5-methylphenyl	5-ethyl-2-methoxyphenyl
2-bromo-5-ethylphenyl	2-methoxy-5-propylphenyl
2-bromo-5-propylphenyl	2-methoxy-5-(trifluoromethyl)phenyl

R^1
2-methoxy-5-(2,2,2-trifluoroethyl)phenyl
2-methoxy-5-(pentafluoroethyl)phenyl
5-cyano-2-methoxyphenyl
2-methoxy-5-nitrophenyl
5-chloro-2-ethylphenyl
5-bromo-2-ethylphenyl
2-ethyl-5-iodophenyl
2-ethyl-5-methylphenyl
2,5-diethylphenyl
2-ethyl-5-propylphenyl
2-ethyl-5-(trifluoromethyl)phenyl
2-ethyl-5-(2,2,2-trifluoroethyl)phenyl
2-ethyl-5-(pentafluoroethyl)phenyl
5-cyano-2-ethylphenyl
2-ethyl-5-nitrophenyl
3-chloropyrazol-1-yl
3-bromopyrazol-1-yl
3-(trifluoromethyl)pyrazol-1-yl
3-(2,2,2-trifluoroethyl)pyrazol-1-yl
3-(pentafluoroethyl)pyrazol-1-yl
3-cyanopyrazol-1-yl
3-nitropyrazol-1-yl
3,5-dimethylpyrazol-1-yl
3-chloro-5-methylpyrazol-1-yl
3-bromo-5-methylpyrazol-1-yl
3-iodo-5-methylpyrazol-1-yl
3-ethyl-5-methylpyrazol-1-yl
5-methyl-3-propylpyrazol-1-yl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl
5-methyl-3-(2,2,2-trifluoroethyl)pyrazol-1-yl
5-methyl-3-(pentafluoroethyl)pyrazol-1-yl
3-cyano-5-methylpyrazol-1-yl
5-methyl-3-nitropyrazol-1-yl

R^1
5-chloro-3-methylpyrazol-1-yl
3,5-dichloropyrazol-1-yl
5-chloro-3-bromopyrazol-1-yl
5-chloro-3-iodopyrazol-1-yl
5-chloro-3-ethylpyrazol-1-yl
5-chloro-3-propylpyrazol-1-yl
5-chloro-3-(trifluoromethyl)pyrazol-1-yl
5-chloro-3-(2,2,2-trifluoroethyl)pyrazol-1-yl
5-chloro-3-(pentafluoroethyl)pyrazol-1-yl
5-chloro-3-cyanopyrazol-1-yl
5-chloro-3-nitropyrazol-1-yl
5-bromo-3-methylpyrazol-1-yl
5-bromo-3-chloropyrazol-1-yl
3,5-dibromopyrazol-1-yl
5-bromo-3-iodopyrazol-1-yl
5-bromo-3-ethylpyrazol-1-yl
5-bromo-3-propylpyrazol-1-yl
5-bromo-3-(trifluoromethyl)pyrazol-1-yl
5-bromo-3-(2,2,2-trifluoroethyl)pyrazol-1-yl
5-bromo-3-(pentafluoroethyl)pyrazol-1-yl
5-ethyl-3-methylpyrazol-1-yl
3-chloro-5-ethylpyrazol-1-yl
3-bromo-5-ethylpyrazol-1-yl
5-ethyl-3-iodopyrazol-1-yl
3,5-diethylpyrazol-1-yl
5-ethyl-3-propylpyrazol-1-yl
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl
5-ethyl-3-(2,2,2-trifluoroethyl)pyrazol-1-yl
5-ethyl-3-(pentafluoroethyl)pyrazol-1-yl
3,5-dimethyl-2-thienyl
3,5-dichloro-2-thienyl
2,5-dimethyl-3-thienyl
2,5-dichloro-3-thienyl

R^1	R^1
3,6-dimethyl-2-pyridyl	2,5-dichloro-4-pyridyl
2,5-dimethyl-3-pyridyl	3,5-bis-(trifluoromethyl)pyrazol-1-yl
2,5-dimethyl-4-pyridyl	1-methyl-3-(trifluoromethyl)pyrazol-5-yl
3,6-dichloro-2-pyridyl	1-methyl-4-(trifluoromethyl)imidazol-2-yl
2,5-dichloro-3-pyridyl	

TABLE 7A



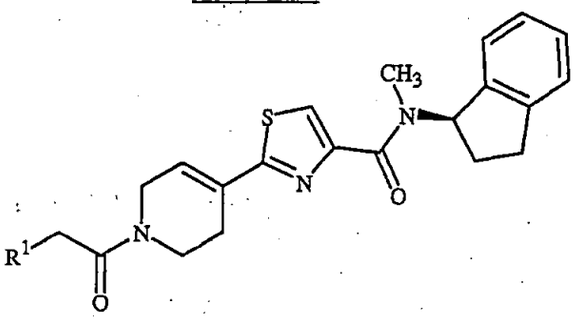
R^1	R^1
2-methoxyphenyl	2-bromo-5-chlorophenyl
3-bromophenyl	2,5-dibromophenyl
3-iodophenyl	2-bromo-5-iodophenyl
3-(trifluoromethyl)phenyl	2-bromo-5-methylphenyl
3-(2,2,2-trifluoroethyl)phenyl	2-bromo-5-ethylphenyl
3-(pentafluoroethyl)phenyl	2-bromo-5-propylphenyl
3-cyanophenyl	2-bromo-5-(trifluoromethyl)phenyl
3-nitrophenyl	2-bromo-5-(2,2,2-trifluoroethyl)phenyl
2,5-dichlorophenyl	2-bromo-5-(pentafluoroethyl)phenyl
5-bromo-2-chlorophenyl	2-bromo-5-cyanophenyl
2-chloro-5-iodophenyl	2-bromo-5-nitrophenyl
2-chloro-5-methylphenyl	5-chloro-2-methylphenyl
2-chloro-5-ethylphenyl	5-bromo-2-methylphenyl
2-chloro-5-(trifluoromethyl)phenyl	5-iodo-2-methylphenyl
2-chloro-5-(2,2,2-trifluoroethyl)phenyl	2,5-dimethylphenyl
2-chloro-5-(pentafluoroethyl)phenyl	5-ethyl-2-methylphenyl
2-chloro-5-cyanophenyl	2-methyl-5-propylphenyl
2-chloro-5-nitrophenyl	5-isopropyl-2-methylphenyl

R^1
2-methyl-5-(trifluoromethyl)phenyl
2-methyl-5-(2,2,2-trifluoroethyl)phenyl
2-methyl-5-(pentafluoroethyl)phenyl
5-cyano-2-methylphenyl
2-methyl-5-nitrophenyl
5-chloro-2-methoxyphenyl
5-bromo-2-methoxyphenyl
5-iodo-2-methoxyphenyl
2-methoxy-5-methylphenyl
5-ethyl-2-methoxyphenyl
2-methoxy-5-propylphenyl
2-methoxy-5-(trifluoromethyl)phenyl
2-methoxy-5-(2,2,2-trifluoroethyl)phenyl
2-methoxy-5-(pentafluoroethyl)phenyl
5-cyano-2-methoxyphenyl
2-methoxy-5-nitrophenyl
5-chloro-2-ethylphenyl
5-bromo-2-ethylphenyl
2-ethyl-5-iodophenyl
2-ethyl-5-methylphenyl
2,5-diethylphenyl
2-ethyl-5-propylphenyl
2-ethyl-5-(trifluoromethyl)phenyl
2-ethyl-5-(2,2,2-trifluoroethyl)phenyl
2-ethyl-5-(pentafluoroethyl)phenyl
5-cyano-2-ethylphenyl
2-ethyl-5-nitrophenyl
3-chloropyrazol-1-yl
3-bromopyrazol-1-yl
3-(trifluoromethyl)pyrazol-1-yl
3-(2,2,2-trifluoroethyl)pyrazol-1-yl
3-(pentafluoroethyl)pyrazol-1-yl
3-cyanopyrazol-1-yl

R^1
3-nitropyrazol-1-yl
3,5-dimethylpyrazol-1-yl
3-chloro-5-methylpyrazol-1-yl
3-bromo-5-methylpyrazol-1-yl
3-iodo-5-methylpyrazol-1-yl
3-ethyl-5-methylpyrazol-1-yl
5-methyl-3-propylpyrazol-1-yl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl
5-methyl-3-(2,2,2-trifluoroethyl)pyrazol-1-yl
5-methyl-3-(pentafluoroethyl)pyrazol-1-yl
3-cyano-5-methylpyrazol-1-yl
5-methyl-3-nitropyrazol-1-yl
5-chloro-3-methylpyrazol-1-yl
3,5-dichloropyrazol-1-yl
5-chloro-3-bromopyrazol-1-yl
5-chloro-3-iodopyrazol-1-yl
5-chloro-3-ethylpyrazol-1-yl
5-chloro-3-propylpyrazol-1-yl
5-chloro-3-(trifluoromethyl)pyrazol-1-yl
5-chloro-3-(2,2,2-trifluoroethyl)pyrazol-1-yl
5-chloro-3-(pentafluoroethyl)pyrazol-1-yl
5-chloro-3-cyanopyrazol-1-yl
5-chloro-3-nitropyrazol-1-yl
5-bromo-3-methylpyrazol-1-yl
5-bromo-3-chloropyrazol-1-yl
3,5-dibromopyrazol-1-yl
5-bromo-3-iodopyrazol-1-yl
5-bromo-3-ethylpyrazol-1-yl
5-bromo-3-propylpyrazol-1-yl
5-bromo-3-(trifluoromethyl)pyrazol-1-yl
5-bromo-3-(2,2,2-trifluoroethyl)pyrazol-1-yl
5-bromo-3-(pentafluoroethyl)pyrazol-1-yl
5-ethyl-3-methylpyrazol-1-yl

R^1	R^1
3-chloro-5-ethylpyrazol-1-yl	2,5-dichloro-3-thienyl
3-bromo-5-ethylpyrazol-1-yl	3,6-dimethyl-2-pyridyl
5-ethyl-3-iodopyrazol-1-yl	2,5-dimethyl-3-pyridyl
3,5-diethylpyrazol-1-yl	2,5-dimethyl-4-pyridyl
5-ethyl-3-propylpyrazol-1-yl	3,6-dichloro-2-pyridyl
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	2,5-dichloro-3-pyridyl
5-ethyl-3-(2,2,2-trifluoroethyl)pyrazol-1-yl	2,5-dichloro-4-pyridyl
5-ethyl-3-(pentafluoroethyl)pyrazol-1-yl	3,5-bis-(trifluoromethyl)pyrazol-1-yl
3,5-dimethyl-2-thienyl	1-methyl-3-(trifluoromethyl)pyrazol-5-yl
3,5-dichloro-2-thienyl	1-methyl-4-(trifluoromethyl)imidazol-2-yl
2,5-dimethyl-3-thienyl	

TABLE 7B



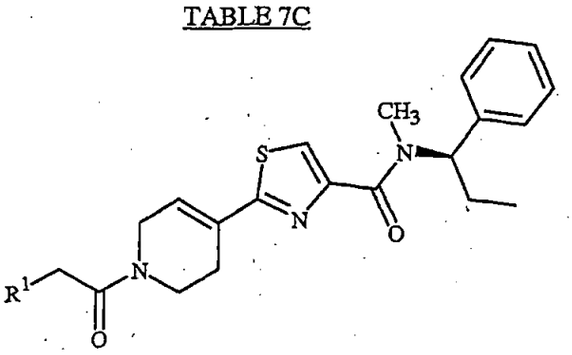
R^1	R^1
2-methoxyphenyl	2-chloro-5-ethylphenyl
3-bromophenyl	2-chloro-5-(trifluoromethyl)phenyl
3-iodophenyl	2-chloro-5-(2,2,2-trifluoroethyl)phenyl
3-(trifluoromethyl)phenyl	2-chloro-5-(pentafluoroethyl)phenyl
3-(2,2,2-trifluoroethyl)phenyl	2-chloro-5-cyanophenyl
3-(pentafluoroethyl)phenyl	2-chloro-5-nitrophenyl
3-cyanophenyl	2-bromo-5-chlorophenyl
3-nitrophenyl	2,5-dibromophenyl
2,5-dichlorophenyl	2-bromo-5-iodophenyl
5-bromo-2-chlorophenyl	2-bromo-5-methylphenyl
2-chloro-5-iodophenyl	2-bromo-5-ethylphenyl
2-chloro-5-methylphenyl	2-bromo-5-propylphenyl

R^1
2-bromo-5-(trifluoromethyl)phenyl
2-bromo-5-(2,2,2-trifluoroethyl)phenyl
2-bromo-5-(pentafluoroethyl)phenyl
2-bromo-5-cyanophenyl
2-bromo-5-nitrophenyl
5-chloro-2-methylphenyl
5-bromo-2-methylphenyl
5-iodo-2-methylphenyl
2,5-dimethylphenyl
5-ethyl-2-methylphenyl
2-methyl-5-propylphenyl
5-isopropyl-2-methylphenyl
2-methyl-5-(trifluoromethyl)phenyl
2-methyl-5-(2,2,2-trifluoroethyl)phenyl
2-methyl-5-(pentafluoroethyl)phenyl
5-cyano-2-methylphenyl
2-methyl-5-nitrophenyl
5-chloro-2-methoxyphenyl
5-bromo-2-methoxyphenyl
5-iodo-2-methoxyphenyl
2-methoxy-5-methylphenyl
5-ethyl-2-methoxyphenyl
2-methoxy-5-propylphenyl
2-methoxy-5-(trifluoromethyl)phenyl
2-methoxy-5-(2,2,2-trifluoroethyl)phenyl
2-methoxy-5-(pentafluoroethyl)phenyl
5-cyano-2-methoxyphenyl
2-methoxy-5-nitrophenyl
5-chloro-2-ethylphenyl
5-bromo-2-ethylphenyl
2-ethyl-5-iodophenyl
2-ethyl-5-methylphenyl
2,5-diethylphenyl

R^1
2-ethyl-5-propylphenyl
2-ethyl-5-(trifluoromethyl)phenyl
2-ethyl-5-(2,2,2-trifluoroethyl)phenyl
2-ethyl-5-(pentafluoroethyl)phenyl
5-cyano-2-ethylphenyl
2-ethyl-5-nitrophenyl
3-chloropyrazol-1-yl
3-bromopyrazol-1-yl
3-(trifluoromethyl)pyrazol-1-yl
3-(2,2,2-trifluoroethyl)pyrazol-1-yl
3-(pentafluoroethyl)pyrazol-1-yl
3-cyanopyrazol-1-yl
3-nitropyrazol-1-yl
3,5-dimethylpyrazol-1-yl
3-chloro-5-methylpyrazol-1-yl
3-bromo-5-methylpyrazol-1-yl
3-iodo-5-methylpyrazol-1-yl
3-ethyl-5-methylpyrazol-1-yl
5-methyl-3-propylpyrazol-1-yl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl
5-methyl-3-(2,2,2-trifluoroethyl)pyrazol-1-yl
5-methyl-3-(pentafluoroethyl)pyrazol-1-yl
3-cyano-5-methylpyrazol-1-yl
5-methyl-3-nitropyrazol-1-yl
5-chloro-3-methylpyrazol-1-yl
3,5-dichloropyrazol-1-yl
5-chloro-3-bromopyrazol-1-yl
5-chloro-3-iodopyrazol-1-yl
5-chloro-3-ethylpyrazol-1-yl
5-chloro-3-propylpyrazol-1-yl
5-chloro-3-(trifluoromethyl)pyrazol-1-yl
5-chloro-3-(2,2,2-trifluoroethyl)pyrazol-1-yl
5-chloro-3-(pentafluoroethyl)pyrazol-1-yl

R^1	R^1
5-chloro-3-cyanopyrazol-1-yl	5-ethyl-3-(trifluoromethyl)pyrazol-1-yl
5-chloro-3-nitropyrazol-1-yl	5-ethyl-3-(2,2,2-trifluoroethyl)pyrazol-1-yl
5-bromo-3-methylpyrazol-1-yl	5-ethyl-3-(pentafluoroethyl)pyrazol-1-yl
5-bromo-3-chloropyrazol-1-yl	3,5-dimethyl-2-thienyl
3,5-dibromopyrazol-1-yl	3,5-dichloro-2-thienyl
5-bromo-3-iodopyrazol-1-yl	2,5-dimethyl-3-thienyl
5-bromo-3-ethylpyrazol-1-yl	2,5-dichloro-3-thienyl
5-bromo-3-propylpyrazol-1-yl	3,6-dimethyl-2-pyridyl
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	2,5-dimethyl-3-pyridyl
5-bromo-3-(2,2,2-trifluoroethyl)pyrazol-1-yl	2,5-dimethyl-4-pyridyl
5-bromo-3-(pentafluoroethyl)pyrazol-1-yl	3,6-dichloro-2-pyridyl
5-ethyl-3-methylpyrazol-1-yl	2,5-dichloro-3-pyridyl
3-chloro-5-ethylpyrazol-1-yl	2,5-dichloro-4-pyridyl
3-bromo-5-ethylpyrazol-1-yl	3,5-bis-(trifluoromethyl)pyrazol-1-yl
5-ethyl-3-iodopyrazol-1-yl	1-methyl-3-(trifluoromethyl)pyrazol-5-yl
3,5-diethylpyrazol-1-yl	1-methyl-4-(trifluoromethyl)imidazol-2-yl
5-ethyl-3-propylpyrazol-1-yl	

TABLE 7C



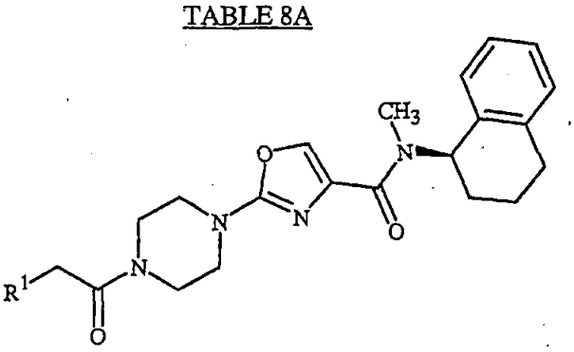
R^1	R^1
2-methoxyphenyl	3-cyanophenyl
3-bromophenyl	3-nitrophenyl
3-iodophenyl	2,5-dichlorophenyl
3-(trifluoromethyl)phenyl	5-bromo-2-chlorophenyl
3-(2,2,2-trifluoroethyl)phenyl	2-chloro-5-iodophenyl
3-(pentafluoroethyl)phenyl	2-chloro-5-methylphenyl

R^1
2-chloro-5-ethylphenyl
2-chloro-5-(trifluoromethyl)phenyl
2-chloro-5-(2,2,2-trifluoroethyl)phenyl
2-chloro-5-(pentafluoroethyl)phenyl
2-chloro-5-cyanophenyl
2-chloro-5-nitrophenyl
2-bromo-5-chlorophenyl
2,5-dibromophenyl
2-bromo-5-iodophenyl
2-bromo-5-methylphenyl
2-bromo-5-ethylphenyl
2-bromo-5-propylphenyl
2-bromo-5-(trifluoromethyl)phenyl
2-bromo-5-(2,2,2-trifluoroethyl)phenyl
2-bromo-5-(pentafluoroethyl)phenyl
2-bromo-5-cyanophenyl
2-bromo-5-nitrophenyl
5-chloro-2-methylphenyl
5-bromo-2-methylphenyl
5-iodo-2-methylphenyl
2,5-dimethylphenyl
5-ethyl-2-methylphenyl
2-methyl-5-propylphenyl
5-isopropyl-2-methylphenyl
2-methyl-5-(trifluoromethyl)phenyl
2-methyl-5-(2,2,2-trifluoroethyl)phenyl
2-methyl-5-(pentafluoroethyl)phenyl
5-cyano-2-methylphenyl
2-methyl-5-nitrophenyl
5-chloro-2-methoxyphenyl
5-bromo-2-methoxyphenyl
5-iodo-2-methoxyphenyl
2-methoxy-5-methylphenyl

R^1
5-ethyl-2-methoxyphenyl
2-methoxy-5-propylphenyl
2-methoxy-5-(trifluoromethyl)phenyl
2-methoxy-5-(2,2,2-trifluoroethyl)phenyl
2-methoxy-5-(pentafluoroethyl)phenyl
5-cyano-2-methoxyphenyl
2-methoxy-5-nitrophenyl
5-chloro-2-ethylphenyl
5-bromo-2-ethylphenyl
2-ethyl-5-iodophenyl
2-ethyl-5-methylphenyl
2,5-diethylphenyl
2-ethyl-5-propylphenyl
2-ethyl-5-(trifluoromethyl)phenyl
2-ethyl-5-(2,2,2-trifluoroethyl)phenyl
2-ethyl-5-(pentafluoroethyl)phenyl
5-cyano-2-ethylphenyl
2-ethyl-5-nitrophenyl
3-chloropyrazol-1-yl
3-bromopyrazol-1-yl
3-(trifluoromethyl)pyrazol-1-yl
3-(2,2,2-trifluoroethyl)pyrazol-1-yl
3-(pentafluoroethyl)pyrazol-1-yl
3-cyanopyrazol-1-yl
3-nitropyrazol-1-yl
3,5-dimethylpyrazol-1-yl
3-chloro-5-methylpyrazol-1-yl
3-bromo-5-methylpyrazol-1-yl
3-iodo-5-methylpyrazol-1-yl
3-ethyl-5-methylpyrazol-1-yl
5-methyl-3-propylpyrazol-1-yl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl
5-methyl-3-(2,2,2-trifluoroethyl)pyrazol-1-yl

R^1	R^1
5-methyl-3-(pentafluoroethyl)pyrazol-1-yl	5-ethyl-3-methylpyrazol-1-yl
3-cyano-5-methylpyrazol-1-yl	3-chloro-5-ethylpyrazol-1-yl
5-methyl-3-nitropyrazol-1-yl	3-bromo-5-ethylpyrazol-1-yl
5-chloro-3-methylpyrazol-1-yl	5-ethyl-3-iodopyrazol-1-yl
3,5-dichloropyrazol-1-yl	3,5-diethylpyrazol-1-yl
5-chloro-3-bromopyrazol-1-yl	5-ethyl-3-propylpyrazol-1-yl
5-chloro-3-iodopyrazol-1-yl	5-ethyl-3-(trifluoromethyl)pyrazol-1-yl
5-chloro-3-ethylpyrazol-1-yl	5-ethyl-3-(2,2,2-trifluoroethyl)pyrazol-1-yl
5-chloro-3-propylpyrazol-1-yl	5-ethyl-3-(pentafluoroethyl)pyrazol-1-yl
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	3,5-dimethyl-2-thienyl
5-chloro-3-(2,2,2-trifluoroethyl)pyrazol-1-yl	3,5-dichloro-2-thienyl
5-chloro-3-(pentafluoroethyl)pyrazol-1-yl	2,5-dimethyl-3-thienyl
5-chloro-3-cyanopyrazol-1-yl	2,5-dichloro-3-thienyl
5-chloro-3-nitropyrazol-1-yl	3,6-dimethyl-2-pyridyl
5-bromo-3-methylpyrazol-1-yl	2,5-dimethyl-3-pyridyl
5-bromo-3-chloropyrazol-1-yl	2,5-dimethyl-4-pyridyl
3,5-dibromopyrazol-1-yl	3,6-dichloro-2-pyridyl
5-bromo-3-iodopyrazol-1-yl	2,5-dichloro-3-pyridyl
5-bromo-3-ethylpyrazol-1-yl	2,5-dichloro-4-pyridyl
5-bromo-3-propylpyrazol-1-yl	3,5-bis-(trifluoromethyl)pyrazol-1-yl
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	1-methyl-3-(trifluoromethyl)pyrazol-5-yl
5-bromo-3-(2,2,2-trifluoroethyl)pyrazol-1-yl	1-methyl-4-(trifluoromethyl)imidazol-2-yl
5-bromo-3-(pentafluoroethyl)pyrazol-1-yl	

TABLE 8A



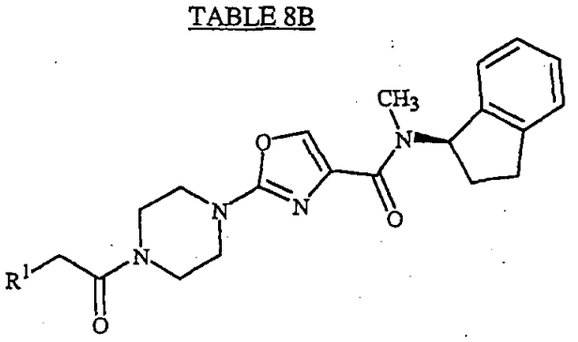
R^1
2-methoxyphenyl
3-bromophenyl
3-iodophenyl
3-(trifluoromethyl)phenyl
3-(2,2,2-trifluoroethyl)phenyl
3-(pentafluoroethyl)phenyl
3-cyanophenyl
3-nitrophenyl
2,5-dichlorophenyl
5-bromo-2-chlorophenyl
2-chloro-5-iodophenyl
2-chloro-5-methylphenyl
2-chloro-5-ethylphenyl
2-chloro-5-(trifluoromethyl)phenyl
2-chloro-5-(2,2,2-trifluoroethyl)phenyl
2-chloro-5-(pentafluoroethyl)phenyl
2-chloro-5-cyanophenyl
2-chloro-5-nitrophenyl
2-bromo-5-chlorophenyl
2,5-dibromophenyl
2-bromo-5-iodophenyl
2-bromo-5-methylphenyl
2-bromo-5-ethylphenyl
2-bromo-5-propylphenyl
2-bromo-5-(trifluoromethyl)phenyl
2-bromo-5-(2,2,2-trifluoroethyl)phenyl
2-bromo-5-(pentafluoroethyl)phenyl
2-bromo-5-cyanophenyl
2-bromo-5-nitrophenyl
5-chloro-2-methylphenyl
5-bromo-2-methylphenyl
5-iodo-2-methylphenyl
2,5-dimethylphenyl

R^1
5-ethyl-2-methylphenyl
2-methyl-5-propylphenyl
5-isopropyl-2-methylphenyl
2-methyl-5-(trifluoromethyl)phenyl
2-methyl-5-(2,2,2-trifluoroethyl)phenyl
2-methyl-5-(pentafluoroethyl)phenyl
5-cyano-2-methylphenyl
2-methyl-5-nitrophenyl
5-chloro-2-methoxyphenyl
5-bromo-2-methoxyphenyl
5-iodo-2-methoxyphenyl
2-methoxy-5-methylphenyl
5-ethyl-2-methoxyphenyl
2-methoxy-5-propylphenyl
2-methoxy-5-(trifluoromethyl)phenyl
2-methoxy-5-(2,2,2-trifluoroethyl)phenyl
2-methoxy-5-(pentafluoroethyl)phenyl
5-cyano-2-methoxyphenyl
2-methoxy-5-nitrophenyl
5-chloro-2-ethylphenyl
5-bromo-2-ethylphenyl
2-ethyl-5-iodophenyl
2-ethyl-5-methylphenyl
2,5-diethylphenyl
2-ethyl-5-propylphenyl
2-ethyl-5-(trifluoromethyl)phenyl
2-ethyl-5-(2,2,2-trifluoroethyl)phenyl
2-ethyl-5-(pentafluoroethyl)phenyl
5-cyano-2-ethylphenyl
2-ethyl-5-nitrophenyl
3-chloropyrazol-1-yl
3-bromopyrazol-1-yl
3-(trifluoromethyl)pyrazol-1-yl

R^1
3-(2,2,2-trifluoroethyl)pyrazol-1-yl
3-(pentafluoroethyl)pyrazol-1-yl
3-cyanopyrazol-1-yl
3-nitropyrazol-1-yl
3,5-dimethylpyrazol-1-yl
3-chloro-5-methylpyrazol-1-yl
3-bromo-5-methylpyrazol-1-yl
3-iodo-5-methylpyrazol-1-yl
3-ethyl-5-methylpyrazol-1-yl
5-methyl-3-propylpyrazol-1-yl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl
5-methyl-3-(2,2,2-trifluoroethyl)pyrazol-1-yl
5-methyl-3-(pentafluoroethyl)pyrazol-1-yl
3-cyano-5-methylpyrazol-1-yi
5-methyl-3-nitropyrazol-1-yl
5-chloro-3-methylpyrazol-1-yl
3,5-dichloropyrazol-1-yl
5-chloro-3-bromopyrazol-1-yl
5-chloro-3-iodopyrazol-1-yl
5-chloro-3-ethylpyrazol-1-yl
5-chloro-3-propylpyrazol-1-yl
5-chloro-3-(trifluoromethyl)pyrazol-1-yl
5-chloro-3-(2,2,2-trifluoroethyl)pyrazol-1-yl
5-chloro-3-(pentafluoroethyl)pyrazol-1-yl
5-chloro-3-cyanopyrazol-1-yl
5-chloro-3-nitropyrazol-1-yl
5-bromo-3-methylpyrazol-1-yl
5-bromo-3-chloropyrazol-1-yl
3,5-dibromopyrazol-1-yl

R^1
5-bromo-3-iodopyrazol-1-yl
5-bromo-3-ethylpyrazol-1-yl
5-bromo-3-propylpyrazol-1-yl
5-bromo-3-(trifluoromethyl)pyrazol-1-yl
5-bromo-3-(2,2,2-trifluoroethyl)pyrazol-1-yl
5-bromo-3-(pentafluoroethyl)pyrazol-1-yl
5-ethyl-3-methylpyrazol-1-yl
3-chloro-5-ethylpyrazol-1-yl
3-bromo-5-ethylpyrazol-1-yl
5-ethyl-3-iodopyrazol-1-yl
3,5-diethylpyrazol-1-yl
5-ethyl-3-propylpyrazol-1-yl
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl
5-ethyl-3-(2,2,2-trifluoroethyl)pyrazol-1-yl
5-ethyl-3-(pentafluoroethyl)pyrazol-1-yl
3,5-dimethyl-2-thienyl
3,5-dichloro-2-thienyl
2,5-dimethyl-3-thienyl
2,5-dichloro-3-thienyl
3,6-dimethyl-2-pyridyl
2,5-dimethyl-3-pyridyl
2,5-dimethyl-4-pyridyl
3,6-dichloro-2-pyridyl
2,5-dichloro-3-pyridyl
2,5-dichloro-4-pyridyl
3,5-bis-(trifluoromethyl)pyrazol-1-yl
1-methyl-3-(trifluoromethyl)pyrazol-5-yl
1-methyl-4-(trifluoromethyl)imidazol-2-yl

TABLE 8B



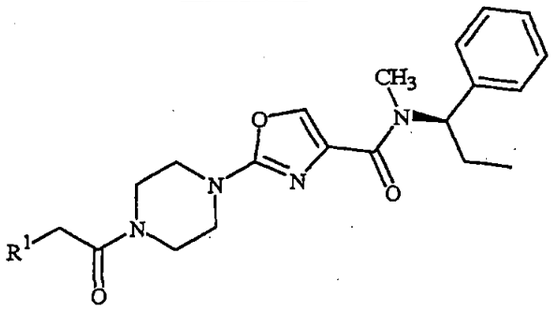
R^1	R^1
2-methoxyphenyl	2-bromo-5-(trifluoromethyl)phenyl
3-bromophenyl	2-bromo-5-(2,2,2-trifluoroethyl)phenyl
3-iodophenyl	2-bromo-5-(pentafluoroethyl)phenyl
3-(trifluoromethyl)phenyl	2-bromo-5-cyanophenyl
3-(2,2,2-trifluoroethyl)phenyl	2-bromo-5-nitrophenyl
3-(pentafluoroethyl)phenyl	5-chloro-2-methylphenyl
3-cyanophenyl	5-bromo-2-methylphenyl
3-nitrophenyl	5-iodo-2-methylphenyl
2,5-dichlorophenyl	2,5-dimethylphenyl
5-bromo-2-chlorophenyl	5-ethyl-2-methylphenyl
2-chloro-5-iodophenyl	2-methyl-5-propylphenyl
2-chloro-5-methylphenyl	5-isopropyl-2-methylphenyl
2-chloro-5-ethylphenyl	2-methyl-5-(trifluoromethyl)phenyl
2-chloro-5-(trifluoromethyl)phenyl	2-methyl-5-(2,2,2-trifluoroethyl)phenyl
2-chloro-5-(2,2,2-trifluoroethyl)phenyl	2-methyl-5-(pentafluoroethyl)phenyl
2-chloro-5-(pentafluoroethyl)phenyl	5-cyano-2-methylphenyl
2-chloro-5-cyanophenyl	2-methyl-5-nitrophenyl
2-chloro-5-nitrophenyl	5-chloro-2-methoxyphenyl
2-bromo-5-chlorophenyl	5-bromo-2-methoxyphenyl
2,5-dibromophenyl	5-iodo-2-methoxyphenyl
2-bromo-5-iodophenyl	2-methoxy-5-methylphenyl
2-bromo-5-methylphenyl	5-ethyl-2-methoxyphenyl
2-bromo-5-ethylphenyl	2-methoxy-5-propylphenyl
2-bromo-5-propylphenyl	2-methoxy-5-(trifluoromethyl)phenyl

R^1
2-methoxy-5-(2,2,2-trifluoroethyl)phenyl
2-methoxy-5-(pentafluoroethyl)phenyl
5-cyano-2-methoxyphenyl
2-methoxy-5-nitrophenyl
5-chloro-2-ethylphenyl
5-bromo-2-ethylphenyl
2-ethyl-5-iodophenyl
2-ethyl-5-methylphenyl
2,5-diethylphenyl
2-ethyl-5-propylphenyl
2-ethyl-5-(trifluoromethyl)phenyl
2-ethyl-5-(2,2,2-trifluoroethyl)phenyl
2-ethyl-5-(pentafluoroethyl)phenyl
5-cyano-2-ethylphenyl
2-ethyl-5-nitrophenyl
3-chloropyrazol-1-yl
3-bromopyrazol-1-yl
3-(trifluoromethyl)pyrazol-1-yl
3-(2,2,2-trifluoroethyl)pyrazol-1-yl
3-(pentafluoroethyl)pyrazol-1-yl
3-cyanopyrazol-1-yl
3-nitropyrazol-1-yl
3,5-dimethylpyrazol-1-yl
3-chloro-5-methylpyrazol-1-yl
3-bromo-5-methylpyrazol-1-yl
3-iodo-5-methylpyrazol-1-yl
3-ethyl-5-methylpyrazol-1-yl
5-methyl-3-propylpyrazol-1-yl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl
5-methyl-3-(2,2,2-trifluoroethyl)pyrazol-1-yl
5-methyl-3-(pentafluoroethyl)pyrazol-1-yl
3-cyano-5-methylpyrazol-1-yl
5-methyl-3-nitropyrazol-1-yl

R^1
5-chloro-3-methylpyrazol-1-yl
3,5-dichloropyrazol-1-yl
5-chloro-3-bromopyrazol-1-yl
5-chloro-3-iodopyrazol-1-yl
5-chloro-3-ethylpyrazol-1-yl
5-chloro-3-propylpyrazol-1-yl
5-chloro-3-(trifluoromethyl)pyrazol-1-yl
5-chloro-3-(2,2,2-trifluoroethyl)pyrazol-1-yl
5-chloro-3-(pentafluoroethyl)pyrazol-1-yl
5-chloro-3-cyanopyrazol-1-yl
5-chloro-3-nitropyrazol-1-yl
5-bromo-3-methylpyrazol-1-yl
5-bromo-3-chloropyrazol-1-yl
3,5-dibromopyrazol-1-yl
5-bromo-3-iodopyrazol-1-yl
5-bromo-3-ethylpyrazol-1-yl
5-bromo-3-propylpyrazol-1-yl
5-bromo-3-(trifluoromethyl)pyrazol-1-yl
5-bromo-3-(2,2,2-trifluoroethyl)pyrazol-1-yl
5-bromo-3-(pentafluoroethyl)pyrazol-1-yl
5-ethyl-3-methylpyrazol-1-yl
3-chloro-5-ethylpyrazol-1-yl
3-bromo-5-ethylpyrazol-1-yl
5-ethyl-3-iodopyrazol-1-yl
3,5-diethylpyrazol-1-yl
5-ethyl-3-propylpyrazol-1-yl
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl
5-ethyl-3-(2,2,2-trifluoroethyl)pyrazol-1-yl
5-ethyl-3-(pentafluoroethyl)pyrazol-1-yl
3,5-dimethyl-2-thienyl
3,5-dichloro-2-thienyl
2,5-dimethyl-3-thienyl
2,5-dichloro-3-thienyl

R^1	R^1
3,6-dimethyl-2-pyridyl	2,5-dichloro-4-pyridyl
2,5-dimethyl-3-pyridyl	3,5-bis-(trifluoromethyl)pyrazol-1-yl
2,5-dimethyl-4-pyridyl	1-methyl-3-(trifluoromethyl)pyrazol-5-yl
3,6-dichloro-2-pyridyl	1-methyl-4-(trifluoromethyl)imidazol-2-yl
2,5-dichloro-3-pyridyl	

TABLE 8C



R^1	R^1
2-methoxyphenyl	2-bromo-5-chlorophenyl
3-bromophenyl	2,5-dibromophenyl
3-iodophenyl	2-bromo-5-iodophenyl
3-(trifluoromethyl)phenyl	2-bromo-5-methylphenyl
3-(2,2,2-trifluoroethyl)phenyl	2-bromo-5-ethylphenyl
3-(pentafluoroethyl)phenyl	2-bromo-5-propylphenyl
3-cyanophenyl	2-bromo-5-(trifluoromethyl)phenyl
3-nitrophenyl	2-bromo-5-(2,2,2-trifluoroethyl)phenyl
2,5-dichlorophenyl	2-bromo-5-(pentafluoroethyl)phenyl
5-bromo-2-chlorophenyl	2-bromo-5-cyanophenyl
2-chloro-5-iodophenyl	2-bromo-5-nitrophenyl
2-chloro-5-methylphenyl	5-chloro-2-methylphenyl
2-chloro-5-ethylphenyl	5-bromo-2-methylphenyl
2-chloro-5-(trifluoromethyl)phenyl	5-iodo-2-methylphenyl
2-chloro-5-(2,2,2-trifluoroethyl)phenyl	2,5-dimethylphenyl
2-chloro-5-(pentafluoroethyl)phenyl	5-ethyl-2-methylphenyl
2-chloro-5-cyanophenyl	2-methyl-5-propylphenyl
2-chloro-5-nitrophenyl	5-isopropyl-2-methylphenyl

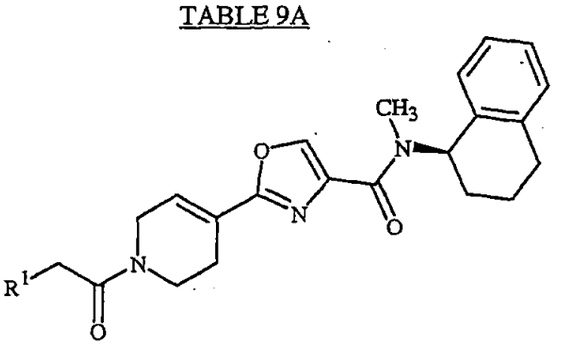
R^1
2-methyl-5-(trifluoromethyl)phenyl
2-methyl-5-(2,2,2-trifluoroethyl)phenyl
2-methyl-5-(pentafluoroethyl)phenyl
5-cyano-2-methylphenyl
2-methyl-5-nitrophenyl
5-chloro-2-methoxyphenyl
5-bromo-2-methoxyphenyl
5-iodo-2-methoxyphenyl
2-methoxy-5-methylphenyl
5-ethyl-2-methoxyphenyl
2-methoxy-5-propylphenyl
2-methoxy-5-(trifluoromethyl)phenyl
2-methoxy-5-(2,2,2-trifluoroethyl)phenyl
2-methoxy-5-(pentafluoroethyl)phenyl
5-cyano-2-methoxyphenyl
2-methoxy-5-nitrophenyl
5-chloro-2-ethylphenyl
5-bromo-2-ethylphenyl
2-ethyl-5-iodophenyl
2-ethyl-5-methylphenyl
2,5-diethylphenyl
2-ethyl-5-propylphenyl
2-ethyl-5-(trifluoromethyl)phenyl
2-ethyl-5-(2,2,2-trifluoroethyl)phenyl
2-ethyl-5-(pentafluoroethyl)phenyl
5-cyano-2-ethylphenyl
2-ethyl-5-nitrophenyl
3-chloropyrazol-1-yl
3-bromopyrazol-1-yl
3-(trifluoromethyl)pyrazol-1-yl
3-(2,2,2-trifluoroethyl)pyrazol-1-yl
3-(pentafluoroethyl)pyrazol-1-yl
3-cyanopyrazol-1-yl

R^1
3-nitropyrazol-1-yl
3,5-dimethylpyrazol-1-yl
3-chloro-5-methylpyrazol-1-yl
3-bromo-5-methylpyrazol-1-yl
3-iodo-5-methylpyrazol-1-yl
3-ethyl-5-methylpyrazol-1-yl
5-methyl-3-propylpyrazol-1-yl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl
5-methyl-3-(2,2,2-trifluoroethyl)pyrazol-1-yl
5-methyl-3-(pentafluoroethyl)pyrazol-1-yl
3-cyano-5-methylpyrazol-1-yl
5-methyl-3-nitropyrazol-1-yl
5-chloro-3-methylpyrazol-1-yl
3,5-dichloropyrazol-1-yl
5-chloro-3-bromopyrazol-1-yl
5-chloro-3-iodopyrazol-1-yl
5-chloro-3-ethylpyrazol-1-yl
5-chloro-3-propylpyrazol-1-yl
5-chloro-3-(trifluoromethyl)pyrazol-1-yl
5-chloro-3-(2,2,2-trifluoroethyl)pyrazol-1-yl
5-chloro-3-(pentafluoroethyl)pyrazol-1-yl
5-chloro-3-cyanopyrazol-1-yl
5-chloro-3-nitropyrazol-1-yl
5-bromo-3-methylpyrazol-1-yl
5-bromo-3-chloropyrazol-1-yl
3,5-dibromopyrazol-1-yl
5-bromo-3-iodopyrazol-1-yl
5-bromo-3-ethylpyrazol-1-yl
5-bromo-3-propylpyrazol-1-yl
5-bromo-3-(trifluoromethyl)pyrazol-1-yl
5-bromo-3-(2,2,2-trifluoroethyl)pyrazol-1-yl
5-bromo-3-(pentafluoroethyl)pyrazol-1-yl
5-ethyl-3-methylpyrazol-1-yl

R^1
3-chloro-5-ethylpyrazol-1-yl
3-bromo-5-ethylpyrazol-1-yl
5-ethyl-3-iodopyrazol-1-yl
3,5-diethylpyrazol-1-yl
5-ethyl-3-propylpyrazol-1-yl
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl
5-ethyl-3-(2,2,2-trifluoroethyl)pyrazol-1-yl
5-ethyl-3-(pentafluoroethyl)pyrazol-1-yl
3,5-dimethyl-2-thienyl
3,5-dichloro-2-thienyl
2,5-dimethyl-3-thienyl

R^1
2,5-dichloro-3-thienyl
3,6-dimethyl-2-pyridyl
2,5-dimethyl-3-pyridyl
2,5-dimethyl-4-pyridyl
3,6-dichloro-2-pyridyl
2,5-dichloro-3-pyridyl
2,5-dichloro-4-pyridyl
3,5-bis-(trifluoromethyl)pyrazol-1-yl
1-methyl-3-(trifluoromethyl)pyrazol-5-yl
1-methyl-4-(trifluoromethyl)imidazol-2-yl

TABLE 9A



R^1
2-methoxyphenyl
3-bromophenyl
3-iodophenyl
3-(trifluoromethyl)phenyl
3-(2,2,2-trifluoroethyl)phenyl
3-(pentafluoroethyl)phenyl
3-cyanophenyl
3-nitrophenyl
2,5-dichlorophenyl
5-bromo-2-chlorophenyl
2-chloro-5-iodophenyl
2-chloro-5-methylphenyl

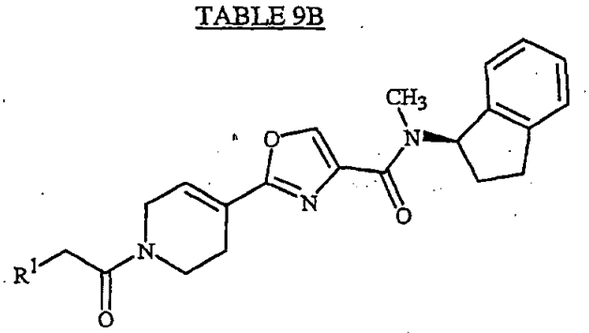
R^1
2-chloro-5-ethylphenyl
2-chloro-5-(trifluoromethyl)phenyl
2-chloro-5-(2,2,2-trifluoroethyl)phenyl
2-chloro-5-(pentafluoroethyl)phenyl
2-chloro-5-cyanophenyl
2-chloro-5-nitrophenyl
2-bromo-5-chlorophenyl
2,5-dibromophenyl
2-bromo-5-iodophenyl
2-bromo-5-methylphenyl
2-bromo-5-ethylphenyl
2-bromo-5-propylphenyl

R^1
2-bromo-5-(trifluoromethyl)phenyl
2-bromo-5-(2,2,2-trifluoroethyl)phenyl
2-bromo-5-(pentafluoroethyl)phenyl
2-bromo-5-cyanophenyl
2-bromo-5-nitrophenyl
5-chloro-2-methylphenyl
5-bromo-2-methylphenyl
5-iodo-2-methylphenyl
2,5-dimethylphenyl
5-ethyl-2-methylphenyl
2-methyl-5-propylphenyl
5-isopropyl-2-methylphenyl
2-methyl-5-(trifluoromethyl)phenyl
2-methyl-5-(2,2,2-trifluoroethyl)phenyl
2-methyl-5-(pentafluoroethyl)phenyl
5-cyano-2-methylphenyl
2-methyl-5-nitrophenyl
5-chloro-2-methoxyphenyl
5-bromo-2-methoxyphenyl
5-iodo-2-methoxyphenyl
2-methoxy-5-methylphenyl
5-ethyl-2-methoxyphenyl
2-methoxy-5-propylphenyl
2-methoxy-5-(trifluoromethyl)phenyl
2-methoxy-5-(2,2,2-trifluoroethyl)phenyl
2-methoxy-5-(pentafluoroethyl)phenyl
5-cyano-2-methoxyphenyl
2-methoxy-5-nitrophenyl
5-chloro-2-ethylphenyl
5-bromo-2-ethylphenyl
2-ethyl-5-iodophenyl
2-ethyl-5-methylphenyl
2,5-diethylphenyl

R^1
2-ethyl-5-propylphenyl
2-ethyl-5-(trifluoromethyl)phenyl
2-ethyl-5-(2,2,2-trifluoroethyl)phenyl
2-ethyl-5-(pentafluoroethyl)phenyl
5-cyano-2-ethylphenyl
2-ethyl-5-nitrophenyl
3-chloropyrazol-1-yl
3-bromopyrazol-1-yl
3-(trifluoromethyl)pyrazol-1-yl
3-(2,2,2-trifluoroethyl)pyrazol-1-yl
3-(pentafluoroethyl)pyrazol-1-yl
3-cyanopyrazol-1-yl
3-nitropyrazol-1-yl
3,5-dimethylpyrazol-1-yl
3-chloro-5-methylpyrazol-1-yl
3-bromo-5-methylpyrazol-1-yl
3-iodo-5-methylpyrazol-1-yl
3-ethyl-5-methylpyrazol-1-yl
5-methyl-3-propylpyrazol-1-yl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl
5-methyl-3-(2,2,2-trifluoroethyl)pyrazol-1-yl
5-methyl-3-(pentafluoroethyl)pyrazol-1-yl
3-cyano-5-methylpyrazol-1-yl
5-methyl-3-nitropyrazol-1-yl
5-chloro-3-methylpyrazol-1-yl
3,5-dichloropyrazol-1-yl
5-chloro-3-bromopyrazol-1-yl
5-chloro-3-iodopyrazol-1-yl
5-chloro-3-ethylpyrazol-1-yl
5-chloro-3-propylpyrazol-1-yl
5-chloro-3-(trifluoromethyl)pyrazol-1-yl
5-chloro-3-(2,2,2-trifluoroethyl)pyrazol-1-yl
5-chloro-3-(pentafluoroethyl)pyrazol-1-yl

R^1	R^1
5-chloro-3-cyanopyrazol-1-yl	5-ethyl-3-(trifluoromethyl)pyrazol-1-yl
5-chloro-3-nitropyrazol-1-yl	5-ethyl-3-(2,2,2-trifluoroethyl)pyrazol-1-yl
5-bromo-3-methylpyrazol-1-yl	5-ethyl-3-(pentafluoroethyl)pyrazol-1-yl
5-bromo-3-chloropyrazol-1-yl	3,5-dimethyl-2-thienyl
3,5-dibromopyrazol-1-yl	3,5-dichloro-2-thienyl
5-bromo-3-iodopyrazol-1-yl	2,5-dimethyl-3-thienyl
5-bromo-3-ethylpyrazol-1-yl	2,5-dichloro-3-thienyl
5-bromo-3-propylpyrazol-1-yl	3,6-dimethyl-2-pyridyl
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	2,5-dimethyl-3-pyridyl
5-bromo-3-(2,2,2-trifluoroethyl)pyrazol-1-yl	2,5-dimethyl-4-pyridyl
5-bromo-3-(pentafluoroethyl)pyrazol-1-yl	3,6-dichloro-2-pyridyl
5-ethyl-3-methylpyrazol-1-yl	2,5-dichloro-3-pyridyl
3-chloro-5-ethylpyrazol-1-yl	2,5-dichloro-4-pyridyl
3-bromo-5-ethylpyrazol-1-yl	3,5-bis-(trifluoromethyl)pyrazol-1-yl
5-ethyl-3-iodopyrazol-1-yl	1-methyl-3-(trifluoromethyl)pyrazol-5-yl
3,5-diethylpyrazol-1-yl	1-methyl-4-(trifluoromethyl)imidazol-2-yl
5-ethyl-3-propylpyrazol-1-yl	

TABLE 9B



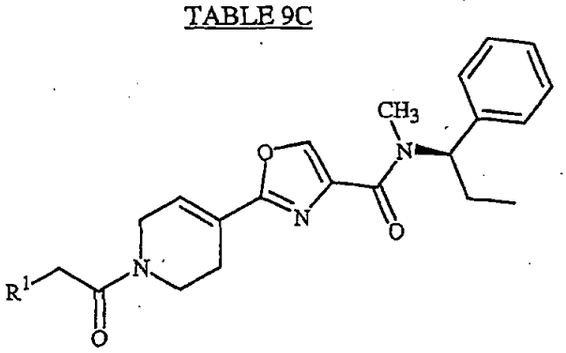
R^1	R^1
2-methoxyphenyl	3-cyanophenyl
3-bromophenyl	3-nitrophenyl
3-iodophenyl	2,5-dichlorophenyl
3-(trifluoromethyl)phenyl	5-bromo-2-chlorophenyl
3-(2,2,2-trifluoroethyl)phenyl	2-chloro-5-iodophenyl
3-(pentafluoroethyl)phenyl	2-chloro-5-methylphenyl

R^1
2-chloro-5-ethylphenyl
2-chloro-5-(trifluoromethyl)phenyl
2-chloro-5-(2,2,2-trifluoroethyl)phenyl
2-chloro-5-(pentafluoroethyl)phenyl
2-chloro-5-cyanophenyl
2-chloro-5-nitrophenyl
2-bromo-5-chlorophenyl
2,5-dibromophenyl
2-bromo-5-iodophenyl
2-bromo-5-methylphenyl
2-bromo-5-ethylphenyl
2-bromo-5-propylphenyl
2-bromo-5-(trifluoromethyl)phenyl
2-bromo-5-(2,2,2-trifluoroethyl)phenyl
2-bromo-5-(pentafluoroethyl)phenyl
2-bromo-5-cyanophenyl
2-bromo-5-nitrophenyl
5-chloro-2-methylphenyl
5-bromo-2-methylphenyl
5-iodo-2-methylphenyl
2,5-dimethylphenyl
5-ethyl-2-methylphenyl
2-methyl-5-propylphenyl
5-isopropyl-2-methylphenyl
2-methyl-5-(trifluoromethyl)phenyl
2-methyl-5-(2,2,2-trifluoroethyl)phenyl
2-methyl-5-(pentafluoroethyl)phenyl
5-cyano-2-methylphenyl
2-methyl-5-nitrophenyl
5-chloro-2-methoxyphenyl
5-bromo-2-methoxyphenyl
5-iodo-2-methoxyphenyl
2-methoxy-5-methylphenyl

R^1
5-ethyl-2-methoxyphenyl
2-methoxy-5-propylphenyl
2-methoxy-5-(trifluoromethyl)phenyl
2-methoxy-5-(2,2,2-trifluoroethyl)phenyl
2-methoxy-5-(pentafluoroethyl)phenyl
5-cyano-2-methoxyphenyl
2-methoxy-5-nitrophenyl
5-chloro-2-ethylphenyl
5-bromo-2-ethylphenyl
2-ethyl-5-iodophenyl
2-ethyl-5-methylphenyl
2,5-diethylphenyl
2-ethyl-5-propylphenyl
2-ethyl-5-(trifluoromethyl)phenyl
2-ethyl-5-(2,2,2-trifluoroethyl)phenyl
2-ethyl-5-(pentafluoroethyl)phenyl
5-cyano-2-ethylphenyl
2-ethyl-5-nitrophenyl
3-chloropyrazol-1-yl
3-bromopyrazol-1-yl
3-(trifluoromethyl)pyrazol-1-yl
3-(2,2,2-trifluoroethyl)pyrazol-1-yl
3-(pentafluoroethyl)pyrazol-1-yl
3-cyanopyrazol-1-yl
3-nitropyrazol-1-yl
3,5-dimethylpyrazol-1-yl
3-chloro-5-methylpyrazol-1-yl
3-bromo-5-methylpyrazol-1-yl
3-iodo-5-methylpyrazol-1-yl
3-ethyl-5-methylpyrazol-1-yl
5-methyl-3-propylpyrazol-1-yl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl
5-methyl-3-(2,2,2-trifluoroethyl)pyrazol-1-yl

R^1	R^1
5-methyl-3-(pentafluoroethyl)pyrazol-1-yl	5-ethyl-3-methylpyrazol-1-yl
3-cyano-5-methylpyrazol-1-yl	3-chloro-5-ethylpyrazol-1-yl
5-methyl-3-nitropyrazol-1-yl	3-bromo-5-ethylpyrazol-1-yl
5-chloro-3-methylpyrazol-1-yl	5-ethyl-3-iodopyrazol-1-yl
3,5-dichloropyrazol-1-yl	3,5-diethylpyrazol-1-yl
5-chloro-3-bromopyrazol-1-yl	5-ethyl-3-propylpyrazol-1-yl
5-chloro-3-iodopyrazol-1-yl	5-ethyl-3-(trifluoromethyl)pyrazol-1-yl
5-chloro-3-ethylpyrazol-1-yl	5-ethyl-3-(2,2,2-trifluoroethyl)pyrazol-1-yl
5-chloro-3-propylpyrazol-1-yl	5-ethyl-3-(pentafluoroethyl)pyrazol-1-yl
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	3,5-dimethyl-2-thienyl
5-chloro-3-(2,2,2-trifluoroethyl)pyrazol-1-yl	3,5-dichloro-2-thienyl
5-chloro-3-(pentafluoroethyl)pyrazol-1-yl	2,5-dimethyl-3-thienyl
5-chloro-3-cyanopyrazol-1-yl	2,5-dichloro-3-thienyl
5-chloro-3-nitropyrazol-1-yl	3,6-dimethyl-2-pyridyl
5-bromo-3-methylpyrazol-1-yl	2,5-dimethyl-3-pyridyl
5-bromo-3-chloropyrazol-1-yl	2,5-dimethyl-4-pyridyl
3,5-dibromopyrazol-1-yl	3,6-dichloro-2-pyridyl
5-bromo-3-iodopyrazol-1-yl	2,5-dichloro-3-pyridyl
5-bromo-3-ethylpyrazol-1-yl	2,5-dichloro-4-pyridyl
5-bromo-3-propylpyrazol-1-yl	3,5-bis-(trifluoromethyl)pyrazol-1-yl
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	1-methyl-3-(trifluoromethyl)pyrazol-5-yl
5-bromo-3-(2,2,2-trifluoroethyl)pyrazol-1-yl	1-methyl-4-(trifluoromethyl)imidazol-2-yl
5-bromo-3-(pentafluoroethyl)pyrazol-1-yl	

TABLE 9C



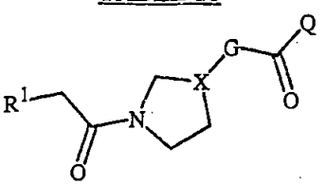
R^1
2-methoxyphenyl
3-bromophenyl
3-iodophenyl
3-(trifluoromethyl)phenyl
3-(2,2,2-trifluoroethyl)phenyl
3-(pentafluoroethyl)phenyl
3-cyanophenyl
3-nitrophenyl
2,5-dichlorophenyl
5-bromo-2-chlorophenyl
2-chloro-5-iodophenyl
2-chloro-5-methylphenyl
2-chloro-5-ethylphenyl
2-chloro-5-(trifluoromethyl)phenyl
2-chloro-5-(2,2,2-trifluoroethyl)phenyl
2-chloro-5-(pentafluoroethyl)phenyl
2-chloro-5-cyanophenyl
2-chloro-5-nitrophenyl
2-bromo-5-chlorophenyl
2,5-dibromophenyl
2-bromo-5-iodophenyl
2-bromo-5-methylphenyl
2-bromo-5-ethylphenyl
2-bromo-5-propylphenyl
2-bromo-5-(trifluoromethyl)phenyl
2-bromo-5-(2,2,2-trifluoroethyl)phenyl
2-bromo-5-(pentafluoroethyl)phenyl
2-bromo-5-cyanophenyl
2-bromo-5-nitrophenyl
5-chloro-2-methylphenyl
5-bromo-2-methylphenyl
5-iodo-2-methylphenyl
2,5-dimethylphenyl

R^1
5-ethyl-2-methylphenyl
2-methyl-5-propylphenyl
5-isopropyl-2-methylphenyl
2-methyl-5-(trifluoromethyl)phenyl
2-methyl-5-(2,2,2-trifluoroethyl)phenyl
2-methyl-5-(pentafluoroethyl)phenyl
5-cyano-2-methylphenyl
2-methyl-5-nitrophenyl
5-chloro-2-methoxyphenyl
5-bromo-2-methoxyphenyl
5-iodo-2-methoxyphenyl
2-methoxy-5-methylphenyl
5-ethyl-2-methoxyphenyl
2-methoxy-5-propylphenyl
2-methoxy-5-(trifluoromethyl)phenyl
2-methoxy-5-(2,2,2-trifluoroethyl)phenyl
2-methoxy-5-(pentafluoroethyl)phenyl
5-cyano-2-methoxyphenyl
2-methoxy-5-nitrophenyl
5-chloro-2-ethylphenyl
5-bromo-2-ethylphenyl
2-ethyl-5-iodophenyl
2-ethyl-5-methylphenyl
2,5-diethylphenyl
2-ethyl-5-propylphenyl
2-ethyl-5-(trifluoromethyl)phenyl
2-ethyl-5-(2,2,2-trifluoroethyl)phenyl
2-ethyl-5-(pentafluoroethyl)phenyl
5-cyano-2-ethylphenyl
2-ethyl-5-nitrophenyl
3-chloropyrazol-1-yl
3-bromopyrazol-1-yl
3-(trifluoromethyl)pyrazol-1-yl

R^1
3-(2,2,2-trifluoroethyl)pyrazol-1-yl
3-(pentafluoroethyl)pyrazol-1-yl
3-cyanopyrazol-1-yl
3-nitropyrazol-1-yl
3,5-dimethylpyrazol-1-yl
3-chloro-5-methylpyrazol-1-yl
3-bromo-5-methylpyrazol-1-yl
3-iodo-5-methylpyrazol-1-yl
3-ethyl-5-methylpyrazol-1-yl
5-methyl-3-propylpyrazol-1-yl
5-methyl-3-(trifluoromethyl)pyrazol-1-yl
5-methyl-3-(2,2,2-trifluoroethyl)pyrazol-1-yl
5-methyl-3-(pentafluoroethyl)pyrazol-1-yl
3-cyano-5-methylpyrazol-1-yl
5-methyl-3-nitropyrazol-1-yl
5-chloro-3-methylpyrazol-1-yl
3,5-dichloropyrazol-1-yl
5-chloro-3-bromopyrazol-1-yl
5-chloro-3-iodopyrazol-1-yl
5-chloro-3-ethylpyrazol-1-yl
5-chloro-3-propylpyrazol-1-yl
5-chloro-3-(trifluoromethyl)pyrazol-1-yl
5-chloro-3-(2,2,2-trifluoroethyl)pyrazol-1-yl
5-chloro-3-(pentafluoroethyl)pyrazol-1-yl
5-chloro-3-cyanopyrazol-1-yl
5-chloro-3-nitropyrazol-1-yl
5-bromo-3-methylpyrazol-1-yl
5-bromo-3-chloropyrazol-1-yl
3,5-dibromopyrazol-1-yl

R^1
5-bromo-3-iodopyrazol-1-yl
5-bromo-3-ethylpyrazol-1-yl
5-bromo-3-propylpyrazol-1-yl
5-bromo-3-(trifluoromethyl)pyrazol-1-yl
5-bromo-3-(2,2,2-trifluoroethyl)pyrazol-1-yl
5-bromo-3-(pentafluoroethyl)pyrazol-1-yl
5-ethyl-3-methylpyrazol-1-yl
3-chloro-5-ethylpyrazol-1-yl
3-bromo-5-ethylpyrazol-1-yl
5-ethyl-3-iodopyrazol-1-yl
3,5-diethylpyrazol-1-yl
5-ethyl-3-propylpyrazol-1-yl
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl
5-ethyl-3-(2,2,2-trifluoroethyl)pyrazol-1-yl
5-ethyl-3-(pentafluoroethyl)pyrazol-1-yl
3,5-dimethyl-2-thienyl
3,5-dichloro-2-thienyl
2,5-dimethyl-3-thienyl
2,5-dichloro-3-thienyl
3,6-dimethyl-2-pyridyl
2,5-dimethyl-3-pyridyl
2,5-dimethyl-4-pyridyl
3,6-dichloro-2-pyridyl
2,5-dichloro-3-pyridyl
2,5-dichloro-4-pyridyl
3,5-bis-(trifluoromethyl)pyrazol-1-yl
1-methyl-3-(trifluoromethyl)pyrazol-5-yl
1'-methyl-4-(trifluoromethyl)imidazol-2-yl

TABLE 10



R^1	X*	G**	Q
2,5-dichlorophenyl	X^1	G-26	(1*R*)-*N*-methyl-1-phenylpropylamino
2-chloro-5-(trifluoromethyl)phenyl	X^1	G-26	(1*R*)-*N*-methyl-1-phenylpropylamino
2,5-dimethylphenyl	X^1	G-26	(1*R*)-*N*-methyl-1-phenylpropylamino
2-methyl-5-(trifluoromethyl)phenyl	X^1	G-26	(1*R*)-*N*-methyl-1-phenylpropylamino
3,5-dimethylpyrazol-1-yl	X^1	G-26	(1*R*)-*N*-methyl-1-phenylpropylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-26	(1*R*)-*N*-methyl-1-phenylpropylamino
3,5-dichloropyrazol-1-yl	X^1	G-26	(1*R*)-*N*-methyl-1-phenylpropylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-26	(1*R*)-*N*-methyl-1-phenylpropylamino
3,5-dibromopyrazol-1-yl	X^1	G-26	(1*R*)-*N*-methyl-1-phenylpropylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-26	(1*R*)-*N*-methyl-1-phenylpropylamino
3,5-diethylpyrazol-1-yl	X^1	G-26	(1*R*)-*N*-methyl-1-phenylpropylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-26	(1*R*)-*N*-methyl-1-phenylpropylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X^1	G-26	(1*R*)-*N*-methyl-1-phenylpropylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X^1	G-26	(1*R*)-*N*-methyl-1-phenylpropylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X^1	G-26	(1*R*)-*N*-methyl-1-phenylpropylamino
2,5-dichlorophenyl	X^1	G-26	(1*R*)-*N*-methyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2-chloro-5-(trifluoromethyl)phenyl	X^1	G-26	(1*R*)-*N*-methyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dimethylphenyl	X^1	G-26	(1*R*)-*N*-methyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2-methyl-5-(trifluoromethyl)phenyl	X^1	G-26	(1*R*)-*N*-methyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dimethylpyrazol-1-yl	X^1	G-26	(1*R*)-*N*-methyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-26	(1*R*)-*N*-methyl-1,2,3,4-tetrahydro-1-naphthalenylamino

R^1	X*	G**	Q
3,5-dichloropyrazol-1-yl	X^1	G-26	(1*R*)-*N*-methyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-26	(1*R*)-*N*-methyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dibromopyrazol-1-yl	X^1	G-26	(1*R*)-*N*-methyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-26	(1*R*)-*N*-methyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-diethylpyrazol-1-yl	X^1	G-26	(1*R*)-*N*-methyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-26	(1*R*)-*N*-methyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X^1	G-26	(1*R*)-*N*-methyl-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X^1	G-26	(1*R*)-*N*-methyl-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X^1	G-26	(1*R*)-*N*-methyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dichlorophenyl	X^1	G-26	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
2-chloro-5-(trifluoromethyl)phenyl	X^1	G-26	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dimethylphenyl	X^1	G-26	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
2-methyl-5-(trifluoromethyl)phenyl	X^1	G-26	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dimethylpyrazol-1-yl	X^1	G-26	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-26	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dichloropyrazol-1-yl	X^1	G-26	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-26	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dibromopyrazol-1-yl	X^1	G-26	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino

R^1	X*	G**	Q
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-26	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-diethylpyrazol-1-yl	X^1	G-26	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-26	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X^1	G-26	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X^1	G-26	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X^1	G-26	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dichlorophenyl	X^1	G-26	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2-chloro-5-(trifluoromethyl)phenyi	X^1	G-26	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dimethylphenyl	X^1	G-26	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2-methyl-5-(trifluoromethyl)phenyl	X^1	G-26	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dimethylpyrazol-1-yl	X^1	G-26	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-26	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dichloropyrazol-1-yl	X^1	G-26	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-26	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dibromopyrazol-1-yl	X^1	G-26	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-26	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-diethylpyrazol-1-yl	X^1	G-26	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-26	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino

R^1	X*	G**	Q
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X^1	G-26	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X^1	G-26	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X^1	G-26	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dichlorophenyl	X^1	G-26	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2-chloro-5-(trifluoromethyl)phenyl	X^1	G-26	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dimethylphenyl	X^1	G-26	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2-methyl-5-(trifluoromethyl)phenyl	X^1	G-26	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dimethylpyrazol-1-yl	X^1	G-26	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-26	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dichloropyrazol-1-yl	X^1	G-26	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-26	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dibromopyrazol-1-yl	X^1	G-26	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-26	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-diethylpyrazol-1-yl	X^1	G-26	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-26	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X^1	G-26	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X^1	G-26	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X^1	G-26	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino

R^1	X*	G**	Q
2,5-dichlorophenyl	X^1	G-26	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
2-chloro-5-(trifluoromethyl)phenyl	X^1	G-26	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dimethylphenyl	X^1	G-26	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
2-methyl-5-(trifluoromethyl)phenyl	X^1	G-26	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dimethylpyrazol-1-yl	X^1	G-26	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-26	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dichloropyrazol-1-yl	X^1	G-26	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-26	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dibromopyrazol-1-yl	X^1	G-26	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-26	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-diethylpyrazol-1-yl	X^1	G-26	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-26	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X^1	G-26	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X^1	G-26	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X^1	G-26	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dichlorophenyl	X^1	G-26	(1*R*)-*N*-methyl-1-indanylamino
2-chloro-5-(trifluoromethyl)phenyl	X^1	G-26	(1*R*)-*N*-methyl-1-indanylamino
2,5-dimethylphenyl	X^1	G-26	(1*R*)-*N*-methyl-1-indanylamino
2-methyl-5-(trifluoromethyl)phenyl	X^1	G-26	(1*R*)-*N*-methyl-1-indanylamino
3,5-dimethylpyrazol-1-yl	X^1	G-26	(1*R*)-*N*-methyl-1-indanylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-26	(1*R*)-*N*-methyl-1-indanylamino

R^1	X*	G**	Q
3,5-dichloropyrazol-1-yl	X^1	G-26	(1*R*)-*N*-methyl-1-indanylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-26	(1*R*)-*N*-methyl-1-indanylamino
3,5-dibromopyrazol-1-yl	X^1	G-26	(1*R*)-*N*-methyl-1-indanylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-26	(1*R*)-*N*-methyl-1-indanylamino
3,5-diethylpyrazol-1-yl	X^1	G-26	(1*R*)-*N*-methyl-1-indanylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-26	(1*R*)-*N*-methyl-1-indanylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X^1	G-26	(1*R*)-*N*-methyl-1-indanylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X^1	G-26	(1*R*)-*N*-methyl-1-indanylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X^1	G-26	(1*R*)-*N*-methyl-1-indanylamino
2,5-dichlorophenyl	X^1	G-26	*N*,2-dimethyl-1-indanylamino
2-chloro-5-(trifluoromethyl)phenyl	X^1	G-26	*N*,2-dimethyl-1-indanylamino
2,5-dimethylphenyl	X^1	G-26	*N*,2-dimethyl-1-indanylamino
2-methyl-5-(trifluoromethyl)phenyl	X^1	G-26	*N*,2-dimethyl-1-indanylamino
3,5-dimethylpyrazol-1-yl	X^1	G-26	*N*,2-dimethyl-1-indanylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-26	*N*,2-dimethyl-1-indanylamino
3,5-dichloropyrazol-1-yl	X^1	G-26	*N*,2-dimethyl-1-indanylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-26	*N*,2-dimethyl-1-indanylamino
3,5-dibromopyrazol-1-yl	X^1	G-26	*N*,2-dimethyl-1-indanylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-26	*N*,2-dimethyl-1-indanylamino
3,5-diethylpyrazol-1-yl	X^1	G-26	*N*,2-dimethyl-1-indanylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-26	*N*,2-dimethyl-1-indanylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X^1	G-26	*N*,2-dimethyl-1-indanylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X^1	G-26	*N*,2-dimethyl-1-indanylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X^1	G-26	*N*,2-dimethyl-1-indanylamino
2,5-dichlorophenyl	X^1	G-26	*N*,2,2-trimethyl-1-indanylamino
2-chloro-5-(trifluoromethyl)phenyl	X^1	G-26	*N*,2,2-trimethyl-1-indanylamino
2,5-dimethylphenyl	X^1	G-26	*N*,2,2-trimethyl-1-indanylamino
2-methyl-5-(trifluoromethyl)phenyl	X^1	G-26	*N*,2,2-trimethyl-1-indanylamino
3,5-dimethylpyrazol-1-yl	X^1	G-26	*N*,2,2-trimethyl-1-indanylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-26	*N*,2,2-trimethyl-1-indanylamino
3,5-dichloropyrazol-1-yl	X^1	G-26	*N*,2,2-trimethyl-1-indanylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-26	*N*,2,2-trimethyl-1-indanylamino
3,5-dibromopyrazol-1-yl	X^1	G-26	*N*,2,2-trimethyl-1-indanylamino

R^1	X*	G**	Q
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-26	*N*,2,2-trimethyl-1-indanylamino
3,5-diethylpyrazol-1-yl	X^1	G-26	*N*,2,2-trimethyl-1-indanylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-26	*N*,2,2-trimethyl-1-indanylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X^1	G-26	*N*,2,2-trimethyl-1-indanylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X^1	G-26	*N*,2,2-trimethyl-1-indanylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X^1	G-26	*N*,2,2-trimethyl-1-indanylamino
2,5-dichlorophenyl	X^1	G-26	*N*-methyl-3-hydroxy-1-indanylamino
2-chloro-5-(trifluoromethyl)phenyl	X^1	G-26	*N*-methyl-3-hydroxy-1-indanylamino
2,5-dimethylphenyl	X^1	G-26	*N*-methyl-3-hydroxy-1-indanylamino
2-methyl-5-(trifluoromethyl)phenyl	X^1	G-26	*N*-methyl-3-hydroxy-1-indanylamino
3,5-dimethylpyrazol-1-yl	X^1	G-26	*N*-methyl-3-hydroxy-1-indanylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-26	*N*-methyl-3-hydroxy-1-indanylamino
3,5-dichloropyrazol-1-yl	X^1	G-26	*N*-methyl-3-hydroxy-1-indanylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-26	*N*-methyl-3-hydroxy-1-indanylamino
3,5-dibromopyrazol-1-yl	X^1	G-26	*N*-methyl-3-hydroxy-1-indanylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-26	*N*-methyl-3-hydroxy-1-indanylamino
3,5-diethylpyrazol-1-yl	X^1	G-26	*N*-methyl-3-hydroxy-1-indanylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-26	*N*-methyl-3-hydroxy-1-indanylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X^1	G-26	*N*-methyl-3-hydroxy-1-indanylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X^1	G-26	*N*-methyl-3-hydroxy-1-indanylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X^1	G-26	*N*-methyl-3-hydroxy-1-indanylamino
2,5-dichlorophenyl	X^1	G-26	*N*-methyl-3-oxo-1-indanylamino
2-chloro-5-(trifluoromethyl)phenyl	X^1	G-26	*N*-methyl-3-oxo-1-indanylamino
2,5-dimethylphenyl	X^1	G-26	*N*-methyl-3-oxo-1-indanylamino
2-methyl-5-(trifluoromethyl)phenyl	X^1	G-26	*N*-methyl-3-oxo-1-indanylamino
3,5-dimethylpyrazol-1-yl	X^1	G-26	*N*-methyl-3-oxo-1-indanylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-26	*N*-methyl-3-oxo-1-indanylamino
3,5-dichloropyrazol-1-yl	X^1	G-26	*N*-methyl-3-oxo-1-indanylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-26	*N*-methyl-3-oxo-1-indanylamino
3,5-dibromopyrazol-1-yl	X^1	G-26	*N*-methyl-3-oxo-1-indanylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-26	*N*-methyl-3-oxo-1-indanylamino
3,5-diethylpyrazol-1-yl	X^1	G-26	*N*-methyl-3-oxo-1-indanylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-26	*N*-methyl-3-oxo-1-indanylamino

R^1	X*	G**	Q
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X^1	G-26	*N*-methyl-3-oxo-1-indanylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X^1	G-26	*N*-methyl-3-oxo-1-indanylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X^1	G-26	*N*-methyl-3-oxo-1-indanylamino
2,5-dichlorophenyl	X^1	G-27	(1*R*)-*N*-methyl-1-phenylpropylamino
2-chloro-5-(trifluoromethyl)phenyl	X^1	G-27	(1*R*)-*N*-methyl-1-phenylpropylamino
2,5-dimethylphenyl	X^1	G-27	(1*R*)-*N*-methyl-1-phenylpropylamino
2-methyl-5-(trifluoromethyl)phenyl	X^1	G-27	(1*R*)-*N*-methyl-1-phenylpropylamino
3,5-dimethylpyrazol-1-yl	X^1	G-27	(1*R*)-*N*-methyl-1-phenylpropylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-27	(1*R*)-*N*-methyl-1-phenylpropylamino
3,5-dichloropyrazol-1-yl	X^1	G-27	(1*R*)-*N*-methyl-1-phenylpropylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-27	(1*R*)-*N*-methyl-1-phenylpropylamino
3,5-dibromopyrazol-1-yl	X^1	G-27	(1*R*)-*N*-methyl-1-phenylpropylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-27	(1*R*)-*N*-methyl-1-phenylpropylamino
3,5-diethylpyrazol-1-yl	X^1	G-27	(1*R*)-*N*-methyl-1-phenylpropylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-27	(1*R*)-*N*-methyl-1-phenylpropylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X^1	G-27	(1*R*)-*N*-methyl-1-phenylpropylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X^1	G-27	(1*R*)-*N*-methyl-1-phenylpropylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X^1	G-27	(1*R*)-*N*-methyl-1-phenylpropylamino
2,5-dichlorophenyl	X^1	G-27	(1*R*)-*N*-methyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2-chloro-5-(trifluoromethyl)phenyl	X^1	G-27	(1*R*)-*N*-methyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dimethylphenyl	X^1	G-27	(1*R*)-*N*-methyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2-methyl-5-(trifluoromethyl)phenyl	X^1	G-27	(1*R*)-*N*-methyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dimethylpyrazol-1-yl	X^1	G-27	(1*R*)-*N*-methyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-27	(1*R*)-*N*-methyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dichloropyrazol-1-yl	X^1	G-27	(1*R*)-*N*-methyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-27	(1*R*)-*N*-methyl-1,2,3,4-tetrahydro-1-naphthalenylamino

R^1	X*	G**	Q
3,5-dibromopyrazol-1-yl	X^1	G-27	(1*R*)-*N*-methyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-27	(1*R*)-*N*-methyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-diethylpyrazol-1-yl	X^1	G-27	(1*R*)-*N*-methyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-27	(1*R*)-*N*-methyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X^1	G-27	(1*R*)-*N*-methyl-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X^1	G-27	(1*R*)-*N*-methyl-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X^1	G-27	(1*R*)-*N*-methyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dichlorophenyl	X^1	G-27	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
2-chloro-5-(trifluoromethyl)phenyl	X^1	G-27	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dimethylphenyl	X^1	G-27	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
2-methyl-5-(trifluoromethyl)phenyl	X^1	G-27	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dimethylpyrazol-1-yl	X^1	G-27	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-27	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dichloropyrazol-1-yl	X^1	G-27	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-27	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dibromopyrazol-1-yl	X^1	G-27	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-27	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-diethylpyrazol-1-yl	X^1	G-27	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino

R^1	X*	G**	Q
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-27	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X^1	G-27	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X^1	G-27	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X^1	G-27	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dichlorophenyl	X^1	G-27	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2-chloro-5-(trifluoromethyl)phenyl	X^1	G-27	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dimethylphenyl	X^1	G-27	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2-methyl-5-(trifluoromethyl)phenyl	X^1	G-27	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dimethylpyrazol-1-yl	X^1	G-27	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-27	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dichloropyrazol-1-yl	X^1	G-27	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-27	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dibromopyrazol-1-yl	X^1	G-27	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-27	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-diethylpyrazol-1-yl	X^1	G-27	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-27	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X^1	G-27	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X^1	G-27	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino

R^1	X*	G**	Q
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X^1	G-27	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dichlorophenyl	X^1	G-27	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2-chloro-5-(trifluoromethyl)phenyl	X^1	G-27	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dimethylphenyl	X^1	G-27	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2-methyl-5-(trifluoromethyl)phenyl	X^1	G-27	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dimethylpyrazol-1-yl	X^1	G-27	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-27	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dichloropyrazol-1-yl	X^1	G-27	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-27	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dibromopyrazol-1-yl	X^1	G-27	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-27	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-diethylpyrazol-1-yl	X^1	G-27	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-27	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X^1	G-27	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X^1	G-27	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X^1	G-27	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dichlorophenyl	X^1	G-27	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
2-chloro-5-(trifluoromethyl)phenyl	X^1	G-27	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino

R^1	X*	G**	Q
2,5-dimethylphenyl	X^1	G-27	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
2-methyl-5-(trifluoromethyl)phenyl	X^1	G-27	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dimethylpyrazol-1-yl	X^1	G-27	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-27	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dichloropyrazol-1-yl	X^1	G-27	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-27	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dibromopyrazol-1-yl	X^1	G-27	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-27	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-diethylpyrazol-1-yl	X^1	G-27	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-27	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X^1	G-27	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X^1	G-27	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X^1	G-27	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dichlorophenyl	X^1	G-27	(1*R*)-*N*-methyl-1-indanylamino
2-chloro-5-(trifluoromethyl)phenyl	X^1	G-27	(1*R*)-*N*-methyl-1-indanylamino
2,5-dimethylphenyl	X^1	G-27	(1*R*)-*N*-methyl-1-indanylamino
2-methyl-5-(trifluoromethyl)phenyl	X^1	G-27	(1*R*)-*N*-methyl-1-indanylamino
3,5-dimethylpyrazol-1-yl	X^1	G-27	(1*R*)-*N*-methyl-1-indanylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-27	(1*R*)-*N*-methyl-1-indanylamino
3,5-dichloropyrazol-1-yl	X^1	G-27	(1*R*)-*N*-methyl-1-indanylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-27	(1*R*)-*N*-methyl-1-indanylamino
3,5-dibromopyrazol-1-yl	X^1	G-27	(1*R*)-*N*-methyl-1-indanylamino

R^1	X*	G**	Q
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-27	(1*R*)-*N*-methyl-1-indanylamino
3,5-diethylpyrazol-1-yl	X^1	G-27	(1*R*)-*N*-methyl-1-indanylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-27	(1*R*)-*N*-methyl-1-indanylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X^1	G-27	(1*R*)-*N*-methyl-1-indanylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X^1	G-27	(1*R*)-*N*-methyl-1-indanylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X^1	G-27	(1*R*)-*N*-methyl-1-indanylamino
2,5-dichlorophenyl	X^1	G-27	*N*,2-dimethyl-1-indanylamino
2-chloro-5-(trifluoromethyl)phenyl	X^1	G-27	*N*,2-dimethyl-1-indanylamino
2,5-dimethylphenyl	X^1	G-27	*N*,2-dimethyl-1-indanylamino
2-methyl-5-(trifluoromethyl)phenyl	X^1	G-27	*N*,2-dimethyl-1-indanylamino
3,5-dimethylpyrazol-1-yl	X^1	G-27	*N*,2-dimethyl-1-indanylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-27	*N*,2-dimethyl-1-indanylamino
3,5-dichloropyrazol-1-yl	X^1	G-27	*N*,2-dimethyl-1-indanylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-27	*N*,2-dimethyl-1-indanylamino
3,5-dibromopyrazol-1-yl	X^1	G-27	*N*,2-dimethyl-1-indanylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-27	*N*,2-dimethyl-1-indanylamino
3,5-diethylpyrazol-1-yl	X^1	G-27	*N*,2-dimethyl-1-indanylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-27	*N*,2-dimethyl-1-indanylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X^1	G-27	*N*,2-dimethyl-1-indanylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X^1	G-27	*N*,2-dimethyl-1-indanylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X^1	G-27	*N*,2-dimethyl-1-indanylamino
2,5-dichlorophenyl	X^1	G-27	*N*,2,2-trimethyl-1-indanylamino
2-chloro-5-(trifluoromethyl)phenyl	X^1	G-27	*N*,2,2-trimethyl-1-indanylamino
2,5-dimethylphenyl	X^1	G-27	*N*,2,2-trimethyl-1-indanylamino
2-methyl-5-(trifluoromethyl)phenyl	X^1	G-27	*N*,2,2-trimethyl-1-indanylamino
3,5-dimethylpyrazol-1-yl	X^1	G-27	*N*,2,2-trimethyl-1-indanylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-27	*N*,2,2-trimethyl-1-indanylamino
3,5-dichloropyrazol-1-yl	X^1	G-27	*N*,2,2-trimethyl-1-indanylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-27	*N*,2,2-trimethyl-1-indanylamino
3,5-dibromopyrazol-1-yl	X^1	G-27	*N*,2,2-trimethyl-1-indanylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-27	*N*,2,2-trimethyl-1-indanylamino
3,5-diethylpyrazol-1-yl	X^1	G-27	*N*,2,2-trimethyl-1-indanylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-27	*N*,2,2-trimethyl-1-indanylamino

R^1	X*	G**	Q
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X^1	G-27	*N*,2,2-trimethyl-1-indanylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X^1	G-27	*N*,2,2-trimethyl-1-indanylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X^1	G-27	*N*,2,2-trimethyl-1-indanylamino
2,5-dichlorophenyl	X^1	G-27	*N*-methyl-3-hydroxy-1-indanylamino
2-chloro-5-(trifluoromethyl)phenyl	X^1	G-27	*N*-methyl-3-hydroxy-1-indanylamino
2,5-dimethylphenyl	X^1	G-27	*N*-methyl-3-hydroxy-1-indanylamino
2-methyl-5-(trifluoromethyl)phenyl	X^1	G-27	*N*-methyl-3-hydroxy-1-indanylamino
3,5-dimethylpyrazol-1-yl	X^1	G-27	*N*-methyl-3-hydroxy-1-indanylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-27	*N*-methyl-3-hydroxy-1-indanylamino
3,5-dichloropyrazol-1-yl	X^1	G-27	*N*-methyl-3-hydroxy-1-indanylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-27	*N*-methyl-3-hydroxy-1-indanylamino
3,5-dibromopyrazol-1-yl	X^1	G-27	*N*-methyl-3-hydroxy-1-indanylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-27	*N*-methyl-3-hydroxy-1-indanylamino
3,5-diethylpyrazol-1-yl	X^1	G-27	*N*-methyl-3-hydroxy-1-indanylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-27	*N*-methyl-3-hydroxy-1-indanylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X^1	G-27	*N*-methyl-3-hydroxy-1-indanylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X^1	G-27	*N*-methyl-3-hydroxy-1-indanylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X^1	G-27	*N*-methyl-3-hydroxy-1-indanylamino
2,5-dichlorophenyl	X^1	G-27	*N*-methyl-3-oxo-1-indanylamino
2-chloro-5-(trifluoromethyl)phenyl	X^1	G-27	*N*-methyl-3-oxo-1-indanylamino
2,5-dimethylphenyl	X^1	G-27	*N*-methyl-3-oxo-1-indanylamino
2-methyl-5-(trifluoromethyl)phenyl	X^1	G-27	*N*-methyl-3-oxo-1-indanylamino
3,5-dimethylpyrazol-1-yl	X^1	G-27	*N*-methyl-3-oxo-1-indanylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-27	*N*-methyl-3-oxo-1-indanylamino
3,5-dichloropyrazol-1-yl	X^1	G-27	*N*-methyl-3-oxo-1-indanylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-27	*N*-methyl-3-oxo-1-indanylamino
3,5-dibromopyrazol-1-yl	X^1	G-27	*N*-methyl-3-oxo-1-indanylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-27	*N*-methyl-3-oxo-1-indanylamino
3,5-diethylpyrazol-1-yl	X^1	G-27	*N*-methyl-3-oxo-1-indanylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-27	*N*-methyl-3-oxo-1-indanylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X^1	G-27	*N*-methyl-3-oxo-1-indanylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X^1	G-27	*N*-methyl-3-oxo-1-indanylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X^1	G-27	*N*-methyl-3-oxo-1-indanylamino

R^1	X*	G**	Q
2,5-dichlorophenyl	X^1	G-36	(1*R*)-*N*-methyl-1-phenylpropylamino
2-chloro-5-(trifluoromethyl)phenyl	X^1	G-36	(1*R*)-*N*-methyl-1-phenylpropylamino
2,5-dimethylphenyl	X^1	G-36	(1*R*)-*N*-methyl-1-phenylpropylamino
2-methyl-5-(trifluoromethyl)phenyl	X^1	G-36	(1*R*)-*N*-methyl-1-phenylpropylamino
3,5-dimethylpyrazol-1-yl	X^1	G-36	(1*R*)-*N*-methyl-1-phenylpropylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-36	(1*R*)-*N*-methyl-1-phenylpropylamino
3,5-dichloropyrazol-1-yl	X^1	G-36	(1*R*)-*N*-methyl-1-phenylpropylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-36	(1*R*)-*N*-methyl-1-phenylpropylamino
3,5-dibromopyrazol-1-yl	X^1	G-36	(1*R*)-*N*-methyl-1-phenylpropylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-36	(1*R*)-*N*-methyl-1-phenylpropylamino
3,5-diethylpyrazol-1-yl	X^1	G-36	(1*R*)-*N*-methyl-1-phenylpropylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-36	(1*R*)-*N*-methyl-1-phenylpropylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X^1	G-36	(1*R*)-*N*-methyl-1-phenylpropylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X^1	G-36	(1*R*)-*N*-methyl-1-phenylpropylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X^1	G-36	(1*R*)-*N*-methyl-1-phenylpropylamino
2,5-dichlorophenyl	X^1	G-36	(1*R*)-*N*-methyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2-chloro-5-(trifluoromethyl)phenyl	X^1	G-36	(1*R*)-*N*-methyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dimethylphenyl	X^1	G-36	(1*R*)-*N*-methyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2-methyl-5-(trifluoromethyl)phenyl	X^1	G-36	(1*R*)-*N*-methyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dimethylpyrazol-1-yl	X^1	G-36	(1*R*)-*N*-methyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-36	(1*R*)-*N*-methyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dichloropyrazol-1-yl	X^1	G-36	(1*R*)-*N*-methyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-36	(1*R*)-*N*-methyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dibromopyrazol-1-yl	X^1	G-36	(1*R*)-*N*-methyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-36	(1*R*)-*N*-methyl-1,2,3,4-tetrahydro-1-naphthalenylamino

R^1	X*	G**	Q
3,5-diethylpyrazol-1-yl	X^1	G-36	(1*R*)-*N*-methyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-36	(1*R*)-*N*-methyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X^1	G-36	(1*R*)-*N*-methyl-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X^1	G-36	(1*R*)-*N*-methyl-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X^1	G-36	(1*R*)-*N*-methyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dichlorophenyl	X^1	G-36	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
2-chloro-5-(trifluoromethyl)phenyl	X^1	G-36	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dimethylphenyl	X^1	G-36	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
2-methyl-5-(trifluoromethyl)phenyl	X^1	G-36	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dimethylpyrazol-1-yl	X^1	G-36	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-36	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dichloropyrazol-1-yl	X^1	G-36	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-36	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dibromopyrazol-1-yl	X^1	G-36	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-36	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-diethylpyrazol-1-yl	X^1	G-36	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-36	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X^1	G-36	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino

R^1	X*	G**	Q
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X^1	G-36	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X^1	G-36	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dichlorophenyl	X^1	G-36	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2-chloro-5-(trifluoromethyl)phenyl	X^1	G-36	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dimethylphenyl	X^1	G-36	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2-methyl-5-(trifluoromethyl)phenyl	X^1	G-36	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dimethylpyrazol-1-yl	X^1	G-36	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-36	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dichloropyrazol-1-yl	X^1	G-36	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-36	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dibromopyrazol-1-yl	X^1	G-36	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-36	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-diethylpyrazol-1-yl	X^1	G-36	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-36	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X^1	G-36	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X^1	G-36	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X^1	G-36	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dichlorophenyl	X^1	G-36	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino

R^1	X*	G**	Q
2-chloro-5-(trifluoromethyl)phenyl	X^1	G-36	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dimethylphenyl	X^1	G-36	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2-methyl-5-(trifluoromethyl)phenyl	X^1	G-36	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dimethylpyrazol-1-yl	X^1	G-36	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-36	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dichloropyrazol-1-yl	X^1	G-36	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-36	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dibromopyrazol-1-yl	X^1	G-36	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-36	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-diethylpyrazol-1-yl	X^1	G-36	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-36	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X^1	G-36	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X^1	G-36	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X^1	G-36	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dichlorophenyl	X^1	G-36	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
2-chloro-5-(trifluoromethyl)phenyl	X^1	G-36	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dimethylphenyl	X^1	G-36	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
2-methyl-5-(trifluoromethyl)phenyl	X^1	G-36	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino

R^1	X*	G**	Q
3,5-dimethylpyrazol-1-yl	X^1	G-36	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-36	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dichloropyrazol-1-yl	X^1	G-36	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-36	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dibromopyrazol-1-yl	X^1	G-36	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-36	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-diethylpyrazol-1-yl	X^1	G-36	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-36	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X^1	G-36	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X^1	G-36	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X^1	G-36	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dichlorophenyl	X^1	G-36	(1*R*)-*N*-methyl-1-indanylamino
2-chloro-5-(trifluoromethyl)phenyl	X^1	G-36	(1*R*)-*N*-methyl-1-indanylamino
2,5-dimethylphenyl	X^1	G-36	(1*R*)-*N*-methyl-1-indanylamino
2-methyl-5-(trifluoromethyl)phenyl	X^1	G-36	(1*R*)-*N*-methyl-1-indanylamino
3,5-dimethylpyrazol-1-yl	X^1	G-36	(1*R*)-*N*-methyl-1-indanylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-36	(1*R*)-*N*-methyl-1-indanylamino
3,5-dichloropyrazol-1-yl	X^1	G-36	(1*R*)-*N*-methyl-1-indanylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-36	(1*R*)-*N*-methyl-1-indanylamino
3,5-dibromopyrazol-1-yl	X^1	G-36	(1*R*)-*N*-methyl-1-indanylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-36	(1*R*)-*N*-methyl-1-indanylamino
3,5-diethylpyrazol-1-yl	X^1	G-36	(1*R*)-*N*-methyl-1-indanylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-36	(1*R*)-*N*-methyl-1-indanylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X^1	G-36	(1*R*)-*N*-methyl-1-indanylamino

R^1	X*	G**	Q
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X^1	G-36	(1*R*)-*N*-methyl-1-indanylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X^1	G-36	(1*R*)-*N*-methyl-1-indanylamino
2,5-dichlorophenyl	X^1	G-36	*N*,2-dimethyl-1-indanylamino
2-chloro-5-(trifluoromethyl)phenyl	X^1	G-36	*N*,2-dimethyl-1-indanylamino
2,5-dimethylphenyl	X^1	G-36	*N*,2-dimethyl-1-indanylamino
2-methyl-5-(trifluoromethyl)phenyl	X^1	G-36	*N*,2-dimethyl-1-indanylamino
3,5-dimethylpyrazol-1-yl	X^1	G-36	*N*,2-dimethyl-1-indanylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-36	*N*,2-dimethyl-1-indanylamino
3,5-dichloropyrazol-1-yl	X^1	G-36	*N*,2-dimethyl-1-indanylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-36	*N*,2-dimethyl-1-indanylamino
3,5-dibromopyrazol-1-yl	X^1	G-36	*N*,2-dimethyl-1-indanylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-36	*N*,2-dimethyl-1-indanylamino
3,5-diethylpyrazol-1-yl	X^1	G-36	*N*,2-dimethyl-1-indanylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-36	*N*,2-dimethyl-1-indanylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X^1	G-36	*N*,2-dimethyl-1-indanylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X^1	G-36	*N*,2-dimethyl-1-indanylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X^1	G-36	*N*,2-dimethyl-1-indanylamino
2,5-dichlorophenyl	X^1	G-36	*N*,2,2-trimethyl-1-indanylamino
2-chloro-5-(trifluoromethyl)phenyl	X^1	G-36	*N*,2,2-trimethyl-1-indanylamino
2,5-dimethylphenyl	X^1	G-36	*N*,2,2-trimethyl-1-indanylamino
2-methyl-5-(trifluoromethyl)phenyl	X^1	G-36	*N*,2,2-trimethyl-1-indanylamino
3,5-dimethylpyrazol-1-yl	X^1	G-36	*N*,2,2-trimethyl-1-indanylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-36	*N*,2,2-trimethyl-1-indanylamino
3,5-dichloropyrazol-1-yl	X^1	G-36	*N*,2,2-trimethyl-1-indanylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-36	*N*,2,2-trimethyl-1-indanylamino
3,5-dibromopyrazol-1-yl	X^1	G-36	*N*,2,2-trimethyl-1-indanylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-36	*N*,2,2-trimethyl-1-indanylamino
3,5-diethylpyrazol-1-yl	X^1	G-36	*N*,2,2-trimethyl-1-indanylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-36	*N*,2,2-trimethyl-1-indanylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X^1	G-36	*N*,2,2-trimethyl-1-indanylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X^1	G-36	*N*,2,2-trimethyl-1-indanylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X^1	G-36	*N*,2,2-trimethyl-1-indanylamino
2,5-dichlorophenyl	X^1	G-36	*N*-methyl-3-hydroxy-1-indanylamino

R^1	X*	G**	Q
2-chloro-5-(trifluoromethyl)phenyl	X^1	G-36	*N*-methyl-3-hydroxy-1-indanylamino
2,5-dimethylphenyl	X^1	G-36	*N*-methyl-3-hydroxy-1-indanylamino
2-methyl-5-(trifluoromethyl)phenyl	X^1	G-36	*N*-methyl-3-hydroxy-1-indanylamino
3,5-dimethylpyrazol-1-yl	X^1	G-36	*N*-methyl-3-hydroxy-1-indanylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-36	*N*-methyl-3-hydroxy-1-indanylamino
3,5-dichloropyrazol-1-yl	X^1	G-36	*N*-methyl-3-hydroxy-1-indanylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-36	*N*-methyl-3-hydroxy-1-indanylamino
3,5-dibromopyrazol-1-yl	X^1	G-36	*N*-methyl-3-hydroxy-1-indanylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-36	*N*-methyl-3-hydroxy-1-indanylamino
3,5-diethylpyrazol-1-yl	X^1	G-36	*N*-methyl-3-hydroxy-1-indanylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-36	*N*-methyl-3-hydroxy-1-indanylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X^1	G-36	*N*-methyl-3-hydroxy-1-indanylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X^1	G-36	*N*-methyl-3-hydroxy-1-indanylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X^1	G-36	*N*-methyl-3-hydroxy-1-indanylamino
2,5-dichlorophenyl	X^1	G-36	*N*-methyl-3-oxo-1-indanylamino
2-chloro-5-(trifluoromethyl)phenyl	X^1	G-36	*N*-methyl-3-oxo-1-indanylamino
2,5-dimethylphenyl	X^1	G-36	*N*-methyl-3-oxo-1-indanylamino
2-methyl-5-(trifluoromethyl)phenyl	X^1	G-36	*N*-methyl-3-oxo-1-indanylamino
3,5-dimethylpyrazol-1-yl	X^1	G-36	*N*-methyl-3-oxo-1-indanylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-36	*N*-methyl-3-oxo-1-indanylamino
3,5-dichloropyrazol-1-yl	X^1	G-36	*N*-methyl-3-oxo-1-indanylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-36	*N*-methyl-3-oxo-1-indanylamino
3,5-dibromopyrazol-1-yl	X^1	G-36	*N*-methyl-3-oxo-1-indanylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-36	*N*-methyl-3-oxo-1-indanylamino
3,5-diethylpyrazol-1-yl	X^1	G-36	*N*-methyl-3-oxo-1-indanylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-36	*N*-methyl-3-oxo-1-indanylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X^1	G-36	*N*-methyl-3-oxo-1-indanylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X^1	G-36	*N*-methyl-3-oxo-1-indanylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X^1	G-36	*N*-methyl-3-oxo-1-indanylamino
2,5-dichlorophenyl	X^1	G-1	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
2-chloro-5-(trifluoromethyl)phenyl	X^1	G-1	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino

R^1	X*	G**	Q
2,5-dimethylphenyl	X^1	G-1	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
2-methyl-5-(trifluoromethyl)phenyl	X^1	G-1	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dimethylpyrazol-1-yl	X^1	G-1	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-1	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dichloropyrazol-1-yl	X^1	G-1	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-1	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dibromopyrazol-1-yl	X^1	G-1	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-1	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-diethylpyrazol-1-yl	X^1	G-1	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-1	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X^1	G-1	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X^1	G-1	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X^1	G-1	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dichlorophenyl	X^1	G-1	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2-chloro-5-(trifluoromethyl)phenyl	X^1	G-1	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dimethylphenyl	X^1	G-1	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2-methyl-5-(trifluoromethyl)phenyl	X^1	G-1	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dimethylpyrazol-1-yl	X^1	G-1	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino

R^1	X*	G**	Q
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-1	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dichloropyrazol-1-yl	X^1	G-1	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-1	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dibromopyrazol-1-yl	X^1	G-1	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-1	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-diethylpyrazol-1-yl	X^1	G-1	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-1	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X^1	G-1	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X^1	G-1	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X^1	G-1	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dichlorophenyl	X^1	G-1	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2-chloro-5-(trifluoromethyl)phenyl	X^1	G-1	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dimethylphenyl	X^1	G-1	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2-methyl-5-(trifluoromethyl)phenyl	X^1	G-1	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dimethylpyrazol-1-yl	X^1	G-1	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-1	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dichloropyrazol-1-yl	X^1	G-1	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-1	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino

R^1	X*	G**	Q
3,5-dibromopyrazol-1-yl	X^1	G-1	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-1	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-diethylpyrazol-1-yl	X^1	G-1	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-1	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X^1	G-1	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X^1	G-1	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X^1	G-1	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dichlorophenyl	X^1	G-1	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
2-chloro-5-(trifluoromethyl)phenyl	X^1	G-1	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dimethylphenyl	X^1	G-1	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
2-methyl-5-(trifluoromethyl)phenyl	X^1	G-1	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dimethylpyrazol-1-yl	X^1	G-1	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-1	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dichloropyrazol-1-yl	X^1	G-1	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-1	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dibromopyrazol-1-yl	X^1	G-1	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-1	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-diethylpyrazol-1-yl	X^1	G-1	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino

R^1	X*	G**	Q
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-1	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X^1	G-1	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X^1	G-1	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X^1	G-1	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dichlorophenyl	X^1	G-1	*N*,2-dimethyl-1-indanylamino
2-chloro-5-(trifluoromethyl)phenyl	X^1	G-1	*N*,2-dimethyl-1-indanylamino
2,5-dimethylphenyl	X^1	G-1	*N*,2-dimethyl-1-indanylamino
2-methyl-5-(trifluoromethyl)phenyl	X^1	G-1	*N*,2-dimethyl-1-indanylamino
3,5-dimethylpyrazol-1-yl	X^1	G-1	*N*,2-dimethyl-1-indanylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-1	*N*,2-dimethyl-1-indanylamino
3,5-dichloropyrazol-1-yl	X^1	G-1	*N*,2-dimethyl-1-indanylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-1	*N*,2-dimethyl-1-indanylamino
3,5-dibromopyrazol-1-yl	X^1	G-1	*N*,2-dimethyl-1-indanylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-1	*N*,2-dimethyl-1-indanylamino
3,5-diethylpyrazol-1-yl	X^1	G-1	*N*,2-dimethyl-1-indanylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-1	*N*,2-dimethyl-1-indanylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X^1	G-1	*N*,2-dimethyl-1-indanylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X^1	G-1	*N*,2-dimethyl-1-indanylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X^1	G-1	*N*,2-dimethyl-1-indanylamino
2,5-dichlorophenyl	X^1	G-1	*N*,2,2-trimethyl-1-indanylamino
2-chloro-5-(trifluoromethyl)phenyl	X^1	G-1	*N*,2,2-trimethyl-1-indanylamino
2,5-dimethylphenyl	X^1	G-1	*N*,2,2-trimethyl-1-indanylamino
2-methyl-5-(trifluoromethyl)phenyl	X^1	G-1	*N*,2,2-trimethyl-1-indanylamino
3,5-dimethylpyrazol-1-yl	X^1	G-1	*N*,2,2-trimethyl-1-indanylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-1	*N*,2,2-trimethyl-1-indanylamino
3,5-dichloropyrazol-1-yl	X^1	G-1	*N*,2,2-trimethyl-1-indanylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-1	*N*,2,2-trimethyl-1-indanylamino
3,5-dibromopyrazol-1-yl	X^1	G-1	*N*,2,2-trimethyl-1-indanylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-1	*N*,2,2-trimethyl-1-indanylamino

R^1	X*	G**	Q
3,5-diethylpyrazol-1-yl	X^1	G-1	*N*,2,2-trimethyl-1-indanylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-1	*N*,2,2-trimethyl-1-indanylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X^1	G-1	*N*,2,2-trimethyl-1-indanylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X^1	G-1	*N*,2,2-trimethyl-1-indanylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X^1	G-1	*N*,2,2-trimethyl-1-indanylamino
2,5-dichlorophenyl	X^1	G-1	*N*-methyl-3-hydroxy-1-indanylamino
2-chloro-5-(trifluoromethyl)phenyl	X^1	G-1	*N*-methyl-3-hydroxy-1-indanylamino
2,5-dimethylphenyl	X^1	G-1	*N*-methyl-3-hydroxy-1-indanylamino
2-methyl-5-(trifluoromethyl)phenyl	X^1	G-1	*N*-methyl-3-hydroxy-1-indanylamino
3,5-dimethylpyrazol-1-yl	X^1	G-1	*N*-methyl-3-hydroxy-1-indanylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-1	*N*-methyl-3-hydroxy-1-indanylamino
3,5-dichloropyrazol-1-yl	X^1	G-1	*N*-methyl-3-hydroxy-1-indanylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-1	*N*-methyl-3-hydroxy-1-indanylamino
3,5-dibromopyrazol-1-yl	X^1	G-1	*N*-methyl-3-hydroxy-1-indanylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-1	*N*-methyl-3-hydroxy-1-indanylamino
3,5-diethylpyrazol-1-yl	X^1	G-1	*N*-methyl-3-hydroxy-1-indanylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-1	*N*-methyl-3-hydroxy-1-indanylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X^1	G-1	*N*-methyl-3-hydroxy-1-indanylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X^1	G-1	*N*-methyl-3-hydroxy-1-indanylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X^1	G-1	*N*-methyl-3-hydroxy-1-indanylamino
2,5-dichlorophenyl	X^1	G-1	*N*-methyl-3-oxo-1-indanylamino
2-chloro-5-(trifluoromethyl)phenyl	X^1	G-1	*N*-methyl-3-oxo-1-indanylamino
2,5-dimethylphenyl	X^1	G-1	*N*-methyl-3-oxo-1-indanylamino
2-methyl-5-(trifluoromethyl)phenyl	X^1	G-1	*N*-methyl-3-oxo-1-indanylamino
3,5-dimethylpyrazol-1-yl	X^1	G-1	*N*-methyl-3-oxo-1-indanylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-1	*N*-methyl-3-oxo-1-indanylamino
3,5-dichloropyrazol-1-yl	X^1	G-1	*N*-methyl-3-oxo-1-indanylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-1	*N*-methyl-3-oxo-1-indanylamino
3,5-dibromopyrazol-1-yl	X^1	G-1	*N*-methyl-3-oxo-1-indanylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-1	*N*-methyl-3-oxo-1-indanylamino
3,5-diethylpyrazol-1-yl	X^1	G-1	*N*-methyl-3-oxo-1-indanylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-1	*N*-methyl-3-oxo-1-indanylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X^1	G-1	*N*-methyl-3-oxo-1-indanylamino

R^1	X*	G**	Q
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X^1	G-1	*N*-methyl-3-oxo-1-indanylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X^1	G-1	*N*-methyl-3-oxo-1-indanylamino
2,5-dichlorophenyl	X^1	G-2	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
2-chloro-5-(trifluoromethyl)phenyl	X^1	G-2	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dimethylphenyl	X^1	G-2	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
2-methyl-5-(trifluoromethyl)phenyl	X^1	G-2	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dimethylpyrazol-1-yl	X^1	G-2	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-2	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dichloropyrazol-1-yl	X^1	G-2	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-2	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dibromopyrazol-1-yl	X^1	G-2	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-2	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-diethylpyrazol-1-yl	X^1	G-2	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-2	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X^1	G-2	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X^1	G-2	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X^1	G-2	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dichlorophenyl	X^1	G-2	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2-chloro-5-(trifluoromethyl)phenyl	X^1	G-2	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino

R^1	X*	G**	Q
2,5-dimethylphenyl	X^1	G-2	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2-methyl-5-(trifluoromethyl)phenyl	X^1	G-2	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dimethylpyrazol-1-yl	X^1	G-2	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-2	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dichloropyrazol-1-yl	X^1	G-2	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-2	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dibromopyrazol-1-yl	X^1	G-2	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-2	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-diethylpyrazol-1-yl	X^1	G-2	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-2	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X^1	G-2	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X^1	G-2	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X^1	G-2	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dichlorophenyl	X^1	G-2	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2-chloro-5-(trifluoromethyl)phenyl	X^1	G-2	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dimethylphenyl	X^1	G-2	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2-methyl-5-(trifluoromethyl)phenyl	X^1	G-2	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dimethylpyrazol-1-yl	X^1	G-2	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino

R^1	X*	G**	Q
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-2	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dichloropyrazol-1-yl	X^1	G-2	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-2	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dibromopyrazol-1-yl	X^1	G-2	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-2	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-diethylpyrazol-1-yl	X^1	G-2	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-2	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X^1	G-2	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X^1	G-2	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X^1	G-2	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dichlorophenyl	X^1	G-2	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
2-chloro-5-(trifluoromethyl)phenyl	X^1	G-2	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dimethylphenyl	X^1	G-2	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
2-methyl-5-(trifluoromethyl)phenyl	X^1	G-2	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dimethylpyrazol-1-yl	X^1	G-2	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-2	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dichloropyrazol-1-yl	X^1	G-2	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-2	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino

R^1	X*	G**	Q
3,5-dibromopyrazol-1-yl	X^1	G-2	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-2	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-diethylpyrazol-1-yl	X^1	G-2	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-2	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X^1	G-2	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X^1	G-2	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X^1	G-2	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dichlorophenyl	X^1	G-2	*N*,2-dimethyl-1-indanylamino
2-chloro-5-(trifluoromethyl)phenyl	X^1	G-2	*N*,2-dimethyl-1-indanylamino
2,5-dimethylphenyl	X^1	G-2	*N*,2-dimethyl-1-indanylamino
2-methyl-5-(trifluoromethyl)phenyl	X^1	G-2	*N*,2-dimethyl-1-indanylamino
3,5-dimethylpyrazol-1-yl	X^1	G-2	*N*,2-dimethyl-1-indanylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-2	*N*,2-dimethyl-1-indanylamino
3,5-dichloropyrazol-1-yl	X^1	G-2	*N*,2-dimethyl-1-indanylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-2	*N*,2-dimethyl-1-indanylamino
3,5-dibromopyrazol-1-yl	X^1	G-2	*N*,2-dimethyl-1-indanylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-2	*N*,2-dimethyl-1-indanylamino
3,5-diethylpyrazol-1-yl	X^1	G-2	*N*,2-dimethyl-1-indanylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-2	*N*,2-dimethyl-1-indanylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X^1	G-2	*N*,2-dimethyl-1-indanylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X^1	G-2	*N*,2-dimethyl-1-indanylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X^1	G-2	*N*,2-dimethyl-1-indanylamino
2,5-dichlorophenyl	X^1	G-2	*N*,2,2-trimethyl-1-indanylamino
2-chloro-5-(trifluoromethyl)phenyl	X^1	G-2	*N*,2,2-trimethyl-1-indanylamino
2,5-dimethylphenyl	X^1	G-2	*N*,2,2-trimethyl-1-indanylamino
2-methyl-5-(trifluoromethyl)phenyl	X^1	G-2	*N*,2,2-trimethyl-1-indanylamino
3,5-dimethylpyrazol-1-yl	X^1	G-2	*N*,2,2-trimethyl-1-indanylamino

R^1	X*	G**	Q
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-2	*N*,2,2-trimethyl-1-indanylamino
3,5-dichloropyrazol-1-yl	X^1	G-2	*N*,2,2-trimethyl-1-indanylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-2	*N*,2,2-trimethyl-1-indanylamino
3,5-dibromopyrazol-1-yl	X^1	G-2	*N*,2,2-trimethyl-1-indanylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-2	*N*,2,2-trimethyl-1-indanylamino
3,5-diethylpyrazol-1-yl	X^1	G-2	*N*,2,2-trimethyl-1-indanylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-2	*N*,2,2-trimethyl-1-indanylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X^1	G-2	*N*,2,2-trimethyl-1-indanylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X^1	G-2	*N*,2,2-trimethyl-1-indanylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X^1	G-2	*N*,2,2-trimethyl-1-indanylamino
2,5-dichlorophenyl	X^1	G-2	*N*-methyl-3-hydroxy-1-indanylamino
2-chloro-5-(trifluoromethyl)phenyl	X^1	G-2	*N*-methyl-3-hydroxy-1-indanylamino
2,5-dimethylphenyl	X^1	G-2	*N*-methyl-3-hydroxy-1-indanylamino
2-methyl-5-(trifluoromethyl)phenyl	X^1	G-2	*N*-methyl-3-hydroxy-1-indanylamino
3,5-dimethylpyrazol-1-yl	X^1	G-2	*N*-methyl-3-hydroxy-1-indanylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-2	*N*-methyl-3-hydroxy-1-indanylamino
3,5-dichloropyrazol-1-yl	X^1	G-2	*N*-methyl-3-hydroxy-1-indanylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-2	*N*-methyl-3-hydroxy-1-indanylamino
3,5-dibromopyrazol-1-yl	X^1	G-2	*N*-methyl-3-hydroxy-1-indanylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-2	*N*-methyl-3-hydroxy-1-indanylamino
3,5-diethylpyrazol-1-yl	X^1	G-2	*N*-methyl-3-hydroxy-1-indanylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-2	*N*-methyl-3-hydroxy-1-indanylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X^1	G-2	*N*-methyl-3-hydroxy-1-indanylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X^1	G-2	*N*-methyl-3-hydroxy-1-indanylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X^1	G-2	*N*-methyl-3-hydroxy-1-indanylamino
2,5-dichlorophenyl	X^1	G-2	*N*-methyl-3-oxo-1-indanylamino
2-chloro-5-(trifluoromethyl)phenyl	X^1	G-2	*N*-methyl-3-oxo-1-indanylamino
2,5-dimethylphenyl	X^1	G-2	*N*-methyl-3-oxo-1-indanylamino
2-methyl-5-(trifluoromethyl)phenyl	X^1	G-2	*N*-methyl-3-oxo-1-indanylamino
3,5-dimethylpyrazol-1-yl	X^1	G-2	*N*-methyl-3-oxo-1-indanylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-2	*N*-methyl-3-oxo-1-indanylamino
3,5-dichloropyrazol-1-yl	X^1	G-2	*N*-methyl-3-oxo-1-indanylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-2	*N*-methyl-3-oxo-1-indanylamino

R^1	X*	G**	Q
3,5-dibromopyrazol-1-yl	X^1	G-2	*N*-methyl-3-oxo-1-indanylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-2	*N*-methyl-3-oxo-1-indanylamino
3,5-diethylpyrazol-1-yl	X^1	G-2	*N*-methyl-3-oxo-1-indanylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-2	*N*-methyl-3-oxo-1-indanylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X^1	G-2	*N*-methyl-3-oxo-1-indanylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X^1	G-2	*N*-methyl-3-oxo-1-indanylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X^1	G-2	*N*-methyl-3-oxo-1-indanylamino
2,5-dichlorophenyl	X^2	G-1	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
2-chloro-5-(trifluoromethyl)phenyl	X^2	G-1	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dimethylphenyl	X^2	G-1	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
2-methyl-5-(trifluoromethyl)phenyl	X^2	G-1	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dimethylpyrazol-1-yl	X^2	G-1	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X^2	G-1	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dichloropyrazol-1-yl	X^2	G-1	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X^2	G-1	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dibromopyrazol-1-yl	X^2	G-1	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X^2	G-1	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-diethylpyrazol-1-yl	X^2	G-1	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X^2	G-1	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X^2	G-1	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X^2	G-1	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino

R^1	X*	G**	Q
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X^2	G-1	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dichlorophenyl	X^2	G-1	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2-chloro-5-(trifluoromethyl)phenyl	X^2	G-1	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dimethylphenyl	X^2	G-1	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2-methyl-5-(trifluoromethyl)phenyl	X^2	G-1	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dimethylpyrazol-1-yl	X^2	G-1	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X^2	G-1	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dichloropyrazol-1-yl	X^2	G-1	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X^2	G-1	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dibromopyrazol-1-yl	X^2	G-1	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X^2	G-1	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-diethylpyrazol-1-yl	X^2	G-1	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X^2	G-1	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X^2	G-1	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X^2	G-1	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X^2	G-1	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dichlorophenyl	X^2	G-1	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2-chloro-5-(trifluoromethyl)phenyl	X^2	G-1	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino

R^1	X*	G**	Q
2,5-dimethylphenyl	X^2	G-1	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2-methyl-5-(trifluoromethyl)phenyl	X^2	G-1	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dimethylpyrazol-1-yl	X^2	G-1	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X^2	G-1	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dichloropyrazol-1-yl	X^2	G-1	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X^2	G-1	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dibromopyrazol-1-yl	X^2	G-1	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X^2	G-1	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-diethylpyrazol-1-yl	X^2	G-1	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X^2	G-1	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X^2	G-1	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X^2	G-1	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X^2	G-1	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dichlorophenyl	X^2	G-1	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
2-chloro-5-(trifluoromethyl)phenyl	X^2	G-1	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dimethylphenyl	X^2	G-1	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
2-methyl-5-(trifluoromethyl)phenyl	X^2	G-1	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dimethylpyrazol-1-yl	X^2	G-1	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino

R^1	X*	G**	Q
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X^2	G-1	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dichloropyrazol-1-yl	X^2	G-1	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X^2	G-1	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dibromopyrazol-1-yl	X^2	G-1	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X^2	G-1	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-diethylpyrazol-1-yl	X^2	G-1	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X^2	G-1	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X^2	G-1	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X^2	G-1	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X^2	G-1	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dichlorophenyl	X^2	G-1	*N*,2-dimethyl-1-indanylamino
2-chloro-5-(trifluoromethyl)phenyl	X^2	G-1	*N*,2-dimethyl-1-indanylamino
2,5-dimethylphenyl	X^2	G-1	*N*,2-dimethyl-1-indanylamino
2-methyl-5-(trifluoromethyl)phenyl	X^2	G-1	*N*,2-dimethyl-1-indanylamino
3,5-dimethylpyrazol-1-yl	X^2	G-1	*N*,2-dimethyl-1-indanylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X^2	G-1	*N*,2-dimethyl-1-indanylamino
3,5-dichloropyrazol-1-yl	X^2	G-1	*N*,2-dimethyl-1-indanylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X^2	G-1	*N*,2-dimethyl-1-indanylamino
3,5-dibromopyrazol-1-yl	X^2	G-1	*N*,2-dimethyl-1-indanylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X^2	G-1	*N*,2-dimethyl-1-indanylamino
3,5-diethylpyrazol-1-yl	X^2	G-1	*N*,2-dimethyl-1-indanylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X^2	G-1	*N*,2-dimethyl-1-indanylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X^2	G-1	*N*,2-dimethyl-1-indanylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X^2	G-1	*N*,2-dimethyl-1-indanylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X^2	G-1	*N*,2-dimethyl-1-indanylamino

R^1	X*	G**	Q
2,5-dichlorophenyl	X^2	G-1	*N*,2,2-trimethyl-1-indanylamino
2-chloro-5-(trifluoromethyl)phenyl	X^2	G-1	*N*,2,2-trimethyl-1-indanylamino
2,5-dimethylphenyl	X^2	G-1	*N*,2,2-trimethyl-1-indanylamino
2-methyl-5-(trifluoromethyl)phenyl	X^2	G-1	*N*,2,2-trimethyl-1-indanylamino
3,5-dimethylpyrazol-1-yl	X^2	G-1	*N*,2,2-trimethyl-1-indanylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X^2	G-1	*N*,2,2-trimethyl-1-indanylamino
3,5-dichloropyrazol-1-yl	X^2	G-1	*N*,2,2-trimethyl-1-indanylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X^2	G-1	*N*,2,2-trimethyl-1-indanylamino
3,5-dibromopyrazol-1-yl	X^2	G-1	*N*,2,2-trimethyl-1-indanylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X^2	G-1	*N*,2,2-trimethyl-1-indanylamino
3,5-diethylpyrazol-1-yl	X^2	G-1	*N*,2,2-trimethyl-1-indanylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X^2	G-1	*N*,2,2-trimethyl-1-indanylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X^2	G-1	*N*,2,2-trimethyl-1-indanylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X^2	G-1	*N*,2,2-trimethyl-1-indanylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X^2	G-1	*N*,2,2-trimethyl-1-indanylamino
2,5-dichlorophenyl	X^2	G-1	*N*-methyl-3-hydroxy-1-indanylamino
2-chloro-5-(trifluoromethyl)phenyl	X^2	G-1	*N*-methyl-3-hydroxy-1-indanylamino
2,5-dimethylphenyl	X^2	G-1	*N*-methyl-3-hydroxy-1-indanylamino
2-methyl-5-(trifluoromethyl)phenyl	X^2	G-1	*N*-methyl-3-hydroxy-1-indanylamino
3,5-dimethylpyrazol-1-yl	X^2	G-1	*N*-methyl-3-hydroxy-1-indanylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X^2	G-1	*N*-methyl-3-hydroxy-1-indanylamino
3,5-dichloropyrazol-1-yl	X^2	G-1	*N*-methyl-3-hydroxy-1-indanylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X^2	G-1	*N*-methyl-3-hydroxy-1-indanylamino
3,5-dibromopyrazol-1-yl	X^2	G-1	*N*-methyl-3-hydroxy-1-indanylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X^2	G-1	*N*-methyl-3-hydroxy-1-indanylamino
3,5-diethylpyrazol-1-yl	X^2	G-1	*N*-methyl-3-hydroxy-1-indanylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X^2	G-1	*N*-methyl-3-hydroxy-1-indanylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X^2	G-1	*N*-methyl-3-hydroxy-1-indanylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X^2	G-1	*N*-methyl-3-hydroxy-1-indanylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X^2	G-1	*N*-methyl-3-hydroxy-1-indanylamino
2,5-dichlorophenyl	X^2	G-1	*N*-methyl-3-oxo-1-indanylamino
2-chloro-5-(trifluoromethyl)phenyl	X^2	G-1	*N*-methyl-3-oxo-1-indanylamino
2,5-dimethylphenyl	X^2	G-1	*N*-methyl-3-oxo-1-indanylamino

R^1	X*	G**	Q
2-methyl-5-(trifluoromethyl)phenyl	X^2	G-1	*N*-methyl-3-oxo-1-indanylamino
3,5-dimethylpyrazol-1-yl	X^2	G-1	*N*-methyl-3-oxo-1-indanylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X^2	G-1	*N*-methyl-3-oxo-1-indanylamino
3,5-dichloropyrazol-1-yl	X^2	G-1	*N*-methyl-3-oxo-1-indanylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X^2	G-1	*N*-methyl-3-oxo-1-indanylamino
3,5-dibromopyrazol-1-yl	X^2	G-1	*N*-methyl-3-oxo-1-indanylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X^2	G-1	*N*-methyl-3-oxo-1-indanylamino
3,5-diethylpyrazol-1-yl	X^2	G-1	*N*-methyl-3-oxo-1-indanylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X^2	G-1	*N*-methyl-3-oxo-1-indanylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X^2	G-1	*N*-methyl-3-oxo-1-indanylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X^2	G-1	*N*-methyl-3-oxo-1-indanylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X^2	G-1	*N*-methyl-3-oxo-1-indanylamino
2,5-dichlorophenyl	X^2	G-2	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
2-chloro-5-(trifluoromethyl)phenyl	X^2	G-2	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dimethylphenyl	X^2	G-2	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
2-methyl-5-(trifluoromethyl)phenyl	X^2	G-2	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dimethylpyrazol-1-yl	X^2	G-2	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X^2	G-2	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dichloropyrazol-1-yl	X^2	G-2	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X^2	G-2	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dibromopyrazol-1-yl	X^2	G-2	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X^2	G-2	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-diethylpyrazol-1-yl	X^2	G-2	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino

R^1	X*	G**	Q
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X^2	G-2	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X^2	G-2	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X^2	G-2	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X^2	G-2	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dichlorophenyl	X^2	G-2	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2-chloro-5-(trifluoromethyl)phenyl	X^2	G-2	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dimethylphenyl	X^2	G-2	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2-methyl-5-(trifluoromethyl)phenyl	X^2	G-2	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dimethylpyrazol-1-yl	X^2	G-2	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X^2	G-2	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dichloropyrazol-1-yl	X^2	G-2	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X^2	G-2	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dibromopyrazol-1-yl	X^2	G-2	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X^2	G-2	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-diethylpyrazol-1-yl	X^2	G-2	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X^2	G-2	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X^2	G-2	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X^2	G-2	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino

R^1	X*	G**	Q
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X^2	G-2	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dichlorophenyl	X^2	G-2	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2-chloro-5-(trifluoromethyl)phenyl	X^2	G-2	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dimethylphenyl	X^2	G-2	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2-methyl-5-(trifluoromethyl)phenyl	X^2	G-2	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dimethylpyrazol-1-yl	X^2	G-2	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X^2	G-2	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dichloropyrazol-1-yl	X^2	G-2	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X^2	G-2	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dibromopyrazol-1-yl	X^2	G-2	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X^2	G-2	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-diethylpyrazol-1-yl	X^2	G-2	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X^2	G-2	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X^2	G-2	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X^2	G-2	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X^2	G-2	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dichlorophenyl	X^2	G-2	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
2-chloro-5-(trifluoromethyl)phenyl	X^2	G-2	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino

R^1	X*	G**	Q
2,5-dimethylphenyl	X^2	G-2	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
2-methyl-5-(trifluoromethyl)phenyl	X^2	G-2	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dimethylpyrazol-1-yl	X^2	G-2	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X^2	G-2	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dichloropyrazol-1-yl	X^2	G-2	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X^2	G-2	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dibromopyrazol-1-yl	X^2	G-2	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X^2	G-2	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-diethylpyrazol-1-yl	X^2	G-2	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X^2	G-2	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X^2	G-2	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X^2	G-2	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X^2	G-2	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dichlorophenyl	X^2	G-2	*N*,2-dimethyl-1-indanylamino
2-chloro-5-(trifluoromethyl)phenyl	X^2	G-2	*N*,2-dimethyl-1-indanylamino
2,5-dimethylphenyl	X^2	G-2	*N*,2-dimethyl-1-indanylamino
2-methyl-5-(trifluoromethyl)phenyl	X^2	G-2	*N*,2-dimethyl-1-indanylamino
3,5-dimethylpyrazol-1-yl	X^2	G-2	*N*,2-dimethyl-1-indanylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X^2	G-2	*N*,2-dimethyl-1-indanylamino
3,5-dichloropyrazol-1-yl	X^2	G-2	*N*,2-dimethyl-1-indanylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X^2	G-2	*N*,2-dimethyl-1-indanylamino
3,5-dibromopyrazol-1-yl	X^2	G-2	*N*,2-dimethyl-1-indanylamino

R^1	X*	G**	Q
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X^2	G-2	*N*,2-dimethyl-1-indanylamino
3,5-diethylpyrazol-1-yl	X^2	G-2	*N*,2-dimethyl-1-indanylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X^2	G-2	*N*,2-dimethyl-1-indanylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X^2	G-2	*N*,2-dimethyl-1-indanylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X^2	G-2	*N*,2-dimethyl-1-indanylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X^2	G-2	*N*,2-dimethyl-1-indanylamino
2,5-dichlorophenyl	X^2	G-2	*N*,2,2-trimethyl-1-indanylamino
2-chloro-5-(trifluoromethyl)phenyl	X^2	G-2	*N*,2,2-trimethyl-1-indanylamino
2,5-dimethylphenyl	X^2	G-2	*N*,2,2-trimethyl-1-indanylamino
2-methyl-5-(trifluoromethyl)phenyl	X^2	G-2	*N*,2,2-trimethyl-1-indanylamino
3,5-dimethylpyrazol-1-yl	X^2	G-2	*N*,2,2-trimethyl-1-indanylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X^2	G-2	*N*,2,2-trimethyl-1-indanylamino
3,5-dichloropyrazol-1-yl	X^2	G-2	*N*,2,2-trimethyl-1-indanylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X^2	G-2	*N*,2,2-trimethyl-1-indanylamino
3,5-dibromopyrazol-1-yl	X^2	G-2	*N*,2,2-trimethyl-1-indanylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X^2	G-2	*N*,2,2-trimethyl-1-indanylamino
3,5-diethylpyrazol-1-yl	X^2	G-2	*N*,2,2-trimethyl-1-indanylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X^2	G-2	*N*,2,2-trimethyl-1-indanylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X^2	G-2	*N*,2,2-trimethyl-1-indanylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X^2	G-2	*N*,2,2-trimethyl-1-indanylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X^2	G-2	*N*,2,2-trimethyl-1-indanylamino
2,5-dichlorophenyl	X^2	G-2	*N*-methyl-3-hydroxy-1-indanylamino
2-chloro-5-(trifluoromethyl)phenyl	X^2	G-2	*N*-methyl-3-hydroxy-1-indanylamino
2,5-dimethylphenyl	X^2	G-2	*N*-methyl-3-hydroxy-1-indanylamino
2-methyl-5-(trifluoromethyl)phenyl	X^2	G-2	*N*-methyl-3-hydroxy-1-indanylamino
3,5-dimethylpyrazol-1-yl	X^2	G-2	*N*-methyl-3-hydroxy-1-indanylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X^2	G-2	*N*-methyl-3-hydroxy-1-indanylamino
3,5-dichloropyrazol-1-yl	X^2	G-2	*N*-methyl-3-hydroxy-1-indanylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X^2	G-2	*N*-methyl-3-hydroxy-1-indanylamino
3,5-dibromopyrazol-1-yl	X^2	G-2	*N*-methyl-3-hydroxy-1-indanylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X^2	G-2	*N*-methyl-3-hydroxy-1-indanylamino
3,5-diethylpyrazol-1-yl	X^2	G-2	*N*-methyl-3-hydroxy-1-indanylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X^2	G-2	*N*-methyl-3-hydroxy-1-indanylamino

R^1	X*	G**	Q
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X^2	G-2	*N*-methyl-3-hydroxy-1-indanylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X^2	G-2	*N*-methyl-3-hydroxy-1-indanylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X^2	G-2	*N*-methyl-3-hydroxy-1-indanylamino
2,5-dichlorophenyl	X^2	G-2	*N*-methyl-3-oxo-1-indanylamino
2-chloro-5-(trifluoromethyl)phenyl	X^2	G-2	*N*-methyl-3-oxo-1-indanylamino
2,5-dimethylphenyl	X^2	G-2	*N*-methyl-3-oxo-1-indanylamino
2-methyl-5-(trifluoromethyl)phenyl	X^2	G-2	*N*-methyl-3-oxo-1-indanylamino
3,5-dimethylpyrazol-1-yl	X^2	G-2	*N*-methyl-3-oxo-1-indanylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X^2	G-2	*N*-methyl-3-oxo-1-indanylamino
3,5-dichloropyrazol-1-yl	X^2	G-2	*N*-methyl-3-oxo-1-indanylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X^2	G-2	*N*-methyl-3-oxo-1-indanylamino
3,5-dibromopyrazol-1-yl	X^2	G-2	*N*-methyl-3-oxo-1-indanylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X^2	G-2	*N*-methyl-3-oxo-1-indanylamino
3,5-diethylpyrazol-1-yl	X^2	G-2	*N*-methyl-3-oxo-1-indanylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X^2	G-2	*N*-methyl-3-oxo-1-indanylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X^2	G-2	*N*-methyl-3-oxo-1-indanylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X^2	G-2	*N*-methyl-3-oxo-1-indanylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X^2	G-2	*N*-methyl-3-oxo-1-indanylamino
2,5-dichlorophenyl	X^3	G-1	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
2-chloro-5-(trifluoromethyl)phenyl	X^3	G-1	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dimethylphenyl	X^3	G-1	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
2-methyl-5-(trifluoromethyl)phenyl	X^3	G-1	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dimethylpyrazol-1-yl	X^3	G-1	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X^3	G-1	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dichloropyrazol-1-yl	X^3	G-1	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X^3	G-1	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino

R^1	X*	G**	Q
3,5-dibromopyrazol-1-yl	X^3	G-1	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X^3	G-1	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-diethylpyrazol-1-yl	X^3	G-1	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X^3	G-1	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X^3	G-1	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X^3	G-1	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X^3	G-1	*N*-methyl-4-hydroxy-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dichlorophenyl	X^3	G-1	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2-chloro-5-(trifluoromethyl)phenyl	X^3	G-1	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dimethylphenyl	X^3	G-1	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2-methyl-5-(trifluoromethyl)phenyl	X^3	G-1	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dimethylpyrazol-1-yl	X^3	G-1	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X^3	G-1	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dichloropyrazol-1-yl	X^3	G-1	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X^3	G-1	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dibromopyrazol-1-yl	X^3	G-1	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X^3	G-1	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-diethylpyrazol-1-yl	X^3	G-1	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino

R^1	X*	G**	Q
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X^3	G-1	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X^3	G-1	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X^3	G-1	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X^3	G-1	*N*,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dichlorophenyl	X^3	G-1	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2-chloro-5-(trifluoromethyl)phenyl	X^3	G-1	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dimethylphenyl	X^3	G-1	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2-methyl-5-(trifluoromethyl)phenyl	X^3	G-1	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dimethylpyrazol-1-yl	X^3	G-1	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X^3	G-1	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dichloropyrazol-1-yl	X^3	G-1	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X^3	G-1	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dibromopyrazol-1-yl	X^3	G-1	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X^3	G-1	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-diethylpyrazol-1-yl	X^3	G-1	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X^3	G-1	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X^3	G-1	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X^3	G-1	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino

R^1	X*	G**	Q
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X^3	G-1	*N*,2,2-trimethyl-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dichlorophenyl	X^3	G-1	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
2-chloro-5-(trifluoromethyl)phenyl	X^3	G-1	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dimethylphenyl	X^3	G-1	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
2-methyl-5-(trifluoromethyl)phenyl	X^3	G-1	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dimethylpyrazol-1-yl	X^3	G-1	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X^3	G-1	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dichloropyrazol-1-yl	X^3	G-1	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X^3	G-1	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-dibromopyrazol-1-yl	X^3	G-1	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X^3	G-1	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-diethylpyrazol-1-yl	X^3	G-1	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X^3	G-1	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X^3	G-1	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X^3	G-1	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X^3	G-1	*N*-methyl-4-oxo-1,2,3,4-tetrahydro-1-naphthalenylamino
2,5-dichlorophenyl	X^3	G-1	*N*,2-dimethyl-1-indanylamino
2-chloro-5-(trifluoromethyl)phenyl	X^3	G-1	*N*,2-dimethyl-1-indanylamino
2,5-dimethylphenyl	X^3	G-1	*N*,2-dimethyl-1-indanylamino
2-methyl-5-(trifluoromethyl)phenyl	X^3	G-1	*N*,2-dimethyl-1-indanylamino

R^1	X*	G**	Q
3,5-dimethylpyrazol-1-yl	X^3	G-1	*N*,2-dimethyl-1-indanylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X^3	G-1	*N*,2-dimethyl-1-indanylamino
3,5-dichloropyrazol-1-yl	X^3	G-1	*N*,2-dimethyl-1-indanylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X^3	G-1	*N*,2-dimethyl-1-indanylamino
3,5-dibromopyrazol-1-yl	X^3	G-1	*N*,2-dimethyl-1-indanylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X^3	G-1	*N*,2-dimethyl-1-indanylamino
3,5-diethylpyrazol-1-yl	X^3	G-1	*N*,2-dimethyl-1-indanylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X^3	G-1	*N*,2-dimethyl-1-indanylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X^3	G-1	*N*,2-dimethyl-1-indanylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X^3	G-1	*N*,2-dimethyl-1-indanylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X^3	G-1	*N*,2-dimethyl-1-indanylamino
2,5-dichlorophenyl	X^3	G-1	*N*,2,2-trimethyl-1-indanylamino
2-chloro-5-(trifluoromethyl)phenyl	X^3	G-1	*N*,2,2-trimethyl-1-indanylamino
2,5-dimethylphenyl	X^3	G-1	*N*,2,2-trimethyl-1-indanylamino
2-methyl-5-(trifluoromethyl)phenyl	X^3	G-1	*N*,2,2-trimethyl-1-indanylamino
3,5-dimethylpyrazol-1-yl	X^3	G-1	*N*,2,2-trimethyl-1-indanylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X^3	G-1	*N*,2,2-trimethyl-1-indanylamino
3,5-dichloropyrazol-1-yl	X^3	G-1	*N*,2,2-trimethyl-1-indanylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X^3	G-1	*N*,2,2-trimethyl-1-indanylamino
3,5-dibromopyrazol-1-yl	X^3	G-1	*N*,2,2-trimethyl-1-indanylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X^3	G-1	*N*,2,2-trimethyl-1-indanylamino
3,5-diethylpyrazol-1-yl	X^3	G-1	*N*,2,2-trimethyl-1-indanylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X^3	G-1	*N*,2,2-trimethyl-1-indanylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X^3	G-1	*N*,2,2-trimethyl-1-indanylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X^3	G-1	*N*,2,2-trimethyl-1-indanylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X^3	G-1	*N*,2,2-trimethyl-1-indanylamino
2,5-dichlorophenyl	X^3	G-1	*N*-methyl-3-hydroxy-1-indanylamino
2-chloro-5-(trifluoromethyl)phenyl	X^3	G-1	*N*-methyl-3-hydroxy-1-indanylamino
2,5-dimethylphenyl	X^3	G-1	*N*-methyl-3-hydroxy-1-indanylamino
2-methyl-5-(trifluoromethyl)phenyl	X^3	G-1	*N*-methyl-3-hydroxy-1-indanylamino
3,5-dimethylpyrazol-1-yl	X^3	G-1	*N*-methyl-3-hydroxy-1-indanylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X^3	G-1	*N*-methyl-3-hydroxy-1-indanylamino
3,5-dichloropyrazol-1-yl	X^3	G-1	*N*-methyl-3-hydroxy-1-indanylamino

R^1	X*	G**	Q
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X^3	G-1	*N*-methyl-3-hydroxy-1-indanylamino
3,5-dibromopyrazol-1-yl	X^3	G-1	*N*-methyl-3-hydroxy-1-indanylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X^3	G-1	*N*-methyl-3-hydroxy-1-indanylamino
3,5-diethylpyrazol-1-yl	X^3	G-1	*N*-methyl-3-hydroxy-1-indanylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X^3	G-1	*N*-methyl-3-hydroxy-1-indanylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X^3	G-1	*N*-methyl-3-hydroxy-1-indanylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X^3	G-1	*N*-methyl-3-hydroxy-1-indanylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X^3	G-1	*N*-methyl-3-hydroxy-1-indanylamino
2,5-dichlorophenyl	X^3	G-1	*N*-methyl-3-oxo-1-indanylamino
2-chloro-5-(trifluoromethyl)phenyl	X^3	G-1	*N*-methyl-3-oxo-1-indanylamino
2,5-dimethylphenyl	X^3	G-1	*N*-methyl-3-oxo-1-indanylamino
2-methyl-5-(trifluoromethyl)phenyl	X^3	G-1	*N*-methyl-3-oxo-1-indanylamino
3,5-dimethylpyrazol-1-yl	X^3	G-1	*N*-methyl-3-oxo-1-indanylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X^3	G-1	*N*-methyl-3-oxo-1-indanylamino
3,5-dichloropyrazol-1-yl	X^3	G-1	*N*-methyl-3-oxo-1-indanylamino
5-chloro-3-(trifluoromethyl)pyrazol-1-yl	X^3	G-1	*N*-methyl-3-oxo-1-indanylamino
3,5-dibromopyrazol-1-yl	X^3	G-1	*N*-methyl-3-oxo-1-indanylamino
5-bromo-3-(trifluoromethyl)pyrazol-1-yl	X^3	G-1	*N*-methyl-3-oxo-1-indanylamino
3,5-diethylpyrazol-1-yl	X^3	G-1	*N*-methyl-3-oxo-1-indanylamino
5-ethyl-3-(trifluoromethyl)pyrazol-1-yl	X^3	G-1	*N*-methyl-3-oxo-1-indanylamino
3,5-bis-(trifluoromethyl)pyrazol-1-yl	X^3	G-1	*N*-methyl-3-oxo-1-indanylamino
1-methyl-3-(trifluoromethyl)pyrazol-5-yl	X^3	G-1	*N*-methyl-3-oxo-1-indanylamino
1-methyl-4-(trifluoromethyl)imidazol-2-yl	X^3	G-1	*N*-methyl-3-oxo-1-indanylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X^4	G-1	(1*R*)-*N*-methyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X^5	G-1	(1*R*)-*N*-methyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X^6	G-1	(1*R*)-*N*-methyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X^7	G-1	(1*R*)-*N*-methyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X^8	G-1	(1*R*)-*N*-methyl-1,2,3,4-tetrahydro-1-naphthalenylamino

R^1	X*	G**	Q
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X^4	G-2	(1*R*)-*N*-methyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X^5	G-2	(1*R*)-*N*-methyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X^6	G-2	(1*R*)-*N*-methyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X^7	G-2	(1*R*)-*N*-methyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X^8	G-2	(1*R*)-*N*-methyl-1,2,3,4-tetrahydro-1-naphthalenylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-1	*N*-methyl-1-phenylcycloprop-1-ylamino
5-methyl-3-(trifluoromethyl)pyrazol-1-yl	X^1	G-1	*N*-methyl-1-phenylcycloprop-1-ylamino

Notes:

* R^2 is H.

** R^{3a} is H.

Formulation/Utility

A compound of this invention will generally be used as a fungicidal active ingredient in a composition, i.e. formulation, with at least one additional component selected from the group consisting of surfactants, solid diluents and liquid diluents, which serves as a carrier. The formulation or composition ingredients are selected to be consistent with the physical properties of the active ingredient, mode of application and environmental factors such as soil type, moisture and temperature.

Useful formulations include both liquid and solid compositions. Liquid compositions include solutions (including emulsifiable concentrates), suspensions, emulsions (including microemulsions and/or suspoemulsions) and the like, which optionally can be thickened into gels. The general types of aqueous liquid compositions are soluble concentrate, suspension concentrate, capsule suspension, concentrated emulsion, microemulsion and suspo-emulsion. The general types of nonaqueous liquid compositions are emulsifiable concentrate, microemulsifiable concentrate, dispersible concentrate and oil dispersion.

The general types of solid compositions are dusts, powders, granules, pellets, pills, pastilles, tablets, filled films (including seed coatings) and the like, which can be water-dispersible ("wettable") or water-soluble. Films and coatings formed from film-forming solutions or flowable suspensions are particularly useful for seed treatment. Active ingredient can be (micro)encapsulated and further formed into a suspension or solid formulation; alternatively the entire formulation of active ingredient can be encapsulated (or

"overcoated"). Encapsulation can control or delay release of the active ingredient. An emulsifiable granule combines the advantages of both an emulsifiable concentrate formulation and a dry granular formulation. High-strength compositions are primarily used as intermediates for further formulation.

Sprayable formulations are typically extended in a suitable medium before spraying. Such liquid and solid formulations are formulated to be readily diluted in the spray medium, usually water. Spray volumes can range from about from about one to several thousand liters per hectare, but more typically are in the range from about ten to several hundred liters per hectare. Sprayable formulations can be tank mixed with water or another suitable medium for foliar treatment by aerial or ground application, or for application to the growing medium of the plant. Liquid and dry formulations can be metered directly into drip irrigation systems or metered into the furrow during planting. Liquid and solid formulations can be applied onto vegetable seeds as seed treatments before planting to protect developing roots and other subterranean plant parts and/or foliage through systemic uptake.

The formulations will typically contain effective amounts of active ingredient, diluent and surfactant within the following approximate ranges which add up to 100 percent by weight.

	Weight Percent		
	Active Ingredient	Diluent	Surfactant
Water-Dispersible and Water-soluble Granules, Tablets and Powders.	0.001–90	0–99.999	0–15
Oil Dispersions, Suspensions, Emulsions, Solutions (including Emulsifiable Concentrates)	1–50	40–99	0–50
Dusts	1–25	70–99	0–5
Granules and Pellets	0.001–99	5–99.999	0–15
High Strength Compositions	90–99	0–10	0–2

Solid diluents include, for example, clays such as bentonite, montmorillonite, attapulgite and kaolin, gypsum, cellulose, titanium dioxide, zinc oxide, starch, dextrin, sugars (e.g., lactose, sucrose), silica, talc, mica, diatomaceous earth, urea, calcium carbonate, sodium carbonate and bicarbonate, and sodium sulfate. Typical solid diluents are described in Watkins et al., *Handbook of Insecticide Dust Diluents and Carriers*, 2nd Ed., Dorland Books, Caldwell, New Jersey.

Liquid diluents include, for example, water, *N,N*-dimethylalkanamides (e.g., *N,N*-dimethylformamide), limonene, dimethyl sulfoxide, *N*-alkylpyrrolidones (e.g.,

N-methylpyrrolidinone), ethylene glycol, triethylene glycol, propylene glycol, dipropylene glycol, polypropylene glycol, propylene carbonate, butylene carbonate, paraffins (e.g., white mineral oils, normal paraffins, isoparaffins), alkylbenzenes, alkylnaphthalenes, glycerine, glycerol triacetate, sorbitol, triacetin, aromatic hydrocarbons, dearomatized aliphatics, alkylbenzenes, alkylnaphthalenes, ketones such as cyclohexanone, 2-heptanone, isophorone and 4-hydroxy-4-methyl-2-pentanone, acetates such as isoamyl acetate, hexyl acetate, heptyl acetate, octyl acetate, nonyl acetate, tridecyl acetate and isobornyl acetate, other esters such as alkylated lactate esters, dibasic esters and γ-butyrolactone, and alcohols, which can be linear, branched, saturated or unsaturated, such as methanol, ethanol, *n*-propanol, isopropyl alcohol, *n*-butanol, isobutyl alcohol, *n*-hexanol, 2-ethylhexanol, *n*-octanol, decanol, isodecyl alcohol, isooctadecanol, cetyl alcohol, lauryl alcohol, tridecyl alcohol, oleyl alcohol, cyclohexanol, tetrahydrofurfuryl alcohol, diacetone alcohol and benzyl alcohol. Liquid diluents also include glycerol esters of saturated and unsaturated fatty acids (typically C_6–C_{22}), such as plant seed and fruit oils (e.g, oils of olive, castor, linseed, sesame, corn (maize), peanut, sunflower, grapeseed, safflower, cottonseed, soybean, rapeseed, coconut and palm kernel), animal-sourced fats (e.g., beef tallow, pork tallow, lard, cod liver oil, fish oil), and mixtures thereof. Liquid diluents also include alkylated fatty acids (e.g., methylated, ethylated, butylated) wherein the fatty acids may be obtained by hydrolysis of glycerol esters from plant and animal sources, and can be purified by distillation. Typical liquid diluents are described in Marsden, *Solvents Guide*, 2nd Ed., Interscience, New York, 1950.

The solid and liquid compositions of the present invention often include one or more surfactants. Surfactants can be classified as nonionic, anionic or cationic. Nonionic surfactants useful for the present compositions include, but are not limited to: alcohol alkoxylates such as alcohol alkoxylates based on natural and synthetic alcohols (which may be branched or linear) and prepared from the alcohols and ethylene oxide, propylene oxide, butylene oxide or mixtures thereof; amine ethoxylates, alkanolamides and ethoxylated alkanolamides; alkoxylated triglycerides such as ethoxylated soybean, castor and rapeseed oils; alkylphenol alkoxylates such as octylphenol ethoxylates, nonylphenol ethoxylates, dinonyl phenol ethoxylates and dodecyl phenol ethoxylates (prepared from the phenols and ethylene oxide, propylene oxide, butylene oxide or mixtures thereof); block polymers prepared from ethylene oxide or propylene oxide and reverse block polymers where the terminal blocks are prepared from propylene oxide; ethoxylated fatty acids; ethoxylated fatty esters and oils; ethoxylated methyl esters; ethoxylated tristyrylphenol (including those prepared from ethylene oxide, propylene oxide, butylene oxide or mixtures thereof); fatty acid esters, glycerol esters, lanolin-based derivatives, polyethoxylate esters such as polyethoxylated sorbitan fatty acid esters, polyethoxylated sorbitol fatty acid esters and polyethoxylated glycerol fatty acid esters; other sorbitan derivatives such as sorbitan esters;

polymeric surfactants such as random copolymers, block copolymers, alkyd peg (polyethylene glycol) resins, graft or comb polymers and star polymers; polyethylene glycols (pegs); polyethylene glycol fatty acid esters; silicone-based surfactants; and sugar-derivatives such as sucrose esters, alkyl polyglycosides and alkyl polysaccharides.

Useful anionic surfactants include, but are not limited to: alkylaryl sulfonic acids and their salts; carboxylated alcohol or alkylphenol ethoxylates; diphenyl sulfonate derivatives; lignin and lignin derivatives such as lignosulfonates; maleic or succinic acids or their anhydrides; olefin sulfonates; phosphate esters such as phosphate esters of alcohol alkoxylates, phosphate esters of alkylphenol alkoxylates and phosphate esters of styryl phenol ethoxylates; protein-based surfactants; sarcosine derivatives; styryl phenol ether sulfate; sulfates and sulfonates of oils and fatty acids; sulfates and sulfonates of ethoxylated alkylphenols; sulfates of alcohols; sulfates of ethoxylated alcohols; sulfonates of amines and amides such as *N,N*-alkyltaurates; sulfonates of benzene, cumene, toluene, xylene, and dodecyl and tridecylbenzenes; sulfonates of condensed naphthalenes; sulfonates of naphthalene and alkyl naphthalene; sulfonates of fractionated petroleum; sulfosuccinamates; and sulfosuccinates and their derivatives such as dialkyl sulfosuccinate salts.

Useful cationic surfactants include, but are not limited to: amides and ethoxylated amides; amines such as *N*-alkyl propanediamines, tripropylenetriamines and dipropylenetetramines, and ethoxylated amines, ethoxylated diamines and propoxylated amines (prepared from the amines and ethylene oxide, propylene oxide, butylene oxide or mixtures thereof); amine salts such as amine acetates and diamine salts; quaternary ammonium salts such as quaternary salts, ethoxylated quaternary salts and diquaternary salts; and amine oxides such as alkyldimethylamine oxides and bis-(2-hydroxyethyl)-alkylamine oxides.

Also useful for the present compositions are mixtures of nonionic and anionic surfactants or mixtures of nonionic and cationic surfactants. Nonionic, anionic and cationic surfactants and their recommended uses are disclosed in a variety of published references including *McCutcheon's Emulsifiers and Detergents*, annual American and International Editions published by McCutcheon's Division, The Manufacturing Confectioner Publishing Co.; Sisely and Wood, *Encyclopedia of Surface Active Agents*, Chemical Publ. Co., Inc., New York, 1964; and A. S. Davidson and B. Milwidsky, *Synthetic Detergents*, Seventh Edition, John Wiley and Sons, New York, 1987.

Compositions of this invention may also contain formulation auxiliaries and additives, known to those skilled in the art as formulation aids. Such formulation auxiliaries and additives may control: pH (buffers), foaming during processing (antifoams such polyorganosiloxanes (e.g., Rhodorsil® 416)), sedimentation of active ingredients (suspending agents), viscosity (thixotropic thickeners), in-container microbial growth (antimicrobials), product freezing (antifreezes), color (dyes/pigment dispersions (e.g., Pro-

lzed® Colorant Red)), wash-off (film formers or stickers), evaporation (evaporation retardants), and other formulation attributes. Film formers include, for example, polyvinyl acetates, polyvinyl acetate copolymers, polyvinylpyrrolidone-vinyl acetate copolymer, polyvinyl alcohols, polyvinyl alcohol copolymers and waxes. Examples of formulation auxiliaries and additives include those listed in *McCutcheon's Volume 2: Functional Materials*, annual International and North American editions published by McCutcheon's Division, The Manufacturing Confectioner Publishing Co.; and PCT Publication WO 03/024222.

It will be evident that scope of compositions of this invention relating to Formula **1** compounds together with the scope of compositions of this invention relating to compounds having a formula corresponding to Formula **1** except that R^1 is 4-fluorophenyl and the scope of compositions of this invention relating to the compound of 2-[1-[(2-chlorophenyl)acetyl]-4-piperidinyl]-*N*-methyl-*N*-[(1*R*)-1-phenylethyl]-4-thiazolecarboxamide, corresponds to a scope of compositions of compounds having a formula corresponding to Formula **1** as described above where proviso (a) and proviso (c) are both omitted (i.e., only proviso (b) is in effect).

The compound of Formula **1** (or the compound having a formula corresponding to Formula **1** except that R^1 is 4-fluorophenyl or the compound of 2-[1-[(2-chlorophenyl)acetyl]-4-piperidinyl]-*N*-methyl-*N*-[(1*R*)-1-phenylethyl]-4-thiazolecarboxamide, as the case may be) and any other active ingredients are typically incorporated into the present compositions by dissolving the active ingredient in a solvent or by grinding in a liquid or dry diluent. Solutions, including emulsifiable concentrates, can be prepared by simply mixing the ingredients. If the solvent of a liquid composition intended for use as an emulsifiable concentrate is water-immiscible, an emulsifier is typically added to emulsify the active-containing solvent upon dilution with water. Active ingredient slurries, with particle diameters of up to 2,000 μm can be wet milled using media mills to obtain particles with average diameters below 3 μm. Aqueous slurries can be made into finished suspension concentrates (see, for example, U.S. 3,060,084) or further processed by spray drying to form water-dispersible granules. Dry formulations usually require dry milling processes, which produce average particle diameters in the 2 to 10 μm range. Dusts and powders can be prepared by blending and, usually, grinding as in a hammer mill or fluid-energy mill. Granules and pellets can be prepared by spraying the active material upon preformed granular carriers or by agglomeration techniques. See Browning, "Agglomeration", *Chemical Engineering*, December 4, 1967, pp 147–48, *Perry's Chemical Engineer's Handbook*, 4th Ed., McGraw-Hill, New York, 1963, pages 8–57 and following, and WO 91/13546. Pellets can be prepared as described in U.S. 4,172,714. Water-dispersible and water-soluble granules can be prepared as taught in U.S. 4,144,050, U.S. 3,920,442 and DE 3,246,493. Tablets can be prepared as taught in U.S. 5,180,587, U.S.

5,232,701 and U.S. 5,208,030. Films can be prepared as taught in GB 2,095,558 and U.S. 3,299,566.

For further information regarding the art of formulation, see T. S. Woods, "The Formulator's Toolbox – Product Forms for Modern Agriculture" in *Pesticide Chemistry and Bioscience, The Food–Environment Challenge*, T. Brooks and T. R. Roberts, Eds., Proceedings of the 9th International Congress on Pesticide Chemistry, The Royal Society of Chemistry, Cambridge, 1999, pp. 120–133. See also U.S. 3,235,361, Col. 6, line 16 through Col. 7, line 19 and Examples 10–41; U.S. 3,309,192, Col. 5, line 43 through Col. 7, line 62 and Examples 8, 12, 15, 39, 41, 52, 53, 58, 132, 138–140, 162–164, 166, 167 and 169–182; U.S. 2,891,855, Col. 3, line 66 through Col. 5, line 17 and Examples 1–4; Klingman, *Weed Control as a Science*, John Wiley and Sons, Inc., New York, 1961, pp 81–96; Hance et al., *Weed Control Handbook*, 8th Ed., Blackwell Scientific Publications, Oxford, 1989; and *Developments in formulation technology*, PJB Publications, Richmond, UK, 2000.

In the following Examples, all percentages are by weight and all formulations are prepared in conventional ways. Compound numbers refer to compounds in Index Tables A.

Example A

High Strength Concentrate	
Compound 1	98.5%
silica aerogel	0.5%
synthetic amorphous fine silica	1.0%.

Example B

Wettable Powder	
Compound 1	65.0%
dodecylphenol polyethylene glycol ether	2.0%
sodium ligninsulfonate	4.0%
sodium silicoaluminate	6.0%
montmorillonite (calcined)	23.0%.

Example C

Granule	
Compound 1	10.0%
attapulgite granules (low volatile matter, 0.71/0.30 mm; U.S.S. No. 25–50 sieves)	90.0%.

Example D

Aqueous Suspension

Compound 1	25.0%
hydrated attapulgite	3.0%
crude calcium ligninsulfonate	10.0%
sodium dihydrogen phosphate	0.5%
water	61.5%.

Example E

Extruded Pellet

Compound 1	25.0%
anhydrous sodium sulfate	10.0%
crude calcium ligninsulfonate	5.0%
sodium alkylnaphthalenesulfonate	1.0%
calcium/magnesium bentonite	59.0%.

Example F

Microemulsion

Compound 1	1.0%
triacetine	30.0%
C_8–C_{10} alkylpolyglycoside	30.0%
glyceryl monooleate	19.0%
water	20.0%.

Example G

Emulsifiable Concentrate

Compound 1	10.0%
C_8–C_{10} fatty acid methyl ester	70.0%
polyoxyethylene sorbitol hexoleate	20.0%.

Emulsifiable concentrate formulations are particularly suitable compositions for compounds of the present invention that are amorphous solids softening only a few degree above ambient temperature (e.g., Compound 149). While a wide variety of water-immiscible solvents and surfactants can be used in such emulsifiable concentrate formulations, glycerol esters such as caprylic/capric triglyceride as the solvent and mixtures of polyethylene glycol (peg) alkyd resin and sorbitol ethoxylate ester as the surfactant work especially well. Using these ingredients, the emulsifiable concentrate can be simply prepared by adding the sorbitol ethoxylate ester to the caprylic/capric triglyceride solvent, and then warming the mechanically stirred mixture to about 50 °C. The compound of Formula 1 is added to the mixture, which is stirred vigorously until the Formula 1 compound

completely dissolves. Heating is discontinued, the alkyd peg resin is added, and the mixture is stirred until the liquid cools to ambient temperature. Example H illustrates such a formulated composition. This formulation is highly efficacious in curing grape downy mildew and potato late blight disease.

Example H

Emulsifiable Concentrate

Compound 149	10.0%
caprylic/capric triglyceride	70.0%
sorbitol ethoxylate ester	12.0%
alkyd peg resin	8.0%.

The compounds of this invention are useful as plant disease control agents. The present invention therefore further comprises a method for controlling plant diseases caused by fungal plant pathogens comprising applying to the plant or portion thereof to be protected, or to the plant seed or seedling to be protected, an effective amount of a compound of the invention or a fungicidal composition containing said compound. The compounds and/or compositions of this invention provide control of diseases caused by a broad spectrum of fungal plant pathogens in the Basidiomycete, Ascomycete, Oomycete and Deuteromycete classes. They are effective in controlling a broad spectrum of plant diseases, particularly foliar pathogens of ornamental, turf, vegetable, field, cereal, and fruit crops. These pathogens include: Oomycetes, including *Phytophthora* diseases such as *Phytophthora infestans, Phytophthora megasperma, Phytophthora parasitica, Phytophthora cinnamoni, Phytophthora capsici; Pythium* diseases such as *Pythium aphanidermatum;* and diseases in the Peronosporaceae family, such as *Plasmopara viticola, Peronospora* spp. *(including Peronospora tabacina* and *Peronospora parasitica), Pseudoperonospora* spp. (including *Pseudoperonospora cubensis),* and *Bremia lactucae;* Ascomycetes, including *Alternaria* diseases such as *Alternaria solani* and *Alternaria brassicae; Guignardia* diseases such as *Guignardia bidwell; Venturia* diseases such as *Venturia inaequalis; Septoria* diseases such as *Septoria nodorum* and *Septoria tritici;* powdery mildew diseases such as *Erysiphe* spp. (including *Erysiphe graminis* and *Erysiphe polygoni*), *Uncinula necatur, Sphaerotheca fuligena,* and *Podosphaera leucotricha; Pseudocercosporella herpotrichoides; Botrytis* diseases such as *Botytis cinerea; Monilinia fructicola; Sclerotinia* diseases such as *Sclerotinia sclerotiorum; Magnaporthe grisea; Phomopsis viticola; Helminthosporium* diseases such as *Helminthosporium tritici repentis; Pyrenophora teres;* anthracnose diseases such as *Glomerella* or *Colletotrichum* spp. (such as *Colletotrichum graminicola* and *Colletotrichum orbiculare*); and *Gaeumannomyces graminis*; Basidiomycetes, including rust diseases caused by *Puccinia* spp. (such as *Puccinia*

recondita, Puccinia striiformis, Puccinia hordei, Puccinia graminis, and Puccinia arachidis); Hemileia vastatrix; and *Phakopsora pachyrhizi;* other pathogens including *Rhizoctonia* spp (such as *Rhizoctonia solani); Fusarium* diseases such as *Fusarium roseum, Fusarium graminearum, Fusarium oxysporum; Verticillium dahliae; Sclerotium rolfsii; Rynchosporium secalis; Cercosporidium personatum, Cercospora arachidicola and Cercospora beticola;* and other genera and species closely related to these pathogens.

In addition to their fungicidal activity, the compositions or combinations also have activity against bacteria such as *Erwinia amylovora; Xanthomonas campestris; Pseudomonas syringae;* and other related species. Of note is control provided to disease caused by the Ascomycete and Oomycete classes. Of particular note is control provided to disease caused by the Oomycete class.

Plant disease control is ordinarily accomplished by applying an effective amount of a compound of this invention either pre- or post-infection, to the portion of the plant to be protected such as the roots, stems, foliage, fruit, seeds, tubers or bulbs, or to the media (soil or sand) in which the plants to be protected are growing. The compounds can also be applied to the seed to protect the seed and seedling. The compounds can also be applied through irrigation water to treat plants.

Rates of application for these compounds can be influenced by many factors of the environment and should be determined under actual use conditions. Foliage can normally be protected when treated at a rate of from less than about 1 g/ha to about 5,000 g/ha of active ingredient. Seed and seedlings can normally be protected when seed is treated at a rate of from about 0.1 to about 10 g per kilogram of seed.

Compounds of this invention can also be mixed with one or more other insecticides, fungicides, nematocides, bactericides, acaricides, growth regulators, chemosterilants, semiochemicals, repellents, attractants, pheromones, feeding stimulants or other biologically active compounds to form a multi-component pesticide giving an even broader spectrum of agricultural protection. Examples of such agricultural protectants with which compounds of this invention can be formulated are: insecticides such as abamectin, acephate, azinphos-methyl, bifenthrin, buprofezin, carbofuran, chlorfenapyr, chlorpyrifos, chlorpyrifos-methyl, cyflumetofen, cyfluthrin, beta-cyfluthrin, cyhalothrin, lambda-cyhalothrin, deltamethrin, diafenthiuron, diazinon, diflubenzuron, dimefluthrin, dimethoate, dinotefuran, esfenvalerate, fenoxycarb, fenpropathrin, fenvalerate, fipronil, flonicamid, flubendiamide, flucythrinate, tau-fluvalinate, fonophos, imidacloprid, indoxacarb, isofenphos, malathion, metaflumizone, metaldehyde, methamidophos, methidathion, methomyl, methoprene, methoxychlor, metofluthrin, monocrotophos, noviflumuron, oxamyl, parathion, parathion-methyl, permethrin, phorate, phosalone, phosmet, phosphamidon, pirimicarb, profenofos, profluthrin, pyrafluprole, pyridalyl, pyriprole, rotenone, spirodiclofen, spiromesifen, spirotetramat, sulprofos, tebufenozide,

tefluthrin, terbufos, tetrachlorvinphos, thiamethoxam, thiodicarb, tralomethrin, trichlorfon and triflumuron; fungicides such as acibenzolar, aldimorph, amisulbrom, azaconazole, azoxystrobin, benalaxyl, benomyl, benthiavalicarb, benthiavalicarb-isopropyl, binomial, biphenyl, bitertanol, blasticidin-S, Bordeaux mixture (Tribasic copper sulfate), boscalid/nicobifen, bromuconazole, bupirimate, buthiobate, carboxin, carpropamid, captafol, captan, carbendazim, chloroneb, chlorothalonil, chlozolinate, clotrimazole, copper oxychloride, copper salts such as copper sulfate and copper hydroxide, cyazofamid, cyflunamid, cymoxanil, cyproconazole, cyprodinil, dichlofluanid, diclocymet, diclomezine, dicloran, diethofencarb, difenoconazole, dimethomorph, dimoxystrobin, diniconazole, diniconazole-M, dinocap, discostrobin, dithianon, dodemorph, dodine, econazole, etaconazole, edifenphos, epoxiconazole, ethaboxam, ethirimol, ethridiazole, famoxadone, fenamidone, fenarimol, fenbuconazole, fencaramid, fenfuram, fenhexamide, fenoxanil, fenpiclonil, fenpropidin, fenpropimorph, fentin acetate, fentin hydroxide, ferbam, ferfurazoate, ferimzone, fluazinam, fludioxonil, flumetover, fluopicolide, fluoxastrobin, fluquinconazole, fluquinconazole, flusilazole, flusulfamide, flutolanil, flutriafol, folpet, fosetyl-aluminum, fuberidazole, furalaxyl, furametapyr, hexaconazole, hymexazole, guazatine, imazalil, imibenconazole, iminoctadine, iodicarb, ipconazole, iprobenfos, iprodione, iprovalicarb, isoconazole, isoprothiolane, kasugamycin, kresoxim-methyl, mancozeb, mandipropamid, maneb, mapanipyrin, mefenoxam, mepronil, metalaxyl, metconazole, methasulfocarb, metiram, metominostrobin, mepanipyrim, metiram, metrafenone, miconazole, myclobutanil, neo-asozin (ferric methanearsonate), nuarimol, octhilinone, ofurace, orysastrobin, oxadixyl, oxolinic acid, oxpoconazole, oxycarboxin, paclobutrazol, penconazole, pencycuron, penthiopyrad, perfurazoate, phosphonic acid, phthalide, picobenzamid, picoxystrobin, polyoxin, probenazole, prochloraz, procymidone, propamocarb, propamocarb-hydrochloride, propiconazole, propineb, proquinazid, prothioconazole, pyraclostrobin, pryazophos, pyrifenox, pyrimethanil, pyrifenox, pyrolnitrine, pyroquilon, quinconazole, quinoxyfen, quintozene, silthiofam, simeconazole, spiroxamine, streptomycin, sulfur, tebuconazole, techrazene, tecloftalam, tecnazene, tetraconazole, thiabendazole, thifluzamide, thiophanate, thiophanate-methyl, thiram, tiadinil, tolclofos-methyl, tolyfluanid, triadimefon, triadimenol, triarimol, triazoxide, tridemorph, trimoprhamide tricyclazole, trifloxystrobin, triforine, triticonazole, uniconazole, validamycin, vinclozolin, zineb, ziram, and zoxamide, nematocides such as aldoxycarb and fenamiphos; bactericides such as streptomycin; acaricides such as amitraz, chinomethionat, chlorobenzilate, cyhexatin, dicofol, dienochlor, etoxazole, fenazaquin, fenbutatin oxide, fenpropathrin, fenpyroximate, hexythiazox, propargite, pyridaben and tebufenpyrad; and biological agents such as *Bacillus thuringiensis*, *Bacillus thuringiensis* delta endotoxin, baculovirus, and entomopathogenic bacteria, virus and fungi. Descriptions of various commercially available compounds listed above may be found in *The Pesticide Manual,*

Twelfth Edition, C.D.S. Thomlin, ed., British Crop Protection Council, 2000. For embodiments where one or more of these various mixing partners are used, the weight ratio of these various mixing partners (in total) to the compound of Formula **1** is typically between about 1:100 and about 3000:1. Of note are weight ratios between about 1:30 and about 300:1 (for example ratios between about 1:1 and about 30:1). It will be evident that including these additional components may expand the spectrum of diseases controlled beyond the spectrum controlled by the compound of Formula **1** alone.

Of note are combinations of a compound of Formula **1**, a compound having a formula corresponding to Formula **1** except that R^1 is 4-fluorophenyl and/or the compound of 2-[1-[(2-chlorophenyl)acetyl]-4-piperidinyl]-*N*-methyl-*N*-[(1*R*)-1-phenylethyl]-4-thiazolecarboxamide with at least one other fungicide. Of particular note are such compositions where the other fungicide has different site of action with at least one other fungicide. Of particular note are compositions which in addition to compound of Formula **1** (or the compound having a formula corresponding to Formula **1** except that R^1 is 4-fluorophenyl or the compound of 2-[1-[(2-chlorophenyl)acetyl]-4-piperidinyl]-*N*-methyl-*N*-[(1*R*)-1-phenylethyl]-4-thiazolecarboxamide, as the case may be) include at least one compound selected from the group consisting of

(1) alkylenebis(dithiocarbamate) fungicides;

(2) cymoxanil;

(3) phenylamide fungicides;

(4) pyrimidinone fungicides;

(5) chlorothalonil;

(6) carboxamides acting at complex II of the fungal mitochondrial respiratory electron transfer site;

(7) quinoxyfen;

(8) metrafenone;

(9) cyflufenamid;

(10) cyprodinil;

(11) copper compounds;

(12) phthalimide fungicides;

(13) fosetyl-aluminum;

(14) benzimidazole fungicides;

(15) cyazofamid;

(16) fluazinam;

(17) iprovalicarb;

(18) propamocarb;

(19) validomycin;

(20) dichlorophenyl dicarboximide fungicides;

(21) zoxamide;

(22) fluopicolide;

(23) mandipropamid;

(24) carboxylic acid amides acting on phospholipid biosynthesis and cell wall deposition;

(25) dimethomorph;

(26) non-DMI sterol biosynthesis inhibitors;

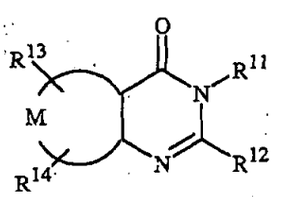
(27) inhibitors of demethylase in sterol biosynthesis;

(28) bc_1 complex fungicides; and

agriculturally suitable salts of compounds of (1) through (285).

Pyrimidinone Fungicides (group (4))

Pyrimidinone fungicides include compounds of Formula **47**



47

wherein

M forms a fused phenyl, thiophene or pyridine ring;

R^{11} is C_1–C_6 alkyl;

R^{12} is C_1–C_6 alkyl or C_1–C_6 alkoxy;

R^{13} is halogen; and

R^{14} is hydrogen or halogen.

Pyrimidinone fungicides are described in World Patent Application Publication WO 94/26722, U.S. Patent No. 6,066,638, U.S. Patent No. 6,245,770, U.S. Patent No. 6,262,058 and U.S. Patent No. 6,277,858.

Of note are pyrimidinone fungicides selected from the group:

6-bromo-3-propyl-2-propyloxy-4(3*H*)-quinazolinone,

6,8-diiodo-3-propyl-2-propyloxy-4(3*H*)-quinazolinone,

6-iodo-3-propyl-2-propyloxy-4(3*H*)-quinazolinone (proquinazid),

6-chloro-2-propoxy-3-propylthieno[2,3-*d*]pyrimidin-4(3*H*)-one,

6-bromo-2-propoxy-3-propylthieno[2,3-*d*]pyrimidin-4(3*H*)-one,

7-bromo-2-propoxy-3-propylthieno[3,2-*d*]pyrimidin-4(3*H*)-one,

6-bromo-2-propoxy-3-propylpyrido[2,3-*d*]pyrimidin-4(3*H*)-one,

6,7-dibromo-2-propoxy-3-propylthieno[3,2-*d*]pyrimidin-4(3*H*)-one, and

3-(cyclopropylmethyl)-6-iodo-2-(propylthio)pyrido[2,3-*d*]pyrimidin-4(3*H*)-one.

Inhibitors of Demethylase in Sterol Biosynthesis (27)

Sterol biosynthesis inhibitors control fungi by inhibiting enzymes in the sterol biosynthesis pathway. Demethylase-inhibiting fungicides have a common site of action within the fungal sterol biosynthesis pathway; that is inhibition of demethylation at position 14 of lanosterol or 24-methylene dihydrolanosterol, which are precursors to sterols in fungi. Compounds acting at this site are often referred to as demethylase inhibitors, DMI fungicides, or DMIs. The demethylase enzyme is sometimes referred to by other names in the biochemical literature, including cytochrome P-450 (14DM). The demethylase enzyme is described in, for example, *J. Biol. Chem.* **1992**, *267*, 13175–79 and references cited therein. DMI fungicides fall into several chemical classes: azoles (including triazoles and imidazoles), pyrimidines, piperazines and pyridines. The triazoles include azaconazole, bromuconazole, cyproconazole, difenoconazole, diniconazole (including diniconazole-M), epoxiconazole, etaconazole, fenbuconazole, fluquinconazole, flusilazole, flutriafol, hexaconazole, imibenconazole, ipconazole, metconazole, myclobutanil, penconazole, propiconazole, prothioconazole, quinconazole, simeconazole, tebuconazole, tetraconazole, triadimefon, triadimenol, triticonazole and uniconazole. The imidazoles include clotrimazole, econazole, imazalil, isoconazole, miconazole, oxpoconazole, prochloraz and triflumizole. The pyrimidines include fenarimol, nuarimol and triarimol. The piperazines include triforine. The pyridines include buthiobate and pyrifenox. Biochemical investigations have shown that all of the above mentioned fungicides are DMI fungicides as described by K. H. Kuck, et al. in *Modern Selective Fungicides - Properties, Applications and Mechanisms of Action*, H. Lyr (Ed.), Gustav Fischer Verlag: New York, 1995, 205–258.

bc_1 Complex Fungicides (28)

Strobilurin fungicides such as fluoxastrobin, orysastrobin, picoxystrobin, pyraclostrobin and trifloxystrobin are known to have a fungicidal mode of action which inhibits the bc_1 complex in the mitochondrial respiration chain (*Angew. Chem. Int. Ed.* **1999**, *38*, 1328–1349). Other strobilurin fungicides include (2*E*)-2-(2-[[6-(3-chloro-2-methylphenoxy)-5-fluor-4-pyrimidinyl]oxy]phenyl)-2-(methoxyimino)-*N*-methyl-ethanamide, (2*E*)-2-(methoxyimino)-*N*-methyl-2-(2-[[([(1*E*)-1-[3-(trifluoromethyl)phenyl]-ethyliden]amino)oxy]methyl]phenyl)ethanamide, (2*E*)-2-methoxyimino)-*N*-methyl-2-[2-[(*E*)-([1-[3-(trifluoromethyl)phenyl]ethoxy]imino)methyl] phenyl)ethanamide. Other compounds that inhibit the bc_1 complex in the mitochondrial respiration chain include famoxadone and fenamidone. The bc_1 complex is sometimes referred to by other names in the biochemical literature, including complex III of the electron transfer chain, and ubihydroquinone:cytochrome *c* oxidoreductase. It is uniquely identified by the Enzyme Commission number EC1.10.2.2. The bc_1 complex is described in, for example, *J. Biol. Chem.* **1989**, *264*, 14543–48; *Methods Enzymol.* **1986**, *126*, 253–71; and references cited therein.

Other Fungicide Groups

Alkylenebis(dithiocarbamate)s (1) include compounds such as mancozeb, maneb, propineb and zineb.

Phenylamides (3) include compounds such as metalaxyl, benalaxyl, furalaxyl and oxadixyl.

Carboxamides (6) include compounds such as boscalid, carboxin, fenfuram, flutolanil, furametpyr, mepronil, oxycarboxin, thifluzamide, penthiopyrad, and *N*-[2-(1,3-dimethylbutyl)phenyl]-5-fluoro-1,3-dimethyl-1*H*-pyrazole-4-carboxamide (PCT Patent Application Publication WO 2003/010149) are known to inhibit mitochondrial function by disrupting complex II (succinate dehydrogenase) in the respiratory electron transport chain.

Copper compounds (11) include compounds such as copper oxychloride, copper sulfate and copper hydroxide, including compositions such as Bordeaux mixture (tribasic copper sulfate).

Phthalimides (12) include compounds such as folpet and captan.

Benzimidazole fungicides (14) include benomyl and carbendazim.

Dichlorophenyl dicarboximide fungicides (20) include chlozolinate, dichlozoline, iprodione, isovaledione, myclozolin, procymidone and vinclozolin.

Non-DMI sterol biosynthesis inhibitors (26) include morpholine and piperidine fungicides. The morpholines and piperidines are sterol biosynthesis inhibitors that have been shown to inhibit steps in the sterol biosynthesis pathway at a point later than the inhibitions achieved by the DMI sterol biosynthesis (27). The morpholines include aldimorph, dodemorph, fenpropimorph, tridemorph and trimorphamide. The piperidines include fenpropidin.

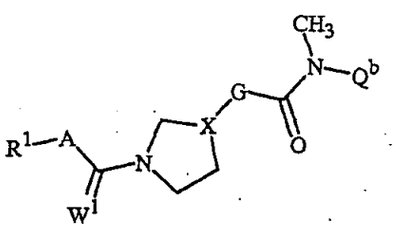
It will be evident that scope of methods of controlling plant disease of this invention relating to Formula **1** compounds together with the scope of methods of controlling plant disease of this invention relating to compounds having a formula corresponding to Formula **1** except that R^1 is 4-fluorophenyl and the scope of methods of controlling plant disease of this invention relating to the compound of 2-[1-[(2-chlorophenyl)acetyl]-4-piperidinyl]-*N*-methyl-*N*-[(1*R*)-1-phenylethyl]-4-thiazolecarboxamide, corresponds to a scope of methods of controlling plant disease with compounds having a formula corresponding to Formula **1** as described above where proviso (a) and proviso (c) are both omitted (i.e., only proviso (b) is in effect). Of note are these methods where plant disease cause by Oomycete fungal plant pathogens are controlled.

Plant disease control is ordinarily accomplished by applying an effective amount of a compound of this invention either pre- or post-infection, to the portion of the plant to be protected such as the roots, stems, foliage, fruit, seeds, tubers or bulbs, or to the media (soil or sand) in which the plants to be protected are growing. The compounds can also be applied to the seed to protect the seed and seedling.

Rates of application for these compounds can be influenced by many factors of the environment and should be determined under actual use conditions. Foliage can normally be protected when treated at a rate of from less than about 1 g/ha to about 5,000 g/ha of active ingredient. Seed and seedlings can normally be protected when seed is treated at a rate of from about 0.1 to about 10 g per kilogram of seed.

The following Tests demonstrate the control efficacy of compounds of this invention on specific pathogens. The pathogen control protection afforded by the compounds is not limited, however, to these species. See Index Tables A and B for compound descriptions. The following abbreviations are used in the Index Tables which follow: *t* means tertiary, *s* means secondary, Ph means phenyl. The stereocenters are labeled as *R* (*rectus*) and *S* (*sinister*) based on Cahn-Ingold-Prelog system. The abbreviation "Ex." stands for "Example" and is followed by a number indicating in which example the compound is prepared. Index Tables A and B list the molecular weight of the highest isotopic abundance parent ion (M+1) formed by addition of H^+ (molecular weight of 1) to the molecule, observed by mass spectrometry using atmospheric pressure chemical ionization (AP^+).

INDEX TABLE A



Cmpd	R^1	A	W^1	X	G (*)	Q^b	AP^+ (M+1)
1	2-chlorophenyl	CH_2	O	X^1	G-1	(1*S*)-1-phenylethyl	482
2	2-chlorophenyl	CH_2	O	X^1	G-1	(1*R*)-1-phenylethyl	482
3	phenyl	CH_2	O	X^1	G-1	(1*R*)-1-phenylethyl	448
4	4-methoxyphenyl	CH_2	O	X^1	G-1	(1*R*)-1-phenylethyl	478
5	3-methoxyphenyl	CH_2	O	X^1	G-1	(1*R*)-1-phenylethyl	478
6	2,4-dichlorophenyl	CH_2	O	X^1	G-1	(1*R*)-1-phenylethyl	516
7	2,6-dichlorophenyl	CH_2	O	X^1	G-1	(1*R*)-1-phenylethyl	516
8	2-bromophenyl	CH_2	O	X^1	G-1	(1*R*)-1-phenylethyl	526
9	2-fluorophenyl	CH_2	O	X^1	G-1	(1*R*)-1-phenylethyl	466
10	2-trifluoromethylphenyl	CH_2	O	X^1	G-1	(1*R*)-1-phenylethyl	516
11	2-methylphenyl	CH_2	O	X^1	G-1	(1*R*)-1-phenylethyl	462

Cmpd	R^1	A	W^1	X	G (*)	Q^b	AP^+ (M+1)
12	4-methylphenyl	CH_2	O	X^1	G-1	(1*R*)-1-phenylethyl	462
13	4-chlorophenyl	CH_2	O	X^1	G-1	(1*R*)-1-phenylethyl	482
14	4-trifluoromethylphenyl	CH_2	O	X^1	G-1	(1*R*)-1-phenylethyl	516
15	3-chlorophenyl	CH_2	O	X^1	G-1	(1*R*)-1-phenylethyl	482
16	3-trifluoromethylphenyl	CH_2	O	X^1	G-1	(1*R*)-1-phenylethyl	516
17	2,3-dichlorophenyl	CH_2	O	X^1	G-1	(1*R*)-1-phenylethyl	516
18	3-trifluoromethylphenyl	CH_2	O	X^1	G-1	(1*R*)-1-phenylethyl	517
19	3-bromophenyl	CH_2	O	X^1	G-1	(1*R*)-1-phenylethyl	526
20	3-nitrophenyl	CH_2	O	X^1	G-1	(1*R*)-1-phenylethyl	493
21	3-iodophenyl	CH_2	O	X^1	G-1	(1*R*)-1-phenylethyl	574
22	3,5-di-CF_3-Ph	CH_2	O	X^1	G-1	(1*R*)-1-phenylethyl	584
23	3-fluorophenyl	CH_2	O	X^1	G-1	(1*R*)-1-phenylethyl	466
24	3-trifluoromethyl-pyrazol-1-yl	CH_2	O	X^1	G-1	(1*R*)-1-phenylethyl	505
25	2-chlorophenyl	CH_2	O	X^2	G-1	(1*R*)-1-phenylethyl	483
26	3-chlorophenyl	CH_2	O	X^2	G-1	(1*R*)-1-phenylethyl	483
27	3-bromophenyl	CH_2	O	X^2	G-1	(1*R*)-1-phenylethyl	527
28	3-nitrophenyl	CH_2	O	X^2	G-1	(1*R*)-1-phenylethyl	494
29	3-iodophenyl	CH_2	O	X^2	G-1	(1*R*)-1-phenylethyl	575
30	3-trifluorophenyl	CH_2	O	X^1	G-1[8]	(1*R*)-1-phenylethyl	550
31	3-methylsulfonylphenyl	CH_2	O	X^1	G-1	(1*R*)-1-phenylethyl	526
32	3-bromophenyl	CH_2	O	X^2	G-37	(1*R*)-1-phenylethyl	527
33	2-fluoro-3-chlorophenyl	CH_2	O	X^1	G-1	(1*R*)-1-phenylethyl	500
34	4-fluoro-3-trifluorophenyl	CH_2	O	X^1	G-1	(1*R*)-1-phenylethyl	534
35	4-fluoro-3-chlorophenyl	CH_2	O	X^1	G-1	(1*R*)-1-phenylethyl	500
36	3-methylphenyl	CH_2	O	X^1	G-1	(1*R*)-1-phenylethyl	462
37	3,5-difluorophenyl	CH_2	O	X^1	G-1	(1*R*)-1-phenylethyl	484
38	3,4-difluorophenyl	CH_2	O	X^1	G-1	(1*R*)-1-phenylethyl	484
39	2-chloro-5-trifluoromethylphenyl	CH_2	O	X^1	G-1	(1*R*)-1-phenylethyl	550
40	3-fluoro-5-trifluoromethylphenyl	CH_2	O	X^1	G-1	(1*R*)-1-phenylethyl	534
41	2-methoxyphenyl	CH_2	O	X^1	G-1	(1*R*)-1-phenylethyl	478
42	2-fluoro-3-trifluoromethylphenyl	CH_2	O	X^1	G-1	(1*R*)-1-phenylethyl	534

Cmpd	R^1	A	W^1	X	G (*)	Q^b	AP^+ (M+1)
43	3,5-dimethylphenyl	CH_2	O	X^1	G-1	(1*R*)-1-phenylethyl	476
44	2,5-difluorophenyl	CH_2	O	X^1	G-1	(1*R*)-1-phenylethyl	484
45	2-trifluoromethoxyphenyl	CH_2	O	X^1	G-1	(1*R*)-1-phenylethyl	532
46	2,3-difluorophenyl	CH_2	O	X^1	G-1	(1*R*)-1-phenylethyl	484
47	2,5-dimethylphenyl	CH_2	O	X^1	G-1	(1*R*)-1-phenylethyl	476
48	3-methylthienyl	CH_2	O	X^1	G-1	(1*R*)-1-phenylethyl	494
49	3-trifluoromethoxyphenyl	CH_2	O	X^1	G-1	(1*R*)-1-phenylethyl	532
50	2,5-dimethylphenyl	CH_2	O	X^2	G-2	(1*R*)-1-phenylethyl	461
51	2-chloro-5-trifluoromethylphenyl	CH_2	O	X^2	G-2	(1*R*)-1-phenylethyl	535
52	3-methylphenyl	CH_2	O	X^2	G-2	(1*R*)-1-phenylethyl	447
53	2-methoxyphenyl	CH_2	O	X^2	G-2	(1*R*)-1-phenylethyl	463
54	3-bromophenyl	CH_2	O	X^2	G-2	(1*R*)-1-phenylethyl	511
55	3-trifluoromethylphenyl	CH_2	O	X^2	G-2	(1*R*)-1-phenylethyl	501
56	2,5-dimethylphenyl	CH_2	O	X^1	G-1	(1*R*)-1-(3-trifluoromethylphenyl)-ethyl	544
57	2,5-dimethylphenyl	CH_2	O	X^1	G-1	(1*R*)-1-(2-fluorophenyl)ethyl	494
58 (Ex. 1)	2,5-dimethylphenyl	CH_2	O	X^1	G-1	(1*R*)-1-phenylpropyl	490
59	2,5-dimethylphenyl	CH_2	O	X^1	G-1	(1*R*)-1-(4-methoxyphenyl)ethyl	506
60	2,5-dimethylphenyl	CH_2	O	X^1	G-1	(1*R*)-1-(4-chlorophenyl)ethyl	510
61	3-methylphenyl	CH_2	O	X^1	G-1	1-(3,5-dichloro-2-pyridinyl)ethyl	531
62	2,5-dimethylphenyl	CH_2	O	X^1	G-1	(1*R*)-1-(4-methylphenyl)-ethyl	490
63	2,5-dimethylphenyl	CH_2	O	X^1	G-1	(1*R*)-1-(4-bromophenyl)-ethyl	554
64	2,5-dimethylphenyl	CH_2	O	X^1	G-1	(1*R*)-1-(4-fluorophenyl)-ethyl	494

Cmpd	R^1	A	W^1	X	G (*)	Q^b	AP^+ (M+1)
65	3,5-dimethylpyrazol-1-yl	CH_2	O	X^1	G-1	(1*R*)-1-phenylethyl	466
66	3-trifluoromethylphenyl	CH_2	O	X^1	G-1	1-(3-pyridinyl)ethyl	517
67	2,5-dimethylphenyl	CH_2	O	X^1	G-1	(1*R*)-1-(3-trifluoromethylphenyl)-ethyl	544
68	2,5-dimethylphenyl	CH_2	O	X^1	G-1	(1*S*)-1-phenylethyl	476
69	2,5-dimethylphenyl	CH_2	O	X^1	G-1	(1*R*)-1-(3-methoxyphenyl)ethyl	506
70 (Ex. 5)	3-trifluoromethyl-5-methylpyrazol-1-yl	CH_2	O	X^1	G-1	(1*R*)-1-phenylethyl	520
71	4-bromopyrazol-1-yl	CH_2	O	X^1	G-1	(1*R*)-1-phenylethyl	516
72	3-methylpyrazol-1-yl	CH_2	O	X^1	G-1	(1*R*)-1-phenylethyl	452
73	4-methylpyrazol-1-yl	CH_2	O	X^1	G-1	(1*R*)-1-phenylethyl	452
74 (Ex. 4)	2,5-dimethylphenyl	CH_2	O	X^2	G-37	(1*R*)-1-phenylethyl	477
75	3-trifluoromethylpheayl	CH_2	O	X^1	G-1	1-(2-pyridinyl)ethyl	517
76	3-trifluoromethylphenyl	CH_2	O	X^1	G-1	1-(4-pyridinyl)ethyl	517
77	2,5-dichlorophenyl	CH_2	O	X^1	G-1	(1*R*)-1-phenylethyl	516
78	2-ethoxyphenyl	CH_2	O	X^1	G-1	(1*R*)-1-phenylethyl	492
79	3-ethoxyphenyl	CH_2	O	X^1	G-1	(1*R*)-1-phenylethyl	492
80	2-methyl-5-fluorophenyl	CH_2	O	X^1	G-1	(1*R*)-1-phenylethyl	481
81	2-methoxy-5-bromophenyl	CH_2	O	X^1	G-1	(1*R*)-1-phenylethyl	556
82	2,5-dimethylphenyl	CH_2	O	X^1	G-1	1-phenylbutyl	504
83	pyridin-3-yl	CH_2	O	X^1	G-1	(1*R*)-1-phenylethyl	449
84	pyridin-4-yl	CH_2	O	X^1	G-1	(1*R*)-1-phenylethyl	449
85	2,5-dimethylphenyl	CH_2	O	X^1	G-1	1-phenylpentyl	518
86	2-bromo-5-chlorophenyl	CH_2	O	X^1	G-1	(1*R*)-1-phenylethyl	560
87	2,5-bis-trifluoromethylphenyl	CH_2	O	X^1	G-1	(1*R*)-1-phenylethyl	584
88	2-thienyl	CH_2	O	X^1	G-1	(1*R*)-1-phenylethyl	454
89	2,5-dimethylphenyl	CH_2	O	X^1	G-1	1-(2-thienyl)ethyl	482
90	2-methoxycarbonyl-methylphenyl	CH_2	O	X^1	G-1	(1*R*)-1-phenylethyl	521
91	2-methylthiazol-4-yl	CH_2	O	X^1	G-1	(1*R*)-1-phenylethyl	469

Cmpd	R^1	A	W^1	X	G (*)	Q^b	AP^+ (M+1)
92	2,5-dimethylthiazol-4-yl	CH_2	O	X^1	G-1	(1*R*)-1-phenylethyl	483
93	3-*t*-butylisoxazol-5-yl	CH_2	O	X^1	G-1	(1*R*)-1-phenylethyl	495
94	2,5-dimethylphenyl	CH_2	O	X^1	G-1	1-(2-pyridinyl)ethyl	477
95	2,5-dimethylphenyl	CH_2	O	X^1	G-1	1-(3-pyridinyl)ethyl	477
96	2,5-dimethylphenyl	CH_2	O	X^1	G-1	1-phenyl-2-methylpropan-1-yl	504
97	2,5-dimethylphenyl	CH_2	O	X^1	G-1	1-phenyl-3-methylbutan-1-yl	518
98	2,5-dimethylphenyl	CH_2	O	X^1	G-1	1,2,3,4-tetrahydro-2-naphthalenyl	502
99	2,5-dimethylphenyl	CH_2	O	X^1	G-1	1,2,3,4-tetrahydro-1-naphthalenyl	502
100	2,5-dimethylphenyl	CH_2	O	X^1	G-1	indan-1-yl	488
101	2,4-dimethylpyrrol-1-yl	CH_2	O	X^1	G-1	(1*R*)-1-phenylethyl	465
102	2,5-dimethylphenyl	CH_2	O	X^1	G-1	phenyl-cyanomethyl	487
103	2,5-dimethylphenyl	CH_2	O	X^1	G-1	1-phenyl-2-propen-1-yl	488
104	2,5-dimethylphenyl	CH_2	O	X^1	G-1	1-phenyl-3-buten-1-yl	502
105	2,5-dimethylphenyl	CH_2	O	X^1	G-1	(1*R*)-1-naphthalenylethyl	526
106	2,5-dimethylphenyl	CH_2	O	X^1	G-1	(1*R*)-2-naphthalenylethyl	526
107	3-bromophenyl	CH_2	O	X^1	G-1	(1*R*)-1-phenylpropyl	540
108	3-trifluoromethylphenyl	CH_2	O	X^1	G-1	(1*R*)-1-phenylpropyl	531
109	2,5-dimethylphenyl	CH_2	O	X^1	G-1	1-phenyl-2-methoxyethyl	506
110 (Ex. 3)	2,5-dichlorophenyl	CH_2	O	X^1	G-1	(1*R*)-1-phenylpropyl	530
111 (Ex. 11)	2,5-dimethylphenyl	CH_2	O	X^1	G-1	(1*R*)-1-(3,5-dichloro-2-pyridinyl)ethyl	545
112	2,5-dimethylphenyl	CH_2	O	X^1	G-1	1-(2,5-dimethyl-3-thienyl)ethyl	510
113	2,5-dimethylphenyl	CH_2	O	X^1	G-1	1-(2,5-dimethyl-3-furyl)ethyl	494
114	2-chloro-5-trifluoromethylphenyl	CH_2	O	X^1	G-1	(1*R*)-1-phenylpropyl	564
115	2-methoxyphenyl	CH_2	O	X^1	G-1	(1*R*)-1-phenylpropyl	492
116	3-methylphenyl	CH_2	O	X^1	G-1	(1*R*)-1-phenylpropyl	476
117 (Ex. 2)	3-trifluoromethyl-5-methylpyrazol-1-yl	CH_2	O	X^1	G-1	(1*R*)-1-phenylpropyl	534

Cmpd	R^1	A	W^1	X	G (*)	Q[b]	AP^+ (M+1)
118	3,5-dimethylpyrazol-1-yl	CH_2	O	X^1	G-1	(1*R*)-1-phenylpropyl	480
119	3-ethylphenyl	CH_2	O	X^1	G-1	(1*R*)-1-phenylethyl	476
120	3,5-dimethyltriazol-1-yl	CH_2	O	X^1	G-1	(1*R*)-1-phenylethyl	467
121	2,4-dimethylimidazol-1-yl	CH_2	O	X^1	G-1	(1*R*)-1-phenylethyl	466
122	3-trifluoromethyltriazol-1-yl	CH_2	O	X^1	G-1	(1*R*)-1-phenylethyl	507
123	2-propyl-4-trifluoromethylimidazol-1-yl	CH_2	O	X^1	G-1	(1*R*)-1-phenylethyl	548
124	2-methyl-5-trifluoromethylphenyl	CH_2	O	X^1	G-1	(1*R*)-1-phenylethyl	530
125	2-methoxy-5-methylphenyl	CH_2	O	X^1	G-1	(1*R*)-1-phenylethyl	492
126	2,5-dimethylphenyl	CH_2	O	X^1	G-2	(1*R*)-1-phenylethyl	460
127	3-isopropyl-5-methylpyrazol-1-yl	CH_2	O	X^1	G-1	(1*R*)-1-phenylethyl	494
128	2-ethyl-4-methylimidazol-1-yl	CH_2	O	X^1	G-1	(1*R*)-1-phenylethyl	480
129	2,5-dimethylphenyl	CH_2	O	X^1	G-1	6,7,8,9-tetrahydro-5*H*-benzocyclohepten-5-yl	516
130	2,5-dimethylphenyl	CH_2	O	X^1	G-1	(*R*)-indan-1-yl	488
131	2,5-dimethylphenyl	CH_2	O	X^1	G-1	(*S*)-indan-1-yl	488
132 (Ex. 6)	2,5-dimethylphenyl	CH_2	O	X^1	G-1	(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl	502
133	2,5-dimethylphenyl	CH_2	O	X^1	G-1	(1*S*)-1,2,3,4-tetrahydro-1-naphthalenyl	502
134	2,5-dimethylphenyl	CH_2	O	X^1	G-1	4,5,6,7-tetrahydro-benzo[*b*]thien-4-yl	508
135	2,5-dimethylphenyl	CH_2	O	X^1	G-1	4,5,6,7-tetrahydro-benzo[*b*]furan-4-yl	492
136	2,5-dimethylphenyl	CH_2	O	X^1	G-1	thiochroman-4-yl	520
137	2,5-dimethylphenyl	CH_2	O	X^1	G-1	chroman-4-yl	504
138	2,5-dimethylphenyl	CH_2	O	X^1	G-1	2,3-dihydro-benzofuran-3-yl	490

Cmpd	R^1	A	W^1	X	G (*)	O^b	AP^+ (M+1)
139	2-isopropylimidazol-1-yl	CH_2	O	X^1	G-1	(1*R*)-1-phenylethyl	480
140	3-cyclohexyltriazol-1-yl	CH_2	O	X^1	G-1	(1*R*)-1-phenylethyl	521
141	4-*t*-butylimidazol-1-yl	CH_2	O	X^1	G-1	(1*R*)-1-phenylethyl	494
142	3-*s*-butyl-5-methylpyrazol-1-yl	CH_2	O	X^1	G-1	(1*R*)-1-phenylethyl	508
143	3-trifluoromethyl-5-methylpyrazol-1-yl	CH_2	O	X^1	G-1	(*R*)-1-(3,5-dichloro-2-pyridinyl)ethyl	589
144 (Ex. 6)	2,5-dichlorophenyl	CH_2	O	X^1	G-1	(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl	542
145 (Ex. 6)	2-methoxyphenyl	CH_2	O	X^1	G-1	(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl	504
146 (Ex. 6)	2-methoxy-5-methylphenyl	CH_2	O	X^1	G-1	(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl	518
147 (Ex. 6)	2-chloro-5-trifluoromethylphenyl	CH_2	O	X^1	G-1	(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl	576
148 (Ex. 6)	2-methoxy-5-bromophenyl	CH_2	O	X^1	G-1	(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl	582
149 (Ex. 6)	3-trifluoromethyl-5-methylpyrazol-1-yl	CH_2	O	X^1	G-1	(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl	546
150 (Ex. 6)	3,5-dimethylpyrazol-1-yl	CH_2	O	X^1	G-1	(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl	492
151 (Ex. 8)	3-trifluoromethyl-5-methylpyrazol-1-yl	CH_2	O	X^1	G-2	(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl	530
152 (Ex. 7)	3-trifluoromethyl-5-methylpyrazol-1-yl	CH_2	O	X^1	G-2	(1*R*)-1-phenylpropyl	518
153 (Ex. 9)	2,5-dimethylphenyl	CH_2	O	X^1	G-2	(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl	486
154 (Ex. 10)	2,5-dimethylphenyl	CH_2	O	X^1	G-2	(1*R*)-1-phenylpropyl	474
155	3-trifluoromethylphenyl	NH	O	X^1	G-1	(1*R*)-1-phenylethyl	518
156	3-trifluoromethylphenyl	NH	O	X^1	G-1	(1*R*)-1-phenylethyl	517
157	2-methoxyphenyl	NH	O	X^1	G-2	(1*R*)-1-phenylethyl	464
158	3-trifluoromethylphenyl	NH	O	X^1	G-2	(1*R*)-1-phenylethyl	502
159	2-methoxy-5-methylphenyl	NH	S	X^1	G-1	(1*R*)-1-phenylethyl	509

Cmpd	R^1	A	W^1	X	G (*)	Q^b	AP^+ (M+1)
160	3-trifluoromethylphenyl	NH	S	X^1	G-1	(1*R*)-1-phenylethyl	533
161	2-chlorophenyl	CH_2	O	X^1	G-1	benzyl	468
162	2-chlorophenyl	CH_2	O	X^1	G-1	2-phenylethyl	482
163	3-trifluromethylphenyl	CH_2	O	X^1	G-1	3-pyridinylmethyl	503
164	3-methylphenyl	CH_2	O	X^1	G-1	3-pyridylmethyl	449
165	3-methylphenyl	CH_2	O	X^1	G-1	2-pyridylmethyl	449
166	2,5-dimethylphenyl	CH_2	O	X^1	G-1	(1*R*)-1-cyclohexylethyl	483
167	2,5-dimethylphenyl	CH_2	O	X^1	G-1	benzyl	463
168	3-trifluoromethylphenyl	CH_2	O	X^1	G-1	2-pyridylmethyl	503
169	3-trifluoromethylphenyl	CH_2	O	X^1	G-1	4-pyridylmethyl	503
170	3-methylphenyl	CH_2	O	X^1	G-1	4-pyridylmethyl	449
171	2,5-dimethylphenyl	CH_2	O	X^1	G-1	3,4-dimethoxy-2-phenylethyl	536
172	2,5-dimethylphenyl	CH_2	O	X^1	G-1	isothiochroman-4-yl	520
173	2,5-dimethylphenyl	CH_2	O	X^1	G-1	1,2,3,4-tetrahydrophenanthren-1-yl	552
174	2,5-dimethylphenyl	CH_2	O	X^1	G-1	cyclohexyl	454
175	2,5-dimethylphenyl	CH_2	O	X^1	G-1	1,1-dimethyl-2-(4-fluorophenyl)ethyl	522
176	2,5-dimethylphenyl	CH_2	O	X^1	G-1	3-methylcyclohex-1-yl	468
177	2,5-dimethylphenyl	CH_2	O	X^1	G-1	2,3-dimethylcyclohex-1-yl	482
178 (Ex. 19)	3-trifluoromethyl-5-methylpyrazol-1-yl	CH_2	O	X^1	G-1	(*R*)-1-indan-1-yl	533
179	3-trifluoromethyl-5-methylpyrazol-1-yl	CH_2	O	X^1	G-1	chroman-4-yl	548
180	3-trifluoromethyl-5-methylpyrazol-1-yl	CH_2	O	X^1	G-1	thiochroman-4-yl	564
181	3-trifluoromethyl-5-methylpyrazol-1-yl	CH_2	O	X^1	G-1	6,7,8,9-tetrahydro-5*H*-benzocyclohepten-5-yl	560
182	3-trifluoromethyl-5-methylpyrazol-1-yl	CH_2	O	X^1	G-1	4,5,6,7-tetrahydrobenzo[*b*]thien-4-yl	552
183	3-trifluoromethyl-5-methylpyrazol-1-yl	CH_2	O	X^1	G-1	4,5,6,7-benzo[*b*]furan-4-yl	536

Cmpd	R^1	A	W^1	X	G (*)	Q^b	AP^+ (M+1)
184	3-trifluoromethyl-5-methylpyrazol-1-yl	CH_2	O	X^1	G-1	2,3-dihydro-benzofuran-3-yl	534
185	3-trifluoromethyl-5-methylpyrazol-1-yl	CH_2	O	X^1	G-1	isothiochroman-4-yl	564
186	3-trifluoromethyl-5-methylpyrazol-1-yl	CH_2	O	X^1	G-1	2-phenylcyclohex-1-yl	574
187	3-trifluoromethyl-5-methylpyrazol-1-yl	CH_2	O	X^1	G-1	(1*S*)-1,2,3,4-tetrahydro-1-naphthalenyl	546
188 (Ex. 23)	3-trifluoromethyl-5-methylpyrazol-1-yl	CH_2	O	X^1	G-1	1,2,3,4-tetrahydro-1-naphthalenyl	546
189	3-trifluoromethyl-5-methylpyrazol-1-yl	CH_2	O	X^1	G-1	5-hydroxy-1,2,3,4-tetrahydro-1-naphthalenyl	562
190	3-trifluoromethyl-5-methylpyrazol-1-yl	CH_2	O	X^1	G-1	6-hydroxy-1,2,3,4-tetrahydro-1-naphthalenyl	562
191 (h) (Ex. 26)	3-trifluoromethyl-5-methylpyrazol-1-yl	CH_2	O	X^1	G-1	(1*R*,4*S*)-1,2,3,4-tetrahydro-4-hydroxy-1-naphthalenyl	562
192 (b)	3-trifluoromethyl-5-methylpyrazol-1-yl	CH_2	O	X^1	G-1	4-methyl-1,2,3,4-tetrahydro-1-naphthalenyl	560
193 (c) (Ex. 22)	3-trifluoromethyl-5-methylpyrazol-1-yl	CH_2	O	X^1	G-1	2-methyl-1,2,3,4-tetrahydro-1-naphthalenyl	560
194	3-trifluoromethyl-5-methylpyrazol-1-yl	CH_2	O	X^1	G-1	*trans*-2-hydroxy-1,2,3,4-tetrahydro-1-naphthalenyl	562
195	3-trifluoromethyl-5-methylpyrazol-1-yl	CH_2	O	X^1	G-1	*trans*-2-acetoxy-1,2,3,4-tetrahydro-1-naphthalenyl	604
196	3-trifluoromethyl-5-methylpyrazol-1-yl	CH_2	O	X^1	G-1	5-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl	576

Cmpd	R^1	A	W^1	X	G (*)	Q[b]	AP^+ (M+1)
197	3-trifluoromethyl-5-methylpyrazol-1-yl	CH_2	O	X^1	G-1	6-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl	576
198	3-trifluoromethyl-5-methylpyrazol-1-yl	CH_2	O	X^1	G-1	7-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl	576
199	3-trifluoromethyl-5-methylpyrazol-1-yl	CH_2	O	X^1	G-1	4,4-dimethyl-1,2,3,4-tetrahydro-1-naphthalenyl	574
200 [h]	3-trifluoromethyl-5-methylpyrazol-1-yl	CH_2	O	X^1	G-1	(1*S*,2*R*)-2-hydroxyindan-1-yl	548
201	3-trifluoromethyl-5-methylpyrazol-1-yl	CH_2	O	X^1	G-1	6-chloro-1,2,3,4-tetrahydro-1-naphthalenyl	580
202	3-trifluoromethyl-5-methylpyrazol-1-yl	CH_2	O	X^1	G-11	(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl	530
203	3-trifluoromethyl-5-methylpyrazol-1-yl	CH_2	O	X^1	G-23	(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl	530
204	3-methyl-2-pyridyl	CH_2	O	X^1	G-1	(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl	489
205	2-pyridinyl	CH_2	O	X^1	G-1	(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl	475
206 [h] (Ex. 28)	3-trifluoromethyl-5-methylpyrazol-1-yl	CH_2	O	X^1	G-1	(1*R*,4*R*)-1,2,3,4-tetrahydro-4-hydroxy-1-naphthalenyl	562
207	3-trifluoromethyl-5-methylpyrazol-1-yl	CH_2	O	X^1	G-1	*trans*-2-hydroxy-indan-1-yl	548
208 (Ex. 16)	3-trifluoromethyl-5-ethylpyrazol-1-yl	CH_2	O	X^1	G-1	(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl	560
209 (Ex. 15)	3,5-diethylpyrazol-1-yl	CH_2	O	X^1	G-1	(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl	520
210 (Ex. 14)	3,5-bis-trifluoromethyl-pyrazol-1-yl	CH_2	O	X^1	G-1	(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl	600
211 (Ex. 27)	3-trifluoromethyl-5-methylpyrazol-1-yl	CH_2	O	X^1	G-1	4-oxo-1,2,3,4-tetrahydro-1-naphthalenyl	560

Cmpd	R^1	A	W^1	X	G (*)	Q[b]	AP+ (M+1)
212	3-trifluoromethyl-5-methylpyrazol-1-yl	CH_2	O	X^1	G-1	*cis*-4-acetoxy-1,2,3,4-tetrahydro-1-naphthalenyl	604
213	3-trifluoromethyl-5-methylpyrazol-1-yl	CH_2	O	X^1	G-1	*cis*-4-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl	576
214	6-chloro-2-pyridyl	CH_2	O	X^1	G-1	(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl	509
215	4,6-dimethyl-2-pyridyl	CH_2	O	X^1	G-1	(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl	503
216	3-trifluoromethyl-5-methylpyrazol-1-yl	CH_2	O	X^1	G-20	(1*R*)-1-phenylethyl	505
217	3-trifluoromethyl-5-methylpyrazol-1-yl	CH_2	O	X^1	G-30	(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl	530
218 (Ex. 31)	3-trifluoromethyl-5-methylpyrazol-1-yl	CH_2	O	X^3	G-1	(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl	544
219	3-trifluoromethyl-5-methylpyrazol-1-yl	CH_2	O	X^1	G-1	1,2,3,4-tetrahydro-4-naphthalenol-1-yl methylcarbamate [aa]	619
220 (Ex. 30)	3-trifluoromethyl-5-methylpyrazol-1-yl	CH_2	O	X^2	G-1	(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl	547
221	3-trifluoromethyl-5-methylpyrazol-1-yl	CH_2	O	X^1	G-1	5-chloroindan-1-yl	566
222 (Ex. 21)	3-trifluoromethyl-5-methylpyrazol-1-yl	CH_2	O	X^1	G-1	2,2-dimethylindan-1-yl	560
223	3-trifluoromethyl-5-methylpyrazol-1-yl	CH_2	O	X^1	G-15	(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl	546
224	3-trifluoromethyl-5-methylpyrazol-1-yl	CH_2	O	X^2	G-7	(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl	548
225	3-trifluoromethyl-5-methylpyrazol-1-yl	CH_2	O	X^1	G-8	(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl	531
226 (Ex. 20)	3-trifluoromethyl-5-methylpyrazol-1-yl	CH_2	O	X^1	G-1	2-methylindan-1-yl	546
227	3-trifluoromethyl-5-methylpyrazol-1-yl	CH_2	O	X^1	G-37 (d)	(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl	560

Cmpd	R^1	A	W^1	X	G (*)	Q[b]	AP^+ (M+1)
228	3-trifluoromethyl-5-methylpyrazol-1-yl	CH_2	O	X^1	G-1	trans-2-ethyl-1,2-dihydro-1-naphthalenyl	572
229	3-trifluoromethyl-5-methylpyrazol-1-yl	CH_2	O	X^1	G-1	3-carbomethoxy-indan-1-yl	590
230	3-trifluoromethyl-5-methylpyrazol-1-yl	CH_2	O	X^1	G-1	trans-2-methyl-1,2-dihydro-1-naphthalenyl	558
231 (Ex. 18)	3-trifluoromethyl-5-methylpyrazol-1-yl	CH_2	O	X^1	G-26	(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl	529
232 (Ex. 32)	3-trifluoromethyl-5-methylpyrazol-1-yl	CH_2	O	X^1	G-36	(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl	530
233 (Ex. 33)	3-trifluoromethyl-5-methylpyrazol-1-yl	CH_2	O	X^1	G-27	(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl	529
234[h] (Ex. 24)	3-trifluoromethyl-5-methylpyrazol-1-yl	CH_2	O	X^1	G-1	(1*R*,2*S*)-1,2,3,4-tetrahydro-2-methyl-1-naphthalenyl	560
235	3-trifluoromethyl-5-methylpyrazol-1-yl	CH_2	O	X^1	G-1	3-hydroxymethylindan-1-yl	562
236 (Ex. 25)	3-trifluoromethyl-5-methylpyrazol-1-yl	CH_2	O	X^1	G-1	2,2-dimethyl-1,2,3,4-tetrahydro-1-naphthalenyl	574
237	3-trifluoromethyl-5-methylpyrazol-1-yl	CH_2	O	X^1	G-1	1-methyl-1-phenylethyl	534
238 (Ex. 12)	3,5-dichloro-pyrazol-1-yl	CH_2	O	X^1	G-1	(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl	532
239 (e)	3-trifluoromethyl-5-t-butylpyrazol-1-yl	CH_2	O	X^1	G-1	(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl	588
240	3-trifluoromethyl-5-isopropylpyrazol-1-yl	CH_2	O	X^1	G-1	(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl	574
241	3-trifluoromethyl-5-methylpyrazol-1-yl	CH_2	O	X^8	G-1	(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl	561
242 (f)	3-trifluoromethyl-5-methylpyrazol-1-yl	CH_2	O	X^8	G-1	(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl	597
243	3-trifluoromethyl-5-methylpyrazol-1-yl	CH_2	O	X^1	G-1	1-(2-methylphenyl)ethyl	534
244	3-trifluoromethyl-5-methylpyrazol-1-yl	CH_2	O	X^1	G-1	(α*S*)-α-phenylacetic acid methyl ester	564

Cmpd	R^1	A	W^1	X	G (*)	Q[b]	AP^+ (M+1)
245	3-trifluoromethyl-5-methylpyrazol-1-yl	CH_2	O	X^1	G-1	(αS)- α-phenylacetic acid	550
246 (Ex. 17)	3-trifluoromethyl-5-methylpyrazol-1-yl	CH_2	O	X^1	G-49	(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl	532
247	3-trifluoromethyl-5-methylpyrazol-1-yl	CH_2	O	X^1	G-1	(αS)-α-phenylacetamide	549
248	3-trifluoromethyl-5-methylpyrazol-1-yl	CH_2	O	X^1	G-1	benzyl	506
249 (Ex. 13)	3-trifluoromethyl-5-chloropyrazol-1-yl	CH_2	O	X^1	G-1	(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl	566
250	3-trifluoromethyl-5-methylpyrazol-1-yl	CH_2	O	X^1	G-1	1-(2-fluorophenyl)ethyl	538
251	3-trifluoromethyl-5-methylpyrazol-1-yl	CH_2	O	X^1	G-1	1-(2-chlorophenyl)ethyl	554
252	3-trifluoromethyl-5-methylpyrazol-1-yl	CH_2	O	X^1	G-1	1-(2-bromophenyl)ethyl	598
253	3-trifluoromethyl-5-methylpyrazol-1-yl	CH_2	O	X^1	G-1	1-(4-chlorophenyl)-2,2,2-trifluoroethyl	608
254 (g)	3-trifluoromethyl-5-methylpyrazol-1-yl	CH_2	O	X^1	G-1	perhydronaphthalen-1-yl	552
255	3-trifluoromethyl-5-methylpyrazol-1-yl	CH_2	O	X^1	G-1	1-(2-methoxyphenyl)ethyl	550
256	3-trifluoromethyl-5-methylpyrazol-1-yl	CH_2	O	X^1	G-55	(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl	531
257	3-chloro-5-methylpyrazol-1-yl	CH_2	O	X^1	G-1	(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl	512
258	3-bromo-5-methylpyrazol-1-yl	CH_2	O	X^1	G-1	(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl	556
259	3-trifluoromethyl-5-methylpyrazol-1-yl	CH_2	O	X^1	G-1	2-phenylethyl	520

Notes:

(*) R^{3a} is H unless otherwise indicated.

(a) wherein R^{3a} is 5-Cl.

(b) mixture of cis and trans.

(c) mixture of cis and trans.

(d) R^{3a} is CH_3.

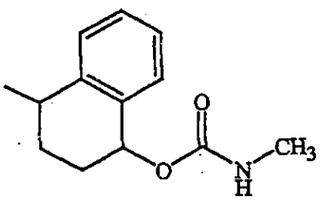
(e) contains 40 % of 3-t-butyl-5-trifluoromethyl-pyrazol-1-yl isomer.

(f) HCl salt.

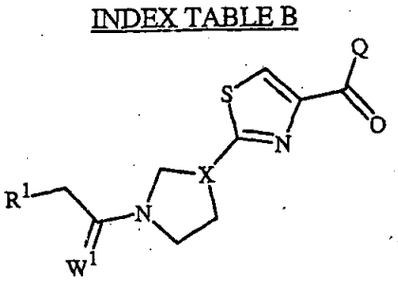
(g) mixture of cis and trans.

(h) racemic mixture with its enantiomer.

(aa) 1,2,3,4-tetrahydro-4-naphthalenol-1-yl methylcarbamate means



INDEX TABLE B



Cmpd	R^1	X	W^1	Q	AP+ (M+1)
260	2-chlorophenyl	X^1	O	(1*S*)-1-phenylethylamino	468
261	2-chlorophenyl	X^1	O	(1*R*)-1-phenylethylamino	468
262	2-chlorophenyl	X^1	O	benzylamino	454
263	2-chlorophenyl	X^1	O	1-methyl-1-phenylethylamino	482
264	2-chlorophenyl	X^1	O	2-phenylethylamino	468
265	2-chlorophenyl	X^1	O	2-indanylamino	480
266	2-chlorophenyl	X^1	O	1,2,3,4-tetrahydro-1-naphthalenylamino	494
267	2-chlorophenyl	X^1	O	1,2,3,4-tetrahydroisoquinolino	481
268	2-chlorophenyl	X^1	O	4-methylpiperidino	446
269	2-chlorophenyl	X^1	O	2-(3-pyridinyl)pyrrolidino	495
270	3-trifluoromethylphenyl	X^1	O	(1*R*)-1-(4-bromophenyl)ethylamino	580
271	3-bromophenyl	X^1	O	(1*R*)-1-(4-fluorophenyl)ethylamino	530
272	3-bromophenyl	X^1	O	(1*R*)-1-cyclohexylethylamino	518
273	3-bromophenyl	X^1	O	(1*R*)-1-(4-nitrophenyl)ethylamino	557
274	3-bromophenyl	X^1	O	(1*R*)-1-(4-methylphenyl)ethylamino	526
275	3-bromophenyl	X^1	O	(1*R*)-1-(2-trifluoromethylphenyl)ethylamino	580

276	3-bromophenyl	X^1	O	(1*R*)-1-(2-fluorophenyl)ethylamino	530
277	3-bromophenyl	X^1	O	(1*R*)-1-(3-trifluoromethylphenyl)ethylamino	580
278	3-bromophenyl	X^1	O	(1*R*)-1-phenylpropylamino	526
279	3-bromophenyl	X^1	O	(1*R*)-1-(4-methioxyphenyl)ethylamino	542
280	3-bromophenyl	X^1	O	(1*R*)-1-(4-chlorophenyl)ethylamino	546
281	3-bromophenyl	X^1	O	(1*R*)-1-(3-methoxyphenyl)ethylamino	542
282	3-bromophenyl	X^1	O	α-cyanobenzylamino	523
283	2,5-dimethylphenyl	X^1	O	(1*R*)-1-phenylethylamino	463
284	2,5-dimethylphenyl	X^1	O	(1*R*)-*N*-allyl-1-phenylethylamino	502
285	2,5-dimethylphenyl	X^1	O	(1*R*)-*N*-isopropyl-1-phenylethylamino	504
286	2,5-dimethylphenyl	X^1	O	(1*R*)-*N*-ethyl-1-phenylethylamino	490
287	2,5-dimethylphenyl	X^1	O	(1*R*)-*N*-propyl-1-phenylethylamino	504
288	2,5-dimethylphenyl	X^1	O	2-(3,4-dimethoxyphenyl)ethylamino	522
289 (Ex. 29)	3-trifluoromethyl-5-methylpyrazol-1-yl	X^1	S	(1*R*)-*N*-methyl-1,2,3,4-tetrahydro-1-naphthalenylamino	562
290	3-trifluoromethyl-5-methylpyrazol-1-yl	X^1	O	2-(4-chlorophenyl)pyrrolidino	566
291	3-trifluoromethyl-5-methylpyrazol-1-yl	X^1	O	7(1*H*)-oxo-2,3,8,8*a*-tetrahydrocyclopent[*ij*]isoquinolino	558
292 (i)	3-trifluoromethyl-5-methylpyrazol-1-yl	X^2	O	(1*R*)-*N*-methyl-1,2,3,4-tetrahydro-1-naphthalenylamino	575
293 (j)	3-trifluoromethyl-5-methylpyrazol-1-yl	X^2	O	(1*R*)-*N*-methyl-1,2,3,4-tetrahydro-1-naphthalenylamino	561
294	3-trifluoromethyl-5-methylpyrazol-1-yl	X^1	O	1,2,3,4-tetrahydro-1-naphthalenylamino	532
295	3-trifluoromethyl-5-methylpyrazol-1-yl	X^1	O	2,3-dihydro-1*H*-isoindolo	504
296	3-trifluoromethyl-5-methylpyrazol-1-yl	X^1	O	1,2,3,4-tetrahydroisoquinolino	518
297	3-trifluoromethyl-5-methylpyrazol-1-yl	X^1	O	6,7-dimethoxy-1,2,3,4-tetrahydroisoquinolino	578
298	3-trifluoromethyl-5-methylpyrazol-1-yl	X^1	O	1-methyl-2,3-dihydro-1*H*-isoindolo	518
299	3-trifluoromethyl-5-methylpyrazol-1-yl	X^1	O	perhydroisoquinolino	524
300	3-trifluoromethyl-5-methylpyrazol-1-yl	X^1	O	perhydroquinolino	524

301	3-trifluoromethyl-5-methylpyrazol-1-yl	X^1	O	(1*R*)-1-phenylethylamino	506
302	3-trifluoromethyl-5-methylpyrazol-1-yl	X^1	O	(1*R*)-*N*-ethyl-1-phenylethylamino	534
303	3-trifluoromethyl-5-methylpyrazol-1-yl	X^1	O	(1*R*)-*N*-propyl-1-phenylethylamino	548
304	3-trifluoromethyl-5-methylpyrazol-1-yl	X^1	O	(1*R*)-*N*-allyl-1-phenylethylamino	546
305	3-trifluoromethyl-5-methylpyrazol-1-yl	X^1	O	(1*R*)-1,2,3,4-tetrahydro-1-naphthalenylamino	532
306	3-trifluoromethyl-5-methylpyrazol-1-yl	X^1	O	(1*R*)-*N*-ethyl-1,2,3,4-tetrahydro-1-naphthalenylamino	560
307	3-trifluoromethyl-5-methylpyrazol-1-yl	X^1	O	piperidino	470
308	3-trifluoromethyl-5-methylpyrazol-1-yl	X^1	O	4-methylpiperidino	484
309	3-trifluoromethyl-5-methylpyrazol-1-yl	X^1	O	4,4-dimethylpiperidino	498
310	3-trifluoromethyl-5-methylpyrazol-1-yl	X^1	O	1,2,3,6-tetrahydropyridino	468
311	3-trifluoromethyl-5-methylpyrazol-1-yl	X^1	O	4-phenyl-1,2,3,6-tetrahydropyridino	544
312	3-trifluoromethyl-5-methylpyrazol-1-yl	X^1	O	3-methylpiperidino	484
313	3-trifluoromethyl-5-methylpyrazol-1-yl	X^1	O	3,3-dimethylpiperidino	498
314	3-trifluoromethyl-5-methylpyrazol-1-yl	X^1	O	2-phenylethylamino	506
315 (k) (Ex. 31)	3-trifluoromethyl-5-methylpyrazol-1-yl	X^1	O	(1*R*)-*N*-methyl-1,2,3,4-tetrahydro-1-naphthalenylamino	544
316 (l)	3-trifluoromethyl-5-methylpyrazol-1-yl	X^1	O	(1*R*)-*N*-methyl-1,2,3,4-tetrahydro-1-naphthalenylamino	572

Notes:

(i) Has 2,6-dimethyl substitution on the carbon atoms adjacent to the nitrogen atom bonded to $C(=W^1)$ of the piperazine ring comprising X.

(j) Has 2-methyl substitution on one of the carbon atoms adjacent to the nitrogen atom bonded to $C(=W^1)$ of the piperazine ring comprising X.

(k) Ring comprising X contains one carbon-carbon double bond and thus is a 1,2,3,4-tetrahydropyridine ring.

(l) Has ethylene bridge between the 2 and 6 positions (on carbon atoms adjacent to the nitrogen atom) of the piperidine ring comprising X (to form an 8-azabicyclo[3.2.1]octane ring system).

BIOLOGICAL EXAMPLES OF THE INVENTION

General protocol for preparing test suspensions for Test A-E:

The test compounds were first dissolved in acetone in an amount equal to 3 % of the final volume and then suspended at the desired concentration (in ppm) in acetone and purified water (50/50 mix by volume) containing 250 ppm of the surfactant Trem® 014 (polyhydric alcohol esters). The resulting test suspensions were then used in tests A-E. Spraying a 200 ppm test suspension to the point of run-off on the test plants was the equivalent of a rate of 500 g/ha.

TEST A

The test suspension was sprayed to the point of run-off on cucumber seedlings. The following day the seedlings were inoculated with a spore suspension of *Pseudoperonospora cubensis* (the causal agent of cucumber downy mildew) and incubated in a saturated atmosphere at 20 °C for 24 h, and then moved to a growth chamber at 20 °C for 6 days, after which disease ratings were made.

TEST B

Grape seedlings were inoculated with a spore suspension of *Plasmopara viticola* (the causal agent of grape downy mildew) and incubated in a saturated atmosphere at 20 °C for 24 h. After a short drying period, the test suspension was sprayed to the point of run-off on the grape seedlings and then moved to a growth chamber at 20 °C for 5 days, after which the test units were placed back into a saturated atmosphere at 20 °C for 24 h. Upon removal, disease ratings were made.

TEST C

The test suspension was sprayed to the point of run-off on tomato seedlings. The following day the seedlings were inoculated with a spore suspension of *Phytophthora infestans* (the causal agent of tomato late blight) and incubated in a saturated atmosphere at 20 °C for 24 h, and then moved to a growth chamber at 20 °C for 5 days, after which disease ratings were made.

TEST D

Tomato seedlings were inoculated with a spore suspension of *Phytophthora infestans* (the causal agent of tomato late blight) and incubated in a saturated atmosphere at 20 °C for 17 h. After a short drying period, the test suspension was sprayed to the point of run-off on the tomato seedlings and then moved to a growth chamber at 20 °C for 4 days, after which disease ratings were made.

TEST E

The test suspension was sprayed to the point of run-off on wheat seedlings. The following day the seedlings were inoculated with a spore dust of *Erysiphe graminis* f. sp. *tritici*, (the causal agent of wheat powdery mildew) and incubated in a growth chamber at 20 °C for 7 days, after which disease ratings were made.

In addition to tests A-E, the compounds were also sprayed on tomato plants inoculated with *Botrytis cinerea* 24 h after treatment and two separate sets of wheat plants inoculated with *Puccinia recondita* or *Septoria nodorum* 24 h after treatment. The compounds tested were not effective against these pathogens under the condition of these tests.

General protocol for preparing test suspensions for Test F:

The test compounds were first dissolved in dimethyl sulfoxide (DMSO) in an amount equal to 4 % of the final volume and then suspended at the desired concentration (in ppm) in acetone and purified water (30/70 mix by volume). The resulting test suspensions were then used in test F. Spraying a 250 ppm test suspension on the test plants was the equivalent of a rate of 250 g/ha.

TEST F

The test suspension was sprayed on cucumber seedlings. The following day the seedlings were inoculated with a spore suspension of *Pseudoperonospora cubensis* (the causal agent of cucumber downy mildew) and incubated in a saturated atmosphere at 20 °C for 24 h, and then moved to a growth chamber at 20 °C for 6 days, after which disease ratings were made.

Results for Tests A-F are given in Table A. In the table, a rating of 100 indicates 100 % disease control and a rating of 0 indicates no disease control (relative to the controls). A dash (-) indicates no test results.

TABLE A

Cmpd No	Test A	Test B	Test C	Test D	Test E	Test F
1	0	20	56	-	0	-
2	100	67	96	-	50	86
3	0	53	0	-	21	-
4	0	14	0	-	21	-
5	0	0	33	-	0	-
6	0	28	0	-	41	-
7	0	0	0	-	0	-
8	99	31	29	-	0	93
9	82	28	0	-	0	-
10	0	20	0	-	0	95

Cmpd No	Test A	Test B	Test C	Test D	Test E	Test F
11	0	31	91	-	0	97
12	0	6	0	-	0	-
13	0	0	0	-	0	-
14	0	6	0	-	0	-
15	100	76	98	-	0	99
16	100	95	100	-	0	99
17	0	4	0	-	79	-
18	100	80	100	-	0	96
19	100	99	100	-	0	-
20	100	0	93	-	0	99
21	100	99	99	-	21	100
22	93	20	31	-	21	-
23	90	6	29	-	86	-
24	100	100	100	-	21	-
25	97	61	62	-	0	-
26	97	17	90	-	0	-
27	100	8	99	-	0	92
28	99	5	31	-	0	-
29	100	33	98	-	0	47
30	0	0	0	-	0	-
31	0	0	0	-	0	-
32	87	0	95	-	0	93
33	96	51	91	-	29	-
34	85	48	31	-	0	-
35	88	66	93	-	0	97
36	100	99	100	-	91	95
37	85	23	80	-	87	-
38	0	45	0	-	55	43
39	100	100	100	-	85	100
40	98	76	60	-	0	-
41	100	94	100	-	0	82
42	99	31	100	-	21	-
43	100	83	100	-	21	-
44	97	57	98	-	21	0
45	95	70	98	-	0	-
46*	-	0	0	0	0	-
47	100	100	100	-	96	100

Cmpd No	Test A	Test B	Test C	Test D	Test E	Test F
48	0	6	29	-	49	39
49	97	83	67	-	85	-
50	-	55	100	99	0	100
51	-	20	100	96	0	100
52	-	20	100	74	0	96
53	-	20	100	89	0	-
54	-	11	100	69	0	50
55	-	7	100	92	0	47
56	-	31	93	0	0	-
57	-	100	100	94	50	99
58	-	100	100	94	0	100
59	-	84	98	63	21	93
60	-	95	93	42	67	47
61	-	2	69	0	0	-
62	-	92	92	24	29	43
63	-	100	100	93	0	99
64	-	100	100	95	92	93
65	-	92	100	100	0	100
66	-	2	54	42	0	-
67	-	10	68	0	0	99
68	-	47	100	16	0	95
69	-	88	100	0	29	100
70	-	100	100	100	0	-
71	-	34	74	0	0	-
72	-	1	91	66	0	-
73	-	2	75	9	0	-
74	-	27	99	92	0	-
75	-	16	100	72	0	0
76	-	0	79	0	0	-
77	-	100	100	100	88	50
78	-	100	78	46	0	-
79	-	82	77	0	0	-
80	-	99	100	70	29	49
81	-	100	100	100	0	50
82	-	100	97	53	55	93
83	-	14	31	0	0	-
84	-	41	44	0	0	-

Cmpd No	Test A	Test B	Test C	Test D	Test E	Test F
85	-	99	99	9	32	-
86	-	99	99	100	0	86
87	-	79	88	8	0	100
88	-	43	33	0	0	-
89	-	100	99	99	43	93
90	-	17	26	9	0	-
91	-	16	99	79	0	-
92	-	83	100	100	0	100
93	-	9	26	0	0	-
94	-	0	100	100	0	93
95	-	0	100	83	0	97
96	-	98	100	49	0	-
97	-	53	86	0	0	-
98	-	0	84	0	0	-
99	-	97	100	99	0	-
100	-	94	100	99	0	-
101	-	0	70	8	0	-
102	-	100	99	-	0	-
103	-	100	71	-	79	-
104	-	100	87	-	0	-
105	-	96	100	-	0	-
106	-	0	24	-	0	-
107	-	99	100	-	0	-
108	-	99	100	-	0	-
109	-	99	99	-	94	-
110	-	100	100	-	50	-
111	-	96	99	37	98	-
112	-	93	100	99	95	-
113	-	0	97	31	0	-
114	-	99	100	99	0	-
115	-	100	100	99	0	-
116	-	100	49	87	0	-
117	-	100	100	100	0	-
118	-	99	100	99	0	-
119	-	95	99	33	89	100
120	-	7	51	100	0	-
121	-	0	47	0	0	-

Cmpd No	Test A	Test B	Test C	Test D	Test E	Test F
122	-	28	53	96	17	-
123	-	13	100	47	7	-
124	-	100	100	0	71	90
125	-	100	100	99	94	100
126	-	-	-	-	-	-
127	-	0	92	0	0	-
128	-	0	0	0	0	-
129	-	0	50	57	0	-
130	-	99	54	100	0	-
131	-	77	55	62	0	-
132	-	100	100	100	0	100
133	-	77	53	79	0	-
134	-	97	51	100	0	-
135	-	16	51	47	0	-
136	-	77	100	80	0	-
137	-	100	51	93	0	-
138	-	91	100	37	44	-
139	-	0	0	0	0	-
140	-	0	0	0	0	-
141	-	0	0	0	0	-
142	-	0	0	0	87	-
143	-	69	100	99	0	50
144	-	100	100	100	0	99
145	-	96	100	100	0	93
146	-	100	100	100	0	99
147	-	98	100	100	0	100
148	-	98	100	100	0	92
149	-	100	100	100	0	100
150	-	83	100	100	0	100
151*	-	99	100	99	0	-
152*	-	99	100	99	0	-
153*	-	99	100	98	0	-
154*	-	99	100	68	0	-
155	100	41	31	-	0	-
156	100	-	62	-	21	100
157	-	63	100	91	0	-
158	-	9	95	0	0	90

Cmpd No	Test A	Test B	Test C	Test D	Test E	Test F
159	-	95	99	91	73	93
160	-	47	40	0	0	50
161	0	14	15		42	-
162	0	14	15		42	43
163	-	24	55	0	0	-
164	-	1	60	0	0	-
165	-	11	14	0	0	-
166	-	34	68	16	0	-
167	-	27	97	0	0	99
168	-	15	8	0	0	-
169	-	8	68	0	0	-
170	-	7	29	0	0	-
171	-	0		24	0	-
172	-	43	100	100	0	99
173	-	0	0	0	0	95
174	-	68	100	63	0	90
175	-	93	95	0	0	43
176	-	15	95	24	0	49
177	-	86	100	79	0	43
178	-	100	100	99	0	-
179**	-	96	100	87	0	-
180**	-	47	100	92	0	-
181**	-	73	100	98	0	-
182*	-	99	100	98	0	-
183**	-	0	40	16	0	-
184**	-	77	99	76	0	-
185**	-	99	100	98	0	-
186**	-	0	97	58	0	-
187	-	98	100	99	0	93
188**	-	99	100	99	84	99
189	-	93	100	99	0	-
190	-	8	100	99	0	93
191	-	79	100	99	0	-
192	-	97	100	99	0	-
193	-	100	100	99	0	-
194*	-	0	98	74	0	-
195	-	47	99	88	0	-

Cmpd No	Test A	Test B	Test C	Test D	Test E	Test F
196*	-	97	100	99	0	-
197*	-	98	100	99	0	-
198*	-	99	100	99	0	-
199*	-	99	100	99	0	-
200*	-	39	98	98	0	-
201*	-	98	100	99	0	-
202	-	97	100	99	0	-
203	-	94	100	99	0	-
204	-	16	99	98	0	-
205	-	0	75	17	0	-
206*	-	99	100	99	0	-
207	-	36	99	99	0	-
208	-	100	100	99	0	-
209	-	98	100	99	0	-
210	-	100	100	99	0	-
211*	-	99	100	99	0	-
212*	-	97	100	99	0	-
213*	-	99	100	99	0	-
214	-	98	99	99	0	-
215	-	0	100	99	0	-
216	-	72	95	16	0	-
217	-	52	99	40	0	-
218*	-	91	100	99	0	-
219	-	69	99	99	0	-
220*	-	95	100	98	0	-
221**	-	77	99	98	0	-
222*	-	100	100	99	0	-
223*	-	99	100	99	0	-
224*	-	93	100	99	0	-
225	-	83	100	99	0	-
226*	-	100	100	99	0	-
227	-	44	63	0	0	-
228	-	88	100	99	0	-
229	-	97	100	90	0	-
230	-	87	100	94	0	-
231	-	100	100	99	0	-
232	-	100	100	99	0	-

Cmpd No	Test A	Test B	Test C	Test D	Test E	Test F
233*	-	99	100	99	0	-
234*	-	100	100	99	0	-
235	-	94	100	99	0	-
236*	-	100	100	99	0	-
237	-	81	99	99	62	-
238**	-	100	100	99	0	-
239*	-	63	57	0	0	-
240**	-	99	100	99	0	-
241	-	17	99	68	47	-
242	-	16	100	73	0	-
243*	-	91	86	82	0	-
244	-	100	99	93	0	-
245	-	0	47	0	0	-
246	-	16	100	96	0	-
247	-	0	90	17	0	-
248	-	88	100	80	53	-
249*	-	100	100	99	0	-
250**	-	97	97	71	0	-
251**	-	100	100	97	0	-
252**	-	99	99	85	19	-
253*	-	96	90	67	0	-
254	-	95	99	99	0	-
255**	-	25	9	0	0	-
256*	-	99	100	86	-	-
257**	-	99	100	99	-	-
258**	-	100	100	99	-	-
259	-	-	-	-	-	-
260	-	14	0	-	0	-
261	93	0	31	-	21	-
262	94	6	52	-	0	-
263	92	0	15	-	0	0
264	96	20	0	-	68	93
265	0	34	0	-	47	-
266	100	6	57	-	42	86
267	95	6	21	-	0	-
268	0	6	36	-	0	-
269	0	40	0	-	0	-

Cmpd No	Test A	Test B	Test C	Test D	Test E	Test F
270	0	0	0	-	0	-
271	-	7	67	0	0	-
272	-	14	50	0	0	-
273	-	44	0	0	0	-
274	-	29	37	0	0	-
275	-	30	9	0	0	0
276	-	30	17	0	0	-
277	-	15	9	0	0	-
278	-	0	33	0	0	93
279	-	0	0	0	0	0
280	-	0	24	0	0	-
281	-	15	0	0	0	-
282	55	8	0		0	39
283	-	48	84	0	0	99
284	-	10	21	0	0	-
285	-	10	25	0	0	-
286	-	39	23	0	52	-
287	-	0	50	0	0	-
288	-	73		76	0	95
289*	-	100	100	99	65	-
290	-	0	90	26	0	-
291	-	95	100	99	0	-
292	-	71	100	93	0	-
293	-	100	100	99	0	-
294	-	99	100	96	0	-
295	-	39	95	39	0	-
296	-	82	100	93	0	-
297	-	39	63	33	0	-
298**	-	57	80	0	0	-
299	-	83	99	96	0	-
300	-	62	97	58	0	-
301	-	99	99	80	-	-
302	-	86	95	26	-	-
303	-	45	95	26	-	-
304	-	72	57	26	-	-
305	-	100	100	99	-	-
306	-	96	100	83	-	-

Cmpd No	Test A	Test B	Test C	Test D	Test E	Test F
307	-	79	100	26	0	-
308	-	98	100	93	0	-
309	-	96	100	83	0	-
310	-	47	73	9	0	-
311	-	31	83	0	28	-
312	-	91	100	80	0	-
313	-	98	99	92	0	-
314	-	98	100	99	0	-
315**	-	72	100	88	0	-
316	-	97	100	97	0	-

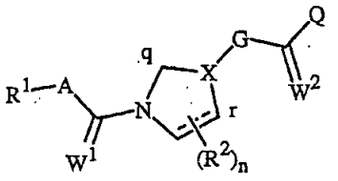
* indicates compounds tested at 40 ppm.

** indicates compounds tested at 10 ppm.

CLAIMS

What is claimed is:

1. A compound selected from Formula **1**, an *N*-oxide or an agriculturally suitable salt thereof,



1

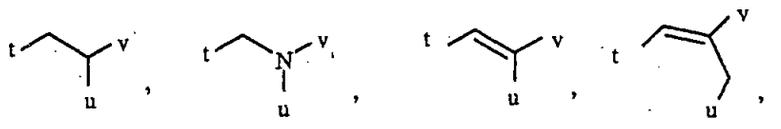
wherein

R^1 is an optionally substituted phenyl or 5- or 6-membered heteroaromatic ring;

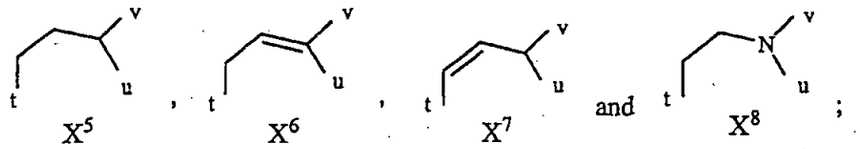
A is CH_2 or NH;

W^1 is O or S;

X is a radical selected from



X^1, X^2, X^3, X^4



X^5, X^6, X^7 and X^8;

wherein the bond of X which is identified with "t" is connected to the carbon atom identified with "q" of Formula **1**, the bond which is identified with "u" is connected to the carbon atom identified with "r" of Formula **1**, and the bond which is identified with "v" is connected to G;

each R^2 is independently C_1–C_4 alkyl, C_1–C_4 alkenyl, C_1–C_4 haloalkyl, C_1–C_4 alkoxy, halogen, cyano or hydroxy;

n is 0, 1 or 2; or

two R^2 are taken together as C_1–C_3 alkylene or C_2–C_3 alkenylene to form a bridged bicyclic ring system; or

two R^2 attached to adjacent ring carbon atoms joined by a double bond are taken together as –CH=CH–CH=CH– optionally substituted with 1–3 substituents

selected from C_1–C_4 alkyl, C_1–C_4 haloalkyl, C_1–C_4 alkoxy, C_1–C_4 haloalkoxy, halogen, hydroxy, amino, cyano and nitro;

G is an optionally substituted 5-membered heteroaromatic ring or 5-membered saturated or partially saturated heterocyclic ring;

W^2 is O or S;

Q is $-NQ^aQ^b$;

Q^a is H, C_1–C_3 alkyl, C_2–C_3 alkenyl, C_2–C_3 alkynyl, C_4–C_{10} cycloalkylalkyl, C_4–C_{10} alkylcycloalkyl, C_5–C_{10} alkylcycloalkylalkyl, C_1–C_3 haloalkyl, C_2–C_3 haloalkenyl, cyano, hydroxy, C_1–C_3 alkoxy, C_2–C_3 alkoxyalkyl, C_1–C_3 hydroxyalkyl, C_2–C_3 alkylcarbonyl, C_2–C_3 alkoxycarbonyl, C_2–C_3 alkylaminocarbonyl or C_3–C_5 dialkylaminocarbonyl;

Q^b is an optionally substituted 8- to 11-membered saturated or partially saturated bicyclic ring system or an optionally substituted 10- to 15-membered partially saturated tricyclic ring system, each ring system optionally containing 1 to 3 heteroatoms selected from up to 1 O, up to 1 S and up to 3 N, and optionally including 1-3 ring members selected from the group consisting of C(=O), C(=S), S(O), or $S(O)_2$; or

Q^b is $CR^5R^6R^{15}$; or

Q^a and Q^b are taken together with the nitrogen atom to which they are bonded to form an optionally substituted 5- or 6-membered saturated or partially saturated heterocyclic ring;

R^5 is H, C_1–C_6 alkyl, C_2–C_6 alkenyl, C_2–C_6 alkynyl, C_3–C_6 cycloalkyl, C_4–C_{10} cycloalkylalkyl, C_4–C_{10} alkylcycloalkyl, C_5–C_{10} alkylcycloalkylalkyl, C_1–C_6 haloalkyl, C_2–C_6 haloalkenyl, C_2–C_6 haloalkynyl, C_3–C_6 halocycloalkyl, cyano, nitro, C_2–C_4 alkoxyalkyl, C_1–C_4 hydroxyalkyl, C_2–C_4 alkylcarbonyl, C_2–C_6 alkoxycarbonyl, C_2–C_6 alkylaminocarbonyl, C_3–C_8 dialkylaminocarbonyl or C_3–C_6 trialkylsilyl;

R^6 is an optionally substituted phenyl, benzyl, naphthalenyl, C_3–C_6 cycloalkyl, C_3–C_6 cycloalkenyl or 5- or 6-membered heteroaromatic ring; and

R^{15} is H, C_1–C_4 alkyl, C_2–C_4 alkenyl, C_2–C_4 alkynyl, C_3–C_4 cycloalkyl, C_4–C_{10} cycloalkylalkyl, C_4–C_{10} alkylcycloalkyl, C_5–C_{10} alkylcycloalkylalkyl, C_1–C_4 haloalkyl, C_2–C_4 haloalkenyl, C_2–C_4 haloalkynyl, C_3–C_4 halocycloalkyl or C_2–C_4 alkoxyalkyl; or

Q^a and R^5 are taken together with the atoms connecting them to form an optionally substituted 5- to 7-membered ring containing as ring members 2 to 7 carbon atoms and optionally 1 to 3 heteroatoms selected from up to 1 O, up to 1 S and up to 2 N; or

Q^a and R^6 are taken together with the atoms connecting them to form an optionally substituted 5- to 7-membered ring containing as ring members 2 to 7 carbon atoms and optionally 1 to 3 heteroatoms selected from up to 1 O, up to 1 S and up to 2 N; or

R^5 and R^{15} are taken together with the carbon atom to which they are bonded to form an optionally substituted 5- to 7-membered ring containing as ring members 2 to 7 carbon atoms and, optionally 1 to 3 heteroatoms selected from up to 1 O, up to 1 S and up to 1 N; or

R^5 and R^6 are taken together with the carbon atom to which they are bonded to form an optionally substituted 5- to 7-membered ring containing as ring members 2 to 7 carbon atoms and, optionally 1 to 3 heteroatoms selected from up to 1 O, up to 1 S and up to 1 N;

provided that:

(a) the compound of Formula 1 is other than 2-[1-[(2-chlorophenyl)acetyl]-4-piperidinyl]-*N*-methyl-*N*-[(1*R*)-1-phenylethyl]-4-thiazolecarboxamide;

(b) when X is X^2, X^3, X^4, X^6 or X^8, then G is not linked to X via a heteroatom of the G ring; and

(c) R^1 is other than 4-fluorophenyl.

2. A compound of Claim 1 wherein

R^1 is a phenyl or 5- or 6-membered heteroaromatic ring, optionally substituted with 1 to 2 substituents independently selected from R^4;

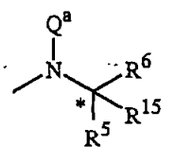
each R^4 is independently C_1–C_6 alkyl, C_2–C_6 alkenyl, C_2–C_6 alkynyl, C_3–C_6 cycloalkyl, C_4–C_{10} cycloalkylalkyl, C_4–C_{10} alkylcycloalkyl, C_5–C_{10} alkylcycloalkylalkyl, C_1–C_6 haloalkyl, C_2–C_6 haloalkenyl, C_2–C_6 haloalkynyl, C_3–C_6 halocycloalkyl, halogen, hydroxy, amino, cyano, nitro, C_1–C_4 alkoxy, C_1–C_4 haloalkoxy, C_1–C_4 alkylthio, C_1–C_4 alkylsulfinyl, C_1–C_4 alkylsulfonyl, C_1–C_4 haloalkylthio, C_1–C_4 haloalkylsulfinyl, C_1–C_4 haloalkylsulfonyl, C_1–C_4 alkylamino, C_2–C_8 dialkylamino, C_3–C_6 cycloalkylamino, C_2–C_4 alkoxyalkyl, C_1–C_4 hydroxyalkyl, C_2–C_4 alkylcarbonyl, C_2–C_6 alkoxycarbonyl, C_2–C_6 alkylcarbonyloxy, C_2–C_6 alkylcarbonylthio, C_2–C_6 alkylaminocarbonyl, C_3–C_8 dialkylaminocarbonyl or C_3–C_6 trialkylsilyl;

G is a 5-membered heteroaromatic ring or 5-membered saturated or partially saturated heterocyclic ring, each ring optionally substituted with up to 2 substituents selected from R^3 on carbon ring members and selected from R^{11} on nitrogen ring members;

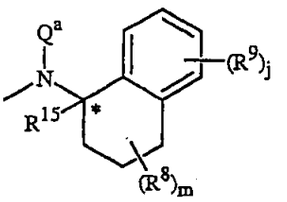
each R^3 is independently C_1–C_3 alkyl, C_1–C_3 haloalkyl or halogen;

R^{11} is C_1–C_3 alkyl;

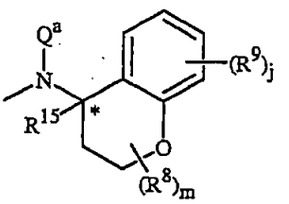
Q is a radical selected from



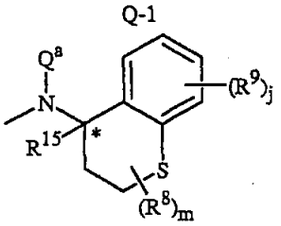
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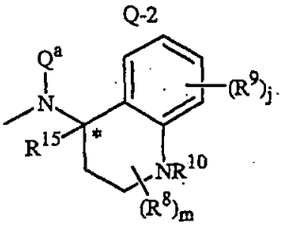
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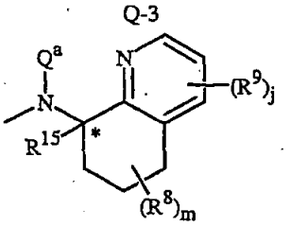
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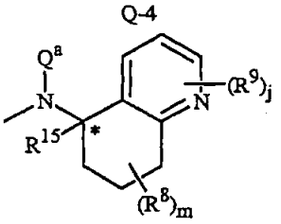
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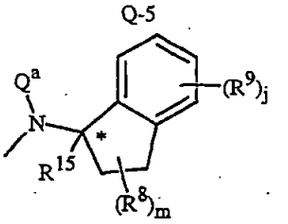
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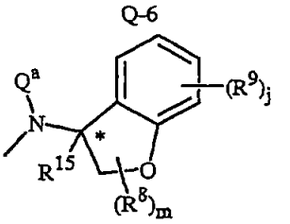
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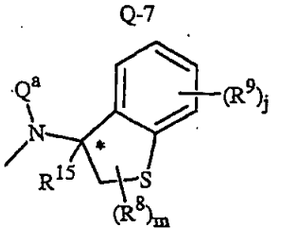
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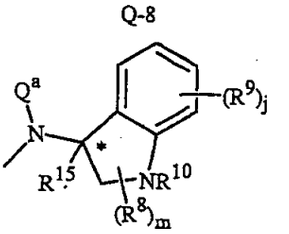
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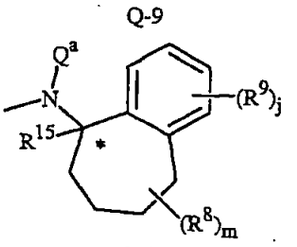
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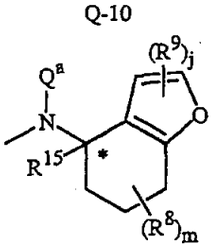
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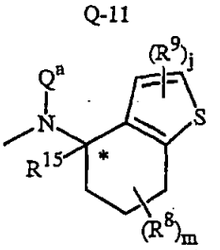
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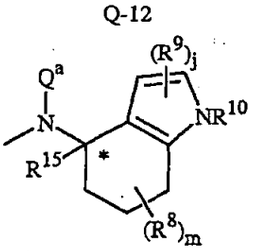
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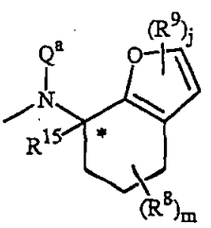
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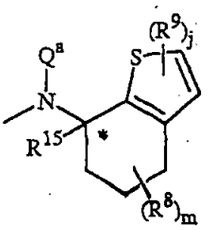
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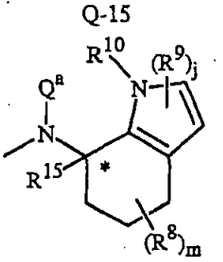
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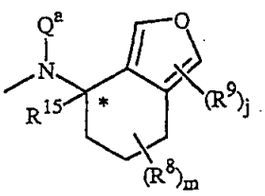
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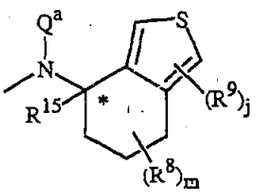
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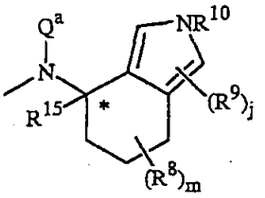
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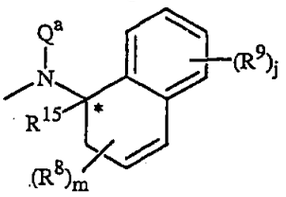
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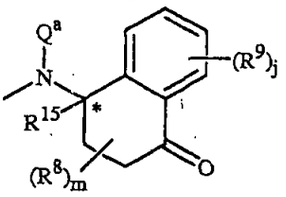
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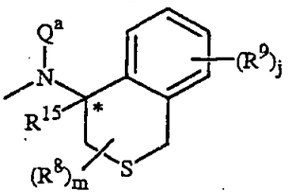
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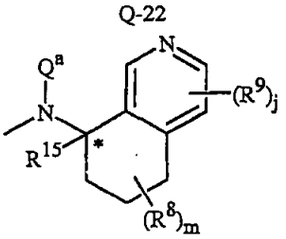
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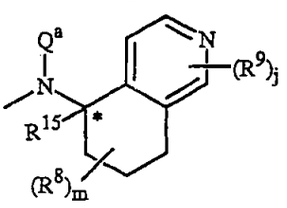
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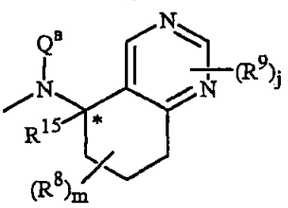
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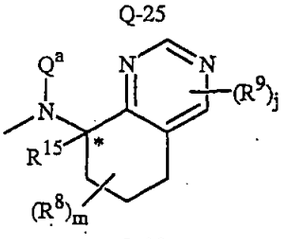
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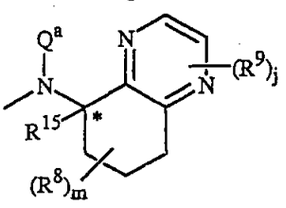
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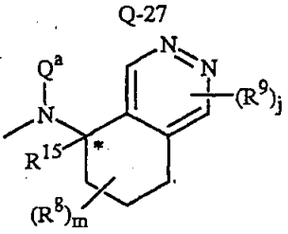
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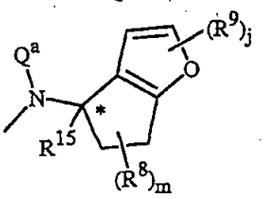
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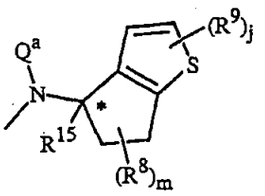
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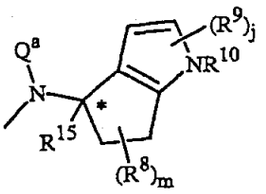
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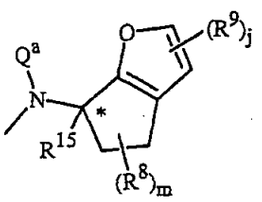
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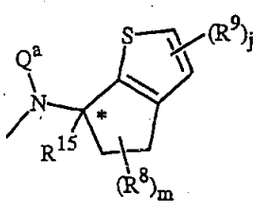
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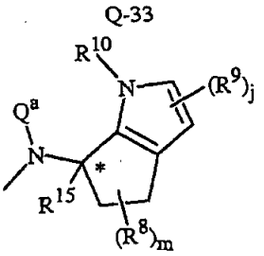
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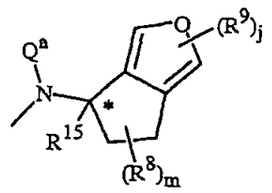
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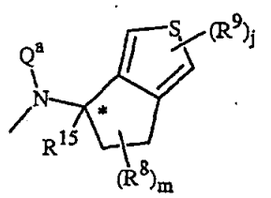
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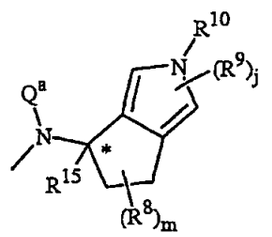
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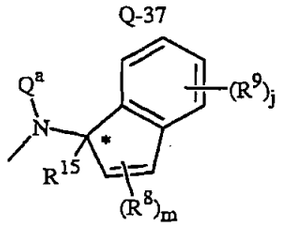
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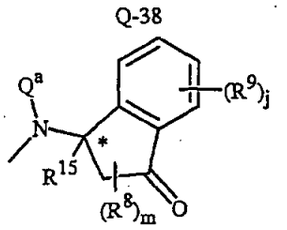
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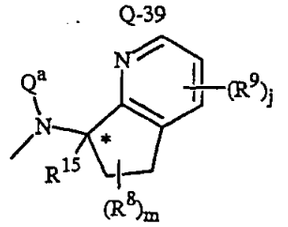
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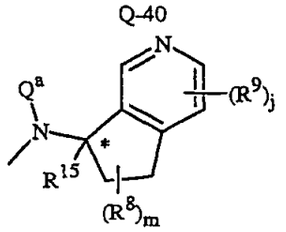
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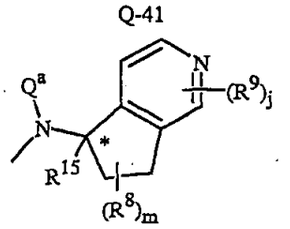
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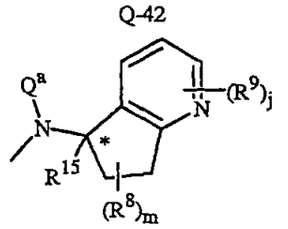
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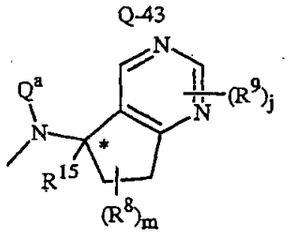
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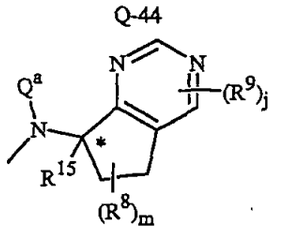
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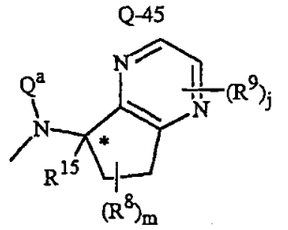
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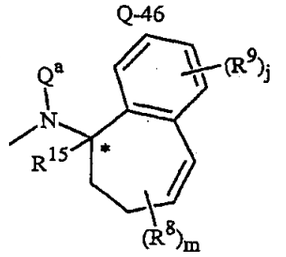
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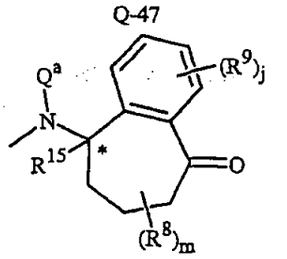
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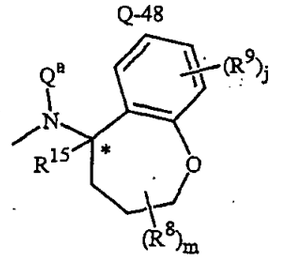
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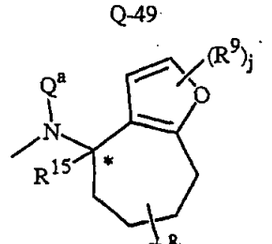
Q-49



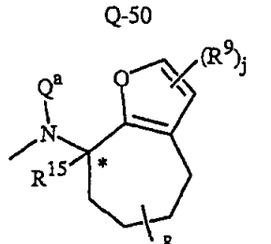
Q-50



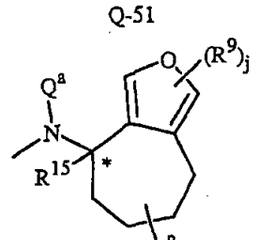
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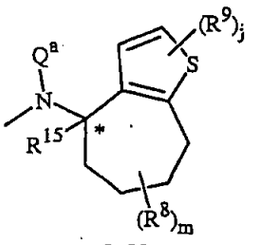
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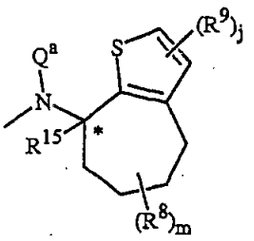
Q-53



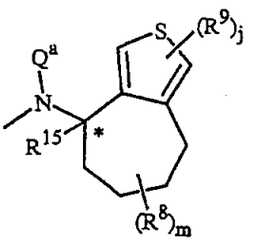
Q-54



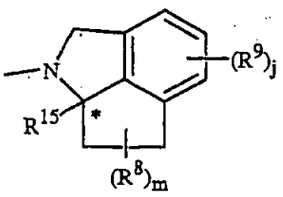
Q-55



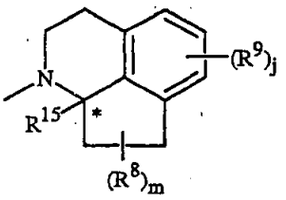
Q-56



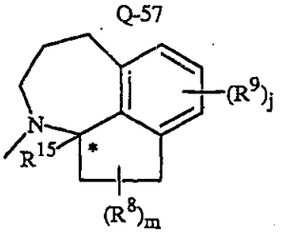
Q-57



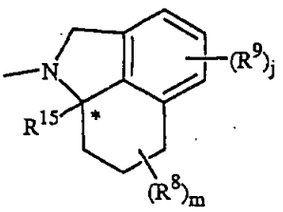
Q-58



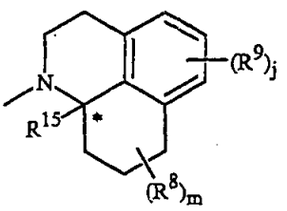
Q-59



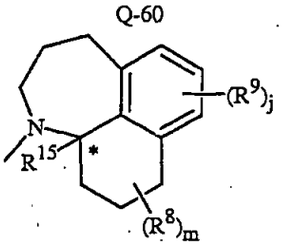
Q-60



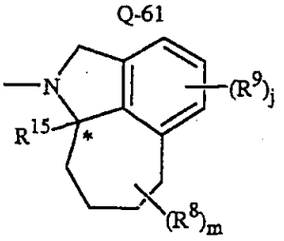
Q-61



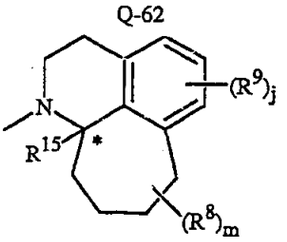
Q-62



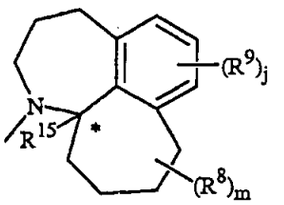
Q-63



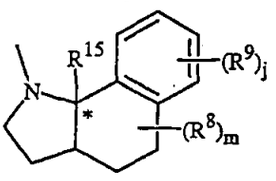
Q-64



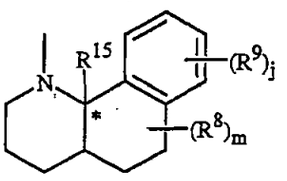
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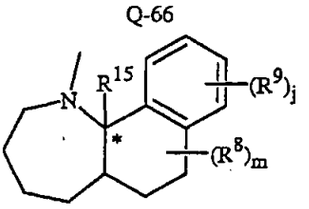
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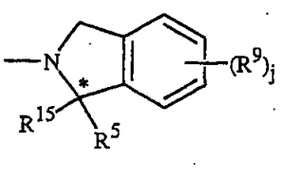
Q-67



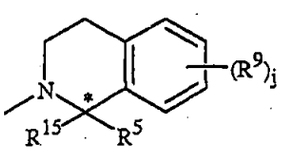
Q-68



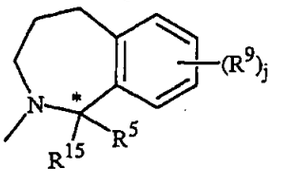
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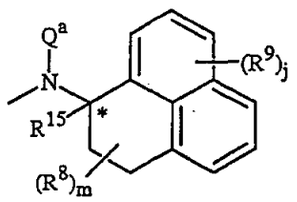
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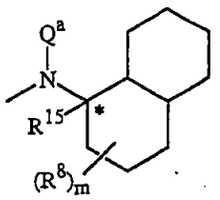


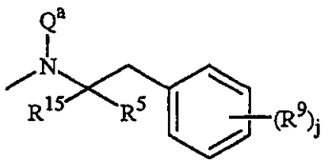
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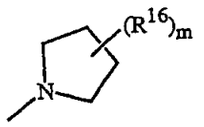


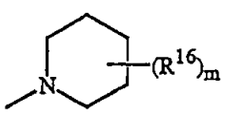
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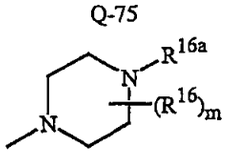

Q-73 ,

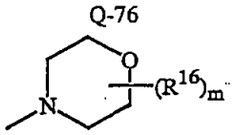

Q-74 ,

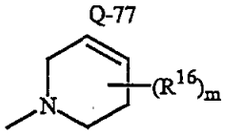

Q-75 ,

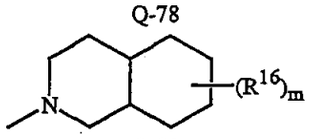

Q-76 ,

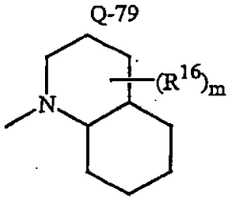

Q-77 ,

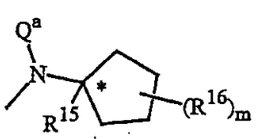

Q-78 ,

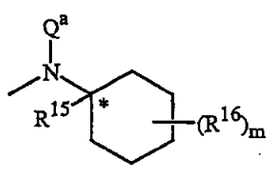

Q-79 ,

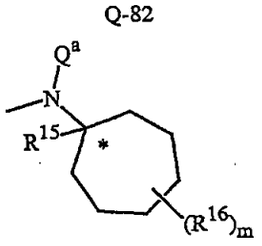

Q-80 ,


Q-81 ,


Q-82 ,


Q-83 ,


Q-84 and


Q-85 ;

wherein carbon atom identified with the asterisk (*) contains a stereocenter; and for Q-2 through Q-76, each R^8 is independently attached to the carbon atoms of the nonaromatic carbocyclic ring or heterocyclic ring of the Q group, and each R^9 is independently attached to the carbon atoms of phenyl or heteroaromatic ring of the Q group;

each R^8 is independently H, C_1–C_4 alkyl, C_2–C_4 alkenyl, C_3–C_4 alkynyl, C_3–C_6 cycloalkyl, C_1–C_4 haloalkyl, C_2–C_4 haloalkenyl, C_2–C_4 haloalkynyl, C_3–C_6 halocycloalkyl, halogen, hydroxy, amino, cyano, nitro, C_1–C_4 alkoxy, C_1–C_4 haloalkoxy, C_1–C_4 alkylthio, C_1–C_4 alkylsulfinyl, C_1–C_4 alkylsulfonyl, C_1–C_4 haloalkylthio, C_1–C_4 haloalkylsulfinyl, C_1–C_4 haloalkylsulfonyl, C_1–C_4 alkylamino, C_2–C_6 dialkylamino, C_3–C_6 cycloalkylamino, C_2–C_4 alkoxyalkyl, C_1–C_4 hydroxyalkyl, C_2–C_4 alkylcarbonyl, C_2–C_4 alkoxycarbonyl, C_2–C_4 alkylcarbonyloxy, C_2–C_4 alkylcarbonylthio, C_2–C_4 alkylaminocarbonyl, C_2–C_4 alkylaminocarbonyloxy, C_3–C_6 dialkylaminocarbonyl or C_3–C_6 trialkylsilyl;

each R^9 is independently C_1–C_6 alkyl, C_2–C_6 alkenyl, C_3–C_6 alkynyl, C_3–C_6 cycloalkyl, C_1–C_6 haloalkyl, C_2–C_6 haloalkenyl, C_2–C_6 haloalkynyl, C_3–C_6 halocycloalkyl, halogen, hydroxy, amino, cyano, nitro, C_1–C_4 alkoxy, C_1–C_4 haloalkoxy, C_1–C_4 alkylthio, C_1–C_4 alkylsulfinyl, C_1–C_4 alkylsulfonyl, C_1–C_4 haloalkylthio, C_1–C_4 haloalkylsulfinyl, C_1–C_4 haloalkylsulfonyl, C_1–C_4 alkylamino, C_2–C_8 dialkylamino, C_3–C_6 cycloalkylamino, C_2–C_4 alkoxyalkyl, C_1–C_4 hydroxyalkyl, C_2–C_4 alkylcarbonyl, C_2–C_6 alkoxycarbonyl, C_2–C_6 alkylcarbonyloxy, C_2–C_6 alkylcarbonylthio, C_2–C_6 alkylaminocarbonyl, C_3–C_8 dialkylaminocarbonyl or C_3–C_5 trialkylsilyl;

R^{10} is H or C_1–C_3 alkyl;

m is 0, 1 or 2;

j is 0, 1 or 2;

each R^{16} is independently C_1–C_6 alkyl, C_2–C_6 alkenyl, C_2–C_6 alkynyl, C_3–C_6 cycloalkyl, C_4–C_{10} cycloalkylalkyl, C_4–C_{10} alkylcycloalkyl, C_5–C_{10} alkylcycloalkylalkyl, C_1–C_6 haloalkyl, C_2–C_6 haloalkenyl, C_2–C_6 haloalkynyl, C_3–C_6 halocycloalkyl, halogen, hydroxy, amino, cyano, nitro, C_1–C_4 alkoxy, C_1–C_4 haloalkoxy, C_1–C_4 alkylthio, C_1–C_4 alkylsulfinyl, C_1–C_4 alkylsulfonyl, C_1–C_4 haloalkylthio, C_1–C_4 haloalkylsulfinyl, C_1–C_4 haloalkylsulfonyl, C_1–C_4 alkylamino, C_2–C_8 dialkylamino, C_3–C_6 cycloalkylamino, C_2–C_4 alkoxyalkyl, C_1–C_4 hydroxyalkyl, C_2–C_4 alkylcarbonyl, C_2–C_6 alkoxycarbonyl, C_2–C_6 alkylcarbonyloxy, C_2–C_6 alkylcarbonylthio, C_2–C_6 alkylaminocarbonyl, C_3–C_8 dialkylaminocarbonyl or C_3–C_6 trialkylsilyl; or a phenyl or benzyl ring, optionally substituted with up to 3 substituents selected from R^{13}; or

two R^{16} attached to adjacent ring carbon atoms are taken together as $–(CH_2)_3–$ or $–(CH_2)_4–$ optionally substituted with 1–3 substituents selected from C_1–C_4 alkyl, C_1–C_4 haloalkyl, C_1–C_4 alkoxy, C_1–C_4 haloalkoxy, halogen, hydroxy, amino, cyano and nitro;

R^{16a} is H, C_1–C_6 alkyl, C_3–C_6 alkenyl, C_3–C_6 alkynyl, C_3–C_6 cycloalkyl, C_4–C_{10} cycloalkylalkyl, C_4–C_{10} alkylcycloalkyl, C_5–C_{10} alkylcycloalkylalkyl, C_1–C_6 haloalkyl, C_3–C_6 haloalkenyl, C_3–C_6 haloalkynyl, C_3–C_6 halocycloalkyl, C_1–C_4 alkoxy, C_1–C_4 haloalkoxy, C_1–C_4 alkylsulfonyl, C_1–C_4 haloalkylsulfonyl, amino, C_1–C_4 alkylamino, C_2–C_8 dialkylamino, C_3–C_6 cycloalkylamino, C_2–C_4 alkoxyalkyl, C_1–C_4 hydroxyalkyl, C_2–C_4 alkylcarbonyl, C_2–C_6 alkoxycarbonyl, C_2–C_6 alkylaminocarbonyl or C_3–C_8 dialkylaminocarbonyl; or a phenyl or benzyl ring, optionally substituted with up to 3 substituents selected from R^{13};

each R^{13} is independently C_1–C_6 alkyl, C_2–C_6 alkenyl, C_2–C_6 alkynyl, C_3–C_6 cycloalkyl, C_4–C_{10} cycloalkylalkyl, C_4–C_{10} alkylcycloalkyl, C_5–C_{10} alkylcycloalkylalkyl, C_1–C_6 haloalkyl, C_2–C_6 haloalkenyl, C_2–C_6 haloalkynyl,

C_3–C_6 halocycloalkyl, halogen, hydroxy, amino, cyano, nitro, C_1–C_4 alkoxy, C_1–C_4 haloalkoxy, C_1–C_4 alkylthio, C_1–C_4 alkylsulfinyl, C_1–C_4 alkylsulfonyl, C_1–C_4 haloalkylthio, C_1–C_4 haloalkylsulfinyl, C_1–C_4 haloalkylsulfonyl, C_1–C_4 alkylamino, C_2–C_8 dialkylamino, C_3–C_6 cycloalkylamino, C_2–C_4 alkoxyalkyl, C_1–C_4 hydroxyalkyl, C_2–C_4 alkylcarbonyl, C_2–C_6 alkoxycarbonyl, C_2–C_6 alkylcarbonyloxy, C_2–C_6 alkylcarbonylthio, C_2–C_6 alkylaminocarbonyl, C_3–C_8 dialkylaminocarbonyl or C_3–C_6 trialkylsilyl;

R^6 is a phenyl, benzyl, naphthalenyl, C_3–C_6 cycloalkyl, C_3–C_6 cycloalkenyl or 5- or 6-membered heteroaromatic ring, each optionally substituted with 1 to 3 substituents selected from R^7 on carbon ring members and R^{12} on nitrogen ring members;

each R^7 is independently C_1–C_6 alkyl, C_2–C_6 alkenyl, C_2–C_6 alkynyl, C_3–C_6 cycloalkyl, C_4–C_{10} cycloalkylalkyl, C_4–C_{10} alkylcycloalkyl, C_5–C_{10} alkylcycloalkylalkyl, C_1–C_6 haloalkyl, C_2–C_6 haloalkenyl, C_2–C_6 haloalkynyl, C_3–C_6 halocycloalkyl, halogen, hydroxy, amino, cyano, nitro, C_1–C_4 alkoxy, C_1–C_4 haloalkoxy, C_1–C_4 alkylthio, C_1–C_4 alkylsulfinyl, C_1–C_4 alkylsulfonyl, C_1–C_4 haloalkylthio, C_1–C_4 haloalkylsulfinyl, C_1–C_4 haloalkylsulfonyl, C_1–C_4 alkylamino, C_2–C_8 dialkylamino, C_3–C_6 cycloalkylamino, C_2–C_4 alkoxyalkyl, C_1–C_4 hydroxyalkyl, C_2–C_4 alkylcarbonyl, C_2–C_6 alkoxycarbonyl, C_2–C_6 alkylcarbonyloxy, C_2–C_6 alkylcarbonylthio, C_2–C_6 alkylaminocarbonyl, C_3–C_8 dialkylaminocarbonyl or C_3–C_6 trialkylsilyl; and

R^{12} is H or C_1–C_3 alkyl.

3. A compound of Claim 2 wherein

R^1 is one of U-1 through U-50;

k is 0, 1 or 2;

G is one of G-1 through G-55;

R^{3a} is H, C_1–C_3 alkyl, C_1–C_3 haloalkyl or halogen;

R^{11a} is H or C_1–C_3 alkyl;

R^6 is one of H-1 through H-46; and

p is 0, 1 or 2;

provided that when U is U-4, U-11 through U-15, U-24 through U-26, U-31 and U-35, and an R^4 radical is attached to a nitrogen atom of the ring, said R^4 radical is C_1–C_6 alkyl, C_2–C_6 alkenyl, C_2–C_6 alkynyl, C_3–C_6 cycloalkyl, C_1–C_6 haloalkyl, C_2–C_6 haloalkenyl, C_2–C_6 haloalkynyl, C_3–C_6 halocycloalkyl or C_2–C_4 alkoxyalkyl.

4. A compound of Claim 3 wherein

each R^2 is independently C_1–C_2 alkyl, C_1–C_2 haloalkyl, C_1–C_2 alkoxy, halogen, cyano or hydroxy;

each R^4 is independently C_1–C_3 alkyl, C_2–C_3 alkenyl, C_2–C_3 alkynyl, cyclopropyl, C_1–C_3 haloalkyl, C_2–C_3 haloalkenyl, C_2–C_3 haloalkynyl, halocyclopropyl, halogen, cyano, nitro, C_1–C_2 alkoxy or C_1–C_2 haloalkoxy;

X is a radical selected from X^1, X^2 and X^3;

Q^a is H or CH_3;

R^5 is C_1–C_4 alkyl, C_2–C_4 alkenyl, C_2–C_4 alkynyl, C_3–C_4 cycloalkyl, C_1–C_4 haloalkyl, C_2–C_4 haloalkenyl, C_2–C_4 haloalkynyl, C_3–C_4 halocycloalkyl, cyano or C_2–C_4 alkoxyalkyl;

each R^7 is independently C_1–C_3 alkyl, C_2–C_3 alkenyl, C_2–C_3 alkynyl, cyclopropyl, C_1–C_3 haloalkyl, C_2–C_3 haloalkenyl, C_2–C_3 haloalkynyl, halocyclopropyl, halogen, cyano, nitro, C_1–C_2 alkoxy or C_1–C_2 haloalkoxy;

each R^8 is independently H, C_1–C_4 alkyl, C_2–C_4 alkenyl, C_3–C_4 alkynyl, C_3–C_6 cycloalkyl, C_1–C_4 haloalkyl, C_2–C_4 haloalkenyl, C_2–C_4 haloalkynyl, C_3–C_6 halocycloalkyl, halogen, hydroxy, amino, cyano, nitro, C_1–C_4 alkoxy or C_2–C_4 alkylcarbonyloxy;

each R^9 is independently C_1–C_3 alkyl, cyclopropyl, C_1–C_3 haloalkyl, halocyclopropyl, halogen, hydroxy, C_2–C_3 alkylcarbonyloxy, C_1–C_2 alkoxy or C_1–C_2 haloalkoxy;

R^{10} is H or methyl;

each R^{16} is independently C_1–C_3 alkyl, C_2–C_3 alkenyl, C_2–C_3 alkynyl, cyclopropyl, C_1–C_3 haloalkyl, C_2–C_3 haloalkenyl, C_2–C_3 haloalkynyl, halocyclopropyl, halogen, cyano, nitro, C_1–C_2 alkoxy or C_1–C_2 haloalkoxy; or a phenyl or benzyl ring, optionally substituted with up to 3 substituents selected from R^{13};

R^{16a} is H, C_1–C_3 alkyl, allyl, propargyl, cyclopropyl or C_1–C_3 haloalkyl; or a phenyl or benzyl ring, optionally substituted with up to 3 substituents selected from R^{13};

each R^{13} is independently C_1–C_3 alkyl, C_2–C_3 alkenyl, C_2–C_3 alkynyl, cyclopropyl, C_1–C_3 haloalkyl, C_2–C_3 haloalkenyl, C_2–C_3 haloalkynyl, halocyclopropyl, halogen, cyano, nitro, C_1–C_2 alkoxy or C_1–C_2 haloalkoxy; and

R^{15} is H or CH_3.

5. A compound of Claim 4 wherein

R^1 is one of U-1 through U-3, U-13, U-20, U-22, U-23, U-37 through U-39 and U-50; and

each R^4 is independently halogen, C_1–C_3 alkyl, C_1–C_3 haloalkyl, C_1–C_2 alkoxy or C_1–C_2 haloalkoxy.

6. A compound of Claim 4 wherein
G is G-1, G-2, G-7, G-8, G-14, G-15, G-23, G-24, G-26, G-27, G-36, G-37, G-38, G-49 or G-50;
R^{3a} is H, CH_3, Cl or Br; and
R^{11} is H or CH_3.

7. A compound of Claim 6 wherein
G is unsubstituted.

8. A compound of Claim 4 wherein
Q is Q-1, Q-2, Q-3, Q-4, Q-8, Q-9, Q-10, Q-12, Q-14, Q-22, Q-23, Q-24, Q-40, Q-41, Q-59, Q-62, Q-74 or Q-84;
R^5 is C_1–C_4 alkyl, C_2–C_4 alkenyl, C_2–C_4 alkynyl, C_3–C_4 cycloalkyl, C_1–C_4 haloalkyl, C_2–C_4 haloalkenyl, C_2–C_4 haloalkynyl, C_3–C_4 halocycloalkyl, cyano or C_2–C_4 alkoxyalkyl;
R^6 is H-1, H-20, H-32, H-45 or H-46;
each R^7 is independently halogen, C_1–C_3 alkyl, C_1–C_3 haloalkyl, C_1–C_2 alkoxy or C_1–C_2 haloalkoxy;
each R^8 is independently C_1–C_2 alkyl, C_1–C_2 haloalkyl, C_1–C_2 alkoxy, C_1–C_2 haloalkoxy, C_2–C_4 alkylcarbonyloxy or hydroxy; and
each R^9 is independently halogen, hydroxy, OCH_3 or CH_3.

9. A compound of Claim 8 wherein
Q is Q-1, Q-2, Q-8, Q-14, Q-23, Q-41, Q-59 or Q-62;
Q^a is CH_3;
R^5 is C_1–C_4 alkyl, C_1–C_4 haloalkyl, C_2–C_4 alkenyl, C_2–C_4 haloalkenyl or cyano;
R^6 is H-1 or H-45;
R^{12} is H or CH_3;
each R^7 is independently F, Cl, Br, OCH_3 or methyl;
R^8 is CH_3, OCH_3 or hydroxy;
R^{10} is H or CH_3; and
R^{15} is H.

10. A compound of Claim 4 wherein
W^1 and W^2 are independently O;
Q^a is CH_3;
R^{3a} is H;
m, j, n and p are all independently 0 or 1;
each R^7 is independently F, Cl, Br, OCH_3 or methyl;
each R^8 is independently C_1–C_2 alkyl, C_1–C_2 alkoxy or hydroxy; and

each R^9 is independently F, Cl, Br, hydroxy, OCH_3 or CH_3.

11. A compound of Claim 10 wherein
R^1 is U-1 or U-50;
each R^4 is independently halogen, C_1–C_3 alkyl, C_1–C_3 haloalkyl or C_1–C_2 alkoxy;
k is 1 or 2;
G is G-1, G-2, G-15, G-26, G-27, G-36, G-37 or G-38;
Q is Q-1, Q-2, Q-8, Q-23 or Q-41;
R^5 is C_1–C_4 alkyl, C_2–C_4 alkenyl or cyano; and
R^6 is H-45;
provided that when k is 1, R^4 is connected to the 3- or 5-position of U-1 and to the 2- or 3-position of U-50; and when k is 2, an independently selected R^4 is connected to each of the 3- and 5-positions of U-1 and to each of the 2- and 5-positions of U-50.

12. A compound of Claim 11 wherein
X is X^1; and
G is G-1.

13. A compound of Claim 11 wherein
X is X^1; and
G is G-2.

14. A compound of Claim 11 wherein
X is X^1; and
G is G-15.

15. A compound of Claim 11 wherein
X is X^1; and
G is G-26.

16. A compound of Claim 11 wherein
X is X^1; and
G is G-36.

17. A compound of Claim 11 wherein
X is X^2; and
G is G-1.

18. A compound of Claim 11 wherein
X is X^2; and
G is G-2.

19. The compound of Claim 1 selected from the group consisting of:
2-[1-[(2,5-dimethylphenyl)acetyl]-4-piperidinyl]-*N*-methyl-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-thiazolecarboxamide,
2-[1-[(2,5-dichlorophenyl)acetyl]-4-piperidinyl]-*N*-methyl-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-thiazolecarboxamide,
N-methyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-thiazolecarboxamide,
N-[(1*R*)-2,3-dihydro-1*H*-inden-1-yl]-*N*-methyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-4-thiazolecarboxamide,
N-methyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-thiazolecarbothioamide,
N-methyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-[(1*R*,4*S*)-1,2,3,4-tetrahydro-4-hydroxy-1-naphthalenyl]-4-thiazolecarboxamide and its enantiomer,
N-methyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-(1,2,3,4-tetrahydro-2-methyl-1-naphthalenyl)-4-thiazolecarboxamide,
N-methyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-[(1*R*,4*R*)-1,2,3,4-tetrahydro-4-hydroxy-1-naphthalenyl]-4-thiazolecarboxamide and its enantiomer,
2-[1-[[5-ethyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-methyl-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-thiazolecarboxamide,
2-[1-[[3,5-bis(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-methyl-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-thiazolecarboxamide,
N-methyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-(1,2,3,4-tetrahydro-4-oxo-1-naphthalenyl)-4-thiazolecarboxamide,
N-methyl-2-[4-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-1-piperazinyl]-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-thiazolecarboxamide,
N-(2,3-dihydro-2,2-dimethyl-1*H*-inden-1-yl)-*N*-methyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-4-thiazolecarboxamide,
N-(2,3-dihydro-2-methyl-1*H*-inden-1-yl)-*N*-methyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-4-thiazolecarboxamide,
N-methyl-1-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-1*H*-pyrazole-3-carboxamide,

N-methyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-2*H*-1,2,3-triazole-4-carboxamide,

N-methyl-1-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-1*H*-pyrazole-4-carboxamide,

N-methyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-[(1*R*,2*S*)-1,2,3,4-tetrahydro-2-methyl-1-naphthalenyl]-4-thiazolecarboxamide,

N-methyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-(1,2,3,4-tetrahydro-2,2-dimethyl-1-naphthalenyl]-4-thiazolecarboxamide and its enantiomer,

2-[1-[(3,5-dichloro-1*H*-pyrazol-1-yl)acetyl]-4-piperidinyl]-*N*-methyl-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-thiazolecarboxamide,

2-[1-[[5-chloro-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-methyl-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-thiazolecarboxamide,

N-methyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-oxazolecarboxamide, and

N-methyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-*N*-(1,2,3,4-tetrahydro-1-naphthalenyl)-4-thiazolecarboxamide.

20. A method for controlling plant diseases caused by Oomycete fungal plant pathogens comprising applying to the plant or portion thereof, or to the plant seed or seedling, a fungicidally effective amount of a compound having a formula corresponding to of Formula 1 of Claim 1 where proviso (a) and proviso (c) are both omitted.

21. A fungicidal composition comprising (1) a compound having a formula corresponding to of Formula **1** of Claim 1 where proviso (a) and proviso (c) are both omitted; and (2) at least one other fungicide.

22. A fungicidal composition comprising (1) a fungicidally effective amount of a compound having a formula corresponding to of Formula **1** of Claim 1 where proviso (a) and proviso (c) are both omitted; and (2) at least one additional component selected from the group consisting of surfactants, solid diluents and liquid diluents.

276.

CARBOXAMIDAS FUNGICIDAS

CAMPO DE LA INVENCION

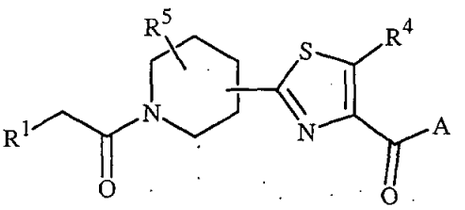
Esta invención se refiere a ciertas carboxamidas, sus *N*-óxidos, sales adecuadas desde el punto de vista agrícola y composiciones y métodos para su uso como fungicidas.

ANTECEDENTES DE LA INVENCION

El control de enfermedades de las plantas causadas por agentes patógenos micóticos de las plantas es extremadamente importante para lograr una alta eficacia de los cultivos. El daño por enfermedades de las plantas a los cultivos ornamentales, de hortalizas, de la técnica, de cereales y de frutas puede causar una reducción significativa en la productividad y puede dar por resultado en consecuencia costos incrementados para el consumidor. Muchos productos están comercialmente disponibles para estos propósitos, pero continúa la necesidad de nuevos compuestos que sean más efectivos, menos costosos, menos tóxicos, más seguros desde el punto de vista ambiental o que tengan diferentes sitios de acción.

La Publicación de Patente Mundial WO 05/003128 da a conocer derivados de tiazolilpiperidina de la Fórmula i como inhibidores de MTP (Proteína Microsomal de transferencia de

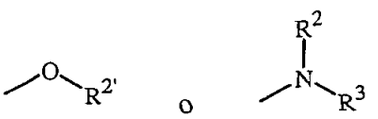
Triglicéridos).



i

en donde

A es un radical seleccionado de los radicales a1 y a2 posteriores



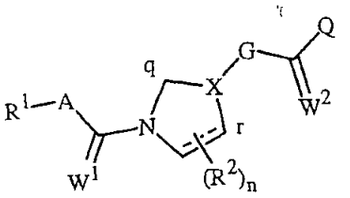
a1 o a2

y R^1, R^2, $R^{2'}$, R^3, R^4 y R^5 son como se definen en la descripción.

La Publicación de Patente Mundial WO 04/058751 da a conocer derivados de carboxamida de piperidinil-tiazol para alterar el tono vascular.

BREVE DESCRIPCION DE LA INVENCION

Esta invención se dirige a compuestos de la Fórmula 1 que incluyen todos los isómeros geométricos y estereoisómeros, *N*-óxidos y sales adecuadas desde el punto de vista agrícola de los mismos, composiciones agrícolas que los contienen y su uso como fungicidas:



1

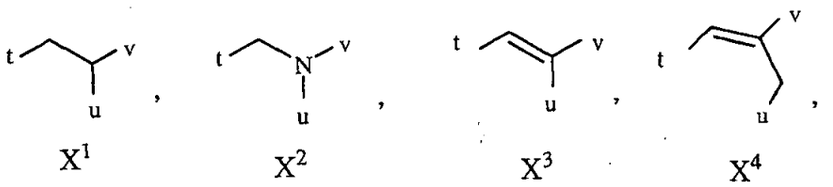
en donde

R^1 es fenilo sustituido opcionalmente o un anillo heteroaromático de 5 o 6 miembros;

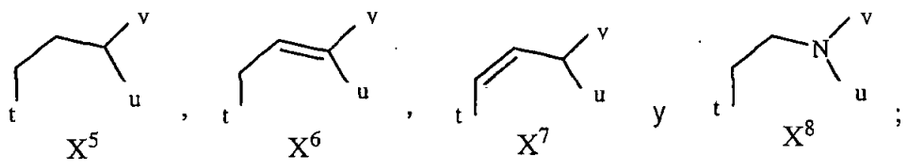
A es CH_2 o NH;

W^1 es O o S;

X es un radical seleccionado de



X^1 X^2 X^3 X^4



X^5 X^6 X^7 y X^8 ;

en donde el enlace de X el cual se identifica con "t" está conectado al átomo de carbono identificado con "q" de la Fórmula **1**, el enlace el cual se identifica con "u" está conectado al átomo de carbono identificado con "r" de la Fórmula **1** y el enlace el cual se identifica con "v" está conectado a G;

cada R^2 es independientemente alquilo de 1 a 4 átomos de

carbono, alquenilo de 1 a 4 átomos de carbono, haloalquilo de 1 a 4 átomos de carbono, alcoxi de 1 a 4 átomos de carbono, halógeno, ciano o hidroxi;

n es 0, 1 o 2; o

dos radicales R^2 se toman juntos como alquileno de 1 a 3 átomos de carbono o alquenileno de 2 a 3 átomos de carbono para formar un sistema de anillos bicíclicos conectados; o

dos radicales R^2 unidos a los átomos de carbono del anillo adyacentes unidos por un enlace doble se toman juntos como -CH=CH-CH=CH- sustituido opcionalmente por 1-3 sustituyentes seleccionados de alquilo de 1 a 4 átomos de carbono, haloalquilo de 1 a 4 átomos de carbono, alcoxi de 1 a 4 átomos de carbono, haloalcoxi de 1 a 4 átomos de carbono, halógeno, hidroxi, amino, ciano y nitro;

G es un anillo heteroaromático de 5 miembros sustituido opcionalmente o un anillo heterocíclico saturado o parcialmente saturado de 5 miembros;

W^2 es O o S;

Q es $-NQ^aQ^b$;

Q^a es H, alquilo de 1 a 3 átomos de carbono, alquenilo de 2 a 3 átomos de carbono, alquinilo de 2 a 3 átomos de carbono, cicloalquilalquilo de 4 a 10 átomos de carbono, alquilcicloalquilo de 4 a 10

átomos de carbono, alquilcicloalquilalquilo de 5 a 10 átomos de carbono, haloalquilo de 1 a 3 átomos de carbono, haloalquenilo de 2 a 3 átomos de carbono, ciano, hidroxi, alcoxi de 1 a 3 átomos de carbono, alcoxialquilo de 2 a 3 átomos de carbono, hidroxialquilo de 1 a 3 átomos de carbono, alquilcarbonilo de 2 a 3 átomos de carbono, alcoxicarbonilo de 2 a 3 átomos de carbono, alquilaminocarbonilo de 2 a 3 átomos de carbono o dialquilaminocarbonilo de 3 a 5 átomos de carbono;

Q^b es un sistema de anillos bicíclicos saturados o parcialmente saturados de 8 a 11 miembros sustituidos opcionalmente o un sistema de anillos tricíclicos parcialmente saturados de 10 a 15 miembros sustituidos opcionalmente, cada sistema de anillos contiene opcionalmente de 1 a 3 heteroátomos seleccionados de hasta 1 O, hasta 1 S y hasta 3 N e incluye opcionalmente 1-3 miembros de anillo seleccionados del grupo que consiste de C(=O), C(=S), S(O) o $S(O)_2$; o

Q^b es $CR^5R^6R^{15}$; o

Q^a y Q^b se toman junto con el átomo de nitrógeno al cual están enlazados para formar un anillo heterocíclico saturado o parcialmente saturado de 5 o 6 miembros sustituido opcionalmente;

R^5 es H, alquilo de 1 a 6 átomos de carbono, alquenilo de 2 a 6 átomos de carbono, alquinilo de 2 a 6 átomos de carbono, cicloalquilo de 3 a 6 átomos de carbono, cicloalquilalquilo de 4 a 10 átomos de carbono, alquilcicloalquilo de 4 a 10 átomos de carbono, alquilcicloalquilalquilo de 5 a 10 átomos de carbono, haloalquilo de 1 a 6 átomos de carbono, haloalquenilo de 2 a 6 átomos de carbono, haloalquinilo de 2 a 6 átomos de carbono, halocicloalquilo de 3 a 6 átomos de carbono, ciano, nitro, alcoxialquilo de 2 a 4 átomos de carbono, hidroxialquilo de 1 a 4 átomos de carbono, alquilcarbonilo de 2 a 4 átomos de carbono, alcoxicarbonilo de 2 a 6 átomos de carbono, alquilaminocarbonilo de 2 a 6 átomos de carbono, dialquilaminocarbonilo de 3 a 8 átomos de carbono o trialquilsililo de 3 a 6 átomos de carbono;

R^6 es fenilo sustituido opcionalmente, bencilo, naftalenilo, cicloalquilo de 3 a 6 átomos de carbono, cicloalquenilo de 3 a 6 átomos de carbono o un anillo heteroaromático de 5 o 6 miembros; y

R^{15} es H, alquilo de 1 a 4 átomos de carbono, alquenilo de 2 a 4 átomos de carbono, alquinilo de 2 a 4 átomos de carbono, cicloalquilo de 3 a 4 átomos de carbono, cicloalquilalquilo de 4 a 10 átomos de

carbono, alquilcicloalquilo de 4 a 10 átomos de carbono, alquilcicloalquilalquilo de 5 a 10 átomos de carbono, haloalquilo de 1 a 4 átomos de carbono, haloalquenilo de 2 a 4 átomos de carbono, haloalquinilo de 2 a 4 átomos de carbono, halocicloalquilo de 3 a 4 átomos de carbono o alcoxialquilo de 2 a 4 átomos de carbono; o

Q^a y R^5 se toman junto con los átomos que los conectan para formar un anillo de 5 a 7 miembros sustituido opcionalmente que contiene como miembros de anillo de 2 a 7 átomos de carbono y opcionalmente de 1 a 3 heteroátomos seleccionados de hasta 1 O, hasta 1 S y hasta 2 N; o

Q^a y R^6 se toman junto con los átomos que los conectan para formar un anillo de 5 a 7 miembros sustituido opcionalmente que contiene como miembros de anillo de 2 a 7 átomos de carbono y opcionalmente de 1 a 3 heteroátomos seleccionados de hasta 1 O, hasta 1 S y hasta 2 N; o

R^5 y R^{15} se toman junto con el átomo de carbono al cual están enlazados para formar un anillo de 5 a 7 miembros sustituido opcionalmente que contiene como miembros de anillo de 2 a 7 átomos de carbono y opcionalmente de 1 a 3 heteroátomos seleccionados de hasta 1 O, hasta 1 S y hasta 1 N; o

R^5 y R^6 se toman junto con el átomo de carbono al cual están enlazados para formar un anillo de 5 a 7 miembros sustituido opcionalmente que contiene como miembros de anillo de 2 a 7 átomos de carbono y opcionalmente de 1 a 3 heteroátomos seleccionados de hasta 1 O, hasta 1 S y hasta 1 N;

con la condición que:

(a) el compuesto de la Fórmula **1** sea diferente de 2-[1-[(2-clorofenil)acetil]-4-piperidinil]-*N*-metil-*N*-[(1*R*)-1-feniletil]-4-tiazolcarboxamida;

(b) cuando X sea X^2, X^3, X^4, X^6 o X^8, entonces G no está unido a X por vía de un heteroátomo del anillo G; y

(c) R^1 sea diferente de 4-fluorofenilo.

Más particularmente, esta invención pertenece a un compuesto de la Fórmula **1**, inclusive todos los isómeros geométricos y estereoisómeros, un *N*-óxido o una sal adecuada desde el punto de vista agrícola del mismo.

Esta invención también se refiere a una composición fungicida que comprende una cantidad efectiva desde el punto de vista fungicida de un compuesto de la Fórmula **1** y al menos un componente adicional seleccionado del grupo que consiste de surfactantes, diluyentes sólidos o diluyentes líquidos.

Esta invención también se refiere a una composición fungicida que comprende una mezcla de un compuesto de la Fórmula **1** y al menos un fungicida diferente, particularmente

al menos un fungicida diferente que tiene un modo de acción diferente.

Esta invención se refiere además a un método para controlar enfermedades de las plantas causadas por agentes patógenos micóticos de las plantas que comprende aplicar a la planta o una porción de la misma o a la semilla de la planta o plántula, o una cantidad efectiva desde el punto de vista fungicida de un compuesto de la invención (es decir como una composición descrita en este documento).

Está invención también proporciona una composición fungicida que comprende una cantidad efectiva desde el punto de vista fungicida de un compuesto que tiene una fórmula que corresponde a la Fórmula 1 excepto que R^1 es 4-fluorofenilo y al menos un componente adicional seleccionado del grupo que consiste de surfactantes, diluyentes sólidos o diluyentes líquidos.

Esta invención también se refiere a una composición fungicida que comprende una cantidad efectiva desde el punto de vista fungicida de un compuesto que tiene una fórmula que corresponde a la Fórmula 1 excepto que R^1 es 4-fluorofenilo y al menos un fungicida diferente.

Esta invención se refiere además a un método para controlar enfermedades de las plantas causadas por agentes patógenos micóticos de las plantas que comprende aplicar a la planta o una porción de la misma o a la semilla de la planta

o plántula, una cantidad efectiva desde el punto de vista fungicida del compuesto que tiene una fórmula que corresponde a la Fórmula **1** excepto que R^1 es 4-fluorofenilo (es decir como una composición descrita en este documento).

Esta invención también proporciona una composición fungicida que comprende una cantidad efectiva desde el punto de vista fungicida del compuesto de 2-[1-[(2-clorofenil)acetil]-4-piperidinil]-*N*-metil-*N*-[(1R)-1-feniletil]-4-tiazolcarboxamida y al menos un componente adicional seleccionado del grupo que consiste de surfactantes, diluyentes sólidos o diluyentes líquidos.

Esta invención también se refiere a una composición fungicida que comprende una mezcla del compuesto de 2-[1-[(2-clorofenil)acetil]-4-piperidinil]-*N*-metil-*N*-[(1*R*)-1-feniletil]-4-tiazolcarboxamida y al menos un fungicida diferente.

Esta invención se refiere además a un método para controlar las enfermedades de las plantas causadas por agentes patógenos micóticos de las plantas que comprende aplicar a la planta o una porción de la misma, o a la semilla de la planta o plántula, una cantidad efectiva desde el punto de vista fungicida del compuesto de 2-[1-[(2-clorofenil)acetil]-4-piperidinil]-*N*-metil-*N*-[(1*R*)-1-feniletil]-4-tiazolcarboxamida (es decir como una composición descrita en este documento).

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DESCRIPCIÓN DETALLADA DE LA INVENCION

Como se utiliza en este documento, los términos "comprende", "que comprende", "incluye", "que incluye", "tiene", "que tiene" o cualquier otra derivación de los mismos, se proponen para cubrir una inclusión no exclusiva. Por ejemplo, una composición, proceso, método, artículo o aparato que comprenda una lista de elementos no está limitado necesariamente a solo esos elementos sino que puede incluir otros elementos no listados expresamente o inherentes a esa composición, proceso, método, artículo o aparato. Además, a menos que se establezca expresamente lo contrario, "o" se refiere a una o inclusiva y no a una o exclusiva. Por ejemplo, una condición A o B es satisfecha por cualquiera de los siguientes: A es verdadero (o está presente) y B es falso (o no está presente), A es falso (o no está presente) y B es verdadero (o está presente) y tanto A como B son verdaderos (o están presentes).

También, el uso de "un" y "una" se emplean para describir elementos y componentes de la invención. Esto se realiza solamente por conveniencia y para proporcionar un sentido general de la invención. Se debe interpretar que esta descripción incluye uno o al menos uno y la forma singular también incluye la forma plural a menos que sea obvio que se da a entender lo contrario.

En las exposiciones anteriores, el término "alquilo"

utilizado ya sea solo o en palabras compuestas tales como "alquiltio" o "haloalquilo" incluye alquilo de cadena recta o ramificada, tal como metilo, etilo, *n*-propilo, *i*-propilo o los diferentes isómeros de butilo, pentilo o hexilo. El término "1-2 alquilo" indica que una o dos de las posiciones disponibles para ese sustituyente pueden ser alquilo las cuales se seleccionan independientemente. "Alquenilo" incluye alquenos de cadena recta o ramificada tales como etenilo, 1-propenilo, 2-propenilo y los diferentes isómeros de butenilo, pentenilo y hexenilo. "Alquenilo" también incluye polienos tales como 1,2-propadienilo y 2,4-hexadienilo. "Alquinilo" incluye alquinos de cadena recta o ramificada tales como etinilo, 1-propinilo, 2-propinilo y los diferentes isómeros de butinilo, pentinilo y hexinilo. "Alquinilo" también puede incluir porciones comprendidas de múltiples enlaces triples tal como 2,5-hexadiinilo. "Alquileno" representa alcanodiilo de cadena recta o ramificada. Los ejemplos de "alquileno" incluyen CH_2, CH_2CH_2, $CH(CH_3)$, $CH_2CH_2CH_2$, $CH_2CH(CH_3)$ y los diferentes isómeros de butileno. "Alquenileno" representa alquenodiilo de cadena recta o ramificada que contiene un enlace olefínico. Los ejemplos de "alquenileno" incluyen CH=CH, CH_2CH=CH, CH=$C(CH_3)$ y los diferentes isómeros de butileno. "Alquinileno" representa alquinodiilo de cadena recta o ramificada que contiene un enlace triple. Los ejemplos de "alquinileno" incluyen C≡C, CH_2C≡C, C≡CCH_2 y los diferentes

isómeros de butileno. "Alcoxi" incluye, por ejemplo, metoxi, etoxi, *n*-propiloxi, isopropiloxi y los diferentes isómeros de butoxi, pentoxi y hexiloxi. "Alcoxialquilo" representa una sustitución de alcoxi en alquilo. Los ejemplos de "alcoxialquilo" incluyen CH_3OCH_2, $CH_3OCH_2CH_2$, $CH_3CH_2OCH_2$, $CH_3CH_2CH_2CH_2OCH_2$ y $CH_3CH_2OCH_2CH_2$. "Alcoxialcoxi" representa una sustitución de alcoxi en alcoxi. "Alqueniloxi" incluye porciones de alqueniloxi de cadena recta o ramificada. Los ejemplos de "alqueniloxi" incluyen $H_2C=CHCH_2O$, $(CH_3)_2C=CHCH_2O$, $(CH_3)CH=CHCH_2O$, $(CH_3)CH=C(CH_3)CH_2O$ y $CH_2=CHCH_2CH_2O$. "Alquiniloxi" incluye porciones de alquiniloxi de cadena recta o ramificada. Los ejemplos de "alquiniloxi" incluyen $HC\equiv CCH_2O$, $CH_3C\equiv CCH_2O$ y $CH_3C\equiv CCH_2CH_2O$. "Alquiltio" incluye porciones de alquiltio de cadena recta o ramificada tales como metiltio, etiltio y los diferentes isómeros de propiltio, butiltio, pentiltio y hexiltio. "Alquilsulfinilo" incluye ambos enantiómeros de un grupo alquilsulfinilo. Los ejemplos de "alquilsulfinilo" incluyen $CH_3S(O)$, $CH_3CH_2S(O)$, $CH_3CH_2CH_2S(O)$, $(CH_3)_2CHS(O)$ y los diferentes isómeros de butilsulfinilo, pentilsulfinilo y hexilsulfinilo. Los ejemplos de "alquilsulfonilo" incluyen $CH_3S(O)_2$, $CH_3CH_2S(O)_2$, $CH_3CH_2CH_2S(O)_2$, $(CH_3)_2CHS(O)_2$ y los diferentes isómeros de butilsulfonilo, pentilsulfonilo y hexilsulfonilo. "Alquilamino", "dialquilamino", "alqueniltio", "alquenilsulfinilo", "alquenilsulfonilo", "alquiniltio", "alquinilsulfinilo", "alquinilsulfonilo" y similares se definen

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de manera análoga a los ejemplos anteriores. "Cicloalquilo" incluye, por ejemplo, ciclopropilo, ciclobutilo, ciclopentilo y ciclohexilo. El término "anillo carbocíclico" representa un anillo en donde los átomos forman la cadena principal del anillo y se seleccionan únicamente de carbono. El término "sistema de anillos aromáticos" representa carbociclos y heterociclos completamente insaturados en los cuales el sistema de anillos policíclicos es aromático. Aromático indica que cada uno de los átomos del anillo está esencialmente en el mismo plano y tiene una *p*-orbita perpendicular al plano del anillo y en la cual los electrones $(4n + 2)\pi$, cuando n es 0 o un número entero positivo, están asociados con el anillo para cumplir con la regla de Hückel. El término "sistema de anillos carbocíclicos, aromáticos" incluye carbociclos completamente aromáticos y carbociclos en los cuales al menos un anillo de un sistema de anillos policíclicos es aromático. Los términos "sistema de anillos heterocíclicos aromáticos" y "anillo heteroaromático" incluyen heterociclos completamente aromáticos y heterociclos en los cuales al menos un anillo de un sistema de anillos policíclicos es aromático. El término "sistema de anillos heterocíclicos saturados" representa heterociclos completamente saturados en donde ninguno de los anillos en el sistema de anillos es aromático. El término "sistema de anillos heterocíclicos parcialmente saturados" representa heterociclos parcialmente insaturados en donde

parte de los anillos en el sistema de anillos pueden ser aromáticos. Los sistemas de anillos heterocíclicos pueden estar unidos a través de cualquier átomo de carbono o nitrógeno disponible por medio del reemplazo de un átomo de hidrógeno en el átomo de carbono o nitrógeno. Una persona experta en la técnica apreciará que no todos los heterociclos que contienen nitrógeno pueden formar *N*-óxidos puesto que el átomo de nitrógeno requiere un solo par disponible de electrones para la oxidación al óxido; una persona experta en la técnica reconocerá aquellos heterociclos que contienen nitrógeno que pueden formar *N*-óxidos. Una persona experta en la técnica también reconocerá que las aminas terciarias pueden formar *N*-óxidos. Los métodos de síntesis para la preparación de *N*-óxidos de heterociclos y aminas terciarias son conocidos muy bien por aquellas personas expertas en la técnica que incluyen la oxidación de heterociclos y aminas terciarias con ácidos peroxi tales como ácido peracético y *m*-cloroperbenzoico (MCPBA), peróxido de hidrógeno, hidroperóxidos de alquilo, tales como hidroperóxido de *t*-butilo, perborato de sodio y dioxiranos tales como dimetidioxirano. Estos métodos para la preparación de *N*-óxidos han sido descritos y revisados extensivamente en la bibliografía, véase por ejemplo: T. L. Gilchrist en *Comprehensive Organic Synthesis*, volumen 7, páginas 748-750, S. V. Ley, Ed., Pergamon Press; M. Tisler y B. Stanovnik en

Comprehensive Heterocyclic Chemistry, volumen 3, páginas 18-20, A. J. Boulton y A. McKillop, Eds., Pergamon Press; M. R. Grimmett y B. R. T. Keene en *Advances in Heterocyclic Chemistry*, volumen 43, páginas 149-161, A. R. Katritzky, Ed., Academic Press; M. Tisler y B. Stanovnik en *Advances in Heterocyclic Chemistry*, volumen 9, páginas 285-291, A. R. Katritzky y A. J. Boulton, Eds., Academic Press; y G. W. H. Cheeseman y E. S. G. Werstiuk en *Advances in Heterocyclic Chemistry*, volumen 22, páginas 390-392, A. R. Katritzky y A. J. Boulton, Eds., Academic Press.

El término "halógeno", ya sea solo o en palabras compuestas tales como "haloalquilo", incluye flúor, cloro, bromo o yodo. El término "1-2 halógeno" indica que una o dos de las posiciones disponibles para ese sustituyente pueden ser halógeno, las cuales se seleccionan independientemente. Además, cuando se utiliza en palabras compuestas tales como "haloalquilo", el grupo alquilo puede ser sustituido parcial o completamente por átomos de halógeno, los cuales pueden ser los mismos o diferentes. Los ejemplos de "haloalquilo" incluyen F_3C, $ClCH_2$, CF_3CH_2 y CF_3CCl_2. Los términos "haloalquenilo", "haloalquinilo", "halocicloalquilo", "haloalcoxi", "haloalquiltio" y similares son definidos de manera análoga al término "haloalquilo". Los ejemplos de "haloalquenilo" incluyen $(Cl)_2C=CHCH_2$ y $CF_3CH_2CH=CHCH_2$. Los ejemplos de "haloalquinilo" incluyen $HC\equiv CCHCl$, $CF_3C\equiv C$, $CCl_3C\equiv C$

y $FCH_2C{\equiv}CCH_2$. Los ejemplos de "haloalcoxi" incluyen CF_3O, CCl_3CH_2O, $HCF_2CH_2CH_2O$ y CF_3CH_2O. Los ejemplos de "haloalquiltio" incluyen CCl_3S, CF_3S, CCl_3CH_2S y $ClCH_2CH_2CH_2S$. Los ejemplos de "haloalquilsulfinilo" incluyen $CF_3S(O)$, $CCl_3S(O)$, $CF_3CH_2S(O)$ y $CF_3CF_2S(O)$. Los ejemplos de "haloalquilsulfonilo" incluyen $CF_3S(O)_2$, $CCl_3S(O)2$, $CF_3CH_2S(O)_2$ y $CF_3CF_2S(O)_2$. Los ejemplos de "sistema de anillos bicíclicos parcialmente saturados" incluyen tetrahidronaftaleno, tetrahidroquinolina, tetrahidroisoquinolina. "Trialquilsililo" incluye 3 radicales alquilo de cadena recta y/o ramificada unidos a y conectados a través de un átomo de silicio tal como trimetilsililo, trietilsililo y *t*-butil-dimetilsililo. El número total de átomos de carbono en un grupo sustituyente es indicado por el prefijo "C_i-C_j" donde i y j son números del 1 al 10. Por ejemplo, alquilsulfonilo C_1-C_4 representa metilsulfonilo hasta butilsulfonilo; alcoxialquilo C_2 representa CH_3OCH_2; alcoxialquilo C_3 representa, por ejemplo, $CH_3CH(OCH_3)$, $CH_3OCH_2CH_2$ o $CH_3CH_2OCH_2$; y alcoxialquilo C_4 representa los diversos isómeros de un grupo alquilo sustituido por un grupo alcoxi que contiene un total de cuatro átomos de carbono, los ejemplos incluyen $CH_3CH_2CH_2OCH_2$ y $CH_3CH_2OCH_2CH_2$. Alquilaminoalquilo C_2 representa CH_3NHCH_2-; alquilaminoalquilo C_3 representa, por ejemplo, $CH_3(CH_3NH)CH$-, $CH_3NHCH_2CH_2$- o $CH_3CH_2NHCH_2$-; y los ejemplos de "alquilcarbonilo" incluyen $C(O)CH_3$, $C(O)CH_2CH_2CH_3$ y

$C(O)CH(CH_3)_2$. Los ejemplos de "alcoxicarbonilo" incluyen $CH_3OC(=O)$, $CH_3CH_2OC(=O)$, $CH_3CH_2CH_2OC(=O)$, $(CH_3)_2CHOC(=O)$ y los diferentes isómeros de butoxi- o pentoxicarbonilo. Los ejemplos de "alquilaminocarbonilo" incluyen $CH_3NHC(=O)$-, $CH_3CH_2NHC(=O)$-, $CH_3CH_2CH_2NHC(=O)$-, $(CH_3)_2CHNHC(=O)$- y los diferentes isómeros de butilamino- o pentilaminocarbonilo. Los ejemplos de "dialquilaminocarbonilo" incluyen $(CH_3)_2NC(=O)$-, $(CH_3CH_2)_2NC(=O)$-, $CH_3CH_2(CH_3)NC(=O)$-, $(CH_3)_2CHN(CH_3)C(=O)$- y $CH_3CH_2CH_2(CH_3)NC(=O)$-. En las relaciones anteriores, cuando un compuesto de la Fórmula **1** está comprendido por uno o más anillos heterocíclicos, todos los sustituyentes están unidos a esos anillos a través de cualquier átomo de carbono o nitrógeno disponible por medio del reemplazo de un átomo de hidrógeno en el átomo de carbono o nitrógeno.

La línea punteada en la Fórmula **1** representa que el enlace indicado puede ser un enlace individual o un enlace doble.

Cuando X es un radical seleccionado de X^1, X^2, X^3, X^4, X^5, X^6, X^7 y X^8, el enlace izquierdo de X el cual se identifica con "t" está conectado al átomo de carbono junto al átomo de nitrógeno identificado con "q" de la Fórmula **1** y el enlace inferior derecho de X el cual se identifica con "u" está conectado al átomo de carbono identificado con "r" de la Fórmula **1** y el enlace superior derecho de X el cual se

identifica con "v" está conectado al anillo G de la Fórmula 1.

Cuando un compuesto es sustituido por un sustituyente que lleva un subíndice que indica que el número de los sustituyentes puede variar, cuando el número de los sustituyentes es mayor que 1, los sustituyentes se seleccionan independientemente del grupo de sustituyentes definidos. Además, cuando el subíndice indica un rango, por ejemplo $(R)_{i-j}$, entonces el número de sustituyentes se puede seleccionar inclusive de los números enteros entre i y j. También, una persona experta en la técnica reconoce que el número de puntos de unión disponibles coloca un límite sobre el número de sustituyentes posibles que puede ser más bajo que la definición amplia; por ejemplo, el subíndice "k" en U-16, U-17, U-18, U-19, U-32, U-33 y U-35 mostrados en la Modalidad 14 no puede ser mayor que 1.

Cuando un grupo contiene un sustituyente el cual puede ser hidrógeno, por ejemplo Q^a, R^5 o R^{15}, entonces, cuando este sustituyente se toma como hidrógeno, se reconoce que éste es equivalente al grupo que no es sustituido. Cuando se muestra un grupo variable que está unido opcionalmente a una posición, por ejemplo $(R^2)_n$ en donde n puede ser 0, entonces el átomo de hidrógeno puede estar en la posición aún si no es citado en la definición del grupo variable. Cuando se dice que una posición en un grupo "no es sustituida" o "no está sustituida", entonces los átomos de hidrógeno se unen

para tomar cualquier valencia libre. El término "sustituido opcionalmente" en conexión con los grupos listados para R^1, R^2, R^5, R^6, R^{15}, R^{16}, R^{16a}, G, Q^a y Q^b se refiere a los grupos que no están sustituidos o que tienen al menos 1 sustituyente diferente de hidrógeno. Estos grupos pueden ser sustituidos por tantos sustituyentes opcionales como se puedan acomodar al reemplazar un átomo de hidrógeno por un sustituyente diferente de hidrógeno en cualquier átomo de carbono o nitrógeno disponible. Comúnmente, el número de sustituyentes opcionales (cuando están presentes) varía de 1 a 3.

Como se observara anteriormente, R^1 es fenilo sustituido opcionalmente o un anillo heteroaromático de 5 o 6 miembros; G es un anillo heteroaromático de 5 miembros sustituido opcionalmente o un anillo heterocíclico saturado o parcialmente saturado de 5 miembros; Q^a y Q^b se toman junto con el átomo de nitrógeno al cual están enlazados para formar un anillo heterocíclico saturado o parcialmente saturado de 5 o 6 miembros sustituido opcionalmente; y R^6 es fenilo sustituido opcionalmente, bencilo, naftalenilo, cicloalquilo de 3 a 6 átomos de carbono, cicloalquenilo de 3 a 6 átomos de carbono o un anillo heteroaromático de 5 o 6 miembros; y Q-2 hasta Q-85 son sustituidos opcionalmente. El término "sustituido" en conexión con esos grupos R^1, G, R^6, Q^a y Q^b se refiere a grupos que tienen al menos un sustituyente diferente de hidrógeno que no extingue la actividad

fungicida. Puesto que estos grupos son sustituidos opcionalmente, no necesitan tener ningún sustituyente diferente de hidrógeno.

El nombramiento de sustituyentes en la presente descripción utiliza la terminología reconocida proporcionando concisión en la comunicación de manera precisa con aquellas personas expertas en la técnica de la estructura química. Por ejemplo, como se utiliza en la nomenclatura, el prefijo "per" indica "completamente" y "perhidro" significa que el anillo heteroaromático o sistema de anillos referido (por ejemplo quinolina, isoquinolina) ha sido hidrogenado completamente de manera que está completamente saturado. También, la terminación de un nombre de sustituyente heterocíclico con la letra "o" (por ejemplo, "piperidino", "pirrolidino", "isoquinolino", "isoindolo") significa que el sustituyente heterocíclico está enlazado al resto de la molécula a través del átomo de nitrógeno del heterociclo. Por motivo de concisión, los descriptores de la posición de un grupo funcional dentro de una molécula se pueden omitir; "pirazol-1-ilo" significa "1*H*-pirazol-1-ilo" de acuerdo con el sistema de nomenclatura de the Chemical Abstracts. El término "piridilo" es sinónimo de "piridinilo". El orden del listado de sustituyentes puede ser diferente del sistema de the Chemical Abstracts si la diferencia no afecta el significado.

A. Los ejemplos de compuestos de la Fórmula **1** incluyen

los compuestos en donde

R^1 es fenilo o un anillo heteroaromático de 5 o 6 miembros, sustituido opcionalmente por 1 a 2 sustituyentes seleccionados independientemente de R^4;

cada R^4 es independientemente alquilo de 1 a 6 átomos de carbono, alquenilo de 2 a 6 átomos de carbono, alquinilo de 2 a 6 átomos de carbono, cicloalquilo de 3 a 6 átomos de carbono, cicloalquilalquilo de 4 a 10 átomos de carbono, alquilcicloalquilo de 4 a 10 átomos de carbono, alquilcicloalquilalquilo de 5 a 10 átomos de carbono, haloalquilo de 1 a 6 átomos de carbono, haloalquenilo de 2 a 6 átomos de carbono, haloalquinilo de 2 a 6 átomos de carbono, halocicloalquilo de 3 a 6 átomos de carbono, halógeno, hidroxi, amino, ciano, nitro, alcoxi de 1 a 4 átomos de carbono, haloalcoxi de 1 a 4 átomos de carbono, alquiltio de 1 a 4 átomos de carbono, alquilsulfinilo de 1 a 4 átomos de carbono, alquilsulfonilo de 1 a 4 átomos de carbono, haloalquiltio de 1 a 4 átomos de carbono, haloalquilsulfinilo de 1 a 4 átomos de carbono, haloalquilsulfonilo de 1 a 4 átomos de carbono, alquilamino de 1 a 4 átomos de carbono, dialquilamino de 2 a 8 átomos de carbono,

cicloalquilamino de 3 a 6 átomos de carbono, alcoxialquilo de 2 a 4 átomos de carbono, hidroxialquilo de 1 a 4 átomos de carbono, alquilcarbonilo de 2 a 4 átomos de carbono, alcoxicarbonilo de 2 a 6 átomos de carbono, alquilcarboniloxi de 2 a 6 átomos de carbono, alquilcarboniltio de 2 a 6 átomos de carbono, alquilaminocarbonilo de 2 a 6 átomos de carbono, dialquilaminocarbonilo de 3 a 8 átomos de carbono o trialquilsililo de 3 a 6 átomos de carbono;

G es un anillo heteroaromático de 5 miembros o un anillo heterocíclico saturado o parcialmente saturado de 5 miembros, cada anillo es sustituido opcionalmente por hasta 2 sustituyentes seleccionados de R^3 en los miembros de anillo de carbono y se seleccionan de R^{11} en los miembros de anillo de nitrógeno;

cada R^3 es independientemente alquilo de 1 a 3 átomos de carbono, haloalquilo de 1 a 3 átomos de carbono o halógeno;

R^{11} es alquilo de 1 a 3 átomos de carbono; y

Q es un radical seleccionado de Q-1 hasta Q-85 como se describe en conexión con la Modalidad 50 descrita más adelante.

B. Son importantes los compuestos del Párrafo A anterior en donde R^1 es uno de U-1 hasta U-50 como se

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describe en conexión con la Modalidad 14 descrita más adelante; G es uno de G-1 hasta G-55 como se describe en conexión con la Modalidad 36 descrita más adelante; cada R^{3a} es independientemente H, alquilo de 1 a 3 átomos de carbono, haloalquilo de 1 a 3 átomos de carbono o halógeno (más particularmente H, alquilo de 1 a 3 átomos de carbono o halógeno y mucho más particularmente H o alquilo de 1 a 3 átomos de carbono); R^{11a} es H o alquilo de 1 a 3 átomos de carbono; R^6 es uno de H-1 hasta H-46 como se describe en conexión con la Modalidad 65 descrita más adelante; y R^{12} es H o alquilo de 1 a 3 átomos de carbono. Entre estos compuestos son particularmente importantes los compuestos en donde cada R^4 es independientemente alquilo de 1 a 3 átomos de carbono, alquenilo de 2 a 3 átomos de carbono, alquinilo de 2 a 3 átomos de carbono, ciclopropilo, haloalquilo de 1 a 3 átomos de carbono, haloalquenilo de 2 a 3 átomos de carbono, haloalquinilo de 2 a 3 átomos de carbono, halociclopropilo, halógeno, ciano, nitro, alcoxi de 1 a 2 átomos de carbono o haloalcoxi de 1 a 2 átomos de carbono; R^5 es alquilo de 1 a 4 átomos de carbono, alquenilo de 2 a 4 átomos de carbono, alquinilo de 2 a 4 átomos de carbono, cicloalquilo de 3 a 4 átomos de carbono, haloalquilo de 1 a 4 átomos de carbono, haloalquenilo de 2 a 4 átomos de carbono, haloalquinilo de 2 a 4 átomos de carbono, halocicloalquilo de 3 a 4 átomos de carbono, ciano o alcoxialquilo de 2 a 4

átomos de carbono y cada R^7 es independientemente alquilo de 1 a 3 átomos de carbono, alquenilo de 2 a 3 átomos de carbono, alquinilo de 2 a 3 átomos de carbono, ciclopropilo, haloalquilo de 1 a 3 átomos de carbono, haloalquenilo de 2 a 3 átomos de carbono, haloalquinilo de 2 a 3 átomos de carbono, halociclopropilo, halógeno, ciano, nitro, alcoxi de 1 a 2 átomos de carbono o haloalcoxi de 1 a 2 átomos de carbono; cada R^8 es independientemente H, alquilo de 1 a 4 átomos de carbono, alquenilo de 2 a 4 átomos de carbono, alquinilo de 3 a 4 átomos de carbono, cicloalquilo de 3 a 6 átomos de carbono, haloalquilo de 1 a 4 átomos de carbono, haloalquenilo de 2 a 4 átomos de carbono, haloalquinilo de 2 a 4 átomos de carbono, halocicloalquilo de 3 a 6 átomos de carbono, halógeno, hidroxi, amino, ciano, nitro, alcoxi de 1 a 4 átomos de carbono o alquilcarboniloxi de 2 a 4 átomos de carbono; cada R^9 es independientemente alquilo de 1 a 3 átomos de carbono, ciclopropilo, haloalquilo de 1 a 3 átomos de carbono, halociclopropilo, halógeno, hidroxi, alquilcarboniloxi de 2 a 3 átomos de carbono, alcoxi de 1 a 2 átomos de carbono o haloalcoxi de 1 a 2 átomos de carbono; R^{10} es H o metilo; cada R^{16} es independientemente alquilo de 1 a 3 átomos de carbono, alquenilo de 2 a 3 átomos de carbono, alquinilo de 2 a 3 átomos de carbono, ciclopropilo, haloalquilo de 1 a 3 átomos de carbono, haloalquenilo de 2 a 3 átomos de carbono, haloalquinilo de 2 a 3 átomos de

carbono, halociclopropilo, halógeno, ciano, nitro, alcoxi de 1 a 2 átomos de carbono o haloalcoxi de 1 a 2 átomos de carbono; o un anillo de fenilo o bencilo, sustituido opcionalmente por hasta 3 sustituyentes seleccionados de R^{13}; R^{16a} es H, alquilo de 1 a 3 átomos de carbono, alilo, propargilo, ciclopropilo o haloalquilo de 1 a 3 átomos de carbono; o un anillo de fenilo o bencilo, sustituido opcionalmente por hasta 3 sustituyentes seleccionados de R^{13}; y cada R^{13} es independientemente alquilo de 1 a 3 átomos de carbono, alquenilo de 2 a 3 átomos de carbono, alquinilo de 2 a 3 átomos de carbono, ciclopropilo, haloalquilo de 1 a 3 átomos de carbono, haloalquenilo de 2 a 3 átomos de carbono, haloalquinilo de 2 a 3 átomos de carbono, halociclopropilo, halógeno, ciano, nitro, alcoxi de 1 a 2 átomos de carbono o haloalcoxi de 1 a 2 átomos de carbono.

C. Los ejemplos de los compuestos del Párrafo B anterior incluyen los compuestos en donde X es uno de X^1, X^2 y X^3; y cada R^2 es independientemente alquilo de 1 a 2 átomos de carbono, haloalquilo de 1 a 2 átomos de carbono, alcoxi de 1 a 2 átomos de carbono, halógeno, ciano o hidroxi; Q^a es H o CH_3; y R^{15} es H o CH_3.

D. Los ejemplos de los compuestos del Párrafo C anterior incluyen los compuestos en donde R^1 es uno de U-1 hasta U-3, U-11, U-13, U-20, U-22, U-23, U-36, U-37 hasta U-39 y U-50; y cada R^4 es independientemente halógeno, alquilo

de 1 a 3 átomos de carbono, haloalquilo de 1 a 3 átomos de carbono, alcoxi de 1 a 2 átomos de carbono o haloalcoxi de 1 a 2 átomos de carbono. También se incluyen los compuestos en donde G es G-1, G-2, G-3, G-7, G-8, G-10, G-11, G-14, G-15, G-23, G-24, G-26, G-27, G-28, G-30, G-36, G-37, G-38 o G-49 hasta G-55; R^{3a} es H, CH_3, Cl o Br; y R^{11} es H o CH_3. Son importantes los compuestos en donde G es G-1, G-2, G-7, G-8, G-14, G-15, G-23, G-24, G-26, G-27, G-36, G-37, G-38, G-49 o G-50 (inclusive por ejemplo donde G no es sustituido).

E. Los ejemplos adicionales de los compuestos del Párrafo C incluyen los compuestos en donde Q es Q-1, Q-2, Q-3, Q-4, Q-8, Q-9, Q-10, Q-12, Q-14, Q-22, Q-23, Q-24, Q-40, Q-41, Q-59, Q-62, Q-74 o Q-84; R^5 es alquilo de 1 a 4 átomos de carbono, alquenilo de 2 a 4 átomos de carbono, alquinilo de 2 a 4 átomos de carbono, cicloalquilo de 3 a 4 átomos de carbono, haloalquilo de 1 a 4 átomos de carbono, haloalquenilo de 2 a 4 átomos de carbono, haloalquinilo de 2 a 4 átomos de carbono, halocicloalquilo de 3 a 4 átomos de carbono, ciano o alcoxialquilo de 2 a 4 átomos de carbono; R^6 es H-1, H-20, H-32, H-45 o H-46; cada R^7 es independientemente halógeno, alquilo de 1 a 3 átomos de carbono, haloalquilo de 1 a 3 átomos de carbono, alcoxi de 1 a 2 átomos de carbono o haloalcoxi de 1 a 2 átomos de carbono; cada R^8 es independientemente alquilo de 1 a 2 átomos de carbono, haloalquilo de 1 a 2 átomos de carbono,

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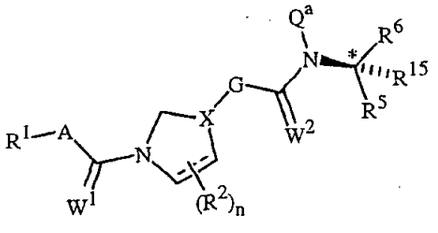
alcoxi de 1 a 2 átomos de carbono, haloalcoxi de 1 a 2 átomos de carbono, alquilcarboniloxi de 2 a 4 átomos de carbono o hidroxi; y cada R^9 es independientemente halógeno, hidroxi, OCH_3 o CH_3. Se incluyen los compuestos en donde Q es Q-1, Q-2, Q-8, Q-14, Q-23, Q-41, Q-59 o Q-62; Q^a es metilo; R^5 es alquilo de 1 a 4 átomos de carbono, haloalquilo de 1 a 4 átomos de carbono, alquenilo de 2 a 4 átomos de carbono, haloalquenilo de 2 a 4 átomos de carbono o ciano; R^6 es H-1 o H-45; R^{12} es H o CH_3; cada R^7 es independientemente F, Cl, Br, OCH_3 o metilo; R^{15} es H; R^8 es CH_3, OCH_3 o OH; y R^{10} es H o CH_3.

F. Los ejemplos adicionales de los compuestos del Párrafo C incluyen los compuestos en donde W^1 y W^2 son independientemente O; Q^a es CH_3; m, j, n y p son todos independientemente 0 o 1; R^{3a} es H; cada R^7 es independientemente F, Cl, Br, OCH_3 o metilo; cada R^8 es independientemente alquilo de 1 a 2 átomos de carbono, alcoxi de 1 a 2 átomos de carbono o hidroxi; y cada R^9 es independientemente F, Cl, Br, hidroxi, OCH_3 o CH_3. Se incluyen los compuestos en donde R^1 es U-1 o U-50; cada R^4 es independientemente halógeno, alquilo de 1 a 3 átomos de carbono, haloalquilo de 1 a 3 átomos de carbono o alcoxi de 1 a 2 átomos de carbono; G es G-1, G-2, G-15, G-26, G-27, G-36, G-37 o G-38; Q es Q-1, Q-2, Q-8, Q-23 o Q-41; R^5 es alquilo de 1 a 4 átomos de carbono, alquenilo de 2 a 4 átomos de carbono o ciano; R^6 es H-45; y cada R^4 está conectado

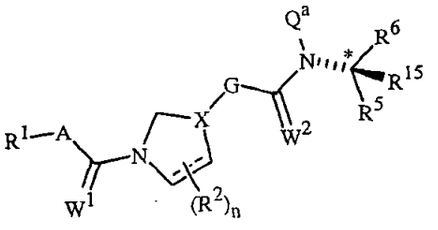
independientemente a la posición 3 o 5 de U-1, cada R^4 está conectado independientemente a la posición 3 y 5 de U-1, cada R^4 está conectado independientemente a la posición 2 o 3 de U-50 o cada R^4 está conectado independientemente a la posición 2 y 5 de U-50 (por ejemplo, los compuestos donde X es X^1 y G es G-1; X es X^1 y G es G-2; X es X^1 y G es G-15; X es X^1 y G es G-26; X es X^1 y G es G-36; X es X^2 y G es G-1; o X es X^2 y G es G-2). En lo anterior, "cada R^4 está conectado independientemente a la posición 3 o 5 de U-1" significa que k es 1 y R^4 está conectado a la posición 3 o 5 de U-1, "cada R^4 está conectado independientemente a las posiciones 3 y 5 de U-1" significa que k es 2 y un radical R^4 seleccionado independientemente está conectado a cada una de las posiciones 3 y 5 de U-1, "cada R^4 está conectado independientemente a la posición 2 o 3 de U-50" significa que k es 1 y R^4 está conectado a la posición 3 o 5 de U-50, y "cada R^4 está conectado independientemente a las posiciones 2 y 5 de U-50" significa que k es 2 y un radical R^4 seleccionado independientemente está conectado a cada una de las posiciones 2 y 5 de U-50.

Los compuestos de esta invención pueden existir como uno o más estereoisómeros. Los diversos estereoisómeros incluyen enantiómeros, diastereómeros, atropisómeros e isómeros geométricos. Una persona experta en la técnica apreciará que un estereoisómero puede ser más activo y/o

puede exhibir efectos benéficos cuando es enriquecido con relación al(los) otro(s) estereoisómero(s) o cuando es separado del(los) otro(s) estereoisómero(s). Adicionalmente, el artífice experto sabe como separar, enriquecer y/o preparar selectivamente los estereoisómeros. Por consiguiente, la presente invención comprende compuestos seleccionados de la Fórmula **1**, *N*-óxidos y sales adecuadas desde el punto de vista agrícola de los mismos. Los compuestos de la invención pueden estar presentes como una mezcla de estereoisómeros, estereoisómeros individuales o como una forma ópticamente activa. Por ejemplo, cuando Q es Q-1 y R^5, R^6 y R^{15} de Q-1 en la Fórmula **1** son diferentes, entonces la fórmula **1** posee un centro quiral en el átomo de carbono al cual están enlazados comúnmente. Esta invención comprende mezclas racémicas. Además, esta invención incluye compuestos que son enriquecidos en comparación con la mezcla racémica en un enantiómero de la fórmula **1**.



1'



1"

Están incluidos los enantiómeros esencialmente puros de los compuestos de la Fórmula **1**, por ejemplo, la Fórmula **1'** y la Fórmula **1"** en donde Q es Q-1.

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Cuando es enriquecido enantioméricamente, un enantiómero está presente en cantidades mayores que el otro y el grado de enriquecimiento puede definirse por una expresión de exceso enantiomérico ("ee"), el cual es definido como (2x-1)·100%, donde x es la fracción en mol del enantiómero dominante en la mezcla (por ejemplo, un ee de 20% corresponde a una relación 60:40 de enantiómeros).

Para los compuestos de la Fórmula **1** donde Q es Q-1 hasta Q-74, se cree que el enantiómero más activo desde el punto de vista fungicida es aquel en donde R^{15} es un átomo de hidrógeno, el átomo de hidrógeno unido al átomo de carbono identificado con un asterisco (*) está debajo del plano definido por los 3 átomos diferentes de hidrógeno unidos al átomo de carbono identificado con el asterisco (*) como en la Fórmula **1'** (con el anillo aromático de Q-2 hasta Q-74 colocado con respecto al átomo de carbono identificado con un asterisco (*) de manera análoga a R^6 en Q-1 en la Fórmula **1'**). Por ejemplo cuando R^5 es CH_3, R^6 es fenilo y R^{15} es H, la Fórmula **1'** tiene la configuración *R* en el átomo de carbono al cual están enlazados comúnmente R^5, R^6 y R^{15}.

Preferiblemente, las composiciones de esta invención tienen un exceso enantiomérico de al menos 50%; más preferiblemente un exceso enantiomérico de al menos 75%; aún más preferiblemente un exceso enantiomérico de al menos 90%; y mucho más preferiblemente un exceso enantiomérico de al menos

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94% del isómero más activo. Son particularmente importantes las modalidades enantioméricamente puras del isómero más activo.

Los compuestos de la fórmula **1** pueden comprender centros quirales adicionales. Por ejemplo, los sustituyentes R^4, R^5, R^7, R^8, R^9, R^{13}, R^{15}, R^{16}, R^{16a}, Q^a, Q^b y X^1 hasta X^8 pueden contener por sí mismos centros quirales. Esta invención comprende mezclas racémicas así como también estereoconfiguraciones enriquecidas y esencialmente puras en esos centros quirales adicionales.

Los compuestos de esta invención pueden existir como uno o más isómeros conformacionales debido a los enlaces de amida en los compuestos de la Fórmula **1** como es sabido por una persona experta en la técnica. Esta invención comprende mezclas de isómeros conformacionales. Además, esta invención incluye compuestos que están enriquecidos en comparación con la mezcla de un confórmero de la Fórmula **1**.

Las sales adecuadas desde el punto vista agrícola de los compuestos de la invención incluyen sales de adición de ácido con ácidos inorgánicos u orgánicos tales como ácido bromhídrico, clorhídrico, nítrico, fosfórico, sulfúrico, acético, butírico, fumárico, láctico, maleico, malónico, oxálico, propiónico, salicílico, tartárico, 4-toluensulfónico o valérico. Las sales adecuadas desde el punto de vista agrícola de los compuestos de la invención también incluyen aquellas

formadas con bases orgánicas (por ejemplo, piridina, amoníaco o trietilamina) o bases inorgánicas (por ejemplo, hidruros, hidróxidos o carbonatos de sodio, potasio, litio, calcio, magnesio o bario) cuando el compuesto contiene un grupo ácido tal como ácido carboxílico o fenol. Una persona experta en la técnica reconoce que debido al ambiente y bajo condiciones fisiológicas, las sales de los compuestos de la invención están en equilibrio con sus formas que no son sales correspondientes, las sales adecuadas desde el punto de vista agrícola comparten la utilidad biológica de las formas que no son sales.

Las modalidades de la presente invención incluyen:

Modalidad 1. Un compuesto de la Fórmula **1** en donde A es CH_2.

Modalidad 2. Un compuesto de la Fórmula **1** en donde A es NH.

Modalidad 3. Un compuesto de la Fórmula **1** en donde W^1 es O.

Modalidad 4. Un compuesto de la Fórmula **1** en donde W^1 es S.

Modalidad 5. Un compuesto de la Fórmula **1** en donde W^2 es O.

Modalidad 6. Un compuesto de la Fórmula **1** en donde W^2 es S.

Modalidad 7. Un compuesto de la Fórmula **1** en donde R^2 es metilo.

Modalidad 8. Un compuesto de la Fórmula **1** en donde n es 0 o 1.

Modalidad 9. Un compuesto de la Modalidad 8 en donde n es 0.

Modalidad 10. Un compuesto de la Fórmula **1** en donde X es X^1, X^2 o X^3.

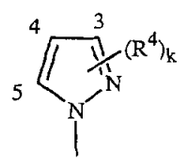
Modalidad 11. Un compuesto de la Modalidad 10 en donde X

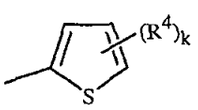
es X^1 o X^2 y cada anillo es saturado.

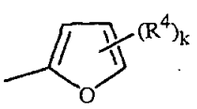
Modalidad 12. Un compuesto de la Modalidad 10 en donde X es X^1.

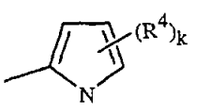
Modalidad 13. Un compuesto de la Modalidad 12 en donde X es X^1 y el anillo es saturado.

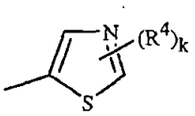
Modalidad 14. Un compuesto de la Fórmula **1** en donde R^1 es uno de U-1 hasta U-50;

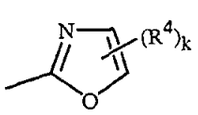

U-1

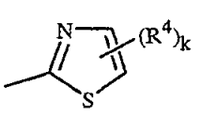

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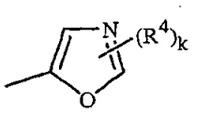

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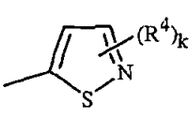

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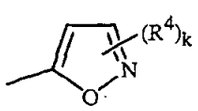

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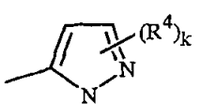

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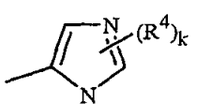

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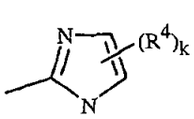

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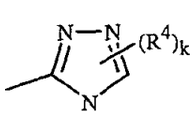

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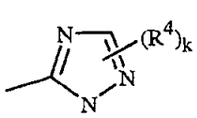

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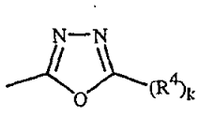

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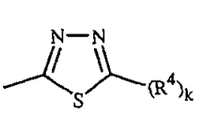

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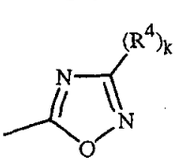

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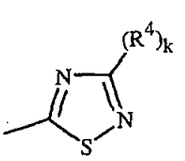

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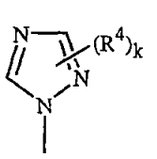

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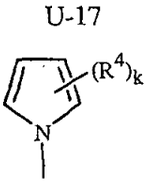

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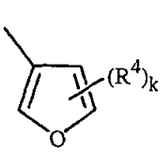

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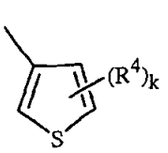

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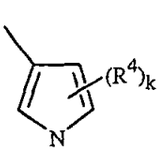

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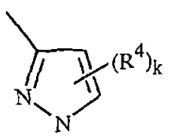

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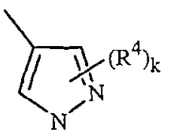

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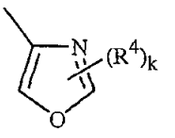

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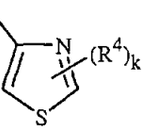

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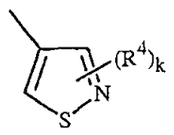

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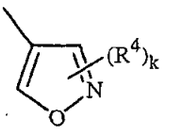

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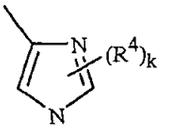

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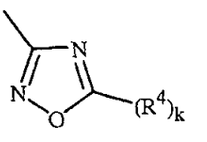

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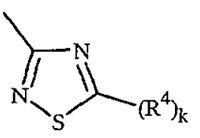

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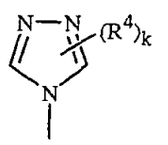

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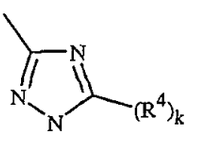

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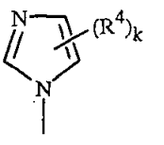

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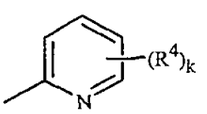

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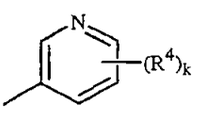

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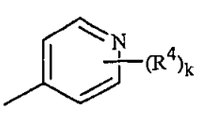

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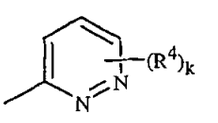

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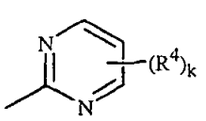

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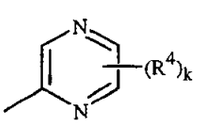

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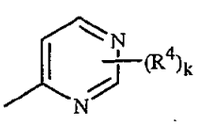

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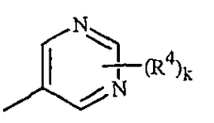

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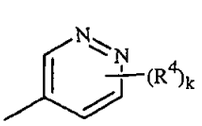

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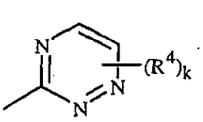

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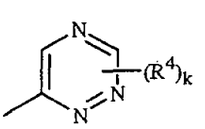

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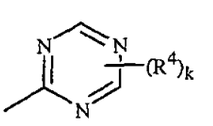

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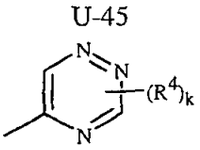

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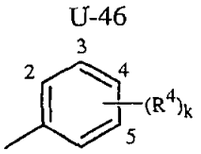

U-45 ,


U-46 ,


U-47 ,


U-48 ,


U-49 o


U-50 ;

en donde k es 0, 1 o 2;

con la condición que cuando U sea U-4, U-11 hasta U-15, U-24 hasta U-26, U-31 y U-35, y un radical R^4 esté unido a un átomo de nitrógeno del anillo, el radical R^4 es alquilo de 1 a 6 átomos de carbono, alquenilo de

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2 a 6 átomos de carbono, alquinilo de 2 a 6 átomos de carbono, cicloalquilo de 3 a 6 átomos de carbono, haloalquilo de 1 a 6 átomos de carbono, haloalquenilo de 2 a 6 átomos de carbono, haloalquinilo 2 a 6 átomos de carbono, halocicloalquilo de 3 a 6 átomos de carbono o alcoxialquilo de 2 a 4 átomos de carbono.

Modalidad 15. Un compuesto de la Modalidad 14 en donde R^1 se selecciona de U-1 hasta U-5, U-8, U-11, U-13, U-15, U-20 hasta U-28, U-31, U-36, U-37, U-38, U-39 y U-50.

Modalidad 16. Un compuesto de la Modalidad 15 en donde R^1 se selecciona de U-1 hasta U-3, U-5, U-8, U-13, U-20, U-22, U-23, U-25 hasta U-28, U-36 hasta U-39 y U-50.

Modalidad 17. Un compuesto de la Modalidad 16 en donde R^1 se selecciona de U-1 hasta U-3, U-13, U-20, U-22, U-23, U-36 hasta U-39 y U-50.

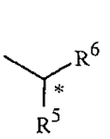
Modalidad 18. Un compuesto de la Modalidad 17 en donde R^1 es U-1 o U-50.

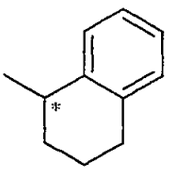
Modalidad 19. Un compuesto de la Modalidad 18 en donde R^1 es U-1.

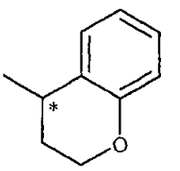
Modalidad 19a. Un compuesto de cualquiera de la Fórmula 1 y las Modalidades 18 y 19 donde X es X^1, X^2 o X^3, cada R^2 es independientemente alquilo de 1 a 3 átomos de carbono, G es un anillo heteroaromático de 5 miembros sustituido opcionalmente que contiene de 1 a 3 heteroátomos seleccionados de 0 a 1 O, de 0 a 1 S y de

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0 a 3 N, Q^a es CH_3, Q^b es un radical seleccionado de

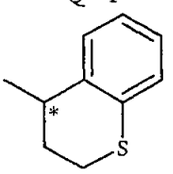


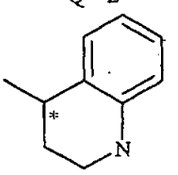
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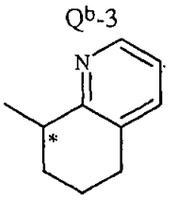
,


,

Q^b-1 Q^b-2 Q^b-3

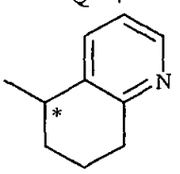


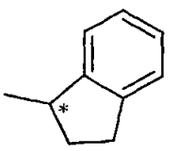
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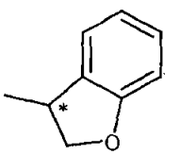
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Q^b-4 Q^b-5 Q^b-6

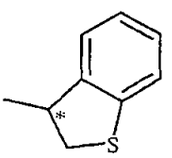


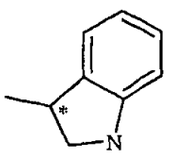
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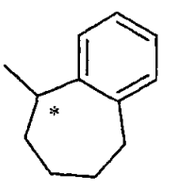
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Q^b-7 Q^b-8 Q^b-9

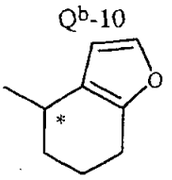


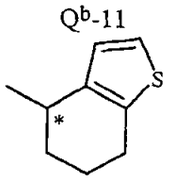
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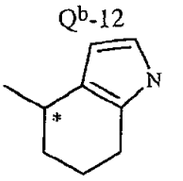
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Q^b-10 Q^b-11 Q^b-12



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y


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Q^b-13 Q^b-14 Q^b-15

en donde Q^b-2 hasta Q^b-15 son sustituidos opcionalmente excepto en el átomo de carbono identificado con un asterisco (*), R^5 es alquilo de 1 a 6 átomos de carbono, alquenilo de 2 a 6 átomos de carbono, alquinilo de 2 a 6 átomos de carbono, cicloalquilo de

3 a 6 átomos de carbono, haloalquilo de 1 a 6 átomos de carbono, haloalquenilo de 2 a 6 átomos de carbono, haloalquinilo de 2 a 6 átomos de carbono, halocicloalquilo de 3 a 6 átomos de carbono, ciano, nitro, alcoxialquilo de 2 a 4 átomos de carbono, hidroxialquilo de 1 a 4 átomos de carbono, alquilcarbonilo de 2 a 4 átomos de carbono, alcoxicarbonilo de 2 a 6 átomos de carbono, alquilaminocarbonilo de 2 a 6 átomos de carbono, dialquilaminocarbonilo de 3 a 8 átomos de carbono o trialquilsililo de 3 a 6 átomos de carbono y R^6 es fenilo sustituido opcionalmente, naftalenilo o un anillo heteroaromático de 5 o 6 miembros.

Modalidad 20. Un compuesto de la Modalidad 18 en donde R^1 es U-50.

Modalidad 21. Un compuesto de la Fórmula **1** en donde R^1 es fenilo o un anillo heteroaromático de 5 o 6 miembros, sustituido opcionalmente por 1 a 2 sustituyentes seleccionados independientemente de R^4 y cada R^4 es independientemente alquilo de 1 a 3 átomos de carbono, alquenilo de 2 a 3 átomos de carbono, alquinilo de 2 a 3 átomos de carbono, ciclopropilo, haloalquilo de 1 a 3 átomos de carbono, haloalquenilo de 2 a 3 átomos de carbono, haloalquinilo 2 a 3 átomos de carbono, halociclopropilo, halógeno, ciano, nitro, alcoxi de 1

a 2 átomos de carbono, haloalcoxi de 1 a 2 átomos de carbono, alquiltio de 1 a 2 átomos de carbono, haloalquiltio de 1 a 2 átomos de carbono, alcoxialquilo de 1 a 2 átomos de carbono, alquilcarbonilo de 2 a 3 átomos de carbono, alcoxicarbonilo de 2 a 3 átomos de carbono, alquilaminocarbonilo de 2 a 3 átomos de carbono o dialquilaminocarbonilo de 3 a 4 átomos de carbono.

Modalidad 22. Un compuesto de la Modalidad 21 en donde cada R^4 es independientemente alquilo de 1 a 3 átomos de carbono, alquenilo de 2 a 3 átomos de carbono, alquinilo de 2 a 3 átomos de carbono, ciclopropilo, haloalquilo de 1 a 3 átomos de carbono, haloalquenilo de 2 a 3 átomos de carbono, haloalquinilo de 2 a 3 átomos de carbono, halociclopropilo, halógeno, ciano, nitro, alcoxi de 1 a 2 átomos de carbono o haloalcoxi de 1 a 2 átomos de carbono.

Modalidad 23. Un compuesto de la Modalidad 22 en donde cada R^4 es independientemente halógeno, alquilo de 1 a 3 átomos de carbono, haloalquilo de 1 a 3 átomos de carbono, alcoxi de 1 a 2 átomos de carbono o haloalcoxi de 1 a 2 átomos de carbono.

Modalidad 24. Un compuesto de la Modalidad 23 en donde cada R^4 es independientemente halógeno, alquilo de 1 a 2 átomos de carbono, haloalquilo de 1 a 2 átomos de

carbono o alcoxi de 1 a 2 átomos de carbono.

Modalidad 25. Un compuesto de la Modalidad 24 en donde cada R^4 es independientemente Cl, Br, I, metilo, etilo, trifluorometilo o metoxi.

Modalidad 26. Un compuesto de la Modalidad 25 en donde al menos un radical R^4 es Cl.

Modalidad 27. Un compuesto de la Modalidad 25 en donde al menos un radical R^4 es Br.

Modalidad 28. Un compuesto de la Modalidad 25 en donde al menos un radical R^4 es metilo.

Modalidad 29. Un compuesto de la Modalidad 25 en donde al menos un radical R^4 es etilo.

Modalidad 30. Un compuesto de la Modalidad 25 en donde al menos un radical R^4 es trifluorometilo.

Modalidad 31. Un compuesto de la Modalidad 25 en donde al menos un radical R^4 es metoxi.

Modalidad 32. Un compuesto de la Modalidad 19 en donde cada R^4 está conectado independientemente a la posición 3 o 5 de U-1 (es decir k es 1 y R^4 está conectado a la posición 3 o 5 de U-1).

Modalidad 32a. Un compuesto de la Modalidad 19a en donde cada R^4 está conectado independientemente a la posición 3 o 5 de U-1 (es decir k es 1 y R^4 está conectado a la posición 3 o 5 de U-1); y cada R^4 es independientemente alquilo de 1 a 6 átomos de carbono, alquenilo de 2 a 6

átomos de carbono, alquinilo de 2 a 6 átomos de carbono, cicloalquilo de 3 a 6 átomos de carbono, haloalquilo de 1 a 6 átomos de carbono, haloalquenilo de 2 a 6 átomos de carbono, haloalquinilo de 2 a 6 átomos de carbono, halocicloalquilo de 3 a 6 átomos de carbono, halógeno, hidroxi, amino, ciano, nitro, alcoxi de 1 a 4 átomos de carbono, haloalcoxi de 1 a 4 átomos de carbono, alquiltio de 1 a 4 átomos de carbono, alquilsulfinilo de 1 a 4 átomos de carbono, alquilsulfonilo de 1 a 4 átomos de carbono, haloalquiltio de 1 a 4 átomos de carbono, haloalquilsulfinilo de 1 a 4 átomos de carbono, haloalquilsulfonilo de 1 a 4 átomos de carbono, alquilamino de 1 a 4 átomos de carbono, dialquilamino de 2 a 8 átomos de carbono, cicloalquilamino de 3 a 6 átomos de carbono, alcoxialquilo de 2 a 4 átomos de carbono, hidroxialquilo de 1 a 4 átomos de carbono, alquilcarbonilo de 2 a 4 átomos de carbono, alcoxicarbonilo de 2 a 6 átomos de carbono, alquilcarboniloxi de 2 a 6 átomos de carbono, alquilcarboniltio de 2 a 6 átomos de carbono, alquilaminocarbonilo de 2 a 6 átomos de carbono, dialquilaminocarbonilo de 3 a 8 átomos de carbono o trialquilsililo de 3 a 6 átomos de carbono.

Modalidad 33. Un compuesto de la Modalidad 19 en donde cada R^4 está conectado independientemente a la posición 3 y 5

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de U-1 (es decir k es 2 y un radical R^4 seleccionado independientemente está conectado a las posiciones 3 y 5 de U-1). Son importantes los compuestos de la Modalidad 33 los cuales corresponden a los compuestos importantes para la Modalidad 19 anterior donde cada R^4 es independientemente alquilo 1 a 6 átomos de carbono, alquenilo de 2 a 6 átomos de carbono, alquinilo de 2 a 6 átomos de carbono, cicloalquilo de 3 a 6 átomos de carbono, haloalquilo de 1 a 6 átomos de carbono, haloalquenilo de 2 a 6 átomos de carbono, haloalquinilo de 2 a 6 átomos de carbono, halocicloalquilo 3 a 6 átomos de carbono, halógeno, hidroxi, amino, ciano, nitro, alcoxi de 1 a 4 átomos de carbono, haloalcoxi de 1 a 4 átomos de carbono, alquiltio 1 a 4 átomos de carbono, alquilsulfinilo de 1 a 4 átomos de carbono, alquilsulfonilo de 1 a 4 átomos de carbono, haloalquiltio de 1 a 4 átomos de carbono, haloalquilsulfinilo de 1 a 4 átomos de carbono, haloalquilsulfonilo de 1 a 4 átomos de carbono, alquilamino de 1 a 4 átomos de carbono, dialquilamino de 2 a 8 átomos de carbono, cicloalquilamino de 3 a 6 átomos de carbono, alcoxialquilo de 2 a 4 átomos de carbono, hidroxialquilo de 1 a 4 átomos de carbono, alquilcarbonilo de 2 a 4 átomos de carbono, alcoxicarbonilo de 2 a 6 átomos de carbono,

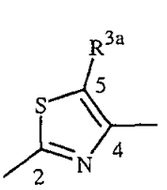
318

alquilcarboniloxi de 2 a 6 átomos de carbono, alquilcarboniltio de 2 a 6 átomos de carbono, alquilaminocarbonilo de 2 a 6 átomos de carbono, dialquilaminocarbonilo de 3 a 8 átomos de carbono o trialquilsililo de 3 a 6 átomos de carbono.

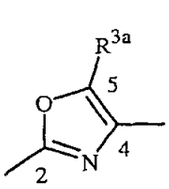
Modalidad 34. Un compuesto de la Modalidad 20 en donde cada R^4 está conectado independientemente a la posición 2 o 3 de U-50 (es decir k es 1 y R^4 está conectado a la posición 2 o 3 de U-50).

Modalidad 35. Un compuesto de la Modalidad 20 en donde cada R^4 está conectado independientemente a la posición 2 y 5 de U-50 (es decir k es 2 y un radical R^4 seleccionado independientemente está conectado a cada una de las posiciones 2 y 5 de U-50).

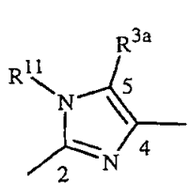
Modalidad 36. Un compuesto de la Fórmula **1** en donde G es uno de G-1 hasta G-55;



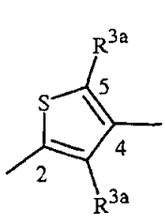
G-1 ,



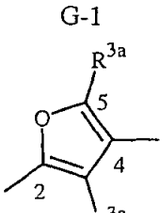
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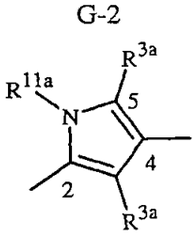
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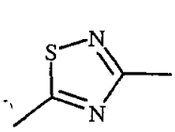
G-4 ,



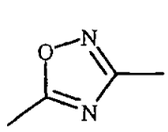
G-5 ,



G-6 ,

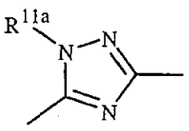


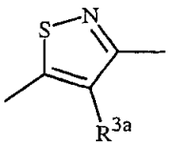
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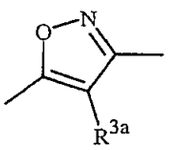


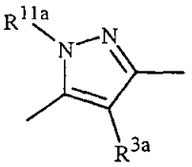
G-8 ,

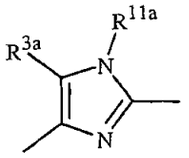
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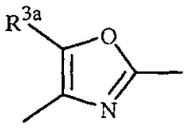

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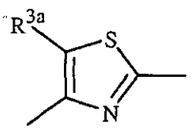

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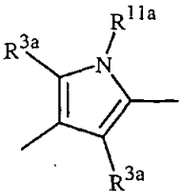

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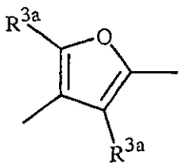

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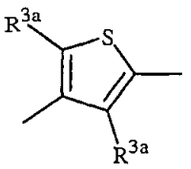

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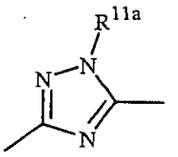

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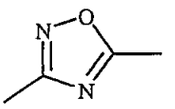

G-15

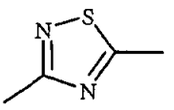

G-16

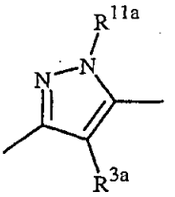

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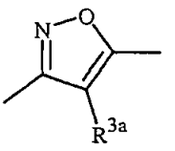

G-18

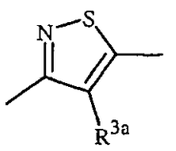

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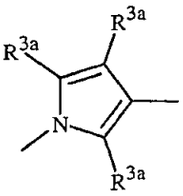

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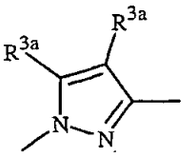

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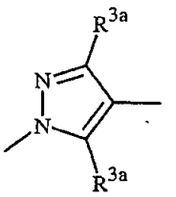

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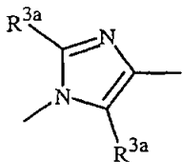

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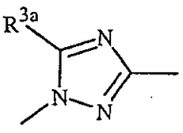

G-24

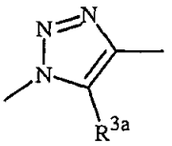

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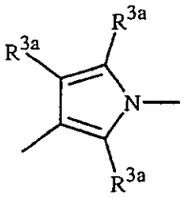

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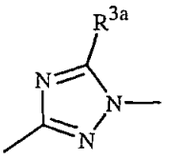

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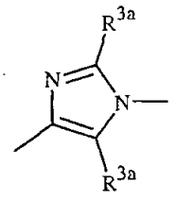

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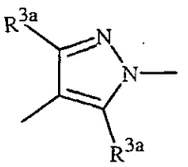

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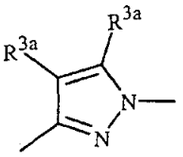

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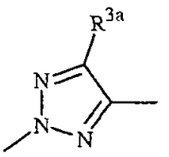

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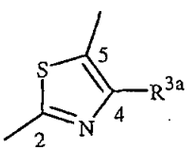

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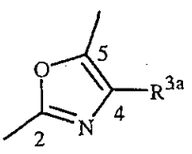

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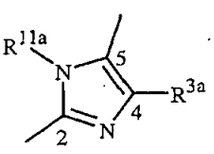

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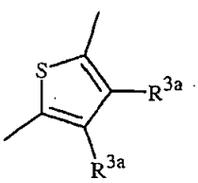

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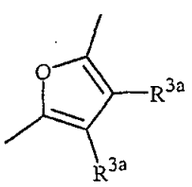

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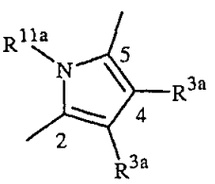

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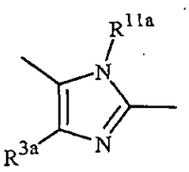

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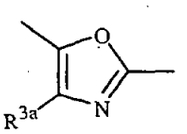

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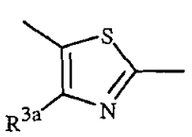

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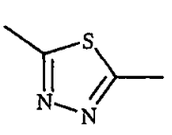

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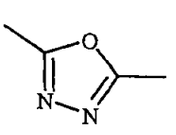

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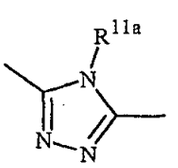

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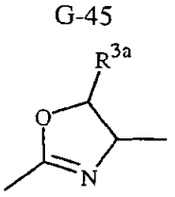

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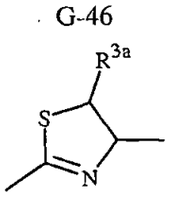

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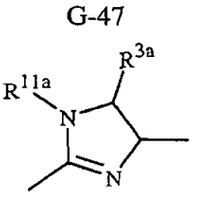

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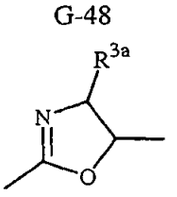

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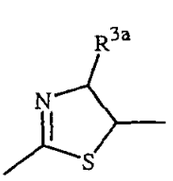

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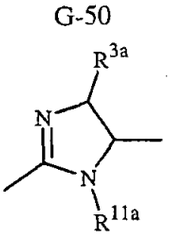

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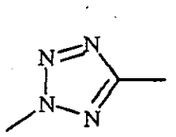

G-50


G-51


G-52


G-53


G-54


G-55

en donde cada R^3 es independientemente alquilo de 1 a 3 átomos de carbono, haloalquilo de 1 a 3 átomos de carbono o halógeno; cada R^{3a} se selecciona

independientemente de H o R^3; R^{11} es alquilo de 1 a 3 átomos de carbono; R^{11a} se selecciona de H o R^{11}; y el enlace que se proyecta a la izquierda está enlazado a X y el enlace que se proyecta a la derecha está enlazado a $C(=W^2)$.

Modalidad 37. Un compuesto de la Modalidad 36 en donde G se selecciona de G-1 hasta G-3, G-7, G-8, G-10, G-11, G-14, G-15, G-23, G-24, G-26 hasta G-28, G-30, G-36 hasta G-38 y G-49 hasta G-55.

Modalidad 38. Un compuesto de la Modalidad 37 en donde G se selecciona de G-1, G-2, G-7, G-8, G-14, G-15, G-23, G-24, G-26, G-27, G-36, G-37, G-38, G-49, G-50 y G-55.

Modalidad 39. Un compuesto de la Modalidad 38 en donde G se selecciona de G-1, G-2, G-15, G-26, G-27, G-36, G-37 y G-38.

Modalidad 40. Un compuesto de la Modalidad 39 en donde G se selecciona de G-1, G-2, G-15, G-26 y G-36.

Modalidad 41. Un compuesto de la Modalidad 40 en donde G es G-1. Son importantes las modalidades de aquellos compuestos dentro de las Modalidades 1 hasta 35, Modalidades 46 hasta 96, Modalidades A1 hasta A4 y Modalidades A6 hasta A13.

Modalidad 42. Un compuesto de la Modalidad 39 en donde G es G-2. Son importantes las modalidades de aquellos compuestos dentro de la Modalidades 1 hasta 35,

322

Modalidades 46 hasta 96, Modalidades A1 hasta A4 y Modalidades A6 hasta A13.

Modalidad 43. Un compuesto de la Modalidad 36 en donde G es G-15. Son importantes las modalidades de aquellos compuestos dentro de las Modalidades 1 hasta 35, Modalidades 46 hasta 96, Modalidades A1 hasta A4 y Modalidades A6 hasta A13.

Modalidad 44. Un compuesto de la Modalidad 36 en donde G es G-26. Son importantes las modalidades de aquellos compuestos dentro las Modalidades 1 hasta 35, Modalidades 46 hasta 96, Modalidades A1 hasta A4 y Modalidades A6 hasta A13.

Modalidad 45. Un compuesto de la Modalidad 36 en donde G es G-36. Son importantes las Modalidades de aquellos compuestos dentro de las Modalidades 1 hasta 35, Modalidades 46 hasta 96, Modalidades A1 hasta A4 y Modalidades A6 hasta A13.

Modalidad 46. Un compuesto de la Fórmula 1 en donde G es un anillo heteroaromático de 5 miembros o un anillo heterocíclico saturado o parcialmente saturado de 5 miembros, cada anillo es sustituido opcionalmente por hasta 2 sustituyentes seleccionados de R^3 en los miembros de anillo de carbono y se selecciona de R^{11} en los miembros de anillo de nitrógeno; cada R^{11} es independientemente alquilo de 1 a 3 átomos de carbono;

323

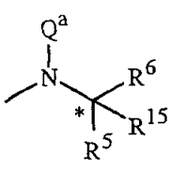
cada R^3 es independientemente alquilo de 1 a 3 átomos de carbono o halógeno.

Modalidad 47. Un compuesto de la Modalidad 46 en donde R^3 es metilo.

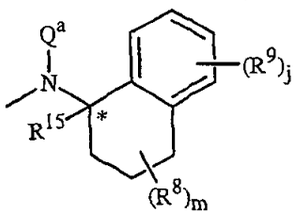
Modalidad 48. Un compuesto de cualquiera de las Modalidades 36 hasta 45 en donde G no es sustituido.

Modalidad 49. Un compuesto de la Modalidad 36 en donde R^{3a} es H y R^{11} es H o metilo.

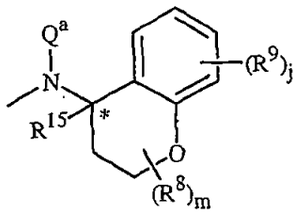
Modalidad 50. Un compuesto de la Fórmula 1, en donde Q se selecciona de Q-1 hasta Q-85;



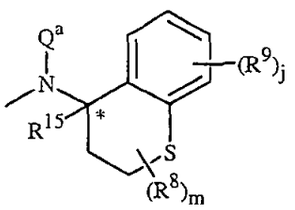
Q-1



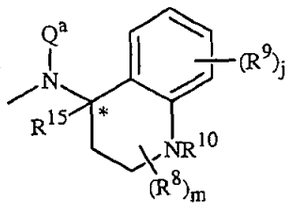
Q-2



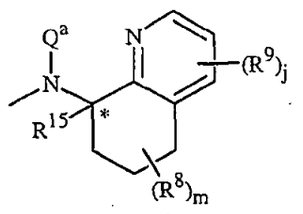
Q-3



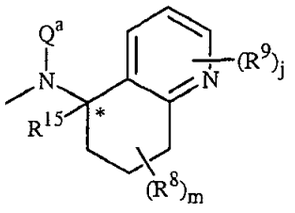
Q-4



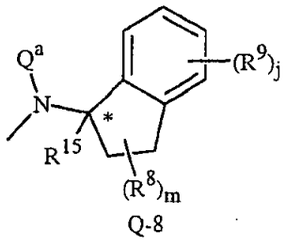
Q-5



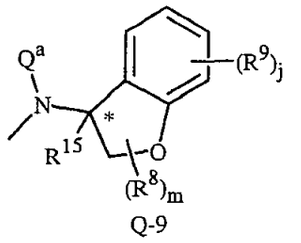
Q-6



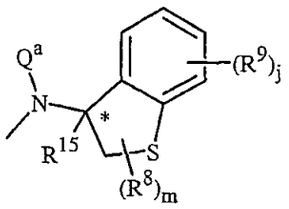
Q-7

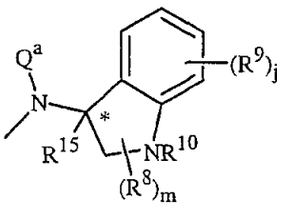


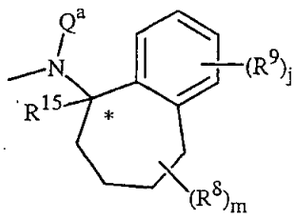
Q-8

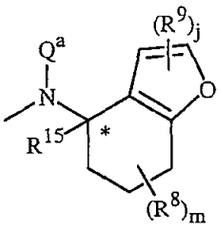


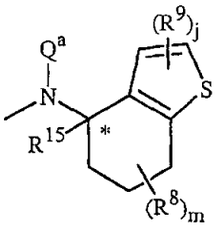
Q-9

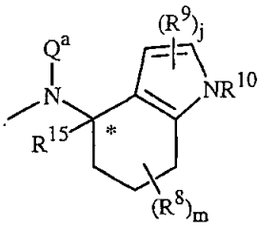

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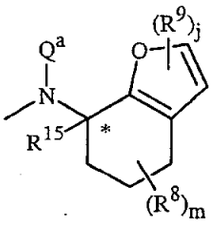

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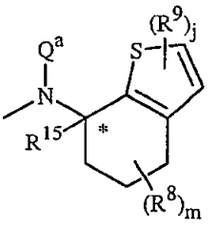

Q-12

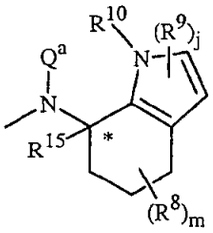

Q-13

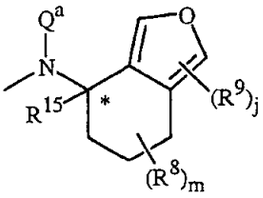

Q-14

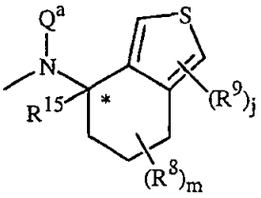

Q-15

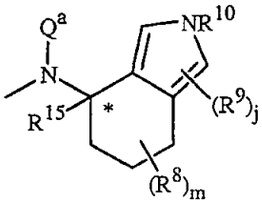

Q-16

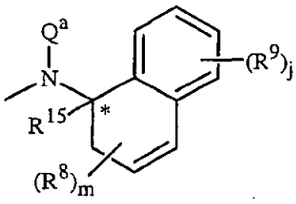

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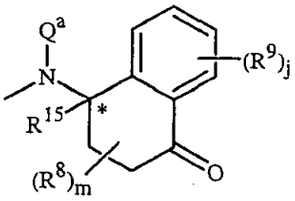

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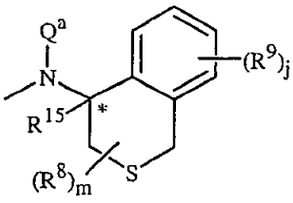

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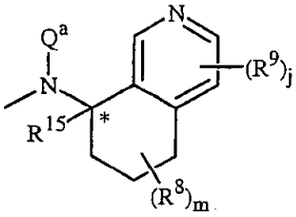

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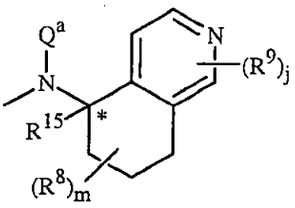

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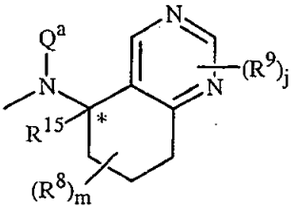

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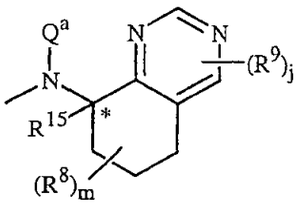

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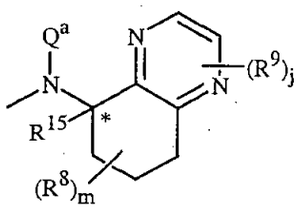

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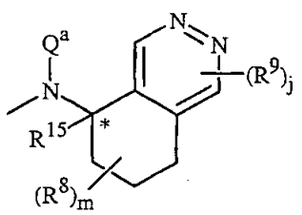

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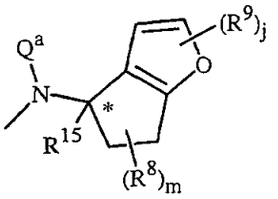

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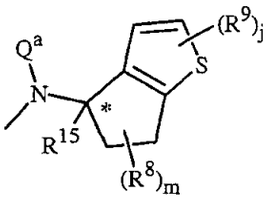

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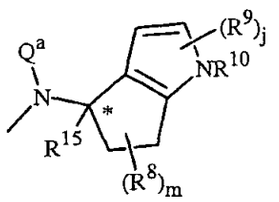

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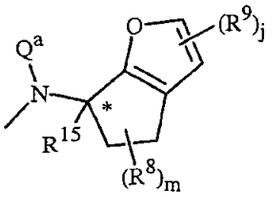

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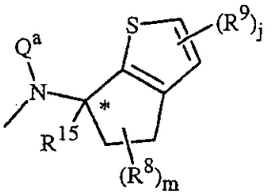

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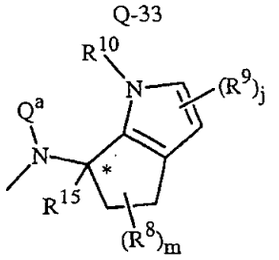

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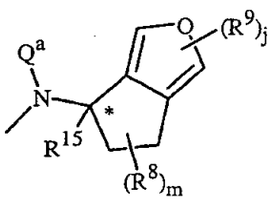

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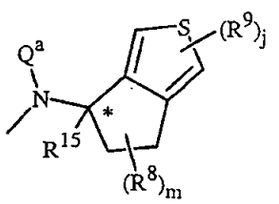

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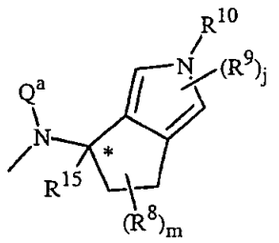

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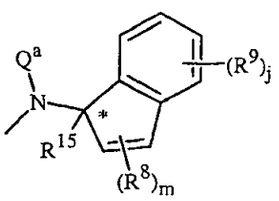

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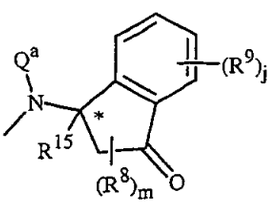

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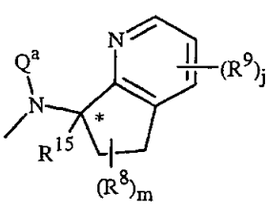

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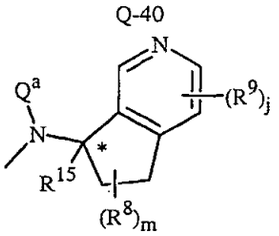

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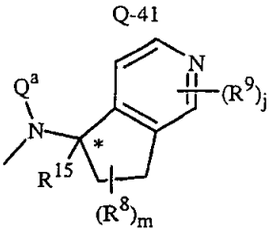

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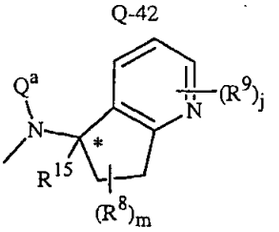

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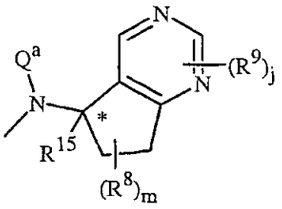

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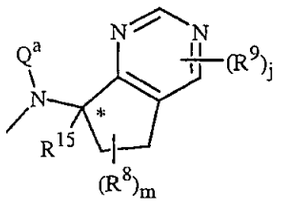

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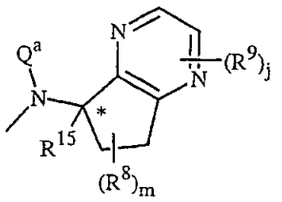

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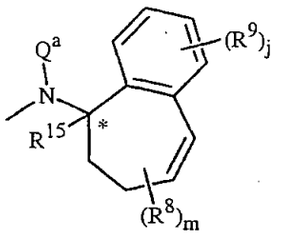

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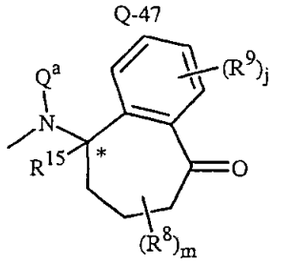

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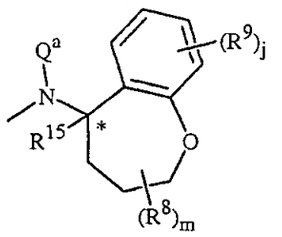

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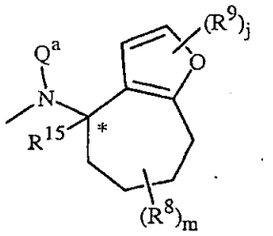

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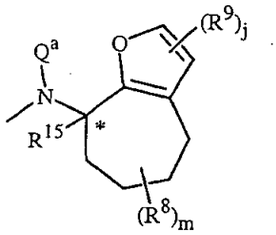

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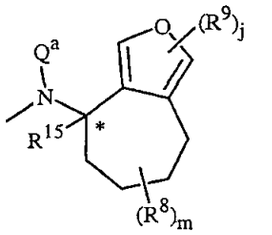

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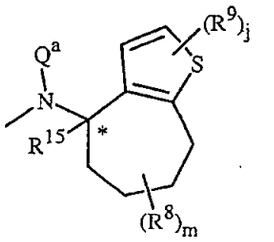

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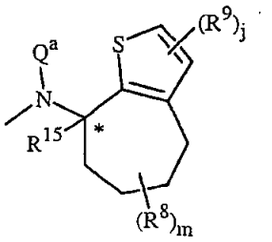

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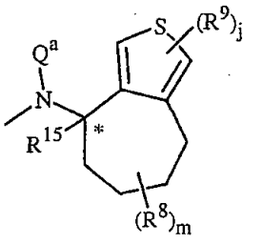

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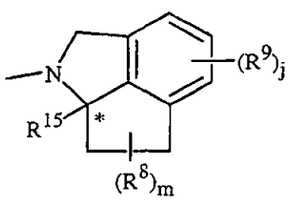

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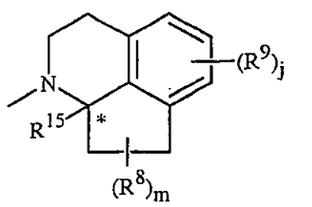

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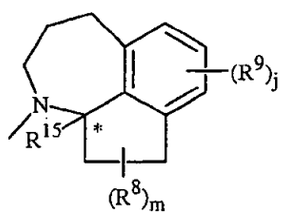

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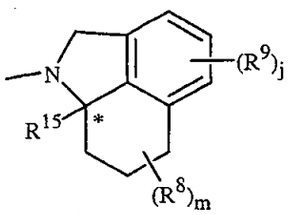

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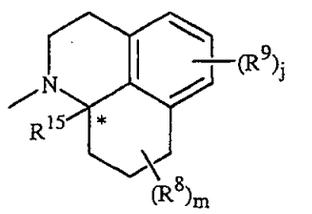

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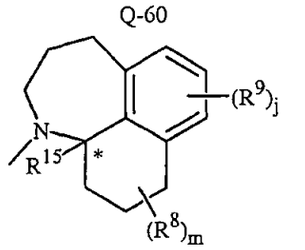

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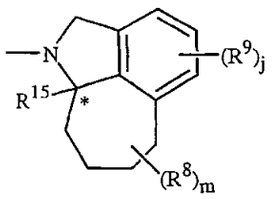

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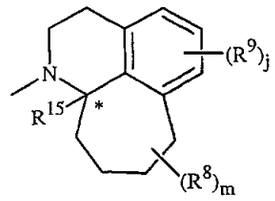

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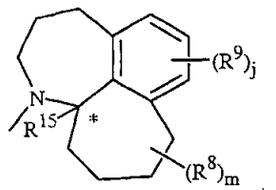

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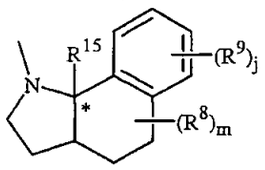

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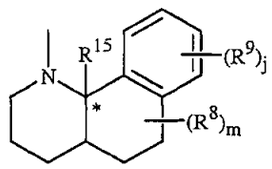

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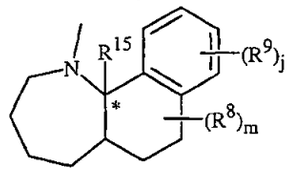

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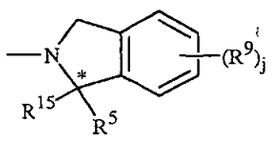

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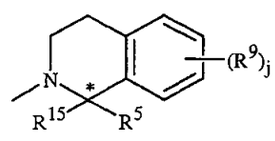

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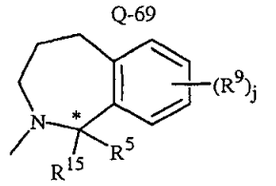

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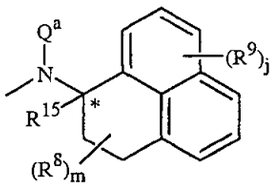

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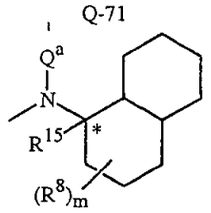

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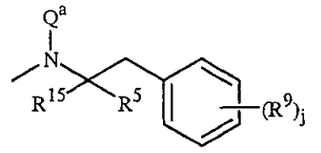

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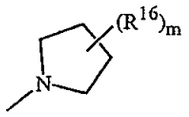

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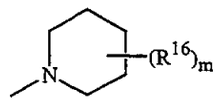

Q-72

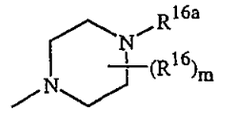

Q-73

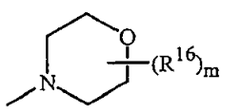

Q-74

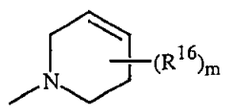

Q-75

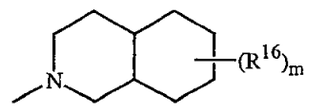

Q-76

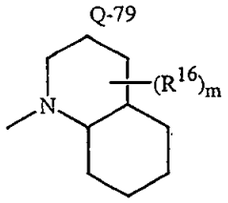

Q-77

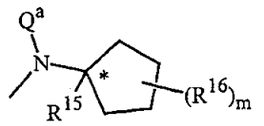

Q-78

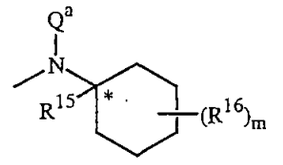

Q-79

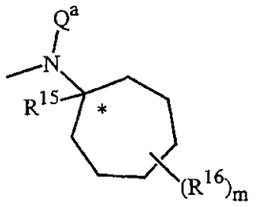

Q-80


Q-81


Q-82


Q-83


Q-84


Q-85

en donde un átomo de carbono identificado con el asterisco (*) contiene un estereocentro; R^{15} es como se describiera anteriormente y para Q-2 hasta Q-76, cada R^8 está unido independientemente a los átomos de carbono del anillo carbocíclico o anillo heterocíclico no aromático del grupo Q y cada R^9 está unido independientemente a los átomos de carbono de fenilo o un anillo heteroaromático del grupo Q;

cada R^8 es independientemente H, alquilo de 1 a 4 átomos de carbono, alquenilo de 2 a 4 átomos de carbono, alquinilo de 3 a 4 átomos de carbono, cicloalquilo de 3 a 6 átomos de carbono, haloalquilo de 1 a 4 átomos de carbono, haloalquenilo de 2 a 4 átomos de carbono, haloalquinilo de 2 a 4 átomos de carbono, halocicloalquilo de 3 a 6 átomos de carbono, halógeno, hidroxi, amino, ciano, nitro; alcoxi de 1 a 4 átomos de carbono, haloalcoxi de 1 a 4 átomos de carbono, alquiltio de 1 a 4 átomos de carbono, alquilsulfinilo de 1 a 4 átomos de carbono, alquilsulfonilo de 1 a 4 átomos de carbono, haloalquiltio de 1 a 4 átomos de carbono, haloalquilsulfinilo de 1 a 4 átomos de carbono, haloalquilsulfonilo de 1 a 4 átomos de carbono, alquilamino de 1 a 4 átomos de carbono, dialquilamino de 2 a 6 átomos de carbono, cicloalquilamino de 3 a 6 átomos de carbono, alcoxialquilo de 2 a 4 átomos de carbono, hidroxialquilo de 1 a 4 átomos de carbono,

alquilcarbonilo de 2 a 4 átomos de carbono, alcoxicarbonilo de 2 a 4 átomos de carbono, alquilcarboniloxi de 2 a 4 átomos de carbono, alquilcarboniltio de 2 a 4 átomos de carbono, alquilaminocarbonilo de 2 a 4 átomos de carbono, alquilaminocarboniloxi de 2 a 4 átomos de carbono, dialquilaminocarbonilo de 3 a 6 átomos de carbono o trialquilsililo de 3 a 6 átomos de carbono;

cada R^9 es independientemente alquilo de 1 a 6 átomos de carbono, alquenilo de 2 a 6 átomos de carbono, alquinilo de 3 a 6 átomos de carbono, cicloalquilo de 3 a 6 átomos de carbono, haloalquilo de 1 a 6 átomos de carbono, haloalquenilo de 2 a 6 átomos de carbono, haloalquinilo de 2 a 6 átomos de carbono, halocicloalquilo de 3 a 6 átomos de carbono, halógeno, hidroxi, amino, ciano, nitro, alcoxi de 1 a 4 átomos de carbono, haloalcoxi de 1 a 4 átomos de carbono, alquiltio de 1 a 4 átomos de carbono, alquilsulfinilo de 1 a 4 átomos de carbono, alquilsulfonilo de 1 a 4 átomos de carbono, haloalquiltio de 1 a 4 átomos de carbono, haloalquilsulfinilo de 1 a 4 átomos de carbono, haloalquilsulfonilo de 1 a 4 átomos de carbono, alquilamino de 1 a 4 átomos de carbono, dialquilamino de 2 a 8 átomos de carbono, cicloalquilamino de 3 a 6 átomos de carbono, alcoxialquilo de 2 a 4 átomos de

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carbono, hidroxialquilo de 1 a 4 átomos de carbono, alquilcarbonilo de 2 a 4 átomos de carbono, alcoxicarbonilo de 2 a 6 átomos de carbono, alquilcarboniloxi de 2 a 6 átomos de carbono, alquilcarboniltio de 2 a 6 átomos de carbono, alquilaminocarbonilo de 2 a 6 átomos de carbono, dialquilaminocarbonilo de 3 a 8 átomos de carbono o trialquilsililo de 3 a 6 átomos de carbono;

R^{10} es H o alquilo de 1 a 3 átomos de carbono;

m es 0, 1 o 2;

j es 0, 1 o 2;

cada R^{16} es independientemente alquilo de 1 a 6 átomos de carbono, alquenilo de 2 a 6 átomos de carbono, alquinilo de 2 a 6 átomos de carbono, cicloalquilo de 3 a 6 átomos de carbono, cicloalquilalquilo de 4 a 10 átomos de carbono, alquilcicloalquilo de 4 a 10 átomos de carbono, alquilcicloalquilalquilo de 5 a 10 átomos de carbono, haloalquilo de 1 a 6 átomos de carbono, haloalquenilo de 2 a 6 átomos de carbono, haloalquinilo de 2 a 6 átomos de carbono, halocicloalquilo de 3 a 6 átomos de carbono, halógeno, hidroxi, amino, ciano, nitro, alcoxi de 1 a 4 átomos de carbono, haloalcoxi de 1 a 4 átomos de carbono, alquiltio de 1 a 4 átomos de carbono, alquilsulfinilo de 1 a 4 átomos de carbono, alquilsulfonilo de 1 a 4

átomos de carbono, haloalquiltio de 1 a 4 átomos de carbono, haloalquilsulfinilo de 1 a 4 átomos de carbono, haloalquilsulfonilo de 1 a 4 átomos de carbono, alquilamino de 1 a 4 átomos de carbono, dialquilamino de 2 a 8 átomos de carbono, cicloalquilamino de 3 a 6 átomos de carbono, alcoxialquilo de 2 a 4 átomos de carbono, hidroxialquilo de 1 a 4 átomos de carbono, alquilcarbonilo de 2 a 4 átomos de carbono, alcoxicarbonilo de 2 a 6 átomos de carbono, alquilcarboniloxi de 2 a 6 átomos de carbono, alquilcarboniltio de 2 a 6 átomos de carbono, alquilaminocarbonilo de 2 a 6 átomos de carbono, dialquilaminocarbonilo de 3 a 8 átomos de carbono o trialquilsililo de 3 a 6 átomos de carbono; o un anillo de fenilo o bencilo, sustituido opcionalmente por hasta 3 sustituyentes seleccionados de R^{13}; o

dos radicales R^{16} unidos a los átomos de carbono adyacentes del anillo se toman juntos como $-(CH_2)_3-$ o $-(CH_2)_4-$ sustituido opcionalmente por 1-3 sustituyentes seleccionados de alquilo de 1 a 4 átomos de carbono, haloalquilo de 1 a 4 átomos de carbono, alcoxi de 1 a 4 átomos de carbono, haloalcoxi de 1 a 4 átomos de carbono, halógeno, hidroxi, amino, ciano y nitro;

R^{16a} es H, alquilo de 1 a 6 átomos de carbono, alquenilo

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de 3 a 6 átomos de carbono, alquinilo de 3 a 6 átomos de carbono, cicloalquilo de 3 a 6 átomos de carbono, cicloalquilalquilo de 4 a 10 átomos de carbono, alquilcicloalquilo de 4 a 10 átomos de carbono, alquilcicloalquilalquilo de 5 a 10 átomos de carbono, haloalquilo de 1 a 6 átomos de carbono, haloalquenilo de 3 a 6 átomos de carbono, haloalquinilo de 3 a 6 átomos de carbono, halocicloalquilo de 3 a 6 átomos de carbono, alcoxi de 1 a 4 átomos de carbono, haloalcoxi 1 a 4 átomos de carbono, alquilsulfonilo 1 a 4 átomos de carbono, haloalquilsulfonilo 1 a 4 átomos de carbono, amino, alquilamino de 1 a 4 átomos de carbono, dialquilamino de 2 a 8 átomos de carbono, cicloalquilamino 3 a 6 átomos de carbono, alcoxialquilo de 2 a 4 átomos de carbono, hidroxialquilo 1 a 4 átomos de carbono, alquilcarbonilo de 2 a 4 átomos de carbono, alcoxicarbonilo de 2 a 6 átomos de carbono, alquilaminocarbonilo de 2 a 6 átomos de carbono o dialquilaminocarbonilo de 3 a 8 átomos de carbono; o un anillo de fenilo o bencilo, sustituido opcionalmente por hasta 3 sustituyentes seleccionados de R^{13};

cada R^{13} es independientemente alquilo de 1 a 6 átomos de carbono, alquenilo de 2 a 6 átomos de carbono,

alquinilo de 2 a 6 átomos de carbono, cicloalquilo 3 a 6 átomos de carbono, cicloalquilalquilo de 4 a 10 átomos de carbono, alquilcicloalquilo de 4 a 10 átomos de carbono, alquilcicloalquilalquilo de 5 a 10 átomos de carbono, haloalquilo de 1 a 6 átomos de carbono, haloalquenilo de 2 a 6 átomos de carbono, haloalquinilo de 2 a 6 átomos de carbono, halocicloalquilo de 3 a 6 átomos de carbono, halógeno, hidroxi, amino, ciano, nitro, alcoxi de 1 a 4 átomos de carbono, haloalcoxi de 1 a 4 átomos de carbono, alquiltio de 1 a 4 átomos de carbono, alquilsulfinilo de 1 a 4 átomos de carbono, alquilsulfonilo de 1 a 4 átomos de carbono, haloalquiltio de 1 a 4 átomos de carbono, haloalquilsulfinilo de 1 a 4 átomos de carbono, haloalquilsulfonilo de 1 a 4 átomos de carbono, alquilamino de 1 a 4 átomos de carbono, dialquilamino de 2 a 8 átomos de carbono, cicloalquilamino de 3 a 6 átomos de carbono, alcoxialquilo de 2 a 4 átomos de carbono, hidroxialquilo de 1 a 4 átomos de carbono, alquilcarbonilo de 2 a 4 átomos de carbono, alcoxicarbonilo de 2 a 6 átomos de carbono, alquilcarboniloxi de 2 a 6 átomos de carbono, alquilcarboniltio de 2 a 6 átomos de carbono, alquilaminocarbonilo de 2 a 6 átomos de carbono,

dialquilaminocarbonilo de 3 a 8 átomos de carbono o trialquilsililo de 3 a 6 átomos de carbono;

R^6 es fenilo, bencilo, naftalenilo, cicloalquilo de 3 a 6 átomos de carbono, cicloalquenilo de 3 a 6 átomos de carbono o un anillo heteroaromático de 5 o 6 miembros, cada uno sustituido opcionalmente por 1 a 3 sustituyentes seleccionados de R^7 en los miembros de anillo de carbono y R^{12} en los miembros de anillo de nitrógeno;

cada R^7 es independientemente alquilo de 1 a 6 átomos de carbono, alquenilo de 2 a 6 átomos de carbono, alquinilo de 2 a 6 átomos de carbono, cicloalquilo de 3 a 6 átomos de carbono, cicloalquilalquilo de 4 a 10 átomos de carbono, alquilcicloalquilo de 4 a 10 átomos de carbono, alquilcicloalquilalquilo de 5 a 10 átomos de carbono, haloalquilo de 1 a 6 átomos de carbono, haloalquenilo de 2 a 6 átomos de carbono, haloalquinilo de 2 a 6 átomos de carbono, halocicloalquilo de 3 a 6 átomos de carbono, halógeno, hidroxi, amino, ciano, nitro, alcoxi de 1 a 4 átomos de carbono, haloalcoxi de 1 a 4 átomos de carbono, alquiltio de 1 a 4 átomos de carbono, alquilsulfinilo de 1 a 4 átomos de carbono, alquilsulfonilo de 1 a 4 átomos de carbono, haloalquiltio de 1 a 4 átomos de carbono, haloalquilsulfinilo de 1 a 4 átomos de

carbono, haloalquilsulfonilo de 1 a 4 átomos de carbono, alquilamino de 1 a 4 átomos de carbono, dialquilamino de 2 a 8 átomos de carbono, cicloalquilamino de 3 a 6 átomos de carbono, alcoxialquilo de 2 a 4 átomos de carbono, hidroxialquilo de 1 a 4 átomos de carbono, alquilcarbonilo de 2 a 4 átomos de carbono, alcoxicarbonilo de 2 a 6 átomos de carbono, alquilcarboniloxi de 2 a 6 átomos de carbono, alquilcarboniltio de 2 a 6 átomos de carbono, alquilaminocarbonilo de 2 a 6 átomos de carbono, dialquilaminocarbonilo de 3 a 8 átomos de carbono o trialquilsililo de 3 a 6 átomos de carbono; y

R^{12} es H o alquilo de 1 a 3 átomos de carbono.

Modalidad 51. Un compuesto de la Fórmula 1, en donde Q se selecciona de Q-1 hasta Q-4, Q-8 hasta Q-10, Q-12, Q-14, Q-22 hasta Q-24, Q-40, Q-41, Q-59, Q-62, Q-74 y Q-84.

Modalidad 52. Un compuesto de la Modalidad 51 en donde Q es Q-1, Q-2, Q-8, Q-14, Q-23, Q-41, Q-59 y Q-62.

Modalidad 53. Un compuesto de la Modalidad 52 en donde Q es Q-1, Q-2, Q-8, Q-23 y Q-41.

Modalidad 54. Un compuesto de la Modalidad 53 en donde Q es Q-1.

Modalidad 55. Un compuesto de la Modalidad 53 en donde Q

es Q-2.

Modalidad 56. Un compuesto de la Modalidad 53 en donde Q es Q-8.

Modalidad 57. Un compuesto de la Modalidad 53 en donde Q es Q-23.

Modalidad 58. Un compuesto de la Modalidad 53 en donde Q es Q-41.

Modalidad 59. Un compuesto de la Fórmula **1**, en donde R^5 es alquilo de 1 a 4 átomos de carbono, alquenilo de 2 a 4 átomos de carbono, alquinilo de 2 a 4 átomos de carbono, cicloalquilo de 3 a 4 átomos de carbono, haloalquilo de 1 a 4 átomos de carbono, haloalquenilo de 2 a 4 átomos de carbono, haloalquinilo de 2 a 4 átomos de carbono, halocicloalquilo de 3 a 4 átomos de carbono, ciano, nitro, alcoxialquilo de 2 a 4 átomos de carbono, alcoxicarbonilo de 2 a 6 átomos de carbono, alquilaminocarbonilo de 2 a 6 átomos de carbono o dialquilaminocarbonilo de 3 a 8 átomos de carbono.

Modalidad 60. Un compuesto de la Modalidad 59 en donde R^5 es alquilo de 1 a 4 átomos de carbono, alquenilo de 2 a 4 átomos de carbono, alquinilo de 2 a 4 átomos de carbono, cicloalquilo de 3 a 4 átomos de carbono, haloalquilo de 1 a 4 átomos de carbono, haloalquenilo de 2 a 4 átomos de carbono, haloalquinilo 2 a 4 átomos

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de carbono, halocicloalquilo de 3 a 4 átomos de carbono, ciano o alcoxialquilo de 2 a 4 átomos de carbono.

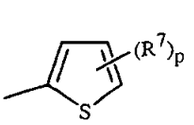
Modalidad 61. Un compuesto de la Modalidad 60 en donde R^5 es alquilo de 1 a 4 átomos de carbono, haloalquilo de 1 a 4 átomos de carbono, alquenilo de 2 a 4 átomos de carbono, haloalquenilo de 2 a 4 átomos de carbono o ciano.

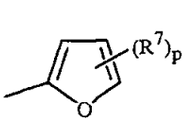
Modalidad 62. Un compuesto de la Modalidad 61 en donde R^5 es alquilo de 1 a 4 átomos de carbono, alquenilo de 2 a 4 átomos de carbono o ciano.

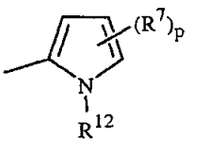
Modalidad 63. Un compuesto de la Modalidad 62 en donde R^5 es alquilo de 1 a 3 átomos de carbono.

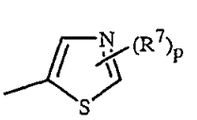
Modalidad 64. Un compuesto de la Modalidad 63 en donde R^5 es etilo.

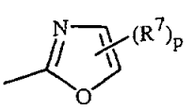
Modalidad 65. Un compuesto de la Modalidad 50 en donde R^6 es uno de H-1 hasta H-46;

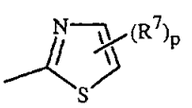

H-1

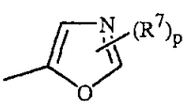

H-2

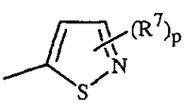

H-3

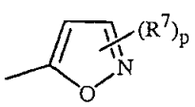
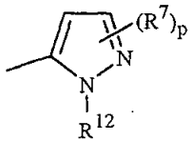
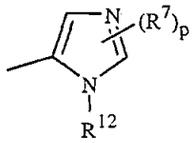
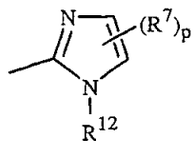

H-4

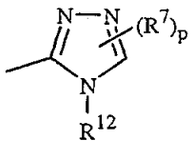
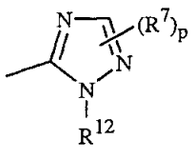
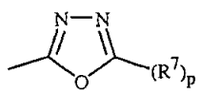
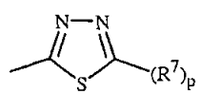

H-5

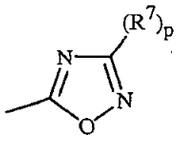
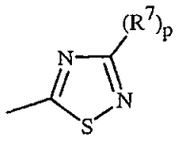
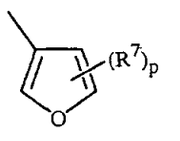
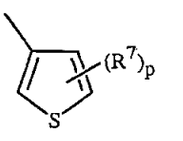

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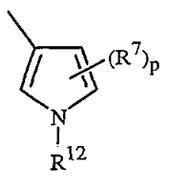
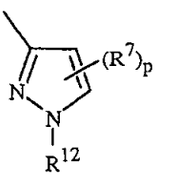
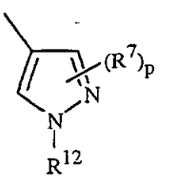
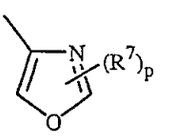

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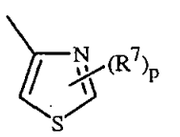
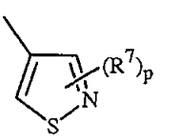
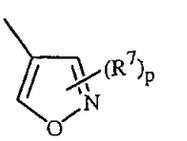
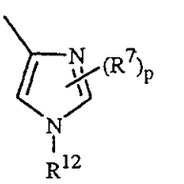

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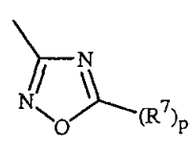
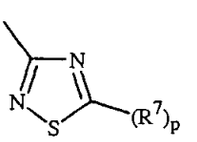
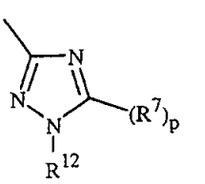
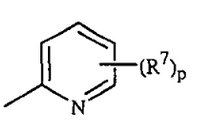

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H-10 ,

H-11 ,

H-12 ,

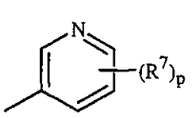
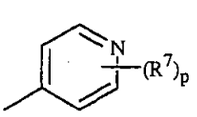
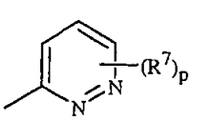
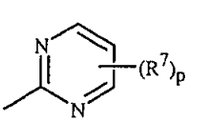

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H-16 ,

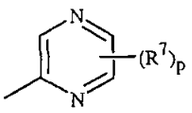

H-17 ,

H-18 ,

H-19 ,

H-20 ,

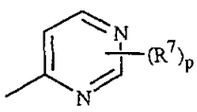

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H-23 ,

H-24 ,

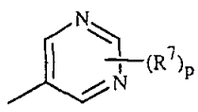

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H-27 ,

H-28 ,

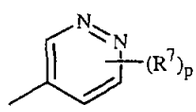

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H-31 ,

H-32 ,

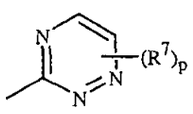

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H-34 ,

H-35 ,

H-36 ,

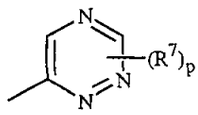

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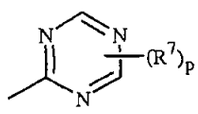

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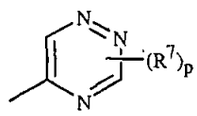

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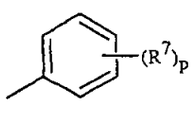

H-40


H-41

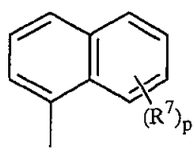

H-42


H-43


H-44


H-45

o


H-46

;

en donde cada R^7 es independientemente alquilo de 1 a 6 átomos de carbono, alquenilo de 2 a 6 átomos de carbono, alquinilo de 2 a 6 átomos de carbono, cicloalquilo de 3 a 6 átomos de carbono, cicloalquilalquilo de 4 a 10 átomos de carbono, alquilcicloalquilo de 4 a 10 átomos de carbono, alquilcicloalquilalquilo de 5 a 10 átomos de carbono, haloalquilo de 1 a 6 átomos de carbono, haloalquenilo de 2 a 6 átomos de carbono, haloalquinilo de 2 a 6 átomos de carbono, halocicloalquilo de 3 a 6 átomos de carbono, halógeno, hidroxi, amino, ciano, nitro, alcoxi de 1 a 4 átomos de carbono, haloalcoxi de 1 a 4 átomos de carbono, alquiltio de 1 a 4 átomos de carbono, alquilsulfinilo de 1 a 4 átomos de carbono, alquilsulfonilo de 1 a 4 átomos de carbono,

haloalquiltio de 1 a 4 átomos de carbono, haloalquilsulfinilo de 1 a 4 átomos de carbono, haloalquilsulfonilo de 1 a 4 átomos de carbono, alquilamino de 1 a 4 átomos de carbono, dialquilamino 2 a 8 átomos de carbono, cicloalquilamino de 3 a 6 átomos de carbono, alcoxialquilo de 2 a 4 átomos de carbono, hidroxialquilo de 1 a 4 átomos de carbono, alquilcarbonilo de 2 a 4 átomos de carbono, alcoxicarbonilo de 2 a 6 átomos de carbono, alquilcarboniloxi de 2 a 6 átomos de carbono, alquilcarboniltio de 2 a 6 átomos de carbono, alquilaminocarbonilo de 2 a 6 átomos de carbono, dialquilaminocarbonilo de 3 a 8 átomos de carbono o trialquilsililo de 3 a 6 átomos de carbono; y p es 0, 1 o 2.

Modalidad 66. Un compuesto de la Modalidad 65 en donde R^6 es H-1, H-20, H-32, H-45 o H-46.

Modalidad 67. Un compuesto de la Modalidad 66 en donde R^6 es H-1 o H-45.

Modalidad 68. Un compuesto de la Modalidad 67 en donde R^6 es H-45.

Modalidad 69. Un compuesto de la Fórmula **1**, en donde Q^b es $CR^5R^6R^{15}$; R^6 es fenilo, bencilo, naftalenilo, cicloalquilo de 3 a 6 átomos de carbono, cicloalquenilo de 3 a 6 átomos de carbono o un anillo

heteroaromático de 5 o 6 miembros, cada uno sustituido opcionalmente por 1 a 3 sustituyentes seleccionados de R^7 en los miembros de anillo de carbono y R^{12} en los miembros de anillo de nitrógeno; cada R^7 es independientemente alquilo de 1 a 3 átomos de carbono, alquenilo de 2 a 3 átomos de carbono, alquinilo de 2 a 3 átomos de carbono, ciclopropilo, haloalquilo de 1 a 3 átomos de carbono, haloalquenilo de 2 a 3 átomos de carbono, haloalquinilo de 2 a 3 átomos de carbono, halociclopropilo, halógeno, ciano, nitro, hidroxi, alcoxi de 1 a 2 átomos de carbono, haloalcoxi de 1 a 2 átomos de carbono, alquiltio de 1 a 2 átomos de carbono, haloalquiltio de 1 a 2 átomos de carbono, alcoxialquilo de 2 a 3 átomos de carbono, alquilcarbonilo de 2 a 3 átomos de carbono, alquilcarboniloxi de 2 a 3 átomos de carbono, alcoxicarbonilo de 2 a 3 átomos de carbono, alquilaminocarbonilo de 2 a 3 átomos de carbono o dialquilaminocarbonilo de 3 a 4 átomos de carbono; y R^{12} es alquilo de 1 a 3 átomos de carbono.

Modalidad 70. Un compuesto de la Modalidad 69 en donde cada R^7 es independientemente alquilo de 1 a 3 átomos de carbono, alquenilo de 2 a 3 átomos de carbono, alquinilo de 2 a 3 átomos de carbono, ciclopropilo, haloalquilo de 1 a 3 átomos de carbono, haloalquenilo

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de 2 a 3 átomos de carbono, haloalquinilo de 2 a 3 átomos de carbono, halociclopropilo, halógeno, ciano, nitro, hidroxi, alcoxi de 1 a 2 átomos de carbono o haloalcoxi de 1 a 2 átomos de carbono.

Modalidad 71. Un compuesto de la Modalidad 70 en donde cada R^7 es independientemente halógeno, alquilo de 1 a 3 átomos de carbono, haloalquilo de 1 a 3 átomos de carbono, hidroxi, alcoxi de 1 a 2 átomos de carbono o haloalcoxi de 1 a 2 átomos de carbono.

Modalidad 72. Un compuesto de la Modalidad 71 en donde cada R^7 es independientemente halógeno, hidroxi, alcoxi de 1 a 2 átomos de carbono o alquilo de 1 a 3 átomos de carbono.

Modalidad 73. Un compuesto de la Modalidad 72 en donde cada R^7 es independientemente F, Cl, Br, hidroxi, metoxi o metilo.

Modalidad 74. Un compuesto de la Modalidad 65 en donde p es 0.

Modalidad 75. Un compuesto de la Modalidad 65 en donde R^{12} es H o alquilo de 1 a 2 átomos de carbono.

Modalidad 76. Un compuesto de la Modalidad 75 en donde R^{12} es metilo.

Modalidad 77. Un compuesto de la Fórmula **1** en donde R^{15} es H, alquilo de 1 a 4 átomos de carbono, alquenilo de 2 a 4 átomos de carbono, alquinilo de 2 a 4 átomos de

carbono, cicloalquilo de 3 a 4 átomos de carbono o haloalquilo de 1 a 4 átomos de carbono.

Modalidad 78. Un compuesto de la Modalidad 77 en donde R^{15} es H o alquilo de 1 a 3 átomos de carbono.

Modalidad 79. Un compuesto de la Modalidad 78 en donde R^{15} es H.

Modalidad 80. Un compuesto de la Fórmula 1 en donde Q^a es H o alquilo de 1 a 3 átomos de carbono.

Modalidad 81. Un compuesto de la Modalidad 80 en donde Q^a es H o metilo.

Modalidad 82. Un compuesto de la Modalidad 81 en donde Q^a es metilo.

Modalidad 83. Un compuesto de la Modalidad 50 en donde cada R^8 es independientemente H, alquilo de 1 a 4 átomos de carbono, alquenilo de 2 a 4 átomos de carbono, alquinilo de 3 a 4 átomos de carbono, cicloalquilo de 3 a 6 átomos de carbono, haloalquilo de 1 a 4 átomos de carbono, haloalquenilo de 2 a 4 átomos de carbono, haloalquinilo de 2 a 4 átomos de carbono, halocicloalquilo de 3 a 6 átomos de carbono, halógeno, hidroxi, amino, ciano, nitro, alcoxi de 1 a 4 átomos de carbono o alquilcarboniloxi de 2 a 4 átomos de carbono.

Modalidad 84. Un compuesto de la Modalidad 83 en donde cada R^8 es independientemente H, alquilo de 1 a 4

átomos de carbono, alquenilo de 2 a 4 átomos de carbono, alquinilo de 3 a 4 átomos de carbono, cicloalquilo de 3 a 6 átomos de carbono, haloalquilo de 1 a 4 átomos de carbono, halocicloalquilo de 3 a 6 átomos de carbono, halógeno, hidroxi, amino, ciano, nitro, alcoxi de 1 a 4 átomos de carbono o alquilcarboniloxi de 2 a 4 átomos de carbono.

Modalidad 85. Un compuesto de la Modalidad 84 en donde cada R^8 es independientemente H, alquilo de 1 a 3 átomos de carbono, hidroxi, alcoxi de 1 a 3 átomos de carbono o alquilcarboniloxi de 2 a 3 átomos de carbono.

Modalidad 86. Un compuesto de la Modalidad 85 en donde R^6 es H, metilo, metoxi o hidroxi.

Modalidad 87. Un compuesto de la Modalidad 50 en donde m es 0 o 1.

Modalidad 88. Un compuesto de la Modalidad 87 en donde m es 0.

Modalidad 89. Un compuesto de la Modalidad 50 en donde cada R^9 es independientemente alquilo de 1 a 3 átomos de carbono, alquenilo de 2 a 3 átomos de carbono, alquinilo de 2 a 3 átomos de carbono, ciclopropilo, haloalquilo de 1 a 3 átomos de carbono, haloalquenilo de 2 a 3 átomos de carbono, haloalquinilo de 2 a 3 átomos de carbono, halociclopropilo, halógeno, ciano,

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nitro, hidroxi, alquilcarboniloxi de 2 a 3 átomos de carbono, alcoxi de 1 a 2 átomos de carbono, haloalcoxi de 1 a 2 átomos de carbono, alquiltio de 1 a 2 átomos de carbono, haloalquiltio de 1 a 2 átomos de carbono, alcoxialquilo de 2 a 3 átomos de carbono, alquilcarbonilo de 2 a 3 átomos de carbono, alcoxicarbonilo de 2 a 3 átomos de carbono, alquilaminocarbonilo de 2 a 3 átomos de carbono o dialquilaminocarbonilo de 3 a 4 átomos de carbono.

Modalidad 90. Un compuesto de la Modalidad 89 en donde cada R^9 es independientemente alquilo de 1 a 3 átomos de carbono, ciclopropilo, haloalquilo de 1 a 3 átomos de carbono, halociclopropilo, halógeno, hidroxi, alquilcarboniloxi de 2 a 3 átomos de carbono, alcoxi de 1 a 2 átomos de carbono o haloalcoxi de 1 a 2 átomos de carbono.

Modalidad 91. Un compuesto de la Modalidad 90 en donde cada R^9 es independientemente alquilo de 1 a 3 átomos de carbono, hidroxi, alcoxi de 1 a 2 átomos de carbono o halógeno.

Modalidad 92. Un compuesto de la Modalidad 91 en donde cada R^9 es independientemente metilo, F, Cl, Br, hidroxi o metoxi.

Modalidad 93. Un compuesto de la Modalidad 50 en donde j es 0 o 1.

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Modalidad 94. Un compuesto de la Modalidad 93 en donde j es 0.

Modalidad 95. Un compuesto de la Modalidad 50 en donde cada R^{10} es H o metilo.

Modalidad 96. Un compuesto de la Fórmula 1, en donde Q es Q-1 hasta Q-75 y Q-83 hasta Q-85 y Q tiene la orientación representada anteriormente en la Modalidad 50 y en donde R^{15} tiene una orientación debajo del plano definido por los 3 átomos diferentes de hidrógeno unido al átomo de carbono identificado con el asterisco (*) (por ejemplo, para Q-1, Fórmula 1').

Modalidad 97. Un compuesto de la Modalidad 50 en donde cada R^{16} es independientemente alquilo de 1 a 3 átomos de carbono, alquenilo de 2 a 3 átomos de carbono, alquinilo de 2 a 3 átomos de carbono, ciclopropilo, haloalquilo de 1 a 3 átomos de carbono, haloalquenilo de 2 a 3 átomos de carbono, haloalquinilo de 2 a 3 átomos de carbono, halociclopropilo, halógeno, ciano, nitro, alcoxi de 1 a 2 átomos de carbono o haloalcoxi de 1 a 2 átomos de carbono; o un anillo de fenilo o bencilo, sustituido opcionalmente por hasta 3 sustituyentes seleccionados de R^{13}.

Modalidad 98. Un compuesto de la Modalidad 50 en donde R^{16a} es H, alquilo de 1 a 3 átomos de carbono, alilo, propargilo, ciclopropilo o haloalquilo de 1 a 3 átomos

de carbono; o un anillo de fenilo o bencilo, sustituido opcionalmente por hasta 3 sustituyentes seleccionados de R^{13}.

Modalidad 99. Un compuesto de la Modalidad 50 en donde cuando Q es Q-76, Q-77, Q-79, Q-80, Q-81, Q-82, Q-83, Q-84 o Q-85, entonces m es 0 o 1.

Modalidad 100. Un compuesto de la Modalidad 99 en donde m es 1.

Modalidad 101. Un compuesto de la Modalidad 50 en donde cuando Q es Q-78 y R^{16a} es diferente de H, entonces m es 0.

Modalidad 102. Un compuesto de la Modalidad 50 en donde cuando Q es Q-78 y R^{16a} es H, entonces m es 1.

Modalidad 103. Un compuesto de la Modalidad 50 en donde cuando Q es Q-78, entonces R^{16a} es diferente de H y m es 0.

Las combinaciones de las Modalidades 1-103 son ilustradas por:

Modalidad A1. Un compuesto de la Fórmula **1** en donde n es 0; R^1 es fenilo o un anillo heteroaromático de 5 o 6 miembros, sustituido opcionalmente por 1 a 2 sustituyentes seleccionados independientemente de R^4; y cada R^4 es independientemente alquilo de 1 a 6 átomos de carbono, alquenilo de 2 a 6 átomos de carbono, alquinilo de 2 a 6 átomos de carbono,

cicloalquilo de 3 a 6 átomos de carbono, haloalquilo de 1 a 6 átomos de carbono, haloalquenilo de 2 a 6 átomos de carbono, haloalquinilo de 2 a 6 átomos de carbono, halocicloalquilo de 3 a 6 átomos de carbono, halógeno, hidroxi, amino, ciano, nitro, alcoxi de 1 a 4 átomos de carbono, haloalcoxi de 1 a 4 átomos de carbono, alquiltio de 1 a 4 átomos de carbono, alquilsulfinilo de 1 a 4 átomos de carbono, alquilsulfonilo de 1 a 4 átomos de carbono, haloalquiltio de 1 a 4 átomos de carbono, haloalquilsulfinilo de 1 a 4 átomos de carbono, haloalquilsulfonilo de 1 a 4 átomos de carbono, alquilamino de 1 a 4 átomos de carbono, dialquilamino de 2 a 8 átomos de carbono, cicloalquilamino de 3 a 6 átomos de carbono, alcoxialquilo de 2 a 4 átomos de carbono, hidroxialquilo de 1 a 4 átomos de carbono, alquilcarbonilo de 2 a 4 átomos de carbono, alcoxicarbonilo de 2 a 6 átomos de carbono, alquilcarboniloxi de 2 a 6 átomos de carbono, alquilcarboniltio de 2 a 6 átomos de carbono, alquilaminocarbonilo de 2 a 6 átomos de carbono, dialquilaminocarbonilo de 3 a 8 o trialquilsililo de 3 a 6 átomos de carbono.

Modalidad A2. Un compuesto de la Modalidad A1 en donde W^1 es O y W^2 es O.

Modalidad A3. Un compuesto de la Modalidad A2 en donde A es CH_2.

Modalidad A4. Un compuesto de la Modalidad A3 en donde X es X^1 y X^2.

Modalidad A5. Un compuesto de la Modalidad A4 en donde G es G-1, G-2, G-15, G-26 o G-36.

Modalidad A6. Un compuesto de la Modalidad A5 en donde G es no sustituida.

Modalidad A7. Un compuesto de la Modalidad A6 en donde Q es Q-1, Q-2, Q-8, Q-23 o Q-41 y Q^a es H o alquilo de 1 a 3 átomos de carbono.

Modalidad A8. Un compuesto de la Modalidad A7 en donde R^5 es alquilo de 1 a 3 átomos de carbono, R^6 es H-45, R^{15} es H y p es 0.

Modalidad A9. Un compuesto de la Modalidad A7 en donde j es 0, m es 0 o 1 y R^8 es H, metilo, metoxi o hidroxi.

Modalidad A10. Un compuesto de cualquiera de las Modalidades A8 y A9 en donde R^1 es U-1 o U-50.

Modalidad A11. Un compuesto de la Modalidad A10 en donde cada R^4 es independientemente Cl, Br, metilo, etilo, trifluorometilo o metoxi.

Modalidad A12. Un compuesto de la Modalidad A11 en donde Q es Q-1, Q^a es metilo, R^5 es alquilo de 1 a 2 átomos de carbono, R^{15} es H y el átomo de carbono al cual están unidos R^5 y R^6 es un estereocentro con la

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configuración R.

Modalidad A13. Un compuesto de la Modalidad A11 en donde Q es Q-2, Q-8, Q-23 o Q-41, Q^a es metilo, R^{15} es H y el átomo de carbono identificado con el asterisco (*) es un estereocentro que tiene una configuración descrita como R, con la condición que cuando m sea 1, R^8 sea hidroxi o metoxi y el grupo R^8 esté unido al átomo de carbono adyacente al átomo de carbono identificado con un asterisco (*), entonces el átomo de carbono identificado con el asterisco (*) es un estereocentro que tiene una configuración descrita como S.

Las modalidades específicas incluyen los compuestos de la Fórmula **1** seleccionados del grupo que consiste de:

2-[1-[(2,5-dimetilfenil)acetil]-4-piperidinil]-*N*-metil-*N*-[(1*R*)-1,2,3,4-tetrahidro-1-naftalenil]-4-tiazolcarboxamida,

2-[1-[(2,5-diclorofenil)acetil]-4-piperidinil]-*N*-metil-*N*-[(1*R*)-1,2,3,4-tetrahidro-1-naftalenil]-4-tiazolcarboxamida,

N-metil-2-[1-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piperidinil]-*N*-[(1*R*)-1,2,3,4-tetrahidro-1-naftalenil]-4-tiazolcarboxamida,

N-[(1*R*)-2,3-dihidro-1*H*-inden-1-il]-*N*-metil-2-[1-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piperidinil]-4-tiazolcarboxamida,

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N-metil-2-[1-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]-acetil]-4-piperidinil]-*N*-[(1*R*)-1,2,3,4-tetrahidro-1-naftalenil]-4-tiazolcarbotioamida,

N-metil-2-[1-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]-acetil]-4-piperidinil]-*N*-[(1*R*,4*S*)-1,2,3,4-tetrahidro-4-hidroxi-1-naftalenil]-4-tiazolcarboxamida y su enantiómero,

N-metil-2-[1-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piperidinil]-*N*-(1,2,3,4-tetrahidro-2-metil-1-naftalenil)-4-tiazolcarboxamida,

N-metil-2-[1-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]-acetil]-4-piperidinil]-*N*-[(1*R*,4*R*)-1,2,3,4-tetrahidro-4-hidroxi-1-naftalenil]-4-tiazolcarboxamida y su enantiómero,

2-[1-[[5-etil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piperidinil]-*N*-metil-*N*-[(1*R*)-1,2,3,4-tetrahidro-1-naftalenil]-4-tiazolcarboxamida,

2-[1-[[3,5-bis(trifluorometil)-1H-pirazol-1-il]acetil]-4-piperidinil]-*N*-metil-*N*-[(1R)-1,2,3,4-tetrahidro-1-naftalenil]-4-tiazolcarboxamida,

N-metil-2-[1-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piperidinil]-*N*-(1,2,3,4-tetrahidro-4-oxo-1-naftalenil)-4-tiazolcarboxamida,

N-metil-2-[4-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-1-piperazinil]-*N*-[(1*R*)-1,2,3,4-

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tetrahidro-1-naftalenil]-4-tiazolcarboxamida,
N-(2,3-dihidro-2,2-dimetil-1*H*-inden-1-il)-*N*-metil-2-[1-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piperidinil]-4-tiazolcarboxamida,
N-(2,3-dihidro-2-metil-1*H*-inden-1-il)-*N*-metil-2-[1-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piperidinil]-4-tiazolcarboxamida,
N-metil-1-[1-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piperidinil]-*N*-[(1*R*)-1,2,3,4-tetrahidro-1-naftalenil]-1*H*-pirazol-3-carboxamida,
N-metil-2-[1-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piperidinil]-*N*-[(1*R*)-1,2,3,4-tetrahidro-1-naftalenil]-2*H*-1,2,3-triazol-4-carboxamida,
N-metil-1-[1-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piperidinil]-N-[(1*R*)-1,2,3,4-tetrahidro-1-naftalenil]-1*H*-pirazol-4-carboxamida,
N-metil-2-[1-[[5-metil-3-(trifluorometil)-1H-pirazol-1-il]acetil]-4-piperidinil]-*N*-[(1*R*,2*S*)-1,2,3,4-tetrahidro-2-metil-1-naftalenil]-4-tiazolcarboxamida y su enantiómero,
N-metil-2-[1-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piperidinil]-*N*-(1,2,3,4-tetrahidro-2,2-dimetil-1-naftalenil]-4-tiazolcarboxamida,
2-[1-[(3,5-dicloro-1*H*-pirazol-1-il)acetil]-4-

piperidinil]-*N*-metil-*N*-[(1*R*)-1,2,3,4-tetrahidro-1-naftalenil]-4-tiazolcarboxamida,

2-[1-[[5-cloro-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piperidinil]-*N*-metil-*N*-[(1*R*)-1,2,3,4-tetrahidro-1-naftalenil]-4-tiazolcarboxamida,

N-metil-2-[1-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piperidinil]-*N*-[(1*R*)-1,2,3,4-tetrahidro-1-naftalenil]-4-oxazolcarboxamida, y

N-metil-2-[1-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piperidinil]-*N*-(1,2,3,4-tetrahidro-1-naftalenil)-4-tiazolcarboxamida.

Son importantes los compuestos de la Fórmula **1** en donde G es el anillo de tiazol, Q es Q-1 y X es X^1 y X está unido al anillo de tiazol G en la posición 2 del anillo de tiazol. Son particularmente importantes las modalidades de aquellos compuestos dentro de las Modalidades 1 hasta 35, Modalidad 41, Modalidades 59 hasta 82, Modalidad 96, Modalidades A1 hasta A4, Modalidad A6, Modalidad A8 y Modalidades A10 hasta A12.

Son importantes los compuestos de la Fórmula **1** donde G es el anillo de oxazol, Q es Q-1 y X es X^1 y X está unido al anillo de oxazol G en la posición 2 del anillo de oxazol. Son particularmente importantes las modalidades de aquellos compuestos dentro las Modalidades 1 hasta 35, Modalidad 42, Modalidades 59 hasta 82, Modalidad 96,

Modalidades A1 hasta A4, Modalidad A6, Modalidad A8 y Modalidades A10 hasta A12.

Son importantes los compuestos de la Fórmula 1 donde G es el anillo de tiazol, Q es Q-1 y X es X^2 y X está unido al anillo de tiazol G en la posición 2 del anillo de tiazol. Son particularmente importantes las modalidades de aquellos compuestos dentro de las Modalidades 1 hasta 11, Modalidades 14 hasta 35, Modalidad 41, Modalidades 59 hasta 82, Modalidad 96, Modalidades A1 hasta A4, Modalidad A6, Modalidad A8 y Modalidades A10 hasta A12.

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Son particularmente importantes los compuestos de la Fórmula 1 donde G es el anillo de tiazol, Q es Q-2 y X es X^1 y X está unido al anillo de tiazol G en la posición 2 del anillo de tiazol. Son particularmente importantes las modalidades de aquellos compuestos dentro de las Modalidades 1 hasta 35, Modalidad 41, Modalidades 59 hasta 82, Modalidad 96, Modalidades A1 hasta A4, Modalidad A6, Modalidad A8 y

Modalidades A10 hasta A12.

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Son importantes los compuestos de la Fórmula 1 donde G es el anillo de tiazol, Q es Q-8 y X es X^1 y X está unido al anillo de tiazol G en la posición 2 del anillo de tiazol. Son particularmente importantes las modalidades de aquellos compuestos dentro de las Modalidades 1 hasta 35, Modalidad 41, Modalidades 59 hasta 82, Modalidad 96, Modalidades A1 hasta A4, Modalidad A6, Modalidad A8 y Modalidades A10 hasta A12.

Son importantes los compuestos de la Fórmula 1 donde G es el anillo de oxazol, Q es Q-8 y X es X^1 y X está unido al anillo de oxazol G en la posición 2 del anillo de oxazol. Son particularmente importantes las modalidades de aquellos compuestos dentro de las Modalidades 1 hasta 35, Modalidad 42, Modalidades 59 hasta 82, Modalidad 96, Modalidades A1 hasta A4, Modalidad A6, Modalidad A8 y Modalidades A10 hasta A12.

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Son importantes los compuestos de la Fórmula 1

donde G es el anillo de oxazol, Q es Q-8 y X es X^2 y X está unido al anillo de oxazol G en la posición 2 del anillo de oxazol. Son particularmente importantes las modalidades de aquellos compuestos dentro de las Modalidades 1 hasta 11, Modalidades 14 hasta 35, Modalidad 41, Modalidades 59 hasta 82, Modalidad 96, Modalidades A1 hasta A4, Modalidad A6, Modalidad A8 y Modalidades A10 hasta A12.

Esta invención proporciona una composición fungicida que comprende un compuesto de la Fórmula **1** (inclusive todos los isómeros geométricos y estereoisómeros, *N*-óxidos y sales adecuadas desde el punto de vista agrícola del mismo) y al menos un fungicida diferente. Como una modalidad de estas composiciones son importantes las composiciones que comprenden un compuesto que corresponde a cualquiera de las modalidades de compuestos descritas anteriormente.

Esta invención proporciona una composición fungicida que comprende una cantidad efectiva desde el punto de vista fungicida de un compuesto de la Fórmula **1** (inclusive todos los isómeros geométricos y estereoisómeros, *N*-óxidos y sales adecuadas desde el punto de vista agrícola del mismo) y al menos un componente adicional seleccionado del grupo que consiste de surfactantes, diluyentes sólidos y diluyentes líquidos. Como una modalidad de estas composiciones son importantes las composiciones que comprenden un compuesto que

corresponde a cualquiera de las modalidades de compuestos descritas anteriormente.

Esta invención proporciona un método para controlar las enfermedades de las plantas causadas por agentes patógenos micóticos de las plantas que comprende aplicar a la planta o una porción de la misma o a la semilla de la planta o plántula, una cantidad efectiva desde el punto de vista fungicida de un compuesto de la Fórmula **1** (inclusive todos los isómeros geométricos y estereoisómeros, *N*-óxidos y sales adecuadas desde el punto de vista agrícola del mismo). Como una modalidad de estos métodos son importantes los métodos que comprenden aplicar una cantidad efectiva desde el punto de vista fungicida de un compuesto que corresponde a cualquiera de las modalidades de compuestos descritas anteriormente. Son particularmente importantes las modalidades donde los compuestos se aplican como composiciones de esta invención.

Los compuestos de la Fórmula **1** se pueden preparar por medio de uno o más de los siguientes métodos y variaciones descritos en los Esquemas de Reacción 1-22. Las definiciones de R^1, R^2, A, W^1, W^2, X, G, Q^a, Q^b y n en los compuestos de las Fórmulas **1-46** a continuación son como se definiera anteriormente en la Breve Descripción de la Invención a menos que se observe de otra manera. Los compuestos de las Fórmulas **1a-1k** son diversos subconjuntos de

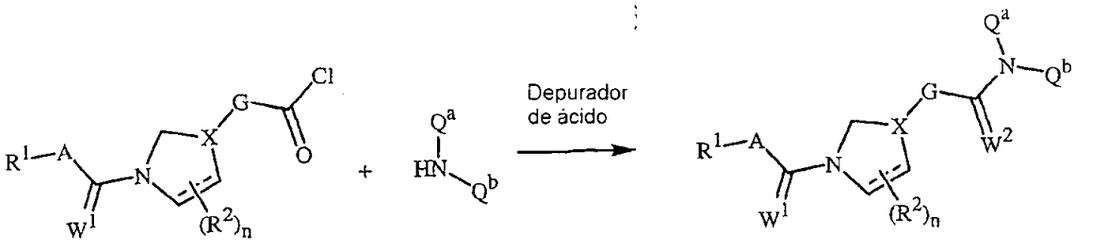
los compuestos de la Fórmula **1**. Los compuestos de las Fórmulas **19a-19b** son diversos subconjuntos de los compuestos de la Fórmula **19**. Los compuestos de las Fórmulas **23a**, **26a** y **27a** son diversos subconjuntos de los compuestos de las Fórmulas **23**, **26** y **27** respectivamente.

Como se muestra en el Esquema de Reacción 1, los compuestos de la Fórmula **1** se pueden preparar al acoplar uno de un cloruro ácido de la Fórmula **2** con una amina de la Fórmula **3** en presencia de un depurador de ácido para proporcionar el compuesto de la Fórmula **1a**. Los depuradores de ácido típicos incluyen bases de amina tales como trietilamina, diisopropiletilamina y piridina. Otros depuradores incluyen hidróxidos tales como hidróxido de sodio y potasio y carbonatos tales como carbonato de sodio y carbonato de potasio. En ciertas instancias, es útil el uso de depuradores de ácidos soportados por polímeros tales como diisopropiletilamina enlazada a polímero y *N,N*-dimetilaminopiridina enlazada a polímero. En un paso subsecuente, las amidas de la Fórmula **1a** pueden convertirse a las tioaminas de la Fórmula **1b** utilizando una variedad de reactivos de tiación estándar tales como pentasulfuro de fósforo o 2,4-bis(4-metoxifenil)-1,3-ditia-2,4-difosfetan-2,4-disulfuro (reactivo de Lawesson). Una persona experta en la técnica reconocerá que cuando W^1 es O, la conversión de W^2 de O a S puede realizarse por medio de la conversión

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de W^1 de O a S. Las aminas de la Fórmula **3** son conocidas o se pueden preparar por medio de métodos conocidos para una persona experta en la técnica. Las aminas de la Fórmula **3** en donde Q^a es un grupo alquilo se pueden preparar ya sea al calentar primero una amina primaria Q^a-NH_2 con formiato de alquilo seguido por la reducción con hidruro de litio-aluminio o por medio de una reducción con borohidruro de sodio de *N*-alquil-iminas preparadas al tratar $Q^a(=O)$ con una alquilamina.

Esquema de Reacción 1



2 **3**

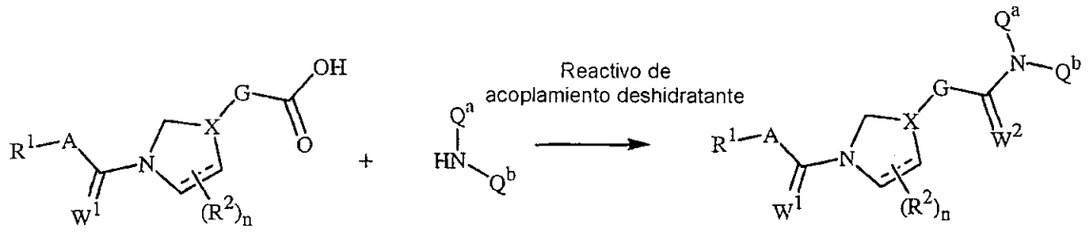
1a en donde W^2 es O
1b en donde W^2 es S

Un procedimiento alternativo para la preparación de los compuestos de la Fórmula **1a** se representa en el Esquema de Reacción 2 e implica el acoplamiento de un ácido de la Fórmula **4** con una amina de la Fórmula **3** en presencia de un reactivo de acoplamiento deshidratante tal como diciclohexilcarbodiimida (DCC), clorhidrato de 1-(3-dimetilaminopropil)-3-etilcarbodiimida (EDC) o hexafluorofosfato de *O*-benzotriazol-1-il-*N*,*N*,*N*',*N*'-

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tetrametiluronio (HBTU). Los reactivos soportados por polímero son útiles nuevamente en este punto, tal como ciclohexilcarbodiimida enlazada a polímero. Estas reacciones se conducen típicamente a 0-40°C en un solvente tal como diclorometano o acetonitrilo en presencia de una base tal como trietilamina o diisopropiletilamina.

Esquema de Reacción 2

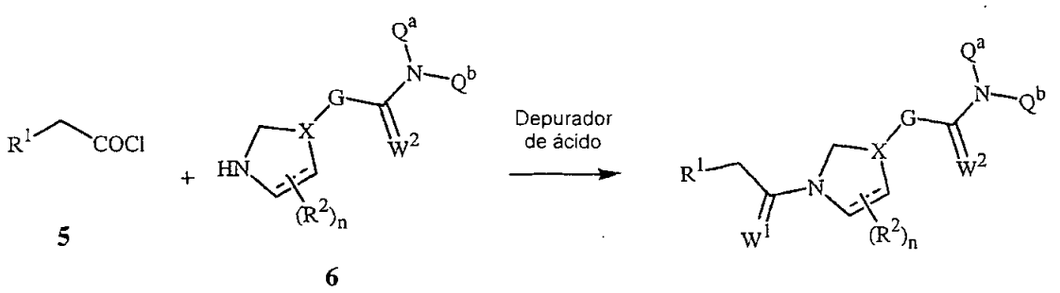


4 **3** **1a** en donde W^2 es O

Como se muestra en el Esquema de Reacción 3, los compuestos de la Fórmula **1c** en donde A es metileno se pueden preparar por medio del acoplamiento de un cloruro ácido de la Fórmula **5** con una amina de la Fórmula **6** en presencia de un depurador de ácido, como se describe para el Esquema de Reacción 1 anterior. Las sales ácidas de las aminas de la Fórmula **6** también se pueden utilizar en esta reacción, con la condición que estén presentes al menos 2 equivalentes del depurador de ácido, como es conocido para una persona experta en la técnica. Los ácidos típicos utilizados para formar sales con aminas incluyen ácido

clorhídrico, ácido oxálico y ácido trifluoroacético. En un paso subsecuente, las amidas de la Fórmula **1c** se pueden convertir a las tioamidas de la Fórmula **1d** utilizando una variedad de reactivos de tiación estándar tales como pentasulfuro de fósforo o 2,4-bis(4-metoxifenil)-1,3-ditia-2,4-difosfetan-2,4-disulfuro (reactivo de Lawesson). Una persona experta en la técnica reconocerá que cuando W^2 es O, que la conversión de W^1 de O a S no puede ser selectiva.

Esquema de Reacción 3



5 + **6**

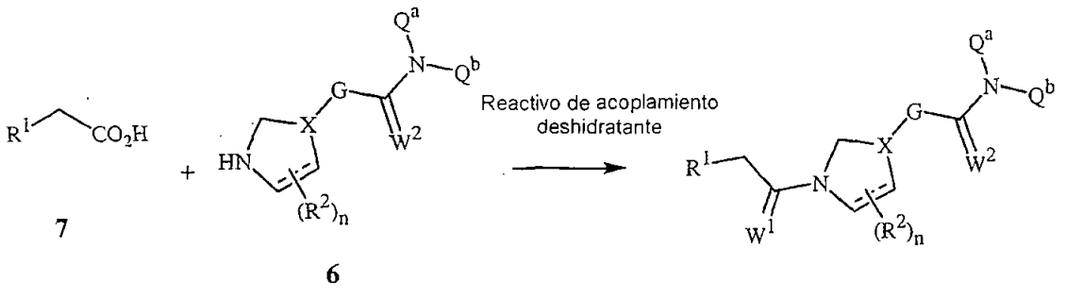
1c en donde W^1 es O
1d en donde W^1 es S

Como se muestra en el Esquema de Reacción 4, los compuestos de la Fórmula **1c** también se pueden preparar por medio del acoplamiento de un ácido de la Fórmula 7 con una amina de la Fórmula **6** (o su sal ácida) en presencia de un reactivo de acoplamiento deshidratante, análogo al procedimiento descrito en el Esquema de Reacción 2 anterior. Los ácidos de la Fórmula 7 son conocidos o se pueden

preparar por medio de métodos conocidos para una persona experta en la técnica. Por ejemplo, R^1CH_2COOH donde R^1 es un anillo heteroaromático unido a través de nitrógeno se puede preparar al hacer reaccionar el compuesto R^1H correspondiente con un ácido haloacético o éster en presencia de una base; véase, por ejemplo, la Patente Norteamericana No. 4,084,955. El R^1CH_2COOH donde R^1 es fenilo o un anillo heteroaromático unido a través de un átomo de carbono se puede preparar a partir de los compuestos de R^1CH_2-halógeno correspondientes por medio del desplazamiento del halógeno con cianuro seguido por la hidrólisis; véase, por ejemplo, K. Adachi, *Yuki Gosei Kagaku Kyokaishi* **1969**, 27, 875-876; a partir del $R^1C(=O)CH_3$ por medio de la reacción de Willgerodt-Kindler; véase, por ejemplo, H. R. Darabi y colaboradores, *Tetrahedron Letters* **1999**, 40, 7549-7552 y M. M. Alam y S. R. Adapa, *Synthetic Communications* **2003**, 33, 59-63 y las referencias citadas en los mismos; o a partir de R^1Br o R^1I por medio del acoplamiento catalizado por paladio con acetato de *t*-butilo o malonato de dietilo seguido por la hidrólisis de éster; véase, por ejemplo, W. A. Moradi y S. L. Buchwald, *J. Am. Chem. Soc.* **2001**, *123*, 7996-8002 y J. F. Hartwig y colaboradores, *J. Am. Chem. Soc.* **2002**, *124*, 12557-12565.

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Esquema de Reacción 4



7 6 **1c** en donde W^1 es O

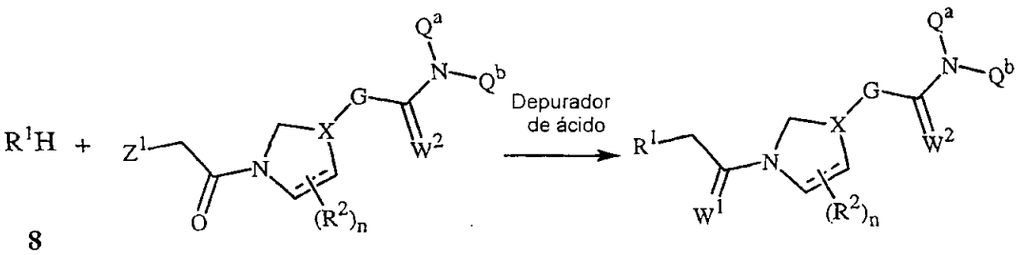
Los procedimientos de síntesis de los Esquemas de Reacción 1, 2, 3 y 4 son solo ejemplos representativos de los métodos útiles para la preparación de los compuestos de la Fórmula **1**, ya que la bibliografía de síntesis es extensiva para reacciones que forman amidas. Una persona experta en la técnica reconocerá que el compuesto de la Fórmula **1** donde Q^a es diferente de H u OH se puede preparar a partir de los compuestos de la Fórmula **1** donde Q^a es H por medio de métodos de alquilación o acilación estándar. Una persona experta en la técnica también se dará cuenta que los cloruros ácidos de la Fórmula **2** y la Fórmula **5** se pueden preparar a partir de ácidos de la Fórmula **4** y Fórmula **7**, respectivamente, por medio de numerosos métodos bien conocidos.

Ciertos compuestos de la Fórmula **1c** donde R^1 es un anillo heteroaromático que contiene nitrógeno de 5 miembros unido a través del átomo de nitrógeno se pueden preparar por medio de la reacción del heterociclo precursor de la Fórmula

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8 y una haloacetamida de la Fórmula 9 como se muestra en el Esquema de Reacción 5. La reacción se lleva a cabo en presencia de una base tal como hidruro de sodio o carbonato de potasio en un solvente tal como tetrahidrofurano, *N,N*-dimetilformamida o acetonitrilo de 0 a 80°C. La haloacetamida de la Fórmula 9 se puede preparar por medio de la reacción de una amina de la Fórmula 6 con un haluro de haloacetilo o un ácido haloacético o su anhídrido, análogo a las reacciones que forman amidas descritas en los Esquemas de Reacción 3 y 4, respectivamente.

Esquema de Reacción 5



8

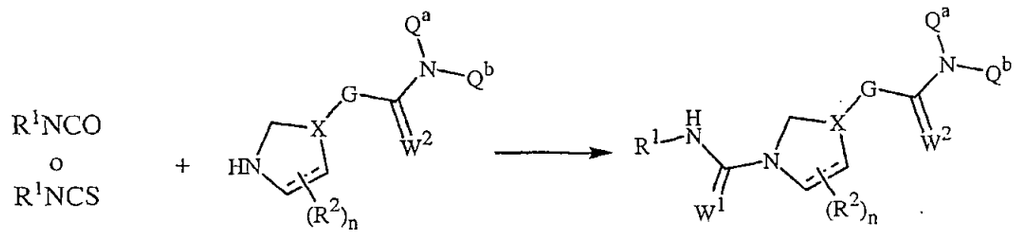
9 en donde Z^1 es Cl, Br o I

1c en donde W^1 es O

Los compuestos de las Fórmulas **1e** y **1f** en donde A es NH, donde R^1 es fenilo o un anillo heteroaromático de 5 o 6 miembros unido por vía de un átomo de carbono, se pueden preparar por medio de la reacción de un isocianato o un isotiocianato de la Fórmula **10** con una amina de la Fórmula **6**, respectivamente, como se representa en el Esquema de Reacción 6. Esta reacción se lleva a cabo típicamente a temperatura

ambiente en un solvente aprótico tal como diclorometano o acetonitrilo.

Esquema de Reacción 6



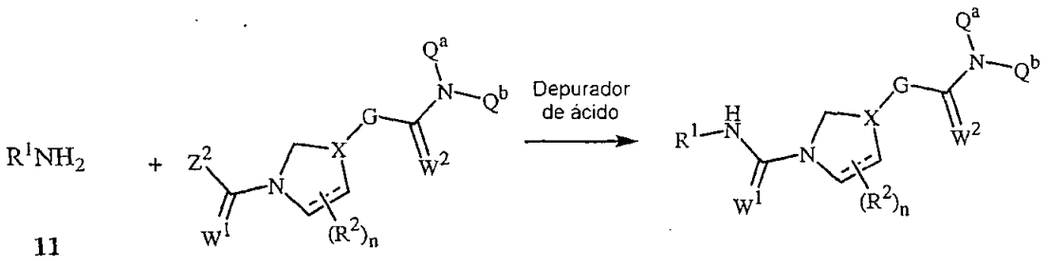
10 **6**

1e en donde W^1 es O
1f en donde W^1 es S

Los compuestos de las Fórmulas **1e** y **1f** también se pueden preparar por medio de la reacción de una amina de la Fórmula **11** con un cloruro de carbamoilo o tiocarbamoilo o imidazol de la Fórmula **12** como se muestra en el Esquema de Reacción 7. Cuando Z^2 es cloro, la reacción se lleva a cabo típicamente en presencia de un depurador de ácido. Los depuradores de ácido típicos incluyen bases de amina tales como trietilamina, diisopropiletilamina y piridina. Otros depuradores incluyen hidróxidos tales como hidróxido de sodio y potasio y carbonatos tales como carbonato de sodio y carbonato de potasio. Los cloruros de carbamoilo o tiocarbamoilo de la Fórmula **12** (en donde Z^2 es Cl) se pueden preparar a partir de aminas de la Fórmula **6** por medio del tratamiento con fosgeno o tiofósgeno, respectivamente, o sus

equivalentes, mientras que los imidazoles de carbamoilo y tiocarbamoilo de la Fórmula **12** (en donde Z^2 es imidazol-1-ilo) se pueden preparar a partir de las aminas de la Fórmula **6** por medio del tratamiento con 1,1'-carbonildiimidazol o 1,1'-tiocarbonildiimidazol, respectivamente, de acuerdo con métodos generales que son conocidos para una persona experta en la técnica.

Esquema de Reacción 7



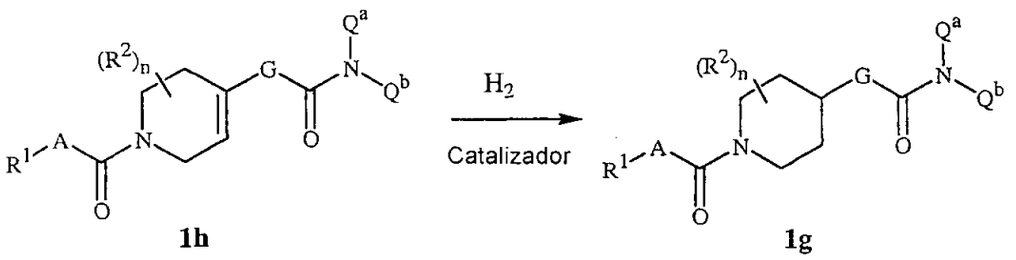
12 en donde Z^2 es Cl o imidazol-1-ilo

1e en donde W^1 es O
1f en donde W^1 es S

Ciertos compuestos de la Fórmula **1g** donde G está unido al anillo de piperidina por vía de un átomo de carbono se pueden preparar a partir de los compuestos de la Fórmula **1h** por medio de la hidrogenación catalítica como se muestra en el Esquema de Reacción 8. Las condiciones típicas implican la exposición de un compuesto de la Fórmula **1h** a gas de hidrógeno a una presión de 96 a 689 kPa (de 14 a 100 psi), preferiblemente de 276 a 345 kPa (40 a 50 psi), en presencia de un catalizador de metal tal como paladio soportado sobre

un portador inerte tal como carbón activado, en una relación en peso de 5 a 20% de metal con respecto al portador, suspendido en un solvente tal como etanol a temperatura ambiente. La bibliografía de síntesis sobre estos tipos de reducciones es extensiva; véase, por ejemplo, *Catalytic Hydrogenation*, L. Cerveny, Ed., Elsevier Science, Amsterdam, 1986. Una persona experta en la técnica reconocerá que ciertas funcionalidades que pueden estar presentes en los compuestos de la Fórmula **1h** también se pueden reducir bajo condiciones de hidrogenación catalítica, que requieren una selección adecuada del catalizador y las condiciones.

Esquema de Reacción 8

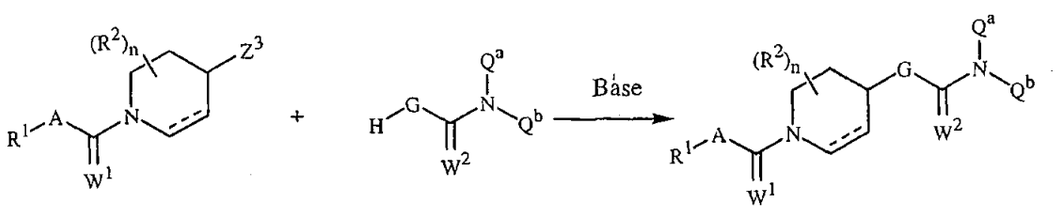


1h **1g**

Ciertos compuestos de la Fórmula **1g** donde G está unido al anillo de piperidina por vía de un átomo de nitrógeno se pueden preparar por medio del desplazamiento de un grupo saliente apropiado Z^3 sobre una piperidina de la Fórmula **13** con un heterociclo que contiene nitrógeno de la Fórmula **14** en presencia de una base como se representa en el Esquema de Reacción 9. Las bases adecuadas incluyen hidruro

de sodio o carbonato de potasio y la reacción se lleva a cabo en un solvente tal como *N,N*-dimetilformamida o acetonitrilo de 0 a 80°C. Los grupos salientes adecuados en las piperidinas de la Fórmula **13** incluyen bromo, yodo, mesilato (OMs, $OS(O)_2CH_3$), triflato ($OS(O)_2CF_3$) y similares y se pueden preparar a partir de los compuestos de piperidina correspondientes de la Fórmula **13** donde Z^3 es OH, como es conocido para una persona experta en la técnica.

Esquema de Reacción 9

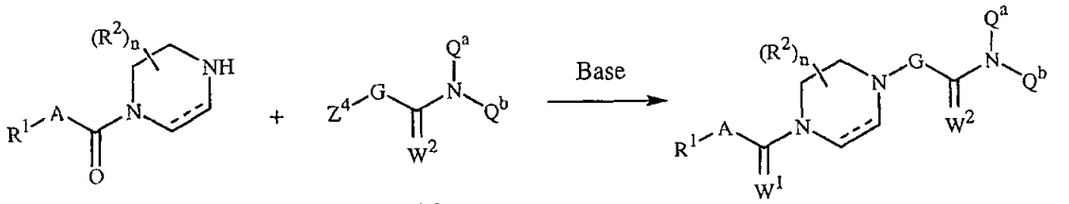


13 en donde Z^3 es Br, I, OSO_2Me o OSO_2CF_3 | **14** | **1g**

Los compuestos de la Fórmula **1i** se pueden preparar por medio de la reacción de una piperazina de la Fórmula **15** con un haluro heterocíclico o triflato (OTf, $OS(O)_2CF_3$) de la Fórmula **16** como se muestra en el Esquema de Reacción 10. La reacción se lleva a cabo en presencia de una base tal como carbonato de potasio en un solvente tal como sulfóxido de dimetilo, *N,N*-dimetilformamida o acetonitrilo de 0 a 80°C. En un paso subsecuente, los compuestos de la Fórmula **1i** se pueden convertir a los compuestos de la Fórmula **1j**

utilizando una variedad de reactivos de tiación estándar tales como pentasulfuro de fósforo o 2,4-bis(4-metoxifenil)-1,3-ditia-2,4-difosfetan-2,4-disulfuro (reactivo de Lawesson). Una persona experta en la técnica reconocerá que cuando W^2 es O, que la conversión de W^1 de O a S no puede ser selectiva. Los compuestos de la Fórmula **16** donde Z^4 es triflato se pueden preparar a partir de los compuestos correspondientes de la Fórmula **16** donde Z^4 es OH por medio de métodos conocidos para una persona experta en la técnica.

Esquema de Reacción 10

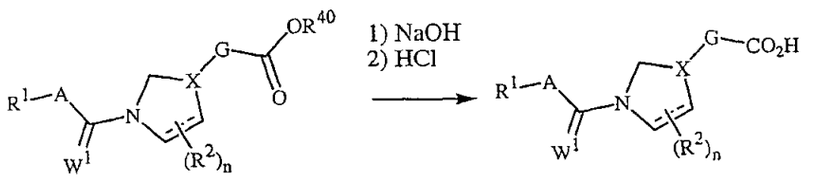


15 **16** (Z^4 es Cl, Br, I o OTf) **1i** (W^1 es O) **1j** (W^1 es S)

Los compuestos ácidos de la Fórmula **4** se pueden preparar por medio de la saponificación de los compuestos de éster correspondientes de la Fórmula **17** utilizando un hidróxido de metal alcalino tal como LiOH, NaOH o KOH usualmente en presencia de agua junto con un co-solvente tal como tetrahidrofurano y/o metanol para ayudar a la solubilidad del éster como se muestra en el Esquema de Reacción 11. La reacción se conduce típicamente de 0 a 60°C

con la sal de carboxilato resultante siendo convertida al ácido libre por medio de la adición de un ligero exceso de un ácido mineral tal como ácido clorhídrico o ácido sulfúrico.

Esquema de Reacción 11

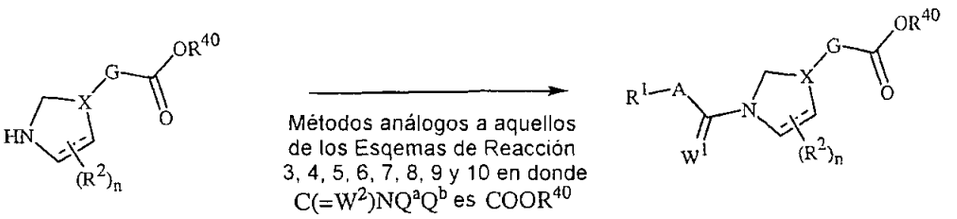


17 (R^{40} es alquilo C_1-C_4) **4**

Como se resume en el Esquema de Reacción 12, los compuestos de éster de la Fórmula **17** se pueden preparar a partir de los compuestos de amina de la Fórmula **18** por medio de métodos análogos a aquellos descritos anteriormente para la preparación de los compuestos de la Fórmula **1** como se resume en el Esquema de Reacción 12. Una persona experta en la técnica reconocerá que los métodos análogos a aquellos de los Esquemas de Reacción 3, 4, 5, 6, 7, 8, 9 y 10, en donde el grupo $COOR^{40}$ donde R^{40} es alquilo de 1 a 4 átomos de carbono es sustituido por el grupo $C(=W^2)NQ^aQ^b$ se pueden utilizar para proporcionar los productos intermediarios de la Fórmula **17** que son útiles para la preparación de los compuestos de la Fórmula **1**.

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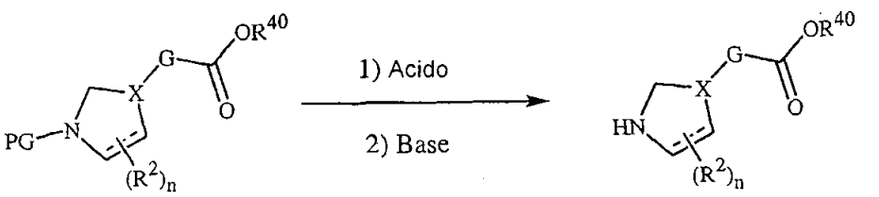
Esquema de Reacción 12



18 (R^{40} es alquilo C_1-C_4) **17** (R^{40} es alquilo C_1-C_4)

Los compuestos de amina de la Fórmula **18** se pueden preparar a partir de los compuestos de amina protegida de la Fórmula **19** donde PG es un grupo protector de amina lábil al ácido tal como un grupo *t*-butoxicarbonilo (*t*-Boc) o benciloxicarbonilo (Cbz) como se muestra en el Esquema de Reacción 13. El grupo protector es retirado por medio del tratamiento con un ácido tal como ácido trifluoroacético o HCl gaseoso en presencia de un solvente tal como diclorometano o dioxano. La amina se puede aislar como su sal ácida o se puede convertir en un paso subsecuente a la amina libre por medio del tratamiento con una base, como es conocido para una persona experta en la técnica.

Esquema de Reacción 13

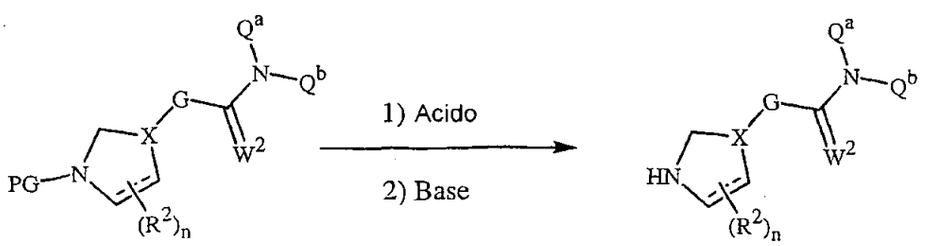


19 **18** (R^{40} es alquilo C_1-C_4)

en donde R^{40} es alquilo de 1 a 4 átomos de carbono; PG es un grupo protector lábil al ácido.

Las aminas de la Fórmula **6** se pueden preparar a partir de las aminas protegidas de la Fórmula **20** donde PG es un grupo protector de amina lábil al ácido tal como un grupo *t*-butoxicarbonilo (*t*-Boc) o benciloxicarbonilo (Cbz) como se representa en el Esquema de Reacción 14 por medio de métodos análogos a aquellos descritos anteriormente para la preparación de los compuestos de la Fórmula **18** como se resume en el Esquema de Reacción 13.

Esquema de Reacción 14



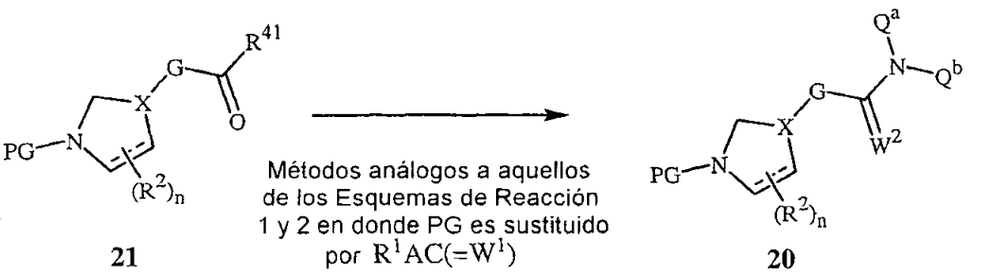
20 **6**

en donde PG es un grupo protector lábil al ácido.

Las aminas protegidas de la Fórmula **20** se pueden preparar a partir de los compuestos de ácido o cloruro ácido de la Fórmula **21** por medio de métodos análogos a aquellos descritos anteriormente para la preparación de los compuestos de la Fórmula **1** como se resume en el Esquema de Reacción 15. Una persona experta en la técnica reconocerá que en los

Esquemas de Reacción 1, 2, 8, 9 y 10, el grupo $R^1AC(=W^1)$ se puede reemplazar de manera análoga por PG donde PG es un grupo protector de amina lábil al ácido, estándar tal como un grupo *t*-butoxicarbonilo (*t*-Boc) o benciloxicarbonilo (Cbz) para proporcionar los productos intermediarios útiles de la Fórmula **20** para la preparación de los compuestos de la Fórmula **1**. Los compuestos de la Fórmula **21** donde R^{41} es OH se pueden obtener a partir de los compuestos de la Fórmula **19** por medio de la saponificación, de manera análoga a los métodos descritos en el Esquema de Reacción 11.

Esquema de Reacción 15



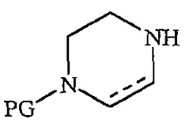
21 **20**

en donde R^{41} es Cl u OH; PG es un grupo protector lábil al ácido.

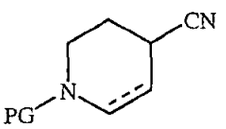
Muchos compuestos de la Fórmula **19** son conocidos o se pueden preparar por medio de métodos conocidos para una persona experta en la técnica iniciando con los productos intermediarios tales como, pero no limitados a, aquellos representados en la Exhibición 1. La bibliografía de síntesis es extensiva para la formación del sistema de anillos

heteroaromáticos de 5 miembros o sistema de anillos heterocíclicos parcialmente saturados de 5 miembros (por ejemplo, G-1 hasta G-55); véase, por ejemplo, *Comprehensive Heterocyclic Chemistry*, Vol. 4-6, A. R. Katritzky y C. W. Rees editors, Pergamon Press, Nueva York, 1984; *Comprehensive Heterocyclic Chemistry II*, Vol. 2-4, A. R. Katritzky, C. W. Rees, y E. F. Scriven editors, Pergamon Press, Nueva York, 1996; y las series, *The Chemistry of Heterocyclic Compounds*, E. C. Taylor, editor, Wiley, Nueva York. Se ha descrito el uso de los productos intermediarios de la Fórmula **26** para preparar reactivos de órgano-zinc para el uso en reacciones de acoplamiento cruzado con sistemas de anillos aromáticos, véase, por ejemplo, S. Bellotte, *Synlett* **1998**, 379-380, y M. Nakamura y colaboradores, *Synlett* **2005**, 1794-1798.

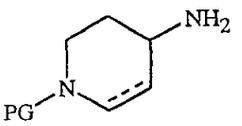
Exhibición 1



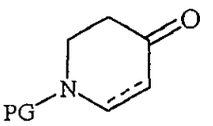
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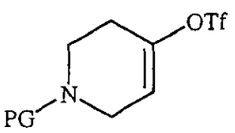
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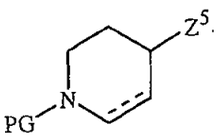
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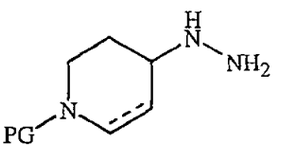
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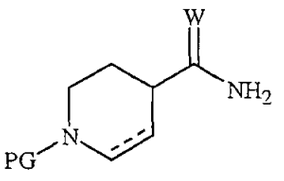
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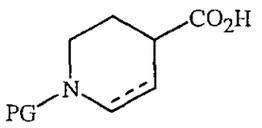
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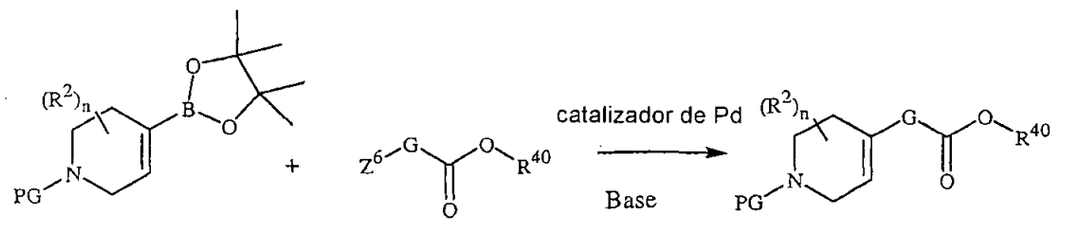
29

en donde Z^5 es Br, I, OH, OMs u OTf; W es O o S; y PG es un grupo protector lábil al ácido tal como *t*-Boc o Cbz.

Adicionalmente, los compuestos de la Fórmula **19a** donde G se une al anillo de tetrahidropiridina por vía de un átomo de carbono se pueden preparar por medio de la reacción de los boronatos cíclicos de la Fórmula **30** con los compuestos heteroaromáticos de la Fórmula **31** donde Z^6 es un halógeno, preferiblemente Br o I, o un grupo triflato como se muestra en el Esquema de Reacción 16. La reacción se lleva a cabo en presencia de una cantidad catalítica de paladio tal como $PdCl_2$dppf ($PdCl_2$-1,1'-bis(difenilfosfino)ferroceno) y una base tal como acetato de potasio en un solvente tal como dioxano de 80 a 100°C, similar a aquel reportado para el acoplamiento de los boronatos de la Fórmula **30** con haluros de arilo y triflatos de P. R. Eastwood, *Tetrahedron Letters* **2000**, *41*, 3705-3708. El uso de paladio en la síntesis de heterociclos es bien conocido; véase, por ejemplo, J. J. Li y G. W. Gribble, "*Palladium in Heterocyclic Chemistry*", Pergamon Press, Amsterdam, 2000. Existen muchas variaciones del tipo de catalizador, la base y las condiciones de reacción que se pueden utilizar como es sabido para una persona experta en la

técnica. Muchos compuestos de la Fórmula **31** donde Y es halógeno son conocidos o se pueden preparar por medio de métodos conocidos para una persona experta en la técnica.

Esquema de Reacción 16



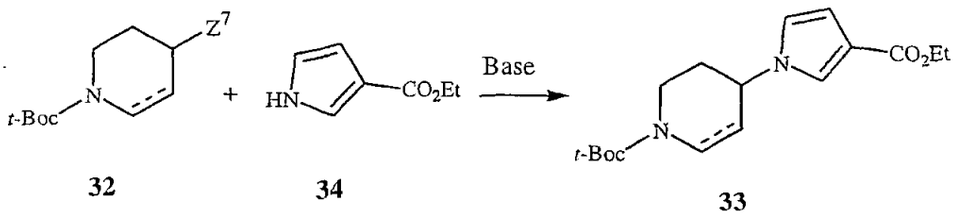
30 **31** **19a**

en donde PG es un grupo protector lábil al ácido; Z^6 es Cl, Br, I u OTf; R^{40} es alquilo de 1 a 4 átomos de carbono.

La preparación de los análogos *N*-unidos en donde G es G-25 hasta G-30 se puede llevar a cabo por medio del desplazamiento de un grupo saliente apropiado sobre el anillo de piperidina con el éster de heterociclo deseado como se resume en el Esquema de Reacción 17 utilizando los procedimientos descritos en *Bioorganic & Medicinal Chemistry Letters* **2001**, 11(18), 2475-2479; *Bioorganic & Medicinal Chemistry Letters* **2002**, 12(12), 1683-1686; *Tetrahèdron* **2002**, *58(23)*, 4707-4716 y la Publicación de Solicitud de Patente del PCT WO 2004/007499. Alternativamente, los análogos *N*-unidos se pueden preparar a partir de hidroxipiperidinas y el heterociclo apropiado por vía de una reacción de Mitsunobu, para ejemplos de reacciones de este tipo véase: *J. Med. Chem.*

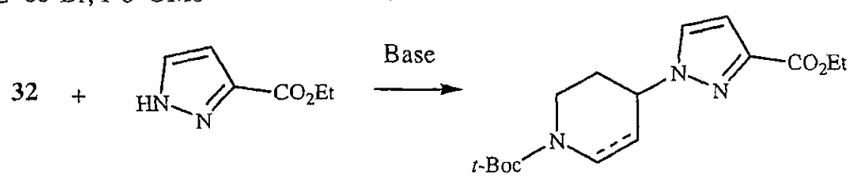
2004, *47(27)*, 6921-6934. La preparación de los ésteres de heterociclos se describe en las siguientes referencias: *Synthesis* **1990**, 753-754; *Synthesis* **1995**, 1491-1492; *J. Het. Chem.* **1993**, 30(4), 865-872; *Tetrahedron* **1986**, *42(8)*, 2351-2358; *J. Het. Chem.* **1988**, *25(2)*, 651-654; y *Helv. Chem. Acta* **1996**, *79(2)*, 449-453.

Esquema de Reacción 17

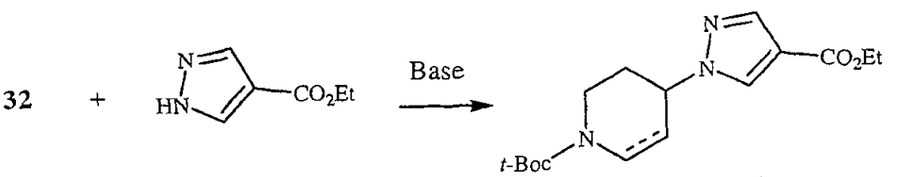


32 **34** **33**

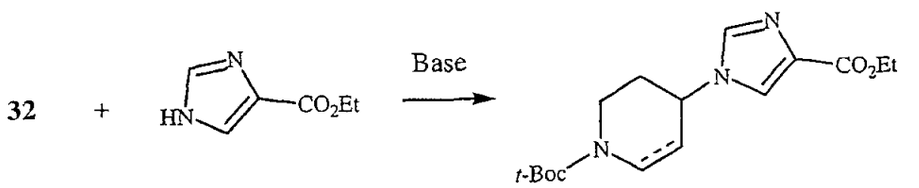
Z^7 es Br, I o OMs



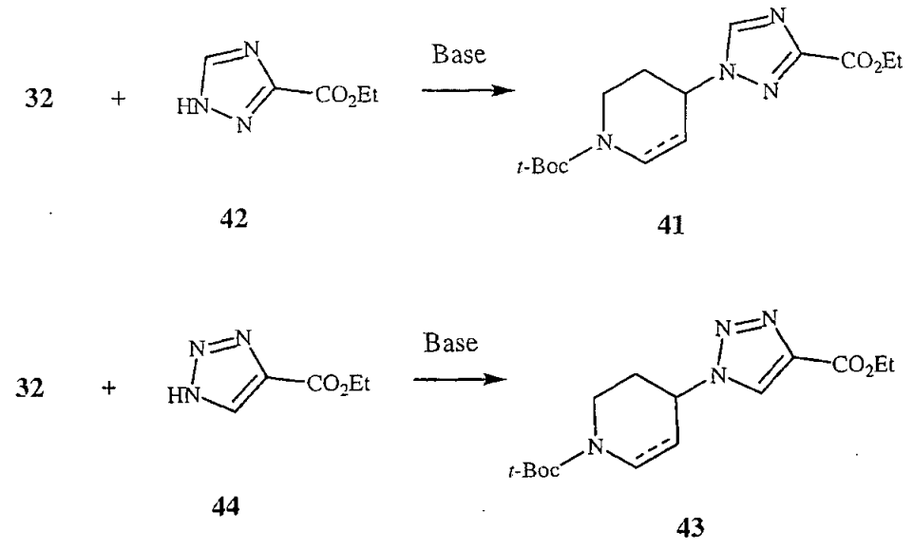
36 **35**



38 **37**

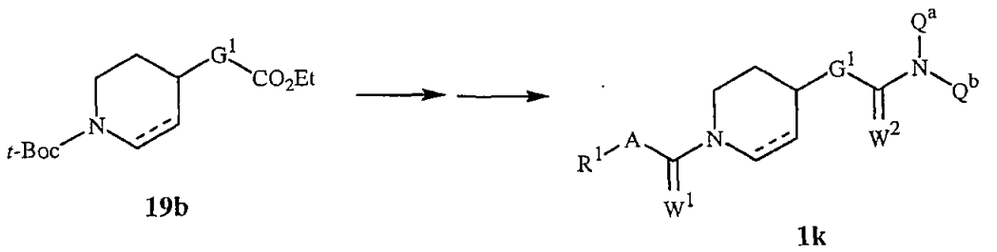


40 **39**



La remoción del grupo *t*-BOC del compuesto de la Fórmula **19b** y la saponificación del éster seguida por la formación de amida con el cloruro ácido o amina apropiado bajo condiciones estándar producen el compuesto final de la Fórmula **1k** como se muestra en el Esquema de Reacción 18.

Esquema de Reacción 18

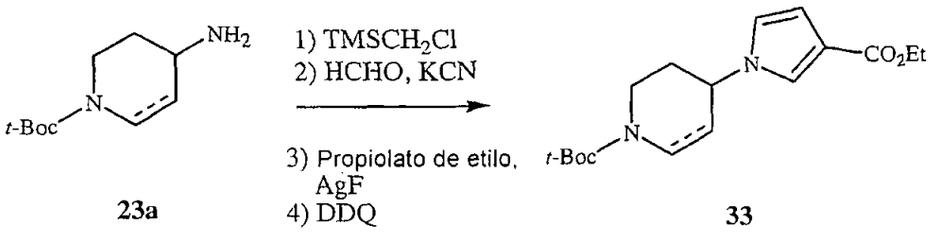


G^1 es G-25 hasta G-30

El compuesto de la Fórmula **33** se puede obtener por medio de la ruta mostrada en el Esquema de Reacción 19 a partir de la amino-piperidina de la Fórmula **23a**; véase, por

ejemplo, *Bioorganic & Medicinal Chemistry Letters* **2001**, *11(18)*, 2475-2479 y *J. Org. Chem.* **1985**, *50(21)*, 4006-4014.

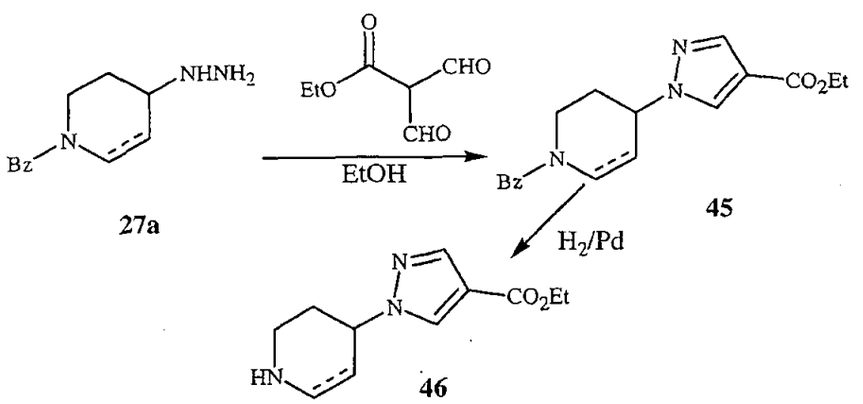
Esquema de Reacción 19



23a **33**

El compuesto de la Fórmula **46** también se puede preparar a partir de la *N*-bencil-hidrazino-piperidina de la Fórmula **27a** como se muestra en el Esquema de Reacción 20; véase, por ejemplo, *Bioorganic & Medicinal Chemistry Letters* **1999**, *9(9)*, 1285-1290 y *J. Het. Chem.*, **1993**, *30(4)*, 865-872.

Esquema de Reacción 20

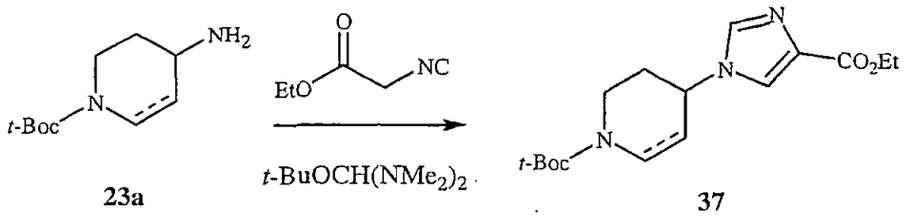


27a **45** **46**

El compuesto de la Fórmula **37** también se puede obtener por medio de la ruta mostrada en el Esquema de

Reacción 21 a partir de la amino-piperidina de la Fórmula **23a**; véase, por ejemplo, *Bioorganic & Medicinal Chemistry Letters* **2001**, *11(18)*, 2475-2479 y *Organic Letters* **2002**, *4(23)*, 4133-4134.

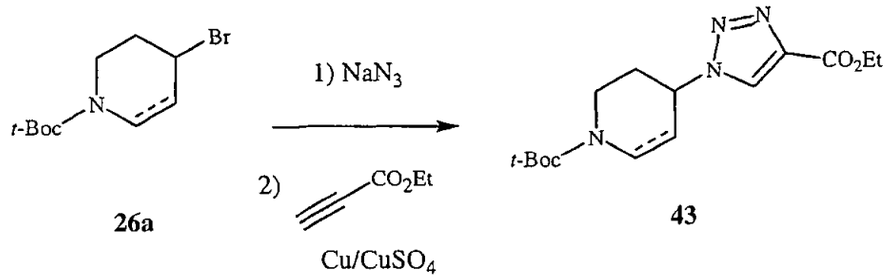
Esquema de Reacción 21



23a **37**

El compuesto de la Fórmula **43** también está disponible a partir de la 4-bromo-piperidina de la Fórmula **26a** como se muestra en el Esquema de Reacción 22; véase, por ejemplo, *Bioorganic & Medicinal Chemistry Letters* **1999**, *9(9)*, 1285-1290, por medio de la conversión inicial a la azida seguida por la cicloadición con propiolato de etilo de acuerdo con el método general de *Organic Letters* **2004**, *6(23)*, 4223-4225.

Esquema de Reacción 22



26a **43**

Se reconoce que algunos reactivos y condiciones de reacción descritos anteriormente para preparar los compuestos de la Fórmula **1** pueden no ser compatibles con ciertas funcionalidades presentes en los productos intermediarios. En estos casos, la incorporación de secuencias de protección/desprotección o interconversiones de grupos funcionales en la síntesis ayudará a obtener los productos deseados. El uso y la selección de los grupos protectores serán aparentes para una persona experta en la síntesis química (véase, por ejemplo, Greene, T. W.; Wuts, P. G. M. *Protective Groups in Organic Synthesis*, 2ª edición; Wiley: Nueva York, 1991). Una persona experta en la técnica reconocerá que, en algunos casos, después de la introducción de un reactivo dado como se representa en cualquier esquema de reacción individual, puede ser necesario realizar pasos de síntesis rutinarios, adicionales no descritos detalladamente para completar la síntesis de los compuestos de la Fórmula **1**. Una persona experta en la técnica también reconocerá que puede ser necesario realizar una combinación de los pasos ilustrados en los esquemas de reacción anteriores en un orden diferente de aquel sugerido por la secuencia particular presentada para preparar los compuestos de la Fórmula **1**.

Una persona experta en la técnica también reconocerá que los compuestos de la Fórmula **1** y los productos intermediarios descritos en este documento se pueden sujetar

a varias reacciones electrófilas, nucleófilas, radicales, organometálicas, de oxidación y reducción para agregar sustituyentes o modificar los sustituyentes existentes.

Sin elaboración adicional, se cree que una persona experta en la técnica que utiliza la descripción anterior puede utilizar la presente invención a su grado más completo. Por lo tanto, los siguientes ejemplos deben considerarse únicamente como ilustrativos y de ninguna manera como limitantes de la descripción en absoluto. Los pasos en los siguientes ejemplos ilustran un procedimiento para cada paso en una transformación sintética total y el material de partida para cada paso puede no haber sido preparado necesariamente por medio de una conducción preparativa particular cuyo procedimiento se describe en otros ejemplos o pasos. Los porcentajes están en peso excepto para las mezclas de solventes cromatográficos o donde se indique de otra manera. Las partes y porcentajes para las mezclas de solventes cromatográficos son en volumen a menos que se indique de otra manera. Los espectros de RMN-^{1}H se reportan en ppm campo abajo del tetrametilsilano; "s" significa singulete, "d" significa doblete, "t" significa triplete, "m" significa multiplete, "q" significa cuarteto, "s amplio" significa singulete amplio, "d amplio" significa doblete amplio, "m amplio" significa multiplete amplio.

EJEMPLO 1

Preparación de 2-[1-[(2,5-dimetilfenil)acetil]-4-piperidinil]-*N*-metil-*N*-[(1R)-1-fenilpropil]-4-tiazolcarboxamida

(Compuesto 58)

Paso A: Preparación de 4-[4-(etoxicarbonil)-2-tiazolil]-1-piperidincarboxilato de 1,1-dimetiletilo

A una suspensión del 4-(aminotioxometil)-1-tetrahidropiridin-carboxilato de 1,1-dimetiletilo (30 g, 123 mmol) en etanol (180 mL), enfriada a 0°C en un baño de hielo, se agregó gota a gota una solución de bromopiruvato de etilo (15.7 mL, 125 mmol) en etanol (180 mL). El baño de hielo se retiró y la mezcla se agitó a temperatura ambiente durante toda la noche. Se agregó trietilamina (30 mL) y la mezcla se concentró bajo presión reducida, se diluyó con acetato de etilo, se lavó con salmuera, se secó sobre sulfato de magnesio y se concentró bajo presión reducida para proporcionar 31 g de un aceite color café, el cual se solidificó con el reposo. Una porción de este producto crudo (8.1 g) se calentó con 200 mL de éter y el éter entonces se decantó. Esto se repitió una segunda vez y las soluciones de éter combinadas se evaporaron bajo presión reducida para proporcionar 7.6 g del compuesto del título como un sólido color amarillo.

RMN-^{1}H ($CDCl_3$) δ 1.40 (t, 3H), 1.46 (s, 9H), 1.7 (m, 2H), 2.1 (m, 2H), 2.85 (m, 2H), 3.25 (m, 1H), 4.2 (m, 2H), 4.42 (q, 2H), 8.08 (s, 1H).

Paso B: Preparación de 4-(4-carboxi-2-tiazolil)-1-piperidin-carboxilato de 1-(1,1-dimetiletilo)

A una solución del 4-[4-(etoxicarbonilo)-2-tiazolil]-1-piperidincarboxilato de 1,1-dimetiletilo (es decir el producto del Ejemplo 1, Paso A) (3.4 g, 10 mmol) en 20 mL de metanol y 20 mL de tetrahidrofurano se agregó una solución acuosa de NaOH 1 N (15 mL) y la mezcla resultante se agitó a temperatura ambiente durante 1.5 horas. La mezcla de reacción se concentró bajo presión reducida, se diluyó con agua y se acidificó con un exceso de una solución acuosa de ácido cítrico al 20% para proporcionar un producto precipitado gomoso. Se agregó acetato de etilo (30 mL) para disolver el producto precipitado, la capa acuosa se saturó con NaCl y la mezcla de reacción se extrajo con acetato de etilo. El extracto se secó sobre $MgSO_4$ y se concentró bajo presión reducida para proporcionar 3.09 g del compuesto del título como un sólido color canela.

RMN-^{1}H ($CDCl_3$) δ 1.47 (s, 9H), 1.75 (m, 2H), 2.13 (m, 2H), 2.88 (m, 2H), 3.2 (m, 1H), 4.22 (m, 2H), 8.19 (s, 1H).

Paso C: Preparación de la (α,*R*)-α-etil-*N*-metil-bencenmetanamina (denominada alternativamente (α,*R*)-α-etil-*N*-metilbencenmetanamina)

Una solución de la (*R*)-(+)-1-fenilpropilamina (9.19 g, 68.1 mmol) en 90 mL de diclorometano se enfrió a -30°C y

se trató con trietilamina (11.4 mL, 81.7 mmol) seguido por la adición gota a gota de cloroformiato de etilo (7.8 mL, 81.7 mmol). La mezcla de reacción se calentó a temperatura ambiente, se agitó durante 1 hora, se vertió en 100 mL de ácido clorhídrico acuoso 1 N y se extrajo con diclorometano. El extracto se lavó con una solución acuosa saturada de bicarbonato de sodio, se secó sobre $MgSO_4$ y se concentró bajo presión reducida para proporcionar 14.2 g de un aceite incoloro. El aceite de disolvió en 15 mL de tetrahidrofurano y se agregó gota a gota a una suspensión de hidruro de litio-aluminio (7.82 g, 206 mmol) en 25 mL de tetrahidrofurano que había sido enfriado a 0°C. La mezcla de reacción se calentó a reflujo durante toda la noche, se enfrió a 0°C y se enfrió rápidamente por la adición secuencial de 8 mL de agua, 8 mL de una solución acuosa de NaOH al 15% y 24 mL de agua. La mezcla se filtró a través de Celite^{MR}, coadyuvante de filtro diatomáceo, el sólido resultante se lavó con acetato de etilo caliente y los productos filtrados y los productos lavados combinados se concentraron bajo presión reducida para proporcionar 7.06 g del compuesto del título como un aceite color amarillo.

RMN-^{1}H ($CDCl_3$) δ 0.81 (t, 3H), 1.4 (s amplio, 1H), 1.55-1.85 (m, 2H), 2.28 (s, 3H), 3.37 (m, 1H), 7.2-7.4 (m, 5H).

Paso D: Preparación de 4-[4-[[metil[(1*R*)-1-fenilpropil]-amino]-carbonil]-2-tiazolil]-1-piperidincarboxilato de 1,1-dimetiletilo

El 4-(4-carboxi-2-tiazolil)-1-piperidincarboxilato de 1-(1,1-dimetiletilo) (es decir el producto del Ejemplo 1, Paso B) (3.1 g, 9.9 mmol) se suspendió en 10 mL de acetonitrilo seco y se trató con trietilamina (3.0 mL, 21 mmol) para proporcionar una solución homogénea. A esto se agregó hexafluorofosfato de *O*-benzotriazol-1-il-*N*,*N*,*N'*,*N'*-tetrametiluronio (3.98 g, 10.5 mmol) seguido por (α*R*)-α-etil-*N*-metilbencenmetanamina (es decir el producto del Ejemplo 1, Paso C) (10 mmol, 1.50 g). La mezcla se agitó a temperatura ambiente durante 4 días, se concentró bajo presión reducida, se diluyó con acetato de etilo, se lavó con ácido clorhídrico acuoso 1 N, solución acuosa saturada de bicarbonato de sodio y salmuera, se secó sobre $MgSO_4$ y se concentró bajo presión reducida para proporcionar 5.1 g de un aceite oscuro. La purificación por medio de la cromatografía en gel de sílice utilizando acetato de etilo al 25% en hexanos proporcionó 3.6 g del compuesto del título como un aceite color amarillo.

RMN-^{1}H ($CDCl_3$) δ 0.9-1.1 (m amplio, 3H), 1.46 (s, 9H), 1.6-1.8 (m, 2H), 1.9-2.2 (m, 4H), 2.7-3.0 (m, 5H), 3.15 (m, 1H), 4.15 (m, 2H), 5.6-6.0 (m, 1H), 7.25-7.45 (m, 5H), 7.8 (s, 1H).

Paso E: Preparación de *N*-metil-*N*-[(*1R*)-1-fenilpropil]-2-(4-piperidinil)-4-tiazolcarboxamida

El 4-[4-[[metil[(*1R*)-1-fenilpropil]amino]carbonil]-2-tiazolil]-1-piperidincarboxilato de 1,1-dimetiletilo (es decir el producto del Ejemplo 1, Paso D) (3.6 g, 8.1 mmol) se disolvió en 100 mL de éter y se trató con 20 mL de HCl 4 N en dioxano. La mezcla de reacción se agitó a temperatura ambiente durante 4 horas, tiempo durante el cual se formó un producto precipitado y se recolectó. El licor madre se concentró bajo presión reducida, se trató con 20 mL de HCl 4 N en dioxano, se agitó a temperatura ambiente durante 1 hora y se concentró bajo presión reducida. El residuo se combinó con el producto precipitado previamente recolectado, se disolvió en agua y se lavó con éter. La capa acuosa se basificó con una solución acuosa de NaOH 1 N y se extrajo con acetato de etilo. El extracto se secó sobre $MgSO_4$ y se concentró bajo presión reducida para proporcionar 2.33 g del compuesto del título como un aceite color anaranjado que era adecuado para el uso en reacciones subsecuentes.

RMN-^{1}H ($CDCl_3$) δ 0.9-1.1 (m amplio, 3H), 1.75 (m, 2H), 1.9-2.2 (m, 5H), 2.7-3.0 (m, 5H), 5.7-6.0 (m, 1H), 7.25-7.45 (m, 5H), 7.8 (s, 1H).

Paso F: Preparación de 2-[1-[(2,5-dimetilfenil)acetil]-4-piperidinil]-*N*-metil-*N*-[(1R)-1-fenilpropil]-4-tiazolcarboxamida

La *N*-metil-N-[(*1R*)-1-fenilpropil]-2-(4-piperidinil)-4-tiazolcarboxamida (es decir el producto del Ejemplo 1, Paso E) (206 mg, 0.6 mmol) se disolvió en 4 mL de diclorometano seco. A esta mezcla de reacción se agregó trietilamina (90 µL, 0.65 mmol), ácido 2,5-dimetilbencenacético (98 mg, 0.6 mmol), clorhidrato de 1-(3-dimetilaminopropil)-3-etilcarbodiimida (125 mg, 0.65 mmol) y una cantidad catalítica de 4-(dimetilamino)piridina (~1 mg). La mezcla se agitó durante toda la noche a temperatura ambiente, se pasó a través de un dispositivo ChemElute^{MR} con capacidad para 5 mL, tubo de filtro diatomáceo, tratado previamente con 3 mL de una solución acuosa de ácido clorhídrico 1 N y se eluyó con 2 volúmenes de columna de diclorometano. La solución de diclorometano se concentró bajo presión reducida y el residuo se purificó por medio de la cromatografía en gel de sílice utilizando acetona para proporcionar 276 mg del producto del título, un compuesto de la presente invención como un aceite color amarillo claro.

RMN-^{1}H ($CDCl_3$) δ 0.9-1.1 (m amplio, 3H), 1.5-1.9 (m, 2H), 1.9-2.2 (m, 4H), 2.23 (s, 3H), 2.26 (s, 3H), 2.7-3.0 (m, 4H), 3.1-3.3 (m, 2H), 3.65 (s, 2H), 3.8 (m amplio, 1H), 4.7 (m amplio, 1H), 5.6-6.0 (m, 1H), 6.9-7.1 (m, 3H) 7.25-7.45 (m,

390

5H), 7.8 (s, 1H).

EJEMPLO 2

Preparación de *N*-metil-2-[1-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piperidinil]-*N*-[(*1R*)-1-fenilpropil]-4-tiazolcarboxamida (Compuesto 117)

Paso A: Preparación de ácido 5-metil-3-(trifluorometil)-1*H*-pirazol-1-acético

Una mezcla del 3-metil-5-trifluorometilpirazol (10.0 g, 66.7 mmol), bromoacetato de etilo (11.1 mL, 100 mmol) y carbonato de potasio (18.4 g, 133 mmol) en 80 mL de *N,N*-dimetilformamida se agitó a temperatura ambiente durante toda la noche. La mezcla color anaranjado se filtró, se diluyó con acetato de etilo, se lavó con agua y salmuera, se secó sobre $MgSO_4$ y se concentró bajo presión reducida para proporcionar 15.7 g del éster de pirazol. El éster, en 100 mL de tetrahidrofurano, se trató con 11 mL de una solución acuosa de NaOH al 50% en 90 mL de agua y se agitó a temperatura ambiente durante toda la noche. El tetrahidrofurano se retiró bajo presión reducida y la solución acuosa se lavó con éter y se acidificó con HCl concentrado a pH 1 para proporcionar un producto precipitado. El producto precipitado se filtró, se lavó con agua y se secó para proporcionar 12.1 g del compuesto del título como un sólido color blanco.

3a1

RMN-^{1}H (Acetona-d_6) δ 2.35 (s, 3H), 5.07 (s, 2H), 6.45 (s, 1H).

Paso B: Preparación de *N*-metil-2-[1-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piperidinil]-*N*-[(*1R*)-1-fenilpropil]-4-tiazolcarboxamida

La *N*-metil-*N*-[(*1R*)-1-fenilpropil]-2-(4-piperidinil)-4-tiazolcarboxamida (es decir el producto del Ejemplo 1, Paso E) (150 mg, 0.44 mmol) se disolvió en 3 mL de diclorometano seco. A esta solución se agregó trietilamina (30 µL, 0.22 mmol), ácido 5-metil-3-(trifluorometil)-1*H*-pirazol-1-acético (83 mg, 0.4 mmol), clorhidrato de 1-(3-dimetilaminopropil)-3-etilcarbodiimida (84 mg, 0.44 mmol) y una cantidad catalítica de 4-(dimetilamino)piridina (~1 mg). La mezcla de reacción se agitó durante toda la noche a temperatura ambiente, se concentró bajo presión reducida y se pasó a través de una columna de gel de sílice (2 g) utilizando hexanos/acetato de etilo 1:1 como eluyente. La solución de diclorometano/hexanos/acetato de etilo se concentró bajo presión reducida y el residuo se purificó por medio de la Cromatografía Líquida de Alta Presión de fase inversa preparativa (CLAP) utilizando un gradiente de solvente que va de 100% de agua a 100% de acetonitrilo para proporcionar 85 mg del producto del título, un compuesto de la presente invención como un aceite.

RMN-^{1}H ($CDCl_3$) δ 0.9-1.1 (m amplio, 3H), 1.7-1.9 (m, 2H),

1.9-2.2 (m, 4H), 2.31 (s, 3H), 2.7-3.0 (m, 4H), 3.2-3.4 (m, 2H), 3.9-4.6 (m amplio, 2H), 4.96 (s amplio, 2H), 5.6-6.0 (m, 1H), 6.3 (s, 1H) 7.20-7.45 (m, 5H), 7.8 (s, 1H).

EJEMPLO 3

Preparación de 2-[1-[(2,5-diclorofenil)acetil]-4-piperidinil]-*N*-metil-*N*-[(*1R*)-1-fenilpropil]-4-tiazolcarboxamida (Compuesto 110)

Paso A: Preparación de ácido 2,5-diclorobencenacético

Una mezcla del bromuro de 2,5-diclorobencilo (5.4 g, 22.5 mmol) en 16 mL de etanol y cianuro de potasio (1.63 g, 25 mmol) en 4 mL de agua se calentó a 80°C durante toda la noche, luego se enfrió y los sólidos se filtraron y se lavaron con etanol para proporcionar 3.5 g de 2,5-diclorofenilacetonitrilo como un polvo color blanco que se fundía a 89-91°C. El nitrilo se suspendió en 20 mL de etanol y se agregaron 20 mL de una solución acuosa de NaOH al 25%. La mezcla se calentó en un reactor de microondas CEM Explore^{MR} a 140°C durante 30 minutos, luego se enfrió y se vertió en agua helada y se acidificó a pH 1 con HCl concentrado para proporcionar un producto precipitado. El producto precipitado se filtró, se lavó con agua y se secó en un horno al vacío a 90°C durante 5 horas para proporcionar el compuesto del título como un polvo color blanco.

RMN-^{1}H ($CDCl_3$) δ 3.79 (s, 2H), 7.2-7.4 (m, 3H).

Paso B: Preparación de 2-[1-[(2,5-diclorofenil)acetil]-4-piperidinil]-*N*-metil-*N*-[(1R)-1-fenilpropil]-4-tiazolcarboxamida

La *N*-metil-*N*-[(*1R*)-1-fenilpropil]-2-(4-piperidinil)-4-tiazolcarboxamida (es decir el producto del Ejemplo 1, Paso E) (171 mg, 0.5 mmol) se disolvió en 3 mL de diclorometano seco. A esto se agregó trietilamina (35 µL, 0.25 mmol), ácido 2,5-diclorobencenacético (102 mg, 0.5 mmol) (es decir el producto del Ejemplo 3, Paso A), clorhidrato de 1-(3-dimetilaminopropil)-3-etilcarbodiimida (100 mg, 0.56 mmol) y una cantidad catalítica de 4-(dimetilamino)piridina (~1 mg). La mezcla se agitó durante toda la noche a temperatura ambiente, se diluyó con diclorometano, se lavó con ácido clorhídrico acuoso 1 N, solución acuosa saturada de bicarbonato de sodio y salmuera, se secó sobre $MgSO_4$ y se concentró bajo presión reducida. El residuo se purificó por medio de la cromatografía en gel de sílice utilizando acetato de etilo para proporcionar 170 mg del producto del título, un compuesto de la presente invención como un aceite.

RMN-^{1}H ($CDCl_3$) δ 0.9-1.1 (m amplio, 3H), 1.65-1.9 (m, 2H), 1.9-2.2 (m, 4H), 2.7-3.0 (m, 4H), 3.25 (m, 2H), 3.8 (s, 2H), 3.9 (m amplio, 1H), 4.6 (m amplio, 1H), 5.6-6.0 (m, 1H), 7.15-7.45 (m, 8H), 7.81 (s, 1H).

EJEMPLO 4

Preparación de 2-[4-[(2,5-dimetilfenil)acetil]-1-piperazinil]-*N*-metil-*N*-[(*1R*)-1-feniletil]-5-tiazolcarboxamida (Compuesto 74)

Paso A: Preparación de 4-[5-(metoxicarbonil)-2-tiazolil]-1-piperazincarboxilato de 1,1-dimetiletilo

El 1-piperazincarboxilato de 1,1-dimetiletilo (1.86 g, 10 mmol), 2-bromo-5-tiazolcarboxilato de metilo (2.0 g, 9.0 mmol), diazabiciclоundeceno (1.5 mL, 10 mmol) y una cantidad catalítica de yoduro de potasio (2 mg) se disolvieron en 10 mL de sulfóxido de dimetilo seco y se agitaron a temperatura ambiente durante 1 hora para proporcionar un producto precipitado. Se agregaron 10 mL adicionales de sulfóxido de dimetilo, la mezcla se calentó brevemente para disolver los sólidos y la mezcla se agitó a temperatura ambiente durante 40 minutos y luego a 50°C durante 2 horas. La solución caliente se agregó gota a gota con agitación a 200 mL de agua fría que contenía 10 mL de ácido clorhídrico acuoso 1 N y el producto precipitado resultante se filtró, se disolvió en éter, se secó sobre $MgSO_4$ y se concentró bajo presión reducida para proporcionar 2.62 g del compuesto del título como un sólido color amarillo claro.

RMN-^{1}H ($CDCl_3$) δ 1.48 (s, 9H), 3.56 (s, 8H), 3.83 (s, 3H), 7.88 (s, 1H).

Paso B: Preparación de 4-(5-carboxi-2-tiazolil)-1-piperazin-carboxilato de 1-(1,1-dimetiletilo)

El 4-[5-(metoxicarbonil)-2-tiazolil]-1-piperazin-carboxilato de 1,1-dimetiletilo (es decir el producto del Ejemplo 4, Paso A) (2.56 g, 8 mmol) en 15 mL de metanol y 15 mL de tetrahidrofurano se agregaron a una solución acuosa de NaOH 1 N (10 mL) y la mezcla se agitó a temperatura ambiente durante toda la noche. La mezcla se concentró bajo presión reducida, se diluyó con agua, se lavó con éter y se acidificó con un exceso de una solución acuosa de ácido cítrico la 20% para proporcionar un producto precipitado. El producto precipitado se filtró, se lavó con agua y se secó para proporcionar 2.12 g del compuesto del título como un sólido color ligeramente rosa.

RMN-^{1}H ($CDCl_3$) δ 1.49 (s, 9H), 3.58 (s amplio, 8H), 7.97 (s, 1H).

Paso C: Preparación de 4-[5-[[metil[(1*R*)-1-feniletil]-amino}carbonil]-2-tiazolil]-1-piperazincarboxilato de 1,1-dimetiletilo

El 4-(5-carboxi-2-tiazolil)-1-piperazincarboxilato de 1-(1,1-dimetiletilo) (es decir el producto del Ejemplo 4, Paso B) (1.0 g, 3.2 mmol,) se suspendió en 10 mL de acetonitrilo seco y se trató con trietilamina (892 µL, 6.4 mmol) para proporcionar una solución homogénea. A esto se agregó hexafluorofosfato de *O*-benzotriazol-1-il-*N*,*N*,*N*',*N*'-

tetrametiluronio (1.33 g, 3.5 mmol) seguido por (αR)-*N*,α dimetilbencenmetanamina (es decir el producto del Ejemplo 1, Paso C) (200 µL, 1.38 mmol). La mezcla de reacción se agitó a temperatura ambiente durante 3 días, se concentró bajo presión reducida, se diluyó con acetato de etilo, se lavó con ácido clorhídrico acuoso 1 N, solución acuosa saturada de bicarbonato de sodio y salmuera, se secó sobre sulfato de magnesio y se concentró bajo presión reducida para proporcionar 1.38 g de una espuma color anaranjada. La purificación por medio de la cromatografía en gel de sílice utilizando un gradiente de acetato de etilo/hexanos de 1:9 a 100:0 proporcionó 0.78 g del compuesto del título como un sólido color amarillo.

RMN-^{1}H ($CDCl_3$) δ 1.48 (s, 9H), 1.6 (m, 3H), 2.88 (s, 3H), 3.54 (m amplio, 8H), 5.9 (m, 1H), 7.25-7.45 (m, 5H), 7.47 (s, 1H).

Paso D: Preparación de *N*-metil-*N*-[(1*R*)-1-feniletil]-2-(1-piperazinil)-5-tiazolcarboxamida

El 4-[5-[[metil[(1*R*)-1-feniletil]amino]carbonil]-2-tiazolil]-1-piperazincarboxilato de 1,1-dimetiletilo (es decir el producto del Ejemplo 4, Paso C) (0.75 g, 1.7 mmol) en 10 mL de metanol y 10 mL de diclorometano se trató con 15 mL de HCl 1 N en éter. La mezcla de reacción se agitó a temperatura ambiente durante toda la noche, se concentró bajo presión reducida, se disolvió en agua y salmuera, se basificó

con una solución acuosa de NaOH 1 N y se extrajo con diclorometano. El extracto se secó sobre $MgSO_4$ y se concentró bajo presión reducida para proporcionar 0.48 g del compuesto del título como un aceite color amarillo.

RMN-^{1}H ($CDCl_3$) δ 1.6 (d, 3H), 2.87 (s, 3H), 2.98 (m, 4H), 3.52 (m, 4H), 5.9 (m, 1H), 7.25-7.45 (m, 5H), 7.47 (s, 1H).

Paso E: Preparación de 2-[4-[(2,5-dimetilfenil)acetil]-1-piperazinil]-*N*-metil-*N*-[(1*R*)-1-feniletil]-5-tiazolcarboxamida

El ácido 2,5-dimetilfenilacético (1.64 g, 10 mmol) en 40 mL de diclorometano seco se trató con cloruro de oxalilo (1.0 mL, 11 mmol) y una cantidad catalítica de *N,N*-dimetilformamida (1 gota) y se dejó agitar a temperatura ambiente durante toda la noche. La mezcla de reacción se concentró bajo presión reducida para proporcionar cloruro de 2,5-dimetilfenilacetilo como un aceite. El cloruro ácido (97 µL, 0.6 mmol) se agregó a una mezcla de *N*-metil-*N*-[(1*R*)-1-feniletil]-2-(1-piperazinil)-5-tiazolcarboxamida (es decir el producto del Ejemplo 4, Paso D) (165 mg, 0.5 mmol) y 4-(dimetilamino)piridina enlazada a polímero (PS-DMAP, 1.4 meq (mili-equivalente)/g, 1.0 g) en 15 mL de diclorometano, que luego se agitó durante 3 horas, se filtró y se concentró bajo presión reducida para proporcionar 140 mg del producto del título, un compuesto de la presente invención como un sólido

color amarillo.

RMN-^{1}H ($CDCl_3$) δ 1.6 (d amplio, 3H), 2.24 (s, 3H), 2.28 (s, 3H), 2.87 (s, 3H), 3.42 (m, 2H), 3.55 (m, 4H), 3.69 (s, 2H), 3.82 (m, 2H), 5.9 (m, 1H), 6.9-7.1 (m, 3H) 7.25-7.45 (m, 5H), 7.46 (s, 1H).

EJEMPLO 5

Preparación de *N*-metil-2-[1-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piperidinil]-*N*-[(*1R*)-1-feniletil]-4-tiazolcarboxamida (Compuesto 70)

Paso A: Preparación de 4-[4-[[metil[(1*R*)-1-feniletil]-amino]carbonil]-2-tiazolil]-1-piperidincarboxilato

El 4-(4-carboxi-2-tiazolil)-1-piperidincarboxilato de 1-(1,1-dimetiletilo) (es decir el producto del Ejemplo 1, Paso B) (6.86 g, 21.96 mmol) se suspendió en 30 mL de acetonitrilo seco y se trató con trietilamina (6.12 mL, 43.92 mmol) para proporcionar una solución homogénea. A esto se agregó hexafluorofosfato de *O*-benzotriazol-1-il-*N*,N,*N'*,*N'*-tetrametiluronio (9.16 g, 24.16 mmol) seguido por (*α,R*)-*N*,*α*-dimetilbencenmetanamina (3.19 mL, 21.96 mmol). La mezcla de reacción se agitó a temperatura ambiente durante 3 días, se concentró bajo presión reducida, se diluyó con acetato de etilo, se lavó con ácido clorhídrico acuoso 1 N, solución acuosa saturada de bicarbonato de sodio y salmuera, se secó sobre sulfato de magnesio y se concentró bajo presión

reducida para proporcionar un aceite oscuro. La purificación por medio de la cromatografía en gel de sílice utilizando acetato de etilo al 25-100% en hexanos como eluyente proporcionó 9.12 g del compuesto del título como un aceite. RMN-^{1}H ($CDCl_3$) δ 1.46 (s, 9H), 1.6-1.8 (m, 5H), 2.0-2.2 (m, 2H), 2.7-3.0 (m, 5H), 3.15 (m, 1H), 4.15 (m, 2H), 5.7-6.2 (m, 1H), 7.25-7.45 (m, 5H), 7.81 (s, 1H).

Paso B: Preparación de *N*-metil-2-[1-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piperidinil]-*N*-[(1*R*)-1-feniletil]-4-tiazolcarboxamida

Una solución de 400 mg (0.77 mmol) del 4-[4-[[metil[(1*R*)-1-feniletil]amino]carbonil]-2-tiazolil]-1-piperidincarboxilato del 1,1-dimetiletilo (es decir el producto del Ejemplo 5, Paso A) en 10 mL de una mezcla 1:1 de metanol y diclorometano se trató con 10 mL de ácido clorhídrico 2 N en éter y se agitó a temperatura ambiente durante 4 horas. La mezcla de reacción se concentró en un evaporador giratorio y el residuo se trató tres veces con 10 mL de metanol seguido por la concentración para dejar el clorhidrato de piperidina crudo. La mezcla de reacción entonces se disolvió en 10 mL de acetonitrilo y se agregó 1.0 mL de trietilamina. Mientras tanto, una solución de 310 mg (1.49 mmol) del ácido 5-metil-3-(trifluorometil)-1*H*-pirazol-1-acético en 10 mL de acetonitrilo se trató con 1.0 mL de una

solución de anhídrido cíclico de ácido 1-propanofosfónico (50% en acetato de etilo), se agitó a temperatura ambiente durante 15 minutos, luego se combinó con la solución de amina anterior. La mezcla de reacción se agitó a temperatura ambiente durante toda la noche, se diluyó con 50 mL de acetato de etilo, se lavó con ácido clorhídrico acuoso 1 N, hidróxido de sodio acuoso 1 N y salmuera, se secó con $MgSO_4$, se filtró y se concentró bajo presión reducida. La purificación por medio de la Cromatografía Líquida de Presión Intermedia (CLPI) sobre gel de sílice utilizando acetato de etilo/metanol como eluyente proporcionó 330 mg del producto del título, un compuesto de la presente invención como un sólido color blanco.

RMN-^{1}H ($CDCl_3$) δ 1.60-1.80 (m, 5 H), 2.18 (m, 2 H), 2.30 (s, 3H), 2.80 (m, 5 H), 3.27 (m, 2 H), 4.00 (m, 1H), 4.95 (s, 2 H), 5.79 y 6.14 (m, 1H total), 6.35 (s, 1H), 7.37 (m, 5H), 7.84 (s, 1H).

EJEMPLO 6

Preparación general de 2-[1-[(fenilo sustituido)acetil]-4-piperidinil]-*N*-metil-*N*-[(1*R*)-1,2,3,4-tetrahidro-1-naftalenilo]-4-tiazolcarboxamida (Compuesto 144, Compuesto 145, Compuesto 146, Compuesto 147, Compuesto 148 y Compuesto 132) y *N*-metil-2-[1-[[1*H*-pirazol-1-ilo sustituido]acetil]-4-piperidinil]-N-[(1*R*)-1,2,3,4-tetrahidro-1-naftalenil]-4-tiazolcarboxamida (Compuesto 149 y Compuesto 150)

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Paso A: Preparación de (1*R*)-1,2,3,4-tetrahidro-*N*-metil-1-naftalenamina

La (1*R*)-1,2,3,4-tetrahidro-1-naftalenamina (5.0 g, 34 mmol) se calentó en 15 mL de formiato de etilo 60°C durante toda la noche, tiempo durante el cual se formó un producto precipitado. La mezcla de reacción se agregó a 100 mL de hexanos con agitación y los sólidos resultantes se filtraron, se lavaron con hexanos y se secaron para proporcionar 4.63 g de la formamida como agujas color blanco. La formamida resultante (4.54 g, 26 mmol) se disolvió en 50 mL de tetrahidrofurano y se agregó gota a gota a una suspensión de hidruro de litio-aluminio (1.1 g, 29 mmol) en 20 mL de tetrahidrofurano que se había enfriado a 0°C. La mezcla de reacción se calentó a reflujo durante toda la noche, luego se enfrió a 0°C y se enfrió rápidamente por medio de la adición secuencial de 1.1 mL de agua, 1.1 mL de una solución acuosa de NaOH al 15% y 3.3 mL de agua. La mezcla se agitó a temperatura ambiente durante 30 minutos y se diluyó con acetato de etilo. Se agregaron varios gramos de $MgSO_4$ y la mezcla se filtró a través de un coadyuvante de filtro diatomáceo de Celite^{MR} y se concentró bajo presión reducida para proporcionar 4.0 g del compuesto del título como un aceite incoloro.

RMN-^{1}H ($CDCl_3$) δ 1.17 (s, 1H), 1.65-2.0 (m, 4H), 2.47 (s, 3H), 2.65-2.85 (m, 2H), 3.63 (m, 1H), 7.0-7.35 (m, 4H).

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Paso B: Preparación de 4-[4-[(metil[(1*R*)-1,2,3,4-tetrahidro-1-naftalenil]amino]carbonil]-2-tiazolil]-1-piperidincarboxilato de 1,1-dimetiletilo

Una mezcla del 4-[4-carboxi-2-tiazolil]-1-piperidincarboxilato de 1-(1,1-dimetiletilo) (es decir el producto del Ejemplo 1, Paso B) (3.84 g, 12.29 mmol), clorhidrato de 1-[3-(dimetilamino)propil]-3-etilcarbodiimida (2.36 g, 12.29 mmol) y trietilamina (2.3 mL, 16.76 mmol) en 50 mL de diclorometano se agitó durante 15 minutos a temperatura ambiente. La (1*R*)-1,2,3,4-tetrahidro-*N*-metil-1-naftalenamina (es decir el producto del Ejemplo 6, Paso A) (2.18 g, 13.5 mmol) se agregó y la mezcla de reacción se agitó durante 16 horas. La mezcla de reacción se diluyó con 20 mL de diclorometano, se lavó con ácido clorhídrico acuoso 1 N, agua, solución acuosa saturada de bicarbonato de sodio, agua y salmuera, se secó sobre $MgSO_4$ y se concentró bajo presión reducida. El residuo se purificó por medio de la cromatografía en gel de sílice utilizando de 20% a 100% de acetato de etilo en hexanos para proporcionar 2.4 g del compuesto del título como un aceite.

RMN-^{1}H ($CDCl_3$) δ 1.46-1.48 (s, 9H), 1.62-2.35 (m, 9H), 2.7-2.93 (m, 6H), 3.08-3.10 (m, 1H), 4.06-4.10 (m, 2H), 5.68-6.04 (m, 1H), 7.1-7.2 (m, 4H), 7.82-7.83 (m, 1H).

Paso C: Preparación de monoclorhidrato de *N*-metil-2-(4-piperidinil)-*N*-[(*1R*)-1,2,3,4-tetrahidro-1-naftalenil]-4-tiazolcarboxamida

El 4-[4-[(metil[(1*R*)-1,2,3,4-tetrahidro-1-naftalenil]amino]carbonil]-2-tiazolil]-1-piperidincarboxilato de 1,1-dimetiletilo (es decir el producto del Ejemplo 6, Paso B) (2.4 g, 5.26 mmol) se disolvió en 20 mL de una mezcla de diclorometano y metanol (1:1) y se agregaron 13.15 mL (52.6 mmol) de HCl 1 N en dioxano. La mezcla de reacción se agitó a temperatura ambiente durante 2 horas y luego se concentró bajo presión reducida. El residuo se disolvió en 20 ml de metanol y se concentró bajo presión reducida (este procedimiento se repitió 3 veces) dando por resultado 1.7 g del compuesto del título como un sólido.

RMN-^{1}H (DMSO-D_6) δ 1.80-2.05 (m, 3H), 2.1-2.3 (m, 2H), 2.5-2.9 (m, 8H), 2.92-3.12 (m, 2H), 3.25-3.42 (m, 3H), 4.55-4.63 (m, 2H), 5.3-5.8 (m, 1H), 7.0-7.2 (m, 4H), 8.1-8.14 (m, 1H), 8.72-8.88 (m, 1H), 9.0-9.1 (m, 1H).

Paso D: Preparación general de 2-[1-[(fenilo sustituido)-acetil]-4-piperidinil]-*N*-metil-*N*-[(1*R*)-1,2,3,4-tetrahidro-1-naftalenil]-4-tiazolcarboxamida y *N*-metil-2-[1-[[1*H*-pirazol-1-ilo sustituido]acetil]-4-piperidinil]-*N*-[(1*R*)-1,2,3,4-tetrahidro-1-naftalenil]-4-tiazolcarboxamida

Una mezcla del monoclorhidrato de *N*-metil-2-(4-

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piperidinil)-*N*-[(*1R*)-1,2,3,4-tetrahidro-1-naftalenil]-4-tiazolcarboxamida (es decir el producto del Ejemplo 6, Paso C) (157 mg, 0.4 mmol), el ácido aril- o heteroarilacético apropiado (0.44 mmol), clorhidrato de 1-[3-(dimetilamino)propil]-3-etilcarbodiimida (92 mg, 0.48 mmol), trietilamina (100 µL) y una cantidad catalítica de 4-(dimetilamino)piridina (~1 mg) en 3 mL de diclorometano se agitó a temperatura ambiente durante 16 horas. La mezcla de reacción se diluyó con 10 mL de diclorometano, se lavó con ácido clorhídrico acuoso 1 N, agua y salmuera, se secó sobre $MgSO_4$ y se concentró bajo presión reducida. Los productos se purificaron por medio de la cromatografía en gel de sílice utilizando acetato de etilo o una mezcla de acetato de etilo con metanol al 20% como eluyente para proporcionar los siguientes productos del título, compuestos de la presente invención como aceites.

2-[1-[(2,5-diclorofenil)acetil]-4-piperidinil]-*N*-metil-*N*-[(1*R*)-1,2,3,4-tetrahidro-1-naftalenil]-4-tiazolcarboxamida (Compuesto 144); RMN ^1H ($CDCl_3$) δ 1.7-2.24 (m, 8H), 2.7-3.0 (m, 6H), 3.2-3.3 (m, 2H), 3.8-4.0 (m, 3H), 4.5-4.7 (m, 1H), 5.62-6.05 (m, 1H), 7.05-7.3 (m, 7H), 7.85 (d, 1H).

2-[1-[(2-metoxifenil)acetil]-4-piperidinil]-*N*-metil-*N*-[(1*R*)-1,2,3,4-tetrahidro-1-naftalenil]-4-tiazolcarboxamida (Compuesto 145); RMN ^1H ($CDCl_3$) δ 1.5-2.24 (m, 8H), 2.7-2.9 (m, 5H), 3.05-3.1 (m, 2H), 3.62-4.01 (m, 7H), 4.58-4.65 (m,

1H), 5.62-6.05 (m, 1H), 6.82-7.27 (m, 8H), 7.82 (d, 1H).

2-[1-[(2-metoxi-5-metilfenil)acetil]-4-piperidinil]-*N*-metil-*N*-[(1R)-1,2,3,4-tetrahidro-1-naftalenil]-4-tiazolcarboxamida (Compuesto 146); RMN ^{1}H ($CDCl_3$) δ 1.5-2.2 (m, 11H), 2.7-2.9 (m, 6H), 3.05-3.22 (m, 2H), 3.6-4.0 (m, 6H), 4.57-4.72 (m, 1H), 5.6-6.04 (m, 1H), 6.76-7.22 (m, 7H), 7.82 (d, 1H).

2-[1-[(2-cloro-5-(trifluorometil)fenil)acetil]-4-piperidinil]-*N*-metil-*N*-[(1*R*)-1,2,3,4-tetrahidro-1-naftalenil]-4-tiazolcarboxamida (Compuesto 147); RMN ^{1}H ($CDCl_3$) δ 1.6-2.0 (m, 5H), 2.1-2.2 (m, 3H), 2.7-3.0 (m, 6H), 3.22-3.35 (m, 2H), 3.85-4.0 (m, 3H), 4.5-4.7 (m, 1H), 5.6-6.05 (m, 1H), 7.05-7.6 (m, 7H), 7.83 (d, 1H).

2-[1-[(5-bromo-2-metoxifenil)acetil]-4-piperidinil]-*N*-metil-*N*-[(1*R*)-1,2,3,4-tetrahidro-1-naftalenil]-4-tiazolcarboxamida (Compuesto 148); RMN ^{1}H ($CDCl_3$) δ 1.6-2.25 (m, 9H), 2.7-2.9 (m, 5H), 3.15-3.28 (m, 2H), 3.6-3.7 (m, 2H), 3.8 (m, 3H), 3.9-4.0 (m, 1H), 4.5-4.7 (m, 1H), 5.62-6.07 (m, 1H), 6.7-6.72 (m, 1H), 7.1-7.35 (m, 6H), 7.82 (d, 1H).

N-metil-2-[1-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piperidinil]-*N*-[(1*R*)-1,2,3,4-tetrahidro-1-naftalenil]-4-tiazolcarboxamida (Compuesto 149); RMN ^{1}H ($CDCl_3$) δ 1.6-2.1 (m, 5H), 2.1-2.3 (m, 3H), 2.32 (m, 3H), 2.7-3.0 (m, 6H), 3.2-3.35 (m, 2H), 3.95-4.1 (m, 1H), 4.35-4.6 (m, 1H), 4.96-5.02 (m, 2H), 5.6-6.1 (m, 1H), 6.32 (s, 1H), 7.05-7.25 (m, 4H), 7.85 (m, 1H).

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2-[1-[(3,5-dimetil-1*H*-pirazol-1-il)acetil]-4-piperidinil]-*N*-metil-*N*-[(1*R*)-1,2,3,4-tetrahidro-1-naftalenil]-4-tiazolcarboxamida (Compuesto 150); RMN ^{1}H ($CDCl_3$) δ 1.7-2.2 (m, 14H), 2.7-2.9 (m, 5H), 3.2-3.3 (m, 2H), 3.95-4.6 (m, 3H), 5.05 (m, 2H), 5.85 (s, 1H), 5.65-6.05 (m, 1H), 7.12-7.3 (m, 4H), 7.85 (m, 1H).

2-[1-[(2,5-dimetilfenil)acetil]-4-piperidinil]-*N*-metil-*N*-[(1*R*)-1,2,3,4-tetrahidro-1-naftalenil]-4-tiazolcarboxamida (Compuesto 132); RMN ^{1}H ($CDCl_3$) δ 1.6-2.3 (m, 8H), 2.7-2.9 (m, 7H), 3.1-3.3 (m, 2H), 3.63-3.65 (m, 2H), 3.8-3.9 (m, 1H), 4.4.55-4.77 (m, 1H), 5.62-6.07 (m, 1H), 6.92-7.22 (m, 7H), 7.82-7.85 (m, 1H).

EJEMPLO 7

Preparación de *N*-metil-2-[1-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piperidinil]-*N*-[(1*R*)-1-fenilpropil]-4-oxazolcarboxamida (Compuesto 152)

Paso A: Preparación de 4-[[[1-(hidroximetil)-2-metoxi-2-oxoetil]amino]carbonil]-1-piperidincarboxilato de 1,1-dimetiletilo

Una mezcla de 1,4-piperidincarboxilato ácido de 1-(1,1-dimetiletilo) (5.5 g, 24 mmol), clorhidrato de 1-[3-(dimetilamino)propil]-3-etilcarbodiimida (5.08 g, 26.5 mmol), y N-metilmorfolina (2.75 mL, 25 mmol) en 100 mL de diclorometano se agitó a temperatura ambiente durante 15

minutos. El clorhidrato de éster metílico de *DL*-Serina (3.89 g, 25 mmol) se agregó y la mezcla de reacción se agitó a temperatura ambiente durante 16 horas. La mezcla de reacción se dividió entre ácido clorhídrico acuoso 1 N y diclorometano y la capa orgánica se lavó con ácido clorhídrico acuoso 1 N, agua y salmuera, se secó sobre $MgSO_4$ y se concentró bajo presión reducida para proporcionar 6.58 g del compuesto del título.

RMN-^{1}H ($CDCl_3$) δ 1.45 (s, 9H), 1.62-1.75 (m, 3H), 1.9 (m, 2H), 2.38 (t, 1H), 2.7-2.8 (m, 3H), 3.8 (s, 3H), 3.9-4.0 (m, 2H), 4.08 (s amplio, 2H), 4.68 (m, 1H), 6.5 (m, 1H).

Paso B: Preparación de 4-[4,5-dihidro-4-(metoxicarbonil)-2-oxazolil]-1-piperidincarboxilato de 1,1-dimetiletilo

A una solución de 4-[[[1-(hidroximetil)-2-metoxi-2-oxoetil]amino]carbonil]-1-piperidincarboxilato de 1,1-dimetiletilo (es decir el producto del Ejemplo 7, Paso A) (6.58 g, 19.92 mmol) en 70 mL de acetonitrilo seco y 20 mL de diclorometano seco se agregó trifenilfosfina (7.8 g, 29.87 mmol) y luego 4.12 g (31.87 mmol) de *N,N*-diisopropiletilamina. La mezcla de reacción se agitó hasta que era homogénea y se agregaron gota a gota 4.59 g (29.87 mmol) de tetracloruro de carbono durante 5 minutos. La mezcla de reacción se agitó durante 2.5 horas a temperatura ambiente, se enfrió a 0°C y se diluyó con 170 mL de acetato

de etilo seguido por 50 mL de una solución acuosa saturada de bicarbonato de sodio. La mezcla se agitó durante 10 minutos, se vertió en 120 mL de agua y la capa orgánica se separó, se lavó con salmuera, se secó sobre $MgSO_4$ y se concentró bajo presión reducida para proporcionar un aceite color amarillo. El aceite se purificó por medio de la cromatografía en gel de sílice utilizando acetato de etilo al 75-100% en hexanos como eluyente para proporcionar 2.95 g del compuesto del título como un aceite que contiene trazas de trifenilfosfina. RMN-^{1}H ($CDCl_3$) δ 1.43 (s, 9H), 1.6-176 (m, 2H), 1.86-1.91 (m, 2H), 2.47-2.55 (m, 1H), 2.80-2.86 (m, 2H), 3.8 (s, 3H), 4.02 (s amplio, 2H), 4.38-4.50 (m, 2H), 4.71-4.75 (m, 1H).

Paso C: Preparación de 4-[4-(metoxicarbonil)-2-oxazolil]-1-piperidincarboxilato de 1,1-dimetiletilo

A una solución del 4-[4,5-dihidro-4-(metoxicarbonil)-2-oxazolil]-1-piperidincarboxilato de 1,1-dimetiletilo (es decir el producto del Ejemplo 7, Paso B) (2.89 g, 9.26 mmol) en 100 mL de diclorometano a 0°C se agregó 1.52 mL (10.18 mmol) del 1,8-diazabiciclo[5.4.0]undec-7-eno (DBU) y la mezcla de reacción se agitó durante 10 minutos a 0°C. El bromotriclorometano (1 mL, 10.18 mmol) se agregó gota a gota durante 7 minutos y la mezcla de reacción se agitó durante 6 horas a 0°C. La mezcla se lavó con cloruro de amonio acuoso saturado (2 x 50 mL), la fase acuosa se

extrajo con acetato de etilo (2 x 25 mL) y las capas orgánicas combinadas se secaron sobre sulfato de magnesio y se concentraron bajo presión reducida. El residuo se purificó por medio de la cromatografía en gel de sílice utilizando 25-75% de acetato de etilo en hexanos como eluyente para proporcionar 1.41 g del compuesto del título como cristales color blanco.

RMN-^{1}H ($CDCl_3$) δ 1.46 (s, 9H), 1.77-1.85 (m, 2H), 2.00-2.05 (m, 2H), 2.85-2.92 (m, 2H), 2.99-3.02 (m, 1H), 3.9 (s, 3H), 4.08-4.15 (m, 2H), 8.18 (s, 1H).

Paso D: Preparación de 4-(4-carboxi-2-oxazolil)-1-piperidincarboxilato de 1-(1,1-dimetiletilo)

El 4-[4-(metoxicarbonil)-2-oxazolil]-1-piperidincarboxilato de 1-(1,1-dimetiletilo) (es decir el producto del Ejemplo 7, Paso C) (1.41 g, 4.55 mmol) se disolvió en 12 mL de tetrahidrofurano y se agregaron 8 mL de agua. La mezcla de reacción se enfrió a 0°C con agitación vigorosa. Una solución acuosa de hidróxido de sodio 1 N (9.1 mL) se agregó gota a gota y la mezcla de reacción se agitó a temperatura ambiente durante 2 horas. La mezcla se diluyó con una solución saturada de cloruro de sodio (10 mL), se agregaron 30 mL de éter dietílico y la fase acuosa se acidificó a pH 3-4 por medio de la adición gota a gota de una solución de ácido cítrico al 20%. El sólido precipitado se

filtró y se secó para proporcionar 1.21 g del compuesto del título.

RMN-^{1}H (DMSO-d_6) δ 1.4 (s, 9H), 1.55-1.60 (m, 2H), 1.92-2.00 (m, 2H), 2.90-2.99 (m, 2H), 3.00-3.1 (m, 1H), 3.9-4.0 (m, 2H), 8.45 (s, 1H).

Paso E: Preparación de 4-[4-[[metil[(1*R*)-1-fenilpropil]-amino]carbonil]-2-oxazolil]-1-piperidincarboxilato de 1,1-dimetiletilo

Una mezcla del 4-(4-carboxi-2-oxazolil)-1-piperidincarboxilato de 1-(1,1-dimetiletilo) (es decir el producto del Ejemplo 7, Paso D) (600 mg, 2.02 mmol), clorhidrato de 1-[3-(dimetilamino)propil]-3-etilcarbodiimida (425 mg, 2.22 mmol) y *N*-metilmorfolina (224 mg, 2.22 mmol) en 4 mL de diclorometano se agitó durante 15 minutos a temperatura ambiente. A la mezcla de reacción se agregaron 392.5 mg (2.63 mmol) de (α*R*)-α-etil-*N*-metilbencenmetanamina y la mezcla de reacción se agitó a temperatura ambiente durante 16 horas. La mezcla de reacción se vertió en 4 mL de ácido clorhídrico acuoso 1 N y la capa orgánica se lavó con ácido clorhídrico acuoso 1 N, agua y salmuera, se secó ($MgSO_4$) y se concentró bajo presión reducida. El residuo se purificó por medio de la cromatografía en gel de sílice utilizando 25-75% de acetato de etilo en hexanos como eluyente para proporcionar 209 mg del compuesto del título como un aceite.

RMN-^{1}H ($CDCl_3$) δ 0.95-1.02 (m, 3H), 1.42 (s, 9H), 1.72-1.86 (m, 2H), 1.90-2.11 (m, 4H), 2.9-3.0 (m, 4H), 4.0-4.1 (m, 2H), 5.9-6.2 (m, 1H), 7.2-7.4 (m, 5H), 8.1 (s, 1H).

Paso F: Preparación de *N*-metil-2-[1-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piperidinil]-*N*-[(*1R*)-1-fenilpropil]-4-oxazolcarboxamida

El 4-[4-[[metil[(1*R*)-1-fenilpropil]amino]carbonil]-2-oxazolil]-1-piperidincarboxilato de 1,1-dimetiletilo (es decir el producto del Ejemplo 7, Paso E) (209 mg, 0.49 mmol) se disolvió en 3 mL de una mezcla de diclorometano y metanol (1:1) y se agregó 1.23 mL (4.9 mmol) de HCl 1 N en dioxano. La mezcla de reacción se agitó a temperatura ambiente durante 3 horas. Los solventes se evaporaron bajo presión reducida y el residuo se disolvió en 5 mL de metanol y se concentró bajo presión reducida (este procedimiento se repitió 3 veces) para proporcionar el clorhidrato de amina. A la solución del ácido 5-metil-3-(trifluorometil)-1*H*-pirazol-1-acético (89.5 mg, 0.43 mmol) y trietilamina (87 mg, 0.86 mmol) en 2 mL de acetonitrilo seco se agregó una suspensión de hexafluorofosfato de *O*-benzotriazol-1-il-*N*,*N*,*N'*,*N'*-tetrametiluronio (178.25 mg. 0.47 mmol) en 2 mL de acetonitrilo y luego una mezcla de 140 mg (0.43 mmol) del clorhidrato de amina en 2 mL acetonitrilo. La mezcla

resultante se agitó a temperatura ambiente durante 3 horas y se concentró bajo presión reducida. El residuo se purificó por medio de la cromatografía en gel de sílice utilizando 25-75% de acetato de etilo en hexanos para proporcionar 84 mg del producto del título, Un compuesto de la presente invención como un aceite.

RMN-^{1}H ($CDCl_3$) δ 0.90-1.04 (m, 3H), 1.71-1.89 (m, 2H), 1.90-2.19 (m, 4H), 2.28-2.35 (m, 3H), 2.72 (s, 2H), 3.00-3.2 (m, 3H), 3.30-3.36 (t, 1H), 3.87-4.35 (m, 2H), 4.98 (s, 2H), 5.92-6.12 (m, 1H), 6.3 (s, 1H), 7.25-7.4 (m, 5H), 8.08-8.15 (s amplio, 1H).

EJEMPLO 8

Preparación de *N*-metil-2-[1-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piperidinil]-*N*-[(1*R*)-1,2,3,4-tetrahidro-1-naftalenil]-4-oxazolcarboxamida (Compuesto 151)

Paso A: Preparación de 4-[4-[[metil[(1*R*)-1,2,3,4-tetrahidro-1-naftalenil]amino]carbonil]-2-oxazolil]-1-piperidincarboxilato de 1,1-dimetiletilo

Una mezcla del 4-(4-carboxi-2-oxazolil)-1-piperidincarboxilato de 1-(1,1-dimetiletilo) (600 mg, 2.02 mmol), clorhidrato de 1-[3-(dimetilamino)propil]-3-etilcarbodiimida (425.57 mg, 2.22 mmol) y *N*-metilmorfolina (224.55 mg, 2.22 mmol) en 4 mL de diclorometano se agitó durante 15 minutos a temperatura ambiente. A la mezcla de

reacción se agregaron 424 mg (2.63 mmol) de (1*R*)-1,2,3,4-tetrahidro-*N*-metil-1-naftalenamina y la mezcla de reacción se agitó durante 16 horas. La mezcla de reacción se concentró bajo presión reducida y el residuo se purificó por medio de la cromatografía en gel de sílice utilizando 25-75% de acetato de etilo en hexanos como eluyente para proporcionar 360 mg del compuesto del título como un aceite.

RMN-^{1}H ($CDCl_3$) δ 1.42 (s, 9H), 1.68-2.26 (m, 9H), 2.72-3.01 (m, 7H), 4.0-4.1 (m, 2H), 6.0-6.1 (m, 1H), 7.10-7.18 (m, 4H), 8.12-8.14 (m, 1H).

Paso B: Preparación de *N*-metil-2-[1-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piperidinil]-*N*-[(1*R*)-1,2,3,4-tetrahidro-1-naftalenil]-4-oxazolcarboxamida

El 4-[4-[[metil[(1*R*)-1,2,3,4-tetrahidro-1-naftalenil]amino]-carbonil]-2-oxazolil]-1-piperidin-carboxilato de 1,1-dimetiletilo (es decir el producto del Ejemplo 8, Paso A) (317 mg, 0.72 mmol) se disolvió en 3 mL de una mezcla de diclorometano y metanol (1:1) y se agregó 1.8 mL (7.2 mmol) de HCl 1 N en dioxano. La mezcla de reacción se agitó a temperatura ambiente durante 3 horas. Los solventes se evaporaron bajo presión reducida y el residuo se disolvió en 5 mL de metanol y se evaporó (esta secuencia se repitió 3 veces) proporcionando el clorhidrato de amina. Una mezcla del

ácido 5-metil-3-trifluorometilpirazol-1-ilacético (179 mg, 0.86 mmol), clorhidrato de 1-[3-(dimetilamino)propil]-3-etilcarbodiimida (191.7 mg, 1.00 mmol) y 1-metilmorfolina (354 mg, 3.5 mmol) en 3 mL de diclorometano seco se agitó durante 15 minutos a temperatura ambiente y se agregó una solución del clorhidrato de amina en 2 mL de diclorometano seco. La mezcla resultante se secó a temperatura ambiente durante 16 horas y se concentró bajo presión reducida. El residuo se purificó medio de la cromatografía en gel de sílice utilizando acetato de etilo al 75% en hexanos como eluyente para proporcionar 79 mg del producto del título, un compuesto de la presente invención como un aceite.

RMN-^{1}H ($CDCl_3$) δ 1.70-2.00 (m, 5H), 2.01-2.32 (m, 6H), 2.72-3.2 (m, 7H), 3.28-3.40 (m, 1H), 3.85-4.4 (m, 2H), 4.96-5.00 (m, 2H), 5.97-6.1 (m, 1H), 6.29-6.31 (m, 1H), 7.1-7.2 (m, 4H), 8.13-8.18 (m, 1H).

EJEMPLO 9

Preparación de 2-[1-[(2,5-dimetilfenil)acetil]-4-piperidinil]-*N*-metil-*N*-[(1*R*)-1,2,3,4-tetrahidro-1-naftalenil]-4-oxazolcarboxamida (Compuesto 153)

Paso A: Preparación de 1-[(2,5-dimetilfenil)acetil]-4-piperidin-carboxilato de metilo

Una solución de 2.86 g (20 mmol) de isonipecotato de metilo y 2.53 g (2.5 mmol) de trietilamina en 10 mL de

diclorometano seco se enfrió a 0°C y se agregó gota a gota una solución de 4.02 g (22 mmol) de cloruro de 2,5-dimetilfenilacetilo en 5 mL de diclorometano. La mezcla se agitó a temperatura ambiente durante 16 horas y luego se vertió en 20 mL de agua. La capa orgánica se lavó con agua y salmuera, se secó ($MgSO_4$) y se concentró bajo presión reducida. El residuo se cristalizó a partir de una mezcla de acetato de etilo y hexanos para proporcionar 4.95 g (rendimiento del 87.5%) del compuesto del título como cristales color blanco.

RMN-^{1}H ($CDCl_3$) δ 1.5-1.7 (m, 2H), 1.78-1.98 (m, 2H), 2.22 (s, 3H), 2.28 (s, 3H), 2.50-2.58 (m, 1H), 2.85-3.10 (m, 2H), 3.65 (s, 2H), 3.70 (s, 3H), 3.71-3.98 (m, 1H), 4.45-4.52 (m, 1H), 6.90-7.08 (m, 3H).

Paso B: Preparación de ácido 1-[(2,5-dimetilfenil)acetil]-4-piperidincarboxílico

El 1-[(2,5-dimetilfenil)acetil]-4-piperidincarboxilato de metilo (es decir el producto del Ejemplo 9, Paso A) (4.95 g, 17.1 mmol) se disolvió en 20 mL de tetrahidrofurano y se agregaron 15 mL de agua. Con agitación vigorosa, la mezcla de reacción se enfrió a 0°C y se agregaron gota a gota 35 mL de una solución acuosa de hidróxido de sodio 1 N. La mezcla de reacción se agitó a temperatura ambiente durante 1 hora, se diluyó con 20 mL de

salmuera, se lavó con éter dietílico (3 x 20 mL) y la fase acuosa se acidificó con ácido clorhídrico acuoso 1 N a pH 3-4. El producto precipitado se recolectó y se secó para proporcionar 4.08 g del compuesto del título.

RMN-^{1}H ($CDCl_3$) δ 1.2 (m, 2H), 1.8 (m, 2H), 2.16 (s, 3H), 2.22 (s, 3H), 2.5 (m, 1H), 2.75 (m 1H), 3.1 (m, 1H), 3.62 (m, 2H), 3.8 (m, 1H), 4.25 (m, 1H), 6.8-7.1 (m, 3H), 12.1 (s, 1H).

Paso C: Preparación de éster metílico de *N*-[[1-[(2,5-dimetilfenil)acetil]-4-piperidinil]carbonil]-*DL*-serina

Una mezcla del ácido 1-[(2,5-dimetilfenil)acetil]-4-piperidincarboxílico (es decir el producto del Ejemplo 9, Paso B) (1.44 g, 5.23 mmol), clorhidrato de 1-[3-(dimetilamino)propil]-3-etilcarbodiimida (1.1 g, 5.75 mmol) y *N*-metilmorfolina (529 mg, 5.23 mmol) en 5 mL de diclorometano se agitó a temperatura ambiente durante 15 minutos. El clorhidrato de éster metílico de DL-Serina (814 mg, 5.23 mmol) se agregó y la mezcla de reacción se agitó a temperatura ambiente durante 16 horas. La reacción se dividió entre ácido clorhídrico acuoso 1 N (10 mL) y diclorometano (10 mL) y la capa orgánica se lavó con ácido clorhídrico acuoso 1 N, agua y salmuera, se secó sobre $MgSO_4$ y se concentró bajo presión reducida para proporcionar 1.61 g del compuesto del título.

RMN-^{1}H ($CDCl_3$) δ 1.6-1.92 (m, 4H), 2.12 (s, 3H), 2.26 (s, 3H), 2.38-2.45 (m, 1H), 2.7-2.8 (m, 2H), 3.0-3.3 (m, 2H), 3.63 (s, 2H), 3.72 (s, 2H), 3.8-4.0 (m, 2H), 4.55-4.62 (m, 2H), 6.62-6.70 (m, 1H), 6.90-7.05 (m, 3H).

Paso D: Preparación de 2-[1-[(2,5-dimetilfenil)acetil]-4-piperidinil]-4,5-dihidro-4-oxazolcarboxilato de metilo

A una solución del éster metílico de *N*-[[1-[(2,5-dimetilfenil)acetil]-4-piperidinil]carbonil]-DL-serina (es decir el producto del Ejemplo 9, Paso C) (2.59 g, 6.88 mmol) en 25 mL de acetonitrilo seco y 7 mL de diclorometano seco se agregó trifenilfosfina (2.71 g, 10.32 mmol) y luego *N,N*-diisopropiletilamina (1.6 g, 12.38 mmol). La mezcla de reacción se agitó hasta que era homogénea y se agregó gota a gota tetracloruro de carbono (1.59 g, 10.32 mmol) durante 5 minutos. La mezcla de reacción se agitó durante 2.5 horas a temperatura ambiente, se enfrió a 0°C y se diluyó con 50 mL de acetato de etilo seguido por 15 mL de una solución acuosa saturada de bicarbonato de sodio. La mezcla se agitó durante 10 minutos, ser vertió en 40 mL de agua y la capa orgánica se separó, se lavó con salmuera, se secó sobre $MgSO_4$ y se concentró bajo presión reducida para proporcionar 6 g de un aceite color amarillo. El aceite se purificó por medio de la cromatografía con evaporación instantánea sobre gel de sílice

utilizando 50-100% de acetato de etilo en hexanos para proporcionar 900 mg del compuesto del título. También se recuperaron 360 mg de la amida de partida de la mezcla de reacción.

RMN-^{1}H ($CDCl_3$) δ 1.57-2.00 (m, 5H), 2.2 (s, 3H), 2.27 (s, 3H), 2.57-2.62 (m, 1H), 2.82-2.93 (m, 2H), 3.6 (s, 2H), 3.72-3.80 (s, 3H), 4.37-4.5 (m, 3H), 4.68-4.75 (m, 1H), 6.90-7.03 (m, 3H).

Paso E: Preparación de 2-[1-[(2,5-dimetilfenil)acetil]-4-piperidinil]-4-oxazolcarboxilato de metilo

A una solución del 2-[1-[(2,5-dimetilfenil)acetil]-4-piperidinil]-4,5-dihidro-4-oxazolcarboxilato de metilo (es decir el producto del Ejemplo 9, Paso D) (1.09 g, 3.04 mmol) en 25 mL de diclorometano a 0°C se agregó el 1,8-diazabiciclo[5.4.0]undec-7-eno (508 mg, 3.34 mmol). El bromotriclorometano (662 mg, 3.34 mmol) entonces se agregó gota a gota durante 5 minutos. La mezcla de reacción se agitó durante 6 horas a 0°C. La mezcla de reacción se lavó con cloruro de amonio acuoso saturado (2 x 50 mL), la fase acuosa se extrajo de nuevo con acetato de etilo (2 x 25 mL) y las capas orgánicas combinadas se secaron sobre $MgSO_4$ y se concentraron bajo presión reducida. El residuo se purificó por medio de la cromatografía en gel de sílice utilizando 50-100% de acetato de etilo en hexanos como eluyente para

proporcionar 600 mg del compuesto del título como un aceite. RMN-^{1}H ($CDCl_3$) δ 1.70-1.86 (m, 2H), 2.00-2.25 (m, 2H), 2.21 (s, 3H), 2.28 (s, 3H), 2.90-2.98 (m, 1H), 3.05-3.20 (m, 2H), 3.64 (s, 2H), 3.80-3.85 (m, 1H), 3.9 (s, 3H), 4.60-4.77 (m, 1H), 6.91-7.06 (m, 3H), 8.18 (s, 1H).

Paso F: Preparación de ácido 2-[1-[(2,5-dimetilfenil)-acetil]-4-piperidinil]-4-oxazol-carboxílico

El 2-[1-[(2,5-dimetilfenil)acetil]-4-piperidinil]-4-oxazolcarboxilato de metilo (es decir el producto del Ejemplo 9, Paso E) (665 mg, 1.87 mmol) se disolvió en 5 mL de tetrahidrofurano y se agregaron 3.3 mL de agua. La mezcla de reacción se enfrió a 0°C con agitación vigorosa. Una solución acuosa de hidróxido de sodio 1 N (3.7 mL) se agregó gota a gota y la mezcla de reacción se agitó a temperatura ambiente durante 2 horas. La mezcla de reacción se diluyó con una solución saturada de cloruro de sodio (4 mL), se lavó con éter dietílico y la fase acuosa se acidificó a pH 3-4 por medio de la adición gota a gota de una solución de ácido cítrico al 20%. El sólido precipitado se filtró y se secó para proporcionar 490 mg del compuesto del título.
RMN-^{1}H (DMSO-d_6) δ 1.55 (m, 2H), 2.0 m, 2H), 2.14 (s, 3H), 2.23 (s, 3H), 2.85 (m, 1H), 3.1-3.3 (m, 2H), 3.65 (m, 2H), 3.9 (m, 1H), 4.35 (m, 1H), 6.8-7.1 (m, 3H), 8.66 (s, 1H), 13.0 (s, 1H).

Paso G: Preparación de 2-[1-[(2,5-dimetilfenil)acetil]-4-piperidinil]-*N*-metil-*N*-[(1*R*)-1,2,3,4-tetrahidro-1-naftalenil]-4-oxazolcarboxamida

Una mezcla del ácido 2-[1-[(2,5-dimetilfenil)acetil]-4-piperidinil]-4-oxazolcarboxílico (es decir el producto del Ejemplo 9, Paso F) (245 mg, 0.72 mmol), clorhidrato de 1-[3-(dimetilamino)propil]-3-etildicarbodiimida (153 mg, 80 mmol) y N-metilmorfolina (88 µL) en 3 mL de diclorometano se agitó a temperatura ambiente durante 15 minutos. La (1*R*)-1,2,3,4-tetrahidro-N-metil-1-naftalenamina (129 mg, 0.80 mmol) se agregó y la mezcla de reacción se agitó a temperatura ambiente durante toda la noche. La mezcla de reacción se diluyó con diclorometano, se lavó con ácido clorhídrico acuoso 1 N y agua, se secó sobre $MgSO_4$ y se concentró bajo presión reducida. Los productos se purificaron por medio de la cromatografía en gel de sílice utilizando acetato de etilo como eluyente para proporcionar 75 mg del producto del título, un compuesto de la presente invención como un aceite.

RMN-^{1}H ($CDCl_3$) δ 1.6-2.3 (m, 8H), 2.2-2.3 (m, 6H), 2.7-2.9 (m, 3H), 3.0-3.25 (m, 4H), 3.64 (m, 2H), 3.75 (m, 1H), 4.4-4.6 (m, 1H), 5.95-6.1 (m, 1H), 6.9-7.2 (m, 7H), 8.1(m, 1H).

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EJEMPLO 10

Preparación de 2-[1-[(2,5-dimetilfenil)acetil]-4-piperidinil]-N-metil-N-[(1*R*)-1-fenilpropil]-4-oxazolcarboxamida

(Compuesto 154)

Una mezcla del ácido 2-[1-[(2,5-dimetilfenil)acetil]-4-piperidinil]-4-oxazolcarboxílico (es decir el producto del Ejemplo 9, Paso F) (245 mg, 0.72 mmol), clorhidrato de 1-[3-(dimetilamino)propil]-3-etildicarbodiimida (153 mg, 80 mmol) y 4-metilmorfolina (88 μL) en 3 mL de diclorometano se agitó a temperatura ambiente durante 15 minutos. La (α*R*)-α-etil-N-metilbencenmetanamina (es decir el producto del Ejemplo 1, Paso C) (0.80 mmol, 119 mg) se agregó y la mezcla de reacción se agitó a temperatura ambiente durante toda la noche. La mezcla de reacción se diluyó con diclorometano, se lavó con ácido clorhídrico acuoso 1 N y agua, se secó sobre $MgSO_4$ y se concentró bajo presión reducida. Los productos se purificaron por medio de la cromatografía en gel de sílice utilizando 50-100% de acetato de etilo en hexanos como eluyente para proporcionar 90 mg del producto del título, un compuesto de la presente invención como un aceite.

RMN-^{1}H ($CDCl_3$) δ 0.9-1.1 (m amplio, 3H), 1.6-1.9 (m, 2H), 1.9-2.2 (m, 4H), 2.22 (s, 3H), 2.26 (s, 3H), 2.72 (s amplio, 2H), 2.9-3.1 (m, 4H), 3.15 (m, 1H), 3.65 (s, 2H), 3.75 (m amplio, 1H), 4.45 (m amplio, 1H), 5.85-6.2 (m, 1H), 6.9-7.1

(m, 3H) 7.25-7.40 (m, 5H), 8.1 (m, 1H).

EJEMPLO 11

Preparación de *N*-[(1*R*)-1-(3,5-dicloro-2-piridinil)etil]etil]-2-[1-[(2,5-dimetil-fenil)acetil]-4-piperidinil]-*N*-metil-4-tiazolcarboxamida (Compuesto 111)

A una solución de 200 mg (0.56 mmol) del ácido 2-[1-[(2,5-dimetilfenil)acetil]-4-piperidinil]-4-tiazolcarboxílico (es decir el producto del Ejemplo 9, Paso B) en 5 mL de acetonitrilo se agregó 0.5 mL de una solución de anhídrido cíclico de ácido 1-propanofosfónico (50% en acetato de etilo, 0.8 mmol) y se agitó a temperatura ambiente durante 15 minutos. A esta mezcla se agregaron 110 mg (0.54 mmol) de (*R*)-[1-(3,5-dicloro-piridin-2-il)-etil]-metilamina en 5 mL de acetonitrilo que contenía 0.5 mL de trietilamina. La mezcla de reacción se agitó a temperatura ambiente durante toda la noche, se diluyó con acetato de etilo, se lavó con hidróxido de sodio acuoso 1 N y salmuera, se secó ($MgSO_4$), se filtró y se concentró. El producto crudo se purificó por medio de la cromatografía líquida de presión intermedia en gel de sílice utilizando acetato de etilo/metanol como eluyente para proporcionar 80 mg del producto del título, un compuesto de la presente invención como un aceite viscoso. RMN-^{1}H ($CDCl_3$) δ 1.50-1.80 (m, 3H), 2.04 (m, 1H), 2.17 (m, 1H), 2.23 (s, 3H), 2.26 (s, 3H), 2.80-3.30 (m, 6H), 3.68 (s,

2H)$_5$ 3.82 (m, 1H), 4.71 (m, 1H), 6.16 (m, 1H), 6.96 (m, 2H), 7.08 (m, 1H), 7.78 (m, 2H), 8.51 (s amplio, 1H).

EJEMPLO 12

Preparación de 2-[1-[(3,5-dicloro-1*H*-pirazol-1-il)acetil]-4-piperidinil]-*N*-metil-*N*-[(1*R*)-1,2,3,4-tetrahidro-1-naftalenil]-4-tiazolcarboxamida (Compuesto 238)

Paso A: Preparación de *N*,*N*-dimetil-1*H*-pirazol-1-sulfonamida

A una solución de pirazol (10.0 g, 147 mmol) en diclorometano (150 mL), se agregó trietilamina (26.6 mL, 192 mmol) y cloruro de *N*,*N*-dimetilsulfamoilo (20.0 mL, 181 mmol) y la mezcla de reacción se calentó a reflujo durante aproximadamente 60 horas. La mezcla de reacción entonces se enfrió a temperatura ambiente y se filtró a través de una almohadilla de gel de sílice utilizando diclorometano como eluyente. El producto filtrado entonces se concentró bajo presión reducida y se trató con éter dietílico (100 mL) dando por resultado la formación de un sólido. La suspensión se filtró y el producto precipitado se lavó con éter dietílico. Los productos filtrados combinados se combinaron y se concentraron in vacuo para proporcionar 27.46 g el compuesto del título. Este compuesto tenía una pureza suficiente para utilizarse en reacciones subsecuente.

RMN-^{1}H ($CDCl_3$) δ 2.94 (s, 6H), 6.40 (s, 1H), 7.75 (s, 1H), 7.99 (s, 1H).

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Paso B: Preparación de 3-cloro-*N*,*N*-dimetil-1*H*-pirazol-1-sulfonamida

Bajo una atmósfera de nitrógeno, una solución de *N*,*N*-dimetil-1*H*-pirazol-1-sulfonamida (5.0 g, 28 mmol) (es decir el producto del Ejemplo 12, Paso A) en tetrahidrofurano (50 mL) se enfrió a -78°C y luego se trató con *n*-butil-litio (solución 2 M en ciclohexano, 15.0 mL, 30 mmol) gota a gota. La mezcla de reacción formó un producto precipitado espeso y la agitación continuó durante 30 minutos después de la adición. A la suspensión agitada, se agregó gota a gota una solución de hexacloroetano (7.1 g, 30 mmol) en tetrahidrofurano (20 mL). Después de 30 minutos la solución clara resultante se calentó a temperatura ambiente y se enfrió rápidamente con la adición de agua (70 mL). La mezcla de reacción se extrajo con diclorometano y se secó sobre $MgSO_4$. La mezcla de reacción se filtró y se concentró bajo presión reducida. El producto crudo se purificó por medio de la cromatografía en gel de sílice (hexanos al 50% en diclorometano como eluyente) para proporcionar 1.71 g de 5-cloro-*N*,*N*-dimetil-1*H*-pirazol-1-sulfonamida. La 5-cloro-*N*,*N*-dimetil-1*H*-pirazol-1-sulfonamida se calentó a 110°C durante 12 horas con una cantidad catalítica de pirazol para isomerizarse al compuesto del título.

RMN-^{1}H ($CDCl_3$) δ 3.07 (s, 6H), 6.33 (s, 1H), 7.60 (s, 1H).

Paso C: Preparación de 3,5-dicloro-*N*,*N*-dimetil-1*H*-pirazol-1-sulfonamida

Bajo una atmósfera de nitrógeno, una solución de 3-cloro-*N*,*N*-dimetil-1*H*-pirazol-1-sulfonamida (1.68 g, 8 mmol) (es decir el producto del Ejemplo 12, Paso B) en tetrahidrofurano (10 mL) se enfrió a -78°C y luego se trató con una solución de *n*-butil-litio 2 N en ciclohexano (4.5 mL, 9 mmol) gota a gota. La solución formó un producto precipitado espeso y se dejó agitar durante 30 minutos después de la adición. A la suspensión agitada, se agregó gota a gota una solución de hexacloroetano (2.0 g, 8.5 mmol) en tetrahidrofurano (10 mL). Después de 1.5 horas, la solución clara resultante se calentó a temperatura ambiente y se enfrío rápidamente con la adición de agua (20 mL). La mezcla de reacción se extrajo con diclorometano y se secó sobre $MgSO_4$. La solución se filtró y se concentró bajo presión reducida para proporcionar 1.97 g del compuesto del título. Este compuesto tenía una pureza suficiente para utilizarse en reacciones subsecuentes.

RMN-^{1}H ($CDCl_3$) δ 3.10 (s, 6H), 6.28 (s, 1H).

Paso D: Preparación de 3,5-dicloro-1*H*-pirazol

En un matraz de fondo redondo con agitador magnético, la 3,5-dicloro-*N*,*N*-dimetil-1*H*-pirazol-1-sulfonamida (1.97 g, 8.0 mmol) (es decir el producto del Ejemplo 12, Paso

C) se enfrió a 0°C y se trató con ácido trifluoroacético (1.3 mL, 17 mmol) y se agitó durante 1.5 horas. La solución se extrajo con éter dietílico. El extracto se secó sobre $MgSO_4$ y se concentró bajo presión reducida para proporcionar 690 mg del compuesto del título. Este compuesto tenía una pureza suficiente para utilizarse en las reacciones subsecuentes.
RMN-^{1}H ($CDCl_3$) δ 2.98 (s, 1H), 6.21 (s, 1H).

Paso E: Preparación de 3,5-dicloro-1*H*-pirazol-1-acetato de etilo

Una suspensión de 3,5-dicloro-1*H*-pirazol (690 mg, 5.0 mmol) (es decir el producto del Ejemplo 12, Paso D), carbonato de potasio (3 g, 21 mmol) en *N*,*N*-dimetilformamida (10 mL) se trató con bromoacetato de etilo (1.0 mL, 9.0 mmol) y se agitó a temperatura ambiente durante 12 horas. La suspensión se diluyó con acetato de etilo, se lavó con agua y se secó sobre $MgSO_4$. La mezcla de reacción entonces se concentró bajo presión reducida para proporcionar 1.05 g del compuesto del título. Este compuesto tenía una pureza suficiente para utilizarse en reacciones subsecuentes.
RMN-^{1}H ($CDCl_3$) δ 1.29 (t, 3H), 4.25 (q, 2H), 4.85 (s, 2H), 6.20 (m, 1H).

Paso F: Preparación de ácido 3,5-dicloro-1*H*-pirazol-1-acético

Una solución del 3,5-dicloro-1*H*-pirazol-1-acetato

de etilo (1.29 g, 5.8 mmol) (es decir el producto del Ejemplo 12, Paso E) en tetrahidrofurano (10 mL) se trató con hidróxido de sodio (5 mL, solución acuosa al 15%) en agua (3 mL) y la mezcla de reacción se agitó a temperatura ambiente durante 1.5 horas. La mezcla de reacción entonces se diluyó con agua (15 mL) y se concentró bajo presión reducida. La solución acuosa se acidificó con ácido clorhídrico concentrado a pH 1. La mezcla de reacción entonces se extrajo con acetato de etilo y el extracto se secó sobre $MgSO_4$. El extracto entonces se concentró y se recristalizó a partir de acetato de etilo al 20% en hexanos para proporcionar 370 mg del compuesto del título.

RMN-^{1}H ($CDCl_3$) δ 4.94 (s, 2H), 6.24 (s, 1H).

Paso G: Preparación de 2-[1-[(3,5-dicloro-1*H*-pirazol-1-il)acetil]-4-piperidinil]-*N*-metil-*N*-[(1*R*)-1,2,3,4-tetrahidro-1-naftalenil]-4-tiazolcarboxamida

Una solución del ácido 3,5-dicloro-1*H*-pirazol-1-acético (70 mg, 0.36 mmol) (es decir el producto del Ejemplo 12, Paso F) en diclorometano (1 mL) y una cantidad catalítica de *N*,*N*-dimetilformamida (1 gota) se trató con cloruro de oxalilo (0.1 mL, 1.1 mmol) y se agitó a temperatura ambiente durante 20 minutos. La mezcla de reacción se concentró bajo presión reducida y se disolvió de nuevo en diclorometano (1 mL). La mezcla de reacción se agregó a una suspensión agitada

de monoclorhidrato de *N*-metil-2-(4-piperidinil)-*N*-[(*1R*)-1,2,3,4-tetrahidro-1-naftalenil]-4-tiazolcarboxamida (es decir el producto del Ejemplo 6, Paso C) (100 mg, 0.26 mmol), trietilamina (0.1 mL, 0.72 mmol) y carbonato de potasio (150 mg, 1 mmol) en diclorometano (2 mL). La mezcla de reacción entonces se calentó a reflujo durante 2 horas y luego se enfrió a temperatura ambiente. La suspensión resultante se diluyó con diclorometano (10 mL), se filtró y se concentró in vacuo. El producto crudo se purificó por medio de la cromatografía en gel de sílice utilizando acetato de etilo al 50-100% en hexanos como eluyente para proporcionar 80 mg del producto del título, un compuesto de la presente invención como un aceite.

RMN-^{1}H ($CDCl_3$) δ 1.6-2.1 (m, 5H), 2.1-2.3 (m, 3H), 2.32 (m, 3H), 2.7-3.0 (m, 6H), 3.2-3.35 (m, 2H), 3.95-4.1 (m, 1H), 4.35-4.6 (m, 1H), 4.96-5.02 (m, 2H), 5.6-6.1 (m, 1H), 6.20 (s, 1H), 7.11-7.24 (m, 4H), 7.86 (m, 1H).

EJEMPLO 13

Preparación de 2-[1-[[5-cloro-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piperidinil]-*N*-metil-*N*-[(1*R*)-1,2,3,4-tetrahidro-1-naftalenil]-4-tiazolcarboxamida (Compuesto 249)

Paso A: Preparación de *N*,*N*-dimetil-3-(trifluorometil)-1*H*-pirazol-1-sulfonamida

A una solución de 3-trifluorometilpirazol (5.0 g, 36 mmol), trietilamina (7.0 mL, 50 mmol) en diclorometano (40

mL) se agregó cloruro de *N,N*-dimetilsulfamoilo (5.5 mL, 51 mmol) y la mezcla de reacción se calentó a reflujo durante 2 días. La mezcla de reacción se enfrió a temperatura ambiente y se filtró a través de una almohadilla de gel de sílice utilizando diclorometano como eluyente. La solución resultante entonces se concentró bajo presión reducida para proporcionar un residuo color ámbar. El residuo se disolvió en éter dietílico y se lavó con agua. El extracto entonces se secó sobre $MgSO_4$ y se concentró bajo presión reducida para proporcionar 8.71 g del compuesto del título. Este compuesto tenía una pureza suficiente para utilizarse en reacciones subsecuentes.

RMN-^{1}H ($CDCl_3$) δ 3.01 (s, 6H), 6.65 (s, 1H), 8.03 (s, 1H).

Paso B: Preparación de 5-cloro-*N,N*-dimetil-3-(trifluorometil)-1*H*-pirazol-1-sulfonamida

Bajo una atmósfera de nitrógeno, la *N,N*-dimetil-3-(trifluorometil)-1*H*-pirazol-1-sulfonamida (4.0 g, 16 mmol) (es decir el producto del Ejemplo 13, Paso A) en tetrahidrofurano (25 mL) se enfrió a -78 °C, luego se trató con *n*-butil-litio (solución 2 M en ciclohexano, 8.6 mL, 17.2 mmol) gota a gota. La mezcla de reacción formó un producto precipitado espeso y la agitación continuó durante 30 minutos después de la adición. A la suspensión agitada se agregó gota a gota una solución de hexacloroetano (4.2 g, 18 mmol) en

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tetrahidrofurano (15 mL). Después 1 hora, la solución clara resultante se calentó a temperatura ambiente y se enfrió rápidamente con la adición de agua (50 mL). La mezcla de reacción se extrajo con diclorometano y se secó sobre $MgSO_4$. La mezcla de reacción se filtró y se concentró bajo presión reducida para proporcionar 4.38 g del compuesto del título. Este compuesto tenía una pureza suficiente para utilizarse en reacciones subsecuentes.

RMN-^{1}H ($CDCl_3$) δ 3.15 (s, 6H), 6.58 (s, 1H).

Paso C: Preparación de 5-cloro-3-trifluorometil-1*H*-pirazol

En un matraz de fondo redondo con agitador magnético, la 5-cloro-*N*,*N*-dimetil-3-(trifluorometil)-1*H*-pirazol-1-sulfonamida (4.38 g, 15.8 mmol) (es decir el producto del Ejemplo 13, Paso B) se enfrió a 0°C y se trató con ácido trifluoroacético (2.7 mL, 35 mmol). La mezcla de reacción se agitó a 0°C durante 1.5 horas. La solución resultante se diluyó con agua (15 mL) y se basificó con carbonato de sodio a pH 12. La mezcla de reacción se extrajo con éter dietílico. El extracto se secó sobre $MgSO_4$ y se concentró bajo presión reducida para proporcionar 2.1 g del compuesto del título. Este compuesto tenía una pureza suficiente para utilizarse en reacciones subsecuentes.

RMN-^{1}H ($CDCl_3$) δ 6.57 (m, 1H).

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Paso D: Preparación de 5-cloro-3-(trifluorometil)-1*H*-pirazol-1-acetato de etilo

Una suspensión del 5-cloro-3-trifluorometil-1*H*-pirazol (2.1 g, 12.3 mmol) (es decir el producto del Ejemplo 13, Paso C), carbonato de potasio (3.6 g, 26 mmol) en *N,N*-dimetilformamida (20 mL) se trató con bromoacetato de etilo (2.1 mL, 18.8 mmol) y la mezcla de reacción se agitó a temperatura ambiente durante 12 horas. La mezcla de reacción se diluyó con acetato de etilo, se lavó con agua y se secó sobre $MgSO_4$. La mezcla de reacción se filtró y se concentró bajo presión reducida. El producto crudo se purificó por medio de la cromatografía en gel de sílice utilizando acetato de etilo al 0-50% en hexanos como eluyente para proporcionar 940 mg del compuesto del título.

RMN-^{1}H ($CDCl_3$) δ 1.29 (m, 3H), 4.27 (q, 2H), 4.96 (m, 2H), 6.55 (s, 1H).

Paso E: Preparación de 5-cloro-3-(trifluorometil)-1*H*-pirazol-1-acético

Una solución del 5-cloro-3-(trifluorometil)-1*H*-pirazol-1-acetato de etilo (218 mg, 0.85 mmol) en tetrahidrofurano (1 mL) se trató con hidróxido de sodio (0.2 mL, solución acuosa al 50%) en agua (0.6 mL) y se agitó a temperatura ambiente durante 4 horas. La mezcla de reacción entonces se diluyó con agua (15 mL) y se concentró bajo

presión reducida. La mezcla de reacción se acidificó con ácido clorhídrico concentrado a pH 1. La mezcla resultante se extrajo con acetato de etilo, se secó sobre $MgSO_4$ y se concentró para proporcionar 140 mg del compuesto del título. Este compuesto tenía una pureza suficiente para utilizarse en reacciones subsecuentes.

RMN-^{1}H (DMSO-d_6) δ 5.41 (s, 2H), 7.09 (s, 1H).

Paso F: Preparación de 2-[1-[[5-cloro-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piperidinil]-*N*-metil-*N*-[(*1R*)-1,2,3,4-tetrahidro-1-naftalenil]-4-tiazolcarboxamida

Una solución del ácido 5-cloro-3-(trifluorometil)-1*H*-pirazol-1-acético (140 mg, 0.61 mmol) (es decir el producto del Ejemplo 13, Paso E) en diclorometano (2 mL) y una cantidad catalítica de *N,N*-dimetilformamida (1 gota) se trató con cloruro de oxalilo (0.1 mL, 1.1 mmol) y se agitó a temperatura ambiente durante 20 minutos. La mezcla de reacción se concentró bajo presión reducida y se disolvió de nuevo en diclorometano (1 mL). La mezcla de reacción se agregó a una suspensión agitada de monoclorhidrato de *N*-metil-2-(4-piperidinil)-*N*-[(1*R*)-1,2,3,4-tetrahidro-1-naftalenil]-4-tiazolcarboxamida (es decir el producto del Ejemplo 6, Paso C) (280 mg, 0.72 mmol), trietilamina (0.2 mL, 1.5 mmol) y carbonato de potasio (300 mg, 2.1 mmol) en diclorometano (2

mL). La mezcla de reacción entonces se calentó a reflujo durante 4 horas y luego se enfrió a temperatura ambiente. La suspensión resultante se diluyó con diclorometano (10 mL), se filtró y se concentró in vacuo. El producto crudo se purificó por medio de la cromatografía en gel de sílice utilizando acetato de etilo al 50-100% en hexanos como eluyente para proporcionar 130 mg del producto del título, un compuesto de la presente invención como un aceite.

RMN-^{1}H ($CDCl_3$) δ 1.6-2.1 (m, 5H), 2.1-2.3 (m, 3H), 2.32 (m, 3H), 2.7-3.0 (m, 6H), 3.2-3.35 (m, 2H), 3.95-4.1 (m, 1H), 4.35-4.6 (m, 1H), 4.96-5.02 (m, 2H), 5.6-6.1 (m, 1H), 6.59 (s, 1H), 7.05-7.25 (m, 4H), 7.96 (m, 1H).

EJEMPLO 14

Preparación de 2-[1-[[3,5-bis(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piperidinil]-*N*-metil-*N*-[(1*R*)-1,2,3,4-tetrahidro-1-naftalenil]-4-tiazolcarboxamida (Compuesto 210)

Paso A: Preparación de ácido 3,5-bis-(trifluorometil)-1*H*-pirazol-1-acético

Una solución del 3,5-bis-(trifluorometil)pirazol (1.0 g, 4.90 mmol) en *N*,*N*-dimetilformamida (10 mL) a 0°C se trató con hidruro de sodio (dispersión al 60% en aceite, 215 mg, 5.37 mmol) y la mezcla de reacción se dejó agitar a temperatura ambiente durante 1 hora. Entonces se agregó una solución de yodoacetato de etilo (2.0 g, 9.30 mmol) en *N*,*N* -

dimetilformamida (10 mL) y la mezcla de reacción se calentó a 80°C durante 24 horas. La mezcla de reacción entonces se enfrió a temperatura ambiente, se diluyó con acetato de etilo y se lavó con agua. La capa orgánica se secó con $MgSO_4$, se filtró y se concentró para proporcionar 570 mg del éster crudo. El éster crudo se disolvió en tetrahidrofurano (2 mL) y se trató con hidróxido de sodio (150 mg) en agua (1.5 mL). La mezcla de reacción entonces se agitó a temperatura ambiente durante toda la noche. La mezcla de reacción se diluyó con agua (10 mL), se extrajo con éter dietílico (20 mL). Las capas orgánicas se secaron con $MgSO_4$, se filtró y se concentraron in vacuo para proporcionar 190 mg del compuesto del título. Este compuesto tenía una pureza suficiente para utilizarse en reacciones subsecuentes.

RMN-^{1}H (DMSO-d_6) δ 5.29 (s, 2H), 7.65 (s, 1H).

RMN-^{19}F (DMSO-d_6) δ -59.4, -61.4.

Paso B: Preparación de 2-[1-[[3,5-bis(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piperidinil]-*N*-metil-*N*-[(1*R*)-1,2,3,4-tetrahidro-1-naftalenil]-4-tiazolcarboxamida

Una solución del ácido 3,5-bis-(trifluorometil)-1*H*-pirazol-1-acético (190 mg, 0.73 mmol) (es decir el producto del Ejemplo 14, Paso A) en acetato de etilo (5.0 mL) se trato con anhídrido cíclico de ácido 1-propanofosfónico (solución

al 50% acetato de etilo, 1.0 mL, 1.6 mmol) y la mezcla de reacción se agitó a temperatura ambiente durante 30 minutos. La mezcla de reacción se agregó a una suspensión agitada del monoclorhidrato de *N*-metil-2-(4-piperidinil)-*N*-[(1*R*)-1,2,3,4-tetrahidro-1-naftalenil]-4-tiazolcarboxamida (es decir el producto del Ejemplo 6, Paso C) (210 mg, 0.53 mmol), trietilamina (0.5 mL, 3.75 mmol) en acetato de etilo (5 mL). La mezcla de reacción entonces se agitó temperatura ambiente durante 12 horas. La suspensión resultante se concentró in vacuo y se purificó por medio de las CLPI sobre gel de sílice utilizando acetato de etilo/hexanos como eluyente para proporcionar 110 mg del producto crudo, un compuesto de la presente invención como un aceite.

RMN-^{1}H ($CDCl_3$) δ 1.60-2.31 (m, 5H), 2.67-3.06 (m, 9H), 3.20-3.45 (m, 2H), 3.62-3.92 (m, 1H), 4.26-4.60 (m, 1H), 5.08-5.23 (m, 2H), 5.60-6.10 (m, 1H), 6.93 (s, 1H), 7.07-7.30 (m, 4H), 7.86 (m, 1H).

EJEMPLO 15

Preparación de 2-[1-[(3,5-dietil-1*H*-pirazol-1-il)acetil]-4-piperidinil]-*N*-metil-*N*-[(1*R*)-1,2,3,4-tetrahidro-1-naftalenil]-4-tiazolcarboxamida (Compuesto 209)

Paso A: Preparación de 3,5-dietil-1*H*-pirazol

Una solución de 3,5-heptanodiona (2.4 g, 18.8 mmol) e hidrato de hidrazina (1.0 g, 19.0 mmol) y ácido acético (1

gota) en agua (10 mL) se calentó a reflujo durante 1 hora. La mezcla de reacción entonces se enfrió en un baño de hielo para formar un producto precipitado color blanco. El producto precipitado entonces se filtró, se disolvió en cloroformo y se secó sobre $MgSO_4$. La reacción resultante se concentró bajo presión reducida para proporcionar 2.14 g del compuesto del título. Este compuesto tenía una pureza suficiente para utilizarse en reacciones subsecuentes.

RMN-^{1}H ($CDCl_3$) δ 1.27 (t, 6H), 2.65 (q, 4H), 5.90 (s, 1H).

Paso B: Preparación de 3,5-dietil-1*H*-pirazol-1-acetato de etilo

A una solución del 3,5-dietil-1*H*-pirazol (2.14 g, 17.2 mmol) (es decir el producto del Ejemplo 15, Paso A) en *N*,*N*-dimetilformamida (10 mL) se agregó carbonato de potasio (4.7 g) y bromoacetato de etilo (2.9 mL, 26.1 mmol). La mezcla de reacción se agitó a temperatura ambiente durante toda la noche. Los sólidos resultantes se filtraron y el producto filtrado se diluyó con acetato de etilo, se lavó con agua y se secó sobre $MgSO_4$. La mezcla de reacción se concentró bajo presión reducida para proporcionar 2.79 g del compuesto del título.

RMN-^{1}H ($CDCl_3$) δ 1.27 (m, 9H), 2.57 (m, 4H), 4.22 (q, 2H), 4.78 (s, 2H), 5.93 (s, 1H).

Paso C: Preparación de ácido 3,5-dietil-1*H*-pirazol-1-acético

El 3,5-dietil-1*H*-pirazol-1-acetato de etilo (2.79 g, 13.3 mmol) (es decir el producto del Ejemplo 15, Paso B) en tetrahidrofurano (10 mL) se trató con hidróxido de sodio (1.0 g) en agua (7.5 mL). La mezcla de reacción entonces se agitó a temperatura ambiente durante toda la noche. La mezcla de reacción se concentró bajo presión reducida y se lavó con éter dietílico. La capa acuosa resultante se acidificó con ácido clorhídrico concentrado para proporcionar un producto precipitado color blanco. El producto precipitado se filtró y se secó en el aire para proporcionar 690 mg del compuesto del título.

RMN-^{1}H (DMSO-d_6) δ 1.12 (m, 6H), 2.49 (m, 4H), 4.74 (s, 2H), 5.87 (s, 1H).

Paso D: Preparación de 2-[1-[(3,5-dietil-1*H*-pirazol-1-il)acetil]-4-piperidinil]-*N*-metil-*N*-[(1*R*)-1,2,3,4-tetrahidro-1-naftalenil]-4-tiazolcarboxamida

Una solución del ácido 3,5-dietil-1*H*-pirazol-1-acético (135 mg, 0.74 mmol) (es decir el producto del Ejemplo 15, Paso C) en acetato de etilo (5.0 mL) se trató con anhídrido cíclico del ácido 1-propanofosfónico (solución al 50% en acetato de etilo, 1.0 mL, 1.6 mmol) y la mezcla de reacción se agitó a temperatura ambiente durante 30 minutos.

La mezcla de reacción se agregó a una suspensión agitada del monoclorhidrato de *N*-metil-2-(4-piperidinil)-*N*-[(1*R*)-1,2,3,4-tetrahidro-1-naftalenil]-4-tiazolcarboxamida (es decir el producto del Ejemplo 6, Paso C) (210 mg, 0.53 mmol), trietilamina (0.5 mL, 3.75 mmol) en acetato de etilo (5 mL). La mezcla de reacción entonces se agitó a temperatura ambiente durante 12 horas. La suspensión resultante se concentró in vacuo y se purificó por medio de la CLPI sobre gel de sílice utilizando acetato de etilo/hexanos como eluyente para proporcionar 60 mg del producto del título, un compuesto de la presente invención como un aceite.

RMN-^{1}H ($CDCl_3$) δ 1.10-1.30 (m, 6H), 1.50-2.30 (m, 8H), 2.45-2.65 (m, 4H), 2.70-2.95 (m, 5H), 3.10-3.30 (m, 2H), 3.90-4.15 (m, 2H), 4.40-4.60 (m, 1H), 4.70-5.00 (m, 2H), 5.60-6.10 (m, 2H), 7.05-7.50 (m, 4H), 7.87 (m, 1H).

EJEMPLO 16

Preparación de 2-[1-[[5-etil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piperidinil]-*N*-metil-*N*-[(1*R*)-1,2,3,4-tetrahidro-1-naftalenil]-4-tiazolcarboxamida (Compuesto 208)

Paso A: Preparación de 5-etil-3-(trifluorometil)-1*H*-pirazol

Una solución de la 1,1,1-trifluoro-2,4-hexano-diona (2.4 g, 14.3 mmol), hidrato de hidrazina (1.0 g, 19.0 mmol) y ácido acético (1 gota) en agua (10 mL) se calentó a reflujo durante 1 hora. La mezcla de reacción entonces se enfrió en

un baño de hielo para formar un producto precipitado color blanco. El producto precipitado entonces se filtró, se disolvió en cloroformo y se secó sobre $MgSO_4$. La solución resultante se concentró bajo presión reducida para proporcionar 1.39 g del compuesto del título. Este compuesto tenía una pureza suficiente para utilizarse en reacciones subsecuentes.

RMN-^{1}H ($CDCl_3$) δ 1.26 (t, 3H), 2.70 (q, 2H), 6.34 (s, 1H).

Paso B: Preparación de 5-etil-3-(trifluorometil)-1*H*-pirazol-1-acetato de etilo

A una solución del 5-etil-3-(trifluorometil)-1*H*-pirazol (1.39 g, 8.5 mmol) (es decir el producto del Ejemplo 16, Paso A) en *N*,*N*-dimetilformamida (10 mL) se agregó carbonato de potasio (2.3 g) y bromoacetato de etilo (1.4 mL, 12.6 mmol). La mezcla de reacción se agitó a temperatura ambiente durante toda la noche. Los sólidos resultantes se filtraron y el producto filtrado se diluyó con acetato de etilo, se lavó con agua y se secó sobre $MgSO_4$. La solución resultante se concentró bajo presión reducida para proporcionar 1.34 g del compuesto del título. Este compuesto tenía una pureza suficiente para utilizarse en reacciones subsecuentes.

Paso C: Preparación de ácido 5-etil-3-(trifluorometil)-1*H*-pirazol-1-acético

El 5-etil-3-(trifluorometil)-1*H*-pirazol-1-acetato de etilo (1.34 g, 7.5 mmol) (es decir el producto del Ejemplo 16, Paso B) en tetrahidrofurano (5 mL) se trató con hidróxido de sodio (0.5 g) en agua (3.5 mL). La mezcla de reacción entonces se agitó a temperatura ambiente durante toda la noche. La mezcla de reacción se concentró bajo presión reducida y se lavó con éter dietílico. La capa acuosa resultante se acidificó con ácido clorhídrico concentrado para proporcionar un producto precipitado color blanco. El producto precipitado se filtró y se secó al aire para proporcionar 690 mg del compuesto del título.

RMN-^{1}H (DMSO-d_6) δ 1.20 (m, 3H), 2.60 (m, 2H), 5.06 (s, 2H), 6.54 (s, 1H).

Paso D: Preparación de 2-[1-[[5-etil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piperidinil]-*N*-metil-*N*-[(1*R*)-1,2,3,4-tetrahidro-1-naftalenil]-4-tiazolcarboxamida

Una solución del ácido 5-etil-3-(trifluorometil)-1*H*-pirazol-1-acético (170 mg, 0.76 mmol) (es decir el producto del Ejemplo 16, Paso C) en acetato de etilo (5.0 mL) se trató con anhídrido cíclico del ácido 1-propanofosfónico (solución al 50% en acetato de etilo, 1.0 mL, 1.6 mmol) y la mezcla de reacción se agitó a temperatura ambiente durante 30

minutos. La mezcla de reacción se agregó a una suspensión agitada del monoclorhidrato de *N*-metil-2-(4-piperidinil)-*N*-[(1*R*)-1,2,3,4- tetrahidro-1-naftalenil]-4-tiazolcarboxamida (es decir el producto del Ejemplo 6 Paso C) (219 mg, 0.56 mmol), trietilamina (0.5 mL, 3.75 mmol) en acetato de etilo (5 mL). La mezcla de reacción entonces se agitó a temperatura ambiente durante 12 horas. La suspensión resultante se concentró in vacuo y se purificó por medio de la CLPI sobre gel de sílice utilizando acetato de etilo/hexanos como eluyente para proporcionar 200 mg del producto del título, un compuesto de la presente invención como un aceite.

RMN-^{1}H ($CDCl_3$) δ 1.20-1.30 (m, 3H), 1.55-2.25 (m, 8 H), 2.50-2.70 (m, 2H), 2.70-3.00 (m, 6H), 3.10-3.50 (m, 2H), 3.90-4.10 (m, 1H), 4.30-4.60 (m, 1H), 4.80-5.10 (m, 2H), 5.60-6.10 (m, 1H), 6.33 (m, 1H), 7.05-7.50 (m, 4H), 7.88 (m, 1H).

EJEMPLO 17

Preparación de *N*-metil-2-[1-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piperidinil]-*N*-[(1*R*)-1,2,3,4-tetrahidro-1-naftalenil]-4-oxazolincarboxamida (Compuesto 246)

Paso A: Preparación de [(1*S*)-1-(hidroximetil)-2-[metil[(1*R*)-1,2,3,4-tetrahidro-1-naftalenil]amino]-2-oxoetil] carbamato de 1,1-dimetiletilo

Una solución de la (1*R*)-1,2,3,4-tetrahidro-*N*-metil-1-naftalenamina (0.887 g, 5.5 mmol) (es decir el producto del

Ejemplo 6, Paso A) en tetrahidrofurano (15 mL) se trató con *t*-Boc-L-serina (1.03 g, 5 mmol), *N*-hidroxibenzotriazol (0.677 g, 0.5 mmol) y *N,N*-diisopropilcarbodiimida (0.663 g, 5.25 mmol) a 0°C. La mezcla de reacción se dejó calentar a temperatura ambiente y se agitó durante 16 horas. El producto precipitado formado se filtró y se lavó con tetrahidrofurano. El producto filtrado y los productos lavados se concentraron y el residuo purificó por medio de la cromatografía líquida de presión intermedia utilizando un gradiente de 50-100% de acetato de etilo en hexanos como eluyente para proporcionar 1.11 g del compuesto del título.

RMN-^{1}H ($CDCl_3$) δ 1.46-1.48 (m, 9H), 1.8-1.9 (m, 2H), 1.97-2.05 (m, 2H), 2.65, 2.83 (d, 3H), 2.72-2.80 (s amplio, 2H), 3.33-3.43 (m, 1H), 3.78-4.00 (m, 2H), 4.65-4.80 (m, 1H), 5.27-5.91 (m, 2H), 6.98-7.2 (m, 4H).

Paso B: Preparación de monoclorhidrato de (2*S*)-2-amino-3-hidroxi-*N*-metil-*N*-[(1*R*)-1,2,3,4-tetrahidro-1-naftalenil]-propanamida

A una solución del [(1*S*)-1-(hidroximetil)-2-[metil[(1*R*)-1,2,3,4-tetrahidro-1-naftalenil]-amino]-2-oxoetil]carbamato de 1,1-dimetiletilo (1.11 g, 3.19 mmol) (es decir el producto del Ejemplo 17, Paso A) en metanol (15 mL) se agregó una solución 2 M de cloruro de hidrógeno en éter (15 mL, 30 mmol)y la mezcla de reacción se agitó a

temperatura ambiente durante 3 horas. La mezcla de reacción se concentró in vacuo y el residuo resultante se disolvió en metanol y se concentró in vacuo nuevamente. El residuo se seco en alto vacío para proporcionar 750 mg del compuesto del título como un sólido color blanco.

RMN-^{1}H (DMSO-d_6) δ 1.6-2.0 (m, 4H), 2.7 (s, 3H), 3.61-3.80 (m, 2H), 4.38-4.43 (d, 1H), 5.18-5.66 (m, 2H), 7.0-7.2 (m, 4H), 8.2-8.3 (s amplio, 3H).

Paso C: Preparación de 1-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piperidinilcarboxilato de etilo

Una solución del 4-piperidincarboxilato de etilo (1.57 g, 10 mmol) y trietilamina (2.09 mL, 15 mmol) en diclorometano (20 mL) se enfrió a 0°C y una solución de cloruro de 5-metil-3-(trifluorometil)-1*H*-pirazol-1-acetil (preparado como se describe en el Ejemplo 19, Paso B) en 5 mL de diclorometano se agregó gota a gota con agitación. La mezcla de reacción se dejó calentar a temperatura ambiente y se agitó durante 16 horas. La mezcla de reacción se vertió en 50 mL de agua y la capa orgánica se lavó subsecuente con agua, ácido clorhídrico acuoso 1 M, agua, solución acuosa saturada de bicarbonato de sodio y salmuera. Las capas orgánicas separadas se secaron ($MgSO_4$) y se evaporaron in vacuo para proporcionar 3.2 g del compuesto del título.

RMN-^{1}H ($CDCl_3$) δ 1.22-1.24 (t, 3H), 1.61-1.74 (m, 2H), 1.85-2.00 (m, 2H), 2.3 (s, 3H), 2.5-2.6 (m, 1H), 2.88-3.23 (m, 2H), 3.82-4.32 (m, 2H), 4.17-4.19 (q, 2H), 4.85 (s, 2H), 6.31 (s, 1H).

Paso D: Preparación de ácido 1-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piperidinilcarboxílico

Una solución del 1-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piperidinilcarboxilato de etilo (3.6 g, 10.36 mmol) (es decir el producto del Ejemplo 17, Paso C) en metanol (10 mL) se trató con una solución acuosa de hidróxido de sodio 1 M (15.54 mL, 15.54 mmol) a 0°C. La mezcla de reacción se agitó a temperatura ambiente durante 2 horas y luego se agregó ácido clorhídrico 1 M (15.54 mL, 15.54 mmol) y la mayor parte del metanol se evaporó in vacuo dejando cristales color blanco. Los cristales se filtraron y se secaron para proporcionar 2.25 g del compuesto del título como un sólido color blanco.

RMN-^{1}H (DMSO-d_6) δ 1.30-1.65 (m, 2H), 1.80-1.92 (m, 2H), 2.2 (s, 3H), 2.72-3.21 (m, 2H), 3.25-3.36 (m, 1H), 3.77-4.20 (m, 2H), 5.18-5.34 (m, 2H), 6.5 (s, 1H).

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Paso E: Preparación de *N*-[(1*S*)-1-(hidroximetil)-2-[metil[(1*R*)-1,2,3,4-tetrahidro-1-naftalenil]-amino]-2-oxoetil]-1-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piperidincarboxamida

Una solución del monoclorhidrato de (2*S*)-2-amino-3-hidroxi-*N*-metil-*N*-[(1*R*)-1,2,3,4-tetrahidro-1-naftalenil]-propanamida (546.33 mg, 2.2 mmol) (es decir el producto del Ejemplo 17, Paso B) y *N*-metilmorfolina (222.53 mg, 2.2 mmol) en 8 mL de tetrahidrofurano se enfrió a 0°C y el ácido 1-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piperidinilcarboxílico (638.56 mg, 2 mmol) (es decir el producto del Ejemplo 17, Paso D) se agregó seguido por *N*-hidroxibenzotriazol (27 mg, 0.2 mmol) y *N,N*-diisopropilcarbodiimida (265 mg, 2.1 mmol). La mezcla de reacción se agitó durante 16 horas a temperatura ambiente y se filtró. El producto precipitado se lavó con tetrahidrofurano y el producto filtrado resultante y los productos lavados se concentraron in vacuo. El residuo se disolvió en diclorometano y la solución resultante se lavó con agua, ácido clorhídrico acuoso 1 M, agua, solución acuosa saturada de bicarbonato de sodio y salmuera, se secó sobre $MgSO_4$ y se concentró in vacuo. El producto resultante se purificó por medio de la cromatografía líquida de presión intermedia sobre gel de sílice utilizando metanol al 0-20% en acetato de etilo como eluyente para proporcionar 600 mg del

compuesto del título como un sólido color blanco.

RMN-^{1}H ($CDCl_3$) δ 1.65-2.05 (m, 8H), 2.3 (s, 3H), 2.40-3.26 (m, 2H), 2.7-2.9 (m, 6H), 3.55 (s, 1H), 3.8-3.92 (m, 2H), 3.92-4.54 (m, 2H), 5.0-5.1 (m, 2H), 5.2-5.9 (m, 1H), 6.35 (s, 1H), 6.9-7.2 (m, 5H).

Paso F: Preparación de *N*-metil-2-[1-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piperidinil]-*N*-[(1*R*)-1,2,3,4-tetrahidro-1-naftalenil]-4-oxazolincarboxamida

Una mezcla de 207 mg (0.38 mmol) de la *N*-[(1*S*)-1-(hidroximetil)-2-[metil[(1*R*)-1,2,3,4-tetrahidro-1-naftalenil]amino]-2-oxoetil]-1-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piperidincarboxamida (207 mg, 0.38 mmol) (es decir el producto del Ejemplo 17, Paso E) y sal interna de hidróxido de (metoxicarbonilsulfamoil)-trietilamonio, (reactivo de Burgess) (104.86 mg, 0.44 mmol) en 2 mL de tetrahidrofurano se calentó a 70°C durante 2.5 horas en el tubo sellado. La mezcla de reacción se concentró in vacuo y se purificó adicionalmente por medio de la cromatografía líquida de presión intermedia utilizando 75-100% de acetato de etilo en hexanos como eluyente para proporcionar 90 mg del compuesto del título, un compuesto de la presente invención como un aceite.

RMN-^{1}H ($CDCl_3$) δ 1.60-2.05 (m, 8H), 2.1 (s, 3H), 2.65-2.97 (m,

5H), 3.00-3.12 (m, 1H), 3.2-3.92 (m, 2H), 4.20-4.35 (m, 2H), 4.9-5.1 (m, 4H), 05.6-5.9 (m, 1H), 6.32 (s, 1H), 6.97-7.20 (m, 4H).

EJEMPLO 18

Preparación de *N*-metil-1-[1-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piperidinil]-*N*-[(1*R*)-1,2,3,4-tetrahidro-1-naftalenil]-1*H*-pirazol-3-carboxamida (Compuesto 231)

Paso A: Preparación de 4-[(metilsulfonil)oxi]-1-piperidincarboxilato de 1,1-dimetiletilo

A una solución del 4-hidroxi-1-piperidincarboxilato de 1,1-dimetiletilo (4.02 g, 20 mmol) y trietilamina (4.4 mL) en 50 mL de diclorometano se agregó lentamente cloruro de metanosulfonilo (1.7 mL, 22 mmol) a 0°C. La mezcla de reacción se agitó durante 1 hora a 0°C, se lavó con ácido clorhídrico acuoso 1 M, se secó sobre $MgSO_4$ y se concentró in vacuo para proporcionar 5 g del compuesto del título como un sólido color blanco.

RMN-^{1}H ($CDCl_3$) δ 1.44 (s, 9H), 1.74-1.86 (m, 2H), 1.9-2.1 (m, 2H), 3.02 (s, 3H), 3.27-3.35 (m, 2H), 3.66-3.75 (m, 2H), 4.84-4.92 (m, 1H).

Paso B: Preparación de 4-[3-(metoxicarbonil)-1*H*-pirazol-1-il]-1-piperidincarboxilato de 1,1-dimetiletilo

Una suspensión de dispersión al 60% de hidruro de

sodio en aceite mineral (192 mg, 4.8 mmol) en 20 mL de *N,N*-dimetilformamida se enfrió a 0°C y el 3-pirazolcarboxilato de metilo (605.37 mg, 4.8 mmol) se agregó gradualmente con agitación en una atmósfera de nitrógeno. La mezcla de reacción se agitó a temperatura ambiente durante 0.5 horas y se enfrió de nuevo a 0°C. Una solución de 4-[(metilsulfonil)oxi]-1-piperidincarboxilato de 1,1-dimetiletilo (1.18 g, 4 mmol) (es decir el producto del Ejemplo 18, Paso A) en 5 mL de *N,N*-dimetilformamida se agregó gradualmente a la mezcla de reacción. La mezcla de reacción se agitó durante 5 días a 60°C. La mezcla de reacción se vertió en agua helada y se extrajo con acetato de etilo. La capa orgánica se secó ($MgSO_4$), se evaporó in vacuo y se purificó por medio de la cromatografía líquida de presión intermedia sobre gel de sílice eluyendo con metanol al 0-10% en acetato de etilo como eluyente para proporcionar 290 mg del compuesto del título como un sólido color blanco.

RMN-^{1}H ($CDCl_3$) δ 1.46 (s, 9H), 1.88-2.00 (m, 2H), 2.12-2.20 (m, 2H), 2.80-2.92 (m, 2H), 3.92 (s, 3H), 4.24-4.33 (m, 2H), 4.34-4.31 (m, 1H), 6.82 (s, 1H), 7.44 (s, 1H).

Adicionalmente, antes de la elución del compuesto del título se aislaron 370 mg del 4-[5-(metoxicarbonil)-1*H*-pirazol-1-il]-1-piperidincarboxilato de 1,1-dimetiletilo.

RMN-^{1}H ($CDCl_3$) δ 1.46 (s, 9H), 1.92-2.00 (m, 2H), 2.08-2.15 (m, 2H), 2.85-2.95 (m, 2H), 3.89 (s, 3H), 4.20-4.31 (m, 2H),

5.24-5.32 (m, 1H), 6.85 (s, 1H), 7.51 (s, 1H).

Paso C: Preparación de monoclorhidrato de 1-(4-piperidinil)-1*H*-pirazol-3-carboxilato de metilo

A una solución del 4-[3-(metoxicarbonil)-1*H*-pirazol-1-il]-1-piperidincarboxilato de 1,1-dimetiletilo (300 mg, 0.97 mmol) (es decir el producto del Ejemplo 18, Paso B) en 5 mL de éter dietílico se agregó una solución 2 M de cloruro de hidrógeno en éter (4.85 mL, 9.7 mmol) y la mezcla de reacción se agitó durante 5 horas a temperatura ambiente. La mezcla de reacción se evaporó in vacuo, el residuo resultante se disolvió en metanol y se concentró in vacuo. El residuo se secó en alto vacío para proporcionar 200 mg del compuesto del título como un sólido color blanco.

RMN-^{1}H (DMSO-d_6) δ 2.1-2.3 (m, 4H), 3.0-3.1 (m, 2H), 3.31-3.41 (m, 2H), 3.8 (s, 3H), 4.56-4.68 (m, 1H), 6.8 (s, 1H), 7.96 (s, 1H), 9.10-9.58 (s amplio, 2H).

Paso D: Preparación de 1-[1-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piperidinil]-1*H*-pirazol-3-carboxilato de metilo

Una mezcla del monoclorhidrato de 1-(4-piperidinil)-1*H*-pirazol-3-carboxilato de metilo (220 mg, 0.9 mmol) (es decir el producto del Ejemplo 18, Paso C) y trietilamina (0.42 mL, 3 mmol) en 5 mL de diclorometano se

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agitó a temperatura ambiente durante aproximadamente 15 minutos hasta la disolución completa y se enfrió a 0°C. Una solución de cloruro de 5-metil-3-(trifluorometil)-1*H*-pirazol-1-acetilo (227 mg, 1 mmol) (preparado como se describe en el Ejemplo 19, Paso B) se agregó gradualmente y la mezcla resultante se agitó a temperatura ambiente durante 16 horas. La mezcla de reacción se vertió en agua, la capa orgánica se lavó con ácido clorhídrico acuosos 1 M, agua, solución acuosa saturada de bicarbonato de sodio, salmuera. La mezcla de reacción filtrada se secó sobre sulfato de magnesio y se concentró in vacuo para proporcionar 320 mg del compuesto del título.

RMN-^{1}H ($CDCl_3$) δ 1.90-2.07 (m, 2H), 2.2-2.3 (m, 2H), 2.34 (s, 3H), 2.79-3.36 (m, 2H), 3.93 (s, 3H), 4.10-4.71 (m, 2H), 4.25-4.52 (m, 1H), 4.94-5.04 (m, 2H), 6.35 (s, 1H), 6.85 (s, 1H), 7.22 (s, 1H).

Paso E: Preparación de ácido 1-[1-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piperidinil]-1*H*-pirazol-3-carboxílico

Una solución del 1-[1-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piperidinil]-1*H*-pirazol-3-carboxilato de metilo (320 mg, 0.8 mmol) (es decir el producto del Ejemplo 18, Paso D) en 8 mL de metanol se enfrió a 0°C y se agregó gradualmente una solución acuosa de

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hidróxido de sodio 1 M (1.6 mL, 1.6 mmol). La mezcla de reacción se agitó a 50°C durante 16 horas y el ácido clorhídrico acuoso 1 M (1.6 mL, 1.6 mmol) se agregó seguido por 5 mL de una solución acuosa saturada de cloruro de sodio. La mezcla resultante se extrajo con acetato de etilo y el extracto se secó sobre sulfato de magnesio y se evaporó in vacuo para proporcionar 270 mg del compuesto del título como un sólido vidrioso.

RMN-^{1}H (DMSO-d_6) δ 1.80-2.19 (m, 4H), 2.2 (s, 3H), 2.8-3.3 (m, 2H), 3.98-4.48 (m, 2H), 4.5-4.6 (m, 1H), 5.23-5.4 (m, 2H), 6.5 (s, 1H), 6.7 (s, 1H), 7.9 (s, 1H), 12.6 (s, 1H).

Paso F: Preparación de *N*-metil-1-[1-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piperidinil]-*N*-[(1*R*)-1,2,3,4-tetrahidro-1-naftalenil]-1*H*-pirazol-3-carboxamida

Una mezcla del ácido 1-[1-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piperidinil]-1*H*-pirazol-3-carboxílico (270 mg, 0.7 mmol) (es decir el producto del Ejemplo 18, Paso E), clorhidrato de *N*-(3-dimetilaminopropil)-*N'*-etildicarbodiimida (EDC) (147.61 mg, 0.77 mmol) y *N*-metilmorfolina (0.088 mL, 0.8 mmol) en 5 mL de diclorometano se agitó a temperatura ambiente durante 15 minutos. La (1*R*)-1,2,3,4-tetrahidro-*N*-metil-1-naftalenamina (124.16 mg, 0.77 mmol) (es decir el producto del Ejemplo 6,

Paso A) se agregó y la mezcla de reacción se agitó a temperatura ambiente durante 16 horas. La mezcla de reacción se vertió en agua y la capa orgánica se lavó subsecuentemente con agua, ácido clorhídrico acuoso 1 M, agua, solución acuosa saturada de bicarbonato de sodio, salmuera. La mezcla de reacción filtrada se secó sobre sulfato de magnesio y se evaporo in vacuo. El producto crudo se purificó por medio de la cromatografía líquida de presión intermedia sobre gel de sílice utilizando 75-100% de acetato de etilo en hexanos como eluyente para proporcionar 55 mg del compuesto del título, un compuesto de la presente invención como un aceite.

RMN-^{1}H ($CDCl_3$) δ 1.68-2.10 (m, 6H), 2.11-2.27 (s, 3H), 2.33-2.35 (d, 3H), 2.74-3.00 (m, 5H), 3.22-3.4 (m, 1H), 4.00-4.18 (m, 1H), 4.30-4.66 (m, 2H), 4.93-5.07 (m, 2H), 5.88-6.12 (m, 1H), 6.29-6.38 (m, 1H), 6.74-6.81 (d, 1H), 7.1-7.3 (m, 4H), 7.40-7.42 (d, 1H).

EJEMPLO 19

N-[(1*R*)-2,3-dihidro-1*H*-inden-1-il]-*N*-metil-2-[1-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piperidinil]-4-tiazolcarboxamida (Compuesto 178)

Paso A: Preparación de monoclorhidrato de 2-(4-piperidinil)-4-tiazolcarboxilato de etilo

Una solución del 4-[4-(etoxicarbonil)-2-tiazolil]-1-piperidin-carboxilato de 1,1-dimetiletilo (11.1 g, 32.7

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mmol) (es decir el producto del Ejemplo 1, Paso A) en 100 mL de éter dietílico se trató con una solución de cloruro de hidrogeno 2 M en éter dietílico (166 mL, 331 mmol) a 0°C. El producto precipitado de reacción resultante se disolvió en 100 mL de etanol puro y se agitó durante toda la noche a temperatura ambiente. La mezcla de reacción se evaporó in vacuo, se disolvió de nuevo en etanol y se evaporó nuevamente para proporcionar un sólido. El sólido resultante se colocó bajo alta vacío durante varias horas para proporcionar 10.38 g del compuesto del título como un polvo higroscópico color blanco.

RMN-^{1}H (DMSO-d_6) δ 1.30 (t, 3H), 1.9 (m, 2H), 2.2 (m, 2H), 3.0 (m, 2H), 3.35 (m, 2H), 3.4 (m, 1H), 4.3 (q, 2H), 8.9-9.3 (b, 2H).

Paso B: Preparación de etil-2-[1-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piperidinil]-4-tiazolcarboxilato

El ácido 5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acético (7.58 g, 36.4 mmol) (es decir el producto del Ejemplo 2, Paso A) se disolvió en 100 mL de diclorometano. A la mezcla de reacción, se agregó 1 gota de *N,N*-dimetilformamida y la mezcla de reacción se enfrió a 0°C. La mezcla de reacción se trató con cloruro de oxalilo (3.5 mL, 40 mmol) gota a gota y se dejó calentar à temperatura ambiente y

se agitó durante 3 horas. La mezcla de reacción se evaporó in vacuo y se colocó bajo alto vacío para proporcionar 7.93 g del cloruro ácido correspondiente, cloruro de 5-metil-3-(trifluorometil)-1*H*-pirazol-1-acetilo, como un sólido color canela. El cloruro ácido se disolvió en 50 mL de diclorometano y una solución de monoclorhidrato de 2-(4-piperidinil)-4-tiazolcarboxilato de etilo (10.38 g, 33.1 mmol) (es decir el producto del Ejemplo 19, Paso A) y trietilamina (23 mL, 165 mmol) en 200 mL de diclorometano se agregó a 0°C. La mezcla de reacción se dejó calentar a temperatura ambiente y se agitó durante toda la noche. La mezcla de reacción se vertió en agua y se extrajo con diclorometano. El extracto se lavó con ácido clorhídrico acuoso 1 M, agua, solución acuosa saturada de bicarbonato de sodio y salmuera. La mezcla filtrada se secó ($MgSO_4$) y se evaporó in vacuo para proporcionar 13.0 g del compuesto del título como un aceite.

RMN-^{1}H ($CDCl_3$) δ 1.4 (t, 3H), 1.78 (m, 2H), 2.2 (m, 2H), 2.32 (s, 3H), 2.80 (m, 1 H), 3.25 (m, 1H), 3.36 (m, 1H), 4.07 (m, 1H), 4.42 (q, 2H), 4.62 (m, 1H), 4.98 (m, 2H), 6.34 (s, 1H), 8.09 (s, 1H).

Paso C: Preparación de ácido 2-[1-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piperidinil]-4-tiazolcarboxílico

Una solución del etil-2-[1-[[5-metil-3-

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(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piperidinil]-4-tiazolcarboxilato (13.0 g, 30.2 mmol) (es decir el producto del Ejemplo 19, Paso B) en 60 mL de metanol se enfrió a 0°C y se trató con una solución acuosa de NaOH 1 N (36.3 mL, 36.3 mmol). La mezcla de reacción se dejó calentar a temperatura ambiente y se agitó durante 5 horas. La mezcla de reacción se enfrió nuevamente a 0°C y se trató con ácido clorhídrico acuoso 1 N (36.3 mL, 36.3 mmol). El producto precipitado resultante se filtró, se lavó con agua y se secó en un horno al vacío, a 100°C para proporcionar 10.95 g del compuesto del título como un sólido color blanco.

RMN-^{1}H (DMSO-d_6) δ 1.55 (m, 1H), 1.80 (m, 1H), 2.1 (m, 2H), 2.21 (s, 3H), 2.82 (m, 1H), 3.30 (m, 2H), 3.98 (m, 1H), 4.38 (m, 1H), 5.28 (m, 2H), 6.50 (s, 1H), 8.36 (s, 1H), 12.9 (s amplio, 1H).

Paso D: Preparación de 2-[1-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piperidinil]-4-tiazolcarbonilo

Una solución del ácido 2-[1-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piperidinil]-4-tiazolcarboxílico (2.55 g, 5.87 mmol) (es decir el producto del Ejemplo 19, Paso C) en 100 mL de diclorometano se enfrió a -10°C y se agregó 1 gota de *N,N*-dimetilformamida. La mezcla de reacción se trató con una adición agota a gota de una solución de cloruro de oxalilo (0.60 mL, 6.8 mmol) en 10 mL

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de diclorometano. La mezcla de reacción se agitó a -10°C durante 30 minutos, se dejó calentar a temperatura ambiente y se agitó 16 horas adicionales. La mezcla homogénea resultante se evaporó in vacuo y el residuo se colocó bajo alto vacío durante varias horas para proporcionar 2.46 g del compuesto del título como un sólido color amarillo claro.

RMN-^{1}H ($CDCl_3$) δ 1.80 (m, 2H), 2.2 (m, 2H), 2.33 (s, 3H), 2.88 (m, 1H), 3.36 (m, 2H), 4.10 (m, 1H), 4.60 (m, 1H), 4.99 (m, 2H), 6.34 (s, 1H), 8.39 (s, 1H).

Paso E: Preparación de *N*-[(1*R*)-2,3-dihidro-1*H*-inden-1-il]-*N*-metil-2-[1-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piperidinil]-4-tiazolcarboxamida

El cloruro de 2-[1-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piperidinil]-4-tiazolcarbonilo (210 mg, 0.5 mmol) (es decir el producto del Ejemplo 19, Paso D) se trató con una solución de (1*R*)-2,3-dihidro-N,2-dimetil-1*H*-inden-1-amina (147 µL, 1.0 mmol) y trietilamina (139 µL, 1.0 mmol) en 5 mL de diclorometano. La mezcla de reacción se agitó a temperatura ambiente durante 2 horas, se pasó a través de una columna Varian 1005 Chem Elut^{MR} tratada previamente con 3 mL de ácido clorhídrico acuoso 1 N. La columna se inundó con tres volúmenes de columna de diclorometano. La solución recolectada de diclorometano se evaporó in vacuo y se purificó por medio de la cromatografía

líquida de presión intermedia sobre gel de sílice utilizando 50-100% de acetato de etilo en 1-clorobutano como eluyente para proporcionar 214 mg del compuesto del título, el producto de la presente invención como una espuma color blanco.

RMN-^{1}H ($CDCl_3$) δ 178 (m, 3H), 2.18 (m, 2H), 2.39-3.31 (dos s, 3H), 2.45 (m, 1 H), 2.7-3.2 (s y m, 6H), 3.28 (m, 2H), 4.00 (m, 1H), 4.50 (m, 1H), 4.97 (m, 2H), 5.9-6.4 (m, 2H), 7.2-7.3 (m, 4H), 7.83 (s, 1H).

EJEMPLO 20

Preparación de *N*-(2,3-dihidro-2-metil-1*H*-inden-1-il)-*N*-metil-2-[1-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piperidinil]-4-tiazolcarboxamida (Compuesto 226)

A una solución de la 2,3-dihidro-N,2-dimetil-1*H*-inden-1-amina (177 mg, 1.1 mmol) y trietilamina (0.22 mL, 1.6 mmol) en 5 mL de diclorometano se agregó gradualmente el cloruro de 2-[1-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piperidinil]-4-tiazolcarbonilo (421 mg, 1 mmol) (es decir el producto del Ejemplo 19, Paso D) a 0°C. La mezcla de reacción se agitó a temperatura ambiente durante 16 horas, se diluyó con 4 mL de diclorometano y se lavó con agua ácido clorhídrico acuoso 1 N, agua, solución saturada de bicarbonato de sodio y salmuera. La mezcla de reacción filtrada se secó sobre sulfato de magnesio y se concentró in

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vacuo para proporcionar 215 mg del compuesto del título, el producto de la presente invención como una espuma color blanco.

RMN-^{1}H ($CDCl_3$) δ 1.56 (s, 3H), 1.70-1.86 (m, 2H), 2.11-2.27 (m, 2H), 2.3 (s, 3H), 2.62-2.75 (m, 4H), 2.87-3.00 (m, 2H), 3.09-3.18 (m, 1H), 3.2-3.4 (m, 2H), 4.00-4.55 (m, 2H), 4.90-5.05 (m, 2H), 5.93-6.20 (m, 1H), 6.30-6.35 (m, 1H), 7.20-7.33 (m, 4H). 7.8-7.9 (d, 1H).

EJEMPLO 21

Preparación de *N*-(2,3-dihidro-2,2-dimetil-1*H*-inden-1-il)-*N*-metil-2-[1-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il] acetil]-4-piperidinil]-4-tiazolcarboxamida (Compuesto 222)

A una solución de la 2,3-dihidro-*N*,2,2-trimetil-1*H*-inden-1-amina (193 mg, 1.1 mmol) y trietilamina (0.19 mL, 1.38 mmol) en 5 mL de diclorometano se agregó gradualmente el cloruro de 2-[1-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piperidinil]-4-tiazolcarbonilo (386 mg, 0.92 mmol) (es decir el producto del Ejemplo 19, Paso D) a 0°C. La mezcla de reacción se agitó a temperatura ambiente durante 16 horas, se diluyó con 4 mL de diclorometano y se lavó con agua, ácido clorhídrico acuoso 1 N, agua, solución saturada de bicarbonato de sodio y salmuera. La mezcla de reacción filtrada se secó sobre sulfato de magnesio y se concentró in vacuo para proporcionar 300 mg del compuesto del título, el

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producto de la presente invención como una espuma color blanco.

RMN-^{1}H ($CDCl_3$) δ 0.98 (s, 2H), 1.18-1.28 (m, 4H), 1.70-1.82 (m, 2H), 2.12-2.29 (m, 2H), 2.3 (s, 3H), 2.61-2.75 (m, 4H), 2.82-2.98 (m, 2H), 3.22-3.37 (m, 2H), 3.98-4.60 (m, 2H), 4.92-5.08 (m, 2H), 5.52-5.81 (d, 1H), 6.3 (s, 1H), 7.20-7.32 (m, 4H), 7.80-7.83 (d, 1H).

EJEMPLO 22

Preparación de *N*-metil-2-[1-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piperidinil]-*N*-(1,2,3,4-tetrahidro-2-metil-1-naftalenil)-4-tiazolcarboxamida (Compuesto 193)

A una solución de la 1,2,3,4-tetrahidro-*N*,2-dimetil-1-naftalenamina (115 mg, 0.66 mmol) y trietilamina (0.12 mL, 0.825 mmol) en 2 mL de diclorometano se agregó gradualmente el cloruro de 2-[1-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piperidinil]-4-tiazolcarbonilo (231 mg, 0.55 mmol) (es decir el producto del Ejemplo 19, Paso D) a 0°C. La mezcla de reacción se agitó a temperatura ambiente durante 16 horas, se diluyó con 4 mL de diclorometano y se lavó con agua, ácido clorhídrico acuoso 1 N, agua, solución saturada de bicarbonato de sodio y salmuera. La mezcla de reacción filtrada se secó sobre sulfato de magnesio y se concentró in vacuo para proporcionar 270 mg del compuesto del título, el producto de la presente invención como una espuma

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color blanco.

RMN-^{1}H ($CDCl_3$) δ 1.06-1.10 (m, 3H), 1.61-1.83 (m, 4H), 2.08-2.24 (m, 3H), 2.32-2.35 (m, 3H), 2.72-2.82 (m, 4H), 2-86-3.00 (m, 3H), 3.20-3.38 (m, 2H), 3.93-4.08 (m, 1H), 4.47-4.59 (m, 1H), 4.91-5.06 (m, 2H), 5.82-6.15 (m, 1H), 6.32-6.35 (m, 1H), 7.10-7.54 (m, 4H), 7.79-7.90 (d, 1H).

EJEMPLO 23

Preparación de *N*-metil-2-[1-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piperidinil]-*N*-(1,2,3,4-tetrahidro-1-naftalenil)-4-tiazolcarboxamida (Compuesto 188)

A una solución de la 1,2,3,4-tetrahidro-N-metil-1-naftalenamina (145 mg, 0.9 mmol) (preparada por medio del método descrito en el Ejemplo 6, Paso A) y trietilamina (0.16 mL, 1.13 mmol) en 2 mL de diclorometano se agregó gradualmente el cloruro de 2-[1-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piperidinil]-4-tiazolcarbonilo (316 mg, 0.75 mmol) (es decir el producto del Ejemplo 19, Paso D) a 0°C. La mezcla de reacción se agitó a temperatura ambiente durante 16 horas, se diluyó con 4 mL de diclorometano y se lavó con agua, ácido clorhídrico acuoso 1 N, agua, solución saturada de bicarbonato de sodio y salmuera. La mezcla de reacción filtrada se secó sobre sulfato de magnesio y se concentró in vacuo. El producto crudo se purificó por medio de la cromatografía líquida de

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presión intermedia sobre gel de sílice utilizando 60-100% de acetato de etilo en hexanos como eluyente para proporcionar 242 mg del compuesto del título, un compuesto de la presente invención como una espuma color blanco.

RMN-^{1}H ($CDCl_3$) δ 1.6-2.0 (m, 4H), 2.05-2.3 (m, 6H), 2.7-3.0 (m, 6H), 3.22-3.35 (m, 2H), 3.95-4.58 (m, 3H), 4.96-5.02 (m, 2H), 5.67-6.05 (m, 1H), 6.32 (s, 1H), 7.05-7.25 (m, 4H), 7.85 (m, 1H).

EJEMPLO 24

Preparación de *N*-metil-2-[1-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piperidinil]-*N*-[(1*R*,2*S*)-1,2,3,4-tetrahidro-2-metil-1-naftalenil]-4-tiazolcarboxamida y su enantiómero (Compuesto 234)

A una solución de la (1*R*,2*S*)-1,2,3,4-tetrahidro-*N*,2-dimetil-naftalenamina y su enantiómero (0.043 g, 0.25 mmol) (preparada por medio del método descrito en el Ejemplo 6, Paso A) y trietilamina (0.104 mL, 0.74 mmol) en 2 mL de diclorometano se agregó gradualmente el cloruro de 2-[1-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piperidinil]-4-tiazolcarbonilo (85 mg, 0.2 mmol) (es decir el producto del Ejemplo 19, Paso D) a 0°C. La mezcla de reacción se agitó a temperatura ambiente durante 16 horas, se diluyó con 4 mL de diclorometano y se lavó con agua, ácido clorhídrico acuoso 1 N, agua, solución saturada de

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bicarbonato de sodio y salmuera. La mezcla de reacción filtrada se secó sobre sulfato de sodio y se concentró in vacuo. El producto crudo se purificó por medio de la cromatografía líquida de presión intermedia sobre gel de sílice utilizando 60-100% de acetato de etilo en hexanos como eluyente para proporcionar 43 mg de los compuestos del título, compuestos de la presente invención como una espuma color blanco.

Espectro de masas a 558 (M+1).

EJEMPLO 25

Preparación de *N*-metil-2-[1-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piperidinil]-*N*-(1,2,3,4-tetrahidro-2,2-dimetil-1-naftalenil]-4-tiazolcarboxamida (Compuesto 236)

A una solución de la 1,2,3,4-tetrahidro-*N*,2,2-trimetil-naftalenamina (0.0423 g, 0.22 mmol) (preparada por medio del método descrito en el Ejemplo 6, Paso A) y trietilamina (0.036 mL, 0.26 mmol) en 1 mL de diclorometano se agregó gradualmente el cloruro de 2-[1-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piperidinil]-4-tiazolcarbonilo (316 mg, 0.75 mmol) (es decir el producto del Ejemplo 19, Paso D) a 0°C. La mezcla de reacción se agitó a temperatura ambiente durante 16 horas, se diluyó con 2 mL de diclorometano y se lavó con agua, ácido clorhídrico acuoso 1 N, agua, solución saturada de bicarbonato de sodio y

salmuera. La mezcla de reacción filtrada se secó sobre sulfato de sodio y se concentró in vacuo. El producto crudo se purificó por medio de la cromatografía líquida de presión intermedia sobre gel de sílice utilizando 60-100% de acetato de etilo en hexanos como eluyente para proporcionar 70 mg del compuesto del título, un compuesto de la presente invención como una espuma color blanco.

RMN-^{1}H ($CDCl_3$) δ 0.85 y 1.10 (dos s, 4H total), 0.94-1.65 (m, 2H), 1.02 y 1.14 (dos s, 3H total), 1.77 (m, 3H), 2.17 (m, 1H), 2.29 y 2.32 (dos s, 3H total), 2.77 y 2.86 (dos s, 3H total), 2.82 (m, 1H), 2.90 (m, 1H), 3.29 (m, 1H), 4.00 (m, 1H), 4.37 (m, 1H), 4.50 (m, 1H), 5.00 (m, 2H), 5.69 y 5.85 (s y d, 1H total), 6.34 (m, 1H), 7.19-7.42 (m, 4H), 7.79 y 7.86 (dos s, 1H total),

EJEMPLO 26

Preparación de *N*-metil-2-[1-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piperidinil]-*N*-[(1*R*,4*S*)-1,2,3,4-tetrahidro-4-hidroxi-1-naftalenil]-4-tiazolcarboxamida y su enantiómero (Compuesto 191)

Paso A: Preparación de (1*S*,4*R*)-1,2,3,4-tetrahidro-4-(metilamino)-1-naftalenol y su enantiómero

A una solución del 1,2,3,4-tetrahidro-1,4-epoxinaftaleno (2.92 g, 20 mmol) y trietilamina (0.3 mL, 2 mmol) en 40 mL de diclorometano a 0°C se agregó el 9-bromo-9-

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borabiciclo[3.3.1]nonano (en solución 1 M en tetrahidrofurano, 30 mL, 30 mmol). La mezcla de reacción se agitó a 0°C durante 20 minutos y entonces se agregó una solución 2 M de metilamina en tetrahidrofurano (40 mL), formando un producto precipitado color blanco. La mezcla de reacción se agitó a temperatura ambiente durante 16 horas, se vertió en 100 mL de ácido clorhídrico acuoso 1 M y se filtró. La capa acuosa filtrada se lavó con diclorometano, se basificó con gránulos de NaOH a pH 13 y luego se extrajo con diclorometano. El extracto se lavó con salmuera, se secó sobre sulfato de magnesio y se evaporó in vacuo para proporcionar un sólido gomoso color amarillo. El sólido se agitó en éter dietílico, se filtró, se lavó con éter dietílico y se secó al aire para proporcionar 2.15 g de los compuestos del título como un polvo color blanco.
RMN-^{1}H ($CDCl_3$) δ 1.90 (m, 2H), 2.1 (m, 1H), 2.25 (m, 1H), 2.35 (s, 3H), 3.0-4.0 (s amplio, 2H), 3.76 (m, 1H), 4.70 (m, 1H), 7.2-7.4 (m, 4H).

Paso B: Preparación de *N*-metil-2-[1-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piperidinil]-*N*-[(1*R*,4*S*)-1,2,3,4-tetrahidro-4-hidroxi-1-naftalenil]-4-tiazolcarboxamida y su enantiómero

A una solución del (1*R*,4*S*)-1,2,3,4-tetrahidro-4-(metilamino)-1-naftalenol y su enantiómero (283 mg, 1.6

mmol) (es decir el producto del Ejemplo 26, Paso A) y trietilamina (0.5 mL, 3.6 mmol) en 5 mL de diclorometano se agregó gradualmente el cloruro de 2-[1-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piperidinil]-4-tiazolcarbonilo (605 mg, 1.44 mmol) (es decir el producto del Ejemplo 19, Paso D) a 0°C. La mezcla de reacción se agitó a temperatura ambiente durante 1 hora, se diluyó con diclorometano y se lavó con agua, ácido clorhídrico acuoso 1 N, agua, solución saturada de bicarbonato de sodio y salmuera. La mezcla de reacción filtrada se secó sobre sulfato de magnesio y se concentró in vacuo. El producto crudo se purificó por medio de la cromatografía líquida de presión intermedia sobre gel de sílice utilizando 0-20% de acetona en acetato de etilo como eluyente para proporcionar 700 mg de los compuestos del título, compuestos de la presente invención como un polvo color blanquecino.

RMN-^{1}H ($CDCl_3$) δ 1.6-1.9 (m, 3H), 2.0-2.3 (m, 6H), 2.31 y 2.33 (2s, 3H), 2.40 (m, 1 H), 2.7-3.0 (s y m, 4H), 3.2-3.4 (m, 2H), 3.9-4.1 (m, 1H), 4.3-4.6 (m, 1H), 4.80 (m, 1H), 4.97 (m, 2H), 5.6-6.0 (m, 1H), 6.35 (m, 1H), 7.2-7.4 (m, 4H), 7.88 (s, 1H).

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EJEMPLO 27

Preparación de *N*-metil-2-[1-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piperidinil]-*N*-(1,2,3,4-tetrahidro-4-oxo-1-naftalenil)-4-tiazolcarboxamida (Compuesto 211)

Una mezcla de la *N*-metil-2-[1-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piperidinil]-*N*-[(1*R*,4*S*)-1,2,3,4-tetrahidro-4-hidroxi-1-naftalenil]-4-tiazolcarboxamida y su enantiómero (96 mg, 0.17 mmol) (es decir el producto del Ejemplo 26, Paso B) y dióxido de manganeso (400 mg, 4.6 mmol) en cloroformo (2 mL) se remolineó para formar un vórtice durante toda la noche a temperatura ambiente. La mezcla de reacción se filtró a través de un coadyuvante de filtro diatomáceo Celite^{MR} y se purificó por medio de la cromatografía líquida de presión intermedia sobre gel de sílice utilizando acetona al 20% en acetato de etilo como eluyente para proporcionar 70 mg del compuesto del título, un compuesto de la presente invención como una espuma color blanco.

RMN-^{1}H ($CDCl_3$) δ 1.6-1.9 (m, 3H), 2.0-2.7 (m, 7H), 2.7-3.4 (s y m, 7H), 3.8-4.2 (m, 1 H), 4.3-4.7 (m, 1H), 4.9-5.1 (m, 2H), 6.0-6.4 (m, 2H), 7.4 (m, 2H), 7.6 (m, 1H), 7.98 (m, 1H), 8.1 (m, 1H).

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EJEMPLO 28

Preparación de *N*-metil-2-[1-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piperidinil]-*N*-[(1*R*,4*R*)-1,2,3,4-tetrahidro-4-hidroxi-1-naftalenil]-4-tiazolcarboxamida y su enantiómero (Compuesto 206)

Paso A: Preparación de (1*R*,4*R*)-1,2,3,4-tetrahidro-4-(metilamino)-1-naftalenol y su enantiómero

A una solución del (1*S*,4*R*)-1,2,3,4-tetrahidro-4-(metilamino)-1-naftalenol y su enantiómero (517.6 mg, 2.92 mmol) (es decir el producto del Ejemplo 26, Paso A) en tetrahidrofurano (3 mL) se agregó trifenilfosfina (766 mg, 2.92 mmol) y ácido acético (175 mg, 2.92 mmol). La mezcla se enfrió a 0°C y se agregó gradualmente azodicarboxilato de dietilo (0.541 mL, 3.4 mmol). La mezcla de reacción se agitó a temperatura ambiente durante 16 horas y se concentró in vacuo. El residuo resultante se diluyó con éter dietílico y se dejó reposar a temperatura ambiente durante 16 horas. El producto precipitado formado se filtró, el producto filtrado se lavó con una solución acuosa saturada de bicarbonato de sodio, se secó sobre sulfato de magnesio y se concentró bajo presión reducida. El residuo de la reacción se diluyó con éter dietílico y se extrajo con ácido clorhídrico acuoso 1 N. El extracto acuoso se basificó con una solución acuosa al 50% de hidróxido de sodio a pH 9 y se extrajo inmediatamente con éter dietílico. El extracto orgánico se secó ($MgSO_4$) y se

concentró para proporcionar 390 mg de acetatos invertidos, acetato de (1*R*,4*R*)-1,2,3,4-tetrahidro-4-(metilamino)-1-naftalenilo y su enantiómero. El acetato y su enantiómero se agitaron durante 6 horas a temperatura ambiente con 2 g de resina Bio-Rad AG1-X2 $(OH^{\ominus})^{MR}$. La resina se filtró, el producto filtrado se evaporó in vacuo y el residuo resultante se diluyó con éter dietílico y se extrajo con ácido clorhídrico acuoso 1 N. El extracto ácido se basificó con una solución acuosa al 50% de hidróxido de sodio y se extrajo con diclorometano. El extracto orgánico se secó sobre sulfato de magnesio y se concentró para proporcionar 70 mg de los compuestos del título como un sólido.

RMN-^{1}H ($CDCl_3$) δ 1.73-1.86 (m, 2H), 2.1-2.3 (m, 2H), 3.68-3.72 (m, 1H), 4.78-4.81 (m, 1H), 7.23-7.46 (m, 4H).

Paso B: Preparación de *N*-metil-2-[1-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piperidinil]-*N*-[(1*R*,4*R*)-1,2,3,4-tetrahidro-4-hidroxi-1-naftalenil]-4-tiazolcarboxamida y su enantiómero

A una solución del (1*R*,4*R*)-1,2,3,4-tetrahidro-4-(metilamino)-1-naftalenol y su enantiómero (70 mg, 0.39 mmol) (es decir el producto del Ejemplo 28, Paso A) y trietilamina (0.082 mL, 0.59 mmol) en 2 mL de diclorometano se agregó gradualmente el cloruro de 2-[1-[[5-metil-3-

(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piperidinil]-4-tiazolcarbonilo (181 mg, 0.43 mmol) (es decir el producto del Ejemplo 19, Paso D) a 0°C. La mezcla de reacción se agitó a temperatura ambiente durante 3 horas, se diluyó con 5 mL de diclorometano y se lavó con agua, ácido clorhídrico acuoso 1 N, agua, solución saturada de bicarbonato de sodio y salmuera. La mezcla de reacción filtrada se secó sobre sulfato de magnesio y se concentró in vacuo. El producto crudo se purificó por medio de la cromatografía líquida de presión intermedia sobre gel de sílice utilizando 0-20% de acetona en acetato de etilo como eluyente para proporcionar 160 mg de los compuestos del título, compuestos de la presente invención como una espuma color blanco.

RMN-^{1}H ($CDCl_3$) δ 1.6-2.3 (m, 11H), 2.78-3.03 (m, 4H), 3.20-3.36 (m, 2H), 3.88-4.61 (m, 2H), 4.80-5.05 (m, 3H), 5.78-6.12 (m, 1H), 6.32 (s, 1H), 7.18-7.36 (m, 4H), 7.60-7.66 (m, 1H), 7.91-7.95 (m, 1H).

EJEMPLO 29

Preparación de *N*-metil-2-[1-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piperidinil]-*N*-[(1*R*)-1,2,3,4-tetrahidro-1-naftalenil]-4-tiazolcarbotiamida (Compuesto 289)

Una mezcla de la *N*-metil-2-[1-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piperidinil]-*N*-[(1*R*)-1,2,3,4-tetrahidro-1-naftalenil]-4-tiazolcarboxamida

(Compuesto 149) (273 mg, 0.5 mmol) (es decir el producto del Ejemplo 6, Paso D) y el 2,4-bis(4-metoxifenil)-1,3-ditia-2,4-difosfetan-2,4-disulfuro (202 mg, 0.5 mmol) (reactivo de Lawesson) en 5 mL de tolueno se calentó a 100°C durante 3 días. La mezcla de reacción se concentró in vacuo y el residuo resultante se disolvió en 10 mL de diclorometano y se lavó con una solución acuosa 1 M de carbonato de potasio y se secó sobre sulfato de magnesio. El residuo filtrado se concentró in vacuo y se purificó por medio de la cromatografía líquida de presión intermedia sobre gel de sílice utilizando 50-100% de acetato de etilo en hexanos como eluyente para proporcionar 70 mg del compuesto del título, un compuesto de la presente invención como una espuma color blanco.

RMN-^{1}H ($CDCl_3$) δ 1.54-2.40 (m, 11H), 2.70-2.93 (m, 4H), 3.20-3.33 (m, 4H), 3.90-4.60 (m, 2H), 4.92-5.45 (m, 3H), 6.31 (s, 1H), 7.00-7.22 (m, 4H), 7.72 (s, 1H).

EJEMPLO 30

Preparación de *N*-metil-2-[4-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-1-piperazinil]-*N*-[(1*R*)-1,2,3,4-tetrahidro-1-naftalenil]-4-tiazolcarboxamida (Compuesto 220)

Paso A: Preparación de 4-[4-(etoxicarbonil)-2-tiazolil]-1-piperazincarboxilato de 1,1-dimetiletilo

El 1-piperazincarboxilato de 1,1-dimetiletilo (1.86

g, 10 mmol), 2-cloro-5-tiazolcarboxilato de metilo (1.92 g, 10.0 mmol), diazabicicloundeceno (1.5 mL, 10 mmol) y una cantidad catalítica de yoduro de potasio (2 mg) se disolvieron en 10 mL de sulfóxido de dimetilo seco y se calentaron a 80°C durante 16 horas. La solución caliente se agregó gota a gota con agitación a 200 mL de agua fría. La mezcla de reacción se extrajo con éter dietílico. El extracto resultante se lavó con agua y salmuera, se secó sobre sulfato de magnesio y se concentró bajo presión reducida para proporcionar 3.23 g de un aceite color amarillo el cual se solidificó con el reposo. El sólido se recristalizó a partir de éter dietílico/hexanos para proporcionar 1.0 g del compuesto del título como cristales color amarillo claro. Este compuesto tenía una pureza suficiente para utilizarse en reacciones subsecuentes.

RMN-^{1}H ($CDCl_3$) δ 1.37 (t, 3H), 1.48 (s, 9H), 3.53 (s, 8H), 4.38 (q, 2H), 7.47 (s, 1H).

Paso B: Preparación de monoclorhidrato de 2-(1-piperazinil)-4-tiazolcarboxilato de etilo

Una solución del 4-[4-(etoxicarbonil)-2-tiazolil]-1-piperazincarboxilato de 1,1-dimetiletilo (1.0 g, 3.4 mmol) (es decir el producto del Ejemplo 30, Paso A) en 10 mL de diclorometano se trató con cloruro de hidrógeno 2 M en éter dietílico (10 mL) y la mezcla de reacción se agitó a

temperatura ambiente durante 16 horas. La mezcla resultante se evaporó in vacuo para proporcionar 1.0 g del compuesto del título como un sólido color blanco. Este compuesto tenía una pureza suficiente para utilizarse en reacciones subsecuentes. RMN-^{1}H (DMSO-d6) δ 1.27 (t, 3H), 3.20 (s amplio, 4H), 3.70 (m, 4H), 4.22 (q, 2H), 7.81 (s, 1H), 9.55 (s amplio, 2H).

Paso C: Preparación de etil-2-[4-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-1-piperazinil]-4-tiazolcarboxilato

El cloruro de 5-metil-3-(trifluorometil)-1*H*-pirazol-1-acetilo (1.05 g, 2.5 mmol) (preparado como se describe en el Ejemplo 19, Paso B) se disolvió en 10 mL de diclorometano y se agregó a una mezcla de monoclorhidrato de 2-(1-piperazinil)-4-tiazolcarboxilato (1.0 g, 3.0 mmol) (es decir el producto del Ejemplo 30, Paso B) y carbonato de potasio anhidro en polvo (2.2 g, 15.9 mmol) en 20 mL de diclorometano a 0°C. La mezcla de reacción se dejó calentar a temperatura ambiente y se agitó durante 3 horas. Luego, la trietilamina (2 mL) se agregó a la mezcla de reacción y la agitación continuó durante 30 minutos adicionales. La mezcla de reacción se diluyó con diclorometano, se lavó con ácido clorhídrico acuoso 1 N, agua, solución acuosa saturada de bicarbonato de sodio y salmuera y se secó sobre sulfato de magnesio. El residuo filtrado se evaporó in vacuo para

proporcionar 1.0 g de una espuma color blanco. La espuma resultante se mezcló de manera espesa en 1-clorobutano y se filtró para proporcionar 0.83 g del compuesto del título como un sólido color blanco.

RMN-^{1}H ($CDCl_3$) δ 1.38 (t, 3H), 2.33 (s, 3H), 3.5-3.8 (m, 8H), 4.36 (q, 2H), 5.00 (s, 2H), 6.34 (s, 1H), 7.51 (s, 1H).

Paso D: Preparación de ácido 2-[4-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-1-piperazinil]-4-tiazolcarboxílico

Una solución del etil-2-[4-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-1-piperazinil]-4-tiazolcarboxilato (0.83 g, 1.93 mmol) (es decir el producto del Ejemplo 30, Paso C) en una mezcla de metanol (10 mL) y tetrahidrofurano (10 mL) se trató con una solución acuosa de NaOH 1 N (4.0 mL, 4.0 mmol). La mezcla de reacción se agitó a temperatura ambiente durante 2 horas, luego se trató con una solución acuosa de ácido clorhídrico 1 N (4.5 mL, 4.5 mmol). La mezcla resultante se concentró in vacuo y la suspensión resultante se diluyó con diclorometano y se filtró para proporcionar un sólido. El sólido resultante se lavó con diclorometano y éter dietílico y se secó al aire para proporcionar 0.64 g del compuesto del título como un sólido color blanco.

RMN-^{1}H (DMSO-d_6) δ 2.21 (s, 3H), 3.4-3.7 (m, 8H), 5.32 (m,

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2H), 6.51 (s, 1H), 7.69 (s, 1H), 12.7 (s amplio, 1H).

Paso E: Preparación de *N*-metil-2-[4-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-1-piperazinil]-*N*-[(1*R*)-1,2,3,4-tetrahidro-1-naftalenil]-4-tiazolcarboxamida

El ácido 2-[4-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-1-piperazinil]-4-tiazolcarboxílico (200 mg, 0.5 mmol) (es decir el producto del Ejemplo 30, Paso D) se suspendió en 5 mL de diclorometano seco y se trató con trietilamina (150 µl, 1.08 mmol) para proporcionar una solución homogénea. A esta mezcla de reacción se agregó el hexafluorofosfato de O-benzotriazol-1-il-*N*,*N*,*N*',*N*-tetrametiluronio (HBTU, 210 mg, 0.55 mmol) seguido por (1*R*)-1,2,3,4-tetrahidro-*N*-metil-1-naftalenamina (106 mg, 0.60 mmol) (es decir el producto del Ejemplo 6, Paso A). La mezcla de reacción se agitó a temperatura ambiente durante 3 horas, se pasó a través de una columna Varian Chem Elut CE1010^{MR} tratada previamente con 5 mL de una solución acuosa de ácido cítrico al 20%. La columna se inundó con tres volúmenes de columna de diclorometano, se concentró y se purificó por medio de la cromatografía en gel de sílice, eluyendo con acetato de etilo para proporcionar 223 mg del producto del título, el compuesto de la presente invención como una espuma color blanco.

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RMN-^{1}H ($CDCl_3$) δ 1.7-2.1 (m, 4H), 2.32 (s, 3H), 2.27 y 2.80 (dos s, 3H), 3.4-3.8 (m, 8H), 4.98 (m, 2H), 5-65-6.05 (m, 1H), 6.34 (s, 1H), 7.1-7.3 (m, 5H).

EJEMPLO 31

Preparación de *N*-metil-2-[1,2,3,6-tetrahidro-1-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piridinil]-*N*-[(1*R*)-1,2,3,4-tetrahidro-1-naftalenil]-4-tiazolcarboxamida (Compuesto 218) y *N*-metil-2-[1,2,3,4-tetrahidro-1-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piridinil]-*N*-[(1*R*)-1,2,3,4-tetrahidro-1-naftalenil]-4-tiazolcarboxamida (Compuesto 315)

Paso A: Preparación de 4-bromo-4-[4-(etoxicarbonil)-2-tiazolil]-1-piperidincarboxilato de 1,1-dimetiletilo

Una mezcla del 4-[4-(etoxicarbonil)-2-tiazolil]-1-piperazincarboxilato de 1,1-dimetiletilo (3.4 g, 10 mmol) (es decir el producto del Ejemplo 30, Paso A), N-bromosuccinimida (1.96 g, 11 mmol) y 2,2'-azobisisobutironitrilo (AIBN, 40 mg, 0.24 mmol) en 40 mL de tetracloruro de carbono se calentó a reflujo durante 1 hora. La mezcla de reacción entonces se enfrió, se filtró, se concentró in vacuo y se purificó por medio de la cromatografía líquida de presión intermedia sobre gel de sílice utilizando 0-20% de acetato de etilo en 1-clorobutano como eluyente para proporcionar 1.9 g del

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compuesto del título como un aceite.

RMN-^{1}H ($CDCl_3$) δ 1.40 (t, 3H), 1.46 (s, 9H), 2.3-2.5 (m, 4H), 3.35 (m, 2H), 4.05 (m, 2H), 4.2 (m, 2H), 4.41 (q, 2H), 8.20 (s, 1H).

Paso B: Preparación de 4-[4-(etoxicarbonil)-2-tiazolil]-3,6-dihidro-1(2*H*)-piperidincarboxilato de 1,1-dimetiletilo

Una mezcla del 4-bromo-4-[4-(etoxicarbonil)-2-tiazolil]-1-piperidincarboxilato de 1,1-dimetiletilo (1.9 g, 4.5 mmol) (es decir el producto del Ejemplo 31, Paso A) y carbonato de potasio anhidro (1.0 g, 7.2 mmol) se calentó en 20 mL de acetonitrilo a 80°C durante toda la noche. La mezcla de reacción se enfrío, se filtró, se concentró in vacuo y se purificó por medio de la cromatografía líquida de presión intermedia sobre gel de sílice utilizando 0-20% de acetato de etilo en 1-clorobutano como eluyente para proporcionar 1.1 g del compuesto del título como un aceite color amarillo.

RMN-^{1}H ($CDCl_3$) δ 1.41 (t, 3H), 1.47 (s, 9H), 2.75 (m, 2H), 3.65 (m, 2H), 4.12 (m, 2H), 4.42 (q, 2H), 6.62 (m, 1H), 8.07 (s, 1H).

Paso C: Preparación de monoclorhidrato de 2-(1,2,3,6-tetrahidro-4-piridinil)-4-tiazolcarboxilato de etilo

Una mezcla del 4-[4-(etoxicarbonil)-2-tiazolil]-

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3,6-dihidro-1(2*H*)-piperidincarboxilato de 1,1-dimetiletilo (1.1 g, 3.25 mmol) (es decir el producto del Ejemplo 31, Paso B) en 50 mL de diclorometano se trató con 10 mL de una solución 2M de HCl en éter dietílico. La mezcla de reacción se agitó a temperatura ambiente durante 16 horas y se concentró in vacuo para proporcionar 1.0 g del compuesto del título como un sólido color anaranjado.

RMN-^{1}H (DMSO-d_6) δ 1.31 (t, 3H), 2.80 (m, 2H), 3.33 (m, 2H), 3.80 (m, 2H), 4.33 (q, 2H), 6.70 (m, 1H), 8.50 (s, 1H), 9.45 (s amplio, 2H).

Paso D: Preparación de 2-[1,2,3,6-tetrahidro-1-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piridinil]-4-tiazolcarboxilato de etilo

El cloruro de 5-metil-3-(trifluorometil)-1*H*-pirazol-1-acetilo (1.05 g, 2.5 mmol) (preparado como se describe en el Ejemplo 19, Paso B) se disolvió en 10 mL de diclorometano y se agregó a una mezcla de monoclorhidrato de 2-(1,2,3,6-tetrahidro-4-piridinil)-4-tiazolcarboxilato (1.0 g, 3.3 mmol) (es decir el producto del Ejemplo 31, Paso C) y carbonato de potasio anhidro en polvo (2.2 g, 15.9 mmol) en 20 mL de diclorometano a 0°C. La mezcla de reacción se dejó calentar a temperatura ambiente y se agitó durante 3 horas. Luego la trietilamina (2 mL) se agregó a la mezcla de reacción y agitación continuó durante 20 minutos adicionales.

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La mezcla de reacción se diluyó con diclorometano, se lavó con ácido clorhídrico acuoso 1 N, agua, solución acuosa saturada de bicarbonato de sodio y salmuera y se secó sobre sulfato de magnesio. El residuo filtrado se evaporó in vacuo para proporcionar 1.0 g de una espuma color blanco. La espuma resultante se purificó por medio de la cromatografía líquida de presión intermedia sobre gel de sílice eluyendo con acetato de etilo al 0-50% en 1-clorobutano para proporcionar 0.67 g del compuesto del título como un aceite color amarillo el cual se solidificó con el reposo.

RMN-^{1}H ($CDCl_3$) δ 1.42 (t, 3H), 2.32 (s, 3H), 2.8 (m, 2H), 3.75-3.90 (m, 2H), 4.30 (m, 2H), 4.42 (q, 2H), 5.00 (m, 2H), 6.34 (s, 1H), 6.62 (m, 1H), 8.06 (m, 1H).

Paso E: Preparación de *N*-metil-2-[1,2,3,6-tetrahidro-1-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piridinil]-*N*-[(1*R*)-1,2,3,4-tetrahidro-1-naftalenil]-4-tiazolcarboxamida (Compuesto 218) y *N*-metil-2-[1,2,3,4-tetrahidro-1-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piridinil]-*N*-[(1*R*)-1,2,3,4-tetrahidro-1-naftalenil]-4-tiazolcarboxamida (Compuesto 315)

El 2-[1,2,3,6-tetrahidro-1-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piridinil]-4-tiazolcarboxilato de etilo (0.67 g) (es decir el producto del

Ejemplo 31, Paso D) se disolvió en 10 mL de metanol y se trató con 2 mL de una solución acuosa de NaOH 1 N. La mezcla de reacción se agitó a temperatura ambiente durante 1 hora y se agregó una solución de ácido clorhídrico acuoso 1 N (2 mL). La mezcla de reacción se diluyó con agua y la capa acuosa resultante se extrajo con acetato de etilo. El extracto se secó sobre sulfato de magnesio y se concentró in vacuo para proporcionar 0.61 g de un sólido color canela. El sólido resultante se disolvió en 25 mL de diclorometano y se trató con 0.5 mL de cloruro de oxalilo y 5 µL de *N,N*-dimetilformamida. La mezcla de reacción se agitó a temperatura ambiente durante 3 horas y luego se concentró in vacuo para proporcionar una espuma color canela. La espuma resultante se disolvió en 3 mL de diclorometano y la mezcla resultante se agregó gota a gota a una mezcla de (1*R*)-1, 2,3,4-tetrahidro-*N*-metil-1-naftalenamina (350 mg, 1.97 mmol) (es decir el producto del Ejemplo 6, Paso A) y trietilamina (0.5 mL, 3.6 mmol) en 5 mL de diclorometano. La mezcla de reacción entonces se agitó a temperatura ambiente durante 1 hora y se pasó a través de una columna Varian Chem Elut CE1010^{MR} tratada previamente con 7 mL de ácido clorhídrico acuoso 1 N. La columna se calentó a reflujo con tres volúmenes de columna de diclorometano, se concentró in vacuo y se purificó por medio de la cromatografía en gel de sílice eluyendo con acetato de etilo para proporcionar dos

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compuestos isoméricos:

124 mg de *N*-metil-2-[1,2,3,4-tetrahidro-1-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piridinil]-*N*-[(1*R*)-1,2,3,4-tetrahidro-1-naftalenil]-4-tiazolcarboxamida (Compuesto 315) como una espuma color blanco; RMN-^{1}H ($CDCl_3$) δ 1.7-2.1 (m, 4H), 2.1-2.4 (m, 5H), 2.7-3.0 (m, 4H), 3.5-4.1 (m, 4H), 4.85-5.15 (m, 3H), 5.25-6.95 (m, 3H), 7.1-7.3 (m, 4H), 7.85 (m, 1H) y 114 mg de *N*-metil-2-[1,2,3,6-tetrahidro-1-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piridinil]-*N*-[(1*R*)-1,2,3,4-tetrahidro-1-naftalenil]-4-tiazolcarboxamida (Compuesto 218) como una espuma color blanco; RMN-^{1}H ($CDCl_3$) δ 1.7-2.1 (m, 3H), 2.1-2.4 (m, 4H), 2.6-3.0 (m, 7H), 3.7-3.9 (m, 2H), 4.25 (m, 2H), 5.02 (m, 2H), 5.7-6.6 (m, 3H), 7.1-7.3 (m, 4H), 7.88 (m, 1H).

EJEMPLO 32

Preparación de *N*-metil-2-[1-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piperidinil]-*N*-[(1*R*)-1,2,3,4-tetrahidro-1-naftalenil]-2*H*-1,2,3-triazol-4-carboxamida (Compuesto 232)

Paso A: Preparación de 4-[4-(etoxicarbonil)-2*H*-1,2,3-triazol-2-il]-1-piperidincarboxilato de 1,1-dimetiletilo

A una solución de 4-hidroxipiperidin-1-carboxilato de *t*-butilo (0.43 g, 3.3 mmol) y trifenilfosfina (1.05 g, 4.0

mmol) en tetrahidrofurano (15 mL) a 0°C se agregó gota a gota azodicarboxilato de dietilo (0.63 mL, 4.0 mmol). Después de 5 minutos el 1*H*-1,2,3-triazol-4-carboxilato de etilo (0.43 g, 3.0 mmol, preparado de acuerdo con D. R. Buckle, C. J. M. Rockell, *J. Chem Soc, Perkin Transaction 1* **1982**, *2*, 627-630) se agregó en tetrahidrofurano (5 mL). La mezcla de reacción se agitó toda la noche a temperatura ambiente. La mezcla de reacción se concentró bajo presión reducida y el residuo se purificó por medio de la cromatografía líquida de presión intermedia (CLPI) utilizando de 15 a 40 de acetato de etilo en hexanos como eluyente para proporcionar 0.42 g del compuesto del título como un aceite.

RMN-^{1}H ($CDCl_3$) δ 1.41 (t, 3H), 1.47 (s, 9H), 2.13 (m, 4H), 2.97 (m, 2H), 4.19 (m, 2H), 4.42 (q, 2H), 4.69 (m, 1H), 8.04 (s, 1H).

Adicionalmente, antes de la elución del compuesto del título se aislaron 0.35 g de 4-[5-(etoxicarbonil)-1*H*-1,2,3-triazol-1-il]-1-piperidincarboxilato. RMN-^{1}H ($CDCl_3$) δ 1.41 (t, 3H), 1.48 (s, 9H), 2.09 (m, 2H), 2.29 (m, 2H), 2.94 (m, 2H), 4.30 (m, 2H), 4.39 (q, 2H), 5.27 (m, 1H), 8.13 (s, 1H).

Paso B: Preparación de 2-[1-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piperidinil]-2*H*-1,2,3-triazol-4-carboxilato de etilo

El ácido trifluoroacético (3 mL) se agregó al 4-[4-

(etoxicarbonil)-2*H*-1,2,3-triazol-2-il]-1-piperidincarboxilato de 1,1-dimetiletilo (0.41 g, 1.3 mmol) (es decir el producto del Ejemplo 32, Paso A). La mezcla de reacción se agitó durante 45 minutos. La mezcla de reacción entonces se concentró in vacuo. La mezcla resultante se trató con bicarbonato de sodio acuosos saturado y la capa acuosa se extrajo tres veces con diclorometano. El solvente se retiró con un evaporador giratorio para proporcionar 0.23 g de 4-piperidinil-2*H*-1,2,3-triazol-4-carboxilato de etilo como un aceite. Este compuesto tenía una pureza suficiente para utilizarse en reacciones subsecuentes.

A una suspensión espesa del ácido 5-metil-3-(trifluorometil)-1*H*-pirazol-1-acético (0.23 g, 1.1 mmol) en diclorometano (5 mL) se agregó cloruro de oxalilo (0.20 mL, 1.4 mmol) y una gota de *N,N*-dimetilformamida. Después de 45 minutos, la mezcla de reacción se concentró in vacuo y el residuo resultante se disolvió en diclorometano (10 mL). La mezcla de reacción entonces se agregó a una solución de 4-piperidinil-2*H*-1,2,3-triazol-4-carboxilato de etilo (0.23 g) y trietilamina (0.20 mL, 1.4 mmol) en diclorometano (10 mL) a 0°C. La mezcla de reacción se agitó a temperatura ambiente durante toda la noche. La capa orgánica se lavó con bicarbonato de sodio acuoso saturado, se secó (Na_2SO_4) y el solvente se retiró con un evaporador giratorio. El residuo se purificó por medio de la cromatografía líquida de presión

intermedia (CLPI) utilizando de 35 a 60% de acetato de etilo en hexanos como eluyente para proporcionar 0.35 g del compuesto del título como un sólido color blanco.

RMN-^{1}H ($CDCl_3$) δ 1.41 (t, 3H), 2.23 (m, 4H), 2.33 (s, 3H), 3.09 (m, 1H), 3.40 (m, 1H), 4.10 (m, 1H), 4.43 (q, 2H), 4.45 (m, 1H), 4.80 (m, 1H), 5.00 (m, 2H), 6.34 (s, 1H), 8.06 (s, 1H).

Paso C: Preparación de ácido 2-[1-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piperidinil]-2*H*-1,2,3-triazol-4-carboxílico

El 2-[1-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piperidinil]-2*H*-1,2,3-triazol-4-carboxilato de etilo (0.35 g, 0.82 mmol) (es decir el producto del Ejemplo 32, Paso B) se disolvió en una mezcla de metanol (5 mL) y tetrahidrofurano (2 mL). Una solución acuosa 1 N de hidróxido de sodio (1.6 mL, 1.6 mmol) se agregó a la mezcla de reacción y la mezcla se agitó durante toda la noche. La mezcla de reacción se concentró in vacuo y el residuo se disolvió en agua. La capa acuosa se lavó con éter dietílico y la capa acuosa se acidificó con ácido clorhídrico concentrado a pH 1 y se extrajo con diclorometano y luego cloroformo. Las capas orgánicas combinadas se secaron (Na_2SO_4) y se concentraron in vacuo para proporcionar 0.27 g del compuesto del título como un sólido color blanco.

RMN-^{1}H ($CDCl_3$) δ 2.23 (m, 4H), 2.33 (s, 3H), 3.10 (m, 1H), 3.41 (m, 1H), 4.08 (m, 1H), 4.45 (m, 1H), 4.81 (m, 1H), 5.02 (m, 2H), 6.37 (s, 1H), 8.13 (s, 1H).

Paso D: Preparación de *N*-metil-2-[1-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piperidinil]-*N*-[(1*R*)-1,2,3,4-tetrahidro-1-naftalenil]-2*H*-1,2,3-triazol-4-carboxamida

A una suspensión espesa del ácido 2-[1-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piperidinil]-2*H*-1,2,3-triazol-4-carboxílico (0.070 g, 0.18 mmol) (es decir el producto del Ejemplo 32, Paso C) en diclorometano (2 mL) se agregó cloruro de oxalilo (0.05 mL, 0.35 mmol) y una gota de *N*,*N*-dimetilformamida. Después de 45 minutos, la mezcla de reacción se concentró in vacuo y el residuo resultante se disolvió en diclorometano (10 mL). La mezcla de reacción entonces se agregó a una solución de (*R*)-*N*-metil-1,2,3,4-tetrahidronaftalen-1-ilamina (32 mg, 0.20 mmol) y trietilamina (0.033 mL, 0.24 mmol) en diclorometano (2 mL) a 0°C. La mezcla de reacción se agitó a temperatura ambiente durante toda la noche. La capa orgánica se lavó con bicarbonato de sodio acuoso saturado, se secó (Na_2SCO_4) y el solvente se retiró con un evaporador giratorio. El residuo se purificó por medio de la cromatografía líquida de presión intermedia (CLPI) utilizando acetato de etilo al 35-60% en hexanos como eluyente

para proporcionar 74 mg del producto del título, un compuesto de la presente invención como un aceite.

RMN-^{1}H ($CDCl_3$) δ 1.8-2.3 (m, 8H), 2.31 y 2.33 (2s, 3H total), 2.86 (m, 2H), 2.81 y 3.01 (2s, 3H total), 3.13 (m, 1H), 3.40 (m, 1H), 3.98 y 4.07 (2m, 1H total), 4.32 y 4.42 (2m, 1H total), 4.71 y 4.75 (2m, 1H total), 5.02 (m, 2H), 5.83 y 6.08 (2m, 1H total), 6.34 y 6.34 (s y d, 1H total), 7.30 (m, 4H), 8.06 y 8.11 (2s, 1H total).

EJEMPLO 33

Preparación de N-metil-1-[1-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piperidinil]-*N*-[(1*R*)-1,2,3,4-tetrahidro-1-naftalenil]-1*H*-pirazol-4-carboxamida (Compuesto 233)

Paso A: Preparación de 4-[4-(etoxicarbonil)-1*H*-pirazol-1-il]-1-piperidincarboxilato de 1,1-dimetiletilo

Por medio de un procedimiento análogo a aquel del Ejemplo 32 Paso A, el 4-hidroxipiperidin-1-carboxilato de *t*-butilo (0.79 g, 3.6 mmol) se hizo reaccionar con trifenilfosfina (1.26 g, 4.8 mmol), azodicarboxilato de dietilo (0.76 mL, 4.8 mmol) y 1*H*-pirazol-4-carboxilato de etilo (0.50 g, 3.6 mmol) para proporcionar el compuesto del título (0.76 g) como un sólido color blanco.

RMN-^{1}H (acetona-d_6) δ 1.29 (t, 3H), 1.46 (s, 9H), 1.93 (m, 2H), 2.07 (m, 2H), 2.95 (m, 2H), 4.20 (m, 2H), 4.25 (q, 2H), 4.46 (m, 1H), 7.82 (s, 1H), 8.19 (s, 1H).

Paso B: Preparación de 1-[1-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piperidinil]-1*H*-pirazol-4-carboxilato de etilo

Por medio de un procedimiento análogo a aquel del Ejemplo 32 Paso B, el 4-[4-(etoxicarbonil)-1*H*-pirazol-1-il]-1-piperidincarboxilato de 1,1-dimetiletilo (0.38 g, 1.2 mmol) (es decir el producto del Ejemplo 33, Paso A) se desprotegió con ácido trifluoroacético (4 mL) para proporcionar la amina correspondiente (0.18 g). Esta amina se hizo reaccionar con el cloruro ácido formado a partir del ácido 5-metil-3-(trifluorometil)-1*H*-pirazol-1-acético (0.18 g, 0.88 mmol) y cloruro de oxalilo (0.10 mL, 1.15 mmol) en presencia de trietilamina (0.16 mL, 1.15 mmol) para proporcionar 0.24 g del compuesto del título como un sólido color blanco.

RMN-^{1}H ($CDCl_3$) δ 1.35 (t, 3H), 1.95 (m, 2H), 2.24 (m, 2H), 2.34 (s, 3H), 2.90 (m, 1H), 3.32 (m, 1H), 4.13 (m, 1H), 4.30 (q, 2H), 4.37 (m, 1H), 4.63 (m, 1H), 4.99 (s, 2H), 6.34 (s, 1H), 7.91 (s, 1H), 7.92 (s, 1H).

Paso C: Preparación de ácido 1-[1-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piperidinil]-1*H*-pirazol-4-carboxílico

Por medio de un procedimiento análogo a aquel del Ejemplo 32 Paso C, el 2-[1-[[5-metil-3-(trifluorometil)-1*H*-

pirazol-1-il]acetil]-4-piperidinil]-2*H*-1,2,3-triazol-4-carboxilato de etilo (0.24 g, 0.58 mmol) (es decir el producto del Ejemplo 33, Paso B) se hidrolizó con hidróxido de sodio acuoso 1 N (1.2 mL, 1.2 mmol) para proporcionar 0.125 g del compuesto del título como un sólido color blanco.

RMN-^{1}H (DMSO-d_6) δ 1.82 (m, 1H), 2.07 (m, 3H), 2.21 (s, 3H), 2.83 (m, 1H), 3.26 (m, 1H), 4.00 (d, 1H), 4.39 (d, 1H), 4.52 (m, 1H), 5.29 (m, 2H), 6.50 (s, 1H), 7.82 y 7.90 (dos s, 1H total), 8.30 y 8.42 (dos s, 1H total).

Paso D: Preparación de *N*-metil-1-[1-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piperidinil]-*N*-[(1*R*)-1,2,3,4-tetrahidro-1-naftalenil]-1*H*-pirazol-4-carboxamida

Por medio de un procedimiento análogo a aquel del Ejemplo 32, Paso D, el ácido 1-[1-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piperidinil]-1*H*-pirazol-4-carboxílico (0.081 g, 0.21 mmol) (es decir el producto del Ejemplo 33, Paso C) se hizo reaccionar con cloruro de oxazolilo (0.05 mL) y el producto resultante se hizo reaccionar con (*R*)-*N*-metil-1,2,3,4-tetrahidronaftalen-1-ilamina (0.038 g, 0.23 mmol) y trietilamina (0.038 mL, 0.27 mmol) para proporcionar 0.073 g del compuesto del título, un compuesto de la presente invención como un aceite después de

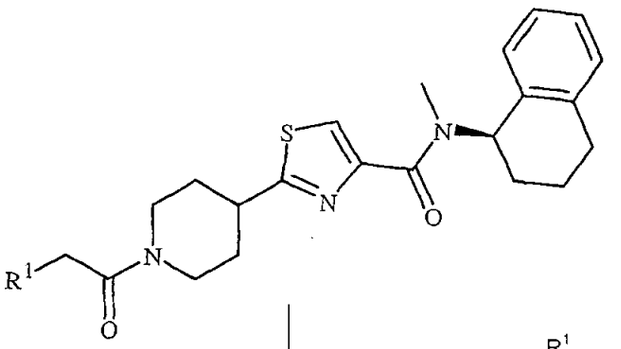
la purificación por medio de la cromatografía líquida de presión intermedia.

RMN-^{1}H ($CDCl_3$) δ 1.8-2.3 (m, 8H), 2.33 y 2.34 (dos s, 3H total), 2.82 (m, 3H), 2.78 y 2.92 (dos s, 3H total), 3.31 (m, 1H), 4.00 (m, 1H), 4.37 (m, 1H), 4.64 (m, 1H), 5.00 (m, 2H), 5.36 y 6.02 (dos m, 1H total), 6.34 (s, 1H), 7.19 (m, 4H), 7.68 y 7.80 (dos s, 1H total), 7.80 y 7.93 (dos s, 1H total).

Por medio de los procedimientos descritos en este documento, junto con los métodos conocidos en la técnica, se pueden preparar los siguientes compuestos de las Tablas 1A a 10. Las siguientes abreviaciones se utilizan en las Tablas que siguen: *t* significa terciario, *s* significa secundario, *n* significa normal, *i* significa iso, *c* significa ciclo, Ac significa acetilo, Me significa metilo, Et significa etilo, Pr significa propilo, *i*-Pr significa isopropilo, *c*-Pr significa ciclopropilo, Bu significa butilo, Pen significa pentilo, Hex significa hexilo, CN significa ciano. Un guión (-) indica la ausencia de sustituyentes.

La invención incluye pero no está limitada a las siguientes especies ejemplares.

TABLA 1A



R^1	R^1
fenilo	3-cianofenilo
2-metilfenilo	3-nitrofenilo
2-metoxifenilo	2,5-diclorofenilo
2-clorofenilo	5-bromo-2-clorofenilo
2-bromofenilo	2-cloro-5-yodofenilo
2-etilfenilo	2-cloro-5-metilfenilo
2-etoxifenilo	2-cloro-5-etilfenilo
2-(metiltio)fenilo	2-cloro-5-propilfenilo
2-(etiltio)fenilo	2-cloro-5-isopropilfenilo
2-(trifluorometoxi)fenilo	2-cloro-5-(trifluorometil)fenilo
3-clorofenilo	2-cloro-5-(2,2,2-trifluoroetil)fenilo
3-bromofenilo	2-cloro-5-(pentafluoroetil)fenilo
3-yodofenilo	2-cloro-5-cianofenilo
3-metilfenilo	2-cloro-5-nitrofenilo
3-etilfenilo	2-bromo-5-clorofenilo
3-propilfenilo	2,5-dibromofenilo
3-isopropilfenilo	2-bromo-5-yodofenilo
	2-bromo-5-metilfenilo

R^1	R^1
3-(trifluorometil)fenilo	2-bromo-5-etilfenilo
3-(2,2,2-trifluoroetil)fenilo	2-bromo-5-propilfenilo
3-(pentafluoroetil)fenilo	2-bromo-5-isopropilfenilo
2-bromo-5-(trifluorometil)fenilo	2,5-dietilfenilo
2-bromo-5-(2,2,2-trifluoroetil)fenilo	2-etil-5-propilfenilo
2-bromo-5-(pentafluoroetil)fenilo	2-etil-5-isopropilfenilo
2-bromo-5-cianofenilo	2-etil-5-(trifluorometil)fenilo
2-bromo-5-nitrofenilo	2-etil-5-(2,2,2-trifluoroetil)fenilo
5-cloro-2-metilfenilo	2-etil-5-(pentafluoroetil)fenilo
5-bromo-2-metilfenilo	5-ciano-2-etilfenilo
5-yodo-2-metilfenilo	2-etil-5-nitrofenilo
2,5-dimetilfenilo	3-metilpirazol-1-ilo
5-etil-2-metilfenilo	3-cloropirazol-1-ilo
2-metil-5-propilfenilo	3-bromopirazol-1-ilo
5-isopropil-2-metilfenilo	3-yodopirazol-1-ilo
2-metil-5-(trifluorometil)fenilo	3-etilpirazol-1-ilo
2-metil-5-(2,2,2-trifluoroetil)fenilo	3-(trifluorometil)pirazol-1-ilo
2-metil-5-(pentafluoroetil)fenilo	3-(2,2,2-trifluoroetil)pirazol-1-ilo
5-ciano-2-metilfenilo	3-(pentafluoroetil)pirazol-1-ilo
2-metil-5-nitrofenilo	3-cianopirazol-1-ilo
5-cloro-2-metoxifenilo	3-nitropirazol-1-ilo
5-bromo-2-metoxifenilo	3,5-dimetilpirazol-1-ilo
5-yodo-2-metoxifenilo	3-cloro-5-metilpirazol-1-ilo
2-metoxi-5-metilfenilo	3-bromo-5-metilpirazol-1-ilo

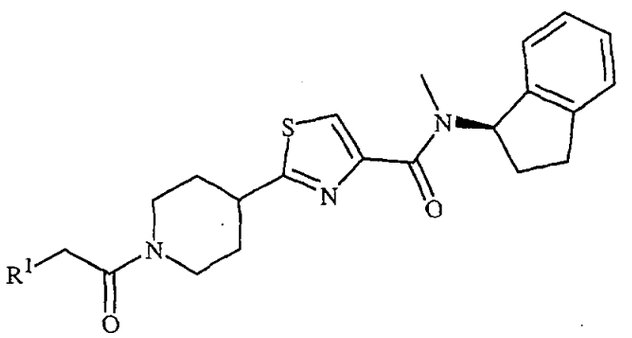
R^1	R^1
5-etil-2-metoxifenilo	3-yodo-5-metilpirazol-1-ilo
2-metoxi-5-propilfenilo	3-etil-5-metilpirazol-1-ilo
5-isopropil-2-metoxifenilo	5-metil-3-propilpirazol-1-ilo
2-metoxi-5-(trifluorometil)fenilo	3-isopropil-5-metilpirazol-1-ilo
2-metoxi-5-(2,2,2-trifluoroetil)fenilo	5-metil-3-(trifluorometil)pirazol-1-ilo
2-metoxi-5-(pentafluoroetil)fenilo	5-metil-3-(2,2,2-trifluoroetil)pirazol-1-ilo
5-ciano-2-metoxifenilo	5-metil-3-(pentafluoroetil)pirazol-1-ilo
2-metoxi-5-nitrofenilo	3-ciano-5-metilpirazol-1-ilo
5-cloro-2-etilfenilo	5-metil-3-nitropirazol-1-ilo
5-bromo-2-etilfenilo	5-cloro-3-metilpirazol-1-ilo
2-etil-5-yodofenilo	3,5-dicloropirazol-1-ilo
2-etil-5-metilfenilo	5-cloro-3-bromopirazol-1-ilo
5-cloro-3-yodopirazol-1-ilo	3-ciano-5-etilpirazol-1-ilo
5-cloro-3-etilpirazol-1-ilo	5-etil-3-nitropirazol-1-ilo
5-cloro-3-propilpirazol-1-ilo	5-butil-2-metilfenilo
5-cloro-3-isopropilpirazol-1-ilo	5-hexil-2-metilfenilo
5-cloro-3-(trifluorometil)pirazol-1-ilo	5-alil-2-metilfenilo
5-cloro-3-(2,2,2-trifluoroetil)pirazol-1-ilo	2-metil-5-(4-metil-3-pentenil)fenilo
5-cloro-3-(pentafluoroetil)pirazol-1-ilo	2-metil-5-propargilfenilo
5-cloro-3-cianopirazol-1-ilo	2-metil-5-(3-metilpropargil)fenilo
5-cloro-3-nitropirazol-1-ilo	5-ciclopropil-2-metilfenilo
5-bromo-3-metilpirazol-1-ilo	5-ciclohexil-2-metilfenilo
5-bromo-3-cloropirazol-1-ilo	2-metil-5-(pentafluoroisopropil)fenilo
3,5-dibromopirazol-1-ilo	5-(3,3-dicloro-2-propen-1-il)-2-metilfenilo

R^1	R^1
5-bromo-3-yodopirazol-1-ilo	2-metil-5-(4,4,4-trifluoro-2-butin-1-il)fenilo
5-bromo-3-etilpirazol-1-ilo	5-(2,2-diclorociclopropan-1-il)-2-metilfenilo
5-bromo-3-propilpirazol-1-ilo	2-metil-5-(trifluorometoxi)fenilo
5-bromo-3-isopropilpirazol-1-ilo	2-cloro-5-(isobutiltio)fenilo
5-bromo-3-(trifluorometil)pirazol-1-ilo	2-cloro-5-(etilsulfonil)fenilo
5-bromo-3-(2,2,2-trifluoroetil)pirazol-1-ilo	2-cloro-5-(trifluorometiltio)fenilo
5-bromo-3-(pentafluoroetil)pirazol-1-ilo	2-cloro-5-(trifluorometilsulfonil)fenilo
5-bromo-3-cianopirazol-1-ilo	2-cloro-5-(metilamino)fenilo
5-bromo-3-nitropirazol-1-ilo	2-cloro-5-(terc-butilamino)fenilo
5-metoxi-3-metilpirazol-1-ilo	2-cloro-5-(dimetilamino)fenilo
3-cloro-5-metoxipirazol-1-ilo	2-cloro-5-(dietilamino)fenilo
5-etil-3-metilpirazol-1-ilo	2-cloro-5-(ciclopropilamino)fenilo
3-cloro-5-etilpirazol-1-ilo	3-(metoximetil)fenilo
3-bromo-5-etilpirazol-1-ilo	2-cloro-5-(etoximetil)fenilo
5-etil-3-yodopirazol-1-ilo	2-cloro-5-(hidroximetil)fenilo
3,5-dietilpirazol-1-ilo	2-cloro-5-(metoxicarbonil)fenilo
5-etil-3-propilpirazol-1-ilo	2-cloro-5-(etilcarbonil)fenilo
5-etil-3-isopropilpirazol-1-ilo	2-cloro-5-(metilcarboniloxi)fenilo
5-etil-3-(trifluorometil)pirazol-1-ilo	2-cloro-5-(metilaminocarbonil)fenilo
5-etil-3-(2,2,2-trifluoroetil)pirazol-1-ilo	2-cloro-5-(dimetilaminocarbonil)fenilo
5-etil-3-(pentafluoroetil)pirazol-1-ilo	2-metil-5-(trimetilsilil)fenilo
3,5-dimetil-2-tienilo	2,5-dimetil-4-tiazolilo
3,5-dicloro-2-tienilo	3-bromo-4-isotiazolilo
3,5-dimetil-2-furilo	3-bromo-4-isooxazolilo

R^1	R^1
1-metil-2-pirrolilo	1-metil-4-imidazolilo
4-metil-2-trifluorometil-5-tiazolilo	5-trifluorometil-3-(1,2,4-oxadiazolilo)
4-trifluorometil-2-tiazolilo	5-trifluorometil-3-(1,2,4-tiadiazolilo)
4-trifluorometil-2-oxazolilo	2-bromo-1-(1,3,4-triazolilo)
4-metil-2-trifluorometil-5-oxazolilo	5-trifluorometil-3-(1,2,4-triazolilo)
4-bromo-5-isotiazolilo	2-bromo-1-imidazolilo
4-bromo-5-isoxazolilo	3,6-dimetil-2-piridilo
1-metil-5-pirazolilo	2,5-dimetil-3-piridilo
1-metil-5-imidazolilo	2,5-dimetil-4-piridilo
1-metil-4-trifluorometil-2-imidazolilo	3,6-dicloro-2-piridilo
4-metil-3-(1,3,4-triazolilo)	2,5-dicloro-3-piridilo
2-metil-3-(1,2,4-triazolilo)	2,5-dicloro-4-piridilo
5-trifluorometil-2-(1,3,4-tiadiazolilo)	4-bromo-3-piridazinilo
5-trifluorometil-2-(1,3,4-oxadiazolilo)	4-trifluorometil-2-pirimidinilo
3-trifluorometil-5-(1,2,4-tiadiazolilo)	3,6-dimetil-2-pirazinilo
3-trifluorometil-5-(1,2,4-oxadiazolilo)	2,5-dimetil-4-pirimidinilo
3-trifluorometil-1-(1,2,4-triazolilo)	4-metoxi-5-pirimidinilo
2,5-dimetil-1-pirrolilo	3,6-dimetil-4-piridazinilo
2,5-dimetil-3-furilo	5-trifluorometil-3-(1,2,4-triazinilo)
2,5-dimetil-3-tienilo	5-metoxi-6-(1,2,4-triazinilo)
2,5-dicloro-3-tienilo	4-trifluorometil-2-(1,3,5-triazinilo)
1,4-dimetil-3-pirrolilo	3,6-dimetil-5-(1,2,4-triazinilo)
1,4-dimetil-3-pirazolilo	3,5-bis-(trifluorometil)pirazol-1-ilo
1,3-dimetil-4-pirazolilo	1-metil-3-(trifluorometil)pirazol-5-ilo

R^1	R^1
2,5-dimetil-4-oxazolilo	1-metil-4-(trifluorometil)imidazol-2-ilo

TABLA 1B



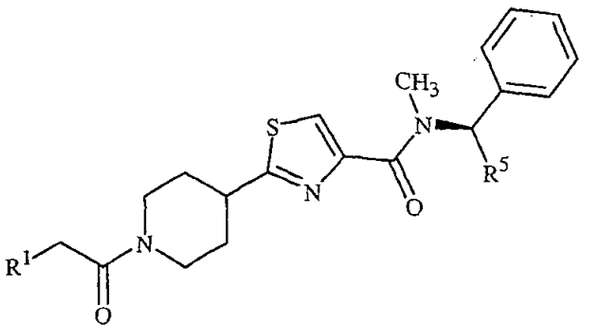
R^1	R^1
2-metoxifenilo	2-bromo-5-(trifluorometil)fenilo
3-bromofenilo	2-bromo-5-(2,2,2-trifluoroetil)fenilo
3-yodofenilo	2-bromo-5-(pentafluoroetil)fenilo
3-(trifluorometil)fenilo	2-bromo-5-cianofenilo
3-(2,2,2-trifluoroetil)fenilo	2-bromo-5-nitrofenilo
3-(pentafluoroetil)fenilo	5-cloro-2-metilfenilo
3-cianofenilo	5-bromo-2-metilfenilo
3-nitrofenilo	5-yodo-2-metilfenilo
2,5-diclorofenilo	2,5-dimetilfenilo
5-bromo-2-clorofenilo	5-etil-2-metilfenilo
2-cloro-5-yodofenilo	2-metil-5-propilfenilo
2-cloro-5-metilfenilo	5-isopropil-2-metilfenilo
2-cloro-5-etilfenilo	2-metil-5-(trifluorometil)fenilo
2-cloro-5-(trifluorometil)fenilo	2-metil-5-(2,2,2-trifluoroetil)fenilo

R^1	R^1
2-cloro-5-(2,2,2-trifluoroetil)fenilo	2-metil-5-(pentafluoroetil)fenilo
2-cloro-5-(pentafluoroetil)fenilo	5-ciano-2-metilfenilo
2-cloro-5-cianofenilo	2-metil-5-nitrofenilo
2-cloro-5-nitrofenilo	5-cloro-2-metoxifenilo
2-bromo-5-clorofenilo	5-bromo-2-metoxifenilo
2,5-dibromofenilo	5-yodo-2-metoxifenilo
2-bromo-5-yodofenilo	2-metoxi-5-metilfenilo
2-bromo-5-metilfenilo	5-etil-2-metoxifenilo
2-bromo-5-etilfenilo	2-metoxi-5-propilfenilo
2-bromo-5-propilfenilo	2-metoxi-5-(trifluorometil)fenilo
2-metoxi-5-(2,2,2-trifluoroetil)fenilo	5-cloro-3-metilpirazol-1-ilo
2-metoxi-5-(pentafluoroetil)fenilo	3,5-dicloropirazol-1-ilo
5-ciano-2-metoxifenilo	5-cloro-3-bromopirazol-1-ilo
2-metoxi-5-nitrofenilo	5-cloro-3-yodopirazol-1-ilo
5-cloro-2-etilfenilo	5-cloro-3-etilpirazol-1-ilo
5-bromo-2-etilfenilo	5-cloro-3-propilpirazol-1-ilo
2-etil-5-yodofenilo	5-cloro-3-(trifluorometil)pirazol-1-ilo
2-etil-5-metilfenilo	5-cloro-3-(2,2,2-trifluoroetil)pirazol-1-ilo
2,5-dietilfenilo	5-cloro-3-(pentafluoroetil)pirazol-1-ilo
2-etilo-5-propilfenilo	5-cloro-3-cianopirazol-1-ilo
2-etil-5-((trifluorometil))fenilo	5-cloro-3-nitropirazol-1-ilo
2-etil-5-(2,2,2-trifluoroetil)fenilo	5-bromo-3-metilpirazol-1-ilo
2-etil-5-(pentafluoroetil)fenilo	5-bromo-3-cloropirazol-1-ilo
5-ciano-2-etilfenilo	3,5-dibromopirazol-1-ilo

R^1	R^1
2-etil-5-nitrofenilo	5-bromo-3-yodopirazol-1-ilo
3-cloropirazol-1-ilo	5-bromo-3-etilpirazol-1-ilo
3-bromopirazol-1-ilo	5-bromo-3-propilpirazol-1-ilo
3-(trifluorometil)pirazol-1-ilo	5-bromo-3-(trifluorometil)pirazol-1-ilo
3-(2,2,2-trifluoroetil)pirazol-1-ilo	5-bromo-3-(2,2,2-trifluoroetil)pirazol-1-ilo
3-(pentafluoroetil)pirazol-1-ilo	5-bromo-3-(pentafluoroetil)pirazol-1-ilo
3-cianopirazol-1-ilo	5-etil-3-metilpirazol-1-ilo
3-nitropirazol-1-ilo	3-cloro-5-etilpirazol-1-ilo
3,5-dimetilpirazol-1-ilo	3-bromo-5-etilpirazol-1-ilo
3-cloro-5-metilpirazol-1-ilo	5-etil-3-yodopirazol-1-ilo
3-bromo-5-metilpirazol-1-ilo	3,5-dietilpirazol-1-ilo
3-yodo-5-metilpirazol-1-ilo	5-etil-3-propilpirazol-1-ilo
3-etil-5-metilpirazol-1-ilo	5-etil-3-(trifluorometil)pirazol-1-ilo
5-metil-3-propilpirazol-1-ilo	5-etil-3-(2,2,2-trifluoroetil)pirazol-1-ilo
5-metil-3-(trifluorometil)pirazol-1-ilo	5-etil-3-(pentafluoroetil)pirazol-1-ilo
5-metil-3-(2,2,2-trifluoroetil)pirazol-1-ilo	3,5-dimetil-2-tienilo
5-metil-3-(pentafluoroetil)pirazol-1-ilo	3,5-dicloro-2-tienilo
3-ciano-5-metilpirazol-1-ilo	2,5-dimetil-3-tienilo
5-metil-3-nitropirazol-1-ilo	2,5-dicloro-3-tienilo
3,6-dimetil-2-piridilo	2,5-dicloro-4-piridilo
2,5-dimetil-3-piridilo	3,4-bis(trifluorometil)pirazol-1-ilo
2,5-dimetil-4-piridilo	1-metil-3-(trifluorometil)pirazol-5-ilo
3,6-dicloro-2-piridilo	1-metil-4-(trifluorometil)imidazol-2-ilo
2,5-dicloro-3-piridilo	

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TABLA 1C



R^1	R^5
2-metoxifenilo	Et
3-bromofenilo	Et
3-yodofenilo	Et
3-(trifluorometil)fenilo	Et
3-(2,2,2-trifluoroetil)fenilo	Et
3-(pentafluoroetil)fenilo	Et
3-cianofenilo	Et
3-nitrofenilo	Et
2,5-diclorofenilo	Et
5-bromo-2-clorofenilo	Et
2-cloro-5-yodofenilo	Et
2-cloro-5-metilfenilo	Et
2-cloro-5-etilfenilo	Et
2-cloro-5-(trifluorometil)fenilo	Et
2-cloro-5-(2,2,2-trifluoroetil)fenilo	Et
2-cloro-5-(pentafluoroetil)fenilo	Et

R^1	R^5
2-cloro-5-cianofenilo	Et
2-cloro-5-nitrofenilo	Et
2-bromo-5-clorofenilo	Et
2,5-dibromofenilo	Et
2-bromo-5-yodofenilo	Et
2-bromo-5-metilfenilo	Et
2-bromo-5-etilfenilo	Et
2-bromo-5-propilfenilo	Et
2-bromo-5-(trifluorometil)fenilo	Et
2-bromo-5-(2,2,2-trifluoroetil)fenilo	Et
2-bromo-5-(pentafluoroetil)fenilo	Et
2-bromo-5-cianofenilo	Et
2-bromo-5-nitrofenilo	Et
5-cloro-2-metilfenilo	Et
5-bromo-2-metilfenilo	Et
5-yodo-2-metilfenilo	Et
2,5-dimetilfenilo	Et
5-etil-2-metilfenilo	Et
2-metil-5-propilfenilo	Et
5-isopropil-2-metilfenilo	Et
2-metil-5-(trifluorometil)fenilo	Et
2-metil-5-(2,2,2-trifluoroetil)fenilo	Et
2-metil-5-(pentafluoroetil)fenilo	Et
5-ciano-2-metilfenilo	Et

R^1	R^5
2-metil-5-nitrofenilo	Et
5-cloro-2-metoxifenilo	Et
5-bromo-2-metoxifenilo	Et
5-yodo-2-metoxifenilo	Et
2-metoxi-5-metilfenilo	Et
5-etil-2-metoxifenilo	Et
2-metoxi-5-propilfenilo	Et
2-metoxi-5-(trifluorometil)fenilo	Et
2-metoxi-5-(2,2,2-trifluoroetil)fenilo	Et
2-metoxi-5-(pentafluoroetil)fenilo	Et
5-ciano-2-metoxifenilo	Et
2-metoxi-5-nitrofenilo	Et
5-cloro-2-etilfenilo	Et
5-bromo-2-etilfenilo	Et
2-etil-5-yodofenilo	Et
2-etil-5-metilfenilo	Et
2,5-dietilfenilo	Et
2-etil-5-propilfenilo	Et
2-etil-5-(trifluorometil)fenilo	Et
2-etil-5-(2,2,2-trifluoroetil)fenilo	Et
2-etil-5-(pentafluoroetil)fenilo	Et
5-ciano-2-etilfenilo	Et
2-etil-5-nitrofenilo	Et
3-cloropirazol-1-ilo	Et

R^1	R^5
3-bromopirazol-1-ilo	Et
3-(trifluorometil)pirazol-1-ilo	Et
3-(2,2,2-trifluoroetil)pirazol-1-ilo	Et
3-(pentafluoroetil)pirazol-1-ilo	Et
3-cianopirazol-1-ilo	Et
3-nitropirazol-1-ilo	Et
3,5-dimetilpirazol-1-ilo	Et
3-cloro-5-metilpirazol-1-ilo	Et
3-bromo-5-metilpirazol-1-ilo	Et
3-yodo-5-metilpirazol-1-ilo	Et
3-etil-5-metilpirazol-1-ilo	Et
5-metil-3-propilpirazol-1-ilo	Et
5-metil-3-(trifluorometil)pirazol-1-ilo	Et
5-metil-3-(2,2,2-trifluoroetil)pirazol-1-ilo	Et
5-metil-3-(pentafluoroetil)pirazol-1-ilo	Et
3-ciano-5-metilpirazol-1-ilo	Et
5-metill-3-nitropirazol-1-ilo	Et
5-cloro-3-metilpirazol-1-ilo	Et
3,5-dicloropirazol-1-ilo	Et
5-cloro-3-bromopirazol-1-ilo	Et
5-cloro-3-yodopirazol-1-ilo	Et
5-cloro-3-etilpirazol-1-ilo	Et
5-cloro-3-propilpirazol-1-ilo	Et
5-cloro-3-(trifluorometil)pirazol-1-ilo	Et

R^1	R^5
5-cloro-3-(2,2,2-trifluoroetil)pirazol-1-ilo	Et
5-cloro-3-(pentafluoroetil)pirazol-1-ilo	Et
5-cloro-3-cianopirazol-1-ilo	Et
5-cloro-3-nitropirazol-1-ilo	Et
5-bromo-3-metilpirazol-1-ilo	Et
5-bromo-3-cloropirazol-1-ilo	Et
3,5-dibromopirazol-1-ilo	Et
5-bromo-3-yodopirazol-1-ilo	Et
5-bromo-3-etilpirazol-1-ilo	Et
5-bromo-3-propilpirazol-1-ilo	Et
5-bromo-3-(trifluorometil)pirazol-1-ilo	Et
5-bromo-3-(2,2,2-trifluoroetil)pirazol-1-ilo	Et
5-bromo-3-(pentafluoroetil)pirazol-1-ilo	Et
5-etil-3-metilpirazol-1-ilo	Et
3-cloro-5-etilpirazol-1-ilo	Et
3-bromo-5-etilpirazol-1-ilo	Et
5-etil-3-yodopirazol-1-ilo	Et
3,5-dietilpirazol-1-ilo	Et
5-etil-3-propilpirazol-1-ilo	Et
5-etil-3-(trifluorometil)pirazol-1-ilo	Et
5-etil-3-(2,2,2-trifluoroetil)pirazol-1-ilo	Et
5-etil-3-(pentafluoroetil)pirazol-1-ilo	Et
3,5-dimetil-2-tienilo	Et
3,5-dicloro-2-tienilo	Et

R^1	R^5
2,5-dimetil-3-tienilo	Et
2,5-dicloro-3-tienilo	Et
3,6-dimetil-2-piridilo	Et
2,5-dimetil-3-piridilo	Et
2,5-dimetil-4-piridilo	Et
3,6-dicloro-2-piridilo	Et
2,5-dicloro-3-piridilo	Et
2,5-dicloro-4-piridilo	Et
2-metoxifenilo	Me
2,5-diclorofenilo	Me
5-bromo-2-clorofenilo	Me
2-cloro-5-metilfenilo	Me
2-cloro-5-(trifluorometil)fenilo	Me
2,5-dibromofenilo	Me
2-bromo-5-metilfenilo	Me
2-bromo-5-(trifluorometil)fenilo	Me
5-cloro-2-metilfenilo	Me
5-bromo-2-metilfenilo	Me
2,5-dimetilfenilo	Me
5-etil-2-metilfenilo	Me
2-metil-5-(trifluorometil)fenilo	Me
5-bromo-2-metoxifenilo	Me
2-metoxi-5-metilfenilo	Me
2-metoxi-5-(trifluorometil)fenilo	Me

R^1	R^5
3-(trifluorometil)pirazol-1-ilo	Me
3,5-dimetilpirazol-1-ilo	Me
3-etil-5-metilpirazol-1-ilo	Me
5-metil-3-(trifluorometil)pirazol-1-ilo	Me
3,5-dicloropirazol-1-ilo	Me
5-cloro-3-(trifluorometil)pirazol-1-ilo	Me
3,5-dibromopirazol-1-ilo	Me
5-bromo-3-(trifluorometil)pirazol-1-ilo	Me
3,5-dietilpirazol-1-ilo	Me
5-etil-3-(trifluorometil)pirazol-1-ilo	Me
2-metoxifenilo	*n*-Pr
2,5-diclorofenilo	*n*-Pr
5-bromo-2-clorofenilo	*n*-Pr
2-cloro-5-metilfenilo	*n*-Pr
2-cloro-5-(trifluorometil)fenilo	*n*-Pr
2,5-dibromofenilo	*n*-Pr
2-bromo-5-metilfenilo	*n*-Pr
2-bromo-5-(trifluorometil)fenilo	*n*-Pr
5-cloro-2-metilfenilo	*n*-Pr
5-bromo-2-metilfenilo	*n*-Pr
2,5-dimetilfenilo	*n*-Pr
5-etil-2-metilfenilo	*n*-Pr
2-metill-5-(trifluorometil)fenilo	*n*-Pr
5-bromo-2-metoxifenilo	*n*-Pr

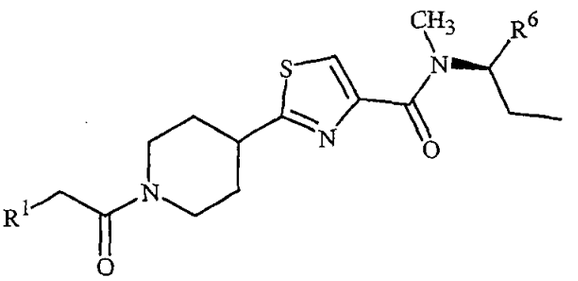
R^1	R^5
2-metoxi-5-metilfenilo	*n*-Pr
2-metoxi-5-(trifluorometil)fenilo	*n*-Pr
3-(trifluorometil)pirazol-1-ilo	*n*-Pr
3,5-dimetilpirazol-1-ilo	*n*-Pr
3-etil-5-metilpirazol-1-ilo	*n*-Pr
5-metil-3-(trifluorometil)pirazol-1-ilo	*n*-Pr
3,5-dicloropirazol-1-ilo	*n*-Pr
5-cloro-3-(trifluorometil)pirazol-1-ilo	*n*-Pr
3,5-dibromopirazol-1-ilo	*n*-Pr
5-bromo-3-(trifluorometil)pirazol-1-ilo	*n*-Pr
3,5-dietilpirazol-1-ilo	*n*-Pr
5-etil-3-(trifluorometil)pirazol-1-ilo	*n*-Pr
2-metoxifenilo	CN
2,5-diclorofenilo	CN
5-bromo-2-clorofenilo	CN
2-cloro-5-metilfenilo	CN
2-cloro-5-(trifluorometil)fenilo	CN
2,5-dibromofenilo	CN
2-bromo-5-metilfenilo	CN
2-bromo-5-(trifluorometil)fenilo	CN
5-cloro-2-metilfenilo	CN
5-bromo~2-metilfenilo	CN
2,5-dimetilfenilo	CN
5-etil-2-metilfenilo	CN

R^1	R^5
2-metil-5-(trifluorometil)fenilo	CN
5-bromo-2-metoxifenilo	CN
2-metoxi-5-metilfenilo	CN
2-metoxi-5-(trifluorometil)fenilo	CN
3-(trifluorometil)pirazol-1-ilo	CN
3,5-dimetilpirazol-1-ilo	CN
3-etil-5-metilpirazol-1-ilo	CN
5-metil-3-(trifluorometil)pirazol-1-ilo	CN
3,5-dicloropirazol-1-ilo	CN
5-chloro-3-(trifluorometil)pirazol-1-ilo	CN
3,5-dibromopirazol-1-ilo	CN
5-bromo-3-(trifluorometil)pirazol-1-ilo	CN
3,5-dietilpirazol-1-ilo	CN
5-etil-3-(trifluorometil)pirazol-1-ilo	CN
5-metil-3-(trifluorometil)pirazol-1-ilo	*i*-Pr
5-metil-3-(trifluorometil)pirazol-1-ilo	*n*-Bu
5-metil-3-(trifluorometil)pirazol-1-ilo	*i*-Bu
5-metil-3-(trifluorometil)pirazol-1-ilo	*n*-Pen
5-metil-3-(trifluorometil)pirazol-1-ilo	*n*-Hex
5-metil-3-(trifluorometil)pirazol-1-ilo	etenilo
5-metil-3-(trifluorometil)pirazol-1-ilo	etinilo
5-metil-3-(trifluorometil)pirazol-1-ilo	4-metil-3-penten-1-ilo
5-metil-3-(trifluorometil)pirazol-1-ilo	*c*-Pr
5-metil-3-(trifluorometil)pirazol-1-ilo	*c*-Bu

R^1	R^5
5-metil-3-(trifluorometil)pirazol-1-ilo	c-Pen
5-metil-3-(trifluorometil)pirazol-1-ilo	c-Hex
5-metil-3-(trifluorometil)pirazol-1-ilo	trifluorometilo
5-metil-3-(trifluorometil)pirazol-1-ilo	2,2,2-trifluoroetilo
5-metil-3-(trifluorometil)pirazol-1-ilo	3,3dicloro-2-propen-1-ilo
5-metil-3-(trifluorometil)pirazol-1-ilo	etinilo
5-metil-3-(trifluorometil)pirazol-1-ilo	propinilo
5-metil-3-(trifluorometil)pirazol-1-ilo	metiletinilo
5-metil-3-(trifluorometil)pirazol-1-ilo	trifluorometiletinilo
5-metil-3-(trifluorometil)pirazol-1-ilo	2,2-diclorociclopropil-1-ilo
5-metil-3-(trifluorometil)pirazol-1-ilo	nitro
5-metil-3-(trifluorometil)pirazol-1-ilo	metoximetilo
5-metil-3-(trifluorometil)pirazol-1-ilo	metoxietilo
5-metil-3-(trifluorometil)pirazol-1-ilo	1-metoxietilo
5-metil-3-(trifluorometil)pirazol-1-ilo	2-metoxietilo
5-metil-3-(trifluorometil)pirazol-1-ilo	hidroximetilo
5-metil-3-(trifluorometil)pirazol-1-ilo	acetilo
5-metil-3-(trifluorometil)pirazol-1-ilo	isobutirilo
5-metil-3-(trifluorometil)pirazol-1-ilo	metoxicarbonilo
5-metil-3-(trifluorometil)pirazol-1-ilo	etoxicarbonilo
5-metil-3-(trifluorometil)pirazol-1-ilo	metilaminocarbonilo
5-metil-3-(trifluorometil)pirazol-1-ilo	dimetilaminocarbonilo
5-metil-3-(trifluorometil)pirazol-1-ilo	trimetilsililo
3,5-bis-(trifluorometil)pirazol-5-ilo	Et

R^1	R^5
1-metil-3-(trifluorometil)pirazol-5-ilo	Et
1-metil-4-(trifluorometil)imidazol-2-ilo	Et

TABLA 1D



R^1	R^6
2-metoxifenilo	2-metilfenilo
2,5-diclorofenilo	2-metilfenilo
5-bromo-2-clorofenilo	2-metilfenilo
2-cloro-5-metilfenilo	2-metilfenilo
2-cloro-5-(trifluorometil)fenilo	2-metilfenilo
2,5-dibromofenilo	2-metilfenilo
2-bromo-5-metilfenilo	2-metilfenilo
2-bromo-5-(trifluorometil)fenilo	2-metilfenilo
5-cloro-2-metilfenilo	2-metilfenilo
5-bromo-2-metilfenilo	2-metilfenilo
2,5-dimetilfenilo	2-metilfenilo
5-etil-2-metilfenilo	2-metilfenilo
2-metil-5-(trifluorometil)fenilo	2-metilfenilo
5-bromo-2-metoxifenilo	2-metilfenilo

R^1	R^6
2-metoxi-5-metilfenilo	2-metilfenilo
2-metoxi-5-(trifluorometil)fenilo	2-metilfenilo
3-(trifluorometil)pirazol-1-ilo	2-metilfenilo
3,5-dimetilpirazol-1-ilo	2-metilfenilo
3-etil-5-metilpirazol-1-ilo	2-metilfenilo
5-metil-3-(trifluorometil)pirazol-1-ilo	2-metilfenilo
3,5-dicloropirazol-1-ilo	2-metilfenilo
5-cloro-3-(trifluorometil)pirazol-1-ilo	2-metilfenilo
3,5-dibromopirazol-1-ilo	2-metilfenilo
5-bromo-3-(trifluorometil)pirazol-1-ilo	2-metilfenilo
3,5-dietilpirazol-1-ilo	2-metilfenilo
5-etil-3-(trifluorometil)pirazol-1-ilo	2-metilfenilo
2-metoxifenilo	4-metilfenilo
2,5-diclorofenilo	4-metilfenilo
5-bromo-2-clorofenilo	4-metilfenilo
2-cloro-5-metilfenilo	4-metilfenilo
2-cloro-5-(trifluorometil)fenilo	4-metilfenilo
2,5-dibromofenilo	4-metilfenilo
2-bromo-5-metilfenilo	4-metilfenilo
2-bromo-5-(trifluorometil)fenilo	4-metilfenilo
5-cloro-2-metilfenilo	4-metilfenilo
5-bromo-2-metilfenilo	4-metilfenilo
2,5-dimetilfenilo	4-metilfenilo
5-etil-2-metilfenilo	4-metilfenilo

R^1	R^6
2-metil-5-(trifluorometil)fenilo	4-metilfenilo
5-bromo-2-metoxifenilo	4-metilfenilo
2-metoxi-5-metilfenilo	4-metilfenilo
2-metoxi-5-(trifluorometil)fenilo	4-metilfenilo
3-(trifluorometil)pirazol-1-ilo	4-metilfenilo
3,5-dimetilpirazol-1-ilo	4-metilfenilo
3-etil-5-metilpirazol-1-ilo	4-metilfenilo
5-metil-3-(trifluorometil)pirazol-1-ilo	4-metilfenilo
3,5-dicloropirazol-1-ilo	4-metilfenilo
5-cloro-3-(trifluorometil)pirazol-1-ilo	4-metilfenilo
3,5-dibromopirazol-1-ilo	4-metilfenilo
5-bromo-3-(trifluorometil)pirazol-1-ilo	4-metilfenilo
3,5-dietilpirazol-1-ilo	4-metilfenilo
5-etil-3-(trifluorometil)pirazol-1-ilo	4-metilfenilo
2-metoxifenilo	4-clorofenilo
2,5-diclorofenilo	4-clorofenilo
5-bromo-2-clorofenilo	4-clorofenilo
2-cloro-5-metilfenilo	4-clorofenilo
2-cloro-5-(trifluorometil)fenilo	4-clorofenilo
2,5-dibromofenilo	4-clorofenilo
2-bromo-5-metilfenilo	4-clorofenilo
2-bromo-5-(trifluorometil)fenilo	4-clorofenilo
5-cloro-2-metilfenilo	4-clorofenilo
5-bromo-2-metilfenilo	4-clorofenilo

R^1	R^6
2,5-dimetilfenilo	4-clorofenilo
5-etil-2-metilfenilo	4-clorofenilo
2-metil-5-(trifluorometil)fenilo	4-clorofenilo
5-bromo-2-metoxifenilo	4-clorofenilo
2-metoxi-5-metilfenilo	4-clorofenilo
2-metoxi-5-(trifluorometil)fenilo	4-clorofenilo
3-(trifluorometil)pirazol-1-ilo	4-clorofenilo
3,5-dimetilpirazol-1-ilo	4-clorofenilo
3-etil-5-metilpirazol-1-ilo	4-clorofenilo
5-metil-3-(trifluorometil)pirazol-1-ilo	4-clorofenilo
3,5-dicloropirazol-1-ilo	4-clorofenilo
5-cloro-3-(trifluorometil)pirazol-1-ilo	4-clorofenilo
3,5-dibromopirazol-1-ilo	4-clorofenilo
5-bromo-3-(trifluorometil)pirazol-1-ilo	4-clorofenilo
3,5-dietilpirazol-1-ilo	4-clorofenilo
5-etil-3-(trifluorometil)pirazol-1-ilo	4-clorofenilo
5-metil-3-(trifluorometil)pirazol-1-ilo	2-etilfenilo
5-metil-3-(trifluorometil)pirazol-1-ilo	4-*t*-butilfenilo
5-metil-3-(trifluorometil)pirazol-1-ilo	4-alilfenilo
5-metil-3-(trifluorometil)pirazol-1-ilo	4-etinilfenilo
5-metil-3-(trifluorometil)pirazol-1-ilo	4-ciclopropilfenilo
5-metil-3-(trifluorometil)pirazol-1-ilo	4-(trifluorometil)fenilo
5-metil-3-(trifluorometil)pirazol-1-ilo	4-(2-cloroetenil)fenilo
5-metil-3-(trifluorometil)pirazol-1-ilo	4-bromoetinilfenilo

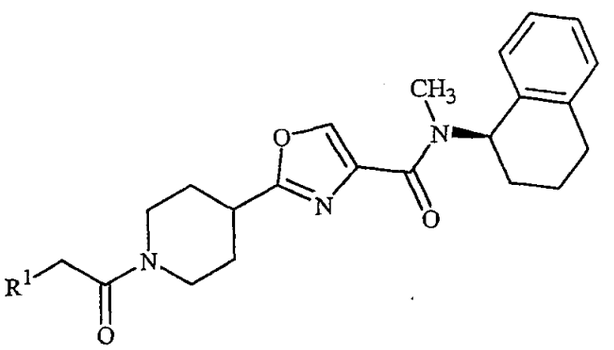
R^1	R^6
5-metil-3-(trifluorometil)pirazol-1-ilo	4-(2,2-diclorociclopropil)fenilo
5-metil-3-(trifluorometil)pirazol-1-ilo	2-fluorofenilo
5-metil-3-(trifluorometil)pirazol-1-ilo	3-fluorofenilo
5-metil-3-(trifluorometil)pirazol-1-ilo	4-fluorofenilo
5-metil-3-(trifluorometil)pirazol-1-ilo	2-clorofenilo
5-metil-3-(trifluorometil)pirazol-1-ilo	4-bromofenilo
5-metil-3-(trifluorometil)pirazol-1-ilo	4-hidroxifenilo
5-metil-3-(trifluorometil)pirazol-1-ilo	4-aminofenilo
5-metil-3-(trifluorometil)pirazol-1-ilo	4-cianofenilo
5-metil-3-(trifluorometil)pirazol-1-ilo	4-nitrofenilo
5-metil-3-(trifluorometil)pirazol-1-ilo	4-metoxifenilo
5-metil-3-(trifluorometil)pirazol-1-ilo	4-(trifluorometoxi)fenilo
5-metil-3-(trifluorometil)pirazol-1-ilo	4-(metiltio)fenilo
5-metil-3-(trifluorometil)pirazol-1-ilo	4-(metilsulfonil)fenilo
5-metil-3-(trifluorometil)pirazol-1-ilo	4-(metilsulfonil)fenilo
5-metil-3-(trifluorometil)pirazol-1-ilo	4-(trifluorometiltio)fenilo
5-metil-3-(trifluorometil)pirazol-1-ilo	4-(trifluorometilsulfonil)fenilo
5-metil-3-(trifluorometil)pirazol-1-ilo	4-(metilamino)fenilo
5-metil-3-(trifluorometil)pirazol-1-ilo	4-(dimetilamino)fenilo
5-metil-3-(trifluorometil)pirazol-1-ilo	4-(ciclopropilamino)fenilo
5-metil-3-(trifluorometil)pirazol-1-ilo	2-(metoximetil)fenilo
5-metil-3-(trifluorometil)pirazol-1-ilo	3,4-(dimetoxi)fenilo
5-metil-3-(trifluorometil)pirazol-1-ilo	4-acetilfenilo
5-metil-3-(trifluorometil)pirazol-1-ilo	4-(metoxicarbonil)fenilo

R^1	R^6
5-metil-3-(trifluorometil)pirazol-1-ilo	4-(acetiloxi)fenilo
5-metil-3-(trifluorometil)pirazol-1-ilo	4-(metilaminocarbonil)fenilo
5-metil-3-(trifluorometil)pirazol-1-ilo	4-(dimetilaminocarbonil)fenilo
5-metil-3-(trifluorometil)pirazol-1-ilo	4-(trimetilsilil)fenilo
5-metil-3-(trifluorometil)pirazol-1-ilo	2,6-difluorofenilo
5-metil-3-(trifluorometil)pirazol-1-ilo	2,4,6-trifluorofenilo
5-metil-3-(trifluorometil)pirazol-1-ilo	2,3-dimetilfenilo
5-metil-3-(trifluorometil)pirazol-1-ilo	2,3-diclorofenilo
5-metil-3-(trifluorometil)pirazol-1-ilo	1-naftalenilo
5-metil-3-(trifluorometil)pirazol-1-ilo	2-tienilo
5-metil-3-(trifluorometil)pirazol-1-ilo	2-furilo
5-metil-3-(trifluorometil)pirazol-1-ilo	1-metil-2-pirrolilo
5-metil-3-(trifluorometil)pirazol-1-ilo	5-tiazolilo
5-metil-3-(trifluorometil)pirazol-1-ilo	2-oxazolilo
5-metil-3-(trifluorometil)pirazol-1-ilo	2-tiazolilo
5-metil-3-(trifluorometil)pirazol-1-ilo	5-oxazolilo
5-metil-3-(trifluorometil)pirazol-1-ilo	5-isotiazolilo
5-metil-3-(trifluorometil)pirazol-1-ilo	5-isoxazolilo
5-metil-3-(trifluorometil)pirazol-1-ilo	1-metil-5-pirazolilo
5-metil-3-(trifluorometil)pirazol-1-ilo	1-metil-5-imidazolilo
5-metil-3-(trifluorometil)pirazol-1-ilo	1-metil-2-imidazolilo
5-metil-3-(trifluorometil)pirazol-1-ilo	4-metil-1,2,4-triazolil-3-ilo
5-metil-3-(trifluorometil)pirazol-1-ilo	1-metil-1,2,4-triazolil-5-ilo
5-metil-3-(trifluorometil)pirazol-1-ilo	1,3,4-oxadiazol-2-ilo

R^1	R^6
5-metil-3-(trifluorometil)pirazol-1-ilo	1,3,4-tiadiazol-2-ilo
5-metil-3-(trifluorometil)pirazol-1-ilo	1,2,4-oxadiazol-2-ilo
5-metil-3-(trifluorometil)pirazol-1-ilo	1,2,4-tiadiazol-2-ilo
5-metil-3-(trifluorometil)pirazol-1-ilo	3-tienilo
5-metil-3-(trifluorometil)pirazol-1-ilo	3-furilo
5-metil-3-(trifluorometil)pirazol-1-ilo	1-metil-3-pirrolilo
5-metil-3-(trifluorometil)pirazol-1-ilo	1-metil-3-pirazolilo
5-metil-3-(trifluorometil)pirazol-1-ilo	1-metil-4-pirazolilo
5-metil-3-(trifluorometil)pirazol-1-ilo	4-oxazolilo
5-metil-3-(trifluorometil)pirazol-1-ilo	4-tiazolilo
5-metil-3-(trifluorometil)pirazol-1-ilo	4-isotiazolilo
5-metil-3-(trifluorometil)pirazol-1-ilo	4-isoxazolilo
5-metil-3-(trifluorometil)pirazol-1-ilo	1-metil-4-imidazolilo
5-metil-3-(trifluorometil)pirazol-1-ilo	1,2,4-oxadiazol-3-ilo
5-metil-3-(trifluorometil)pirazol-1-ilo	1,2,4-tiadiazol-3-ilo
5-metil-3-(trifluorometil)pirazol-1-ilo	1-metil-1,2,4-triazoil-3-ilo
5-metil-3-(trifluorometil)pirazol-1-ilo	2-piridilo
5-metil-3-(trifluorometil)pirazol-1-ilo	3,5-dicloro-2-piridilo
5-metil-3-(trifluorometil)pirazol-1-ilo	3-piridilo
5-metil-3-(trifluorometil)pirazol-1-ilo	4-piridilo
5-metil-3-(trifluorometil)pirazol-1-ilo	3-pirazinilo
5-metil-3-(trifluorometil)pirazol-1-ilo	2-pirimidinilo
5-metil-3-(trifluorometil)pirazol-1-ilo	2-piridazinilo
5-metil-3-(trifluorometil)pirazol-1-ilo	4-pirimidinilo

R^1	R^6
5-metil-3-(trifluorometil)pirazol-1-ilo	5-pirimidinilo
5-metil-3-(trifluorometil)pirazol-1-ilo	4-pirazinilo
5-metil-3-(trifluorometil)pirazol-1-ilo	1,2,4-triazin-6-ilo
5-metil-3-(trifluorometil)pirazol-1-ilo	1,2,4-triazin-3-ilo
5-metil-3-(trifluorometil)pirazol-1-ilo	1,3,5-triazin-2-ilo
5-metil-3-(trifluorometil)pirazol-1-ilo	1,2,4-triazin-5-ilo

TABLA 2A



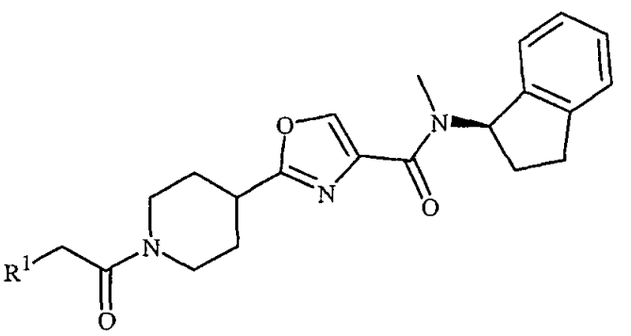
R^1	R^1
2-metoxifenilo	2-bromo-5-(trifluorometil)fenilo
3-bromofenilo	2-bromo-5-(2,2,2-trifluoroetil)fenilo
3-yodofenilo	2-bromo-5-(pentafluoroetil)fenilo
3-(trifluorometil)fenilo	2-bromo-5-cianofenilo
3-(2,2,2-trifluoroetil)fenilo	2-bromo-5-nitrofenilo
3-(pentafluoroetil)fenilo	5-cloro-2-metilfenilo
3-cianofenilo	5-bromo-2-metilfenilo
3-nitrofenilo	5-yodo-2-metilfenilo

R^1	R^1
2,5-diclorofenilo	2,5-dimetilfenilo
5-bromo-2-clorofenilo	5-etil-2-metilfenilo
2-cloro-5-yodofenilo	2-metil-5-propilfenilo
2-cloro-5-metilfenilo	5-isopropil-2-metilfenilo
2-cloro-5-etilfenilo	2-metil-5-(trifluorometil)fenilo
2-cloro-5-(trifluorometil)fenilo	2-metil-5-(2,2,2-trifluoroetil)fenilo
2-cloro-5-(2,2,2-trifluoroetil)fenilo	2-metil-5-(pentafluoroetil)fenilo
2-cloro-5-(pentafluoroetil)fenilo	5-ciano-2-metilfenilo
2-cloro-5-cianofenilo	2-metil-5-nitrofenilo
2-cloro-5-nitrofenilo	5-cloro-2-metoxifenilo
2-bromo-5-clorofenilo	5-bromo-2-metoxifenilo
2,5-dibromofenilo	5-yodo-2-metoxifenilo
2-bromo-5-yodofenilo	2-metoxi-5-metilfenilo
2-bromo-5-metilfenilo	5-etil-2-metoxifenilo
2-bromo-5-etilfenilo	2-metoxi-5-propilfenilo
2-bromo-5-propilfenilo	2-metoxi-5-(trifluorometil)fenilo
2-metoxi-5-(2,2,2-trifluoroetil)fenilo	5-cloro-3-metilpirazol-1-ilo
2-metoxi-5-(pentafluoroetil)fenilo	3,5-dicloropirazol-1-ilo
5-ciano-2-metoxifenilo	5-cloro-3-bromopirazol-1-ilo
2-metoxi-5-nitrofenilo	5-cloro-3-yodopirazol-1-ilo
5-cloro-2-etilfenilo	5-cloro-3-etilpirazol-1-ilo
5-bromo-2-etilfenilo	5-cloro-3-propilpirazol-1-ilo
2-etil-5-yodofenilo	5-cloro-3-(trifluorometil)pirazol-1-ilo
2-etil-5-metilfenilo	5-cloro-3-(2,2,2-trifluoroetil)pirazol-1-ilo

R^1	R^1
2,5-dietilfenilo	5-cloro-3-(pentafluoroetil)pirazol-1-ilo
2-etil-5-propilfenilo	5-cloro-3-cianopirazol-1-ilo
2-etil-5-(trifluorometil)fenilo	5-cloro-3-nitropirazol-1-ilo
2-etil-5-(2,2,2-trifluoroetil)fenilo	5-bromo-3-metilpirazol-1-ilo
2-etil-5-(pentafluoroetil)fenilo	5-bromo-3-cloropirazol-1-ilo
5-ciano-2-etilfenilo	3,5-dibromopirazol-1-ilo
2-etil-5-nitrofenilo	5-bromo-3-yodopirazol-1-ilo
3-cloropirazol-1-ilo	5-bromo-3-etilpirazol-1-ilo
3-bromopirazol-1-ilo	5-bromo-3-propilpirazol-1-ilo
3-(trifluorometil)pirazol-1-ilo	5-bromo-3-(trifluorometil)pirazol-1-ilo
3-(2,2,2-trifluoroetil)pirazol-1-ilo	5-bromo-3-(2,2,2-trifluoroetil)pirazol-1-ilo
3-(pentafluoroetil)pirazol-1-ilo	5-bromo-3-(pentafluoroetil)pirazol-1-ilo
3-cianopirazol-1-ilo	5-etil-3-metilpirazol-1-ilo
3-nitropirazol-1-ilo	3-cloro-5-etilpirazol-1-ilo
3,5-dimetilpirazol-1-ilo	3-bromo-5-etilpirazol-1-ilo
3-cloro-5-metilpirazol-1-ilo	5-etil-3-yodopirazol-1-ilo
3-bromo-5-metilpirazol-1-ilo	3,5-dietilpirazol-1-ilo
3-yodo-5-metilpirazol-1-ilo	5-etil-3-propilpirazol-1-ilo
3-etil-5-metilpirazol-1-ilo	5-etil-3-(trifluorometil)pirazol-1-ilo
5-metil-3-propilpirazol-1-ilo	5-etil-3-(2,2,2-trifluoroetil)pirazol-1-ilo
5-metil-3-(trifluorometil)pirazol-1-ilo	5-etil-3-(pentafluoroetil)pirazol-1-ilo
5-metil-3-(2,2,2-trifluoroetil)pirazol-1-ilo	3,5-dimetil-2-tienilo
5-metil-3-(pentafluoroetil)pirazol-1-ilo	3,5-dicloro-2-tienilo
3-ciano-5-metilpirazol-1-ilo	2,5-dimetil-3-tienilo

R^1	R^1
5-metil-3-nitropirazol-1-ilo	2,5-dicloro-3-tienilo
3,6-dimetil-2-piridilo	2,5-dicloro-4-piridilo
2,5-dimetil-3-piridilo	3,5-bis-(trifluorometil)pirazol-1-ilo
2,5-dimetil-4-piridilo	1-metil-3-(trifluorometil)pirazol-5-ilo
3,6-dicloro-2-piridilo	1-metil-4-(trifluorometil)imidazol-2-ilo
2,5-dicloro-3-piridilo	

TABLA 2B



R^1	R^1
2-metoxifenilo	2-bromo-5-clorofenilo
3-bromofenilo	2,5-dibromofenilo
3-yodofenilo	2-bromo-5-yodofenilo
3-(trifluorometil)fenilo	2-bromo-5-metilfenilo
3-(2,2,2-trifluoroetil)fenilo	2-bromo-5-etilfenilo
3-(pentafluoroetil)fenilo	2-bromo-5-propilfenilo
3-cianofenilo	2-bromo-5-(trifluorometil)fenilo

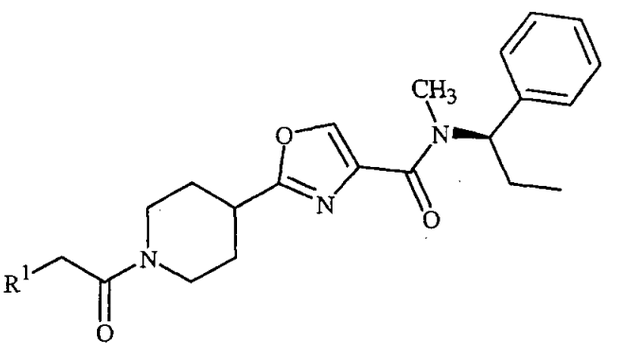
R^1	R^1
3-nitrofenilo	2-bromo-5-(2,2,2-trifluoroetil)fenilo
2,5-diclorofenilo	2-bromo-5-(pentafluoroetil)fenilo
5-bromo-2-clorofenilo	2-bromo-5-cianofenilo
2-cloro-5-yodofenilo	2-bromo-5-nitrofenilo
2-cloro-5-metilfenilo	5-cloro-2-metilfenilo
2-cloro-5-etilfenilo	5-bromo-2-metilfenilo
2-cloro-5-(trifluorometil)fenilo	5-yodo-2-metilfenilo
2-cloro-5-(2,2,2-trifluoroetil)fenilo	2,5-dimetilfenilo
2-cloro-5-(pentafluoroetil)fenilo	5-etil-2-metilfenilo
2-cloro-5-cianofenilo	2-metil-5-propilfenilo
2-cloro-5-nitrofenilo	5-isopropil-2-metilfenilo
2-metil-5-(trifluorometil)fenilo	3-nitropirazol-1-ilo
2-metil-5-(2,2,2-trifluoroetil)fenilo	3,5-dimetilpirazol-1-ilo
2-metil-5-(pentafluoroetil)fenilo	3-cloro-5-metilpirazol-1-ilo
5-ciano-2-metilfenilo	3-bromo-5-metilpirazol-1-ilo
2-metil-5-nitrofenilo	3-yodo-5-metilpirazol-1-ilo
5-cloro-2-metoxifenilo	3-etil-5-metilpirazol-1-ilo
5-bromo-2-metoxifenilo	5-metil-3-propilpirazol-1-ilo
5-yodo-2-metoxifenilo	5-metil-3-(trifluorometil)pirazol-1-ilo
2-metoxi-5-metilfenilo	5-metil-3-(2,2,2-trifluoroetil)pirazol-1-ilo
5-etil-2-metoxifenilo	5-metil-3-(pentafluoroetil)pirazol-1-ilo
2-metoxi-5-propilfenilo	3-ciano-5-metilpirazol-1-ilo
2-metoxi-5-(trifluorometil)fenilo	5-metil-3-nitropirazol-1-ilo
2-metoxi-5-(2,2,2-trifluoroetil)fenilo	5-cloro-3-metilpirazol-1-ilo

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R^1	R^1
2-metoxi-5-(pentafluoroetil)fenilo	3,5-dicloropirazol-1-ilo
5-ciano-2-metoxifenilo	5-cloro-3-bromopirazol-1-ilo
2-metoxi-5-nitrofenilo	5-cloro-3-yodopirazol-1-ilo
5-cloro-2-etilfenilo	5-cloro-3-etilpirazol-1-ilo
5-bromo-2-etilfenilo	5-cloro-3-propilpirazol-1-ilo
2-etil-5-yodofenilo	5-cloro-3-(trifluorometi)pirazol-1-ilo
2-etil-5-metilfenilo	5-cloro-3-(2,2,2-trifluoroetil)pirazol-1-ilo
2,5-dietilfenilo	5-cloro-3-(pentafluoroetil)pirazol-1-ilo
2-etil-5-propilfenilo	5-cloro-3-cianopirazol-1-ilo
2-etil-5-(trifluorometil)fenilo	5-cloro-3-nitropirazol-1-ilo
2-etil-5-(2,2,2-trifluoroetil)fenilo	5-bromo-3-metilpirazol-1-ilo
2-etil-5-(pentafluoroetil)fenilo	5-bromo-3-cloropirazol-1-ilo
5-ciano-2-etilfenilo	3,5-dibromopirazol-1-ilo
2-etil-5-nitrofenilo	5-bromo-3-yodopirazol-1-ilo
3-cloropirazol-1-ilo	5-bromo-3-etilpirazol-1-ilo
3-bromopirazol-1-ilo	5-bromo-3-propilpirazol-1-ilo
3-(trifluorometil)pirazol-1-ilo	5-bromo-3-(trifluorometil)pirazol-1-ilo
3-(2,2,2-trifluoroetil)pirazol-1-ilo	5-bromo-3-(2,2,2-trifluoroetil)pirazol-1-ilo
3-(pentafluoroetil)pirazol-1-ilo	5-bromo-3-(pentafluoroetil)pirazol-1-ilo
3-cianopirazol-1-ilo	5-etil-3-metilpirazol-1-ilo
3-cloro-5-etilpirazol-1-ilo	2,5-dicloro-3-tienilo
3-bromo-5-etilpirazol-1-ilo	3,6-dimetil-2-piridinilo
5-etil-3-yodopirazol-1-ilo	2,5-dimetil-3-piridinilo
3,5-dietilpirazol-1-ilo	2,5-dimetil-4-piridinilo

R^1	R^1
5-etil-3-propilpirazol-1-ilo	3,6-dicloro-2-piridilo
5-etil-3-(trifluorometil)pirazol-1-ilo	2,5-dicloro-3-piridilo
5-etil-3-(2,2,2-trifluoroetil)pirazol-1-ilo	2,5-dicloro-4-piridilo
5-etil-3-(pentafluoroetil)pirazol-1-ilo	3,5-bis-(trifluorometil)pirazol-1-ilo
3,5-dimetil-2-tienilo	1-metil-3-(trifluorometil)pirazol-5-ilo
3,5-dicloro-2-tienilo	1-metil-4-(trifluorometil)imidazol-2-ilo
3,5-dimetil-2-furilo	

TABLA 2C



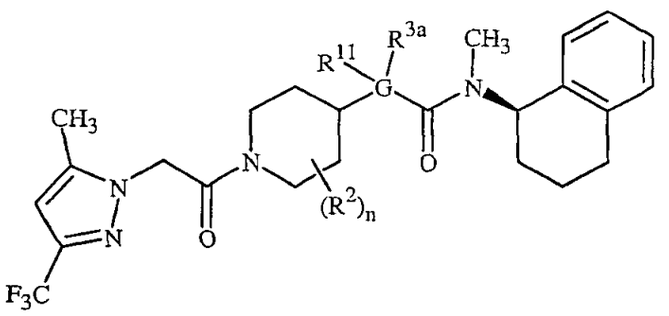
R^1	R^1
2-metoxifenilo	2,5-dimetilfenilo
3-bromofenilo	5-etil-2-metilfenilo
3-yodofenilo	2-metil-5-propilfenilo
3-(trifluorometil)fenilo	5-isopropil-2-metilfenilo
3-(2,2,2-trifluoroetil)fenilo	2-metil-5-(trifluorometil)fenilo
3-(pentafluoroetil)fenilo	2-metil-5-(2,2,2-trifluoroetil)fenilo
3-cianofenilo	2-metil-5-(pentafluoroetil)fenilo
3-nitrofenilo	5-ciano-2-metilfenilo

R^1	R^1
2,5-diclorofenilo	2-metil-5-nitrofenilo
5-bromo-2-clorofenilo	5-cloro-2-metoxifenilo
2-cloro-5-yodofenilo	5-bromo-2-metoxifenilo
2-cloro-5-metilfenilo	5-yodo-2-metoxifenilo
2-cloro-5-etilfenilo	2-metoxi-5-metilfenilo
2-cloro-5-(trifluorometil)fenilo	5-etil-2-metoxifenilo
2-cloro-5-(2,2,2-trifluoroetil)fenilo	2-metoxi-5-propilfenilo
2-cloro-5-(pentafluoroetil)fenilo	2-metoxi-5-(trifluorometil)fenilo
2-cloro-5-cianofenilo	2-metoxi-5-(2,2,2-trifluoroetil)fenilo
2-cloro-5-nitrofenilo	2-metoxi-5-(pentafluoroetil)fenilo
2-bromo-5-clorofenilo	5-ciano-2-metoxifenilo
2,5-dibromofenilo	2-metoxi-5-nitrofenilo
2-bromo-5-yodofenilo	5-cloro-2-etilfenilo
2-bromo-5-metilfenilo	5-bromo-2-etilfenilo
2-bromo-5-etilfenilo	2-etil-5-yodofenilo
2-bromo-5-propilfenilo	2-etil-5-metilfenilo
2-bromo-5-(trifluorometil)fenilo	2,5-dietilfenilo
2-bromo-5-(2,2,2-trifluoroetil)fenilo	2-etil-5-propilfenilo
2-bromo-5-(pentafluoroetil)fenilo	2-etil-5-(trifluorometil)fenilo
2-bromo-5-cianofenilo	2-etil-5-(2,2,2-trifluoroetil)fenilo
2-bromo-5-nitrofenilo	2-etil-5-(pentafluoroetil)fenilo
5-cloro-2-metilfenilo	5-ciano-2-etilfenilo
5-bromo-2-metilfenilo	2-etil-5-nitrofenilo
5-yodo-2-metilfenilo	3-cloropirazol-1-ilo

R^1	R^1
3-(trifluorometil)pirazol-1-ilo	3-bromopirazol-1-ilo
3-(2,2,2-trifluoroetil)pirazol-1-ilo	5-bromo-3-yodopirazol-1-ilo
3-(pentafluoroetil)pirazol-1-ilo	5-bromo-3-etilpirazol-1-ilo
3-cianopirazol-1-ilo	5-bromo-3-propilpirazol-1-ilo
3-nitropirazol-1-ilo	5-bromo-3-(trifluorometil)pirazol-1-ilo
3,5-dimetilpirazol-1-ilo	5-bromo-3-(2,2,2-trifluoroetil)pirazol-1-ilo
3-cloro-5-metilpirazol-1-ilo	5-bromo-3-(pentafluoroetil)pirazol-1-ilo
3-bromo-5-metilpirazol-1-ilo	5-etil-3-metilpirazol-1-ilo
3-yodo-5-metilpirazol-1-ilo	3-cloro-5-etilpirazol-1-ilo
3-etil-5-metilpirazol-1-ilo	3-bromo-5-etilpirazol-1-ilo
5-metil-3-propilpirazol-1-ilo	5-etil-3-yodopirazol-1-ilo
5-metil-3-(trifluorometil)pirazol-1-ilo	3,5-dietilpirazol-1-ilo
5-metil-3-(2,2,2-trifluoroetil)pirazol-1-ilo	5-etil-3-propilpirazol-1-ilo
5-metil-3-(pentafluoroetil)pirazol-1-ilo	5-etil-3-(trifluorometil)pirazol-1-ilo
3-ciano-5-metilpirazol-1-ilo	5-etil-3-(2,2,2-trifluoroetil)pirazol-1-ilo
5-metil-3-nitropirazol-1-ilo	5-etil-3-(pentafluoroetil)pirazol-1-ilo
5-cloro-3-metilpirazol-1-ilo	3,5-dimetil-2-tienilo
3,5-dicloropirazol-1-ilo	3,5-dicloro-2-tienilo
5-cloro-3-bromopirazol-1-ilo	2,5-dimetil-3-tienilo
5-cloro-3-yodopirazol-1-ilo	2,5-dicloro-3-tienilo
5-cloro-3-etilpirazol-1-ilo	3,6-dimetil-2-piridinilo
5-cloro-3-propilpirazol-1-ilo	2,5-dimetil-3-piridinilo
5-cloro-3-(trifluorometil)pirazol-1-ilo	2,5-dimetil-4-piridinilo
5-cloro-3-(2,2,2-trifluoroetil)pirazol-1-ilo	3,6-dicloro-2-piridinilo

R^1	R^1
5-cloro-3-(pentafluoroetil)pirazol-1-ilo	2,5-dicloro-3-piridinilo
5-cloro-3-cianopirazol-1-ilo	2,5-dicloro-4-piridinilo
5-cloro-3-nitropirazol-1-ilo	3,5-bis-(trifluorometil)pirazol-1-ilo
5-bromo-3-metilpirazol-1-ilo	1-metil-3-(trifluorometil)pirazol-5-ilo
5-bromo-3-cloropirazol-1-ilo	1-metil-4-(trifluorometil)imidazol-2-ilo
3,5-dibromopirazol-1-ilo	

TABLA 3A



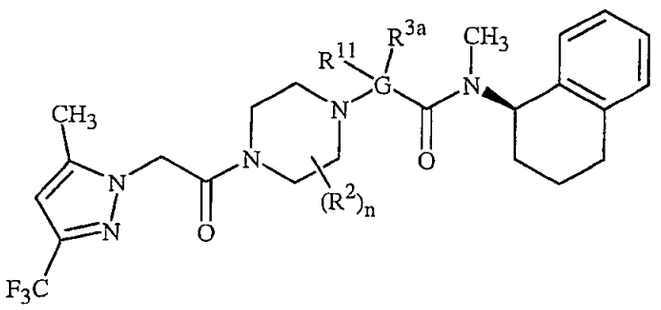
$(R^2)_n$	G	R^{3a}	R^{11}	$(R^2)_n$	G	R^{3a}	R^{11}
H	G-1	H	-	H	G-10	H	-
H	G-2	H	-	H	G-11	H	-
H	G-3	H	H	H	G-12	H	H
H	G-4	H	-	H	G-13	H	H
H	G-5	H	-	H	G-14	H	-
H	G-6	H	H	H	G-15	H	-
H	G-7	H	-	H	G-16	H	H
H	G-8	H	-	H	G-17	H	-
H	G-9	H	H	H	G-18	H	-

$(R^2)_n$	G	R^{3a}	R^{11}	$(R^2)_n$	G	R^{3a}	R^{11}
H	G-19	H	H	H	G-43	H	H
H	G-20	H	-	H	G-44	H	-
H	G-21	H	-	H	G-45	H	-
H	G-22	H	H	H	G-46	H	-
H	G-23	H	-	H	G-47	H	-
H	G-24	H	-	H	G-48	H	H
H	G-25	H	-	H	G-49	H	-
H	G-26	H	-	H	G-50	H	-
H	G-27	H	-	H	G-51	H	H
H	G-28	H	-	H	G-52	H	-
H	G-29	H	-	H	G-53	H	-
H	G-30	H	-	H	G-54	H	H
H	G-31	H	-	H	G-55	H	-
H	G-32	H	-	H	G-2	Me	-
H	G-33	H	-	H	G-2	Cl	-
H	G-34	H	-	H	G-2	F	-
H	G-35	H	-	H	G-2	CF_3	-
H	G-36	H	-	H	G-14	*n*-Pr	-
H	G-37	H	-	H	G-3	H	Me
H	G-38	H	-	H	G-3	H	*n*-Pr
H	G-39	H	H	H	G-26	5-Me	-
H	G-40	H	-	2-Me	G-1	H	-
H	G-41	H	-	3-Me	G-1	H	-
H	G-42	H	H	2,6-di-Me	G-1	H	-

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$(R^2)_n$	G	R^{3a}	R^{11}	$(R^2)_n$	G	R^{3a}	R^{11}
3,5-di-Me	G-1	H	-	4-Cl	G-1	H	-
3-*n*-Bu	G-1	H	-	4-Br	G-1	H	-
4-MeO	G-1	H	-	4-CN	G-1	H	-
4-OH	G-1	H	-				

TABLA 3B



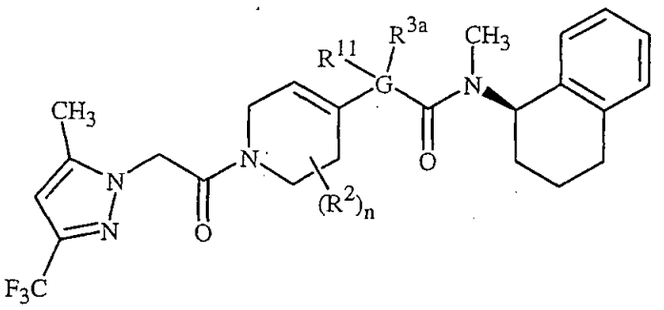
$(R^2)_n$	G	R^{3a}	R^{11}	$(R^2)_n$	G	R^{3a}	R^{11}
H	G-1	H	-	H	G-12	H	H
H	G-2	H	-	H	G-13	H	H
H	G-3	H	H	H	G-14	H	-
H	G-4	H	-	H	G-15	H	-
H	G-5	H	-	H	G-16	H	H
H	G-6	H	H	H	G-17	H	-
H	G-7	H	-	H	G-18	H	-
H	G-8	H	-	H	G-19	H	H
H	G-9	H	H	H	G-20	H	-
H	G-10	H	-	H	G-21	H	-
H	G-11	H	-	H	G-22	H	H

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$(R^2)_n$	G	R^{3a}	R^{11}	$(R^2)_n$	G	R^{3a}	R^{11}
H	G-23	H	-	H	G-49	H	-
H	G-24	H	-	H	G-50	H	-
H	G-31	H	-	H	G-51	H	H
H	G-32	H	-	H	G-52	H	-
H	G-33	H	-	H	G-53	H	-
H	G-34	H	-	H	G-54	H	H
H	G-35	H	-	H	G-2	Me	-
H	G-37	H	-	H	G-2	Cl	-
H	G-38	H	-	H	G-2	F	-
H	G-39	H	H	H	G-2	CF_3	-
H	G-40	H	-	H	G-14	*n*-Pr	-
H	G-41	H	-	H	G-3	H	Me
H	G-42	H	H	H	G-3	H	*n*-Pr
H	G-43	H	H	2-Me	G-1	H	-
H	G-44	H	-	3-Me	G-1	H	-
H	G-45	H	-	2,6-di-Me	G-1	H	-
H	G-46	H	-	3,5-di-Me	G-1	H	-
H	G-47	H	-	3-*n*-Bu	G-1	H	-
H	G-48	H	H				

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TABLA 3C

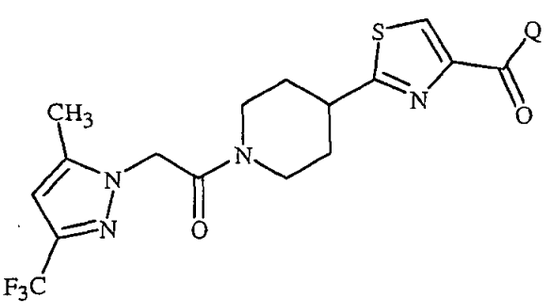


$((R^2)_n$	G	R^{3a}	R^{11}	$(R^2)_n$	G	R^{3a}	R^{11}
H	G-1	H	-	H	G-18	H	-
H	G-2	H	-	H	G-19	H	H
H	G-3	H	H	H	G-20	H	-
H	G-4	H	-	H	G-21	H	-
H	G-5	H	-	H	G-22	H	H
H	G-6	H	H	H	G-23	H	-
H	G-7	H	-	H	G-24	H	-
H	G-8	H	-	H	G-31	H	-
H	G-9	H	H	H	G-32	H	-
H	G-10	H	-	H	G-33	H	-
H	G-11	H	-	H	G-34	H	-
H	G-12	H	H	H	G-35	H	-
H	G-13	H	H	H	G-37	H	-
H	G-14	H	-	H	G-38	H	-
H	G-15	H	-	H	G-39	H	H
H	G-16	H	H	H	G-40	H	-
H	G-17	H	-	H	G-41	H	-

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$(R^2)_n$	G	R^{3a}	R^{11}	$(R^2)_n$	G	R^{3a}	R^{11}
H	G-42	H	H	H	G-2	Cl	-
H	G-43	H	H	H	G-2	F	-
H	G-44	H	-	H	G-2	CF_3	-
H	G-45	H	-	H	G-14	*n*-Pr	-
H	G-46	H	-	H	G-3	H	Me
H	G-47	H	-	H	G-3	H	*n*-Pr
H	G-48	H	H	2-Me	G-1	H	-
H	G-49	H	-	3-Me	G-1	H	-
H	G-50	H	-	2,6-di-Me	G-1	H	-
H	G-51	H	H	3,5-di-Me	G-1	H	-
H	G-52	H	-	3-*n*-Bu	G-1	H	-
H	G-53	H	-	5-Me	G-1	H	-
H	G-54	H	H	6-Me	G-1	H	-
H	G-2	Me	-				

TABLA 4*



Q	Q^a	R^5	$(R^6)_m$	$(R^9)_l$	R^{10}	R^{15}	$(R^{16})_m/R^{16a}$
Q-2	Me	-	H	H	-	H	-
Q-3	Me	-	H	H	-	H	-

Q	Q^a	R^5	$(R^8)_m$	$(R^9)_j$	R^{10}	R^{15}	$(R^{16})_m/R^{16a}$
Q-4	Me	-	H	H	-	H	-
Q-5	Me	-	H	H	H	H	-
Q-6	Me	-	H	H	-	H	-
Q-7	Me	-	H	H	-	H	-
Q-8	Me	-	H	H	-	H	-
Q-9	Me	-	H	H	-	H	-
Q-10	Me	-	H	H	-	H	-
Q-11	Me	-	H	H	H	H	-
Q-12	Me	-	H	H	-	H	-
Q-13	Me	-	H	H	-	H	-
Q-14	Me	-	H	H	-	H	-
Q-15	Me	-	H	H	H	H	-
Q-2	Me	-	2-Me	H	-	H	-
Q-2	Me	-	2,2-di-Me	H	-	H	-
Q-2	Me	-	2-Et	H	-	H	-
Q-2	Me	-	H	6-Me	-	H	-
Q-2	Me	-	H	6-Cl	-	H	-
Q-2	Me	-	H	6-Ome	-	H	-
Q-2	Me	-	H	6-Br	-	H	-
Q-2	Me	-	H	6-F	-	H	-
Q-2	Me	-	H	5-OMe	-	H	-
Q-2	Me	-	H	7-Ome	-	H	-
Q-3	Me	-	3-Me	H	-	H	-
Q-4	Me	-	3-Me	H	-	H	-

Q	Q^a	R^5	$(R^8)_m$	$(R^9)_l$	R^{10}	R^{15}	$(R^{16})_m/R^{16a}$
Q-5	Me	-	H	H	Me	H	-
Q-5	Me	-	H	H	*n*-Pr	H	-
Q-6	Me	-	H	3-Cl	-	H	-
Q-7	Me	-	H	2-Cl	-	H	-
Q-8	Me	-	2-Me	H	-	H	-
Q-8	Me	-	2,2-di-Me	H	-	H	-
Q-8	Me	-	2-Et	H	-	H	-
Q-8	Me	-	2-*n*-Pr	H	-	H	-
Q-8	Me	-	3,3-di-Me	H	-	H	-
Q-8	Me	-	H	5-Me	-	H	-
Q-8	Me	-	H	5-Cl	-	H	-
Q-8	Me	-	H	5-Ome	-	H	-
Q-8	Me	-	H	5-Br	-	H	-
Q-9	Me	-	2-Me	H	-	H	-
Q-10	Me	-	2-Me	H	-	H	-
Q-11	Me	-	H	H	Me	H	-
Q-13	Me	-	H	2-Me	-	H	-
Q-14	Me	-	H	2-Me	-	H	-
Q-14	Me	-	H	2-Cl	-	H	-
Q-15	Me	-	H	H	Me	H	-
Q-16	Me	-	H	H	-	H	-
Q-17	Me	-	H	H	-	H	-
Q-18	Me	-	H	H	Me	H	-
Q19	Me	-	H	H	-	H	-

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Q	Q^a	R^5	$(R^8)_m$	$(R^9)_i$	R^{10}	R^{15}	$(R^{16})_m/R^{16a}$
Q-20	Me	-	H	H	-	H	-
Q-21	Me	-	H	H	Me	H	-
Q-22	Me	-	H	H	-	H	-
Q-23	Me	-	H	H	-	H	-
Q-24	Me	-	H	H	-	H	-
Q-25	Me	-	H	H	-	H	-
Q-26	Me	-	H	H	-	H	-
Q-27	Me	-	H	H	-	H	-
Q-28	Me	-	H	H	-	H	-
Q-29	Me	-	H	H	-	H	-
Q-30	Me	-	H	H	-	H	-
Q-31	Me	-	H	H	-	H	-
Q-32	Me	-	H	H	-	H	-
Q-33	Me	-	H	H	Me	H	-
Q-34	Me	-	H	H	-	H	-
Q-35	Me	-	H	H	-	H	-
Q-36	Me	-	H	H	Me	H	-
Q-37	Me	-	H	H	-	H	-
Q-38	Me	-	H	H	-	H	-
Q-39	Me	-	H	H	Me	H	-
Q-40	Me	-	H	H	-	H	-
Q-41	Me	-	H	H	-	H	-
Q-42	Me	-	H	H	-	H	-
Q-43	Me	-	H	H	-	H	-

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Q	Q^a	R^5	$(R^8)_m$	$(R^9)_l$	R^{10}	R^{15}	$(R^{16})_m/R^{16a}$
Q-44	Me	-	H	H	-	H	-
Q-45	Me	-	H	H	-	H	-
Q-46	Me	-	H	H	-	H	-
Q-47	Me	-	H	H	-	H	-
Q-48	Me	-	H	H	-	H	-
Q-49	Me	-	H	H	-	H	-
Q-50	Me	-	H	H	-	H	-
Q-51	Me	-	H	H	-	H	-
Q-52	Me	-	H	H	-	H	-
Q-53	Me	-	H	H	-	H	-
Q-54	Me	-	H	H	-	H	-
Q-55	Me	-	H	H	-	H	-
Q-56	Me	-	H	H	-	H	-
Q-57	Me	-	H	H	-	H	-
Q-58	-	-	H	H	-	H	-
Q-59	-	-	H	H	-	H	-
Q-60	-	-	H	H	-	H	-
Q-61	-	-	H	H	-	H	-
Q-62	-	-	H	H	-	H	-
Q-63	-	-	H	H	-	H	-
Q-64	-	-	H	H	-	H	-
Q-65	-	-	H	H	-	H	-
Q-66	-	-	H	H	-	H	-
Q-67	-	-	H	H	-	H	-

533

Q	Q^a	R^5	$(R^8)_m$	$(R^9)_j$	R^{10}	R^{15}	$(R^{16})_m/R^{16a}$
Q-68	-	-	-	H	-	H	-
Q-69	-	-	-	H	-	H	-
Q-70	-	Et	-	H	-	H	-
Q-71	-	Et	-	H	-	H	-
Q-72	-	Et	-	H	-	H	-
Q-73	Me	-	-	H	-	H	-
Q-74	Me	-	-	H	-	H	-
Q-75	Me	Me	-	H	-	Me	-
Q-76	-	-	-	-	-	-	3-Ph
Q-77	-	-	-	-	-	-	4-Ph
Q-78	-	-	-	-	-	-	4-Ph
Q-79	-	-	-	-	-	-	H
Q-80	-	-	-	-	-	-	4-Ph
Q-81	-	-	-	-	-	-	2-Me
Q-82	-	-	-	-	-	-	H
Q-83	Me	-	-	-	-	H	2-Ph
Q-84	Me	-	-	-	-	H	2-Ph
Q-85	Me	-	-	-	-	H	2-Ph
Q-2	Me	-	4-Me	H	-	H	-
Q-2	Me	-	4,4-di-Me	H	-	H	-
Q-2	Me	-	4-Et	H	-	H	-
Q-2	Me	-	2-OH	H	-	H	-
Q-2	Me	-	2-OH	H	-	H	-
Q-2	Me	-	4-OMe	H	-	H	-

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Q	Q^a	R^5	$(R^8)_m$	$(R^9)_j$	R^{10}	R^{15}	$(R^{16})_m/R^{16a}$
Q-2	Me	-	4-SMe	H	-	H	-
Q-2	Me	-	4-SOMe	H	-	H	-
Q-2	Me	-	4-SO_2Me	H	-	H	-
Q-2	Me	-	4-OCF_3	H	-	H	-
Q-2	Me	-	2-CF_3	H	-	H	-
Q-2	Me	-	4-NH_2	H	-	H	-
Q-2	Me	-	2-*n*-Bu	H	-	H	-
Q-2	Me	-	2-propenilo	H	-	H	-
Q-2	Me	-	2-propinilo	H	-	H	-
Q-2	Me	-	4-Cl	H	-	H	-
Q-2	Me	-	2-CN	H	-	H	-
Q-2	Me	-	4-CN	H	-	H	-
Q-2	Me	-	4-O-*t*-Bu	H	-	H	-
Q-2	Me	-	4-NHMe	H	-	H	-
Q-2	Me	-	4-N(Me)Me	H	-	H	-
Q-2	Me	-	2-MeOMe	H	-	H	-
Q-2	Me	-	4-CH_2OH	H	-	H	-
Q-2	Me	-	4-Ac	H	-	H	-
Q-2	Me	-	4-COOMe	H	-	H	-
Q-2	Me	-	4-OAc	H	-	H	-
Q-2	Me	-	4-O(C=O)-*n*-Bu	H	-	H	-
Q-2	Me	-	4-OEt	H	-	H	-
Q-2	Me	-	4-O(C=O)Et	H	-	H	-
Q-2	Me	-	4-SAc	H	-	H	-

535

Q	Q^a	R^5	$(R^8)_m$	$(R^9)_j$	R^{10}	R^{15}	$(R^{16})_m/R^{16a}$
Q-2	Me	-	4-COHNMe	H	-	H	-
Q-2	Me	-	4-COHNMe$_2$	H	-	H	-
Q-2	H	-	2-Me	H	-	H	-
Q-2	H	-	2,2-di-Me	H	-	H	-
Q-2	H	-	4-Me	H	-	H	-
Q-2	H	-	4,4-di-Me	H	-	H	-
Q-2	H	-	4-OH	H	-	H	-
Q-2	H	-	4-OMe	H	-	H	-
Q-2	H	-	4-OAc	H	-	H	-
Q-2	Me	-	2-Me	H	-	Me	-
Q-2	Me	-	2,2-di-Me	H	-	Me	-
Q-2	Me	-	4-Me	H	-	Me	-
Q-2	Me	-	4,4-di-Me	H	-	Me	-
Q-2	Me	-	4-OH	H	-	Me	-
Q-2	Me	-	4-OMe	H	-	Me	-
Q-2	Me	-	4-OAc	H	-	Me	-
Q-2	Et	-	H	H	-	H	-
Q-2	Pr	-	H	H	-	H	-
Q-2	2-propenilo	-	H	H	-	H	-
Q-2	2-propinilo	-	H	H	-	H	-
Q-2	*c*-propilo	-	H	H	-	H	-
Q-2	CF_3	-	H	H	-	H	-
Q-2	CN	-	H	H	-	H	-
Q-2	OH	-	H	H	-	H	-

536

Q	Q^a	R^5	$(R^8)_m$	$(R^9)_j$	R^{10}	R^{15}	$(R^{16})_m/R^{16a}$
Q-2	OMe	-	H	H	-	H	-
Q-2	CH_2OMe	-	H	H	-	H	-
Q-2	CH_2OH	-	H	H	-	H	-
Q-2	Ac	-	H	H	-	H	-
Q-2	COEt	-	H	H	-	H	-
Q-2	CO_2Me	-	H	H	-	H	-
Q-2	CONHMe	-	H	H	-	H	-
Q-2	$CONH(Me)_2$	-	H	H	-	H	-
Q-8	Me	-	3-Me	H	-	H	-
Q-8	Me	-	3,3-di-Me	H	-	H	-
Q-8	Me	-	3-OH	H	-	H	-
Q-8	Me	-	3-OMe	H	-	H	-
Q-8	Me	-	3-OAc	H	-	H	-
Q-8	Me	-	2-Et	H	-	H	-
Q-8	H	-	H	H	-	H	-
Q-14	Me	-	2-Me	H	-	H	-
Q-14	Me	-	2,2-di-Me	H	-	H	-
Q-14	Me	-	3-Me	H	-	H	-
Q-14	Me	-	3,3-di-Me	H	-	H	-
Q-14	Me	-	3-OH	H	-	H	-
Q-14	Me	-	3-OMe	H	-	H	-
Q-14	Me	-	3-OAc	H	-	H	-
Q-14	Me	-	2-Et	H	-	H	-
Q-14	Me	-	H	H	-	H	-

537

Q	Q^a	R^5	$(R^8)_m$	$(R^9)_j$	R^{10}	R^{15}	$(R^{16})_m/R^{16a}$
Q-23	Me	-	2-Me	H	-	H	-
Q-23	Me	-	2,2-di-Me	H	-	H	-
Q-23	Me	-	3-Me	H	-	H	-
Q-23	Me	-	3,3-di-Me	H	-	H	-
Q-23	H	-	H	H	-	H	-
Q-41	Me	-	2-Me	H	-	H	-
Q-41	Me	-	2,2-di-Me	H	-	H	-
Q-41	H	-	H	H	-	H	-
Q-70	-	Me	-	H	-	Me	-
Q-71	-	Me	-	H	-	Me	-
Q-78	-	-	-	-	-	-	H
Q-78	-	-	-	-	-	-	4-Me
Q-78	-	-	-	-	-	-	4-Et
Q-78	-	-	-	-	-	-	4-*i*-Pr
Q-78	-	-	-	-	-	-	4-*t*-Bu
Q-78	-	-	-	-	-	-	4-propen-2-ilo
Q-78	-	-	-	-	-	-	4-propin-2-ilo
Q-78	-	-	-	-	-	-	4-*c*-propilo
Q-78	-	-	-	-	-	-	4-*c*-hexilo
Q-78	-	-	-	-	-	-	4-CF_3
Q-78	-	-	-	-	-	-	4-CH_2-CF_3
Q-78	-	-	-	-	-	-	4-SO_2Me
Q-78	-	-	-	-	-	-	4-CH_2OH
Q-78	-	-	-	-	-	-	4-Ac

538

Q	Q^a	R^5	$(R^8)_m$	$(R^9)_l$	R^{10}	R^{15}	$(R^{16})_m/R^{16a}$
Q-78	-	-	-	-	-	-	4-COEt
Q-78	-	-	-	-	-	-	4-COO-*t*-Bu
Q-78	-	-	-	-	-	-	4-bencilo
Q-78	-	-	-	-	-	-	4-(4-Cl-Ph)
Q-77	-	-	-	-	-	-	H
Q-77	-	-	-	-	-	-	4-Me
Q-77	-	-	-	-	-	-	4-*t*-Bu
Q-77	-	-	-	-	-	-	4-OH
Q-77	-	-	-	-	-	-	4-OMe
Q-77	-	-	-	-	-	-	4-OPr
Q-77	-	-	-	-	-	-	4-Br
Q-77	-	-	-	-	-	-	4-Cl
Q-77	-	-	-	-	-	-	4-NH_2
Q-77	-	-	-	-	-	-	4-NHMe
Q-77	-	-	-	-	-	-	4-$N(Et)_2$
Q-77	-	-	-	-	-	-	4-CN
Q-77	-	-	-	-	-	-	4-NO_2
Q-77	-	-	-	-	-	-	4-OCF_3
Q-77	-	-	-	-	-	-	4-SMe
Q-77	-	-	-	-	-	-	4-SO-*n*-Bu
Q-77	-	-	-	-	-	-	4-$SCHF_2$
Q-77	-	-	-	-	-	-	4-NHMe
Q-77	-	-	-	-	-	-	4-$N(Me)_2$
Q-77	-	-	-	-	-	-	4-MeOMe

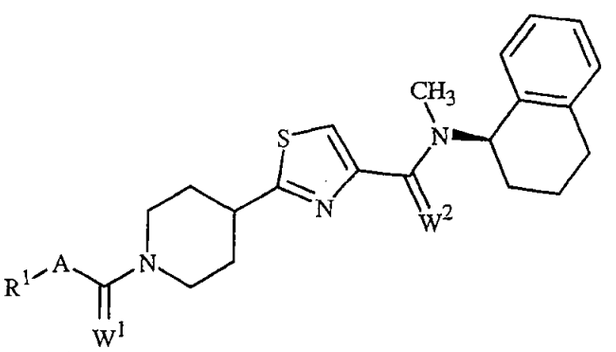
539

Q	Q^a	R^5	$(R^8)_m$	$(R^9)_j$	R^{10}	R^{15}	$(R^{16})_m/R^{16a}$
Q-77	-	-	-	-	-	-	4-CO_2Me
Q-77	-	-	-	-	-	-	4-OAc
Q-77	-	-	-	-	-	-	4-COHNMe
Q-77	-	-	-	-	-	-	4-trimetilsililo
Q-77	-	-	-	-	-	-	3-Ph
Q-77	-	-	-	-	-	-	3-Me
Q-77	-	-	-	-	-	-	2-Ph
Q-75	Me	Et	-	H	-	Et	-
Q-75	Me	Et	-	H	-	Me	-
Q-75	Me	Me	-	H	-	*i*-Pr	-

Notas:

* Las definiciones de R^5, R^{10}, R^{15}, $(R^{16})_m$, R^{16a}, Q^a, $(R^8)_m$ y $(R^9)_j$ en los compuestos de la Tabla 4 se muestran en la Modalidad 50 a menos que se observe de otra manera.

TABLA 5



540

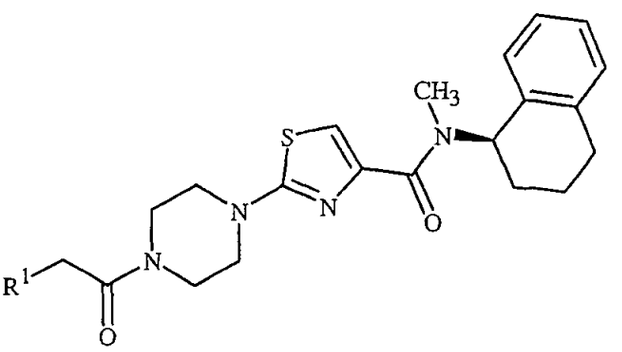
R^1	A	W^1	W^2
2-metoxifenilo	NH	O	O
2,5-diclorofenilo	NH	O	O
5-bromo-2-clorofenilo	NH	O	O
2-cloro-5-metilfenilo	NH	O	O
2-cloro-5-(trifluorometil)fenilo	NH	O	O
2,5-dibromofenilo	NH	O	O
2-bromo-5-metilfenilo	NH	O	O
2-bromo-5-(trifluorometil)fenilo	NH	O	O
5-cloro-2-metilfenilo	NH	O	O
5-bromo-2-metilfenilo	NH	O	O
2,5-dimetilfenilo	NH	O	O
5-etil-2-metilfenilo	NH	O	O
2-metil-5-(trifluorometil)fenilo	NH	O	O
5-bromo-2-metoxifenilo	NH	O	O
2-metoxi-5-metilfenilo	NH	O	O
2-metoxi-5-(trifluorometil)fenilo	NH	O	O
3-(trifluorometil)pirazol-1-ilo	NH	O	O
3,5-dimetilpirazol-1-ilo	NH	O	O
3-etil-5-metilpirazol-1-ilo	NH	O	O
5-metil-3-(trifluorometil)pirazol-1-ilo	NH	O	O
3,5-dicloropirazol-1-ilo	NH	O	O
5-cloro-3-(trifluorometil)pirazol-1-ilo	NH	O	O
3,5-dibromopirazol-1-ilo	NH	O	O
5-bromo-3-(trifluorometil)pirazol-1-ilo	NH	O	O

R^1	A	W^1	W^2
3,5-dietilpirazol-1-ilo	NH	O	O
5-etil-3-(trifluorometil)pirazol-1-ilo	NH	O	O
2-metoxifenilo	NH	S	O
2,5-diclorofenilo	NH	S	O
5-bromo-2-clorofenilo	NH	S	O
2-cloro-5-metilfenilo	NH	S	O
2-cloro-5-(trifluorometil)fenilo	NH	S	O
2,5-dibromofenilo	NH	S	O
2-bromo-5-metilfenilo	NH	S	O
2-bromo-5-(trifluorometil)fenilo	NH	S	O
5-cloro-2-metilfenilo	NH	S	O
5-bromo-2-metilfenilo	NH	S	O
2,5-dimetilfenilo	NH	S	O
5-etil-2-metilfenilo	NH	S	O
2-metil-5-(trifluorometil)fenilo	NH	S	O
5-bromo-2-metoxifenilo	NH	S	O
2-metoxi-5-metilfenilo	NH	S	O
2-metoxi-5-(trifluorometil)fenilo	NH	S	O
3-(trifluorometil)pirazol-1-ilo	NH	S	O
3,5-dimetilpirazol-1-ilo	NH	S	O
3-etil-5-metilpirazol-1-ilo	NH	S	O
5-metil-3-(trifluorometil)pirazol-1-ilo	NH	S	O
3,5-dicloropirazol-1-ilo	NH	S	O
5-cloro-3-(trifluorometil)pirazol-1-ilo	NH	S	O

542

R^1	A	W^1	W^2
3,5-dibromopirazol-1-ilo	NH	S	O
5-bromo-3-(trifluorometil)pirazol-1-ilo	NH	S	O
3,5-dietilpirazol-1-ilo	NH	S	O
5-etil-3-(trifluorometil)pirazol-1-ilo	NH	S	O
5-metil-3-(trifluorometil)pirazol-1-ilo	CH_2	S	S
5-metil-3-(trifluorometil)pirazol-1-ilo	CH_2	O	S
3,5-dicloropirazol-1-ilo	CH_2	O	S
5-cloro-3-(trifluorometil)pirazol-1-ilo	CH_2	O	S
3,5-dietilpirazol-1-ilo	CH_2	O	S
5-etil-3-(trifluorometil)pirazol-1-ilo	CH_2	O	S
3,5-bis(trifluorometil)pirazol-1-ilo	CH_2	O	S
1-metil-3-(trifluorometil)pirazol-5-ilo	CH_2	O	S
1-metil-4-(trifluorometil)imidazol-2-ilo	CH_2	O	S

TABLA 6A



R^1	R^1
2-metoxifenilo	3-nitrofenilo
3-bromofenilo	2,5-diclorofenilo
3-yodofenilo	5-bromo-2-clorofenilo
3-(trifluorometil)fenilo	2-cloro-5-yodofenilo
3-(2,2,2-trifluoroetil)fenilo	2-cloro-5-metilfenilo
3-(pentafluoroetil)fenilo	2-cloro-5-etilfenilo
3-cianofenilo	2-cloro-5-(trifluorometil)fenilo
2-cloro-5-(2,2,2-trifluoroetil)fenilo	2-metoxi-5-(trifluorometil)fenilo
2-cloro-5-(pentafluoroetil)fenilo	2-metoxi-5-(2,2,2-trifluoroetil)fenilo
2-cloro-5-cianofenilo	2-metoxi-5-(pentafluoroetil)fenilo
2-cloro-5-nitrofenilo	5-ciano-2-metoxifenilo
2-bromo-5-clorofenilo	2-metoxi-5-nitrofenilo
2,5-dibromofenilo	5-cloro-2-etilfenilo
2-bromo-5-yodofenilo	5-bromo-2-etilfenilo
2-bromo-5-metilfenilo	2-etil-5-yodofenilo
2-bromo-5-etilfenilo	2-etil-5-metilfenilo
2-bromo-5-propilfenilo	2,5-dietilfenilo
2-bromo-5-(trifluorometil)fenilo	2-etil-5-propilfenilo
2-bromo-5-(2,2,2-trifluoroetil)fenilo	2-etil-5-(trifluorometil)fenilo
2-bromo-5-(pentafluoroetil)fenilo	2-etil-5-(2,2,2-trifluoroetil)fenilo
2-bromo-5-cianofenilo	2-etil-5-(pentafluoroetil)fenilo
2-bromo-5-nitrofenilo	5-ciano-2-etilfenilo
5-cloro-2-metilfenilo	2-etil-5-nitrofenilo
5-bromo-2-metilfenilo	3-cloropirazol-1-ilo

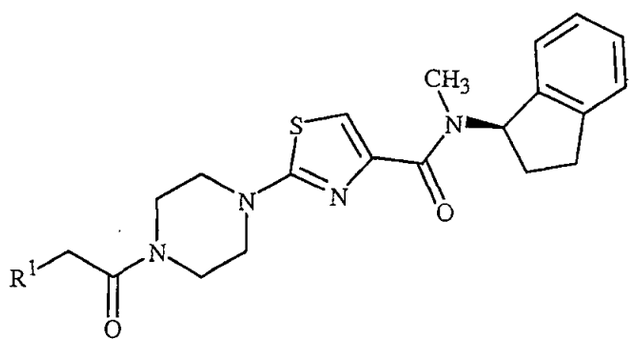
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R^1	R^1
5-yodo-2-metilfenilo	3-3-bromopirazol-1-ilo
2,5-dimetilfenilo	3-(trifluorometil)pirazol-1-ilo
5-etil-2-metilfenilo	3-(2,2,2-trifluoroetil)pirazol-1-ilo
2-metil-5-propilfenilo	3-(pentafluoroetil)pirazol-1-ilo
5-isopropil-2-metilfenilo	3-cianopirazol-1-ilo
2-metil-5-(trifluorometil)fenilo	3-nitropirazol-1-ilo
2-metil-5-(2,2,2-trifluoroetil)fenilo	3,5-dimetilpirazol-1-ilo
2-metil-5-(pentafluoroetil)fenilo	3-cloro-5-metilpirazol-1-ilo
5-ciano-2-metilfenilo	3-bromo-5-metilpirazol-1-ilo
2-metil-5-nitrofenilo	3-yodo-5-metilpirazol-1-ilo
5-cloro-2-metoxifenilo	3-etil-5-metilpirazol-1-ilo
5-bromo-2-metoxifenilo	5-metil-3-propilpirazol-1-ilo
5-yodo-2-metoxifenilo	5-metil-3-(trifluorometil)pirazol-1-ilo
2-metoxi-5-metilfenilo	5-metil-3-(2,2,2-trifluoroetil)pirazol-1-ilo
5-etil-2-metoxifenilo	5-metil-3-(pentafluoroetil)pirazol-1-ilo
2-metoxi-5-propilfenilo	3-ciano-5-metilpirazol-1-ilo
5-metil-3-nitropirazol-1-ilo	3-cloro-5-etilpirazol-1-ilo
5-cloro-3-metilpirazol-1-ilo	3-bromo-5-etilpirazol-1-ilo
3,5-dicloropirazol-1-ilo	5-etil-3-yodopirazol-1-ilo
5-cloro-3-bromopirazol-1-ilo	3,5-dietilpirazol-1-ilo
5-cloro-3-yodopirazol-1-ilo	5-etil-3-propilpirazol-1-ilo
5-cloro-3-etilpirazol-1-ilo	5-etil-3-(trifluorometil)pirazol-1-ilo
5-cloro-3-propilpirazol-1-ilo	5-etil-3-(2,2,2-trifluoroetil)pirazol-1-ilo
5-cloro-3-(trifluorometil)pirazol-1-ilo	5-etil-3-(pentafluoroetil)pirazol-1-ilo

545

R^1	R^1
5-cloro-3-(2,2,2-trifluoroetil)pirazol-1-ilo	3,5-dimetil-2-tienilo
5-cloro-3-(pentafluoroetil)pirazol-1-ilo	3,5-dicloro-2-tienilo
5-cloro-3-cianopirazol-1-ilo	2,5-dimetil-3-tienilo
5-cloro-3-nitropirazol-1-ilo	2,5-dicloro-3-tienilo
5-bromo-3-metilpirazol-1-ilo	3,6-dimetil-2-piridilo
5-bromo-3-cloropirazol-1-ilo	2,5-dimetil-3-piridilo
3,5-dibromopirazol-1-ilo	2,5-dimetil-4-piridilo
5-bromo-3-yodopirazol-1-ilo	3,6-dicloro-2-piridilo
5-bromo-3-etilpirazol-1-ilo	2,5-dicloro-3-piridilo
5-bromo-3-propilpirazol-1-ilo	2,5-dicloro-4-piridilo
5-bromo-3-(trifluorometil)pirazol-1-ilo	3,5-bis-(trifluorometil)pirazol-1-ilo
5-bromo-3-(2,2,2-trifluoroetil)pirazol-1-ilo	1-metil-3-(trifluorometil)pirazol-5-ilo
5-bromo-3-(pentafluoroetil)pirazol-1-ilo	1-metil-4-(trifluorometil)imidazol-2-ilo
5-etil-3-metilpirazol-1-ilo	

TABLA 6B



R^1	R^1
2-metoxifenilo	3-bromofenilo

546

R^1	R^1
3-yodofenilo	5-isopropil-2-metilfenilo
3-(trifluorometil)fenilo	2-metil-5-(trifluorometil)fenilo
3-(2,2,2-trifluoroetil)fenilo	2-metil-5-(2,2,2-trifluoroetil)fenilo
3-(pentafluoroetil)fenilo	2-metil-5-(pentafluoroetil)fenilo
3-cianofenilo	5-ciano-2-metilfenilo
3-nitrofenilo	2-metil-5-nitrofenilo
2,5-diclorofenilo	5-cloro-2-metoxifenilo
5-bromo-2-clorofenilo	5-bromo-2-metoxifenilo
2-cloro-5-yodofenilo	5-yodo-2-metoxifenilo
2-cloro-5-metilfenilo	2-metoxi-5-metilfenilo
2-cloro-5-etilfenilo	5-etil-2-metoxifenilo
2-cloro-5-(trifluorometil)fenilo	2-metoxi-5-propilfenilo
2-cloro-5-(2,2,2-trifluoroetil)fenilo	2-metoxi-5-(trifluorometil)fenilo
2-cloro-5-(pentafluoroetil)fenilo	2-metoxi-5-(2,2,2-trifluoroetil)fenilo
2-cloro-5-cianofenilo	2-metoxi-5-(pentafluoroetil)fenilo
2-cloro-5-nitrofenilo	5-ciano-2-metoxifenilo
2-bromo-5-clorofenilo	2-metoxi-5-nitrofenilo
2,5-dibromofenilo	5-cloro-2-etilfenilo
2-bromo-5-yodofenilo	5-bromo-2-etilfenilo
2-bromo-5-metilfenilo	2-etil-5-yodofenilo
2-bromo-5-etilfenilo	2-etil-5-metilfenilo
2-bromo-5-propilfenilo	2,5-dietilfenilo
2-bromo-5-(trifluorometil)fenilo	2-etil-5-propilfenilo
2-bromo-5-(2,2,2-trifluoroetil)fenilo	2-etil-5-(trifluorometil)fenilo

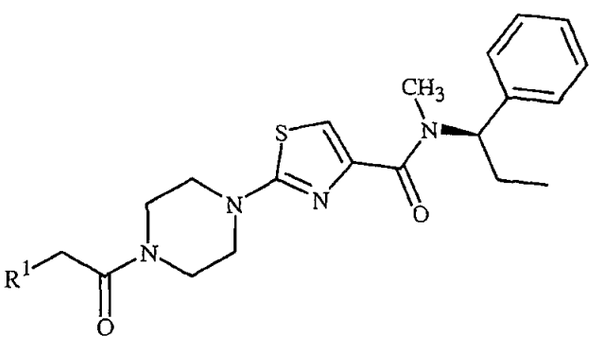
547

R^1	R^1
2-bromo-5-(pentafluoroetil)fenilo	2-etil-5-(2,2,2-trifluoroetil)fenilo
2-bromo-5-cianofenilo	2-etil-5-(pentafluoroetil)fenilo
2-bromo-5-nitrofenilo	5-ciano-2-etilfenilo
5-cloro-2-metilfenilo	2-etil-5-nitrofenilo
5-bromo-2-metilfenilo	3-cloropirazol-1-ilo
5-yodo-2-metilfenilo	3-bromopirazol-1-ilo
2,5-dimetilfenilo	3-(trifluorometil)pirazol-1-ilo
5-etil-2-metilfenilo	3-(2,2,2-trifluoroetil)pirazol-1-ilo
2-metil-5-propilfenilo	3-(pentafluoroetil)pirazol-1-ilo
3-cianopirazol-1-ilo	5-bromo-3-etilpirazol-1-ilo
3-nitropirazol-1-ilo	5-bromo-3-propilpirazol-1-ilo
3,5-dimetilpirazol-1-ilo	5-bromo-3-(trifluorometil)pirazol-1-ilo
3-cloro-5-metilpirazol-1-ilo	5-bromo-3-(2,2,2-trifluoroetil)pirazol-1-ilo
3-bromo-5-metilpirazol-1-ilo	5-bromo-3-(pentafluoroetil)pirazol-1-ilo
3-yodo-5-metilpirazol-1-ilo	5-etil-3-metilpirazol-1-ilo
3-etil-5-metilpirazol-1-ilo	3-cloro-5-etilpirazol-1-ilo
5-metil-3-propilpirazol-1-ilo	3-bromo-5-etilpirazol-1-ilo
5-metil-3-(trifluorometil)pirazol-1-ilo	5-etil-3-yodopirazol-1-ilo
5-metil-3-(2,2,2-trifluoroetil)pirazol-1-ilo	3,5-dietilpirazol-1-ilo
5-metil-3-(pentafluoroetil)pirazol-1-ilo	5-etil-3-propilpirazol-1-ilo
3-ciano-5-metilpirazol-1-ilo	5-etil-3-(trifluorometil)pirazol-1-ilo
5-metil-3-nitropirazol-1-ilo	5-etil-3-(2,2,2-trifluoroetil)pirazol-1-ilo
5-cloro-3-metilpirazol-1-ilo	5-etil-3-(pentafluoroetil)pirazol-1-ilo
3,5-dicloropirazol-1-ilo	3,5-dimetil-2-tienilo

548

R^1	R^1
5-cloro-3-bromopirazol-1-ilo	3,5-dicloro-2-tienilo
5-cloro-3-yodopirazol-1-ilo	2,5-dimetil-3-tienilo
5-cloro-3-etilpirazol-1-ilo	2,5-dicloro-3-tienilo
5-cloro-3-propilpirazol-1-ilo	3,6-dimetil-2-piridilo
5-cloro-3-(trifluorometil)pirazol-1-ilo	2,5-dimetil-3-piridilo
5-cloro-3-(2,2,2-trifluoroetil)pirazol-1-ilo	2,5-dimetil-4-piridilo
5-cloro-3-(pentafluoroetil)pirazol-1-ilo	3,6-dicloro-2-piridilo
5-cloro-3-cianopirazol-1-ilo	2,5-dicloro-3-piridilo
5-cloro-3-nitropirazol-1-ilo	2,5-dicloro-4-piridilo
5-bromo-3-metilpirazol-1-ilo	3,5-bis-(trifluorometil)pirazol-1-ilo
5-bromo-3-cloropirazol-1-ilo	1-metil-3-(trifluorometil)pirazol-5-ilo
3,5-dibromopirazol-1-ilo	1-metil-4-(trifluorometil)imidazol-2-ilo
5-bromo-3-yodopirazol-1-ilo	

TABLA 6C



R^1	R^1
2-metoxifenilo	2-bromo-5-(trifluorometil)fenilo
3-bromofenilo	2-bromo-5-(2,2,2-trifluoroetil)fenilo

549

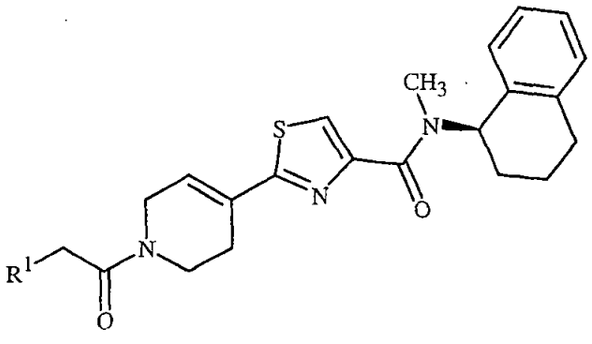
R^1	R^1
3-yodofenilo	2-bromo-5-(pentafluoroetil)fenilo
3-(trifluorometil)fenilo	2-bromo-5-cianofenilo
3-(2,2,2-trifluoroetil)fenilo	2-bromo-5-nitrofenilo
3-(pentafluoroetil)fenilo	5-cloro-2-metilfenilo
3-cianofenilo	5-bromo-2-metilfenilo
3-nitrofenilo	5-yodo-2-metilfenilo
2,5-diclorofenilo	2,5-dimetilfenilo
5-bromo-2-clorofenilo	5-etil-2-metilfenilo
2-cloro-5-yodofenilo	2-metil-5-propilfenilo
2-cloro-5-metilfenilo	5-isopropil-2-metilfenilo
2-cloro-5-etilfenilo	2-metil-5-(trifluorometil)fenilo
2-cloro-5-(trifluorometil)fenilo	2-metil-5-(2,2,2-trifluoroetil)fenilo
2-cloro-5-(2,2,2-trifluoroetil)fenilo	2-metil-5-(pentafluoroetil)fenilo
2-cloro-5-(pentafluoroetil)fenilo	5-ciano-2-metilfenilo
2-cloro-5-cianofenilo	2-metil-5-nitrofenilo
2-cloro-5-nitrofenilo	5-cloro-2-metoxifenilo
2-bromo-5-clorofenilo	5-bromo-2-metoxifenilo
2,5-dibromofenilo	5-yodo-2-metoxifenilo
2-bromo-5-yodofenilo	2-metoxi-5-metilfenilo
2-bromo-5-metilfenilo	5-etil-2-metoxifenilo
2-bromo-5-etilfenilo	2-metoxi-5-propilfenilo
2-bromo-5-propilfenilo	2-metoxi-5-(trifluorometil)fenilo
2-metoxi-5-(2,2,2-trifluoroetil)fenilo	5-cloro-3-metilpirazol-1-ilo
2-metoxi-5-(pentafluoroetil)fenilo	3,5-dicloropirazol-1-ilo

R^1	R^1
5-ciano-2-metoxifenilo	5-cloro-3-bromopirazol-1-ilo
2-metoxi-5-nitrofenilo	5-cloro-3-yodopirazol-1-ilo
5-cloro-2-etilfenilo	5-cloro-3-etilpirazol-1-ilo
5-bromo-2-etilfenilo	5-cloro-3-propilpirazol-1-ilo
2-etil-5-yodofenilo	5-cloro-3-(trifluorometil)pirazol-1-ilo
2-etil-5-metilfenilo	5-cloro-3-(2,2,2-trifluoroetil)pirazol-1-ilo
2,5-dietilfenilo	5-cloro-3-(pentafluoroetil)pirazol-1-ilo
2-etil-5-propilfenilo	5-cloro-3-cianopirazol-1-ilo
2-etil-5-(trifluorometil)fenilo	5-cloro-3-nitropirazol-1-ilo
2-etil-5-(2,2,2-trifluoroetil)fenilo	5-bromo-3-metilpirazol-1-ilo
2-etil-5-(pentafluoroetil)fenilo	5-bromo-3-cloropirazol-1-ilo
5-ciano-2-etilfenilo	3,5-dibromopirazol-1-ilo
2-etil-5-nitrofenilo	5-bromo-3-yodopirazol-1-ilo
3-cloropirazol-1-ilo	5-bromo-3-etilpirazol-1-ilo
3-bromopirazol-1-ilo	5-bromo-3-propilpirazol-1-ilo
3-(trifluorometil)pirazol-1-ilo	5-bromo-3-(trifluorometil)pirazol-1-ilo
3-(2,2,2-trifluoroetil)pirazol-1-ilo	5-bromo-3-(2,2,2-trifluoroetil)pirazol-1-ilo
3-(pentafluoroetil)pirazol-1-ilo	5-bromo-3-(pentafluoroetil)pirazol-1-ilo
3-cianopirazol-1-ilo	5-etil-3-metilpirazol-1-ilo
3-nitropirazol-1-ilo	3-cloro-5-etilpirazol-1-ilo
3,5-dimetilpirazol-1-ilo	3-bromo-5-etilpirazol-1-ilo
3-cloro-5-metilpirazol-1-ilo	5-etil-3-yodopirazol-1-ilo
3-bromo-5-metilpirazol-1-ilo	3,5-dietilpirazol-1-ilo
3-yodo-5-metilpirazol-1-ilo	5-etil-3-propilpirazol-1-ilo

551

R^1	R^1
3-etil-5-metilpirazol-1-ilo	5-etil-3-(trifluorometil)pirazol-1-ilo
5-metil-3-propilpirazol-1-ilo	5-etil-3-(2,2,2-trifluoroetil)pirazol-1-ilo
5-metil-3-(trifluorometil)pirazol-1-ilo	5-etil-3-(pentafluoroetil)pirazol-1-ilo
5-metil-3-(2,2,2-trifluoroetil)pirazol-1-ilo	3,5-dimetil-2-tienilo
5-metil-3-(pentafluoroetil)pirazol-1-ilo	3,5-dicloro-2-tienilo
3-ciano-5-metilpirazol-1-ilo	2,5-dimetil-3-tienilo
5-metil-3-nitropirazol-1-ilo	2,5-dicloro-3-tienilo
3,6-dimetil-2-piridilo	2,5-dicloro-4-piridilo
2,5-dimetil-3-piridilo	3,5-bis-(trifluorometil)pirazol-1-ilo
2,5-dimetil-4-piridilo	1-metil-3-(trifluorometil)pirazol-5-ilo
3,6-dicloro-2-piridilo	1-metil-4-(trifluorometil)imidazol-2-ilo
2,5-dicloro-3-piridilo	

TABLA 7A



R^1	R^1
2-metoxifenilo	2-bromo-5-clorofenilo
3-bromofenilo	2,5-dibromofenilo
3-yodofenilo	2-bromo-5-yodofenilo

552

R^1	R^1
3-(trifluorometil)fenilo	2-bromo-5-metilfenilo
3-(2,2,2-trifluoroetil)fenilo	2-bromo-5-etilfenilo
3-(pentafluoroetil)fenilo	2-bromo-5-propilfenilo
3-cianofenilo	2-bromo-5-(trifluorometil)fenilo
3-nitrofenilo	2-bromo-5-(2,2,2-trifluoroetil)fenilo
2,5-diclorofenilo	2-bromo-5-(pentafluoroetil)fenilo
5-bromo-2-clorofenilo	2-bromo-5-cianofenilo
2-cloro-5-yodofenilo	2-bromo-5-nitrofenilo
2-cloro-5-metilfenilo	5-cloro-2-metilfenilo
2-cloro-5-etilfenilo	5-bromo-2-metilfenilo
2-cloro-5-(trifluorometil)fenilo	5-yodo-2-metilfenilo
2-cloro-5-(2,2,2-trifluoroetil)fenilo	2,5-dimetilfenilo
2-cloro-5-(pentafluoroetil)fenilo	5-etil-2-metilfenilo
2-cloro-5-cianofenilo	2-metil-5-propilfenilo
2-cloro-5-nitrofenilo	5-isopropil-2-metilfenilo
2-metil-5-(trifluorometil)fenilo	3-nitropirazol-1-ilo
2-metil-5-(2,2,2-trifluoroetil)fenilo	3,5-dimetilpirazol-1-ilo
2-metil-5-(pentafluoroetil)fenilo	3-cloro-5-metilpirazol-1-ilo
5-ciano-2-metilfenilo	3-bromo-5-metilpirazol-1-ilo
2-metil-5-nitrofenilo	3-yodo-5-metilpirazol-1-ilo
5-cloro-2-metoxifenilo	3-etil-5-metilpirazol-1-ilo
5-bromo-2-metoxifenilo	5-metil-3-propilpirazol-1-ilo
5-yodo-2-metoxifenilo	5-metil-3-(trifluorometil)pirazol-1-ilo
2-metoxi-5-metilfenilo	5-metil-3-(2,2,2-trifluoroetil)pirazol-1-ilo

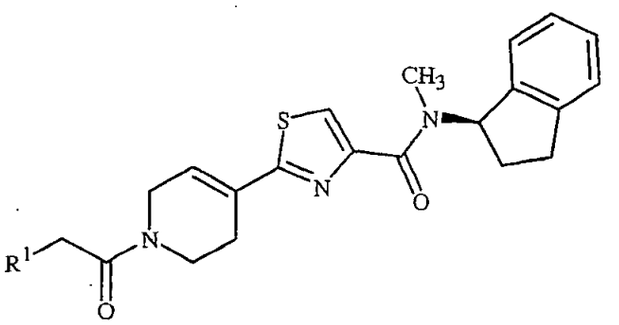
553

R^1	R^1
5-etil-2-metoxifenilo	5-metil-3-(pentafluoroetil)pirazol-1-ilo
2-metoxi-5-propilfenilo	3-ciano-5-metilpirazol-1-ilo
2-metoxi-5-(trifluorometil)fenilo	5-metil-3-nitropirazol-1-ilo
2-metoxi-5-(2,2,2-trifluoroetil)fenilo	5-cloro-3-metilpirazol-1-ilo
2-metoxi-5-(pentafluoroetil)fenilo	3,5-dicloropirazol-1-ilo
5-ciano-2-metoxifenilo	5-cloro-3-bromopirazol-1-ilo
2-metoxi-5-nitrofenilo	5-cloro-3-yodopirazol-1-ilo
5-cloro-2-etilfenilo	5-cloro-3-etilpirazol-1-ilo
5-bromo-2-etilfenilo	5-cloro-3-propilpirazol-1-ilo
2-etil-5-yodofenilo	5-cloro-3-(trifluorometil)pirazol-1-ilo
2-etil-5-metilfenilo	5-cloro-3-(2,2,2-trifluoroetil)pirazol-1-ilo
2,5-dietilfenilo	5-cloro-3-(pentafluoroetil)pirazol-1-ilo
2-etil-5-propilfenilo	5-cloro-3-cianopirazol-1-ilo
2-etil-5-(trifluorometil)fenilo	5-cloro-3-nitropirazol-1-ilo
2-etil-5-(2,2,2-trifluoroetil)fenilo	5-bromo-3-metilpirazol-1-ilo
2-etil-5-(pentafluoroetil)fenilo	5-bromo-3-cloropirazol-1-ilo
5-ciano-2-etilfenilo	3,5-dibromopirazol-1-ilo
2-etil-5-nitrofenilo	5-bromo-3-yodopirazol-1-ilo
3-cloropirazol-1-ilo	5-bromo-3-etilpirazol-1-ilo
3-bromopirazol-1-ilo	5-bromo-3-propilpirazol-1-ilo
3-(trifluorometil)pirazol-1-ilo	5-bromo-3-(trifluorometil)pirazol-1-ilo
3-(2,2,2-trifluoroetil)pirazol-1-ilo	5-bromo-3-(2,2,2-trifluoroetil)pirazol-1-ilo
3-(pentafluoroetil)pirazol-1-ilo	5-bromo-3-(pentafluoroetil)pirazol-1-ilo
3-cianopirazol-1-ilo	5-etil-3-metilpirazol-1-ilo

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R^1	R^1
3-cloro-5-etilpirazol-1-ilo	2,5-dicloro-3-tienilo
3-bromo-5-etilpirazol-1-ilo	3,6-dimetil-2-piridilo
5-etil-3-yodopirazol-1-ilo	2,5-dimetil-3-piridilo
3,5-dietilpirazol-1-ilo	2,5-dimetil-4-piridilo
5-etil-3-propilpirazol-1-ilo	3,6-dicloro-2-piridilo
5-etil-3-(trifluorometil)pirazol-1-ilo	2,5-dicloro-3-piridilo
5-etil-3-(2,2,2-trifluoroetil)pirazol-1-ilo	2,5-dicloro-4-piridilo
5-etil-3-(pentafluoroetil)pirazol-1-ilo	3,5-bis-(trifluorometil)pirazol-1-ilo
3,5-dimetil-2-tienilo	1-metil-3-(trifluorometil)pirazol-5-ilo
3,5-dicloro-2-tienilo	1-metil-4-(trifluorometil)imidazol-2-ilo
2,5-dimetil-3-tienilo	

TABLA 7B



R^1	R^1
2-metoxifenilo	2-cloro-5-etilfenilo
3-bromofenilo	2-cloro-5-(trifluorometil)fenilo
3-yodofenilo	2-cloro-5-(2,2,2-trifluoroetil)fenilo
3-(trifluorometil)fenilo	2-cloro-5-(pentafluoroetil)fenilo

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R^1	R^1
3-(2,2,2-trifluoroetil)fenilo	2-cloro-5-cianofenilo
3-(pentafluoroetil)fenilo	2-cloro-5-nitrofenilo
3-cianofenilo	2-bromo-5-clorofenilo
3-nitrofenilo	2,5-dibromofenilo
2,5-diclorofenilo	2-bromo-5-yodofenilo
5-bromo-2-clorofenilo	2-bromo-5-metilfenilo
2-cloro-5-yodofenilo	2-bromo-5-etilfenilo
2-cloro-5-metilfenilo	2-bromo-5-propilfenilo
2-bromo-5-(trifluorometil)fenilo	2-etil-5-propilfenilo
2-bromo-5-(2,2,2-trifluoroetil)fenilo	2-etil-5-(trifluorometil)fenilo
2-bromo-5-(pentafluoroetil)fenilo	2-etil-5-(2,2,2-trifluoroetil)fenilo
2-bromo-5-cianofenilo	2-etil-5-(pentafluoroetil)fenilo
2-bromo-5-nitrofenilo	5-ciano-2-etilfenilo
5-cloro-2-metilfenilo	2-etil-5-nitrofenilo
5-bromo-2-metilfenilo	3-cloropirazol-1-ilo
5-yodo-2-metilfenilo	3-bromopirazol-1-ilo
2,5-dimetilfenilo	3-(trifluorometil)pirazol-1-ilo
5-etil-2-metilfenilo	3-(2,2,2-trifluoroetil)pirazol-1-ilo
2-metil-5-propilfenilo	3-(pentafluoroetil)pirazol-1-ilo
5-isopropil-2-metilfenilo	3-cianopirazol-1-ilo
2-metil-5-(trifluorometil)fenilo	3-nitropirazol-1-ilo
2-metil-5-(2,2,2-trifluoroetil)fenilo	3,5-dimetilpirazol-1-ilo
2-metil-5-(pentafluoroetil)fenilo	3-cloro-5-metilpirazol-1-ilo
5-ciano-2-metilfenilo	3-bromo-5-metilpirazol-1-ilo

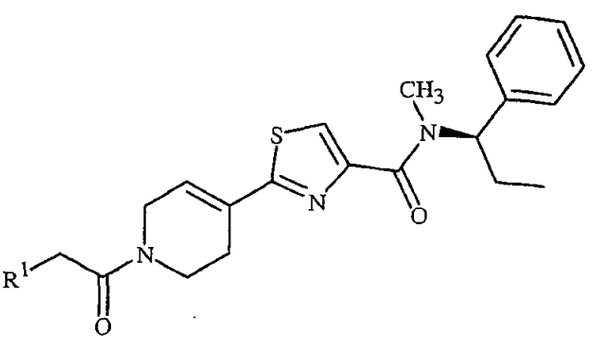
556

R^1	R^1
2-metil-5-nitrofenilo	3-yodo-5-metilpirazol-1-ilo
5-cloro-2-metoxifenilo	3-etil-5-metilpirazol-1-ilo
5-bromo-2-metoxifenilo	5-metil-3-propilpirazol-1-ilo
5-yodo-2-metoxifenilo	5-metil-3-(trifluorometil)pirazol-1-ilo
2-metoxi-5-metilfenilo	5-metil-3-(2,2,2-trifluoroetil)pirazol-1-ilo
5-etil-2-metoxifenilo	5-metil-3-(pentafluoroetil)pirazol-1-ilo
2-metoxi-5-propilfenilo	3-ciano-5-metilpirazol-1-ilo
2-metoxi-5-(trifluorometil)fenilo	5-metil-3-nitropirazol-1-ilo
2-metoxi-5-(2,2,2-trifluoroetil)fenilo	5-cloro-3-metilpirazol-1-ilo
2-metoxi-5-(pentafluoroetil)fenilo	3,5-dicloropirazol-1-ilo
5-ciano-2-metoxifenilo	5-cloro-3-bromopirazol-1-ilo
2-metoxi-5-nitrofenilo	5-cloro-3-yodopirazol-1-ilo
5-cloro-2-etilfenilo	5-cloro-3-etilpirazol-1-ilo
5-bromo-2-etilfenilo	5-cloro-3-propilpirazol-1-ilo
2-etil-5-yodofenilo	5-cloro-3-(trifluorometil)pirazol-1-ilo
2-etil-5-metilfenilo	5-cloro-3-(2,2,2-trifluoroetil)pirazol-1-ilo
2,5-dietilfenilo	5-cloro-3-(pentafluoroetil)pirazol-1-ilo
5-cloro-3-cianopirazol-1-ilo	5-etil-3-(trifluorometil)pirazol-1-ilo
5-cloro-3-nitropirazol-1-ilo	5-etil-3-(2,2,2-trifluoroetil)pirazol-1-ilo
5-bromo-3-metilpirazol-1-ilo	5-etil-3-(pentafluoroetil)pirazol-1-ilo
5-bromo-3-cloropirazol-1-ilo	3,5-dimetil-2-tienilo
3 ,5-dibromopirazol-1-ilo	3,5-dicloro-2-tienilo
5-bromo-3-yodopirazol-1-ilo	2,5-dimetil-3-tienilo
5-bromo-3-etilpirazol-1-ilo	2,5-dicloro-3-tienilo

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R^1	R^1
5-bromo-3-propilpirazol-1-ilo	3,6-dimetil-2-piridilo
5-bromo-3-(trifluorometil)pirazol-1-ilo	2,5-dimetil-3-piridilo
5-bromo-3-(2,2,2-trifluoroetil)pirazol-1-ilo	2,5-dimetil-4-piridilo
5-bromo-3-(pentafluoroetil)pirazol-1-ilo	3,6-dicloro-2-piridilo
5-etil-3-metilpirazol-1-ilo	2,5-dicloro-3-piridilo
3-cloro-5-etilpirazol-1-ilo	2,5-dicloro-4-piridilo
3-bromo-5-etilpirazol-1-ilo	3,5-bis-(trifluorometil)pirazol-1-ilo
5-etil-3-yodopirazol-1-ilo	1-metil-3-(trifluorometil)pirazol-5-ilo
3,5-dietilpirazol-1-ilo	1-metil-4-(trifluorometil)imidazol-2-ilo
5-etil-3-propilpirazol-1-ilo	

TABLA 7C



R^1	R^1
2-metoxifenilo	3-cianofenilo
3-bromofenilo	3-nitrofenilo
3-yodofenilo	2,5-diclorofenilo
3-(trifluorometil)fenilo	5-bromo-2-clorofenilo
3-(2,2,2-trifluoroetil)fenilo	2-cloro-5-yodofenilo

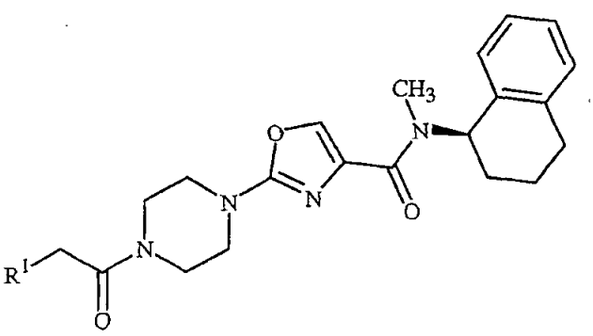
R^1	R^1
3-(pentafluoroetil)fenilo	2-cloro-5-metilfenilo
2-cloro-5-etilfenilo	5-etil-2-metoxifenilo
2-cloro-5-(trifluorometil)fenilo	2-metoxi-5-propilfenilo
2-cloro-5-(2,2,2-trifluoroetil)fenilo	2-metoxi-5-(trifluorometil)fenilo
2-cloro-5-(pentafluoroetil)fenilo	2-metoxi-5-(2,2,2-trifluoroetil)fenilo
2-cloro-5-cianofenilo	2-metoxi-5-(pentafluoroetil)fenilo
2-cloro-5-nitrofenilo	5-ciano-2-metoxifenilo
2-bromo-5-clorofenilo	2-metoxi-5-nitrofenilo
2,5-dibromofenilo	5-cloro-2-etilfenilo
2-bromo-5-yodofenilo	5-bromo-2-etilfenilo
2-bromo-5-metilfenilo	2-etil-5-yodofenilo
2-bromo-5-etilfenilo	2-etil-5-metilfenilo
2-bromo-5-propilfenilo	2,5-dietilfenilo
2-bromo-5-(trifluorometil)fenilo	2-etil-5-propilfenilo
2-bromo-5-(2,2,2-trifluoroetil)fenilo	2-etil-5-(trifluorometil)fenilo
2-bromo-5-(pentafluoroetil)fenilo	2-etil-5-(2,2,2-trifluoroetil)fenilo
2-bromo-5-cianofenilo	2-etil-5-(pentafluoroetil)fenilo
2-bromo-5-nitrofenilo	5-ciano-2-etilfenilo
5-cloro-2-metilfenilo	2-etil-5-nitrofenilo
5-bromo-2-metilfenilo	3-cloropirazol-1-ilo
5-yodo-2-metilfenilo	3-bromopirazol-1-ilo
2,5-dimetilfenilo	3-(trifluorometil)pirazol-1-ilo
5-etil-2-metilfenilo	3-(2,2,2-trifluoroetil)pirazol-1-ilo
2-metil-5-propilfenilo	3-(pentafluoroetil)pirazol-1-ilo

R^1	R^1
5-isopropil-2-metilfenilo	3-cianopirazol-1-ilo
2-metil-5-(trifluorometil)fenilo	3-nitropirazol-1-ilo
2-metil-5-(2,2,2-trifluoroetil)fenilo	3,5-dimetilpirazol-1-ilo
2-metil-5-(pentafluoroetil)fenilo	3-cloro-5-metilpirazol-1-ilo
5-ciano-2-metilfenilo	3-bromo-5-metilpirazol-1-ilo
2-metil-5-nitrofenilo	3-yodo-5-metilpirazol-1-ilo
5-cloro-2-metoxifenilo	3-etil-5-metilpirazol-1-ilo
5-bromo-2-metoxifenilo	5-metil-3-propilpirazol-1-ilo
5-yodo-2-metoxifenilo	5-metil-3-(trifluorometil)pirazol-1-ilo
2-metoxi-5-metilfenilo	5-metil-3-(2,2,2-trifluoroetil)pirazol-1-ilo
5-metil-3-(pentafluoroetil)pirazol-1-ilo	5-etil-3-metilpirazol-1-ilo
3-ciano-5-metilpirazol-1-ilo	3-cloro-5-etilpirazol-1-ilo
5-metil-3-nitropirazol-1-ilo	3-bromo-5-etilpirazol-1-ilo
5-cloro-3-metilpirazol-1-ilo	5-etil-3-yodopirazol-1-ilo
3,5-dicloropirazol-1-ilo	3,5-dietilpirazol-1-ilo
5-cloro-3-bromopirazol-1-ilo	5-etil-3-propilpirazol-1-ilo
5-cloro-3-yodopirazol-1-ilo	5-etil-3-(trifluorometil)pirazol-1-ilo
5-cloro-3-etilpirazol-1-ilo	5-etil-3-(2,2,2-trifluoroetil)pirazol-1-ilo
5-cloro-3-propilpirazol-1-ilo	5-etil-3-(pentafluoroetil)pirazol-1-ilo
5-cloro-3-(trifluorometil)pirazol-1-ilo	3,5-dimetil-2-tienilo
5-cloro-3-(2,2,2-trifluoroetil)pirazol-1-ilo	3,5-dicloro-2-tienilo
5-cloro-3-(pentafluoroetil)pirazol-1-ilo	2,5-dimetil-3-tienilo
5-cloro-3-cianopirazol-1-ilo	2,5-dicloro-3-tienilo
5-cloro-3-nitropirazol-1-ilo	3,6-dimetil-2-piridilo

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R^1	R^1
5-bromo-3-metilpirazol-1-ilo	2,5-dimetil-3-piridilo
5-bromo-3-cloropirazol-1-ilo	2,5-dimetil-4-piridilo
3,5-dibromopirazol-1-ilo	3,6-dicloro-2-piridilo
5-bromo-3-yodopirazol-1-ilo	2,5-dicloro-3-piridilo
5-bromo-3-etilpirazol-1-ilo	2,5-dicloro-4-piridilo
5-bromo-3-propilpirazol-1-ilo	3,5-bis-(trifluorometil)pirazol-1-ilo
5-bromo-3-(trifluorometil)pirazol-1-ilo	1-metil-3-(trifluorometil)pirazol-5-ilo
5-bromo-3-(2,2,2-trifluoroetil)pirazol-1-ilo	1-metil-4-(trifluorometil)imidazol-2-ilo
5-bromo-3-(pentafluoroetil)pirazol-1-ilo	

TABLA 8A



R^1	R^1
2-metoxifenilo	5-etil-2-metilfenilo
3-bromofenilo	2-metil-5-propilfenilo
3-yodofenilo	5-isopropil-2-metilfenilo
3-(trifluorometil)fenilo	2-metil-5-(trifluorometil)fenilo
3-(2,2,2-trifluoroetil)fenilo	2-metil-5-(2,2,2-trifluoroetil)fenilo
3-(pentafluoroetil)fenilo	2-metil-5-(pentafluoroetil)fenilo

R^1	R^1
3-cianofenilo	5-ciano-2-metilfenilo
3-nitrofenilo	2-metil-5-nitrofenilo
2,5-diclorofenilo	5-cloro-2-metoxifenilo
5-bromo-2-clorofenilo	5-bromo-2-metoxifenilo
2-cloro-5-yodofenilo	5-yodo-2-metoxifenilo
2-cloro-5-metilfenilo	2-metoxi-5-metilfenilo
2-cloro-5-etilfenilo	5-etil-2-metoxifenilo
2-cloro-5-(trifluorometil)fenilo	2-metoxi-5-propilfenilo
2-cloro-5-(2,2,2-trifluoroetil)fenilo	2-metoxi-5-(trifluorometil)fenilo
2-cloro-5-(pentafluoroetil)fenilo	2-metoxi-5-(2,2,2-trifluoroetil)fenilo
2-cloro-5-cianofenilo	2-metoxi-5-(pentafluoroetil)fenilo
2-cloro-5-nitrofenilo	5-ciano-2-metoxifenilo
2-bromo-5-clorofenilo	2-metoxi-5-nitrofenilo
2,5-dibromofenilo	5-cloro-2-etilfenilo
2-bromo-5-yodofenilo	5-bromo-2-etilfenilo
2-bromo-5-metilfenilo	2-etil-5-yodofenilo
2-bromo-5-etilfenilo	2-etil-5-metilfenilo
2-bromo-5-propilfenilo	2,5-dietilfenilo
2-bromo-5-(trifluorometil)fenilo	2-etil-5-propilfenilo
2-bromo-5-(2,2,2-trifluoroetil)fenilo	2-etil-5-(trifluorometil)fenilo
2-bromo-5-(pentafluoroetil)fenilo	2-etil-5-(2,2,2-trifluoroetil)fenilo
2-bromo-5-cianofenilo	2-etil-5-(pentafluoroetil)fenilo
2-bromo-5-nitrofenilo	5-ciano-2-etilfenilo
5-cloro-2-metilfenilo	2-etil-5-nitrofenilo

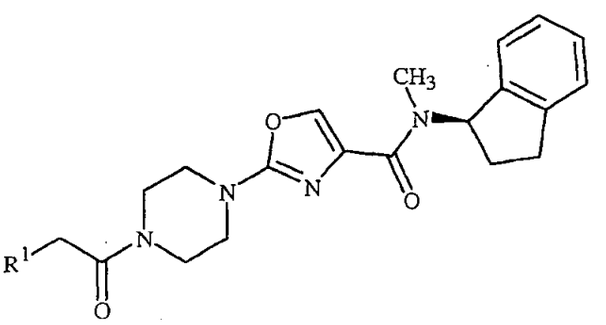
562

R^1	R^1
5-bromo-2-metilfenilo	3-cloropirazol-1-ilo
5-yodo-2-metilfenilo	3-bromopirazol
2,5-dimetilfenilo	3-(trifluorometil)pirazol-1-ilo
3-(2,2,2-trifluoroetil)pirazol-1-ilo	5-bromo-3-yodopirazol-1-ilo
3-(pentafluoroetil)pirazol-1-ilo	5-bromo-3-etilpirazol-1-ilo
3-cianopirazol-1-ilo	5-bromo-3-propilpirazol-1-ilo
3-nitropirazol-1-ilo	5-bromo-3-(trifluorometil)pirazol-1-ilo
3,5-dimetilpirazol-1-ilo	5-bromo-3-(2,2,2-trifluoroetil)pirazol-1-ilo
3-cloro-5-metilpirazol-1-ilo	5-bromo-3-(pentafluoroetil)pirazol-1-ilo
3-bromo-5-metilpirazol-1-ilo	5-etil-3-metilpirazol-1-ilo
3-yodo-5-metilpirazol-1-ilo	3-cloro-5-etilpirazol-1-ilo
3-etil-5-metilpirazol-1-ilo	3-bromo-5-etilpirazol-1-ilo
5-metil-3-propilpirazol-1-ilo	5-etil-3-yodopirazol-1-ilo
5-metil-3-(trifluorometil)pirazol-1-ilo	3,5-dietilpirazol-1-ilo
5-metil-3-(2,2,2-trifluoroetil)pirazol-1-ilo	5-etil-3-propilpirazol-1-ilo
5-metil-3-(pentafluoroetil)pirazol-1-ilo	5-etil-3-(trifluorometil)pirazol-1-ilo
3-ciano-5-metilpirazol-1-ilo	5-etil-3-(2,2,2-trifluoroetil)pirazol-1-ilo
5-metil-3-nitropirazol-1-ilo	5-etil-3-(pentafluoroetil)pirazol-1-ilo
5-cloro-3-metilpirazol-1-ilo	3,5-dimetil-2-tienilo
3,5-dicloropirazol-1-ilo	3,5-dicloro-2-tienilo
5-cloro-3-bromopirazol-1-ilo	2,5-dimetil-3-tienilo
5-cloro-3-yodopirazol-1-ilo	2,5-dicloro-3-tienilo
5-cloro-3-etilpirazol-1-ilo	3,6-dimetil-2-piridilo
5-cloro-3-propilpirazol-1-ilo	2,5-dimetil-3-piridilo

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R^1	R^1
5-cloro-3-(trifluorometil)pirazol-1-ilo	2,5-dimetil-4-piridilo
5-cloro-3-(2,2,2-trifluoroetil)pirazol-1-ilo	3,6-dicloro-2-piridilo
5-cloro-3-(pentafluoroetil)pirazol-1-ilo	2,5-dicloro-3-piridilo
5-cloro-3-cianopirazol-1-ilo	2,5-dicloro-4-piridilo
5-cloro-3-nitropirazol-1-ilo	3,5-bis-(trifluorometil)pirazol-1-ilo
5-bromo-3-metilpirazol-1-ilo	1-metil-3-(trifluorometil)pirazol-5-ilo
5-bromo-3-cloropirazol-1-ilo	1-metil-4-(trifluorometil)imidazol-2-ilo
3,5-dibromopirazol-1-ilo	

TABLA 8B



R^1	R^1
2-metoxifenilo	2-bromo-5-(trifluorometil)fenilo
3-bromofenilo	2-bromo-5-(2,2,2-trifluoroetil)fenilo
3-yodofenilo	2-bromo-5-(pentafluoroetil)fenilo
3-(trifluorometil)fenilo	2-bromo-5-cianofenilo
3-(2,2,2-trifluoroetil)fenilo	2-bromo-5-nitrofenilo
3-(pentafluoroetil)fenilo	5-cloro-2-metilfenilo
3-cianofenilo	5-bromo-2-metilfenilo

R^1	R^1
3-nitrofenilo	5-yodo-2-metilfenilo
2,5-diclorofenilo	2,5-dimetilfenilo
5-bromo-2-clorofenilo	5-etil-2-metilfenilo
2-cloro-5-yodofenilo	2-metil-5-propilfenilo
2-cloro-5-metilfenilo	5-isopropil-2-metilfenilo
2-cloro-5-etilfenilo	2-metil-5-(trifluorometil)fenilo
2-cloro-5-(trifluorometil)fenilo	2-metil-5-(2,2,2-trifluoroetil)fenilo
2-cloro-5-(2,2,2-trifluoroetil)fenilo	2-metil-5-(pentafluoroetil)fenilo
2-cloro-5-(pentafluoroetil)fenilo	5-ciano-2-metilfenilo
2-cloro-5-cianofenilo	2-metil-5-nitrofenilo
2-cloro-5-nitrofenilo	5-cloro-2-metoxifenilo
2-bromo-5-clorofenilo	5-bromo-2-metoxifenilo
2,5-dibromofenilo	5-yodo-2-metoxifenilo
2-bromo-5-yodofenilo	2-metoxi-5-metilfenilo
2-bromo-5-metilfenilo	5-etil-2-metoxifenilo
2-bromo-5-etilfenilo	2-metoxi-5-propilfenilo
2-bromo-5-propilfenilo	2-metoxi-5-(trifluorometil)fenilo
2-metoxi-5-(2,2,2-trifluoroetil)fenilo	5-cloro-3-metilpirazol-1-ilo
2-metoxi-5-(pentafluoroetil)fenilo	3,5-dicloropirazol-1-ilo
5-ciano-2-metoxifenilo	5-cloro-3-bromopirazol-1-ilo
2-metoxi-5-nitrofenilo	5-cloro-3-yodopirazol-1-ilo
5-cloro-2-etilfenilo	5-cloro-3-etilpirazol-1-ilo
5-bromo-2-etilfenilo	5-cloro-3-propilpirazol-1-ilo
2-etil-5-yodofenilo	5-cloro-3-(trifluorometil)pirazol-1-ilo

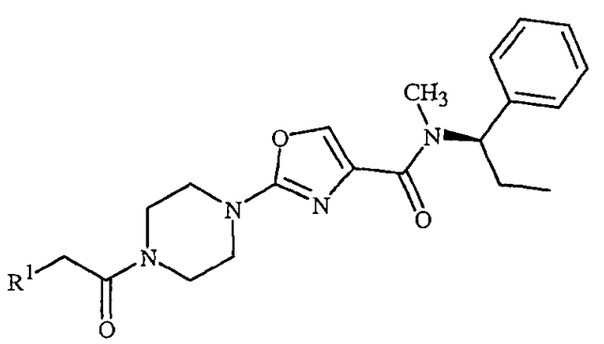
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R^1	R^1
2-etil-5-metilfenilo	5-cloro-3-(2,2,2-trifluoroetil)pirazol-1-ilo
2,5-dietilfenilo	5-cloro-3-(pentafluoroetil)pirazol-1-ilo
2-etil-5-propilfenilo	5-cloro-3-cianopirazol-1-ilo
2-etil-5-(trifluorometil)fenilo	5-cloro-3-nitropirazol-1-ilo
2-etil-5-(2,2,2-trifluoroetil)fenilo	5-bromo-3-metilpirazol-1-ilo
2-etil-5-(pentafluoroetil)fenilo	5-bromo-3-cloropirazol-1-ilo
5-ciano-2-etilfenilo	3,5-dibromopirazol-1-ilo
2-etil-5-nitrofenilo	5-bromo-3-yodopirazol-1-ilo
3-cloropirazol-1-ilo	5-bromo-3-etilpirazol-1-ilo
3-bromopirazol-1-ilo	5-bromo-3-propilpirazol-1-ilo
3-(trifluorometil)pirazol-1-ilo	5-bromo-3-(trifluorometil)pirazol-1-ilo
3-(2,2,2-trifluoroetil)pirazol-1-ilo	5-bromo-3-(2,2,2-trifluoroetil)pirazol-1-ilo
3-(pentafluoroetil)pirazol-1-ilo	5-bromo-3-(pentafluoroetil)pirazol-1-ilo
3-cianopirazol-1-ilo	5-etil-3-metilpirazol-1-ilo
3-nitropirazol-1-ilo	3-cloro-5-etilpirazol-1-ilo
3,5-dimetilpirazol-1-ilo	3-bromo-5-etilpirazol-1-ilo
3-cloro-5-metilpirazol-1-ilo	5-etil-3-yodopirazol-1-ilo
3-bromo-5-metilpirazol-1-ilo	3,5-dietilpirazol-1-ilo
3-yodo-5-metilpirazol-1-ilo	5-etil-3-propilpirazol-1-ilo
3-etil-5-metilpirazol-1-ilo	5-etil-3-(trifluorometil)pirazol-1-ilo
5-metil-3-propilpirazol-1-ilo	5-etil-3-(2,2,2-trifluoroetil)pirazol-1-ilo
5-metil-3-(trifluorometil)pirazol-1-ilo	5-etil-3-(pentafluoroetil)pirazol-1-ilo
5-metil-3-(2,2,2-trifluoroetil)pirazol-1-ilo	3,5-dimetil-2-tienilo
5-metil-3-(pentafluoroetil)pirazol-1-ilo	3,5-dicloro-2-tienilo

566

R^1	R^1
3-ciano-5-metilpirazol-1-ilo	2,5-dimetil-3-tienilo
5-metil-3-nitropirazol-1-ilo	2,5-dicloro-3-tienilo
3,6-dimetil-2-piridilo	2,5-dicloro-4-piridilo
2,5-dimetil-3-piridilo	3,5-bis-(trifluorometil)pirazol-1-ilo
2,5-dimetil-4-piridilo	1-metil-3-(trifluorometil)pirazol-5-ilo
3,6-dicloro-2-piridilo	1-metil-4-(trifluorometil)imidazol-2-ilo
2,5-dicloro-3-piridilo	

TABLA 8C



R^1	R^1
2-metoxifenilo	2-bromo-5-clorofenilo
3-bromofenilo	2,5-dibromofenilo
3-yodofenilo	2-bromo-5-yodofenilo
3-(trifluorometil)fenilo	2-bromo-5-metilfenilo
3-(2,2,2-trifluoroetil)fenilo	2-bromo-5-etilfenilo
3-(pentafluoroetil)fenilo	2-bromo-5-propilfenilo
3-cianofenilo	2-bromo-5-(trifluorometil)fenilo
3-nitrofenilo	2-bromo-5-(2,2,2-trifluoroetil)fenilo

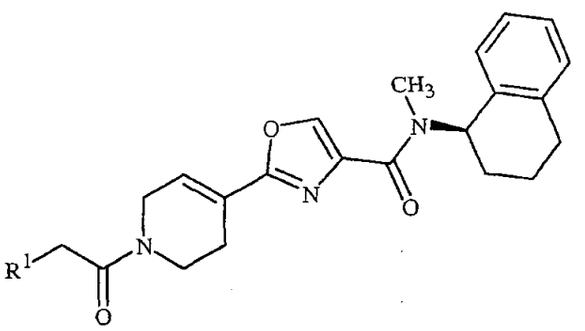
R^1	R^1
2,5-diclorofenilo	2-bromo-5-(pentafluoroetil)fenilo
5-bromo-2-clorofenilo	2-bromo-5-cianofenilo
2-cloro-5-yodofenilo	2-bromo-5-nitrofenilo
2-cloro-5-metilfenilo	5-cloro-2-metilfenilo
2-cloro-5-etilfenilo	5-bromo-2-metilfenilo
2-cloro-5-(trifluorometil)fenilo	5-yodo-2-metilfenilo
2-cloro-5-(2,2,2-trifluoroetil)fenilo	2,5-dimetilfenilo
2-cloro-5-(pentafluoroetil)fenilo	5-etil-2-metilfenilo
2-cloro-5-cianofenilo	2-metil-5-propilfenilo
2-cloro-5-nitrofenilo	5-isopropil-2-metilfenilo
2-metil-5-(trifluorometil)fenilo	3-nitropirazol-1-ilo
2-metil-5-(2,2,2-trifluoroetil)fenilo	3,5-dimetilpirazol-1-ilo
2-metil-5-(pentafluoroetil)fenilo	3-cloro-5-metilpirazol-1-ilo
5-ciano-2-metilfenilo	3-bromo-5-metilpirazol-1-ilo
2-metil-5-nitrofenilo	3-yodo-5-metilpirazol-1-ilo
5-cloro-2-metoxifenilo	3-etil-5-metilpirazol-1-ilo
5-bromo-2-metoxifenilo	5-metil-3-propilpirazol-1-ilo
5-yodo-2-metoxifenilo	5-metil-3-(trifluorometil)pirazol-1-ilo
2-metoxi-5-metilfenilo	5-metil-3-(2,2,2-trifluoroetil)pirazol-1-ilo
5-etil-2-metoxifenilo	5-metil-3-(pentafluoroetil)pirazol-1-ilo
2-metoxi-5-propilfenilo	3-ciano-5-metilpirazol-1-ilo
2-metoxi-5-(trifluorometil)fenilo	5-metil-3-nitropirazol-1-ilo
2-metoxi-5-(2,2,2-trifluoroetil)fenilo	5-cloro-3-metilpirazol-1-ilo
2-metoxi-5-(pentafluoroetil)fenilo	3,5-dicloropirazol-1-ilo

R^1	R^1
5-ciano-2-metoxifenilo	5-cloro-3-bromopirazol-1-ilo
2-metoxi-5-nitrofenilo	5-cloro-3-yodopirazol-1-ilo
5-cloro-2-etilfenilo	5-cloro-3-etilpirazol-1-ilo
5-bromo-2-etilfenilo	5-cloro-3-propilpirazol-1-ilo
2-etil-5-yodofenilo	5-cloro-3-(trifluorometil)pirazol-1-ilo
2-etil-5-metilfenilo	5-cloro-3-(2,2,2-trifluoroetil)pirazol-1-ilo
2,5-dietilfenilo	5-cloro-3-(pentafluoroetil)pirazol-1-ilo
2-etil-5-propilfenilo	5-cloro-3-cianopirazol-1-ilo
2-etil-5-(trifluorometil)fenilo	5-cloro-3-nitropirazol-1-ilo
2-etil-5-(2,2,2-trifluoroetil)fenilo	5-bromo-3-metilpirazol-1-ilo
2-etil-5-(pentafluoroetil)fenilo	5-bromo-3-cloropirazol-1-ilo
5-ciano-2-etilfenilo	3,5-dibromopirazol-1-ilo
2-etil-5-nitrofenilo	5-bromo-3-yodopirazol-1-ilo
3-cloropirazol-1-ilo	5-bromo-3-etilpirazol-1-ilo
3-bromopirazol-1-ilo	5-bromo-3-propilpirazol-1-ilo
3-(trifluorometil)pirazol-1-ilo	5-bromo-3-(trifluorometil)pirazol-1-ilo
3-(2,2,2-trifluoroetil)pirazol-1-ilo	5-bromo-3-(2,2,2-trifluoroetil)pirazol-1-ilo
3-(pentafluoroetil)pirazol-1-ilo	5-bromo-3-(pentafluoroetil)pirazol-1-ilo
3-cianopirazol-1-ilo	5-etil-3-metilpirazol-1-ilo
3-cloro-5-etilpirazol-1-ilo	2,5-dicloro-3-tienilo
3-bromo-5-etilpirazol-1-ilo	3,6-dimetil-2-piridilo
5-etil-3-yodopirazol-1-ilo	2,5-dimetil-3-piridilo
3,5-dietilpirazol-1-ilo	2,5-dimetil-4-piridilo
5-etil-3-propilpirazol-1-ilo	3,6-dicloro-2-piridilo

569

R^1	R^1
5-etil-3-(trifluorometil)pirazol-1-ilo	2,5-dicloro-3-piridilo
5-etil-3-(2,2,2-trifluoroetil)pirazol-1-ilo	2,5-dicloro-4-piridilo
5-etil-3-(pentafluoroetil)pirazol-1-ilo	3,5-bis-(trifluorometil)pirazol-1-ilo
3,5-dimetil-2-tienilo	1-metil-3-(trifluorometil)pirazol-5-ilo
3,5-dicloro-2-tienilo	1-metil-4-(trifluorometil)imidazol-2-ilo
2,5-dimetil-3-tienilo	

TABLA 9A



R^1	R^1
2-metoxifenilo	2-cloro-5-etilfenilo
3-bromofenilo	2-cloro-5-(trifluorometil)fenilo
3-yodofenilo	2-cloro-5-(2,2,2-trifluoroetil)fenilo
3-(trifluorometil)fenilo	2-cloro-5-(pentafluoroetil)fenilo
3-(2,2,2-trifluoroetil)fenilo	2-cloro-5-cianofenilo
3-(pentafluoroetil)fenilo	2-cloro-5-nitrofenilo
3-cianofenilo	2-bromo-5-clorofenilo
3-nitrofenilo	2,5-dibromofenilo
2,5-diclorofenilo	2-bromo-5-yodofenilo

570

R^1	R^1
5-bromo-2-clorofenilo	2-bromo-5-metilfenilo
2-cloro-5-yodofenilo	2-bromo-5-etilfenilo
2-cloro-5-metilfenilo	2-bromo-5-propilfenilo
2-bromo-5-(trifluorometil)fenilo	2-etil-5-propilfenilo
2-bromo-5-(2,2,2-trifluoroetil)fenilo	2-etil-5-(trifluorometil)fenilo
2-bromo-5-(pentafluoroetil)fenilo	2-etil-5-(2,2,2-trifluoroetil)fenilo
2-bromo-5-cianofenilo	2-etil-5-(pentafluoroetil)fenilo
2-bromo-5-nitrofenilo	5-ciano-2-etilfenilo
5-cloro-2-metilfenilo	2-etil-5-nitrofenilo
5-bromo-2-metilfenilo	3-cloropirazol-1-ilo
5-yodo-2-metilfenilo	3-bromopirazol-1-ilo
2,5-dimetilfenilo	3-(trifluorometil)pirazol-1-ilo
5-etil-2-metilfenilo	3-(2,2,2-trifluoroetil)pirazol-1-ilo
2-metil-5-propilfenilo	3-(pentafluoroetil)pirazol-1-ilo
5-isopropil-2-metilfenilo	3-cianopirazol-1-ilo
2-metil-5-(trifluorometil)fenilo	3-nitropirazol-1-ilo
2-metil-5-(2,2,2-trifluoroetil)fenilo	3,5-dimetilpirazol-1-ilo
2-metil-5-(pentafluoroetil)fenilo	3-cloro-5-metilpirazol-1-ilo
5-ciano-2-metilfenilo	3-bromo-5-metilpirazol-1-ilo
2-metil-5-nitrofenilo	3-yodo-5-metilpirazol-1-ilo
5-cloro-2-metoxifenilo	3-etil-5-metilpirazol-1-ilo
5-bromo-2-metoxifenilo	5-metil-3-propilpirazol-1-ilo
5-yodo-2-metoxifenilo	5-metil-3-(trifluorometil)pirazol-1-ilo
2-metoxi-5-metilfenilo	5-metil-3-(2,2,2-trifluoroetil)pirazol-1-ilo

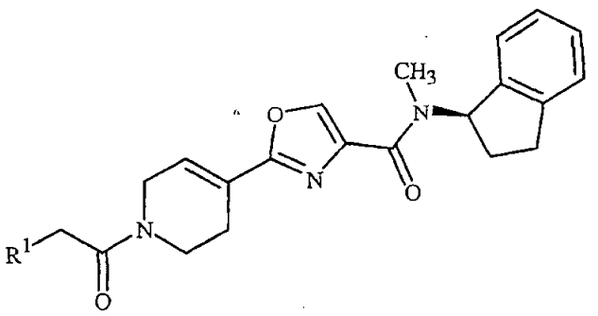
521

R^1	R^1
5-etil-2-metoxifenilo	5-metil-3-(pentafluoroetil)pirazol-1-ilo
2-metoxi-5-propilfenilo	3-ciano-5-metilpirazol-1-ilo
2-metoxi-5-(trifluorometil)fenilo	5-metil-3-nitropirazol-1-ilo
2-metoxi-5-(2,2,2-trifluoroetil)fenilo	5-cloro-3-metilpirazol-1-ilo
2-metoxi-5-(pentafluoroetil)fenilo	3,5-dicloropirazol-1-ilo
5-ciano-2-metoxifenilo	5-cloro-3-bromopirazol-1-ilo
2-metoxi-5-nitrofenilo	5-cloro-3-yodopirazol-1-ilo
5-cloro-2-etilfenilo	5-cloro-3-etilpirazol-1-ilo
5-bromo-2-etilfenilo	5-cloro-3-propilpirazol-1-ilo
2-etil-5-yodofenilo	5-cloro-3-(trifluorometil)pirazol-1-ilo
2-etil-5-metilfenilo	5-cloro-3-(2,2,2-trifluoroetil)pirazol-1-ilo
2,5-dietilfenilo	5-cloro-3-(pentafluoroetil)pirazol-1-ilo
5-cloro-3-cianopirazol-1-ilo	5-etil-3-(trifluorometil)pirazol-1-ilo
5-cloro-3-nitropirazol-1-ilo	5-etil-3-(2,2,2-trifluoroetil)pirazol-1-ilo
5-bromo-3-metilpirazol-1-ilo	5-etil-3-(pentafluoroetil)pirazol-1-ilo
5-bromo-3-cloropirazol-1-ilo	3,5-dimetil-2-tienilo
3,5-dibromopirazol-1-ilo	3,5-dicloro-2-tienilo
5-bromo-3-yodopirazol-1-ilo	2,5-dimetil-3-tienilo
5-bromo-3-etilpirazol-1-ilo	2,5-dicloro-3-tienilo
5-bromo-3-propilpirazol-1-ilo	3,6-dimetil-2-piridilo
5-bromo-3-(trifluorometil)pirazol-1-ilo	2,5-dimetil-3-piridilo
5-bromo-3-(2,2,2-trifluoroetil)pirazol-1-ilo	2,5-dimetil-4-piridilo
5-bromo-3-(pentafluoroetil)pirazol-1-ilo	3,6-dicloro-2-piridilo
5-etil-3-metilpirazol-1-ilo	2,5-dicloro-3-piridilo

572

R^1	R^1
3-cloro-5-etilpirazol-1-ilo	2,5-dicloro-4-piridilo
3-bromo-5-etilpirazol-1-ilo	3,5-bis-(trifluorometil)pirazol-1-ilo
5-etil-3-yodopirazol-1-ilo	1-metil-3-(trifluorometil)pirazol-5-ilo
3,5-dietilpirazol-1-ilo	1-metil-4-(trifluorometil)imidazol-2-ilo
5-etil-3-propilpirazol-1-ilo	

TABLA 9B



R^1	R^1
2-metoxifenilo	3-cianofenilo
3-bromofenilo	3-nitrofenilo
3-yodofenilo	2,5-diclorofenilo
3-(trifluorometil)fenilo	5-bromo-2-clorofenilo
3-(2,2,2-trifluoroetil)fenilo	2-cloro-5-yodofenilo
3-(pentafluoroetil)fenilo	2-cloro-5-metilfenilo
2-cloro-5-etilfenilo	5-etil-2-metoxifenilo
2-cloro-5-(trifluorometil)fenilo	2-metoxi-5-propilfenilo
2-cloro-5-(2,2,2-trifluoroetil)fenilo	2-metoxi-5-(trifluorometil)fenilo
2-cloro-5-(pentafluoroetil)fenilo	2-metoxi-5-(2,2,2-trifluoroetil)fenilo

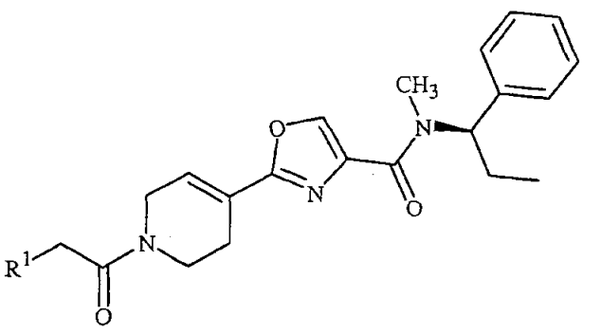
573

R^1	R^1
2-cloro-5-cianofenilo	2-metoxi-5-(pentafluoroetil)fenilo
2-cloro-5-nitrofenilo	5-ciano-2-metoxifenilo
2-bromo-5-clorofenilo	2-metoxi-5-nitrofenilo
2,5-dibromofenilo	5-cloro-2-etilfenilo
2-bromo-5-yodofenilo	5-bromo-2-etilfenilo
2-bromo-5-metilfenilo	2-etil-5-yodofenilo
2-bromo-5-etilfenilo	2-etil-5-metilfenilo
2-bromo-5-propilfenilo	2,5-dietilfenilo
2-bromo-5-(trifluorometil)fenilo	2-etil-5-propilfenilo
2-bromo-5-(2,2,2-trifluoroetil)fenilo	2-etil-5-(trifluorometi)fenilo
2-bromo-5-(pentafluoroetil)fenilo	2-etil-5-(2,2,2-trifluoroetil)fenilo
2-bromo-5-cianofenilo	2-etil-5-(pentafluoroetil)fenilo
2-bromo-5-nitrofenilo	5-ciano-2-etilfenilo
5-cloro-2-metilfenilo	2-etil-5-nitrofenilo
5-bromo-2-metilfenilo	3-cloropirazol-1-ilo
5-yodo-2-metilfenilo	3-bromopirazol-1-ilo
2,5-dimetilfenilo	3-(trifluorometil)pirazol-1-ilo
5-etil-2-metilfenilo	3-(2,2,2-trifluoroetil)pirazol-1-ilo
2-metil-5-propilfenilo	3-(pentafluoroetil)pirazol-1-ilo
5-isopropil-2-metilfenilo	3-cianopirazol-1-ilo
2-metil-5-(trifluorometil)fenilo	3-nitropirazol-1-ilo
2-metil-5-(2,2,2-trifluoroetil)fenilo	3,5-dimetilpirazol-1-ilo
2-metil-5-(pentafluoroetil)fenilo	3-cloro-5-metilpirazol-1-ilo
5-ciano-2-metilfenilo	3-bromo-5-metilpirazol-1-ilo

R^1	R^1
2-metil-5-nitrofenilo	3-yodo-5-metilpirazol-1-ilo
5-cloro-2-metoxifenilo	3-etil-5-metilpirazol-1-ilo
5-bromo-2-metoxifenilo	5-metil-3-propilpirazol-1-ilo
5-yodo-2-metoxifenilo	5-metil-3-(trifluorometil)pirazol-1-ilo
2-metoxi-5-metilfenilo	5-metil-3-(2,2,2-trifluoroetil)pirazol-1-ilo
5-metil-3-(pentafluoroetil)pirazol-1-ilo	5-etil-3-metilpirazol-1-ilo
3-ciano-5-metilpirazol-1-ilo	3-cloro-5-etilpirazol-1-ilo
5-metil-3-nitropirazol-1-ilo	3-bromo-5-etilpirazol-1-ilo
5-cloro-3-metilpirazol-1-ilo	5-etil-3-yodopirazol-1-ilo
3,5-dicloropirazol-1-ilo	3,5-dietilpirazol-1-ilo
5-cloro-3-bromopirazol-1-ilo	5-etil-3-propilpirazol-1-ilo
5-cloro-3-yodopirazol-1-ilo	5-etil-3-(trifluorometil)pirazol-1-ilo
5-cloro-3-etilpirazol-1-ilo	5-etil-3-(2,2,2-trifluoroetil)pirazol-1-ilo
5-cloro-3-propilpirazol-1-ilo	5-etil-3-(pentafluoroetil)pirazol-1-ilo
5-cloro-3-(trifluorometil)pirazol-1-ilo	3,5-dimetil-2-tienilo
5-cloro-3-(2,2,2-trifluoroetil)pirazol-1-ilo	3,5-dicloro-2-tienilo
5-cloro-3-(pentafluoroetil)pirazol-1-ilo	2,5-dimetil-3-tienilo
5-cloro-3-cianopirazol-1-ilo	2,5-dicloro-3-tienilo
5-cloro-3-nitropirazol-1-ilo	3,6-dimetil-2-piridilo
5-bromo-3-metilpirazol-1-ilo	2,5-dimetil-3-piridilo
5-bromo-3-cloropirazol-1-ilo	2,5-dimetil-4-piridilo
3,5-dibromopirazol-1-ilo	3,6-dicloro-2-piridilo
5-bromo-3-yodopirazol-1-ilo	2,5-dicloro-3-piridilo
5-bromo-3-etilpirazol-1-ilo	2,5-dicloro-4-piridilo

R^1	R^1
5-bromo-3-propilpirazol-1-ilo	3,5-bis-(trifluorometil)pirazol-1-ilo
5-bromo-3-(trifluorometil)pirazol-1-ilo	1-metil-3-(trifluorometil)pirazol-5-ilo
5-bromo-3-(2,2,2-trifluoroetil)pirazol-1-ilo	1-metil-4-(trifluorometil)imidazol-2-ilo
5-bromo-3-(pentafluoroetil)pirazol-1-ilo	

TABLA 9C



R^1	R^1
2-metoxifenilo	5-etil-2-metilfenilo
3-bromofenilo	2-metil-5-propilfenilo
3-yodofenilo	5-isopropil-2-metilfenilo
3-(trifluorometil)fenilo	2-metil-5-(trifluorometil)fenilo
3-(2,2,2-trifluoroetil)fenilo	2-metil-5-(2,2,2-trifluoroetil)fenilo
3-(pentafluoroetil)fenilo	2-metil-5-(pentafluoroetil)fenilo
3-cianofenilo	5-ciano-2-metilfenilo
3-nitrofenilo	2-metil-5-nitrofenilo
2,5-diclorofenilo	5-cloro-2-metoxifenilo
5-bromo-2-clorofenilo	5-bromo-2-metoxifenilo
2-cloro-5-yodofenilo	5-yodo-2-metoxifenilo

576

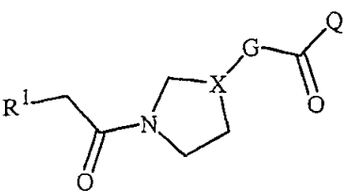
R^1	R^1
2-cloro-5-metilfenilo	2-metoxi-5-metilfenilo
2-cloro-5-etilfenilo	5-etil-2-metoxifenilo
2-cloro-5-(trifluorometil)fenilo	2-metoxi-5-propilfenilo
2-cloro-5-(2,2,2-trifluoroetil)fenilo	2-metoxi-5-(trifluorometil)fenilo
2-cloro-5-(pentafluoroetil)fenilo	2-metoxi-5-(2,2,2-trifluoroetil)fenilo
2-cloro-5-cianofenilo	2-metoxi-5-(pentafluoroetil)fenilo
2-cloro-5-nitrofenilo	5-ciano-2-metoxifenilo
2-bromo-5-clorofenilo	2-metoxi-5-nitrofenilo
2,5-dibromofenilo	5-cloro-2-etilfenilo
2-bromo-5-yodofenilo	5-bromo-2-etilfenilo
2-bromo-5-metilfenilo	2-etil-5-yodofenilo
2-bromo-5-etilfenilo	2-etil-5-metilfenilo
2-bromo-5-propilfenilo	2,5-dietilfenilo
2-bromo-5-(trifluorometil)fenilo	2-etil-5-propilfenilo
2-bromo-5-(2,2,2-trifluoroetil)fenilo	2-etil-5-(trifluorometil)fenilo
2-bromo-5-(pentafluoroetil)fenilo	2-etil-5-(2,2,2-trifluoroetil)fenilo
2-bromo-5-cianofenilo	2-etil-5-(pentafluoroetil)fenilo
2-bromo-5-nitrofenilo	5-ciano-2-etilfenilo
5-cloro-2-metilfenilo	2-etil-5-nitrofenilo
5-bromo-2-metilfenilo	3-cloropirazol-1-ilo
5-yodo-2-metilfenilo	3-bromopirazol-1-ilo
2,5-dimetilfenilo	3-(trifluorometil)pirazol-1-ilo
3-(2,2,2-trifluoroetil)pirazol-1-ilo	5-bromo-3-yodopirazol-1-ilo
3-(pentafluoroetil)pirazol-1-ilo	5-bromo-3-etilpirazol-1-ilo

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R^1	R^1
3-cianopirazol-1-ilo	5-bromo-3-propilpirazol-1-ilo
3-nitropirazol-1-ilo	5-bromo-3-(trifluorometil)pirazol-1-ilo
3,5-dimetilpirazol-1-ilo	5-bromo-3-(2,2,2-trifluoroetil)pirazol-1-ilo
3-cloro-5-metilpirazol-1-ilo	5-bromo-3-(pentafluoroetil)pirazol-1-ilo
3-bromo-5-metilpirazol-1-ilo	5-etil-3-metilpirazol-1-ilo
3-yodo-5-metilpirazol-1-ilo	3-cloro-5-etilpirazol-1-ilo
3-etil-5-metilpirazol-1-ilo	3-bromo-5-etilpirazol-1-ilo
5-metil-3-propilpirazol-1-ilo	5-etil-3-yodopirazol-1-ilo
5-metil-3-(trifluorometil)pirazol-1-ilo	3,5-dietilpirazol-1-ilo
5-metil-3-(2,2,2-trifluoroetil)pirazol-1-ilo	5-etil-3-propilpirazol-1-ilo
5-metil-3-(pentafluoroetil)pirazol-1-ilo	5-etil-3-(trifluorometil)pirazol-1-ilo
3-ciano-5-metilpirazol-1-ilo	5-etil-3-(2,2,2-trifluoroetil)pirazol-1-ilo
5-metil-3-nitropirazol-1-ilo	5-etil-3-(pentafluoroetil)pirazol-1-ilo
5-cloro-3-metilpirazol-1-ilo	3,5-dimetil-2-tienilo
3,5-dicloropirazol-1-ilo	3,5-dicloro-2-tienilo
5-cloro-3-bromopirazol-1-ilo	2,5-dimetil-3-tienilo
5-cloro-3-yodopirazol-1-ilo	2,5-dicloro-3-tienilo
5-cloro-3-etilpirazol-1-ilo	3,6-dimetil-2-piridilo
5-cloro-3-propilpirazol-1-ilo	2,5-dimetil-3-piridilo
5-cloro-3-(trifluorometil)pirazol-1-ilo	2,5-dimetil-4-piridilo
5-cloro-3-(2,2,2-trifluoroetil)pirazol-1-ilo	3,6-dicloro-2-piridilo
5-cloro-3-(pentafluoroetil)pirazol-1-ilo	2,5-dicloro-3-piridilo
5-cloro-3-cianopirazol-1-ilo	2,5-dicloro-4-piridilo
5-cloro-3-nitropirazol-1-ilo	3,5-bis-(trifluorometil)pirazol-1-ilo

R^1	R^1
5-bromo-3-metilpirazol-1-ilo	1-metil-3-(trifluorometil)pirazol-5-ilo
5-bromo-3-cloropirazol-1-ilo	1-metil-4-(trifluorometil)imidazol-2-ilo
3,5-dibromopirazol-1-ilo	

TABLA 10



R^1	X*	G**	Q
2,5-diclorofenilo	X^1	G-26	(1*R*)-*N*-metil-1-fenilpropilamino
2-cloro-5-(trifluorometil)fenilo	X^1	G-26	(1*R*)-*N*-metil-1-fenilpropilamino
2,5-dimetilfenilo	X^1	G-26	(1*R*)-*N*-metil-1-fenilpropilamino
2-metil-5-(trifluorometil)fenilo	X^1	G-26	(1*R*)-*N*-metil-1-fenilpropilamino
3,5-dimetilpirazol-1-ilo	X^1	G-26	(1*R*)-*N*-metil-1-fenilpropilamino
5-metil-3-(trifluorometil)pirazol-1-ilo	X^1	G-26	(1*R*)-*N*-metil-1-fenilpropilamino
3,5-dicloropirazol-1-ilo	X^1	G-26	(1*R*)-*N*-metil-1-fenilpropilamino
5-cloro-3-(trifluorometil)pirazol-1-ilo	X^1	G-26	(1*R*)-*N*-metil-1-fenilpropilamino
3,5-dibromopirazol-1-ilo	X^1	G-26	(1*R*)-*N*-metil-1-fenilpropilamino
5-bromo-3-(trifluorometil)pirazol-1-ilo	X^1	G-26	(1*R*)-*N*-metil-1-fenilpropilamino
3,5-dietilpirazol-1-ilo	X^1	G-26	(1*R*)-*N*-metil-1-fenilpropilamino
5-etil-3-(trifluorometil)pirazol-1-ilo	X^1	G-26	(1*R*)-*N*-metil-1-fenilpropilamino
3,5-bis-(trifluorometil)pirazol-1-ilo	X^1	G-26	(1*R*)-*N*-metil-1-fenilpropilamino

R^1	X*	G**	Q
1-metil-3-(trifluorometil)pirazol-5-ilo	X^1	G-26	(1*R*)-*N*-metil-1-fenilpropilamino
1-metil-4-(trifluorometil)imidazol-2-ilo	X^1	G-26	(1*R*)-*N*-metil-1-fenilpropilamino
2,5-diclorofenilo	X^1	G-26	(1*R*)-*N*-metil-1,2,3,4-tetrahidro-1-naftalenilamino
2-cloro-5-(trifluorometil)fenilo	X^1	G-26	(1*R*)-*N*-metil-1,2,3,4-tetrahidro-1-naftalenilamino
2,5-dimetilfenilo	X^1	G-26	(1*R*)-*N*-metil-1,2,3,4-tetrahidro-1-naftalenilamino
2-metil-5-(trifluorometil)fenilo	X^1	G-26	(1*R*)-*N*-metil-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dimetilpirazol-1-ilo	X^1	G-26	(1*R*)-*N*-metil-1,2,3,4-tetrahidro-1-naftalenilamino
5-metil-3-(trifluorometil)pirazol-1-ilo	X^1	G-26	(1*R*)-*N*-metil-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dicloropirazol-1-ilo	X^1	G-26	(1*R*)-*N*-metil-1,2,3,4-tetrahidro-1-naftalenilamino
5-cloro-3-(trifluorometil)pirazol-1-ilo	X^1	G-26	(1*R*)-*N*-metil-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dibromopirazol-1-ilo	X^1	G-26	(1*R*)-*N*-metil-1,2,3,4-tetrahidro-1-naftalenilamino
5-bromo-3-(trifluorometil)pirazol-1-ilo	X^1	G-26	(1*R*)-*N*-metil-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dietilpirazol-1-ilo	X^1	G-26	(1*R*)-*N*-metil-1,2,3,4-tetrahidro-1-naftalenilamino

R^1	X*	G**	Q
5-etil-3-(trifluorometil)pirazol-1-ilo	X^1	G-26	(1*R*)-*N*-metil-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-bis-(trifluorometil)pirazol-1-ilo	X^1	G-26	(1*R*)-*N*-metil-1,2,3,4-tetrahidro-1-naftalenilamino
1-metil-3-(trifluorometil)pirazol-5-ilo	X^1	G-26	(1*R*)-*N*-metil-1,2,3,4-tetrahidro-1-naftalenilamino
1-metil-4-(trifluorometil)imidazol-2-ilo	X^1	G-26	(1*R*)-*N*-metil-1,2,3,4-tetrahidro-1-naftalenilamino
2,5-diclorofenilo	X^1	G-26	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino
2-cloro-5-(trifluorometil)fenilo	X^1	G-26	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino
2,5-dimetilfenilo	X^1	G-26	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino
2-metil-5-(trifluorometil)fenilo	X^1	G-26	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dimetilpirazol-1-ilo	X^1	G-26	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino
5-metil-3-(trifluorometil)pirazol-1-ilo	X^1	G-26	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dicloropirazol-1-ilo	X^1	G-26	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino
5-cloro-3-(trifluorometil)pirazol-1-ilo	X^1	G-26	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino

R^1	X*	G**	Q
3,5-dibromopirazol-1-ilo	X^1	G-26	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino
5-bromo-3-(trifluorometil)pirazol-1-ilo	X^1	G-26	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dietilpirazol-1-ilo	X^1	G-26	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino
5-etil-3-(trifluorometil)pirazol-1-ilo	X^1	G-26	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-bis-(trifluorometil)pirazol-1-ilo	X^1	G-26	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino
1-metil-3-(trifluorometil)pirazol-5-ilo	X^1	G-26	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino
1-metil-4-(trifluorometil)imidazol-2-ilo	X^1	G-26	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino
2,5-diclorofenilo	X^1	G-26	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino
2-cloro-5-(trifluorometil)fenilo	X^1	G-26	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino
2,5-dimetilfenilo	X^1	G-26	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino
2-metil-5-(trifluorometil)fenilo	X^1	G-26	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dimetilpirazol-1-ilo	X^1	G-26	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino

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R^1	X*	G**	Q
5-metil-3-(trifluorometil)pirazol-1-ilo	X^1	G-26	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dicloropirazol-1-ilo	X^1	G-26	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino
5-cloro-3-(trifluorometil)pirazol-1-ilo	X^1	G-26	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dibromopirazol-1-ilo	X^1	G-26	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino
5-bromo-3-(trifluorometil)pirazol-1-ilo	X^1	G-26	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dietilpirazol-1-ilo	X^1	G-26	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino
5-etil-3-(trifluorometil)pirazol-1-ilo	X^1	G-26	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-bis-(trifluorometil)pirazol-1-ilo	X^1	G-26	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino
1-metil-3-(trifluorometil)pirazol-5-ilo	X^1	G-26	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino
1-metil-4-(trifluorometil)imidazol-2-ilo	X^1	G-26	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino
2,5-diclorofenilo	X^1	G-26	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino
2-cloro-5-(trifluorometil)fenilo	X^1	G-26	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino

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R^1	X*	G**	Q
2,5-dimetilfenilo	X^1	G-26	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino
2-metil-5-(trifluorometil)fenilo	X^1	G-26	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dimetilpirazol-1-ilo	X^1	G-26	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino
5-metil-3-(trifluorometil)pirazol-1-ilo	X^1	G-26	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dicloropirazol-1-ilo	X^1	G-26	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino
5-cloro-3-(trifluorometil)pirazol-1-ilo	X^1	G-26	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dibromopirazol-1-ilo	X^1	G-26	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino
5-bromo-3-(trifluorometil)pirazol-1-ilo	X^1	G-26	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dietilpirazol-1-ilo	X^1	G-26	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino
5-etil-3-(trifluorometil)pirazol-1-ilo	X^1	G-26	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-bis-(trifluorometil)pirazol-1-ilo	X^1	G-26	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino
1-metil-3-(trifluorometil)pirazol-5-ilo	X^1	G-26	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino

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R^1	X*	G**	Q
1-metil-4-(trifluorometil)imidazol-2-ilo	X^1	G-26	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino
2,5-diclorofenilo	X^1	G-26	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino
2-cloro-5-(trifluorometil)fenilo	X^1	G-26	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino
2,5-dimetilfenilo	X^1	G-26	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino
2-metil-5-(trifluorometil)fenilo	X^1	G-26	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dimetilpirazol-1-ilo	X^1	G-26	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino
5-metil-3-(trifluorometil)pirazol-1-ilo	X^1	G-26	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dicloropirazol-1-ilo	X^1	G-26	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino
5-cloro-3-(trifluorometil)pirazol-1-ilo	X^1	G-26	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dibromopirazol-1-ilo	X^1	G-26	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino
5-bromo-3-(trifluorometil)pirazol-1-ilo	X^1	G-26	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dietilpirazol-1-ilo	X^1	G-26	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino

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	R^1	X*	G**	Q
	5-etil-3-(trifluorometil)pirazol-1-ilo	X^1	G-26	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino
	3,5-bis-(trifluorometil)pirazol-1-ilo	X^1	G-26	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino
	1-metil-3-(trifluorometil)pirazol-5-ilo	X^1	G-26	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino
	1-metil-4-(trifluorometil)imidazol-2-ilo	X^1	G-26	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino
	2,5-diclorofenilo	X^1	G-26	(1*R*)-*N*-metil-1-indanilamino
	2-cloro-5-(trifluorometil)fenilo	X^1	G-26	(1*R*)-*N*-metil-1-indanilamino
	2,5-dimetilfenilo	X^1	G-26	(1*R*)-*N*-metil-1-indanilamino
	2-metil-5-(trifluorometil)fenilo	X^1	G-26	(1*R*)-*N*-metil-1-indanilamino
	3,5-dimetilpirazol-1-ilo	X^1	G-26	(1*R*)-*N*-metil-1-indanilamino
	5-metil-3-(trifluorometil)pirazol-1-ilo	X^1	G-26	(1*R*)-*N*-metil-1-indanilamino
	3,5-dicloropirazol-1-ilo	X^1	G-26	(1*R*)-*N*-metil-1-indanilamino
	5-cloro-3-(trifluorometil)pirazol-1-ilo	X^1	G-26	(1*R*)-*N*-metil-1-indanilamino
	3,5-dibromopirazol-1-ilo	X^1	G-26	(1*R*)-*N*-metil-1-indanilamino
	5-bromo-3-(trifluorometil)pirazol-1-ilo	X^1	G-26	(1*R*)-*N*-metil-1-indanilamino
	3,5-dietilpirazol-1-ilo	X^1	G-26	(1*R*)-*N*-metil-1-indanilamino
	5-etil-3-(trifluorometil)pirazol-1-ilo	X^1	G-26	(1*R*)-*N*-metil-1-indanilamino
	3,5-bis-(trifluorometil)pirazol-1-ilo	X^1	G-26	(1*R*)-*N*-metil-1-indanilamino
	1-metil-3-(trifluorometil)pirazol-5-ilo	X^1	G-26	(1*R*)-*N*-metil-1-indanilamino
	1-metil-4-(trifluorometil)imidazol-2-ilo	X^1	G-26	(1*R*)-*N*-metil-1-indanilamino
	2,5-diclorofenilo	X^1	G-26	*N*,2-dimetil-1-indanilamino

R^1	X*	G**	Q
2-cloro-5-(trifluorometil)fenilo	X^1	G-26	*N*,2-dimetil-1-indanilamino
2,5-dimetilfenilo	X^1	G-26	*N*,2-dimetil-1-indanilamino
2-metil-5-(trifluorometil)fenilo	X^1	G-26	*N*,2-dimetil-1-indanilamino
3,5-dimetilpirazol-1-ilo	X^1	G-26	*N*,2-dimetil-1-indanilamino
5-metil-3-(trifluorometil)pirazol-1-ilo	X^1	G-26	*N*,2-dimetil-1-indanilamino
3,5-dicloropirazol-1-ilo	X^1	G-26	*N*,2-dimetil-1-indanilamino
5-cloro-3-(trifluorometil)pirazol-1-ilo	X^1	G-26	*N*,2-dimetil-1-indanilamino
3,5-dibromopirazol-1-ilo	X^1	G-26	*N*,2-dimetil-1-indanilamino
5-bromo-3-(trifluorometil)pirazol-1-ilo	X^1	G-26	*N*,2-dimetil-1-indanilamino
3,5-dietilpirazol-1-ilo	X^1	G-26	*N*,2-dimetil-1-indanilamino
5-etil-3-(trifluorometil)pirazol-1-ilo	X^1	G-26	*N*,2-dimetil-1-indanilamino
3,5-bis-(trifluorometil)pirazol-1-ilo	X^1	G-26	*N*,2-dimetil-1-indanilamino
1-metil-3-(trifluorometil)pirazol-5-ilo	X^1	G-26	*N*,2-dimetil-1-indanilamino
1-metil-4-(trifluorometil)imidazol-2-ilo	X^1	G-26	*N*,2-dimetil-1-indanilamino
2,5-diclorofenilo	X^1	G-26	*N*,2,2-trimetil-1-indanilamino
2-cloro-5-(trifluorometil)fenilo	X^1	G-26	*N*,2,2-trimetil-1-indanilamino
2,5-dimetilfenilo	X^1	G-26	*N*,2,2-trimetil-1-indanilamino
2-metil-5-(trifluorometil)fenilo	X^1	G-26	*N*,2,2-trimetil-1-indanilamino
3,5-dimetilpirazol-1-ilo	X^1	G-26	*N*,2,2-trimetil-1-indanilamino
5-metil-3-(trifluorometil)pirazol-1-ilo	X^1	G-26	*N*,2,2-trimetil-1-indanilamino
3,5-dicloropirazol-1-ilo	X^1	G-26	*N*,2,2-trimetil-1-indanilamino
5-cloro-3-(trifluorometil)pirazol-1-ilo	X^1	G-26	*N*,2,2-trimetil-1-indanilamino
3,5-dibromopirazol-1-ilo	X^1	G-26	*N*,2,2-trimetil-1-indanilamino
5-bromo-3-(trifluorometil)pirazol-1-ilo	X^1	G-26	*N*,2,2-trimetil-1-indanilamino

	R^1	X*	G**	Q
	3,5-dietilpirazol-1-ilo	X^1	G-26	*N*,2,2-trimetil-1-indanilamino
	5-etil-3-(trifluorometil)pirazol-1-ilo	X^1	G-26	*N*,2,2-trimetil-1-indanilamino
	3,5-bis-(trifluorometil)pirazol-1-ilo	X^1	G-26	*N*,2,2-trimetil-1-indanilamino
5	1-metil-3-(trifluorometil)pirazol-5-ilo	X^1	G-26	*N*,2,2-trimetil-1-indanilamino
	1-metil-4-(trifluorometil)imidazol-2-ilo	X^1	G-26	*N*,2,2-trimetil-1-indanilamino
	2,5-diclorofenilo	X^1	G-26	*N*-metil-3-hidroxi-1-indanilamino
	2-cloro-5-(trifluorometil)fenilo	X^1	G-26	*N*-metil-3-hidroxi-1-indanilamino
	2,5-dimetilfenilo	X^1	G-26	*N*-metil-3-hidroxi-1-indanilamino
10	2-metil-5-(trifluorometil)fenilo	X^1	G-26	*N*-metil-3-hidroxi-1-indanilamino
	3,5-dimetilpirazol-1-ilo	X^1	G-26	*N*-metil-3-hidroxi-1-indanilamino
	5-metil-3-(trifluorometil)pirazol-1-ilo	X^1	G-26	*N*-metil-3-hidroxi-1-indanilamino
	3,5-dicloropirazol-1-ilo	X^1	G-26	*N*-metil-3-hidroxi-1-indanilamino
	5-cloro-3-(trifluorometil)pirazol-1-ilo	X^1	G-26	*N*-metil-3-hidroxi-1-indanilamino
15	3,5-dibromopirazol-1-ilo	X^1	G-26	*N*-metil-3-hidroxi-1-indanilamino
	5-bromo-3-(trifluorometil)pirazol-1-ilo	X^1	G-26	*N*-metil-3-hidroxi-1-indanilamino
	3,5-dietilpirazol-1-ilo	X^1	G-26	*N*-metil-3-hidroxi-1-indanilamino
	5-etil-3-(trifluorometil)pirazol-1-ilo	X^1	G-26	*N*-metil-3-hidroxi-1-indanilamino
	3,5-bis-(trifluorometil)pirazol-1-ilo	X^1	G-26	*N*-metil-3-hidroxi-1-indanilamino
20	1-metil-3-(trifluorometil)pirazol-5-ilo	X^1	G-26	*N*-metil-3-hidroxi-1-indanilamino
	1-metil-4-(trifluorometil)imidazol-2-ilo	X^1	G-26	*N*-metil-3-hidroxi-1-indanilamino
	2,5-diclorofenilo	X^1	G-26	*N*-metil-3-oxo-1-indanilamino
	2-cloro-5-(trifluorometil)fenilo	X^1	G-26	*N*-metil-3-oxo-1-indanilamino
	2,5-dimetilfenilo	X^1	G-26	*N*-metil-3-oxo-1-indanilamino
25	2-metil-5-(trifluorometil)fenilo	X^1	G-26	*N*-metil-3-oxo-1-indanilamino

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R^1	X*	G**	Q
3,5-dimetilpirazol-1-ilo	X^1	G-26	*N*-metil-3-oxo-1-indanilamino
5-metil-3-(trifluorometil)pirazol-1-ilo	X^1	G-26	*N*-metil-3-oxo-1-indanilamino
3,5-dicloropirazol-1-ilo	X^1	G-26	*N*-metil-3-oxo-1-indanilamino
5-cloro-3-(trifluorometil)pirazol-1-ilo	X^1	G-26	*N*-metil-3-oxo-1-indanilamino
3,5-dibromopirazol-1-ilo	X^1	G-26	*N*-metil-3-oxo-1-indanilamino
5-bromo-3-(trifluorometil)pirazol-1-ilo	X^1	G-26	*N*-metil-3-oxo-1-indanilamino
3,5-dietilpirazol-1-ilo	X^1	G-26	*N*-metil-3-oxo-1-indanilamino
5-etil-3-(trifluorometil)pirazol-1-ilo	X^1	G-26	*N*-metil-3-oxo-1-indanilamino
3,5-bis-(trifluorometil)pirazol-1-ilo	X^1	G-26	*N*-metil-3-oxo-1-indanilamino
1-metil-3-(trifluorometil)pirazol-5-ilo	X^1	G-26	*N*-metil-3-oxo-1-indanilamino
1-metil-4-(trifluorometil)imidazol-2-ilo	X^1	G-26	*N*-metil-3-oxo-1-indanilamino
2,5-diclorofenilo	X^1	G-27	(1*R*)-*N*-metil-1-fenilpropilamino
2-cloro-5-(trifluorometil)fenilo	X^1	G-27	(1*R*)-*N*-metil-1-fenilpropilamino
2,5-dimetilfenilo	X^1	G-27	(1*R*)-*N*-metil-1-fenilpropilamino
2-metil-5-(trifluorometil)fenilo	X^1	G-27	(1*R*)-*N*-metil-1-fenilpropilamino
3,5-dimetilpirazol-1-ilo	X^1	G-27	(1*R*)-*N*-metil-1-fenilpropilamino
5-metil-3-(trifluorometil)pirazol-1-ilo	X^1	G-27	(1*R*)-*N*-metil-1-fenilpropilamino
3,5-dicloropirazol-1-ilo	X^1	G-27	(1*R*)-*N*-metil-1-fenilpropilamino
5-cloro-3-(trifluorometil)pirazol-1-ilo	X^1	G-27	(1*R*)-*N*-metil-1-fenilpropilamino
3,5-dibromopirazol-1-ilo	X^1	G-27	(1*R*)-*N*-metil-1-fenilpropilamino
5-bromo-3-(trifluorometil)pirazol-1-ilo	X^1	G-27	(1*R*)-*N*-metil-1-fenilpropilamino
3,5-dietilpirazol-1-ilo	X^1	G-27	(1*R*)-*N*-metil-1-fenilpropilamino
5-etil-3-(trifluorometil)pirazol-1-ilo	X^1	G-27	(1*R*)-*N*-metil-1-fenilpropilamino
3,5-bis-(trifluorometil)pirazol-1-ilo	X^1	G-27	(1*R*)-*N*-metil-1-fenilpropilamino

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R^1	X*	G**	Q
1-metil-3-(trifluorometil)pirazol-5-ilo	X^1	G-27	(1*R*)-*N*-metil-1-fenilpropilamino
1-metil-4-(trifluorometil)imidazol-2-ilo	X^1	G-27	(1*R*)-*N*-metil-1-fenilpropilamino
2,5-diclorofenilo	X^1	G-27	(1*R*)-*N*-metil-1,2,3,4-tetrahidro-1-naftalenilamino
2-cloro-5-(trifluorometil)fenilo	X^1	G-27	(1*R*)-*N*-metil-1,2,3,4-tetrahidro-1-naftalenilamino
2,5-dimetilfenilo	X^1	G-27	(1*R*)-*N*-metil-1,2,3,4-tetrahidro-1-naftalenilamino
2-metil-5-(trifluorometil)fenilo	X^1	G-27	(1*R*)-*N*-metil-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dimetilpirazol-1-ilo	X^1	G-27	(1*R*)-*N*-metil-1,2,3,4-tetrahidro-1-naftalenilamino
5-metil-3-(trifluorometil)pirazol-1-ilo	X^1	G-27	(1*R*)-*N*-metil-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dicloropirazol-1-ilo	X^1	G-27	(1*R*)-*N*-metil-1,2,3,4-tetrahidro-1-naftalenilamino
5-cloro-3-(trifluorometil)pirazol-1-ilo	X^1	G-27	(1*R*)-*N*-metil-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dibromopirazol-1-ilo	X^1	G-27	(1*R*)-*N*-metil-1,2,3,4-tetrahidro-1-naftalenilamino
5-bromo-3-(trifluorometil)pirazol-1-ilo	X^1	G-27	(1*R*)-*N*-metil-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dietilpirazol-1-ilo	X^1	G-27	(1*R*)-*N*-metil-1,2,3,4-tetrahidro-1-naftalenilamino

R^1	X*	G**	Q
5-etil-3-(trifluorometil)pirazol-1-ilo	X^1	G-27	(1*R*)-*N*-metil-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-bis-(trifluorometil)pirazol-1-ilo	X^1	G-27	(1*R*)-*N*-metil-1,2,3,4-tetrahidro-1-naftalenilamino
1-metil-3-(trifluorometil)pirazol-5-ilo	X^1	G-27	(1*R*)-*N*-metil-1,2,3,4-tetrahidro-1-naftalenilamino
1-metil-4-(trifluorometil)imidazol-2-ilo	X^1	G-27	(1*R*)-*N*-metil-1,2,3,4-tetrahidro-1-naftalenilamino
2,5-diclorofenilo	X^1	G-27	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino
2-cloro-5-(trifluorometil)fenilo	X^1	G-27	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino
2,5-dimetilfenilo	X^1	G-27	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino
2-metil-5-(trifluorometil)fenilo	X^1	G-27	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dimetilpirazol-1-ilo	X^1	G-27	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino
5-metil-3-(trifluorometil)pirazol-1-ilo	X^1	G-27	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dicloropirazol-1-ilo	X^1	G-27	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino
5-cloro-3-(trifluorometil)pirazol-1-ilo	X^1	G-27	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino

R^1	X*	G**	Q
3,5-dibromopirazol-1-ilo	X^1	G-27	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino
5-bromo-3-(trifluorometil)pirazol-1-ilo	X^1	G-27	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dietilpirazol-1-ilo	X^1	G-27	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino
5-etil-3-(trifluorometil)pirazol-1-ilo	X^1	G-27	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-bis-(trifluorometil)pirazol-1-ilo	X^1	G-27	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino
1-metil-3-(trifluorometil)pirazol-5-ilo	X^1	G-27	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino
1-metil-4-(trifluorometil)imidazol-2-ilo	X^1	G-27	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino
2,5-diclorofenilo	X^1	G-27	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino
2-cloro-5-(trifluorometil)fenilo	X^1	G-27	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino
2,5-dimetilfenilo	X^1	G-27	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino
2-metil-5-(trifluorometil)fenilo	X^1	G-27	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dimetilpirazol-1-ilo	X^1	G-27	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino

R^1	X*	G**	Q
5-metil-3-(trifluorometil)pirazol-1-ilo	X^1	G-27	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dicloropirazol-1-ilo	X^1	G-27	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino
5-cloro-3-(trifluorometil)pirazol-1-ilo	X^1	G-27	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dibromopirazol-1-ilo	X^1	G-27	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino
5-bromo-3-(trifluorometil)pirazol-1-ilo	X^1	G-27	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dietilpirazol-1-ilo	X^1	G-27	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino
5-etil-3-(trifluorometil)pirazol-1-ilo	X^1	G-27	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-bis-(trifluorometil)pirazol-1-ilo	X^1	G-27	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino
1-metil-3-(trifluorometil)pirazol-5-ilo	X^1	G-27	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino
1-metil-4-(trifluorometil)imidazol-2-ilo	X^1	G-27	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino
2,5-diclorofenilo	X^1	G-27	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino
2-cloro-5-(trifluorometil)fenilo	X^1	G-27	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino

R^1	X*	G**	Q
2,5-dimetilfenilo	X^1	G-27	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino
2-metil-5-(trifluorometil)fenilo	X^1	G-27	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dimetilpirazol-1-ilo	X^1	G-27	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino
5-metil-3-(trifluorometil)pirazol-1-ilo	X^1	G-27	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dicloropirazol-1-ilo	X^1	G-27	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino
5-cloro-3-(trifluorometil)pirazol-1-ilo	X^1	G-27	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dibromopirazol-1-ilo	X^1	G-27	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino
5-bromo-3-(trifluorometil)pirazol-1-ilo	X^1	G-27	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dietilpirazol-1-ilo	X^1	G-27	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino
5-etil-3-(trifluorometil)pirazol-1-ilo	X^1	G-27	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-bis-(trifluorometil)pirazol-1-ilo	X^1	G-27	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino
1-metil-3-(trifluorometil)pirazol-5-ilo	X^1	G-27	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino

R^1	X*	G**	Q
1-metil-4-(trifluorometil)imidazol-2-ilo	X^1	G-27	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino
2,5-diclorofenilo	X^1	G-27	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino
2-cloro-5-(trifluorometil)fenilo	X^1	G-27	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino
2,5-dimetilfenilo	X^1	G-27	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino
2-metil-5-(trifluorometil)fenilo	X^1	G-27	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dimetilpirazol-1-ilo	X^1	G-27	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino
5-metil-3-(trifluorometil)pirazol-1-ilo	X^1	G-27	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dicloropirazol-1-ilo	X^1	G-27	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino
5-cloro-3-(trifluorometil)pirazol-1-ilo	X^1	G-27	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dibromopirazol-1-ilo	X^1	G-27	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino
5-bromo-3-(trifluorometil)pirazol-1-ilo	X^1	G-27	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dietilpirazol-1-ilo	X^1	G-27	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino

	R^1	X*	G**	Q
	5-etil-3-(trifluorometil)pirazol-1-ilo	X^1	G-27	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino
	3,5-bis-(trifluorometil)pirazol-1-ilo	X^1	G-27	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino
	1-metil-3-(trifluorometil)pirazol-5-ilo	X^1	G-27	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino
	1-metil-4-(trifluorometil)imidazol-2-ilo	X^1	G-27	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino
	2,5-diclorofenilo	X^1	G-27	(1*R*)-*N*-metil-1-indanilamino
	2-cloro-5-(trifluorometil)fenilo	X^1	G-27	(1*R*)-*N*-metil-1-indanilamino
	2,5-dimetilfenilo	X^1	G-27	(1*R*)-*N*-metil-1-indanilamino
	2-metil-5-(trifluorometil)fenilo	X^1	G-27	(1*R*)-*N*-metil-1-indanilamino
	3,5-dimetilpirazol-1-ilo	X^1	G-27	(1*R*)-*N*-metil-1-indanilamino
	5-metil-3-(trifluorometil)pirazol-1-ilo	X^1	G-27	(1*R*)-*N*-metil-1-indanilamino
	3,5-dicloropirazol-1-ilo	X^1	G-27	(1*R*)-*N*-metil-1-indanilamino
	5-cloro-3-(trifluorometil)pirazol-1-ilo	X^1	G-27	(1*R*)-*N*-metil-1-indanilamino
	3,5-dibromopirazol-1-ilo	X^1	G-27	(1*R*)-*N*-metil-1-indanilamino
	5-bromo-3-(trifluorometil)pirazol-1-ilo	X^1	G-27	(1*R*)-*N*-metil-1-indanilamino
	3,5-dietilpirazol-1-ilo	X^1	G-27	(1*R*)-*N*-metil-1-indanilamino
	5-etil-3-(trifluorometil)pirazol-1-ilo	X^1	G-27	(1*R*)-*N*-metil-1-indanilamino
	3,5-bis-(trifluorometil)pirazol-1-ilo	X^1	G-27	(1*R*)-*N*-metil-1-indanilamino
	1-metil-3-(trifluorometil)pirazol-5-ilo	X^1	G-27	(1*R*)-*N*-metil-1-indanilamino
	1-metil-4-(trifluorometil)imidazol-2-ilo	X^1	G-27	(1*R*)-*N*-metil-1-indanilamino
	2,5-diclorofenilo	X^1	G-27	*N*,2-dimetil-1-indanilamino

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R^1	X*	G**	Q
2-cloro-5-(trifluorometil)fenilo	X^1	G-27	*N*,2-dimetil-1-indanilamino
2,5-dimetilfenilo	X^1	G-27	*N*,2-dimetil-1-indanilamino
2-metil-5-(trifluorometil)fenilo	X^1	G-27	*N*,2-dimetil-1-indanilamino
3,5-dimetilpirazol-1-ilo	X^1	G-27	*N*,2-dimetil-1-indanilamino
5-metil-3-(trifluorometil)pirazol-1-ilo	X^1	G-27	*N*,2-dimetil-1-indanilamino
3,5-dicloropirazol-1-ilo	X^1	G-27	*N*,2-dimetil-1-indanilamino
5-cloro-3-(trifluorometil)pirazol-1-ilo	X^1	G-27	*N*,2-dimetil-1-indanilamino
3,5-dibromopirazol-1-ilo	X^1	G-27	*N*,2-dimetil-1-indanilamino
5-bromo-3-(trifluorometil)pirazol-1-ilo	X^1	G-27	*N*,2-dimetil-1-indanilamino
3,5-dietilpirazol-1-ilo	X^1	G-27	*N*,2-dimetil-1-indanilamino
5-etil-3-(trifluorometil)pirazol-1-ilo	X^1	G-27	*N*,2-dimetil-1-indanilamino
3,5-bis-(trifluorometil)pirazol-1-ilo	X^1	G-27	*N*,2-dimetil-1-indanilamino
1-metil-3-(trifluorometil)pirazol-5-ilo	X^1	G-27	*N*,2-dimetil-1-indanilamino
1-metil-4-(trifluorometil)imidazol-2-ilo	X^1	G-27	*N*,2-dimetil-1-indanilamino
2,5-diclorofenilo	X^1	G-27	*N*,2,2-trimetil-1-indanilamino
2-cloro-5-(trifluorometil)fenilo	X^1	G-27	*N*,2,2-trimetil-1-indanilamino
2,5-dimetilfenilo	X^1	G-27	*N*,2,2-trimetil-1-indanilamino
2-metil-5-(trifluorometil)fenilo	X^1	G-27	*N*,2,2-trimetil-1-indanilamino
3,5-dimetilpirazol-1-ilo	X^1	G-27	*N*,2,2-trimetil-1-indanilamino
5-metil-3-(trifluorometil)pirazol-1-ilo	X^1	G-27	*N*,2,2-trimetil-1-indanilamino
3,5-dicloropirazol-1-ilo	X^1	G-27	*N*,2,2-trimetil-1-indanilamino
5-cloro-3-(trifluorometil)pirazol-1-ilo	X^1	G-27	*N*,2,2-trimetil-1-indanilamino
3,5-dibromopirazol-1-ilo	X^1	G-27	*N*,2,2-trimetil-1-indanilamino
5-bromo-3-(trifluorometil)pirazol-1-ilo	X^1	G-27	*N*,2,2-trimetil-1-indanilamino

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	R^1	X*	G**	Q
	3,5-dietilpirazol-1-ilo	X^1	G-27	*N*,2,2-trimetil-1-indanilamino
	5-etil-3-(trifluorometil)pirazol-1-ilo	X^1	G-27	*N*,2,2-trimetil-1-indanilamino
	3,5-bis-(trifluorometil)pirazol-1-ilo	X^1	G-27	*N*,2,2-trimetil-1-indanilamino
5	1-metil-3-(trifluorometil)pirazol-5-ilo	X^1	G-27	*N*,2,2-trimetil-1-indanilamino
	1-metil-4-(trifluorometil)imidazol-2-ilo	X^1	G-27	*N*,2,2-trimetil-1-indanilamino
	2,5-diclorofenilo	X^1	G-27	*N*-metil-3-hidroxi-1-indanilamino
	2-cloro-5-(trifluorometil)fenilo	X^1	G-27	*N*-metil-3-hidroxi-1-indanilamino
	2,5-dimetilfenilo	X^1	G-27	*N*-metil-3-hidroxi-1-indanilamino
10	2-metil-5-(trifluorometil)fenilo	X^1	G-27	*N*-metil-3-hidroxi-1-indanilamino
	3,5-dimetilpirazol-1-ilo	X^1	G-27	*N*-metil-3-hidroxi-1-indanilamino
	5-metil-3-(trifluorometil)pirazol-1-ilo	X^1	G-27	*N*-metil-3-hidroxi-1-indanilamino
	3,5-dicloropirazol-1-ilo	X^1	G-27	*N*-metil-3-hidroxi-1-indanilamino
	5-cloro-3-(trifluorometil)pirazol-1-ilo	X^1	G-27	*N*-metil-3-hidroxi-1-indanilamino
15	3,5-dibromopirazol-1-ilo	X^1	G-27	*N*-metil-3-hidroxi-1-indanilamino
	5-bromo-3-(trifluorometil)pirazol-1-ilo	X^1	G-27	*N*-metil-3-hidroxi-1-indanilamino
	3,5-dietilpirazol-1-ilo	X^1	G-27	*N*-metil-3-hidroxi-1-indanilamino
	5-etil-3-(trifluorometil)pirazol-1-ilo	X^1	G-27	*N*-metil-3-hidroxi-1-indanilamino
	3,5-bis-(trifluorometil)pirazol-1-ilo	X^1	G-27	*N*-metil-3-hidroxi-1-indanilamino
20	1-metil-3-(trifluorometil)pirazol-5-ilo	X^1	G-27	*N*-metil-3-hidroxi-1-indanilamino
	1-metil-4-(trifluorometil)imidazol-2-ilo	X^1	G-27	*N*-metil-3-hidroxi-1-indanilamino
	2,5-diclorofenilo	X^1	G-27	*N*-metil-3-oxo -1-indanilamino
	2-cloro-5-(trifluorometil)fenilo	X^1	G-27	*N*-metil-3-oxo -1-indanilamino
	2,5-dimetilfenilo	X^1	G-27	*N*-metil-3-oxo -1-indanilamino
25	2-metil-5-(trifluorometil)fenilo	X^1	G-27	*N*-metil-3-oxo -1-indanilamino

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R^1	X*	G**	Q
3,5-dimetilpirazol-1-ilo	X^1	G-27	*N*-metil-3-oxo -1-indanilamino
5-metil-3-(trifluorometil)pirazol-1-ilo	X^1	G-27	*N*-metil-3-oxo -1-indanilamino
3,5-dicloropirazol-1-ilo	X^1	G-27	*N*-metil-3-oxo -1-indanilamino
5-cloro-3-(trifluorometil)pirazol-1-ilo	X^1	G-27	*N*-metil-3-oxo -1-indanilamino
3,5-dibromopirazol-1-ilo	X^1	G-27	*N*-metil-3-oxo -1-indanilamino
5-bromo-3-(trifluorometil)pirazol-1-ilo	X^1	G-27	*N*-metil-3-oxo -1-indanilamino
3,5-dietilpirazol-1-ilo	X^1	G-27	*N*-metil-3-oxo -1-indanilamino
5-etil-3-(trifluorometil)pirazol-1-ilo	X^1	G-27	*N*-metil-3-oxo -1-indanilamino
3,5-bis-(trifluorometil)pirazol-1-ilo	X^1	G-27	*N*-metil-3-oxo -1-indanilamino
1-metil-3-(trifluorometil)pirazol-5-ilo	X^1	G-27	*N*-metil-3-oxo -1-indanilamino
1-metil-4-(trifluorometil)imidazol-2-ilo	X^1	G-27	*N*-metil-3-oxo -1-indanilamino
2,5-diclorofenilo	X^1	G-36	(1*R*)-*N*-metil-1-fenilpropilamino
2-cloro-5-(trifluorometil)fenilo	X^1	G-36	(1*R*)-*N*-metil-1-fenilpropilamino
2,5-dimetilfenilo	X^1	G-36	(1*R*)-*N*-metil-1-fenilpropilamino
2-metil-5-(trifluorometil)fenilo	X^1	G-36	(1*R*)-*N*-metil-1-fenilpropilamino
3,5-dimetilpirazol-1-ilo	X^1	G-36	(1*R*)-*N*-metil-1-fenilpropilamino
5-metil-3-(trifluorometil)pirazol-1-ilo	X^1	G-36	(1*R*)-*N*-metil-1-fenilpropilamino
3,5-dicloropirazol-1-ilo	X^1	G-36	(1*R*)-*N*-metil-1-fenilpropilamino
5-cloro-3-(trifluorometil)pirazol-1-ilo	X^1	G-36	(1*R*)-*N*-metil-1-fenilpropilamino
3,5-dibromopirazol-1-ilo	X^1	G-36	(1*R*)-*N*-metil-1-fenilpropilamino
5-bromo-3-(trifluorometil)pirazol-1-ilo	X^1	G-36	(1*R*)-*N*-metil-1-fenilpropilamino
3,5-dietilpirazol-1-ilo	X^1	G-36	(1*R*)-*N*-metil-1-fenilpropilamino
5-etil-3-(trifluorometil)pirazol-1-ilo	X^1	G-36	(1*R*)-*N*-metil-1-fenilpropilamino
3,5-bis-(trifluorometil)pirazol-1-ilo	X^1	G-36	(1*R*)-*N*-metil-1-fenilpropilamino

R^1	X*	G**	Q
1-metil-3-(trifluorometil)pirazol-5-ilo	X^1	G-36	(1*R*)-*N*-metil-1-fenilpropilamino
1-metil-4-(trifluorometil)imidazol-2-ilo	X^1	G-36	(1*R*)-*N*-metil-1-fenilpropilamino
2,5-diclorofenilo	X^1	G-36	(1*R*)-*N*-metil-1,2,3,4-tetrahidro-1-naftalenilamino
2-cloro-5-(trifluorometil)fenilo	X^1	G-36	(1*R*)-*N*-metil-1,2,3,4-tetrahidro-1-naftalenilamino
2,5-dimetilfenilo	X^1	G-36	(1*R*)-*N*-metil-1,2,3,4-tetrahidro-1-naftalenilamino
2-metil-5-(trifluorometil)fenilo	X^1	G-36	(1*R*)-*N*-metil-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dimetilpirazol-1-ilo	X^1	G-36	(1*R*)-*N*-metil-1,2,3,4-tetrahidro-1-naftalenilamino
5-metil-3-(trifluorometil)pirazol-1-ilo	X^1	G-36	(1*R*)-*N*-metil-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dicloropirazol-1-ilo	X^1	G-36	(1*R*)-*N*-metil-1,2,3,4-tetrahidro-1-naftalenilamino
5-cloro-3-(trifluorometil)pirazol-1-ilo	X^1	G-36	(1*R*)-*N*-metil-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dibromopirazol-1-ilo	X^1	G-36	(1*R*)-*N*-metil-1,2,3,4-tetrahidro-1-naftalenilamino
5-bromo-3-(trifluorometil)pirazol-1-ilo	X^1	G-36	(1*R*)-*N*-metil-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dietilpirazol-1-ilo	X^1	G-36	(1*R*)-*N*-metil-1,2,3,4-tetrahidro-1-naftalenilamino

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R^1	X*	G**	Q
5-etil-3-(trifluorometil)pirazol-1-ilo	X^1	G-36	(1*R*)-*N*-metil-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-bis-(trifluorometil)pirazol-1-ilo	X^1	G-36	(1*R*)-*N*-metil-1,2,3,4-tetrahidro-1-naftalenilamino
1-metil-3-(trifluorometil)pirazol-5-ilo	X^1	G-36	(1*R*)-*N*-metil-1,2,3,4-tetrahidro-1-naftalenilamino
1-metil-4-(trifluorometil)imidazol-2-ilo	X^1	G-36	(1*R*)-*N*-metil-1,2,3,4-tetrahidro-1-naftalenilamino
2,5-diclorofenilo	X^1	G-36	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino
2-cloro-5-(trifluorometil)fenilo	X^1	G-36	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino
2,5-dimetilfenilo	X^1	G-36	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino
2-metil-5-(trifluorometil)fenilo	X^1	G-36	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dimetilpirazol-1-ilo	X^1	G-36	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino
5-metil-3-(trifluorometil)pirazol-1-ilo	X^1	G-36	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dicloropirazol-1-ilo	X^1	G-36	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino
5-cloro-3-(trifluorometil)pirazol-1-ilo	X^1	G-36	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino

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R^1	X*	G**	Q
3,5-dibromopirazol-1-ilo	X^1	G-36	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino
5-bromo-3-(trifluorometil)pirazol-1-ilo	X^1	G-36	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dietilpirazol-1-ilo	X^1	G-36	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino
5-etil-3-(trifluorometil)pirazol-1-ilo	X^1	G-36	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-bis-(trifluorometil)pirazol-1-ilo	X^1	G-36	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino
1-metil-3-(trifluorometil)pirazol-5-ilo	X^1	G-36	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino
1-metil-4-(trifluorometil)imidazol-2-ilo	X^1	G-36	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino
2,5-diclorofenilo	X^1	G-36	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino
2-cloro-5-(trifluorometil)fenilo	X^1	G-36	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino
2,5-dimetilfenilo	X^1	G-36	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino
2-metil-5-(trifluorometil)fenilo	X^1	G-36	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dimetilpirazol-1-ilo	X^1	G-36	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino

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R^1	X*	G**	Q
5-metil-3-(trifluorometil)pirazol-1-ilo	X^1	G-36	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dicloropirazol-1-ilo	X^1	G-36	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino
5-cloro-3-(trifluorometil)pirazol-1-ilo	X^1	G-36	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dibromopirazol-1-ilo	X^1	G-36	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino
5-bromo-3-(trifluorometil)pirazol-1-ilo	X^1	G-36	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dietilpirazol-1-ilo	X^1	G-36	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino
5-etil-3-(trifluorometil)pirazol-1-ilo	X^1	G-36	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-bis-(trifluorometil)pirazol-1-ilo	X^1	G-36	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino
1-metil-3-(trifluorometil)pirazol-5-ilo	X^1	G-36	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino
1-metil-4-(trifluorometil)imidazol-2-ilo	X^1	G-36	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino
2,5-diclorofenilo	X^1	G-36	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino
2-cloro-5-(trifluorometil)fenilo	X^1	G-36	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino

R^1	X*	G**	Q
2,5-dimetilfenilo	X^1	G-36	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino
2-metil-5-(trifluorometil)fenilo	X^1	G-36	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dimetilpirazol-1-ilo	X^1	G-36	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino
5-metil-3-(trifluorometil)pirazol-1-ilo	X^1	G-36	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dicloropirazol-1-ilo	X^1	G-36	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino
5-cloro-3-(trifluorometil)pirazol-1-ilo	X^1	G-36	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dibromopirazol-1-ilo	X^1	G-36	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino
5-bromo-3-(trifluorometil)pirazol-1-ilo	X^1	G-36	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dietilpirazol-1-ilo	X^1	G-36	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino
5-etil-3-(trifluorometil)pirazol-1-ilo	X^1	G-36	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-bis-(trifluorometil)pirazol-1-ilo	X^1	G-36	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino
1-metil-3-(trifluorometil)pirazol-5-ilo	X^1	G-36	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino

R^1	X*	G**	Q
1-metil-4-(trifluorometil)imidazol-2-ilo	X^1	G-36	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino
2,5-diclorofenilo	X^1	G-36	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino
2-cloro-5-(trifluorometil)fenilo	X^1	G-36	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino
2,5-dimetilfenilo	X^1	G-36	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino
2-metil-5-(trifluorometil)fenilo	X^1	G-36	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dimetilpirazol-1-ilo	X^1	G-36	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino
5-metil-3-(trifluorometil)pirazol-1-ilo	X^1	G-36	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dicloropirazol-1-ilo	X^1	G-36	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino
5-cloro-3-(trifluorometil)pirazol-1-ilo	X^1	G-36	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dibromopirazol-1-ilo	X^1	G-36	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino
5-bromo-3-(trifluorometil)pirazol-1-ilo	X^1	G-36	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dietilpirazol-1-ilo	X^1	G-36	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino

R^1	X*	G**	Q
5-etil-3-(trifluorometil)pirazol-1-ilo	X^1	G-36	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-bis-(trifluorometil)pirazol-1-ilo	X^1	G-36	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino
1-metil-3-(trifluorometil)pirazol-5-ilo	X^1	G-36	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino
1-metil-4-(trifluorometil)imidazol-2-ilo	X^1	G-36	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino
2,5-diclorofenilo	X^1	G-36	(1R)-*N*-metil-1-indanilamino
2-cloro-5-(trifluorometil)fenilo	X^1	G-36	(1R)-*N*-metil-1-indanilamino
2,5-dimetilfenilo	X^1	G-36	(1R)-*N*-metil-1-indanilamino
2-metil-5-(trifluorometil)fenilo	X^1	G-36	(1R)-*N*-metil-1-indanilamino
3,5-dimetilpirazol-1-ilo	X^1	G-36	(1R)-*N*-metil-1-indanilamino
5-metil-3-(trifluorometil)pirazol-1-ilo	X^1	G-36	(1R)-*N*-metil-1-indanilamino
3,5-dicloropirazol-1-ilo	X^1	G-36	(1R)-*N*-metil-1-indanilamino
5-cloro-3-(trifluorometil)pirazol-1-ilo	X^1	G-36	(1R)-*N*-metil-1-indanilamino
3,5-dibromopirazol-1-ilo	X^1	G-36	(1R)-*N*-metil-1-indanilamino
5-bromo-3-(trifluorometil)pirazol-1-ilo	X^1	G-36	(1R)-*N*-metil-1-indanilamino
3,5-dietilpirazol-1-ilo	X^1	G-36	(1R)-*N*-metil-1-indanilamino
5-etil-3-(trifluorometil)pirazol-1-ilo	X^1	G-36	(1R)-*N*-metil-1-indanilamino
3,5-bis-(trifluorometil)pirazol-1-ilo	X^1	G-36	(1R)-*N*-metil-1-indanilamino
1-metil-3-(trifluorometil)pirazol-5-ilo	X^1	G-36	(1R)-*N*-metil-1-indanilamino
1-metil-4-(trifluorometil)imidazol-2-ilo	X^1	G-36	(1R)-*N*-metil-1-indanilamino
2,5-diclorofenilo	X^1	G-36	*N*,2-dimetil-1-indanilamino

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	R^1	X*	G**	Q
	2-cloro-5-(trifluorometil)fenilo	X^1	G-36	*N*,2-dimetil-1-indanilamino
	2,5-dimetilfenilo	X^1	G-36	*N*,2-dimetil-1-indanilamino
	2-metil-5-(trifluorometil)fenilo	X^1	G-36	*N*,2-dimetil-1-indanilamino
	3,5-dimetilpirazol-1-ilo	X^1	G-36	*N*,2-dimetil-1-indanilamino
	5-metil-3-(trifluorometil)pirazol-1-ilo	X^1	G-36	*N*,2-dimetil-1-indanilamino
	3,5-dicloropirazol-1-ilo	X^1	G-36	*N*,2-dimetil-1-indanilamino
	5-cloro-3-(trifluorometil)pirazol-1-ilo	X^1	G-36	*N*,2-dimetil-1-indanilamino
	3,5-dibromopirazol-1-ilo	X^1	G-36	*N*,2-dimetil-1-indanilamino
	5-bromo-3-(trifluorometil)pirazol-1-ilo	X^1	G-36	*N*,2-dimetil-1-indanilamino
	3,5-dietilpirazol-1-ilo	X^1	G-36	*N*,2-dimetil-1-indanilamino
	5-etil-3-(trifluorometil)pirazol-1-ilo	X^1	G-36	*N*,2-dimetil-1-indanilamino
	3,5-bis-(trifluorometil)pirazol-1-ilo	X^1	G-36	*N*,2-dimetil-1-indanilamino
	1-metil-3-(trifluorometil)pirazol-5-ilo	X^1	G-36	*N*,2-dimetil-1-indanilamino
	1-metil-4-(trifluorometil)imidazol-2-ilo	X^1	G-36	*N*,2-dimetil-1-indanilamino
	2,5-diclorofenilo	X^1	G-36	*N*,2,2-trimetil-1-indanilamino
	2-cloro-5-(trifluorometil)fenilo	X^1	G-36	*N*,2,2-trimetil-1-indanilamino
	2,5-dimetilfenilo	X^1	G-36	*N*,2,2-trimetil-1-indanilamino
	2-metil-5-(trifluorometil)fenilo	X^1	G-36	*N*,2,2-trimetil-1-indanilamino
	3,5-dimetilpirazol-1-ilo	X^1	G-36	*N*,2,2-trimetil-1-indanilamino
	5-metil-3-(trifluorometil)pirazol-1-ilo	X^1	G-36	*N*,2,2-trimetil-1-indanilamino
	3,5-dicloropirazol-1-ilo	X^1	G-36	*N*,2,2-trimetil-1-indanilamino
	5-cloro-3-(trifluorometil)pirazol-1-ilo	X^1	G-36	*N*,2,2-trimetil-1-indanilamino
	3,5-dibromopirazol-1-ilo	X^1	G-36	*N*,2,2-trimetil-1-indanilamino
	5-bromo-3-(trifluorometil)pirazol-1-ilo	X^1	G-36	*N*,2,2-trimetil-1-indanilamino

	R^1	X*	G**	Q
	3,5-dietilpirazol-1-ilo	X^1	G-36	*N*,2,2-trimetil-1-indanilamino
	5-etil-3-(trifluorometil)pirazol-1-ilo	X^1	G-36	*N*,2,2-trimetil-1-indanilamino
	3,5-bis-(trifluorometil)pirazol-1-ilo	X^1	G-36	*N*,2,2-trimetil-1-indanilamino
5	1-metil-3-(trifluorometil)pirazol-5-ilo	X^1	G-36	*N*,2,2-trimetil-1-indanilamino
	1-metil-4-(trifluorometil)imidazol-2-ilo	X^1	G-36	*N*,2,2-trimetil-1-indanilamino
	2,5-diclorofenilo	X^1	G-36	*N*-metil-3-hidroxi-1-indanilamino
	2-cloro-5-(trifluorometil)fenilo	X^1	G-36	*N*-metil-3-hidroxi-1-indanilamino
	2,5-dimetilfenilo	X^1	G-36	*N*-metil-3-hidroxi-1-indanilamino
10	2-metil-5-(trifluorometil)fenilo	X^1	G-36	*N*-metil-3-hidroxi-1-indanilamino
	3,5-dimetilpirazol-1-ilo	X^1	G-36	*N*-metil-3-hidroxi-1-indanilamino
	5-metil-3-(trifluorometil)pirazol-1-ilo	X^1	G-36	*N*-metil-3-hidroxi-1-indanilamino
	3,5-dicloropirazol-1-ilo	X^1	G-36	*N*-metil-3-hidroxi-1-indanilamino
	5-cloro-3-(trifluorometil)pirazol-1-ilo	X^1	G-36	*N*-metil-3-hidroxi-1-indanilamino
15	3,5-dibromopirazol-1-ilo	X^1	G-36	*N*-metil-3-hidroxi-1-indanilamino
	5-bromo-3-(trifluorometil)pirazol-1-ilo	X^1	G-36	*N*-metil-3-hidroxi-1-indanilamino
	3,5-dietilpirazol-1-ilo	X^1	G-36	*N*-metil-3-hidroxi-1-indanilamino
	5-etil-3-(trifluorometil)pirazol-1-ilo	X^1	G-36	*N*-metil-3-hidroxi-1-indanilamino
	3,5-bis-(trifluorometil)pirazol-1-ilo	X^1	G-36	*N*-metil-3-hidroxi-1-indanilamino
20	1-metil-3-(trifluorometil)pirazol-5-ilo	X^1	G-36	*N*-metil-3-hidroxi-1-indanilamino
	1-metil-4-(trifluorometil)imidazol-2-ilo	X^1	G-36	*N*-metil-3-hidroxi-1-indanilamino
	2,5-diclorofenilo	X^1	G-36	*N*-metil-3-oxo-1-indanilamino
	2-cloro-5-(trifluorometil)fenilo	X^1	G-36	*N*-metil-3-oxo-1-indanilamino
	2,5-dimetilfenilo	X^1	G-36	*N*-metil-3-oxo-1-indanilamino
25	2-metil-5-(trifluorometil)fenilo	X^1	G-36	*N*-metil-3-oxo-1-indanilamino

R^1	X*	G**	Q
3,5-dimetilpirazol-1-ilo	X^1	G-36	*N*-metil-3-oxo-1-indanilamino
5-metil-3-(trifluorometil)pirazol-1-ilo	X^1	G-36	*N*-metil-3-oxo-1-indanilamino
3,5-dicloropirazol-1-ilo	X^1	G-36	*N*-metil-3-oxo-1-indanilamino
5-cloro-3-(trifluorometil)pirazol-1-ilo	X^1	G-36	*N*-metil-3-oxo-1-indanilamino
3,5-dibromopirazol-1-ilo	X^1	G-36	*N*-metil-3-oxo-1-indanilamino
5-bromo-3-(trifluorometil)pirazol-1-ilo	X^1	G-36	*N*-metil-3-oxo-1-indanilamino
3,5-dietilpirazol-1-ilo	X^1	G-36	*N*-metil-3-oxo-1-indanilamino
5-etil-3-(trifluorometil)pirazol-1-ilo	X^1	G-36	*N*-metil-3-oxo-1-indanilamino
3,5-bis-(trifluorometil)pirazol-1-ilo	X^1	G-36	*N*-metil-3-oxo-1-indanilamino
1-metil-3-(trifluorometil)pirazol-5-ilo	X^1	G-36	*N*-metil-3-oxo-1-indanilamino
1-metil-4-(trifluorometil)imidazol-2-ilo	X^1	G-36	*N*-metil-3-oxo-1-indanilamino
2,5-diclorofenilo	X^1	G-1	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino
2-cloro-5-(trifluorometil)fenilo	X^1	G-1	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino
2,5-dimetilfenilo	X^1	G-1	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino
2-metil-5-(trifluorometil)fenilo	X^1	G-1	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dimetilpirazol-1-ilo	X^1	G-1	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino
5-metil-3-(trifluorometil)pirazol-1-ilo	X^1	G-1	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino

R^1	X*	G**	Q
3,5-dicloropirazol-1-ilo	X^1	G-1	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino
5-cloro-3-(trifluorometil)pirazol-1-ilo	X^1	G-1	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dibromopirazol-1-ilo	X^1	G-1	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino
5-bromo-3-(trifluorometil)pirazol-1-ilo	X^1	G-1	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dietilpirazol-1-ilo	X^1	G-1	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino
5-etil-3-(trifluorometil)pirazol-1-ilo	X^1	G-1	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-bis-(trifluorometil)pirazol-1-ilo	X^1	G-1	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino
1-metil-3-(trifluorometil)pirazol-5-ilo	X^1	G-1	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino
1-metil-4-(trifluorometil)imidazol-2-ilo	X^1	G-1	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino
2,5-diclorofenilo	X^1	G-1	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino
2-cloro-5-(trifluorometil)fenilo	X^1	G-1	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino
2,5-dimetilfenilo	X^1	G-1	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino

R^1	X*	G**	Q
2-metil-5-(trifluorometil)fenilo	X^1	G-1	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dimetilpirazol-1-ilo	X^1	G-1	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino
5-metil-3-(trifluorometil)pirazol-1-ilo	X^1	G-1	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dicloropirazol-1-ilo	X^1	G-1	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino
5-cloro-3-(trifluorometil)pirazol-1-ilo	X^1	G-1	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dibromopirazol-1-ilo	X^1	G-1	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino
5-bromo-3-(trifluorometil)pirazol-1-ilo	X^1	G-1	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dietilpirazol-1-ilo	X^1	G-1	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino
5-etil-3-(trifluorometil)pirazol-1-ilo	X^1	G-1	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-bis-(trifluorometil)pirazol-1-ilo	X^1	G-1	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino
1-metil-3-(trifluorometil)pirazol-5-ilo	X^1	G-1	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino
1-metil-4-(trifluorometil)imidazol-2-ilo	X^1	G-1	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino

R^1	X*	G**	Q
2,5-diclorofenilo	X^1	G-1	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino
2-cloro-5-(trifluorometil)fenilo	X^1	G-1	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino
2,5-dimetilfenilo	X^1	G-1	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino
2-metil-5-(trifluorometil)fenilo	X^1	G-1	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dimetilpirazol-1-ilo	X^1	G-1	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino
5-metil-3-(trifluorometil)pirazol-1-ilo	X^1	G-1	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dicloropirazol-1-ilo	X^1	G-1	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino
5-cloro-3-(trifluorometil)pirazol-1-ilo	X^1	G-1	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dibromopirazol-1-ilo	X^1	G-1	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino
5-bromo-3-(trifluorometil)pirazol-1-ilo	X^1	G-1	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dietilpirazol-1-ilo	X^1	G-1	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino
5-etil-3-(trifluorometil)pirazol-1-ilo	X^1	G-1	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino

R^1	X*	G**	Q
3,5-bis-(trifluorometil)pirazol-1-ilo	X^1	G-1	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino
1-metil-3-(trifluorometil)pirazol-5-ilo	X^1	G-1	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino
1-metil-4-(trifluorometil)imidazol-2-ilo	X^1	G-1	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino
2,5-diclorofenilo	X^1	G-1	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino
2-cloro-5-(trifluorometil)fenilo	X^1	G-1	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino
2,5-dimetilfenilo	X^1	G-1	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino
2-metil-5-(trifluorometil)fenilo	X^1	G-1	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dimetilpirazol-1-ilo	X^1	G-1	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino
5-metil-3-(trifluorometil)pirazol-1-ilo	X^1	G-1	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dicloropirazol-1-ilo	X^1	G-1	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino
5-cloro-3-(trifluorometil)pirazol-1-ilo	X^1	G-1	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dibromopirazol-1-ilo	X^1	G-1	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino

	R^1	X*	G**	Q
	5-bromo-3-(trifluorometil)pirazol-1-ilo	X^1	G-1	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino
	3,5-dietilpirazol-1-ilo	X^1	G-1	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino
	5-etil-3-(trifluorometil)pirazol-1-ilo	X^1	G-1	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino
	3,5-bis-(trifluorometil)pirazol-1-ilo	X^1	G-1	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino
	1-metil-3-(trifluorometil)pirazol-5-ilo	X^1	G-1	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino
	1-metil-4-(trifluorometil)imidazol-2-ilo	X^1	G-1	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino
	2,5-diclorofenilo	X^1	G-1	*N*,2-dimetil-1-indanilamino
	2-cloro-5-(trifluorometil)fenilo	X^1	G-1	*N*,2-dimetil-1-indanilamino
	2,5-dimetilfenilo	X^1	G-1	*N*,2-dimetil-1-indanilamino
	2-metil-5-(trifluorometil)fenilo	X^1	G-1	*N*,2-dimetil-1-indanilamino
	3,5-dimetilpirazol-1-ilo	X^1	G-1	*N*,2-dimetil-1-indanilamino
	5-metil-3-(trifluorometil)pirazol-1-ilo	X^1	G-1	*N*,2-dimetil-1-indanilamino
	3,5-dicloropirazol-1-ilo	X^1	G-1	*N*,2-dimetil-1-indanilamino
	5-cloro-3-(trifluorometil)pirazol-1-ilo	X^1	G-1	*N*,2-dimetil-1-indanilamino
	3,5-dibromopirazol-1-ilo	X^1	G-1	*N*,2-dimetil-1-indanilamino
	5-bromo-3-(trifluorometil)pirazol-1-ilo	X^1	G-1	*N*,2-dimetil-1-indanilamino
	3,5-dietilpirazol-1-ilo	X^1	G-1	*N*,2-dimetil-1-indanilamino
	5-etil-3-(trifluorometil)pirazol-1-ilo	X^1	G-1	*N*,2-dimetil-1-indanilamino

R^1	X*	G**	Q
3,5-bis-(trifluorometil)pirazol-1-ilo	X^1	G-1	*N*,2-dimetil-1-indanilamino
1-metil-3-(trifluorometil)pirazol-5-ilo	X^1	G-1	*N*,2-dimetil-1-indanilamino
1-metil-4-(trifluorometil)imidazol-2-ilo	X^1	G-1	*N*,2-dimetil-1-indanilamino
2,5-diclorofenilo	X^1	G-1	*N*,2,2-trimetil-1-indanilamino
2-cloro-5-(trifluorometil)fenilo	X^1	G-1	*N*,2,2-trimetil-1-indanilamino
2,5-dimetilfenilo	X^1	G-1	*N*,2,2-trimetil-1-indanilamino
2-metil-5-(trifluorometil)fenilo	X^1	G-1	*N*,2,2-trimetil-1-indanilamino
3,5-dimetilpirazol-1-ilo	X^1	G-1	*N*,2,2-trimetil-1-indanilamino
5-metil-3-(trifluorometil)pirazol-1-ilo	X^1	G-1	*N*,2,2-trimetil-1-indanilamino
3,5-dicloropirazol-1-ilo	X^1	G-1	*N*,2,2-trimetil-1-indanilamino
5-cloro-3-(trifluorometil)pirazol-1-ilo	X^1	G-1	*N*,2,2-trimetil-1-indanilamino
3,5-dibromopirazol-1-ilo	X^1	G-1	*N*,2,2-trimetil-1-indanilamino
5-bromo-3-(trifluorometil)pirazol-1-ilo	X^1	G-1	*N*,2,2-trimetil-1-indanilamino
3,5-dietilpirazol-1-ilo	X^1	G-1	*N*,2,2-trimetil-1-indanilamino
5-etil-3-(trifluorometil)pirazol-1-ilo	X^1	G-1	*N*,2,2-trimetil-1-indanilamino
3,5-bis-(trifluorometil)pirazol-1-ilo	X^1	G-1	*N*,2,2-trimetil-1-indanilamino
1-metil-3-(trifluorometil)pirazol-5-ilo	X^1	G-1	*N*,2,2-trimetil-1-indanilamino
1-metil-4-(trifluorometil)imidazol-2-ilo	X^1	G-1	*N*,2,2-trimetil-1-indanilamino
2,5-diclorofenilo	X^1	G-1	*N*-metil-3-hidroxi-1-indanilamino
2-cloro-5-(trifluorometil)fenilo	X^1	G-1	*N*-metil-3-hidroxi-1-indanilamino
2,5-dimetilfenilo	X^1	G-1	*N*-metil-3-hidroxi-1-indanilamino
2-metil-5-(trifluorometil)fenilo	X^1	G-1	*N*-metil-3-hidroxi-1-indanilamino
3,5-dimetilpirazol-1-ilo	X^1	G-1	*N*-metil-3-hidroxi-1-indanilamino
5-metil-3-(trifluorometil)pirazol-1-ilo	X^1	G-1	*N*-metil-3-hidroxi-1-indanilamino

	R^1	X*	G**	Q
	3,5-dicloropirazol-1-ilo	X^1	G-1	*N*-metil-3-hidroxi-1-indanilamino
	5-cloro-3-(trifluorometil)pirazol-1-ilo	X^1	G-1	*N*-metil-3-hidroxi-1-indanilamino
	3,5-dibromopirazol-1-ilo	X^1	G-1	*N*-metil-3-hidroxi-1-indanilamino
5	5-bromo-3-(trifluorometil)pirazol-1-ilo	X^1	G-1	*N*-metil-3-hidroxi-1-indanilamino
	3,5-dietilpirazol-1-ilo	X^1	G-1	*N*-metil-3-hidroxi-1-indanilamino
	5-etil-3-(trifluorometil)pirazol-1-ilo	X^1	G-1	*N*-metil-3-hidroxi-1-indanilamino
	3,5-bis-(trifluorometil)pirazol-1-ilo	X^1	G-1	*N*-metil-3-hidroxi-1-indanilamino
	1-metil-3-(trifluorometil)pirazol-5-ilo	X^1	G-1	*N*-metil-3-hidroxi-1-indanilamino
10	1-metil-4-(trifluorometil)imidazol-2-ilo	X^1	G-1	*N*-metil-3-hidroxi-1-indanilamino
	2,5-diclorofenilo	X^1	G-1	*N*-metil-3-oxo-1-indanilamino
	2-cloro-5-(trifluorometil)fenilo	X^1	G-1	*N*-metil-3-oxo-1-indanilamino
	2,5-dimetilfenilo	X^1	G-1	*N*-metil-3-oxo-1-indanilamino
	2-metil-5-(trifluorometil)fenilo	X^1	G-1	*N*-metil-3-oxo-1-indanilamino
15	3,5-dimetilpirazol-1-ilo	X^1	G-1	*N*-metil-3-oxo-1-indanilamino
	5-metil-3-(trifluorometil)pirazol-1-ilo	X^1	G-1	*N*-metil-3-oxo-1-indanilamino
	3,5-dicloropirazol-1-ilo	X^1	G-1	*N*-metil-3-oxo-1-indanilamino
	5-cloro-3-(trifluorometil)pirazol-1-ilo	X^1	G-1	*N*-metil-3-oxo-1-indanilamino
	3,5-dibromopirazol-1-ilo	X^1	G-1	*N*-metil-3-oxo-1-indanilamino
20	5-bromo-3-(trifluorometil)pirazol-1-ilo	X^1	G-1	*N*-metil-3-oxo-1-indanilamino
	3,5-dietilpirazol-1-ilo	X^1	G-1	*N*-metil-3-oxo-1-indanilamino
	5-etil-3-(trifluorometil)pirazol-1-ilo	X^1	G-1	*N*-metil-3-oxo-1-indanilamino
	3,5-bis-(trifluorometil)pirazol-1-ilo	X^1	G-1	*N*-metil-3-oxo-1-indanilamino
	1-metil-3-(trifluorometil)pirazol-5-ilo	X^1	G-1	*N*-metil-3-oxo-1-indanilamino
25	1-metil-4-(trifluorometil)imidazol-2-ilo	X^1	G-1	*N*-metil-3-oxo-1-indanilamino

R^1	X*	G**	Q
2,5-diclorofenilo	X^1	G-2	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino
2-cloro-5-(trifluorometil)fenilo	X^1	G-2	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino
2,5-dimetilfenilo	X^1	G-2	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino
2-metil-5-(trifluorometil)fenilo	X^1	G-2	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dimetilpirazol-1-ilo	X^1	G-2	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino
5-metil-3-(trifluorometil)pirazol-1-ilo	X^1	G-2	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dicloropirazol-1-ilo	X^1	G-2	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino
5-cloro-3-(trifluorometil)pirazol-1-ilo	X^1	G-2	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dibromopirazol-1-ilo	X^1	G-2	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino
5-bromo-3-(trifluorometil)pirazol-1-ilo	X^1	G-2	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dietilpirazol-1-ilo	X^1	G-2	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino
5-etil-3-(trifluorometil)pirazol-1-ilo	X^1	G-2	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino

R^1	X*	G**	Q
3,5-bis-(trifluorometil)pirazol-1-ilo	X^1	G-2	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino
1-metil-3-(trifluorometil)pirazol-5-ilo	X^1	G-2	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino
1-metil-4-(trifluorometil)imidazol-2-ilo	X^1	G-2	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino
2,5-diclorofenilo	X^1	G-2	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino
2-cloro-5-(trifluorometil)fenilo	X^1	G-2	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino
2,5-dimetilfenilo	X^1	G-2	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino
2-metil-5-(trifluorometil)fenilo	X^1	G-2	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dimetilpirazol-1-ilo	X^1	G-2	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino
5-metil-3-(trifluorometil)pirazol-1-ilo	X^1	G-2	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dicloropirazol-1-ilo	X^1	G-2	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino
5-cloro-3-(trifluorometil)pirazol-1-ilo	X^1	G-2	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dibromopirazol-1-ilo	X^1	G-2	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino

R^1	X*	G**	Q
5-bromo-3-(trifluorometil)pirazol-1-ilo	X^1	G-2	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dietilpirazol-1-ilo	X^1	G-2	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino
5-etil-3-(trifluorometil)pirazol-1-ilo	X^1	G-2	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-bis-(trifluorometil)pirazol-1-ilo	X^1	G-2	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino
1-metil-3-(trifluorometil)pirazol-5-ilo	X^1	G-2	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino
1-metil-4-(trifluorometil)imidazol-2-ilo	X^1	G-2	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino
2,5-diclorofenilo	X^1	G-2	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino
2-cloro-5-(trifluorometil)fenilo	X^1	G-2	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino
2,5-dimetilfenilo	X^1	G-2	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino
2-metil-5-(trifluorometil)fenilo	X^1	G-2	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dimetilpirazol-1-ilo	X^1	G-2	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino
5-metil-3-(trifluorometil)pirazol-1-ilo	X^1	G-2	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino

R^1	X*	G**	Q
3,5-dicloropirazol-1-ilo	X^1	G-2	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino
5-cloro-3-(trifluorometil)pirazol-1-ilo	X^1	G-2	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dibromopirazol-1-ilo	X^1	G-2	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino
5-bromo-3-(trifluorometil)pirazol-1-ilo	X^1	G-2	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dietilpirazol-1-ilo	X^1	G-2	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino
5-etil-3-(trifluorometil)pirazol-1-ilo	X^1	G-2	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-bis-(trifluorometil)pirazol-1-ilo	X^1	G-2	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino
1-metil-3-(trifluorometil)pirazol-5-ilo	X^1	G-2	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino
1-metil-4-(trifluorometil)imidazol-2-ilo	X^1	G-2	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino
2,5-diclorofenilo	X^1	G-2	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino
2-cloro-5-(trifluorometil)fenilo	X^1	G-2	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino
2,5-dimetilfenilo	X^1	G-2	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino

R^1	X*	G**	Q
2-metil-5-(trifluorometil)fenilo	X^1	G-2	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dimetilpirazol-1-ilo	X^1	G-2	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino
5-metil-3-(trifluorometil)pirazol-1-ilo	X^1	G-2	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dicloropirazol-1-ilo	X^1	G-2	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino
5-cloro-3-(trifluorometil)pirazol-1-ilo	X^1	G-2	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dibromopirazol-1-ilo	X^1	G-2	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino
5-bromo-3-(trifluorometil)pirazol-1-ilo	X^1	G-2	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dietilpirazol-1-ilo	X^1	G-2	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino
5-etil-3-(trifluorometil)pirazol-1-ilo	X^1	G-2	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-bis-(trifluorometil)pirazol-1-ilo	X^1	G-2	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino
1-metil-3-(trifluorometil)pirazol-5-ilo	X^1	G-2	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino
1-metil-4-(trifluorometil)imidazol-2-ilo	X^1	G-2	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino

	R^1	X*	G**	Q
	2,5-diclorofenilo	X^1	G-2	*N*,2-dimetil-1-indanilamino
	2-cloro-5-(trifluorometil)fenilo	X^1	G-2	*N*,2-dimetil-1-indanilamino
	2,5-dimetilfenilo	X^1	G-2	*N*,2-dimetil-1-indanilamino
	2-metil-5-(trifluorometil)fenilo	X^1	G-2	*N*,2-dimetil-1-indanilamino
	3,5-dimetilpirazol-1-ilo	X^1	G-2	*N*,2-dimetil-1-indanilamino
	5-metil-3-(trifluorometil)pirazol-1-ilo	X^1	G-2	*N*,2-dimetil-1-indanilamino
	3,5-dicloropirazol-1-ilo	X^1	G-2	*N*,2-dimetil-1-indanilamino
	5-cloro-3-(trifluorometil)pirazol-1-ilo	X^1	G-2	*N*,2-dimetil-1-indanilamino
	3,5-dibromopirazol-1-ilo	X^1	G-2	*N*,2-dimetil-1-indanilamino
	5-bromo-3-(trifluorometil)pirazol-1-ilo	X^1	G-2	*N*,2-dimetil-1-indanilamino
	3,5-dietilpirazol-1-ilo	X^1	G-2	*N*,2-dimetil-1-indanilamino
	5-etil-3-(trifluorometil)pirazol-1-ilo	X^1	G-2	*N*,2-dimetil-1-indanilamino
	3,5-bis-(trifluorometil)pirazol-1-ilo	X^1	G-2	*N*,2-dimetil-1-indanilamino
	1-metil-3-(trifluorometil)pirazol-5-ilo	X^1	G-2	*N*,2-dimetil-1-indanilamino
	1-metil-4-(trifluorometil)imidazol-2-ilo	X^1	G-2	*N*,2-dimetil-1-indanilamino
	2,5-diclorofenilo	X^1	G-2	*N*,2,2-trimetil-1-indanilamino
	2-cloro-5-(trifluorometil)fenilo	X^1	G-2	*N*,2,2-trimetil-1-indanilamino
	2,5-dimetilfenilo	X^1	G-2	*N*,2,2-trimetil-1-indanilamino
	2-metil-5-(trifluorometil)fenilo	X^1	G-2	*N*,2,2-trimetil-1-indanilamino
	3,5-dimetilpirazol-1-ilo	X^1	G-2	*N*,2,2-trimetil-1-indanilamino
	5-metil-3-(trifluorometil)pirazol-1-ilo	X^1	G-2	*N*,2,2-trimetil-1-indanilamino
	3,5-dicloropirazol-1-ilo	X^1	G-2	*N*,2,2-trimetil-1-indanilamino
	5-cloro-3-(trifluorometil)pirazol-1-ilo	X^1	G-2	*N*,2,2-trimetil-1-indanilamino
	3,5-dibromopirazol-1-ilo	X^1	G-2	*N*,2,2-trimetil-1-indanilamino

	R^1	X*	G**	Q
	5-bromo-3-(trifluorometil)pirazol-1-ilo	X^1	G-2	*N*,2,2-trimetil-1-indanilamino
	3,5-dietilpirazol-1-ilo	X^1	G-2	*N*,2,2-trimetil-1-indanilamino
	5-etil-3-(trifluorometil)pirazol-1-ilo	X^1	G-2	*N*,2,2-trimetil-1-indanilamino
	3,5-bis-(trifluorometil)pirazol-1-ilo	X^1	G-2	*N*,2,2-trimetil-1-indanilamino
	1-metil-3-(trifluorometil)pirazol-5-ilo	X^1	G-2	*N*,2,2-trimetil-1-indanilamino
	1-metil-4-(trifluorometil)imidazol-2-ilo	X^1	G-2	*N*,2,2-trimetil-1-indanilamino
	2,5-diclorofenilo	X^1	G-2	*N*-metil-3-hidroxi-1-indanilamino
	2-cloro-5-(trifluorometil)fenilo	X^1	G-2	*N*-metil-3-hidroxi-1-indanilamino
	2,5-dimetilfenilo	X^1	G-2	*N*-metil-3-hidroxi-1-indanilamino
	2-metil-5-(trifluorometil)fenilo	X^1	G-2	*N*-metil-3-hidroxi-1-indanilamino
	3,5-dimetilpirazol-1-ilo	X^1	G-2	*N*-metil-3-hidroxi-1-indanilamino
	5-metil-3-(trifluorometil)pirazol-1-ilo	X^1	G-2	*N*-metil-3-hidroxi-1-indanilamino
	3,5-dicloropirazol-1-ilo	X^1	G-2	*N*-metil-3-hidroxi-1-indanilamino
	5-cloro-3-(trifluorometil)pirazol-1-ilo	X^1	G-2	*N*-metil-3-hidroxi-1-indanilamino
	3,5-dibromopirazol-1-ilo	X^1	G-2	*N*-metil-3-hidroxi-1-indanilamino
	5-bromo-3-(trifluorometil)pirazol-1-ilo	X^1	G-2	*N*-metil-3-hidroxi-1-indanilamino
	3,5-dietilpirazol-1-ilo	X^1	G-2	*N*-metil-3-hidroxi-1-indanilamino
	5-etil-3-(trifluorometil)pirazol-1-ilo	X^1	G-2	*N*-metil-3-hidroxi-1-indanilamino
	3,5-bis-(trifluorometil)pirazol-1-ilo	X^1	G-2	*N*-metil-3-hidroxi-1-indanilamino
	1-metil-3-(trifluorometil)pirazol-5-ilo	X^1	G-2	*N*-metil-3-hidroxi-1-indanilamino
	1-metil-4-(trifluorometil)imidazol-2-ilo	X^1	G-2	*N*-metil-3-hidroxi-1-indanilamino
	2,5-diclorofenilo	X^1	G-2	*N*-metil-3-oxo-1-indanilamino
	2-cloro-5-(trifluorometil)fenilo	X^1	G-2	*N*-metil-3-oxo-1-indanilamino
	2,5-dimetilfenilo	X^1	G-2	*N*-metil-3-oxo-1-indanilamino

R^1	X*	G**	Q
2-metil-5-(trifluorometil)fenilo	X^1	G-2	*N*-metil-3-oxo-1-indanilamino
3,5-dimetilpirazol-1-ilo	X^1	G-2	*N*-metil-3-oxo-1-indanilamino
5-metil-3-(trifluorometil)pirazol-1-ilo	X^1	G-2	*N*-metil-3-oxo-1-indanilamino
3,5-dicloropirazol-1-ilo	X^1	G-2	*N*-metil-3-oxo-1-indanilamino
5-cloro-3-(trifluorometil)pirazol-1-ilo	X^1	G-2	*N*-metil-3-oxo-1-indanilamino
3,5-dibromopirazol-1-ilo	X^1	G-2	*N*-metil-3-oxo-1-indanilamino
5-bromo-3-(trifluorometil)pirazol-1-ilo	X^1	G-2	*N*-metil-3-oxo-1-indanilamino
3,5-dietilpirazol-1-ilo	X^1	G-2	*N*-metil-3-oxo-1-indanilamino
5-etil-3-(trifluorometil)pirazol-1-ilo	X^1	G-2	*N*-metil-3-oxo-1-indanilamino
3,5-bis-(trifluorometil)pirazol-1-ilo	X^1	G-2	*N*-metil-3-oxo-1-indanilamino
1-metil-3-(trifluorometil)pirazol-5-ilo	X^1	G-2	*N*-metil-3-oxo-1-indanilamino
1-metil-4-(trifluorometil)imidazol-2-ilo	X^1	G-2	*N*-metil-3-oxo-1-indanilamino
2,5-diclorofenilo	X^2	G-1	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino
2-cloro-5-(trifluorometil)fenilo	X^2	G-1	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino
2,5-dimetilfenilo	X^2	G-1	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino
2-metil-5-(trifluorometil)fenilo	X^2	G-1	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dimetilpirazol-1-ilo	X^2	G-1	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino
5-metil-3-(trifluorometil)pirazol-1-ilo	X^2	G-1	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino

R^1	X*	G**	Q
3,5-dicloropirazol-1-ilo	X^2	G-1	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino
5-cloro-3-(trifluorometil)pirazol-1-ilo	X^2	G-1	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dibromopirazol-1-ilo	X^2	G-1	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino
5-bromo-3-(trifluorometil)pirazol-1-ilo	X^2	G-1	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dietilpirazol-1-ilo	X^2	G-1	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino
5-etil-3-(trifluorometil)pirazol-1-ilo	X^2	G-1	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-bis-(trifluorometil)pirazol-1-ilo	X^2	G-1	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino
1-metil-3-(trifluorometil)pirazol-5-ilo	X^2	G-1	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino
1-metil-4-(trifluorometil)imidazol-2-ilo	X^2	G-1	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino
2,5-diclorofenilo	X^2	G-1	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino
2-cloro-5-(trifluorometil)fenilo	X^2	G-1	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino
2,5-dimetilfenilo	X^2	G-1	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino

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R^1	X*	G**	Q
2-metil-5-(trifluorometil)fenilo	X^2	G-1	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dimetilpirazol-1-ilo	X^2	G-1	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino
5-metil-3-(trifluorometil)pirazol-1-ilo	X^2	G-1	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dicloropirazol-1-ilo	X^2	G-1	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino
5-cloro-3-(trifluorometil)pirazol-1-ilo	X^2	G-1	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dibromopirazol-1-ilo	X^2	G-1	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino
5-bromo-3-(trifluorometil)pirazol-1-ilo	X^2	G-1	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dietilpirazol-1-ilo	X^2	G-1	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino
5-etil-3-(trifluorometil)pirazol-1-ilo	X^2	G-1	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-bis-(trifluorometil)pirazol-1-ilo	X^2	G-1	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino
1-metil-3-(trifluorometil)pirazol-5-ilo	X^2	G-1	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino
1-metil-4-(trifluorometil)imidazol-2-ilo	X^2	G-1	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino

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R^1	X*	G**	Q
2,5-diclorofenilo	X^2	G-1	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino
2-cloro-5-(trifluorometil)fenilo	X^2	G-1	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino
2,5-dimetilfenilo	X^2	G-1	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino
2-metil-5-(trifluorometil)fenilo	X^2	G-1	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dimetilpirazol-1-ilo	X^2	G-1	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino
5-metil-3-(trifluorometil)pirazol-1-ilo	X^2	G-1	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dicloropirazol-1-ilo	X^2	G-1	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino
5-cloro-3-(trifluorometil)pirazol-1-ilo	X^2	G-1	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dibromopirazol-1-ilo	X^2	G-1	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino
5-bromo-3-(trifluorometil)pirazol-1-ilo	X^2	G-1	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dietilpirazol-1-ilo	X^2	G-1	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino
5-etil-3-(trifluorometil)pirazol-1-ilo	X^2	G-1	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino

R^1	X*	G**	Q
3,5-bis-(trifluorometil)pirazol-1-ilo	X^2	G-1	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino
1-metil-3-(trifluorometil)pirazol-5-ilo	X^2	G-1	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino
1-metil-4-(trifluorometil)imidazol-2-ilo	X^2	G-1	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino
2,5-diclorofenilo	X^2	G-1	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino
2-cloro-5-(trifluorometil)fenilo	X^2	G-1	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino
2,5-dimetilfenilo	X^2	G-1	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino
2-metil-5-(trifluorometil)fenilo	X^2	G-1	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dimetilpirazol-1-ilo	X^2	G-1	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino
5-metil-3-(trifluorometil)pirazol-1-ilo	X^2	G-1	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dicloropirazol-1-ilo	X^2	G-1	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino
5-cloro-3-(trifluorometil)pirazol-1-ilo	X^2	G-1	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dibromopirazol-1-ilo	X^2	G-1	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino

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R^1	X*	G**	Q
5-bromo-3-(trifluorometil)pirazol-1-ilo	X^2	G-1	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dietilpirazol-1-ilo	X^2	G-1	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino
5-etil-3-(trifluorometil)pirazol-1-ilo	X^2	G-1	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-bis-(trifluorometil)pirazol-1-ilo	X^2	G-1	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino
1-metil-3-(trifluorometil)pirazol-5-ilo	X^2	G-1	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino
1-metil-4-(trifluorometil)imidazol-2-ilo	X^2	G-1	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino
2,5-diclorofenilo	X^2	G-1	*N*,2-dimetil-1-indanilamino
2-cloro-5-(trifluorometil)fenilo	X^2	G-1	*N*,2-dimetil-1-indanilamino
2,5-dimetilfenilo	X^2	G-1	*N*,2-dimetil-1-indanilamino
2-metil-5-(trifluorometil)fenilo	X^2	G-1	*N*,2-dimetil-1-indanilamino
3,5-dimetilpirazol-1-ilo	X^2	G-1	*N*,2-dimetil-1-indanilamino
5-metil-3-(trifluorometil)pirazol-1-ilo	X^2	G-1	*N*,2-dimetil-1-indanilamino
3,5-dicloropirazol-1-ilo	X^2	G-1	*N*,2-dimetil-1-indanilamino
5-cloro-3-(trifluorometil)pirazol-1-ilo	X^2	G-1	*N*,2-dimetil-1-indanilamino
3,5-dibromopirazol-1-ilo	X^2	G-1	*N*,2-dimetil-1-indanilamino
5-bromo-3-(trifluorometil)pirazol-1-ilo	X^2	G-1	*N*,2-dimetil-1-indanilamino
3,5-dietilpirazol-1-ilo	X^2	G-1	*N*,2-dimetil-1-indanilamino
5-etil-3-(trifluorometil)pirazol-1-ilo	X^2	G-1	*N*,2-dimetil-1-indanilamino

R^1	X*	G**	Q
3,5-bis-(trifluorometil)pirazol-1-ilo	X^2	G-1	*N*,2-dimetil-1-indanilamino
1-metil-3-(trifluorometil)pirazol-5-ilo	X^2	G-1	*N*,2-dimetil-1-indanilamino
1-metil-4-(trifluorometil)imidazol-2-ilo	X^2	G-1	*N*,2-dimetil-1-indanilamino
2,5-diclorofenilo	X^2	G-1	*N*,2,2-trimetil-1-indanilamino
2-cloro-5-(trifluorometil)fenilo	X^2	G-1	*N*,2,2-trimetil-1-indanilamino
2,5-dimetilfenilo	X^2	G-1	*N*,2,2-trimetil-1-indanilamino
2-metil-5-(trifluorometil)fenilo	X^2	G-1	*N*,2,2-trimetil-1-indanilamino
3,5-dimetilpirazol-1-ilo	X^2	G-1	*N*,2,2-trimetil-1-indanilamino
5-metil-3-(trifluorometil)pirazol-1-ilo	X^2	G-1	*N*,2,2-trimetil-1-indanilamino
3,5-dicloropirazol-1-ilo	X^2	G-1	*N*,2,2-trimetil-1-indanilamino
5-cloro-3-(trifluorometil)pirazol-1-ilo	X^2	G-1	*N*,2,2-trimetil-1-indanilamino
3,5-dibromopirazol-1-ilo	X^2	G-1	*N*,2,2-trimetil-1-indanilamino
5-bromo-3-(trifluorometil)pirazol-1-ilo	X^2	G-1	*N*,2,2-trimetil-1-indanilamino
3,5-dietilpirazol-1-ilo	X^2	G-1	*N*,2,2-trimetil-1-indanilamino
5-etil-3-(trifluorometil)pirazol-1-ilo	X^2	G-1	*N*,2,2-trimetil-1-indanilamino
3,5-bis-(trifluorometil)pirazol-1-ilo	X^2	G-1	*N*,2,2-trimetil-1-indanilamino
1-metil-3-(trifluorometil)pirazol-5-ilo	X^2	G-1	*N*,2,2-trimetil-1-indanilamino
1-metil-4-(trifluorometil)imidazol-2-ilo	X^2	G-1	*N*,2,2-trimetil-1-indanilamino
2,5-diclorofenilo	X^2	G-1	*N*-metil-3-hidroxi-1-indanilamino
2-cloro-5-(trifluorometil)fenilo	X^2	G-1	*N*-metil-3-hidroxi-1-indanilamino
2,5-dimetilfenilo	X^2	G-1	*N*-metil-3-hidroxi-1-indanilamino
2-metil-5-(trifluorometil)fenilo	X^2	G-1	*N*-metil-3-hidroxi-1-indanilamino
3,5-dimetilpirazol-1-ilo	X^2	G-1	*N*-metil-3-hidroxi-1-indanilamino
5-metil-3-(trifluorometil)pirazol-1-ilo	X^2	G-1	*N*-metil-3-hidroxi-1-indanilamino

	R^1	X*	G**	Q
	3,5-dicloropirazol-1-ilo	X^2	G-1	*N*-metil-3-hidroxi-1-indanilamino
	5-cloro-3-(trifluorometil)pirazol-1-ilo	X^2	G-1	*N*-metil-3-hidroxi-1-indanilamino
	3,5-dibromopirazol-1-ilo	X^2	G-1	*N*-metil-3-hidroxi-1-indanilamino
5	5-bromo-3-(trifluorometil)pirazol-1-ilo	X^2	G-1	*N*-metil-3-hidroxi-1-indanilamino
	3,5-dietilpirazol-1-ilo	X^2	G-1	*N*-metil-3-hidroxi-1-indanilamino
	5-etil-3-(trifluorometil)pirazol-1-ilo	X^2	G-1	*N*-metil-3-hidroxi-1-indanilamino
	3,5-bis-(trifluorometil)pirazol-1-ilo	X^2	G-1	*N*-metil-3-hidroxi-1-indanilamino
	1-metil-3-(trifluorometil)pirazol-5-ilo	X^2	G-1	*N*-metil-3-hidroxi-1-indanilamino
10	1-metil-4-(trifluorometil)imidazol-2-ilo	X^2	G-1	*N*-metil-3-hidroxi-1-indanilamino
	2,5-diclorofenilo	X^2	G-1	*N*-metil-3-oxo-1-indanilamino
	2-cloro-5-(trifluorometil)fenilo	X^2	G-1	*N*-metil-3-oxo-1-indanilamino
	2,5-dimetilfenilo	X^2	G-1	*N*-metil-3-oxo-1-indanilamino
	2-metil-5-(trifluorometil)fenilo	X^2	G-1	*N*-metil-3-oxo-1-indanilamino
15	3,5-dimetilpirazol-1-ilo	X^2	G-1	*N*-metil-3-oxo-1-indanilamino
	5-metil-3-(trifluorometil)pirazol-1-ilo	X^2	G-1	*N*-metil-3-oxo-1-indanilamino
	3,5-dicloropirazol-1-ilo	X^2	G-1	*N*-metil-3-oxo-1-indanilamino
	5-cloro-3-(trifluorometil)pirazol-1-ilo	X^2	G-1	*N*-metil-3-oxo-1-indanilamino
	3,5-dibromopirazol-1-ilo	X^2	G-1	*N*-metil-3-oxo-1-indanilamino
20	5-bromo-3-(trifluorometil)pirazol-1-ilo	X^2	G-1	*N*-metil-3-oxo-1-indanilamino
	3,5-dietilpirazol-1-ilo	X^2	G-1	*N*-metil-3-oxo-1-indanilamino
	5-etil-3-(trifluorometil)pirazol-1-ilo	X^2	G-1	*N*-metil-3-oxo-1-indanilamino
	3,5-bis-(trifluorometil)pirazol-1-ilo	X^2	G-1	*N*-metil-3-oxo-1-indanilamino
	1-metil-3-(trifluorometil)pirazol-5-ilo	X^2	G-1	*N*-metil-3-oxo-1-indanilamino
25	1-metil-4-(trifluorometil)imidazol-2-ilo	X^2	G-1	*N*-metil-3-oxo-1-indanilamino

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R^1	X*	G**	Q
2,5-diclorofenilo	X^2	G-2	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino
2-cloro-5-(trifluorometil)fenilo	X^2	G-2	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino
2,5-dimetilfenilo	X^2	G-2	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino
2-metil-5-(trifluorometil)fenilo	X^2	G-2	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dimetilpirazol-1-ilo	X^2	G-2	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino
5-metil-3-(trifluorometil)pirazol-1-ilo	X^2	G-2	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dicloropirazol-1-ilo	X^2	G-2	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino
5-cloro-3-(trifluorometil)pirazol-1-ilo	X^2	G-2	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dibromopirazol-1-ilo	X^2	G-2	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino
5-bromo-3-(trifluorometil)pirazol-1-ilo	X^2	G-2	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dietilpirazol-1-ilo	X^2	G-2	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino
5-etil-3-(trifluorometil)pirazol-1-ilo	X^2	G-2	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino

R^1	X*	G**	Q
3,5-bis-(trifluorometil)pirazol-1-ilo	X^2	G-2	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino
1-metil-3-(trifluorometil)pirazol-5-ilo	X^2	G-2	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino
1-metil-4-(trifluorometil)imidazol-2-ilo	X^2	G-2	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino
2,5-diclorofenilo	X^2	G-2	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino
2-cloro-5-(trifluorometil)fenilo	X^2	G-2	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino
2,5-dimetilfenilo	X^2	G-2	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino
2-metil-5-(trifluorometil)fenilo	X^2	G-2	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dimetilpirazol-1-ilo	X^2	G-2	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino
5-metil-3-(trifluorometil)pirazol-1-ilo	X^2	G-2	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dicloropirazol-1-ilo	X^2	G-2	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino
5-cloro-3-(trifluorometil)pirazol-1-ilo	X^2	G-2	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dibromopirazol-1-ilo	X^2	G-2	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino

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R^1	X*	G**	Q
5-bromo-3-(trifluorometil)pirazol-1-ilo	X^2	G-2	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dietilpirazol-1-ilo	X^2	G-2	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino
5-etil-3-(trifluorometil)pirazol-1-ilo	X^2	G-2	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-bis-(trifluorometil)pirazol-1-ilo	X^2	G-2	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino
1-metil-3-(trifluorometil)pirazol-5-ilo	X^2	G-2	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino
1-metil-4-(trifluorometil)imidazol-2-ilo	X^2	G-2	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino
2,5-diclorofenilo	X^2	G-2	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino
2-cloro-5-(trifluorometil)fenilo	X^2	G-2	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino
2,5-dimetilfenilo	X^2	G-2	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino
2-metil-5-(trifluorometil)fenilo	X^2	G-2	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dimetilpirazol-1-ilo	X^2	G-2	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino
5-metil-3-(trifluorometil)pirazol-1-ilo	X^2	G-2	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino

R^1	X*	G**	Q
3,5-dicloropirazol-1-ilo	X^2	G-2	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino
5-cloro-3-(trifluorometil)pirazol-1-ilo	X^2	G-2	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dibromopirazol-1-ilo	X^2	G-2	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino
5-bromo-3-(trifluorometil)pirazol-1-ilo	X^2	G-2	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dietilpirazol-1-ilo	X^2	G-2	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino
5-etil-3-(trifluorometil)pirazol-1-ilo	X^2	G-2	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-bis-(trifluorometil)pirazol-1-ilo	X^2	G-2	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino
1-metil-3-(trifluorometil)pirazol-5-ilo	X^2	G-2	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino
1-metil-4-(trifluorometil)imidazol-2-ilo	X^2	G-2	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino
2,5-diclorofenilo	X^2	G-2	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino
2-cloro-5-(trifluorometil)fenilo	X^2	G-2	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino
2,5-dimetilfenilo	X^2	G-2	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino

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R^1	X*	G**	Q
2-metil-5-(trifluorometil)fenilo	X^2	G-2	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dimetilpirazol-1-ilo	X^2	G-2	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino
5-metil-3-(trifluorometil)pirazol-1-ilo	X^2	G-2	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dicloropirazol-1-ilo	X^2	G-2	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino
5-cloro-3-(trifluorometil)pirazol-1-ilo	X^2	G-2	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dibromopirazol-1-ilo	X^2	G-2	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino
5-bromo-3-(trifluorometil)pirazol-1-ilo	X^2	G-2	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dietilpirazol-1-ilo	X^2	G-2	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino
5-etil-3-(trifluorometil)pirazol-1-ilo	X^2	G-2	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-bis-(trifluorometil)pirazol-1-ilo	X^2	G-2	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino
1-metil-3-(trifluorometil)pirazol-5-ilo	X^2	G-2	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino
1-metil-4-(trifluorometil)imidazol-2-ilo	X^2	G-2	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino

	R^1	X*	G**	Q
	2,5-diclorofenilo	X^2	G-2	*N*,2-dimetil-1-indanilamino
	2-cloro-5-(trifluorometil)fenilo	X^2	G-2	*N*,2-dimetil-1-indanilamino
	2,5-dimetilfenilo	X^2	G-2	*N*,2-dimetil-1-indanilamino
5	2-metil-5-(trifluorometil)fenilo	X^2	G-2	*N*,2-dimetil-1-indanilamino
	3,5-dimetilpirazol-1-ilo	X^2	G-2	*N*,2-dimetil-1-indanilamino
	5-metil-3-(trifluorometil)pirazol-1-ilo	X^2	G-2	*N*,2-dimetil-1-indanilamino
	3,5-dicloropirazol-1-ilo	X^2	G-2	*N*,2-dimetil-1-indanilamino
	5-cloro-3-(trifluorometil)pirazol-1-ilo	X^2	G-2	*N*,2-dimetil-1-indanilamino
10	3,5-dibromopirazol-1-ilo	X^2	G-2	*N*,2-dimetil-1-indanilamino
	5-bromo-3-(trifluorometil)pirazol-1-ilo	X^2	G-2	*N*,2-dimetil-1-indanilamino
	3,5-dietilpirazol-1-ilo	X^2	G-2	*N*,2-dimetil-1-indanilamino
	5-etil-3-(trifluorometil)pirazol-1-ilo	X^2	G-2	*N*,2-dimetil-1-indanilamino
	3,5-bis-(trifluorometil)pirazol-1-ilo	X^2	G-2	*N*,2-dimetil-1-indanilamino
15	1-metil-3-(trifluorometil)pirazol-5-ilo	X^2	G-2	*N*,2-dimetil-1-indanilamino
	1-metil-4-(trifluorometil)imidazol-2-ilo	X^2	G-2	*N*,2-dimetil-1-indanilamino
	2,5-diclorofenilo	X^2	G-2	*N*,2,2-trimetil-1-indanilamino
	2-cloro-5-(trifluorometil)fenilo	X^2	G-2	*N*,2,2-trimetil-1-indanilamino
	2,5-dimetilfenilo	X^2	G-2	*N*,2,2-trimetil-1-indanilamino
20	2-metil-5-(trifluorometil)fenilo	X^2	G-2	*N*,2,2-trimetil-1-indanilamino
	3,5-dimetilpirazol-1-ilo	X^2	G-2	*N*,2,2-trimetil-1-indanilamino
	5-metil-3-(trifluorometil)pirazol-1-ilo	X^2	G-2	*N*,2,2-trimetil-1-indanilamino
	3,5-dicloropirazol-1-ilo	X^2	G-2	*N*,2,2-trimetil-1-indanilamino
	5-cloro-3-(trifluorometil)pirazol-1-ilo	X^2	G-2	*N*,2,2-trimetil-1-indanilamino
25	3,5-dibromopirazol-1-ilo	X^2	G-2	*N*,2,2-trimetil-1-indanilamino

R^1	X*	G**	Q
5-bromo-3-(trifluorometil)pirazol-1-ilo	X^2	G-2	*N*,2,2-trimetil-1-indanilamino
3,5-dietilpirazol-1-ilo	X^2	G-2	*N*,2,2-trimetil-1-indanilamino
5-etil-3-(trifluorometil)pirazol-1-ilo	X^2	G-2	*N*,2,2-trimetil-1-indanilamino
3,5-bis-(trifluorometil)pirazol-1-ilo	X^2	G-2	*N*,2,2-trimetil-1-indanilamino
1-metil-3-(trifluorometil)pirazol-5-ilo	X^2	G-2	*N*,2,2-trimetil-1-indanilamino
1-metil-4-(trifluorometil)imidazol-2-ilo	X^2	G-2	*N*,2,2-trimetil-1-indanilamino
2,5-diclorofenilo	X^2	G-2	*N*-metil-3-hidroxi-1-indanilamino
2-cloro-5-(trifluorometil)fenilo	X^2	G-2	*N*-metil-3-hidroxi-1-indanilamino
2,5-dimetilfenilo	X^2	G-2	*N*-metil-3-hidroxi-1-indanilamino
2-metil-5-(trifluorometil)fenilo	X^2	G-2	*N*-metil-3-hidroxi-1-indanilamino
3,5-dimetilpirazol-1-ilo	X^2	G-2	*N*-metil-3-hidroxi-1-indanilamino
5-metil-3-(trifluorometil)pirazol-1-ilo	X^2	G-2	*N*-metil-3-hidroxi-1-indanilamino
3,5-dicloropirazol-1-ilo	X^2	G-2	*N*-metil-3-hidroxi-1-indanilamino
5-cloro-3-(trifluorometil)pirazol-1-ilo	X^2	G-2	*N*-metil-3-hidroxi-1-indanilamino
3,5-dibromopirazol-1-ilo	X^2	G-2	*N*-metil-3-hidroxi-1-indanilamino
5-bromo-3-(trifluorometil)pirazol-1-ilo	X^2	G-2	*N*-metil-3-hidroxi-1-indanilamino
3,5-dietilpirazol-1-ilo	X^2	G-2	*N*-metil-3-hidroxi-1-indanilamino
5-etil-3-(trifluorometil)pirazol-1-ilo	X^2	G-2	*N*-metil-3-hidroxi-1-indanilamino
3,5-bis-(trifluorometil)pirazol-1-ilo	X^2	G-2	*N*-metil-3-hidroxi-1-indanilamino
1-metil-3-(trifluorometil)pirazol-5-ilo	X^2	G-2	*N*-metil-3-hidroxi-1-indanilamino
1-metil-4-(trifluorometil)imidazol-2-ilo	X^2	G-2	*N*-metil-3-hidroxi-1-indanilamino
2,5-diclorofenilo	X^2	G-2	*N*-metil-3-oxo-1-indanilamino
2-cloro-5-(trifluorometil)fenilo	X^2	G-2	*N*-metil-3-oxo-1-indanilamino
2,5-dimetilfenilo	X^2	G-2	*N*-metil-3-oxo-1-indanilamino

R^1	X*	G**	Q
2-metil-5-(trifluorometil)fenilo	X^2	G-2	*N*-metil-3-oxo-1-indanilamino
3,5-dimetilpirazol-1-ilo	X^2	G-2	*N*-metil-3-oxo-1-indanilamino
5-metil-3-(trifluorometil)pirazol-1-ilo	X^2	G-2	*N*-metil-3-oxo-1-indanilamino
3,5-dicloropirazol-1-ilo	X^2	G-2	*N*-metil-3-oxo-1-indanilamino
5-cloro-3-(trifluorometil)pirazol-1-ilo	X^2	G-2	*N*-metil-3-oxo-1-indanilamino
3,5-dibromopirazol-1-ilo	X^2	G-2	*N*-metil-3-oxo-1-indanilamino
5-bromo-3-(trifluorometil)pirazol-1-ilo	X^2	G-2	*N*-metil-3-oxo-1-indanilamino
3,5-dietilpirazol-1-ilo	X^2	G-2	*N*-metil-3-oxo-1-indanilamino
5-etil-3-(trifluorometil)pirazol-1-ilo	X^2	G-2	*N*-metil-3-oxo-1-indanilamino
3,5-bis-(trifluorometil)pirazol-1-ilo	X^2	G-2	*N*-metil-3-oxo-1-indanilamino
1-metil-3-(trifluorometil)pirazol-5-ilo	X^2	G-2	*N*-metil-3-oxo-1-indanilamino
1-metil-4-(trifluorometil)imidazol-2-ilo	X^2	G-2	*N*-metil-3-oxo-1-indanilamino
2,5-diclorofenilo	X^3	G-1	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino
2-cloro-5-(trifluorometil)fenilo	X^3	G-1	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino
2,5-dimetilfenilo	X^3	G-1	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino
2-metil-5-(trifluorometil)fenilo	X^3	G-1	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dimetilpirazol-1-ilo	X^3	G-1	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino
5-metil-3-(trifluorometil)pirazol-1-ilo	X^3	G-1	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino

R^1	X*	G**	Q
3,5-dicloropirazol-1-ilo	X^3	G-1	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino
5-cloro-3-(trifluorometil)pirazol-1-ilo	X^3	G-1	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dibromopirazol-1-ilo	X^3	G-1	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino
5-bromo-3-(trifluorometil)pirazol-1-ilo	X^3	G-1	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dietilpirazol-1-ilo	X^3	G-1	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino
5-etil-3-(trifluorometil)pirazol-1-ilo	X^3	G-1	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-bis-(trifluorometil)pirazol-1-ilo	X^3	G-1	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino
1-metil-3-(trifluorometil)pirazol-5-ilo	X^3	G-1	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino
1-metil-4-(trifluorometil)imidazol-2-ilo	X^3	G-1	*N*-metil-4-hidroxi-1,2,3,4-tetrahidro-1-naftalenilamino
2,5-diclorofenilo	X^3	G-1	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino
2-cloro-5-(trifluorometil)fenilo	X^3	G-1	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino
2,5-dimetilfenilo	X^3	G-1	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino

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R^1	X*	G**	Q
2-metil-5-(trifluorometil)fenilo	X^3	G-1	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dimetilpirazol-1-ilo	X^3	G-1	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino
5-metil-3-(trifluorometil)pirazol-1-ilo	X^3	G-1	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dicloropirazol-1-ilo	X^3	G-1	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino
5-cloro-3-(trifluorometil)pirazol-1-ilo	X^3	G-1	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dibromopirazol-1-ilo	X^3	G-1	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino
5-bromo-3-(trifluorometil)pirazol-1-ilo	X^3	G-1	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dietilpirazol-1-ilo	X^3	G-1	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino
5-etil-3-(trifluorometil)pirazol-1-ilo	X^3	G-1	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-bis-(trifluorometil)pirazol-1-ilo	X^3	G-1	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino
1-metil-3-(trifluorometil)pirazol-5-ilo	X^3	G-1	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino
1-metil-4-(trifluorometil)imidazol-2-ilo	X^3	G-1	*N*,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilamino

R^1	X*	G**	Q
2,5-diclorofenilo	X^3	G-1	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino
2-cloro-5-(trifluorometil)fenilo	X^3	G-1	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino
2,5-dimetilfenilo	X^3	G-1	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino
2-metil-5-(trifluorometil)fenilo	X^3	G-1	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dimetilpirazol-1-ilo	X^3	G-1	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino
5-metil-3-(trifluorometil)pirazol-1-ilo	X^3	G-1	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dicloropirazol-1-ilo	X^3	G-1	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino
5-cloro-3-(trifluorometil)pirazol-1-ilo	X^3	G-1	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dibromopirazol-1-ilo	X^3	G-1	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino
5-bromo-3-(trifluorometil)pirazol-1-ilo	X^3	G-1	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dietilpirazol-1-ilo	X^3	G-1	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino
5-etil-3-(trifluorometil)pirazol-1-ilo	X^3	G-1	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino

R^1	X*	G**	Q
3,5-bis-(trifluorometil)pirazol-1-ilo	X^3	G-1	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino
1-metil-3-(trifluorometil)pirazol-5-ilo	X^3	G-1	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino
1-metil-4-(trifluorometil)imidazol-2-ilo	X^3	G-1	*N*,2,2-trimetil-1,2,3,4-tetrahidro-1-naftalenilamino
2,5-diclorofenilo	X^3	G-1	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino
2-cloro-5-(trifluorometil)fenilo	X^3	G-1	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino
2,5-dimetilfenilo	X^3	G-1	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino
2-metil-5-(trifluorometil)fenilo	X^3	G-1	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dimetilpirazol-1-ilo	X^3	G-1	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino
5-metil-3-(trifluorometil)pirazol-1-ilo	X^3	G-1	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dicloropirazol-1-ilo	X^3	G-1	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino
5-cloro-3-(trifluorometil)pirazol-1-ilo	X^3	G-1	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dibromopirazol-1-ilo	X^3	G-1	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino

R^1	X*	G**	Q
5-bromo-3-(trifluorometil)pirazol-1-ilo	X^3	G-1	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-dietilpirazol-1-ilo	X^3	G-1	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino
5-etil-3-(trifluorometil)pirazol-1-ilo	X^3	G-1	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino
3,5-bis-(trifluorometil)pirazol-1-ilo	X^3	G-1	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino
1-metil-3-(trifluorometil)pirazol-5-ilo	X^3	G-1	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino
1-metil-4-(trifluorometil)imidazol-2-ilo	X^3	G-1	*N*-metil-4-oxo-1,2,3,4-tetrahidro-1-naftalenilamino
2,5-diclorofenilo	X^3	G-1	*N*,2-dimetil-1-indanilamino
2-cloro-5-(trifluorometil)fenilo	X^3	G-1	*N*,2-dimetil-1-indanilamino
2,5-dimetilfenilo	X^3	G-1	*N*,2-dimetil-1-indanilamino
2-metil-5-(trifluorometil)fenilo	X^3	G-1	*N*,2-dimetil-1-indanilamino
3,5-dimetilpirazol-1-ilo	X^3	G-1	*N*,2-dimetil-1-indanilamino
5-metil-3-(trifluorometil)pirazol-1-ilo	X^3	G-1	*N*,2-dimetil-1-indanilamino
3,5-dicloropirazol-1-ilo	X^3	G-1	*N*,2-dimetil-1-indanilamino
5-cloro-3-(trifluorometil)pirazol-1-ilo	X^3	G-1	*N*,2-dimetil-1-indanilamino
3,5-dibromopirazol-1-ilo	X^3	G-1	*N*,2-dimetil-1-indanilamino
5-bromo-3-(trifluorometil)pirazol-1-ilo	X^3	G-1	*N*,2-dimetil-1-indanilamino
3,5-dietilpirazol-1-ilo	X^3	G-1	*N*,2-dimetil-1-indanilamino
5-etil-3-(trifluorometil)pirazol-1-ilo	X^3	G-1	*N*,2-dimetil-1-indanilamino

R^1	X*	G**	Q
3,5-bis-(trifluorometil)pirazol-1-ilo	X^3	G-1	*N*,2-dimetil-1-indanilamino
1-metil-3-(trifluorometil)pirazol-5-ilo	X^3	G-1	*N*,2-dimetil-1-indanilamino
1-metil-4-(trifluorometil)imidazol-2-ilo	X^3	G-1	*N*,2-dimetil-1-indanilamino
2,5-diclorofenilo	X^3	G-1	*N*,2,2-trimetil-1-indanilamino
2-cloro-5-(trifluorometil)fenilo	X^3	G-1	*N*,2,2-trimetil-1-indanilamino
2,5-dimetilfenilo	X^3	G-1	*N*,2,2-trimetil-1-indanilamino
2-metil-5-(trifluorometil)fenilo	X^3	G-1	*N*,2,2-trimetil-1-indanilamino
3,5-dimetilpirazol-1-ilo	X^3	G-1	*N*,2,2-trimetil-1-indanilamino
5-metil-3-(trifluorometil)pirazol-1-ilo	X^3	G-1	*N*,2,2-trimetil-1-indanilamino
3,5-dicloropirazol-1-ilo	X^3	G-1	*N*,2,2-trimetil-1-indanilamino
5-cloro-3-(trifluorometil)pirazol-1-ilo	X^3	G-1	*N*,2,2-trimetil-1-indanilamino
3,5-dibromopirazol-1-ilo	X^3	G-1	*N*,2,2-trimetil-1-indanilamino
5-bromo-3-(trifluorometil)pirazol-1-ilo	X^3	G-1	*N*,2,2-trimetil-1-indanilamino
3,5-dietilpirazol-1-ilo	X^3	G-1	*N*,2,2-trimetil-1-indanilamino
5-etil-3-(trifluorometil)pirazol-1-ilo	X^3	G-1	*N*,2,2-trimetil-1-indanilamino
3,5-bis-(trifluorometil)pirazol-1-ilo	X^3	G-1	*N*,2,2-trimetil-1-indanilamino
1-metil-3-(trifluorometil)pirazol-5-ilo	X^3	G-1	*N*,2,2-trimetil-1-indanilamino
1-metil-4-(trifluorometil)imidazol-2-ilo	X^3	G-1	*N*,2,2-trimetil-1-indanilamino
2,5-diclorofenilo	X^3	G-1	*N*-metil-3-hidroxi-1-indanilamino
2-cloro-5-(trifluorometil)fenilo	X^3	G-1	*N*-metil-3-hidroxi-1-indanilamino
2,5-dimetilfenilo	X^3	G-1	*N*-metil-3-hidroxi-1-indanilamino
2-metil-5-(trifluorometil)fenilo	X^3	G-1	*N*-metil-3-hidroxi-1-indanilamino
3,5-dimetilpirazol-1-ilo	X^3	G-1	*N*-metil-3-hidroxi-1-indanilamino
5-metil-3-(trifluorometil)pirazol-1-ilo	X^3	G-1	*N*-metil-3-hidroxi-1-indanilamino

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	R^1	X*	G**	Q
	3,5-dicloropirazol-1-ilo	X^3	G-1	*N*-metil-3-hidroxi-1-indanilamino
	5-cloro-3-(trifluorometil)pirazol-1-ilo	X^3	G-1	*N*-metil-3-hidroxi-1-indanilamino
	3,5-dibromopirazol-1-ilo	X^3	G-1	*N*-metil-3-hidroxi-1-indanilamino
	5-bromo-3-(trifluorometil)pirazol-1-ilo	X^3	G-1	*N*-metil-3-hidroxi-1-indanilamino
	3,5-dietilpirazol-1-ilo	X^3	G-1	*N*-metil-3-hidroxi-1-indanilamino
	5-etil-3-(trifluorometil)pirazol-1-ilo	X^3	G-1	*N*-metil-3-hidroxi-1-indanilamino
	3,5-bis-(trifluorometil)pirazol-1-ilo	X^3	G-1	*N*-metil-3-hidroxi-1-indanilamino
	1-metil-3-(trifluorometil)pirazol-5-ilo	X^3	G-1	*N*-metil-3-hidroxi-1-indanilamino
	1-metil-4-(trifluorometil)imidazol-2-ilo	X^3	G-1	*N*-metil-3-hidroxi-1-indanilamino
	2,5-diclorofenilo	X^3	G-1	*N*-metil-3-oxo-1-indanilamino
	2-cloro-5-(trifluorometil)fenilo	X^3	G-1	*N*-metil-3-oxo-1-indanilamino
	2,5-dimetilfenilo	X^3	G-1	*N*-metil-3-oxo-1-indanilamino
	2-metil-5-(trifluorometil)fenilo	X^3	G-1	*N*-metil-3-oxo-1-indanilamino
	3,5-dimetilpirazol-1-ilo	X^3	G-1	*N*-metil-3-oxo-1-indanilamino
	5-metil-3-(trifluorometil)pirazol-1-ilo	X^3	G-1	*N*-metil-3-oxo-1-indanilamino
	3,5-dicloropirazol-1-ilo	X^3	G-1	*N*-metil-3-oxo-1-indanilamino
	5-cloro-3-(trifluorometil)pirazol-1-ilo	X^3	G-1	*N*-metil-3-oxo-1-indanilamino
	3,5-dibromopirazol-1-ilo	X^3	G-1	*N*-metil-3-oxo-1-indanilamino
	5-bromo-3-(trifluorometil)pirazol-1-ilo	X^3	G-1	*N*-metil-3-oxo-1-indanilamino
	3,5-dietilpirazol-1-ilo	X^3	G-1	*N*-metil-3-oxo-1-indanilamino
	5-etil-3-(trifluorometil)pirazol-1-ilo	X^3	G-1	*N*-metil-3-oxo-1-indanilamino
	3,5-bis-(trifluorometil)pirazol-1-ilo	X^3	G-1	*N*-metil-3-oxo-1-indanilamino
	1-metil-3-(trifluorometil)pirazol-5-ilo	X^3	G-1	*N*-metil-3-oxo-1-indanilamino
	1-metil-4-(trifluorometil)imidazol-2-ilo	X^3	G-1	*N*-metil-3-oxo-1-indanilamino

R^1	X*	G**	Q
5-metil-3-(trifluorometil)pirazol-1-ilo	X^4	G-1	(1*R*)-*N*-metil-1,2,3,4-tetrahidro-1-naftalenilamino
5-metil-3-(trifluorometil)pirazol-1-ilo	X^5	G-1	(1*R*)-*N*-metil-1,2,3,4-tetrahidro-1-naftalenilamino
5-metil-3-(trifluorometil)pirazol-1-ilo	X^6	G-1	(1*R*)-*N*-metil-1,2,3,4-tetrahidro-1-naftalenilamino
5-metil-3-(trifluorometil)pirazol-1-ilo	X^7	G-1	(1*R*)-*N*-metil-1,2,3,4-tetrahidro-1-naftalenilamino
5-metil-3-(trifluorometil)pirazol-1-ilo	X^8	G-1	(1*R*)-*N*-metil-1,2,3,4-tetrahidro-1-naftalenilamino
5-metil-3-(trifluorometil)pirazol-1-ilo	X^4	G-2	(1*R*)-*N*-metil-1,2,3,4-tetrahidro-1-naftalenilamino
5-metil-3-(trifluorometil)pirazol-1-ilo	X^5	G-2	(1*R*)-*N*-metil-1,2,3,4-tetrahidro-1-naftalenilamino
5-metil-3-(trifluorometil)pirazol-1-ilo	X^6	G-2	(1*R*)-*N*-metil-1,2,3,4-tetrahidro-1-naftalenilamino
5-metil-3-(trifluorometil)pirazol-1-ilo	X^7	G-2	(1*R*)-*N*-metil-1,2,3,4-tetrahidro-1-naftalenilamino
5-metil-3-(trifluorometil)pirazol-1-ilo	X^8	G-2	(1*R*)-*N*-metil-1,2,3,4-tetrahidro-1-naftalenilamino
5-metil-3-(trifluorometil)pirazol-1-ilo	X^1	G-1	*N*-metil-1-fenilciclopropil-1-ilamino
5-metil-3-(trifluorometil)pirazol-1-ilo	X^1	G-1	*N*-metil-1-fenilciclopropil-1-ilamino

* R^2 es H.

** R^{3a} es H.

Formulación/Utilidad

Un compuesto de esta invención se utilizará generalmente como un ingrediente activo fungicida en una composición, es decir una formulación, con al menos un componente adicional seleccionado del grupo que consiste de surfactantes, diluyentes sólidos y diluyentes líquidos, el cual sirve como portador. Los ingredientes de la formulación o composición se seleccionan para ser consistentes con las propiedades físicas del ingrediente activo, modo de aplicación y factores ambientales, tales como tipo de suelo, humedad y temperatura.

Las formulaciones útiles incluyen composiciones tanto líquidas como sólidas. Las composiciones líquidas incluyen soluciones (inclusive concentrados emulsionables), suspensiones, emulsiones (incluso microemulsiones y/o suspoemulsiones) y similares, las cuales pueden ser condensadas opcionalmente en geles. Los tipos generales de composiciones líquidas acuosas son el concentrado soluble, concentrado de suspensión, suspensión en cápsula, emulsión concentrada, microemulsión y suspo-emulsión. Los tipos generales de composiciones líquidas no acuosas son el concentrado emulsionable, concentrado microemulsionable, concentrado dispersable y dispersión oleosa.

Los tipos generales de composiciones sólidas son polvos finos, polvos, gránulos, pelotillas, píldoras,

pastillas, tabletas, películas rellenas (inclusive revestimientos de semillas) y similares, las cuales pueden ser despersables en agua ("humedecibles") o solubles en agua. Las películas y los revestimientos formados a partir de soluciones formadoras de película o suspensiones fluidas son particularmente útiles para el tratamiento de semillas. El ingrediente activo puede ser (micro)encapsulado y además se le puede dar forma de una suspensión o formulación sólida; alternativamente la formulación completa de ingrediente activo puede ser encapsulada (o "sobrecubierta"). El encapsulamiento puede controlar o retardar la liberación del ingrediente activo. Un gránulo emulsionable combina las ventajas de tanto una formulación de concentrado emulsionable como una formulación granular seca. Las composiciones muy concentradas se utilizan principalmente como productos intermediarios para la formulación adicional.

Las formulaciones pulverizables se extienden típicamente en un medio adecuado antes de la pulverización. Estas formulaciones líquidas y sólidas se formulan para diluirse fácilmente en el medio pulverizable, usualmente agua. Los volúmenes de pulverización pueden variar de aproximadamente uno a varios miles de litros por hectárea, pero más típicamente están en el rango de aproximadamente diez a varios cientos de litros por hectárea. Las formulaciones pulverizables pueden mezclarse en un tanque con agua u otro medio adecuado para el

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tratamiento foliar por medio de la aplicación aérea o terrestre o para la aplicación al medio de crecimiento de la planta. Las formulaciones líquidas y secas se pueden medir directamente en sistemas de irrigación por inmersión o se pueden medir en el surco durante la plantación. Las formulaciones líquidas y sólidas se pueden aplicar sobre las semillas vegetales como tratamientos de semillas antes de la plantación para proteger las raíces en desarrollo y otras partes subterráneas de la planta y/o follaje a través de la captación sistémica.

Las formulaciones contendrán típicamente cantidades efectivas de ingrediente activo, diluyente y surfactante dentro de los siguientes rangos aproximados que suman hasta 100 por ciento en peso.

	Porcentaje en peso		
	Ingrediente		
	Activo	Diluyente	Surfactante
Gránulos, Tabletas y Polvos Dispersables en agua y solubles en agua	0.001-90	0-99.999	0-15
Dispersiones Oleosas, Suspensiones, Emulsiones, Soluciones (inclusive Concentrados Emulsionables)	1-50	40-99	0-50
Polvos Muy Finos	1-25	70-99	0-5
Gránulos y Pelotillas	0.001-99	5-99.999	0-15
Composiciones Muy Concentradas	90-99	0-10	0-2

Los diluyentes sólidos incluyen, por ejemplo, arcillas tales como bentonita, montmorillonita, atapulgita y caolín, yeso, celulosa, dióxido de titanio, óxido de cinc, almidón, dextrina, azúcares (por ejemplo, lactosa, sacarosa), sílice, talco, mica, tierra diatomácea, urea, carbonato de calcio, carbonato de sodio y bicarbonato y sulfato de sodio. Los diluyentes sólidos típicos se describen en Watkins y colaboradores, *Handbook of Insecticide Dust Diluents and Carriers*, 2a ed., Dorland Books, Caldwell, Nueva Jersey.

Los diluyentes líquidos incluyen, por ejemplo, agua, *N,N*-dimetilalcanamidas (por ejemplo, *N,N*-dimetilformamida), limoneno, sulfóxido de dimetilo, *N*-alquilpirrolidonas (por ejemplo, *N*-metilpirrolidinona), etilenglicol, trietilenglicol, propilenglicol, dipropilenglicol, polipropilenglicol, carbonato de propileno, carbonato de butileno, parafinas (por ejemplo, aceites minerales blancos, parafinas normales, isoparafinas), alquilbencenos, alquilnaftalenos, glicerina, triacetato de glicerol, sorbitol, triacetina, hidrocarburos aromáticos, elementos alifáticos desaromatizados, alquilbencenos, alquilnaftalenos, cetonas tales como ciclohexanona, 2-heptanona, isoforona y 4-hidroxi-4-metil-2-pentanona, acetatos tales como acetato de isoamilo, acetato de hexilo, acetato de heptilo, acetato de octilo, acetato de nonilo, acetato de tridecilo y acetato de isobornilo, otros ésteres

tales como ésteres de lactato alquilado, ésteres dibásicos y γ-butirolactona y alcoholes, los cuales pueden ser lineales, ramificados, saturados o insaturados, tales como metanol, etanol, *n*-propanol, alcohol isopropílico, *n*-butanol, alcohol isobutílico, *n*-hexanol, 2-etilhexanol, *n*-octanol, decanol, alcohol isodecílico, isooctadecanol, alcohol cetílico, alcohol laurílico, alcohol tridecílico, alcohol oleílico, ciclohexanol, alcohol tetrahidrofurfurílico, alcohol de diacetona y alcohol bencílico. Los diluyentes líquidos también incluyen ésteres de glicerol de ácidos grasos saturados e insaturados (típicamente de 6 a 22 átomos de carbono), tales como aceites de semillas de plantas y frutas (por ejemplo aceites de olivo, ricino, linaza, ajonjolí, maíz, cacahuate, girasol, semilla de uva, cártamo, semilla de algodón, semilla de soya, semilla de colza, coco y núcleo de palma), grasas de origen animal (por ejemplo, cebo de vaca, cebo de cerdo, manteca, aceite de hígado de bacalao, aceite de pescado) y mezclas de los mismos. Los diluyentes líquidos también incluyen ácidos grasos alquilados (por ejemplo metilados, etilados, butilados) en donde los ácidos grasos se pueden obtener por medio de la hidrólisis de ésteres de glicerol de fuentes vegetales y animales y se pueden purificar por medio de la destilación. Los diluyentes líquidos típicos se describen en Marsden, *Solvents Guide*, 2ª Edición, Interscience, Nueva York, 1950.

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Las composiciones sólidas y líquidas de la presente invención incluyen frecuentemente uno o más surfactantes. Los surfactantes se pueden clasificar como no iónicos, aniónicos o catiónicos. Los surfactantes no iónicos que son útiles para las presentes composiciones incluyen, pero no están limitados a: alcoxilatos de alcohol tales como alcoxilatos de alcohol basados en alcoholes naturales y sintéticos (los cuales pueden ser ramificados o lineales) y preparados a partir de los alcoholes y el óxido de etileno, óxido de propileno, óxido de butileno o mezclas de los mismos; etoxilatos de amina, alcanolamidas y alcanolamidas etoxiladas; triglicéridos alcoxilados tales como aceites etoxilados de semilla de soya, ricino y semilla de colza; alcoxilatos de alquilfenol tales como etoxilatos de octilfenol, etoxilatos de nonilfenol, etoxilatos de dinonil-fenol y etoxilatos de dodecil-fenol (preparados a partir de los fenoles y óxido de etileno, óxido de propileno, óxido de butileno o mezclas de los mismos); polímeros de bloque preparados a partir de óxido de etileno u óxido de propileno y polímeros de bloque inversos donde los bloques terminales se preparan a partir de óxido de propileno; ácidos grasos etoxilados; ésteres grasos etoxilados y aceites; ésteres metílicos etoxilados; triestirilfenol etoxilado (inclusive aquellos preparados a partir de óxido de etileno, óxido de propileno, óxido de butileno o mezclas de los mismos); ésteres de ácidos grasos,

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ésteres de glicerol, derivados basados en lanolina, ésteres de polietoxilato tales como ésteres de ácidos grasos de sorbitan polietoxilados, ésteres de ácidos grasos de sorbitol polietoxilados y ésteres de ácidos grasos de glicerol polietoxilados; otros derivados de sorbitan tales como ésteres de sorbitan; surfactantes poliméricos tales como copolímeros aleatorios, copolímeros de bloque, resinas de alquil-PEG (polietilenglicol), polímeros de injerto o peine y polímeros de estrella; polietilenglicoles (pegs); ésteres de ácidos grasos de polietilenglicol; surfactantes basados en silicón; y derivados de azúcar tales como ésteres de sacarosa, poliglicósidos de alquilo y polisacáridos de alquilo.

Los surfactantes aniónicos que son útiles incluyen, pero no están limitados a: ácidos alquilaril-sulfónicos y sus sales; alcohol carboxilado o etoxilatos de alquilfenol; derivados de sulfonato de difenilo; lignina y derivados de lignina tales como lignosulfonatos; ácidos maleicos o succínicos o sus anhídridos; sulfonatos de olefina; ésteres de fosfato tales como ésteres de fosfato de alcoxilatos de alcohol, ésteres de fosfato de alcoxilatos de alquilfenol y ésteres de fosfato de etoxilatos de estiril-fenol; surfactantes basados en proteínas; derivados de sarcosina; sulfato de éter de estiril-fenol; sulfatos y sulfonatos de aceites y ácidos grasos; sulfatos y sulfonatos de

alquilfenoles etoxilados; sulfatos de alcoholes; sulfatos de alcoholes etoxilados; sulfonatos de aminas y amidas tales como *N,N*-alquiltauratos; sulfonatos de benceno, cumeno, tolueno, xileno y dodecilo y tridecilbencenos; sulfonatos de naftalenos condensados; sulfonatos de naftaleno y alquil-naftaleno; sulfonatos de petróleo fraccionado; sulfosuccinamatos; y sulfosuccinatos y sus derivados tales como sales de dialquil-sulfosuccinato.

Los surfactantes catiónicos que son útiles incluyen, pero no están limitados a: amidas y amidas etoxiladas; aminas tales como *N*-alquil-propanodiaminas, tripropilentriaminas y dipropilentetraminas y aminas etoxiladas, diaminas etoxiladas y aminas propoxiladas (preparadas a partir de las aminas y óxido de etileno, óxido de propileno, óxido de butileno o mezclas de los mismos); sales de amina tales como acetatos de amina y sales de diamina; sales de amonio cuaternario tales como sales cuaternarias, sales cuaternarias etoxiladas y sales dicuaternarias; y óxidos de amina tales como óxidos de alquildimetilamina y óxidos de bis-(2-hidroxietil)-alquilamina.

Para las presentes composiciones también son útiles las mezclas de surfactantes no iónicos y aniónicos o mezclas de surfactantes no iónicos y catiónicos. Los surfactantes no iónicos, aniónicos y catiónicos y sus usos recomendados se

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dan a conocer en una variedad de referencias publicadas que incluyen *McCutcheon's Emulsifiers and Detergents*, annual American and International Editions published by McCutcheon's Division, The Manufacturing Confectioner Publishing Co.; Sisely y Wood, *Encyclopedia of Surface Active Agents*, Chemical Publ. Co., Inc., Nueva York, 1964; y A. S. Davidson y B. Milwidsky, *Synthetic Detergents*, Seventh Edition, John Wiley and Sons, Nueva York, 1987.

Las composiciones de esta invención también pueden contener auxiliares de formulación y aditivos, conocidos para aquellas personas expertas en la técnica como coadyuvantes de formulación. Estos auxiliares de formulación y aditivos pueden controlar: el pH (amortiguadores), la espumación durante el procesamiento (antiespumantes tales como poliorganosiloxanos (por ejemplo, Rhodorsil 416^{MR})), la sedimentación de ingredientes activos (agentes de suspensión), la viscosidad (espesadores tixotrópicos), el crecimiento microbiano dentro del envase (agentes antimicrobianos), el congelamiento del producto (anticongelantes), el color (tintes/dispersiones de pigmentos (por ejemplo Colorante Rojo Prolzed^{MR})), el lavado (formadores de película o etiquetas adhesivas), la evaporación (retardantes de evaporación) y otros atributos de la formación. Los formadores de película incluyen, por ejemplo, acetatos de polivinilo, copolímeros de acetato de

polivinilo, copolímero de polivinilpirrolidona-acetato de vinilo, alcoholes polivinílicos, copolímeros de alcohol polivinílico y ceras. Los ejemplos de auxiliares de formulación y aditivos incluyen aquellos listados en *McCutcheon's Volume 2: Functional Materials*, annual International and North American editions published by McCutcheon's Division, The Manufacturing Confectioner Publishing Co. y la publicación del PCT WO 03/024222.

Será evidente que el alcance de las composiciones de esta invención con relación a los compuestos de la Fórmula **1** junto con el alcance de las composiciones de esta invención con relación a los compuestos que tienen una fórmula que corresponde a la Fórmula **1** excepto que R^1 es 4-fluorofenilo y el alcance de las composiciones de esta invención con relación al compuesto de 2-[1-[(2-clorofenil)acetil]-4-piperidinil]-*N*-metil-*N*-[(1*R*)-1-feniletil]-4-tiazolcarboxamida, corresponde a un alcance de composiciones de compuestos que tienen una fórmula que corresponde a la Fórmula **1** como se describiera anteriormente donde la condición (a) y la condición (c) son omitidas ambas (es decir, solo se efectúa la condición (b)).

El compuesto de la Fórmula **1** (o el compuesto que tiene una fórmula que corresponde a la Fórmula **1** excepto que R^1 es 4-fluorofenilo o el compuesto de 2-[1-[(2-clorofenil)acetil]-4-piperidinil]-*N*-metil-*N*-[(1*R*)-1-feniletil]-

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4-tiazolcarboxamida, como pueda ser el caso) y cualquier otro ingrediente activo se incorporan típicamente en las presentes composiciones por medio de la disolución del ingrediente activo en un solvente o por medio de la molienda en un líquido o diluyente seco. Las soluciones, inclusive concentrados emulsionables, se pueden preparar al mezclar simplemente los ingredientes. Si el solvente de una composición líquida propuesta para el uso de como un concentrado emulsionable es inmiscible en agua, un emulsionante se agrega típicamente para emulsionar el solvente que contiene ingrediente activo con la dilución con agua. Las suspensiones espesas de ingredientes activos, con diámetros de partícula hasta 2000 μm se pueden moler en húmedo utilizando molinos intermedios para obtener partículas con diámetros promedio inferiores a 3 μm. Las suspensiones espesas acuosas se pueden hacer en concentrados de suspensión terminados (véase, por ejemplo, la Patente Norteamericana No. 3,060,084) o se pueden procesar adicionalmente por medio del secado por pulverización para formar gránulos dispersables en agua. Las formulaciones secas requieren usualmente procesos de molienda en seco, los cuales producen diámetros de partícula promedio en el rango de 2 a 10 μm. Los polvos finos y los polvos se pueden preparar al combinar y, usualmente, moler como en un molino de martillos o molino de energía fluida. Los gránulos y las pelotillas se pueden preparar al

pulverizar el material activo sobre los portadores granulares preformados o por medio de técnicas de aglomeración. Véase Browning, "Agglomeration", *Chemical Engineering*, 4 de Diciembre de 1967, páginas 147-48, *Perry's Chemical Engineer's Handbook*, 4ª Edición, McGraw-Hill, Nueva York, 1963, páginas 8-57 y posteriores y el documento WO 91/13546. Las pelotillas se pueden preparar como se describe en la patente norteamericana No. 4,172,714. Los gránulos dispersables en agua y solubles en agua se pueden preparar como se enseña en las patentes norteamericanas Nos. 4,144,050, 3,920,442 y el documento DE 3,246,493. Las tabletas se pueden preparar como se enseña en las patentes norteamericanas Nos. 5,180,587, 5,232,701 y 5,208,030. Las películas se pueden preparar como se enseña en el documento GB 2,095,558 y la patente norteamericana 3,299,566.

Para información adicional con respecto a la técnica de formulación véase T. S. Woods, "The Formulator's Toolbox - Product Forms for Modern Agriculture" in *Pesticide Chemistry and Bioscience, The Food-Environment Challenge*, T. Brooks y T. R. Roberts, Eds., Proceedings of the 9th International Congress on Pesticide Chemistry, The Royal Society of Chemistry, Cambridge, 1999, páginas 120-133. Véase también la patente norteamericana No. 3,235,361, columna 6, línea 16 hasta columna 7, línea 19 y los Ejemplos 10-41; patente norteamericana No. 3,309,192, columna 5, línea 43

hasta la columna 7, línea 62 y los Ejemplos 8, 12, 15, 39, 41, 52, 53, 58, 132, 138-140, 162-164, 166, 167 y 169-182; patente norteamericana No. 2,891,855, columna 3, línea 66 hasta la columna 5, línea 17 y los Ejemplos 1-4; Klingman, *Weed Control as a Science*, John Wiley and Sons, Inc., Nueva York, 1961, páginas 81-96; Hance y colaboradores, *Weed Control Handbook*, 8ª Edición, Blackwell Scientific Publications, Oxford, 1989; y *Developments in Fórmulation technology*, PJB Publications, Richmond, UK, 2000.

En los siguientes Ejemplos, todos los porcentajes son en peso y todas las formulaciones se preparan de manera convencionales. Los números de compuestos se refieren a los compuestos en la Tabla de Referencia A.

Ejemplo A

Producto muy Concentrado

Compuesto 1	98.5%
aerogel de sílice	0.5%
sílice fino, amorfo, sintético	1.0%

Ejemplo B

Polvo Humectable

Compuesto 1	65.0%
éter de dodecilfenol-polietilenglicol	2.0%
ligninsulfonato de sodio	4.0%

silicoaluminato de sodio	6.0%
montmorillonita (calcinada)	23.0%

Ejemplo C

Gránulo

Compuesto 1	10.0%
gránulos de atapulgita (material de baja volatilidad, 0.71/0.30 mm; tamices U.S.S. No. 25-50)	90.0%

Ejemplo D

Suspensión Acuosa

Compuesto 1	25.0%
atapulgita hidratada	3.0%
ligninsulfonato de calcio crudo	10.0%
fosfato diácido de sodio	0.5%
agua	61.5%

Ejemplo E

Pelotilla Extruída

Compuesto 1	25.0%
sulfato de sodio anhidro	10.0%
ligninsulfonato de calcio crudo	5.0%
alquilnaftalensulfonato de sodio	1.0%
bentonita de calcio/magnesio	59.0%

Ejemplo F

Microemulsión

Compuesto 1	1.0%
triacetina	30.0%
alquilpoliglicósido C_8-C_{10}	30.0%
monooleato de glicerilo	19.0%
agua	20.0%

Ejemplo G

Concentrado Emulsionable

Compuesto 1	10.0%
éster metílico de ácido graso C_8-C_{10}	70.0%
hexoleato de polioxietilen-sorbitol	20.0%.

Las formulaciones concentradas emulsionables son composiciones particularmente adecuadas para los compuestos de la presente invención que son sólidos amorfos que se ablandan únicamente a algunos grados arriba de la temperatura ambiente (por ejemplo, Compuesto 149). Mientras que se pude utilizar una amplia variedad de solventes y surfactantes inmiscibles en agua en estas formulaciones concentradas emulsionables, los ésteres de glicerol tal como triglicérido caprílico/cáprico como el solvente y mezclas de resina alquídica de polietilenglicol (peg) y éster de etoxilato de sorbitol como el surfactante funcional especialmente bien.

Utilizando estos ingredientes, el concentrado emulsionable se puede preparar simplemente al agregar el éster de etoxilato de sorbitol al solvente de triglicérido caprílico/cáprico y luego calentar la mezcla agitada mecánicamente a aproximadamente 50°C. El compuesto de la Fórmula 1 se agrega a la mezcla, la cual se agita vigorosamente hasta que el compuesto de la Fórmula 1 se disuelve completamente. El calentamiento se discontinua, la resina alquídica de peg se agrega y la mezcla se agita hasta que el líquido se enfría a temperatura ambiente. El Ejemplo H ilustra esta composición formulada. Esta formulación es sumamente eficaz en la curación del mildíu de la uva y la enfermedad de fitóftora tardía de la papa.

Ejemplo H

Concentrado Emulsionable

Compuesto 149	10.0%
triglicérido caprílico/cáprico	70.0%
éster de etoxilato de sorbitol	12.0%
resina alquídica de peg	8.0%.

Los compuestos de esta invención son útiles como agentes para el control de enfermedades de las plantas. Por lo tanto, la presente invención comprende además un método para controlar enfermedades de las plantas causadas por

agentes patógenos micóticos de las plantas que comprende aplicar a la planta o una porción de la misma a ser protegida o a la semilla de la planta o plántula a ser protegida, una cantidad efectiva de un compuesto de la invención o una composición fungicida que contiene el compuesto. Los compuestos y/o composiciones de esta invención proporcionan un control de las enfermedades causadas por un amplio espectro de agentes patógenos micóticos de las plantas en las clases Basidiomycete, Ascomycete, Oomycete y Deuteromycete. Son efectivos en el control de un amplio espectro de enfermedades de las plantas, particularmente agentes patógenos foliares de cultivos ornamentales, de céspedes, lisas, de la técnica, de cereales y frutas. Estos agentes patógenos incluyen: Oomycetes, inclusive enfermedades de *Phytophthora* tales como *Phytophthora infestans, Phytophthora megasperma, Phytophthora parasitica, Phytophthora cinnamoni, Phytophthora capsici;* enfermedades de *Pythium* tales como *Pythium aphanidermatum;* y enfermedades en la familia Peronosporaceae, tales como *Plasmopara viticola, Peronospora* spp. *(inclusive Peronospora tabacina* y *Peronospora parasitica), Pseudoperonospora* spp. (inclusive *Pseudoperonospora cubensis*) y *Bremia lactucae;* Ascomycetes, inclusive enfermedades de *Alternaria* tales como *Alternaria solani* y *Alternaria brassicae;* enfermedades de *Guignardia* tales como *Guignardia bidwell;* enfermedades de *Venturia* tales

como *Venturia inaequalis;* enfermedades de *Septoria* tales como *Septoria nodorum* y *Septoria tritici;* enfermedades de oidio tales como *Erysiphe* spp. (inclusive *Erysiphe graminis* y *Erysiphe polygoni), Uncinula necatur, Sphaerotheca fuligena* y *Podosphaera leucotricha; Pseudocercosporella herpotrichoides;* enfermedades de *Botrytis* tales como *Botytis cinerea; Monilinia fructicola;* enfermedades de *Sclerotinia* tales como *Sclerotinia sclerotiorum; Magnaporthe grisea; Phomopsis viticola;* enfermedades de *Helminthosporium* tales como *Helminthosporium tritici repentis; Pyrenophora teres;* enfermedades de antracnosis tales como *Glomerella* o *Colletotrichum* spp. (tales como *Colletotrichum graminicola* y *Colletotrichum orbiculare);* y *Gaeumannomyces graminis;* Basidiomycetes, inclusive enfermedades de roya causadas por *Puccinia* spp. (tales como *Puccinia recondita, Puccinia striiforinis, Puccinia hordei, Puccinia graminis* y *Puccinia arachidis); Hemileia vastatrix* y *Phakopsora pachyrhizi;* otros agentes patógenos que incluyen *Rhizoctonia* spp (tal como *Rhizoctonia solani);* enfermedades de *Fusarium* tales como *Fusarium roseum, Fusarium graminearum, Fusarium oxysporum; Verticillium dahliae; Sclerotium rolfsii; Rynchosporium secalis; Cercosporidium personatum, Cercospora arachidicola* y *Cercospora beticola;* y otros géneros y especies relacionados estrechamente con estos agentes patógenos. Además de su actividad fungicida, las composiciones o combinaciones

también tienen actividad contra bacterias tales como *Erwinia anzylovora; Xanthomonas campestris; Pseudomonas syringae;* y otras especies relacionadas. Es importante el control proporcionado a una enfermedad causada por las clases Ascomycete y Oomycete. Es particularmente importante el control proporcionado a una enfermedad causada por la clase Oomycete.

El control de enfermedades de las plantas se efectúa ordinariamente al aplicar una cantidad efectiva de un compuesto de esta invención ya sea antes o después de la infección, a la porción de la planta a ser protegida tal como las raíces, tallos, follaje, fruta, semillas, tubérculos o bulbos o a los medios (suelo o arena) en los cuales crecen las plantas a ser protegidas. Los compuestos también se pueden aplicar a la semilla para proteger la semilla y la plántula. Los compuestos también se pueden aplicar a través del agua de irrigación para tratar plantas.

Las proporciones de aplicación para estos compuestos pueden ser influenciadas por muchos factores del ambiente y deben ser determinadas bajo condiciones de uso reales. El follaje puede ser protegido normalmente cuando es tratado en una proporción menor que aproximadamente 1 g/ha a aproximadamente 5,000 g/ha del ingrediente activo. La semilla y las plántulas pueden ser protegidas normalmente cuando la semilla es tratada en una proporción de aproximadamente 0.1 a

aproximadamente 10 g por kilogramo de la semilla.

Los compuestos de esta invención también se pueden mezclar con uno o más de otros insecticidas, fungicidas, nematocidas, bactericidas, acaricidas, reguladores de crecimiento, quimioesterilizantes, semioquímicos, repelentes, atrayentes, feromonas, estimulantes de alimentación u otros compuestos biológicamente activos para formar un pesticida multicomponente que proporciona un espectro aún más amplio de protección agrícola. Los ejemplos de estos protectores agrícolas con los cuales se pueden formular los compuestos de esta invención son: insecticidas tales como abamectina, acefato, azinfos-metilo, bifentrina, buprofezina, carbofurano, clorfenapir, clorpirifos, clorpirifos-metilo, ciflumetofeno, ciflutrina, beta-ciflutrina, cihalotrina, lambda-cihalotrina, deltametrina, diafentiuron, diazinon, diflubenzuron, dimeflutrina, dimetoato, dinotefurano, esfenvalerato, fenoxicarb, fenpropatrina, fenvalerato, fipronilo, flonicamid, flubendiamida, flucitrinato, tau-fluvalinato, fonofos, imidacloprid, indoxacarb, isofenfos, malation, metaflumizona, metaldehído, metamidofos, metidation, metomil, metopreno, metoxiclor, metoflutrina, monocrotofos, noviflumuron, oxamil, paration, paration-metilo, permetrina, forato, fosalona, fosmet, fosfamidon, pirimicarb, profenofos, proflutrina, pirafluprol, piridalilo, piriprol, rotenona, espirodiclofen, espiromesifen,

espirotetramat, sulprofos, tebufenozida, teflutrin, terbufos, tetraclorvinfos, tiametoxam, tiodicarb, tralometrina, triclorfon y triflumuron; fungicidas tales como acibenzolar, aldimorph, amisulbrom, azaconazol, azoxistrobin, benalaxil, benomil, bentiavalicarb, bentiavalicarb-isopropilo, binomial, bifenilo, bitertanol, blasticidin-S, mezcla de Bordeaux (Sulfato de cobre tribásico), boscalid/nicobifeno, bromuconazol, bupirimato, butiobato, carboxin, carpropamid, captafol, captan, carbendazim, cloroneb, clorotalonilo, clozolinato, clotrimazol, oxicloruro de cobre, sales de cobre tales como sulfato de cobre e hidróxido de cobre, ciazofamid, ciflunamid, cimoxanil, ciproconazol, ciprodinilo, diclofluanid, diclocimet, diclomezina, dicloran, dietofencarb, difenoconazole, dimetomorph, dimoxistrobin, diniconazol, diniconazole-M, dinocap, discostrobin, ditianon, dodemorph, dodina, econazol, etaconazol, edifenfos, epoxiconazol, etaboxam, etirimol, etridiazol, famoxadona, fenamidona, fenarimol, fenbuconazol, fencaramid, fenfuram, fenhexamida, fenoxanilo, fenpiclonilo, fenpropidina, fenpropimorf, acetato de fentina, hidróxido de fentina, ferbam, ferfurazoato, ferimzona, fluazinam, fludioxonilo, flumetover, fluopicolida, fluoxastrobin, fluquinconazol, fluquinconazol, flusilazol, flusulfamida, flutolanil, flutriafol, folpet, fosetil-aluminio, fuberidazol, furalaxil, furametapir, hexaconazol, himexazol, guazatina, imazalilo,

imibenconazol, iminoctadina, iodicarb, ipconazol, iprobenfos, iprodiona, iprovalicarb, isoconazol, isoprotiolano, kasugamicina, kresoxim-metilo, mancozeb, mandipropamid, maneb, mapanipirina, mefenoxam, mepronilo, metalaxilo, metconazol, metasulfocarb, metiram, metominostrobina, mepanipirim, metiram, metrafenona, miconazol, miclobutanilo, neo-asozina (metanoarsonato férrico), nuarimol, octilinona, ofurace, orisastrobina, oxadixilo, ácido oxolínico, oxpoconazol, oxicarboxina, paclobutrazol, penconazol, pencicuron, pentiopirad, perfurazoato, ácido fosfórico, ftalida, picobenzamid, picoxistrobina, polioxina, probenazol, procloraz, procimidona, propamocarb, clorhidrato de propamocarb, propiconazol, propineb, proquinazid, protioconazol, piraclostrobina, priazofos, pirifenox, pirimetanilo, pirifenox, pirolnitrina, piroquilona, quinconazol, quinoxifén, quintozeno, siltiofam, simeconazol, espiroxamina, estreptomicina, azufre, tebuconazol, tecrazeno, tecloftalam, tecnazeno, tetraconazol, tiabendazol, tifluzamida, tiofanato, tiofanato-metilo, tiram, tiadinilo, tolclofos-metilo, tolifluanid, triadimefon, triadimenol, triarimol, triazóxido, tridemorf, trimopramida, triciclazol, trifloxistrobina, triforina, triticonazol, uniconazol, validamicina, vinclozolina, zineb, ziram y zoxamida, nematocidas tales como aldoxicarb y fenamifos; bactericidas tales como estreptomicina; acaricidas tales como amitraz,

chinometionat, clorobencilato, cihexatina, dicofol, dienoclor, etoxazol, fenazaquina, óxido de fenbutatina, fenpropatrina, fenpiroximato, hexitiazox, propargita, piridaben y tebufenpirad; y agentes biológicos tales como *Bacillus thuringiensis*, delta-endotoxina *Bacillus thuringiensis*, baculovirus y bacterias entomopatógenas, virus y hongos. Las descripciones de varios compuestos comercialmente disponibles listados anteriormente se pueden encontrar en *The Pesticide Manual, Duodécima Edición*, C.D.S. Tomlin, ed., British Crop Protection Council, 2000. Para las modalidades donde se utilizan uno o más de éstos diversos compañeros de mezclado, la relación en peso de estos diversos compañeros de mezclado (en total) con respecto al compuesto de la Fórmula **1** está típicamente entre aproximadamente 1:100 y aproximadamente 3000:1. Son importantes las relaciones en peso entre aproximadamente 1:30 y aproximadamente 300:1 (por ejemplo relaciones entre aproximadamente 1:1 y aproximadamente 30:1). Será evidente que la inclusión de estos componentes adicionales puede expandir el espectro de enfermedades controladas más allá del espectro controlado por solo el compuesto de la Fórmula 1.

Son importantes las combinaciones de un compuesto de la Fórmula **1**, un compuesto que tiene una fórmula que corresponde a la Fórmula **1**, excepto que R^1 es 4-fluorofenilo y/o el compuesto de 2-[1-(2-clorofeni)acetil]-4-piperidini]-

N-metil-*N*-[(1*R*)-1-feniletil]-4-tiazolcarboxamida con al menos otro fungicida. Son particularmente importantes estas composiciones donde el otro fungicida tiene un sitio de acción diferente con al menos otro fungicida. Son particularmente importantes las composiciones que además del compuesto de la Fórmula 1 (o el compuesto que tiene una fórmula que corresponde a la Fórmula 1 excepto que R^1 es 4-fluorofenilo o el compuesto de 2-[1-[(2-clorofenil)acetil]-4-piperidinil]-*N*-metil-*N*-[(1*R*)-1-feniletil]-4-tiazolcarboxamida, como puede ser el caso) incluyen al menos un compuesto seleccionado del grupo que consiste de

(1) fungicidas de alquilenbis(ditiocarbamato);

(2) cimoxanilo;

(3) fungicidas de fenilamida;

(4) fungicidas de pirimidinona;

(5) clorotalonilo;

(6) carboxamidas que actúan en el complejo II del sitio de transferencia de electrones respiratorio, mitocondrial, micótico;

(7) quinoxifeno;

(8) metrafenona;

(9) ciflufenamid;

(10) ciprodinilo;

(11) compuestos de cobre;

(12) fungicidas de ftalimida;

(13) fosetil-aluminio;

(14) fungicidas de bencimidazol;

(15) ciazofamid;

(16) fluazinam;

(17) iprovalicarb;

(18) propamocarb;

(19) validomicina;

(20) fungicidas de dicarboxamida de diclorofenilo;

(21) zoxamida;

(22) fluopicolida;

(23) mandipropamid;

(24) amidas de ácido carboxílico que actúan sobre la biosíntesis de fosfolípidos y la deposición en la pared celular;

(25) dimetomorfo;

(26) inhibidores de la biosíntesis de esterol sin DMI;

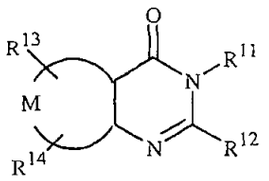
(27) inhibidores de desmetilasa en la biosíntesis de esterol;

(28) fungicidas del complejo bc_1; y

sales adecuadas desde el punto de vista agrícola de los compuestos (1) hasta (285).

Fungicidas de Pirimidinona (grupo (4))

Los fungicidas de pirimidinona incluyen los compuestos de la Fórmula **47**



47

en donde

M forma un anillo fusionado de fenilo, tiofeno o piridina;

R^{11} es alquilo de 1 a 6 átomos de carbono;

R^{12} es alquilo de 1 a 6 átomos de carbono o alcoxi de 1 a 6 átomos de carbono;

R^{13} es halógeno; y

R^{14} es hidrógeno o halógeno.

Los fungicidas de pirimidinona se describen en la Publicación de Solicitud Patente Mundial WO 94/26722, patente norteamericana No. 6,066,638, patente norteamericana No. 6,245,770, patente norteamericana No. 6,262,058 y patente norteamericana No. 6,277,858.

Son importantes los fungicidas de pirimidinona seleccionados del grupo:

6-bromo-3-propil-2-propiloxi-4(3*H*)-quinazolinona,

6,8-diyodo-3-propil-2-propiloxi-4(3*H*)-quinazolinona,

6-yodo-3-propil-2-propiloxi-4(3*H*)-quinazolinona (proquinazid),

6-cloro-2-propoxi-3-propiltieno[2,3-*d*]pirimidin-4(3*H*)-ona,

6-bromo-2-propoxi-3-propiltieno[2,3-*d*]pirimidin-4(3*H*)-ona,

7-bromo-2-propoxi-3-propiltieno[3,2-*d*]pirimidin-4(3*H*)-ona,

6-bromo-2-propoxi-3-propilpirido[2,3-*d*]pirimidin-4(3*H*)-ona,

6,7-dibromo-2-propoxi-3-propiltieno[3,2-*d*]pirimidin-4(3*H*)-ona y

3-(ciclopropilmetil)-6-yodo-2-(propiltio)pirido-[2,3-*d*]pirimidin-4(3H)-ona.

Inhibidores de Desmetilasa en la Biosíntesis de Esterol (27)

Los inhibidores de biosíntesis de esterol controlan los hongos al inhibir las enzimas en la vía de biosíntesis de esterol. Los fungicidas que inhiben la desmetilasa tienen un sitio de acción común dentro de la vía de biosíntesis de esterol fúngica; ésta es la inhibición de la desmetilación en la posición 14 de lanosterol o 24-metilen-dihidrolanosterol, los cuales son precursores para los esteroles en los hongos. Los compuestos que actúan en este sitio son referidos frecuentemente como inhibidores de desmetilasa, fungicidas de DMI o DMIs. La enzima de metilasa es referida algunas veces por otros nombres en la bibliografía química, inclusive citocromo P-450 (14DM). La enzima desmetilasa se describe en, por ejemplo, *J. Biol. Chem.* **1992**, *267*, 13175-79 y referencias

citadas en la misma. Los fungicidas de DMI se encuentran en varias clases químicas: azoles (inclusive triazoles e imidazoles), pirimidinas, piperazinas y piridinas. Los triazoles incluyen azaconazol, bromuconazol, ciproconazol, difenoconazol, diniconazol (inclusive diniconazol-M), epoxiconazol, etaconazol, fenbuconazol, fluquinconazol, flusilazol, flutriafol, hexaconazol, imibenconazol, ipconazol, metconazol, miclobutanilo, penconazol, propiconazol, protioconazol, quinconazol, simeconazol, tebuconazol, tetraconazol, triadimefon, triadimenol, triticonazol y uniconazol. Los imidazoles incluyen clotrimazol, econazol, imazalilo, isoconazol, miconazol, oxpoconazol, procloraz y triflumizol. Las pirimidinas incluyen fenarimol, nuarimol y triarimol. Las piperazinas incluyen triforina. Las piridinas incluyen butiobato y pirifenox. Las investigaciones bioquímicas han mostrado que todos los fungicidas mencionados anteriormente son fungicidas de DMI como se describe por K. H. Kuck y colaboradores en *Modem Selective Fungicides - Properties, Applications and Mechanisms of Action*, H. Lyr (Ed.), Gustav Fischer Verlag: Nueva York, 1995, 205-258.

Fungicidas del complejo bc_1 (28).

Se sabe que los fungicidas de estrobilurina tales como fluoxastrobina, orisastrobina, picoxistrobina,

piraclostrobina y trifloxistrobina tienen un modo de acción fungicida el cual inhibe el complejo bc_1 en la cadena de respiración mitocondrial (*Angew. Chem. Int. Ed.* **1999**, *38*, 1328-1349). Otros fungicidas de estrobilurina incluyen (2*E*)-2-(2-[[6-(3-cloro-2-metilfenoxi)-5-flúor-4-pirimidinil]oxi]-fenil)-2-(metoxiimino)-*N*-metiletanamida, (2*E*)-2-(metoximino)-*N*-metil-2-(2-[[([(1*E*)-1-[3-(trifluorometil)fenil]-etiliden]-amino)oxi]metil]fenil)etanamida, (2*E*)-2-metoxiimino)-*N*-metil-2-[2-[(*E*)-([1-[3-(trifluorometil)fenil]etoxi]imino)metil]-fenil)etanamida. Otros compuestos que inhiben el complejo bc_1 en la cadena respiración mitocondrial incluyen famoxadona y fenamidona. El complejo bc_1 es referido algunas veces por otros nombres en la bibliografía bioquímica, inclusive el complejo III de la cadena de transferencia de electrones y ubihidroquinona:citocromo *c* oxidorreductasa. Se identifica únicamente por el número de la Comisión de Enzimas EC1.10.2.2. El complejo bc_1 se describe en, por ejemplo, *J. Biol. Chem.* **1989**, *264*, 14543-48; *Methods Enzymol.* **1986**, *126*, 253-71 y referencias citadas en las mismas.

Otros Grupos de Fungicidas

Los alquilenbis(ditiocarbamato)s (1) incluyen compuestos tales como mancozeb, maneb, propineb y zineb.

Las fenilamidas (3) incluyen compuestos tales como metalaxilo, benalaxilo, furalaxilo y oxadixilo.

Las carboxamidas (6) incluyen compuestos tales como boscalid, carboxina, fenfuram, flutolanilo, furametpir, mepronilo, oxicarboxina, tifluzamida, pentiopirad y *N*-[2-(1,3-dimetilbutil)fenil]-5-fluoro-1,3-dimetil-1*H*-pirazol-4-carboxamida (Publicación de Solicitud de Patente del PCT WO 2003/010149) se sabe que inhiben la función mitocondrial al alterar el complejo II (succinato deshidrogenasa) en la cadena de transporte de electrones respiratoria.

Los compuestos de cobre (11) incluyen compuestos tales como oxicloruro de cobre, sulfato de cobre e hidróxido de cobre, inclusive composiciones tales como la mezcla de Bordeaux (sulfato de cobre tribásico).

Las ftalimidas (12) incluyen compuestos tales como folpet y captan.

Los fungicidas de bencimidazol (14) incluyen benomilo y carbendazim.

Los fungicidas de diclorofenil-dicarboximida (20) incluyen clozolinato, diclozolina, iprodiona, isovalediona, miclozolin, procimidona y vinclozolin.

Los inhibidores de la biosíntesis de esterol sin DMI (26) incluyen fungicidas de morfolina y piperidina. Las morfolinas y piperidinas son inhibidores de la biosíntesis de esterol que se ha mostrado que inhiben los pasos en la vía de biosíntesis de esterol en un punto posterior de los inhibidores logrados por medio de la biosíntesis de esterol

con DMI (27). Las morfolinas incluyen aldimorf, dodemorf, fenpropimorf, tridemorf y trimorfamida. Las piperidinas incluyen fenpropidina.

Será evidente que el alcance de los métodos para controlar enfermedades de las plantas de esta invención con relación a los compuestos de la Fórmula 1 junto con el alcance de los métodos para controlar enfermedades de las plantas de esta invención con relación a los compuestos que tienen una fórmula que corresponde a la Fórmula 1 excepto que R^1 es 4-fluorofenilo y el alcance de los métodos para controlar enfermedades de las plantas de esta invención con relación al compuesto de 2-[1-[(2-clorofenil)acetil]-4-piperidinil]-*N*-metil-*N*-[(1*R*)-1-feniletil]-4-tiazolcarboxamida, corresponde a un alcance de los métodos para controlar enfermedades de las plantas con compuestos que tienen una fórmula que corresponde a la Fórmula 1 como se describiera anteriormente con la condición (a) y la condición (c) siendo ambas omitidas (es decir, solo la condición (b) es efectiva). Son importantes estos métodos donde se controlan las enfermedades de las plantas causadas por agentes patógenos micóticos de las plantas de la clase Oomycete.

El control de enfermedades de las plantas se efectúa ordinariamente al aplicar una cantidad efectiva de un compuesto de esta invención ya sea antes o después de la infección, a la porción de la planta a ser protegida tal como

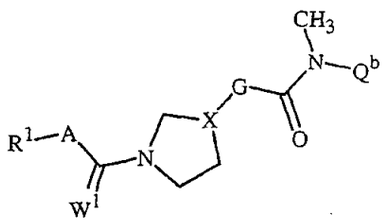
las raíces, tallos, follaje, fruta, semillas, tubérculos o bulbos o a los medios (suelo o arena) en los cuales crecen las plantas a ser protegidas. Los compuestos también se pueden aplicar a la semilla para proteger la semilla y la plántula.

Las proporciones de aplicación para estos compuestos pueden ser influenciadas por muchos factores del ambiente y deben ser determinadas bajo condiciones de uso reales. El follaje puede ser protegido normalmente cuando es tratado en una proporción menor que aproximadamente 1 g/ha a aproximadamente 5,000 g/ha del ingrediente activo. La semilla y las plántulas pueden ser protegidas normalmente cuando la semilla es tratada en una proporción de aproximadamente 0.1 a aproximadamente 10 g por kilogramo de la semilla.

Las siguientes Pruebas demuestran la eficacia de control de los compuestos de esta invención sobre agentes patógenos específicos. Sin embargo, la protección para el control de agentes patógenos que es proporcionada por los compuestos no está limitada a estas especies. Véase las Tablas de Referencia A y B para descripciones de los compuestos. Las siguientes abreviaciones se utilizan en las Tablas de Referencia que siguen: *t* significa terciario, *s* significa secundario, Ph significa fenilo. Los estéreocentros están etiquetados como *R* (*rectus*) y *S* (*sinester*) en base al sistema de Cahn-Ingold-Prelog. La abreviación "Ej."

representa "Ejemplo" y está seguida por un número que indica en que ejemplo se prepara el compuesto. Las Tablas de Referencia A y B listan el peso molecular del ion precursor de abundancia isotópica más alta (M+1) formado por medio de la adición de H+ (peso molecular de 1) a la molécula, observado por medio de la espectrometría de masas utilizando la ionización química a presión atmosférica (AP+).

TABLA DE REFERENCIA A



Comp.	R^1	A	W^1	X	G(*)	Q^b	AP^+ (M+1)
1	2-clorofenilo	CH_2	O	X^1	G-1	(1*S*)-1-feniletilo	482
2	2-clorofenilo	CH_2	O	X^1	G-1	(1*R*)-1-feniletilo	482
3	fenilo	CH_2	O	X^1	G-1	(1*R*)-1-feniletilo	448
4	4-metoxifenilo	CH_2	O	X^1	G-1	(1*R*)-1-feniletilo	478
5	3-metoxifenilo	CH_2	O	X^1	G-1	(1*R*)-1-feniletilo	478
6	2,4-diclorofenilo	CH_2	O	X^1	G-1	(1*R*)-1-feniletilo	516
7	2,6-diclorofenilo	CH_2	O	X^1	G-1	(1*R*)-1-feniletilo	516
8	2-bromofenilo	CH_2	O	X^1	G-1	(1*R*)-1-feniletilo	526
9	2-fluorofenilo	CH_2	O	X^1	G-1	(1*R*)-1-feniletilo	466
10	2-trifluorometilfenilo	CH_2	O	X^1	G-1	(1*R*)-1-feniletilo	516

630

Comp.	R^1	A	W^1	X	G(*)	Q^b	AP* (M+1)
11	2-metilfenilo	CH_2	O	X^1	G-1	(1*R*)-1-feniletilo	462
12	4-metilfenilo	CH_2	O	X^1	G-1	(1*R*)-1-feniletilo	462
13	4-clorofenilo	CH_2	O	X^1	G-1	(1*R*)-1-feniletilo	482
14	4-trifluorometilfenilo	CH_2	O	X^1	G-1	(1*R*)-1-feniletilo	516
15	3-clorofenilo	CH_2	O	X^1	G-1	(1*R*)-1-feniletilo	482
16	3-trifluorometilfenilo	CH_2	O	X^1	G-1	(1*R*)-1-feniletilo	516
17	2,3-diclorofenilo	CH_2	O	X^1	G-1	(1*R*)-1-feniletilo	516
18	3-trifluorometilfenilo	CH_2	O	X^1	G-1	(1*R*)-1-feniletilo	517
19	3-bromofenilo	CH_2	O	X^1	G-1	(1*R*)-1-feniletilo	526
20	3-nitrofenilo	CH_2	O	X^1	G-1	(1*R*)-1-feniletilo	493
21	3-yodofenilo	CH_2	O	X^1	G-1	(1*R*)-1-feniletilo	574
22	3,5-di-CF_3-Ph	CH_2	O	X^1	G-1	(1*R*)-1-feniletilo	584
23	3-fluorofenilo	CH_2	O	X^1	G-1	(1*R*)-1-feniletilo	466
24	3-trifluorometil-pirazol-1-ilo	CH_2	O	X^1	G-1	(1*R*)-1-feniletilo	505
25	2-clorofenilo	CH_2	O	X^2	G-1	(1*R*)-1-feniletilo	483
26	3-clorofenilo	CH_2	O	X^2	G-1	(1*R*)-1-feniletilo	483
27	3-bromofenilo	CH_2	O	X^2	G-1	(1*R*)-1-feniletilo	527
28	3-nitrofenilo	CH_2	O	X^2	G-1	(1*R*)-1-feniletilo	494
29	3-yodofenilo	CH_2	O	X^2	G-1	(1*R*)-1-feniletilo	575
30	3-trifluorofenilo	CH_2	O	X^1	G-1[a]	(1*R*)-1-feniletilo	550
31	3-metilsulfonilfenilo	CH_2	O	X^1	G-1	(1*R*)-1-feniletilo	526
32	3-bromofenilo	CH_2	O	X^2	G-37	(1*R*)-1-feniletilo	527
33	2-fluoro-3-clorofenilo	CH_2	O	X^1	G-1	(1*R*)-1-feniletilo	500

Comp.	R^1	A	W^1	X	G(*)	Q^b	AP* (M+1)
34	4-fluoro-3-trifluorofenilo	CH_2	O	X^1	G-1	(1*R*)-1-feniletilo	534
35	4-fluoro-3-clorofenilo	CH_2	O	X^1	G-1	(1*R*)-1-feniletilo	500
36	3-metilfenilo	CH_2	O	X^1	G-1	(1*R*)-1-feniletilo	462
37	3,5-difluorofenilo	CH_2	O	X^1	G-1	(1*R*)-1-feniletilo	484
38	3,4-difluorofenilo	CH_2	O	X^1	G-1	(1*R*)-1-feniletilo	484
39	2-cloro-5-trifluorometilfenilo	CH_2	O	X^1	G-1	(1*R*)-1-feniletilo	550
40	3-fluoro-5-trifluorometilfenilo	CH_2	O	X^1	G-1	(1*R*)-1-feniletilo	534
41	2-metoxifenilo	CH_2	O	X^1	G-1	(1*R*)-1-feniletilo	478
42	2-fluoro-3-trifluorometilfenilo	CH_2	O	X^1	G-1	(1*R*)-1-feniletilo	534
43	3,5-dimetilfenilo	CH_2	O	X^1	G-1	(1*R*)-1-feniletilo	476
44	2,5-difluorofenilo	CH_2	O	X^1	G-1	(1*R*)-1-feniletilo	484
45	2-trifluorometoxifenilo	CH_2	O	X^1	G-1	(1*R*)-1-feniletilo	532
46	2,3-difluorofenilo	CH_2	O	X^1	G-1	(1*R*)-1-feniletilo	484
47	2,5-dimetilfenilo	CH_2	O	X^1	G-1	(1*R*)-1-feniletilo	476
48	3-metiltienilo	CH_2	O	X^1	G-1	(1*R*)-1-feniletilo	494
49	3-trifluorometoxifenilo	CH_2	O	X^1	G-1	(1*R*)-1-feniletilo	532
50	2,5-dimetilfenilo	CH_2	O	X^2	G-2	(1*R*)-1-feniletilo	461
51	2-cloro-5-trifluorometilfenilo	CH_2	O	X^2	G-2	(1*R*)-1-feniletilo	535
52	3-metilfenilo	CH_2	O	X^2	G-2	(1*R*)-1-feniletilo	447
53	2-metoxifenilo	CH_2	O	X^2	G-2	(1*R*)-1-feniletilo	463

Comp.	R^1	A	W^1	X	G(*)	Q^b	AP^+ (M+1)
54	3-bromofenilo	CH_2	O	X^2	G-2	(1*R*)-1-feniletilo	511
55	3-trifluorometilfenilo	CH_2	O	X^2	G-2	(1*R*)-1-feniletilo	501
56	2,5-dimetilfenilo	CH_2	O	X^1	G-1	(1*R*)-1-(3-trifluorometilfenil)-etilo	544
57	2,5-dimetilfenilo	CH_2	O	X^1	G-1	(1*R*)-1-(2-fluorofenil)etilo	494
58 (Ej. 1)	2,5-dimetilfenilo	CH_2	O	X^1	G-1	(1*R*)-1-fenilpropilo	490
59	2,5-dimetilfenilo	CH_2	O	X^1	G-1	(1*R*)-1-(4-metoxifenil)etilo	506
60	2,5-dimetilfenilo	CH_2	O	X^1	G-1	(1*R*)-1-(4-clorofenil)etilo	510
61	3-metilfenilo	CH_2	O	X^1	G-1	1-(3,5-dicloro-2-piridinil)etilo	531
62	2,5-dimetilfenilo	CH_2	O	X^1	G-1	(1*R*)-1-(4-metilfenil)etilo	490
63	2,5-dimetilfenilo	CH_2	O	X^1	G-1	(1*R*)-1-(4-bromofenil)etilo	554
64	2,5-dimetilfenilo	CH_2	O	X^1	G-1	(1*R*)-1-(4-fluorofenil)etilo	494
65	3,5-dimetilpirazol-1-ilo	CH_2	O	X^1	G-1	(1*R*)-1-feniletilo	466
66	3-trifluorometilfenilo	CH_2	O	X^1	G-37	1-(3-piridinil)etilo	517
67	2,5-dimetilfenilo	CH_2	O	X^1	G-1	(1*R*)-1-(3-trifluorometilfenil)etilo	544
68	2,5-dimetilfenilo	CH_2	O	X^1	G-1	(1*S*)-1-feniletilo	476
69	2,5-dimetilfenilo	CH_2	O	X^1	G-1	(1*R*)-1-(3-metoxifenil)etilo	506
70 (Ej. 5)	3-trifluorometil-5-metilpirazol-1-ilo	CH_2	O	X^1	G-1	(1*R*)-1-feniletilo	520
71	4-bromopirazol-1-ilo	CH_2	O	X^1	G-1	(1*R*)-1-feniletilo	516

Comp.	R^1	A	W^1	X	G(*)	Q^b	AP^+ (M+1)
72	3-metilpirazol-1-ilo	CH_2	O	X^1	G-1	(1*R*)-1-feniletilo	452
73	4-metilpirazol-1-ilo	CH_2	O	X^1	G-1	(1*R*)-1-feniletilo	452
74 (Ej. 4)	2,5-dimetilfenilo	CH_2	O	X^2	G-37	(1*R*)-1-feniletilo	477
75	3-trifluorometilfenilo	CH_2	O	X^1	G-1	1-(2-piridinil)etilo	517
76	3-trifluorometilfenilo	CH_2	O	X^1	G-1	1-(4-piridinil)etilo	517
77	2,5-diclorofenilo	CH_2	O	X^1	G-1	(1*R*)-1-feniletilo	516
78	2-etoxifenilo	CH_2	O	X^1	G-1	(1*R*)-1-feniletilo	492
79	3-etoxifenilo	CH_2	O	X^1	G-1	(1*R*)-1-feniletilo	492
80	2-metil-5-fluorofenilo	CH_2	O	X^1	G-1	(1*R*)-1-feniletilo	481
81	2-metoxi-5-bromofenilo	CH_2	O	X^1	G-1	(1*R*)-1-feniletilo	556
82	2,5-dimetilfenilo	CH_2	O	X^1	G-1	1-fenilbutilo	504
83	piridin-3-ilo	CH_2	O	X^1	G-1	(1*R*)-1-feniletilo	449
84	piridin-4-ilo	CH_2	O	X^1	G-1	(1*R*)-1-feniletilo	449
85	2,5-dimetilfenilo	CH_2	O	X^1	G-1	1-fenilpentilo	518
86	2-bromo-5-clorofenilo	CH_2	O	X^1	G-1	(1*R*)-1-feniletilo	560
87	2,5-bis-trifluorometilfenilo	CH_2	O	X^1	G-1	(1*R*)-1-feniletilo	584
88	2-tienilo	CH_2	O	X^1	G-1	(1*R*)-1-feniletilo	454
89	2,5-dimetilfenilo	CH_2	O	X^1	G-1	1-(2-tienil)etilo	482
90	2-metoxicarbonil-metilfenilo	CH_2	O	X^1	G-1	(1*R*)-1-feniletilo	521
91	2-metiltiazol-4-ilo	CH_2	O	X^1	G-1	(1*R*)-1-feniletilo	469
92	2,5-dimetiltiazol-4-ilo	CH_2	O	X^1	G-1	(1*R*)-1-feniletilo	483

Comp.	R^1	A	W^1	X	G(*)	Q^b	AP^+ (M+1)
91	2-metiltiazol-4-ilo	CH_2	O	X^1	G-1	(1*R*)-1-feniletilo	469
92	2,5-dimetiltiazol-4-ilo	CH_2	O	X^1	G-1	(1*R*)-1-feniletilo	483
93	3-*t*-butilisoxazol-5-ilo	CH_2	O	X^1	G-1	(1*R*)-1-feniletilo	495
94	2,5-dimetilfenilo	CH_2	O	X^1	G-1	1-(2-piridinil)etilo	477
95	2,5-dimetilfenilo	CH_2	O	X^1	G-1	1-(3-piridinil)etilo	477
96	2,5-dimetilfenilo	CH_2	O	X^1	G-1	1-fenil-2-metilpropan-1-ilo	504
97	2,5-dimetilfenilo	CH_2	O	X^1	G-1	1-fenil-3-metilbutan-1-ilo	518
98	2,5-dimetilfenilo	CH_2	O	X^1	G-1	1,2,3,4-tetrahidro-2-naftalenilo	502
99	2,5-dimetilfenilo	CH_2	O	X^1	G-1	1,2,3,4-tetrahidro-1-naftalenilo	502
100	2,5-dimetilfenilo	CH_2	O	X^1	G-1	indan-1-ilo	488
101	2,4-dimetilpirrol-1-ilo	CH_2	O	X^1	G-1	(1*R*)-1-feniletilo	465
102	2,5-dimetilfenilo	CH_2	O	X^1	G-1	fenil-cianometilo	487
103	2,5-dimetilfenilo	CH_2	O	X^1	G-1	1-fenil-2-propen-1-ilo	488
104	2,5-dimetilfenilo	CH_2	O	X^1	G-1	1-fenil-3-buten-1-ilo	502
105	2,5-dimetilfenilo	CH_2	O	X^1	G-1	(1*R*)-1-naftaleniletilo	526
106	2,5-dimetilfenilo	CH_2	O	X^1	G-1	(1*R*)-2-naftaleniletilo	526
107	3-bromofenilo	CH_2	O	X^1	G-1	(1*R*)-1-fenilpropilo	540
108	3-trifluorometilfenilo	CH_2	O	X^1	G-1	(1*R*)-1-fenilpropilo	531
109	2,5-dimetilfenilo	CH_2	O	X^1	G-1	1-fenil-2-metoxietilo	506
110 (Ej. 3)	2,5-diclorofenilo	CH_2	O	X^1	G-1	(1*R*)-1-fenilpropilo	530

Comp.	R^1	A	W^1	X	G(*)	Q^b	AP^+ (M+1)
111 (Ej. 11)	2,5-dimetilfenilo	CH_2	O	X^1	G-1	(1*R*)-1-(3,5-dicloro-2-piridinil)etilo	545
112	2,5-dimetilfenilo	CH_2	O	X^1	G-1	1-(2,5-dimetil-3-tienil)etilo	510
113	2,5-dimetilfenilo	CH_2	O	X^1	G-1	1-(2,5-dimetil-3-furil)etilo	494
114	2-cloro-5-trifluorometilfenilo	CH_2	O	X^1	G-1	(1*R*)-1-fenilpropilo	564
115	2-metoxifenilo	CH_2	O	X^1	G-1	(1*R*)-1-fenilpropilo	492
116	3-metilfenilo	CH_2	O	X^1	G-1	(1*R*)-1-fenilpropilo	476
117 (Ej. 2)	3-trifluorometil-5-metilpirazol-1-ilo	CH_2	O	X^1	G-1	(1*R*)-1-fenilpropilo	534
118	3,5-dimetilpirazol-1-ilo	CH_2	O	X^1	G-1	(1*R*)-1-fenilpropilo	480
119	3-etilfenilo	CH_2	O	X^1	G-1	(1*R*)-1-fenilpropilo	476
120	3,5-dimetiltriazol-1-ilo	CH_2	O	X^1	G-1	(1*R*)-1-fenilpropilo	467
121	2,4-dimetilimidazol-1-ilo	CH_2	O	X^1	G-1	(1*R*)-1-fenilpropilo	466
122	3-trifluorometiltriazol-1-ilo	CH_2	O	X^1	G-1	(1*R*)-1-fenilpropilo	507
123	2-propil-4-trifluorometilimidazol-1-ilo	CH_2	O	X^1	G-1	(1*R*)-1-feniletilo	548
124	2-metil-5-trifluorometilfenilo	CH_2	O	X^1	G-1	(1*R*)-1-feniletilo	530
125	2-metoxi-5-metilfenilo	CH_2	O	X^1	G-1	(1*R*)-1-feniletilo	492
126	2,5-dimetilfenilo	CH_2	O	X^1	G-1	(1*R*)-1-feniletilo	460
127	3-isopropil-5-metilpirazol-1-ilo	CH_2	O	X^1	G-1	(1*R*)-1-feniletilo	494

Comp.	R^1	A	W^1	X	G(*)	Q^b	AP* (M+1)
128	2-etil-4-metilimidazol-1-ilo	CH_2	O	X^1	G-1	(1*R*)-1-feniletilo	480
129	2,5-dimetilfenilo	CH_2	O	X^1	G-1	6,7,8,9-tetrahidro-5*H*-benzociclohepten-5-ilo	516
130	2,5-dimetilfenilo	CH_2	O	X^1	G-1	(*R*)-indan-1-ilo	488
131	2,5-dimetilfenilo	CH_2	O	X^1	G-1	(*S*)-indan-1-ilo	488
132 (Ej. 6)	2,5-dimetilfenilo	CH_2	O	X^1	G-1	(1*R*)-1,2,3,4-tetrahidro-1-naftalenilo	502
133	2,5-dimetilfenilo	CH_2	O	X^1	G-1	(1*S*)-1,2,3,4-tetrahidro-1-naftalenilo	502
134	2,5-dimetilfenilo	CH_2	O	X^1	G-1	4,5,6,7-tetrahidro-benzo[*b*]tien-4-ilo	508
135	2,5-dimetilfenilo	CH_2	O	X^1	G-1	4,5,6,7-tetrahidro-benzo[*b*]furan-4-ilo	492
136	2,5-dimetilfenilo	CH_2	O	X^1	G-1	tiocroman-4-ilo	520
137	2,5-dimetilfenilo	CH_2	O	X^1	G-1	croman-4-ilo	504
138	2,5-dimetilfenilo	CH_2	O	X^1	G-1	2,3-dihidro-benzofuran-3-ilo	490
139	2-isopropilimidazol-1-ilo	CH_2	O	X^1	G-1	(1*R*)-1-feniletilo	480
140	3-ciclohexiltriazol-1-ilo	CH_2	O	X^1	G-1	(1*R*)-1-feniletilo	521
141	4-*t*-butilimidazol-1-ilo	CH_2	O	X^1	G-1	(1*R*)-1-feniletilo	494
142	3-*s*-butil-5-metilpirazol-1-ilo	CH_2	O	X^1	G-1	(1*R*)-1-feniletilo	508

687

Comp.	R^1	A	W^1	X	G(*)	Q^b	AP* (M+1)
143	3-trifluorometil-5-metilpirazol-1-ilo	CH_2	O	X^1	G-1	(*R*)-1-(3,5-dicloro-2-piridinil)etilo	589
144 (Ej. 6)	2,5-diclorofenilo	CH_2	O	X^1	G-1	(1*R*)-1,2,3,4-tetrahidro-1-naftalenilo	542
145 (Ej. 6)	2-metoxifenilo	CH_2	O	X^1	G-1	(1*R*)-1,2,3,4-tetrahidro-1-naftalenilo	504
146 (Ej. 6)	2-metoxi-5-metilfenilo	CH_2	O	X^1	G-1	(1*R*)-1,2,3,4-tetrahidro-1-naftalenilo	518
147 (Ej. 6)	2-cloro-5-trifluorometilfenilo	CH_2	O	X^1	G-1	(1*R*)-1,2,3,4-tetrahidro-1-naftalenilo	576
148 (Ej. 6)	2-metoxi-5-bromofenilo	CH_2	O	X^1	G-1	(1*R*)-1,2,3,4-tetrahidro-1-naftalenilo	582
149 (Ej. 6)	3-trifluorometil-5-metilpirazol-1-ilo	CH_2	O	X^1	G-1	(1*R*)-1,2,3,4-tetrahidro-1-naftalenilo	546
150 (Ej. 6)	3,5-dimetilpirazol-1-ilo	CH_2	O	X^1	G-1	(1*R*)-1,2,3,4-tetrahidro-1-naftalenilo	492
151 (Ej. 8)	3-trifluorometil-5-metilpirazol-1-ilo	CH_2	O	X^1	G-2	(1*R*)-1,2,3,4-tetrahidro-1-naftalenilo	530
152 (Ej. 7)	3-trifluorometil-5-metilpirazol-1-ilo	CH_2	O	X^1	G-2	(1*R*)-1-fenilpropilo	518
153 (Ej. 9)	2,5-dimetilfenilo	CH_2	O	X^1	G-2	(1*R*)-1,2,3,4-tetrahidro-1-naftalenilo	486

688

Comp.	R^1	A	W^1	X	G(*)	Q^b	AP* (M+1)
154 (Ej. 10)	2,5-dimetilfenilo	CH_2	O	X^1	G-2	(1*R*)-1-fenilpropilo	474
155	3-trifluorometilfenilo	NH	O	X^1	G-1	(1*R*)-1-feniletilo	518
156	3-trifluorometilfenilo	NH	O	X^1	G-1	(1*R*)-1-feniletilo	517
157	2-metoxifenilo	NH	O	X^1	G-2	(1*R*)-1-feniletilo	464
158	3-trifluorometilfenilo	NH	O	X^1	G-2	(1*R*)-1-feniletilo	502
159	2-metoxi-5-metilfenilo	NH	S	X^1	G-1	(1*R*)-1-feniletilo	509
160	3-trifluorometilfenilo	NH	S	X^1	G-1	(1*R*)-1-feniletilo	533
161	2-clorofenilo	CH_2	O	X^1	G-1	bencilo	468
162	2-clorofenilo	CH_2	O	X^1	G-1	2-feniletilo	482
163	3-trifluorometilfenilo	CH_2	O	X^1	G-1	3-piridinilmetilo	503
164	3-metilfenilo	CH_2	O	X^1	G-1	3-piridilmetilo	449
165	3-metilfenilo	CH_2	O	X^1	G-1	2-piridilmetilo	449
166	2,5-dimetilfenilo	CH_2	O	X^1	G-1	(1*R*)-1-ciclohexiletilo	483
167	2,5-dimetilfenilo	CH_2	O	X^1	G-1	bencilo	463
168	3-trifluorometilfenilo	CH_2	O	X^1	G-1	2-piridilmetilo	503
169	3-trifluorometilfenilo	CH_2	O	X^1	G-1	4-piridilmetilo	503
170	3-metilfenilo	CH_2	O	X^1	G-1	4-piridilmetilo	449
171	2,5-dimetilfenilo	CH_2	O	X^1	G-1	3,4-dimetoxi-2-feniletilo	536
172	2,5-dimetilfenilo	CH_2	O	X^1	G-1	isotiocroman-4-ilo	520
173	2,5-dimetilfenilo	CH_2	O	X^1	G-1	1,2,3,4-tetrahidrofenantren-1-ilo	552
174	2,5-dimetilfenilo	CH_2	O	X^1	G-1	ciclohexilo	454

Comp.	R^1	A	W^1	X	G(*)	Q^b	AP^+ (M+1)
175	2,5-dimetilfenilo	CH_2	O	X^1	G-1	1,1-dimetil-2-(4-fluorofenil)etilo	522
176	2,5-dimetilfenilo	CH_2	O	X^1	G-1	3-metilciclohex-1-ilo	468
177	2,5-dimetilfenilo	CH_2	O	X^1	G-1	2,3-dimetilciclohex-1-ilo	482
178 (Ej. 19)	3-trifluorometil-5-metilpirazol-1-ilo	CH_2	O	X^1	G-1	(*R*)-1-indan-1-ilo	533
179	3-trifluorometil-5-metilpirazol-1-ilo	CH_2	O	X^1	G-1	croman-4-ilo	548
180	3-trifluorometil-5-metilpirazol-1-ilo	CH_2	O	X^1	G-1	tiocroman-4-ilo	564
181	3-trifluorometil-5-metilpirazol-1-ilo	CH_2	O	X^1	G-1	6,7,8,9-tetrahidro-5*H*-benzociclohepten-5-ilo	560
182	3-trifluorometil-5-metilpirazol-1-ilo	CH_2	O	X^1	G-1	4,5,6,7-tetrahidrobenzo[*b*]tien-4-ilo	552
183	3-trifluorometil-5-metilpirazol-1-ilo	CH_2	O	X^1	G-1	4,5,6,7-benzo[*b*]furan-4-ilo	536
184	3-trifluorometil-5-metilpirazol-1-ilo	CH_2	O	X^1	G-1	2,3-dihidro-benzofuran-3-ilo	534
185	3-trifluorometil-5-metilpirazol-1-ilo	CH_2	O	X^1	G-1	isotiocroman-4-ilo	564
186	3-trifluorometil-5-metilpirazol-1-ilo	CH_2	O	X^1	G-1	2-fenilciclohex-1-ilo	574

690

Comp.	R^1	A	W^1	X	G(*)	Q^b	AP^+ (M+1)
187	3-trifluorometil-5-metilpirazol-1-ilo	CH_2	O	X^1	G-1	(1*S*)-1,2,3,4-tetrahidro-1-naftalenilo	546
188 (Ej. 23)	3-trifluorometil-5-metilpirazol-1-ilo	CH_2	O	X^1	G-1	1,2,3,4-tetrahidro-1-naftalenilo	546
189	3-trifluorometil-5-metilpirazol-1-ilo	CH_2	O	X^1	G-1	5-hidroxi-1,2,3,4-tetrahidro-1-naftalenilo	562
190	3-trifluorometil-5-metilpirazol-1-ilo	CH_2	O	X^1	G-1	6-hidroxi-1,2,3,4-tetrahidro-1-naftalenilo	562
191 [(h)] (Ej. 26)	3-trifluorometil-5-metilpirazol-1-ilo	CH_2	O	X^1	G-1	(1*R*,4*S*)-1,2,3,4-tetrahidro-4-hidroxi-1-naftalenilo	562
192 [(b)]	3-trifluorometil-5-metilpirazol-1-ilo	CH_2	O	X^1	G-1	4-metil-1,2,3,4-tetrahidro-1-naftalenilo	560
193 [(c)] (Ej. 22)	3-trifluorometil-5-metilpirazol-1-ilo	CH_2	O	X^1	G-1	2-metil-1,2,3,4-tetrahidro-1-naftalenilo	560
194	3-trifluorometil-5-metilpirazol-1-ilo	CH_2	O	X^1	G-1	*trans*-2-hidroxi-1,2,3,4-tetrahidro-1-naftalenilo	562
195	3-trifluorometil-5-metilpirazol-1-ilo	CH_2	O	X^1	G-1	*trans*-2-acetoxi-1,2,3,4-tetrahidro-1-naftalenilo	604
196	3-trifluorometil-5-metilpirazol-1-ilo	CH_2	O	X^1	G-1	5-metoxi-1,2,3,4-tetrahidro-1-naftalenilo	576
197	3-trifluorometil-5-metilpirazol-1-ilo	CH_2	O	X^1	G-1	6-metoxi-1,2,3,4-tetrahidro-1-naftalenilo	576

691

Comp.	R^1	A	W^1	X	G(*)	Q^b	AP* (M+1)
198	3-trifluorometil-5-metilpirazol-1-ilo	CH_2	O	X^1	G-1	7-metoxi-1,2,3,4-tetrahidro-1-naftalenilo	576
199	3-trifluorometil-5-metilpirazol-1-ilo	CH_2	O	X^1	G-1	4,4-dimetil-1,2,3,4-tetrahidro-1-naftalenilo	574
200 [(h)]	3-trifluorometil-5-metilpirazol-1-ilo	CH_2	O	X^1	G-1	(1*S*,2*R*)-2-hidroxiindan-1-ilo	548
201	3-trifluorometil-5-metilpirazol-1-ilo	CH_2	O	X^1	G-1	6-cloro-1,2,3,4-tetrahidro-1-naftalenilo	580
202	3-trifluorometil-5-metilpirazol-1-ilo	CH_2	O	X^1	G-11	(1*R*)-1,2,3,4-tetrahidro-1-natalenilo	530
203	3-trifluorometil-5-metilpirazol-1-ilo	CH_2	O	X^1	G-23	(1*R*)-1,2,3,4-tetrahidro-1-naftalenilo	530
204	3-metil-2-piridilo	CH_2	O	X^1	G-1	(1*R*)-1,2,3,4-tetrahidro-1-naftalenilo	489
205	2-piridinilo	CH_2	O	X^1	G-1	(1*R*)-1,2,3,4-tetrahidro-1-naftalenilo	475
206 [h] (Ej. 28)	3-trifluorometil-5-metilpirazol-1-ilo	CH_2	O	X^1	G-1	(1*R*,4*R*)-1,2,3,4-tetrahidro-4-hidroxi-1-naftalenilo	562
207	3-trifluorometil-5-metilpirazol-1-ilo	CH_2	O	X^1	G-1	*trans*-2-hidroxi-indan-1-ilo	548
208 (Ej. 16)	3-trifluorometil-5-metilpirazol-1-ilo	CH_2	O	X^1	G-1	(1*R*)-1,2,3,4-tetrahidro-1-naftalenilo	560

692

Comp.	R^1	A	W^1	X	G(*)	Q^b	AP^+ (M+1)
209 (Ej. 15)	3,5-dietilpirazol-1-ilo	CH_2	O	X^1	G-1	(1*R*)-1,2,3,4-tetrahidro-1-naftalenilo	520
210 (Ej. 14)	3,5-bis-trifluorometil-pirazol-1-ilo	CH_2	O	X^1	G-1	(1*R*)-1,2,3,4-tetrahidro-1-naftalenilo	600
211 (Ej. 27)	3-trifluorometil-5-metilpirazol-1-ilo	CH_2	O	X^1	G-1	4-oxo-1,2,3,4-tetrahidro-1-naftalenilo	560
212	3-trifluorometil-5-metilpirazol-1-ilo	CH_2	O	X^1	G-1	*cis*-4-acetoxi-1,2,3,4-tetrahidro-1-naftalenilo	604
213	3-trifluorometil-5-metilpirazol-1-ilo	CH_2	O	X^1	G-1	*cis*-4-metoxi-1,2,3,4-tetrahidro-1-naftalenilo	576
214	6-cloro-2-piridilo	CH_2	O	X^1	G-1	(1*R*)-1,2,3,4-tetrahidro-1-naftalenilo	509
215	4,6-dimetil-2-piridilo	CH_2	O	X^1	G-1	(1*R*)-1,2,3,4-tetrahidro-1-naftalenilo	503
216	3-trifluorometil-5-metilpirazol-1-ilo	CH_2	O	X^1	G-20	(1*R*)-1-feniletilo	505
217	3-trifluorometil-5-metilpirazol-1-ilo	CH_2	O	X^1	G-30	(1*R*)-1,2,3,4-tetrahidro-1-naftalenilo	530
218 (Ej. 31)	3-trifluorometil-5-metilpirazol-1-ilo	CH_2	O	X^3	G-1	(1*R*)-1,2,3,4-tetrahidro-1-naftalenilo	544
219	3-trifluorometil-5-metilpirazol-1-ilo	CH_2	O	X^1	G-1	metilcarbamato de 1,2,3,4-tetrahidro-4-naftalenol-1-ilo [(aa)]	619

Comp.	R^1	A	W^1	X	G(*)	Q^b	AP+ (M+1)
220 (Ej. 30)	3-trifluorometil-5-metilpirazol-1-ilo	CH_2	O	X^2	G-1	(1*R*)-1,2,3,4-tetrahidro-1-naftalenilo	547
221	3-trifluorometil-5-metilpirazol-1-ilo	CH_2	O	X^1	G-1	5-cloroindan-1-ilo	566
222 (Ej. 21)	3-trifluorometil-5-metilpirazol-1-ilo	CH_2	O	X^1	G-1	2,2-dimetilindan-1-ilo	560
223	3-trifluorometil-5-metilpirazol-1-ilo	CH_2	O	X^1	G-15	(1*R*)-1,2,3,4-tetrahidro-1-naftalenilo	546
224	3-trifluorometil-5-metilpirazol-1-ilo	CH_2	O	X^2	G-7	(1*R*)-1,2,3,4-tetrahidro-1-naftalenilo	548
225	3-trifluorometil-5-metilpirazol-1-ilo	CH_2	O	X^1	G-8	(1*R*)-1,2,3,4-tetrahidro-1-naftalenilo	531
226 (Ej. 20)	3-trifluorometil-5-metilpirazol-1-ilo	CH_2	O	X^1	G-1	2-metilindan-1-ilo	546
227	3-trifluorometil-5-metilpirazol-1-ilo	CH_2	O	X^1	G-37 (d)	(1*R*)-1,2,3,4-tetrahidro-1-naftalenilo	560
228	3-trifluorometil-5-metilpirazol-1-ilo	CH_2	O	X^1	G-1	trans-2-etil-1,2-dihidro-1-naftalenilo	572
229	3-trifluorometil-5-metilpirazol-1-ilo	CH_2	O	X^1	G-1	3-carbometoxi-indan-1-ilo	590
230	3-trifluorometil-5-metilpirazol-1-ilo	CH_2	O	X^1	G-1	trans-2-metil-1,2-dihidro-1-naftalenilo	558

Comp.	R^1	A	W^1	X	G(*)	Q^b	AP^+ (M+1)
231 (Ej. 18)	3-trifluorometil-5-metilpirazol-1-ilo	CH_2	O	X^1	G-26	(1*R*)-1,2,3,4-tetrahidro-1-naftalenilo	529
232 (Ej. 32)	3-trifluorometil-5-metilpirazol-1-ilo	CH_2	O	X^1	G-36	(1*R*)-1,2,3,4-tetrahidro-1-naftalenilo	530
233 (Ej. 33)	3-trifluorometil-5-metilpirazol-1-ilo	CH_2	O	X^1	G-27	(1*R*)-1,2,3,4-tetrahidro-1-naftalenilo	529
234 [h] (Ej. 24)	3-trifluorometil-5-metilpirazol-1-ilo	CH_2	O	X^1	G-1	(1*R*,2*S*)-1,2,3,4-tetrahidro-2-metil-1-naftalenilo	560
235	3-trifluorometil-5-metilpirazol-1-ilo	CH_2	O	X^1	G-1	3-hidroximetilidan-1-ilo	562
236 (Ej. 25)	3-trifluorometil-5-metilpirazol-1-ilo	CH_2	O	X^1	G-1	2,2-dimetil-1,2,3,4-tetrahidro-1-naftalenilo	574
237	3-trifluorometil-5-metilpirazol-1-ilo	CH_2	O	X^1	G-1	1-metil-1-feniletilo	534
238 (Ej. 12)	3,5-dicloro-pirazol-1-ilo	CH_2	O	X^1	G-1	(1*R*)-1,2,3,4-tetrahidro-1-naftalenilo	532
239 [e]	3-trifluorometil-5-t-butilpirazol-1-ilo	CH_2	O	X^1	G-1	(1*R*)-1,2,3,4-tetrahidro-1-naftalenilo	588
240	3-trifluorometil-5-isopropilpirazol-1-ilo	CH_2	O	X^1	G-1	(1*R*)-1,2,3,4-tetrahidro-1-naftalenilo	574
241	3-trifluorometil-5-metilpirazol-1-ilo	CH_2	O	X^8	G-1	(1*R*)-1,2,3,4-tetrahidro-1-naftalenilo	561

Comp.	R^1	A	W^1	X	G(*)	Q^b	AP^+ (M+1)
242 (f)	3-trifluorometil-5-metilpirazol-1-ilo	CH_2	O	X^8	G-1	(1*R*)-1,2,3,4-tetrahidro-1-naftalenilo	597
243	3-trifluorometil-5-metilpirazol-1-ilo	CH_2	O	X^1	G-1	1-(2-metilfenil)etilo	534
244	3-trifluorometil-5-metilpirazol-1-ilo	CH_2	O	X^1	G-1	éster metílico del ácido (α*S*)-α-fenilacético	564
245	3-trifluorometil-5-metilpirazol-1-ilo	CH_2	O	X^1	G-1	ácido (α*S*)-α-fenilacético	550
246 (Ej. 17)	3-trifluorometil-5-metilpirazol-1-ilo	CH_2	O	X^1	G-49	(1*R*)-1,2,3,4-tetrahidro-1-naftalenilo	532
247	3-trifluorometil-5-metilpirazol-1-ilo	CH_2	O	X^1	G-1	(α*S*)-α-fenilacetamida	549
248	3-trifluorometil-5-metilpirazol-1-ilo	CH_2	O	X^1	G-1	bencilo	506
249 (Ej. 13)	3-trifluorometil-5-cloropirazol-1-ilo	CH_2	O	X^1	G-1	(1*R*)-1,2,3,4-tetrahidro-1-naftalenilo	566
250	3-trifluorometil-5-metilpirazol-1-ilo	CH_2	O	X^1	G-1	1-(2-fluorofenil)etilo	538
251	3-trifluorometil-5-metilpirazol-1-ilo	CH_2	O	X^1	G-1	1-(2-clorofenil)etilo	554
252	3-trifluorometil-5-metilpirazol-1-ilo	CH_2	O	X^1	G-1	1-(2-bromofenil)etilo	598

696

Comp.	R^1	A	W^1	X	G(*)	Q^b	AP^+ (M+1)
253	3-trifluorometil-5-metilpirazol-1-ilo	CH_2	O	X^1	G-1	1-(4-clorofenil)-2,2,2-trifluoroetilo	608
254 (g)	3-trifluorometil-5-metilpirazol-1-ilo	CH_2	O	X^1	G-1	perhidronaftalen-1-ilo	552
255	3-trifluorometil-5-metilpirazol-1-ilo	CH_2	O	X^1	G-1	1-(2-metoxifenil)etilo	550
256	3-trifluorometil-5-metilpirazol-1-ilo	CH_2	O	X^1	G-55	(1*R*)-1,2,3,4-tetrahidro-1-naftalenilo	531
257	3-cloro-5-metilpirazol-1-ilo	CH_2	O	X^1	G-1	(1*R*)-1,2,3,4-tetrahidro-1-naftalenilo	512
258	3-bromo-5-metilpirazol-1-ilo	CH_2	O	X^1	G-1	(1*R*)-1,2,3,4-tetrahidro-1-naftalenilo	556
259	3-trifluorometil-5-metilpirazol-1-ilo	CH_2	O	X^1	G-1	2-feniletilo	520

Notas:

(*) R^{3a} es H a menos que se indique de otra manera.

(a) en donde R^{3a} es 5-Cl.

(b) mezcla de cis y trans.

(c) mezcla de cis y trans.

(d) R^{3a} es CH_3.

(e) contiene 40% del isómero 3-t-butil-5-trifluorometil-pirazol-1-ilo.

(f) sal de HCl.

(g) mezcla de cis y trans.

(h) mezcla racémica con su enantiómero.

(aa) metilcarbamato de 1,2,3,4-tetrahidro-4-naftalenol-1-ilo significa

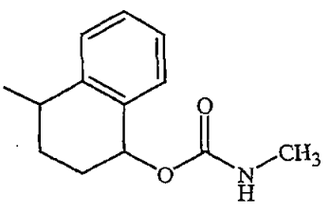
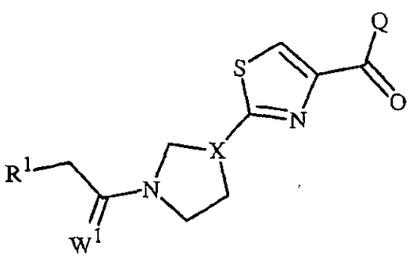


TABLA DE REFERENCIA B



Comp.	R^1	X	W^1	Q	AP^+ (M+1)
260	2-clorofenilo	X^1	O	(1*S*)-1-feniletilamino	468
261	2-clorofenilo	X^1	O	(1*R*)-1-feniletilamino	468
262	2-clorofenilo	X^1	O	bencilamino	454
263	2-clorofenilo	X^1	O	1-metil-1-feniletilamino	482
264	2-clorofenilo	X^1	O	2-feniletilamino	468
265	2-clorofenilo	X^1	O	2-indanilamino	480
266	2-clorofenilo	X^1	O	1,2,3,4-tetrahidro-1-naftalenilamino	494
267	2-clorofenilo	X^1	O	1,2,3,4-tetrahidroisoquinolino	481

Comp.	R^1	X	W^1	Q	AP^+ (M+1)
268	2-clorofenilo	X^1	O	4-metilpiperidino	446
269	2-clorofenilo	X^1	O	2-(3-piridinil)pirrolidino	495
270	3-trifluorometilfenilo	X^1	O	(1*R*)-1-(4-bromofenil)etilamino	580
271	3-bromofenilo	X^1	O	(1*R*)-1-(4-bromofenil)etilamino	530
272	3-bromofenilo	X^1	O	(1*R*)-1-ciclohexiletilamino	518
273	3-bromofenilo	X^1	O	(1*R*)-1-(4-nitrofenil)etilamino	557
274	3-bromofenilo	X^1	O	(1*R*)-1-(4-metilfenil)etilamino	526
275	3-bromofenilo	X^1	O	(1*R*)-1-(2-trifluorometilfenil)etilamino	580
276	3-bromofenilo	X^1	O	(1*R*)-1-(2-fluorofenil)etilamino	530
277	3-bromofenilo	X^1	O	(1*R*)-1-(3-trifluorometilfenili)etilamino	580
278	3-bromofenilo	X^1	O	(1*R*)-1-fenilpropilamino	526
279	3-bromofenilo	X^1	O	(1*R*)-1-(4-metoxifenil)etilamino	542
280	3-bromofenilo	X^1	O	(1*R*)-1-(4-clorofenil)etilamino	546
281	3-bromofenilo	X^1	O	(1*R*)-1-(3-metoxifenil)etilamino	542
282	3-bromofenilo	X^1	O	α-cianobencilamino	523
283	2,5-dimetilfenilo	X^1	O	(1*R*)-1-feniletilamino	463
284	2,5-dimetilfenilo	X^1	O	(1*R*)-*N*-alil-1-feniletilamino	502
285	2,5-dimetilfenilo	X^1	O	(1*R*)-*N*-isopropil-1-feniletilamino	504
286	2,5-dimetilfenilo	X^1	O	(1*R*)-*N*-etil-1-feniletilamino	490
287	2,5-dimetilfenilo	X^1	O	(1*R*)-*N*-propil-1-feniletilamino	504
288	2,5-dimetilfenilo	X^1	O	2-(3,4-dimetoxifenil)etilamino	522
289 (Ej. 29)	3-trifluorometil-5-metilpirazol-1-ilo	X^1	S	(1*R*)-*N*-metil-1,2,3,4-tetrahidro-1-naftalenilamino	562

Comp.	R^1	X	W^1	Q	AP^+ (M+1)
290	3-trifluorometil-5-metilpirazol-1-ilo	X^1	O	2-(4-clorofenil)pirrolidino	566
291	3-trifluorometil-5-metilpirazol-1-ilo	X^1	O	7(1*H*)-oxo-2,3,8,8*a*-tetrahidrociclopen[*ij*]isoquinolino	558
292 [(i)]	3-trifluorometil-5-metilpirazol-1-ilo	X^2	O	(1*R*)-*N*-metil-1,2,3,4-tetrahidro-1-naftalenilamino	575
293[(j)]	3-trifluorometil-5-metilpirazol-1-ilo	X^2	O	(1*R*)-*N*-metil-1,2,3,4-tetrahidro-1-naftalenilamino	561
294	3-trifluorometil-5-metilpirazol-1-ilo	X^1	O	1,2,3,4-tetrahidro-1-naftalenilamino	532
295	3-trifluorometil-5-metilpirazol-1-ilo	X^1	O	2,3-dihidro-1*H*-isoindolo	504
296	3-trifluorometil-5-metilpirazol-1-ilo	X^1	O	1,2,3,4-tetrahidroisoquinolino	518
297	3-trifluorometil-5-metilpirazol-1-ilo	X^1	O	6,7-dimetoxi-1,2,3,4-tetrahidroisoquinolino	578
298	3-trifluorometil-5-metilpirazol-1-ilo	X^1	O	1-metil-2,3-dihidro-1*H*-isoindolo	518
299	3-trifluorometil-5-metilpirazol-1-ilo	X^1	O	perhidroisoquinolino	524
300	3-trifluorometil-5-metilpirazol-1-ilo	X^1	O	perhidroquinolino	524

Comp.	R^1	X	W^1	Q	AP^+ (M+1)
301	3-trifluorometil-5-metilpirazol-1-ilo	X^1	O	(1*R*)-1-feniletilamino	506
302	3-trifluorometil-5-metilpirazol-1-ilo	X^1	O	(1*R*)-*N*-metil-1-feniletilamino	534
303	3-trifluorometil-5-metilpirazol-1-ilo	X^1	O	(1*R*)-*N*-propil-1-feniletilamino	548
304	3-trifluorometil-5-metilpirazol-1-ilo	X^1	O	(1*R*)-*N*-alil-1-feniletilamino	546
305	3-trifluorometil-5-metilpirazol-1-ilo	X^1	O	(1*R*)-1,2,3,4-tetrahidro-1-naftalenilamino	532
306	3-trifluorometil-5-metilpirazol-1-ilo	X^1	O	(1*R*)-*N*-etil-1,2,3,4-tetrahidro-1-naftalenilamino	560
307	3-trifluorometil-5-metilpirazol-1-ilo	X^1	O	piperidino	470
308	3-trifluorometil-5-metilpirazol-1-ilo	X^1	O	4-metilpiperidino	484
309	3-trifluorometil-5-metilpirazol-1-ilo	X^1	O	4,4-dimetilpiperidino	498
310	3-trifluorometil-5-metilpirazol-1-ilo	X^1	O	1,2,3,6-tetrahidropiridino	468
311	3-trifluorometil-5-metilpirazol-1-ilo	X^1	O	4-fenil-1,2,3,6-tetrahidropiridino	544

Comp.	R^1	X	W^1	Q	AP^+ (M+1)
312	3-trifluorometil-5-metilpirazol-1-ilo	X^1	O	3-metilpiperidino	484
313	3-trifluorometil-5-metilpirazol-1-ilo	X^1	O	3,3-dimetilpiperidino	498
314	3-trifluorometil-5-metilpirazol-1-ilo	X^1	O	2-feniletilamino	506
315[(k)] (Ej. 31)	3-trifluorometil-5-metilpirazol-1-ilo	X^1	O	(1*R*)-*N*-metil-1,2,3,4-tetrahidro-1-naftalenilamino	544
316[(l)]	3-trifluorometil-5-metilpirazol-1-ilo	X^1	O	(1*R*)-metil-1,2,3,4-tetrahidro-1-naftalenilamino	572

Notas:

(i) Tiene la sustitución de 2,6-dimetilo en los átomos de carbono adyacentes al átomo de nitrógeno enlazado a $C(=W^1)$ del anillo de piperazina que comprende X.

(j) Tiene la sustitución de 2-metilo en uno de los átomos de carbono adyacentes al átomo de nitrógeno unido a $C(=W^1)$ del anillo de piperazina que comprende X.

(k) Anillo que comprende X contiene un enlace doble de carbono-carbono y de esta manera es un anillo de 1,2,3,4-tetrahidropiridina.

(l) Tiene una conexión de etileno entre las posiciones 2 y 6 (en los átomos de carbono adyacentes al átomo de

nitrógeno) del anillo de piperidina que comprende X (para formar un sistema de anillos de 8-azabiciclo[3.2.1]octano).

EJEMPLOS BIOLOGICOS DE LA INVENCION

Protocolo general para preparar suspensiones de prueba para las Pruebas A-E:

Los compuestos de prueba se disolvieron primero en acetona en una cantidad igual a 3% del volumen final y luego se suspendieron a la concentración deseada (en ppm) en acetona y agua purificada (mezcla 50/50 en volumen) que contenía 250 ppm del surfactante Trem 014^{MR} (ésteres de alcohol polihídrico). Las suspensiones de prueba resultantes entonces se utilizaron en las pruebas A-E. La pulverización de una suspensión de prueba 200 ppm hasta el punto de escurrimiento sobre las plantas de prueba fue el equivalente a una proporción de 500 g/ha.

PRUEBA A

La suspensión de prueba se pulverizó hasta el punto de escurrimiento sobre plántulas de pepino. Al siguiente día, las plántulas se inocularon con una suspensión de esporas de *Pseudoperonospora cubensis* (el agente causal de mildíu del pepino) y se incubaron en una atmósfera saturada a 20°C durante 24 horas y luego se movieron a una cámara de crecimiento a 20°C durante 6 días, después de lo cual se

hicieron las clasificaciones de la enfermedad.

PRUEBA B

Las plántulas de uva se inocularon con una suspensión de esporas de *Plasmopara viticola* (el agente causal de mildíu de la uva) y se incubaron en una atmósfera saturada a 20°C durante 24 horas. Después de un período corto de secado, la suspensión de prueba se pulverizó hasta el punto de escurrimiento sobre las plántulas de uva y luego se movieron a una cámara de crecimiento a 20°C durante 5 días, después de lo cual las unidades de prueba se colocaron nuevamente en una atmósfera saturada a 20°C durante 24 horas. Con la remoción, se hicieron las clasificaciones de la enfermedad.

PRUEBA C

La suspensión de prueba se pulverizó hasta el punto de escurrimiento sobre plántulas de tomate. Al siguiente día, las plántulas se inocularon con una suspensión de esporas de *Phytophthora infestans* (el agente causal de la fitoftora del tomate) y se incubaron en una atmósfera saturada a 20°C durante 24 horas y luego se movieron a una cámara de crecimiento a 20°C durante 5 días, después de lo cual se hicieron las clasificaciones de la enfermedad.

PRUEBA D

Las plántulas de tomate se inocularon con una suspensión de esporas del *Phytophthora infestans* (el agente

causal de la fitoftora del tomate) y se incubaron en una atmósfera saturada a 20°C durante 17 horas. Después de un período corto de secado, la suspensión de prueba se pulverizó hasta el punto de escurrimiento sobre las plántulas de tomate y luego se movieron a una cámara de crecimiento a 20°C durante 4 días, después de lo cual se hicieron las clasificaciones de la enfermedad.

PRUEBA E

La suspensión de prueba se pulverizó hasta el punto de escurrimiento sobre plántulas de trigo. Al siguiente día, las plántulas se inocularon con un polvo de esporas de *Erysiphe graminis* f. sp. *tritici*, (el agente causal del oidio del trigo) y se incubaron en una cámara de crecimiento a 20°C durante 7 días, después de lo cual se hicieron las clasificaciones de la enfermedad.

Además de la pruebas A-E, los compuestos también se pulverizaron sobre plantas de tomate inoculadas con *Botrytis cinerea* 24 horas después del tratamiento y dos conjuntos separados de plantas de trigo inoculadas con *Puccinia recondita* o *Septoria nodorum* 24 horas después del tratamiento. Los compuestos sometidos a prueba no fueron efectivos contra estos agentes patógenos bajo la condición de estas pruebas.

Protocolo general para preparar las suspensiones de prueba para la Prueba F:

Los compuestos de prueba se disolvieron primero en sulfóxido de dimetilo (DMSO) en una cantidad igual a 4% del volumen final y luego se suspendieron a la concentración deseada (en ppm) en acetona y agua purificada (mezcla 30/70 en volumen). Las suspensiones de prueba resultantes entonces se utilizaron en la prueba F. La pulverización de una suspensión de prueba 250 ppm sobre las plantas de prueba fue el equivalente de una proporción de 250 g/ha.

PRUEBA F

La suspensión de prueba se pulverizó sobre plántulas de pepino. Al siguiente día, las plántulas se inocularon con una suspensión de esporas de *Pseudoperonospora cubensis* (el agente causal del mildíu del pepino) y se incubaron en una atmósfera saturada a 20°C durante 24 horas y luego se movieron a una cámara de crecimiento a 20°C durante 6 días, después de lo cual se hicieron las clasificaciones de la enfermedad.

Los resultados para las Prueba A-F se proporcionan en la Tabla A. En la Tabla, una clasificación de 100 indica 100% de control de la enfermedad y una clasificación de 0 indica la ausencia de control de la enfermedad (con relación a los controles). Un guión (-) indica la ausencia de resultados de prueba.

TABLA A

No. de Comp.	Prueba A	Prueba B	Prueba C	Prueba D	Prueba E	Prueba F
1	0	20	56	-	0	-
2	100	67	96	-	50	86
3	0	53	0	-	21	-
4	0	14	0	-	21	-
5	0	0	33	-	0	-
6	0	28	0	-	41	-
7	0	0	0	-	0	-
8	99	31	29	-	0	93
9	82	28	0	-	0	-
10	0	20	0	-	0	95
11	0	31	91	-	0	97
12	0	6	0	-	0	-
13	0	0	0	-	0	-
14	0	6	0	-	0	-
15	100	76	98	-	0	99
16	100	95	100	-	0	99
17	0	4	0	-	79	-
18	100	80	100	-	0	96
19	100	99	100	-	0	-
20	100	0	93	-	0	99
21	100	99	99	-	21	100
22	93	20	31	-	21	-
23	90	6	29	-	86	-

No. de Comp.	Prueba A	Prueba B	Prueba C	Prueba D	Prueba E	Prueba F
24	100	100	100	-	21	-
25	97	61	62	-	0	-
26	97	17	90	-	0	-
27	100	8	99	-	0	92
28	99	5	31	-	0	-
29	100	33	98	-	0	47
30	0	0	0	-	0	-
31	0	0	0	-	0	-
32	87	0	95	-	0	93
33	96	51	91	-	29	-
34	85	48	31	-	0	-
35	88	66	93	-	0	97
36	100	99	100	-	91	95
37	85	23	80	-	87	-
38	0	45	0	-	55	43
39	100	100	100	-	85	100
40	98	76	60	-	0	-
41	100	94	100	-	0	82
42	99	31	100	-	21	-
43	100	83	100	-	21	-
44	97	57	98	-	21	0
45	95	70	98	-	0	-
46*	-	0	0	0	0	-
47	100	100	100	-	96	100

No. de Comp.	Prueba A	Prueba B	Prueba C	Prueba D	Prueba E	Prueba F
48	0	6	29	-	49	39
49	97	83	67	-	85	-
50	-	55	100	99	0	100
51	-	20	100	96	0	100
52	-	20	100	74	0	96
53	-	20	100	89	0	-
54	-	11	100	69	0	50
55	-	7	100	92	0	47
56	-	31	93	0	0	-
57	-	100	100	94	50	99
58	-	100	100	94	0	100
59	-	84	98	63	21	93
60	-	95	93	42	67	47
61	-	2	69	0	0	-
62	-	92	92	24	29	43
63	-	100	100	93	0	99
64	-	100	100	95	92	93
65	-	92	100	100	0	100
66	-	2	54	42	0	-
67	-	10	68	0	0	99
68	-	47	100	16	0	95
69	-	88	100	0	29	100
70	-	100	100	100	0	-
71	-	34	74	0	0	-

No. de Comp.	Prueba A	Prueba B	Prueba C	Prueba D	Prueba E	Prueba F
72	-	1	91	66	0	-
73	-	2	75	9	0	-
74	-	27	99	92	0	-
75	-	16	100	72	0	0
76	-	0	79	0	0	-
77	-	100	100	100	88	50
78	-	100	78	46	0	-
79	-	82	77	0	0	-
80	-	99	100	70	29	49
81	-	100	100	100	0	50
82	-	100	97	53	55	93
83	-	14	31	0	0	-
84	-	41	44	0	0	-
85	-	99	99	9	32	-
86	-	99	99	100	0	86
87	-	79	88	8	0	100
88	-	43	33	0	0	-
89	-	100	99	99	43	93
90	-	17	26	9	0	-
91	-	16	99	79	0	-
92	-	83	100	100	0	100
93	-	9	26	0	0	-
94	-	0	100	100	0	93
95	-	0	100	83	0	97

No. de Comp.	Prueba A	Prueba B	Prueba C	Prueba D	Prueba E	Prueba F
96	-	98	100	49	0	-
97	-	53	86	0	0	-
98	-	0	84	0	0	-
99	-	97	100	99	0	-
100	-	94	100	99	0	-
101	-	0	70	8	0	-
102	-	100	99	-	0	-
103	-	100	71	-	79	-
104	-	100	87	-	0	-
105	-	96	100	-	0	-
106	-	0	24	-	0	-
107	-	99	100	-	0	-
108	-	99	100	-	0	-
109	-	99	99	-	94	-
110	-	100	100	-	50	-
111	-	96	99	37	98	-
112	-	93	100	99	95	-
113	-	0	97	31	0	-
114	-	99	100	99	0	-
115	-	100	100	99	0	-
116	-	100	49	87	0	-
117	-	100	100	100	0	-
118	-	99	100	99	0	-
119	-	95	99	33	89	100

No. de Comp.	Prueba A	Prueba B	Prueba C	Prueba D	Prueba E	Prueba F
120	-	7	51	100	0	-
121	-	0	47	0	0	-
122	-	28	53	96	17	-
123	-	13	100	47	7	-
124	-	100	100	0	71	90
125	-	100	100	99	94	100
126	-	-	-	-	-	-
127	-	0	92	0	0	-
128	-	0	0	0	0	-
129	-	0	50	57	0	-
130	-	99	54	100	0	-
131	-	77	55	62	0	-
132	-	100	100	100	0	100
133	-	77	53	79	0	-
134	-	97	51	100	0	-
135	-	16	51	47	0	-
136	-	77	100	80	0	-
137	-	100	51	93	0	-
138	-	91	100	37	44	-
139	-	0	0	0	0	-
140	-	0	0	0	0	-
141	-	0	0	0	0	-
142	-	0	0	0	87	-
143	-	69	100	99	0	50

No. de Comp.	Prueba A	Prueba B	Prueba C	Prueba D	Prueba E	Prueba F
144	-	100	100	100	0	99
145	-	96	100	100	0	93
146	-	100	100	100	0	99
147	-	98	100	100	0	100
148	-	98	100	100	0	92
149	-	100	100	100	0	100
150	-	83	100	100	0	100
151*	-	99	100	99	0	-
152*	-	99	100	99	0	-
153*	-	99	100	98	0	-
154*	-	99	100	68	0	-
155	100	41	31	-	0	-
156	100	-	62	-	21	100
157	-	63	100	91	0	-
158	-	9	95	0	0	90
159	-	95	99	91	73	93
160	-	47	40	0	0	50
161	0	14	15		42	-
162	0	14	15		42	43
163	-	24	55	0	0	-
164	-	1	60	0	0	-
165	-	11	14	0	0	-
166	-	34	68	16	0	-
167	-	27	97	0	0	99

No. de Comp.	Prueba A	Prueba B	Prueba C	Prueba D	Prueba E	Prueba F
168	-	15	8	0	0	-
169	-	8	68	0	0	-
170	-	7	29	0	0	-
171	-	0		24	0	-
172	-	43	100	100	0	99
173	-	0	0	0	0	95
174	-	68	100	63	0	90
175	-	93	95	0	0	43
176	-	15	95	24	0	49
177	-	86	100	79	0	43
178	-	100	100	99	0	-
179**	-	96	100	87	0	-
180**	-	47	100	92	0	-
181**	-	73	100	98	0	-
182*	-	99	100	98	0	-
183**	-	0	40	16	0	-
184**	-	77	99	76	0	-
185**	-	99	100	98	0	-
186**	-	0	97	58	0	-
187	-	98	100	99	0	93
188**	-	99	100	99	84	99
189	-	93	100	99	0	-
190	-	8	100	99	0	93
191	-	79	100	99	0	-

No. de Comp.	Prueba A	Prueba B	Prueba C	Prueba D	Prueba E	Prueba F
192	-	97	100	99	0	-
193	-	100	100	99	0	-
194*	-	0	98	74	0	-
195	-	47	99	88	0	-
196*	-	97	100	99	0	-
197*	-	98	100	99	0	-
198*	-	99	100	99	0	-
199*	-	99	100	99	0	-
200*	-	39	98	98	0	-
201*	-	98	100	99	0	-
202	-	97	100	99	0	-
203	-	94	100	99	0	-
204	-	16	99	98	0	-
205	-	0	75	17	0	-
206*	-	99	100	99	0	-
207	--	36	99	99	0	-
208	-	100	100	99	0	-
209	-	98	100	99	0	-
210	-	100	100	99	0	-
211*	-	99	100	99	0	-
212*	-	97	100	99	0	-
213*	-	99	100	99	0	-
214	-	98	99	99	0	-
215	-	0	100	99	0	-

No. de Comp.	Prueba A	Prueba B	Prueba C	Prueba D	Prueba E	Prueba F
216	-	72	95	16	0	-
217	-	52	99	40	0	-
218*	-	91	100	99	0	-
219	-	69	99	99	0	-
220*	-	95	100	98	0	-
221**	-	77	99	98	0	-
222*	-	100	100	99	0	-
223*	-	99	100	99	0	-
224*	-	93	100	99	0	-
225	-	83	100	99	0	-
226*	-	100	100	99	0	-
227	-	44	63	0	0	-
228	-	88	100	99	0	-
229	-	97	100	90	0	-
230	-	87	100	94	0	-
231	-	100	100	99	0	-
232	-	100	100	99	0	-
233*	-	99	100	99	0	-
234*	-	100	100	99	0	-
235	-	94	100	99	0	-
236*	-	100	100	99	0	-
237	-	81	99	99	62	-
238**	-	100	100	99	0	-
239*	-	63	57	0	0	-

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No. de Comp.	Prueba A	Prueba B	Prueba C	Prueba D	Prueba E	Prueba F
240**	-	99	100	99	0	-
241	-	17	99	68	47	-
242	-	16	100	73	0	-
243*	-	91	86	82	0	-
244	-	100	99	93	0	-
245	-	0	47	0	0	-
246	-	16	100	96	0	-
247	-	0	90	17	0	-
248	-	88	100	80	53	-
249*	-	100	100	99	0	-
250**	-	97	97	71	0	-
251**	-	100	100	97	0	-
252**	-	99	99	85	19	-
253*	-	96	90	67	0	-
254	-	95	99	99	0	-
255**	-	25	9	0	0	-
256*	-	99	100	86	-	-
257**	-	99	100	99	-	-
258**	-	100	100	99	-	-
259	-	-	-	-	-	-
260	-	14	0	-	0	-
261	93	0	31	-	21	-
262	94	6	52	-	0	-
263	92	0	15	-	0	0

No. de Comp.	Prueba A	Prueba B	Prueba C	Prueba D	Prueba E	Prueba F
264	96	20	0	-	68	93
265	0	34	0	-	47	-
266	100	6	57	-	42	86
267	95	6	21	-	0	-
268	0	6	36	-	0	-
269	0	40	0	-	0	-
270	0	0	0	-	0	-
271	-	7	67	0	0	-
272	-	14	50	0	0	-
273	-	44	0	0	0	-
274	-	29	37	0	0	-
275	-	30	9	0	0	0
276	-	30	17	0	0	-
277	-	15	9	0	0	-
278	-	0	33	0	0	93
279	-	0	0	0	0	0
280	-	0	24	0	0	-
281	-	15	0	0	0	-
282	55	8	0		0	39
283	-	48	84	0	0	99
284	-	10	21	0	0	-
285	-	10	25	0	0	-
286	-	39	23	0	52	-
287	-	0	50	0	0	-

718

No. de Comp.	Prueba A	Prueba B	Prueba C	Prueba D	Prueba E	Prueba F
288	-	73		76	0	95
289*	-	100	100	99	65	-
290	-	0	90	26	0	-
291	-	95	100	99	0	-
292	-	71	100	93	0	-
293	-	100	100	99	0	-
294	-	99	100	96	0	-
295	-	39	95	39	0	-
296	-	82	100	93	0	-
297	-	39	63	33	0	-
298**	-	57	80	0	0	-
299	-	83	99	96	0	-
300	-	62	97	58	0	-
301	-	99	99	80	-	-
302	-	86	95	26	-	-
303	-	45	95	26	-	-
304	-	72	57	26	-	-
305	-	100	100	99	-	-
306	-	96	100	83	-	-
307	-	79	100	26	0	-
308	-	98	100	93	0	-
309	-	96	100	83	0	-
310	-	47	73	9	0	-
311	-	31	83	0	28	-

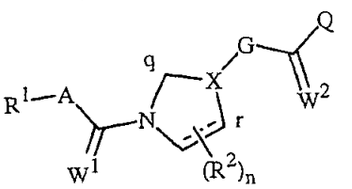
No. de Comp.	Prueba A	Prueba B	Prueba C	Prueba D	Prueba E	Prueba F
312	-	91	100	80	0	-
313	-	98	99	92	0	-
314	-	98	100	99	0	-
315**	-	72	100	88	0	-
316	-	97	100	97	0	-

* indica los compuestos sometidos a prueba a 40 ppm.

** indica los compuestos sometidos a prueba a 10 ppm.

REIVINDICACIONES

1. Un compuesto seleccionado de la fórmula **1**, un *N*-óxido o una sal adecuada desde el punto de vista agrícola del mismo,



1

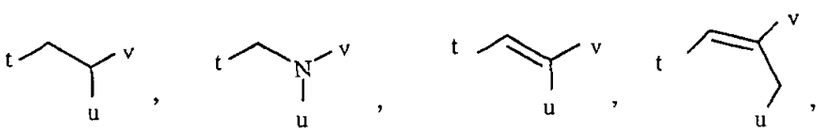
caracterizado porque

R^1 es fenilo sustituido opcionalmente o un anillo heteroaromático de 5 o 6 miembros;

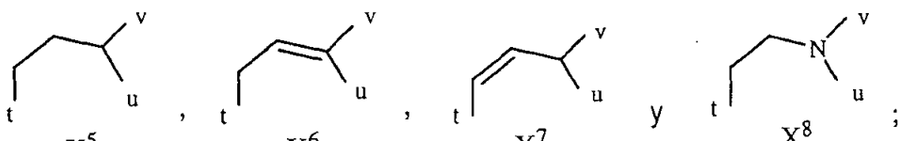
A es CH_2 o NH;

W^1 es O o S;

X es un radical seleccionado de



X^1 , X^2 , X^3 , X^4 ,



X^5 , X^6 , X^7 y X^8 ;

en donde el enlace de X el cual se identifica con "t" está conectado al átomo de carbono identificado con "q" de la fórmula **1**, el enlace el cual se

identifica con "u" está conectado al átomo de carbono identificado con "r" de la fórmula 1 y el enlace el cual se identifica con "v" está conectado a G;

cada R^2 es independientemente alquilo de 1 a 4 átomos de carbono, alquenilo de 1 a 4 átomos de carbono, haloalquilo de 1 a 4 átomos de carbono, alcoxi de 1 a 4 átomos de carbono, halógeno, ciano o hidroxi;

n es 0, 1 o 2; o

dos radicales R^2 se toman juntos como alquileno de 1 a 3 átomos de carbono o alquenileno de 2 a 3 átomos de carbono para formar un sistema de anillos bicíclicos conectados; o

dos radicales R^2 unidos a los átomos de carbono del anillo adyacentes unidos por un enlace doble se toman juntos como -CH=CH-CH=CH- sustituido opcionalmente por 1-3 sustituyentes seleccionados de alquilo de 1 a 4 átomos de carbono, haloalquilo de 1 a 4 átomos de carbono, alcoxi de 1 a 4 átomos de carbono, haloalcoxi de 1 a 4 átomos de carbono, halógeno, hidroxi, amino, ciano y nitro;

G es un anillo heteroaromático de 5 miembros sustituido opcionalmente o un anillo heterocíclico saturado o parcialmente saturado de 5 miembros;

W^2 es O o S;

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Q es $-NQ^aQ^b$;

Q^a es H, alquilo de 1 a 3 átomos de carbono, alquenilo de 2 a 3 átomos de carbono, alquinilo de 2 a 3 átomos de carbono, cicloalquilalquilo de 4 a 10 átomos de carbono, alquilcicloalquilo de 4 a 10 átomos de carbono, alquilcicloalquilalquilo de 5 a 10 átomos de carbono, haloalquilo de 1 a 3 átomos de carbono, haloalquenilo de 2 a 3 átomos de carbono, ciano, hidroxi, alcoxi de 1 a 3 átomos de carbono, alcoxialquilo de 2 a 3 átomos de carbono, hidroxialquilo de 1 a 3 átomos de carbono, alquilcarbonilo de 2 a 3 átomos de carbono, alcoxicarbonilo de 2 a 3 átomos de carbono, alquilaminocarbonilo de 2 a 3 átomos de carbono o dialquilaminocarbonilo de 3 a 5 átomos de carbono;

Q^b es un sistema de anillos bicíclicos saturados o parcialmente saturados de 8 a 11 miembros sustituidos opcionalmente o un sistema de anillos tricíclicos parcialmente saturados de 10 a 15 miembros sustituidos opcionalmente, cada sistema de anillos contiene opcionalmente de 1 a 3 heteroátomos seleccionados de hasta 1 O, hasta 1 S y hasta 3 N e incluye opcionalmente 1-3 miembros de anillo seleccionados del grupo que consiste de C(=O), C(=S), S(O) o $S(O)_2$; o

Q^b es $CR^5R^6R^{15}$; o

Q^a y Q^b se toman junto con el átomo de nitrógeno al cual están enlazados para formar un anillo heterocíclico saturado o parcialmente saturado de 5 o 6 miembros sustituido opcionalmente;

R^5 es H, alquilo de 1 a 6 átomos de carbono, alquenilo de 2 a 6 átomos de carbono, alquinilo de 2 a 6 átomos de carbono, cicloalquilo de 3 a 6 átomos de carbono, cicloalquilalquilo de 4 a 10 átomos de carbono, alquilcicloalquilo de 4 a 10 átomos de carbono, alquilcicloalquilalquilo de 5 a 10 átomos de carbono, haloalquilo de 1 a 6 átomos de carbono, haloalquenilo de 2 a 6 átomos de carbono, haloalquinilo de 2 a 6 átomos de carbono, halocicloalquilo de 3 a 6 átomos de carbono, ciano, nitro, alcoxialquilo de 2 a 4 átomos de carbono, hidroxialquilo de 1 a 4 átomos de carbono, alquilcarbonilo de 2 a 4 átomos de carbono, alcoxicarbonilo de 2 a 6 átomos de carbono, alquilaminocarbonilo de 2 a 6 átomos de carbono, dialquilaminocarbonilo de 3 a 8 átomos de carbono o trialquilsililo de 3 a 6 átomos de carbono;

R^6 es fenilo sustituido opcionalmente, bencilo, naftalenilo, cicloalquilo de 3 a 6 átomos de carbono, cicloalquenilo de 3 a 6 átomos de carbono

o un anillo heteroaromático de 5 o 6 miembros; y

R^{15} es H, alquilo de 1 a 4 átomos de carbono, alquenilo de 2 a 4 átomos de carbono, alquinilo de 2 a 4 átomos de carbono, cicloalquilo de 3 a 4 átomos de carbono, cicloalquilalquilo de 4 a 10 átomos de carbono, alquilcicloalquilo de 4 a 10 átomos de carbono, alquilcicloalquilalquilo de 5 a 10 átomos de carbono, haloalquilo de 1 a 4 átomos de carbono, haloalquenilo de 2 a 4 átomos de carbono, haloalquinilo de 2 a 4 átomos de carbono, halocicloalquilo de 3 a 4 átomos de carbono o alcoxialquilo de 2 a 4 átomos de carbono; o

Q^a y R^5 se toman junto con los átomos que los conectan para formar un anillo de 5 a 7 miembros sustituido opcionalmente que contiene como miembros de anillo de 2 a 7 átomos de carbono y opcionalmente de 1 a 3 heteroátomos seleccionados de hasta 1 O, hasta 1 S y hasta 2 N; o

Q^a y R^6 se toman junto con los átomos que los conectan para formar un anillo de 5 a 7 miembros sustituido opcionalmente que contiene como miembros de anillo de 2 a 7 átomos de carbono y opcionalmente de 1 a 3 heteroátomos seleccionados de hasta 1 O, hasta 1 S y hasta 2 N; o

R^5 y R^{15} se toman junto con el átomo de carbono al cual

están enlazados para formar un anillo de 5 a 7 miembros sustituido opcionalmente que contiene como miembros de anillo de 2 a 7 átomos de carbono y opcionalmente de 1 a 3 heteroátomos seleccionados de hasta 1 O, hasta 1 S y hasta 1 N; o

R^5 y R^6 se toman junto con el átomo de carbono al cual están enlazados para formar un anillo de 5 a 7 miembros sustituido opcionalmente que contiene como miembros de anillo de 2 a 7 átomos de carbono y opcionalmente de 1 a 3 heteroátomos seleccionados de hasta 1 O, hasta 1 S y hasta 1 N;

con la condición que:

(a) el compuesto de la fórmula **1** sea diferente de 2-[1-[(2-clorofenil)acetil]-4-piperidinil]-*N*-metil-*N*-[(1*R*)-1-feniletil]-4-tiazolcarboxamida;

(b) cuando X sea X^2, X^3, X^4, X^6 o X^8, entonces G no está unido a X por vía de un heteroátomo del anillo G; y

(c) R^1 sea diferente de 4-fluorofenilo.

2. Un compuesto de conformidad con la reivindicación 1, caracterizado porque

R^1 es fenilo o un anillo heteroaromático de 5 o 6 miembros, sustituido opcionalmente por 1 a 2 sustituyentes seleccionados independientemente de

R^4;

cada R^4 es independientemente alquilo de 1 a 6 átomos de carbono, alquenilo de 2 a 6 átomos de carbono, alquinilo de 2 a 6 átomos de carbono, cicloalquilo de 3 a 6 átomos de carbono, cicloalquilalquilo de 4 a 10 átomos de carbono, alquilcicloalquilo de 4 a 10 átomos de carbono, alquilcicloalquilalquilo de 5 a 10 átomos de carbono, haloalquilo de 1 a 6 átomos de carbono, haloalquenilo de 2 a 6 átomos de carbono, haloalquinilo de 2 a 6 átomos de carbono, halocicloalquilo de 3 a 6 átomos de carbono, halógeno, hidroxi, amino, ciano, nitro, alcoxi de 1 a 4 átomos de carbono, haloalcoxi de 1 a 4 átomos de carbono, alquiltio de 1 a 4 átomos de carbono, alquilsulfinilo de 1 a 4 átomos de carbono, alquilsulfonilo de 1 a 4 átomos de carbono, haloalquiltio de 1 a 4 átomos de carbono, haloalquilsulfinilo de 1 a 4 átomos de carbono, haloalquilsulfonilo de 1 a 4 átomos de carbono, alquilamino de 1 a 4 átomos de carbono, dialquilamino de 2 a 8 átomos de carbono, cicloalquilamino de 3 a 6 átomos de carbono, alcoxialquilo de 2 a 4 átomos de carbono, hidroxialquilo de 1 a 4 átomos de carbono, alquilcarbonilo de 2 a 4 átomos de carbono,

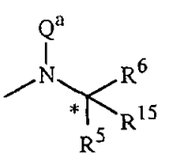
alcoxicarbonilo de 2 a 6 átomos de carbono, alquilcarboniloxi de 2 a 6 átomos de carbono, alquilcarboniltio de 2 a 6 átomos de carbono, alquilaminocarbonilo de 2 a 6 átomos de carbono, dialquilaminocarbonilo de 3 a 8 átomos de carbono o trialquilsililo de 3 a 6 átomos de carbono;

G es un anillo heteroaromático de 5 miembros o un anillo heterocíclico saturado o parcialmente saturado de 5 miembros, cada anillo es sustituido opcionalmente por hasta 2 sustituyentes seleccionados de R^3 en los miembros de anillo de carbono y se seleccionan de R^{11} en los miembros de anillo de nitrógeno;

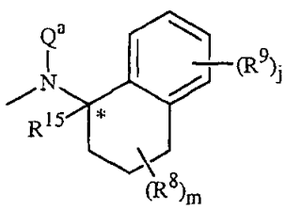
cada R^3 es independientemente alquilo de 1 a 3 átomos de carbono, haloalquilo de 1 a 3 átomos de carbono o halógeno;

R^{11} es alquilo de 1 a 3 átomos de carbono;

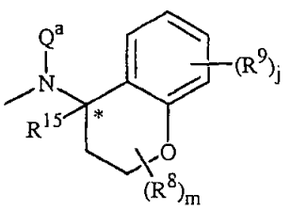
Q es un radical seleccionado



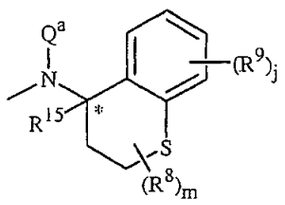
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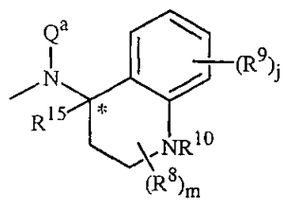


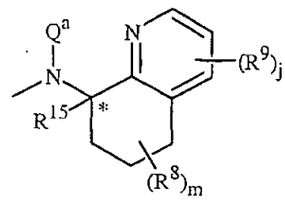
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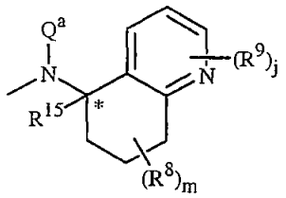


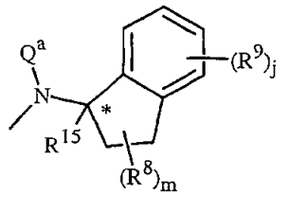
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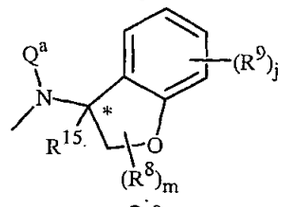

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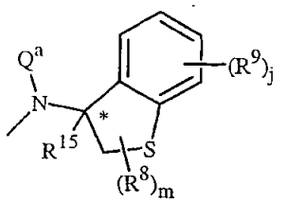

Q-5

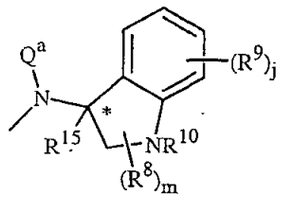

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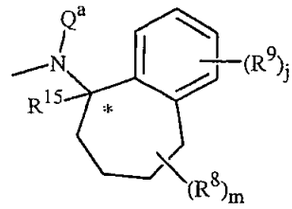

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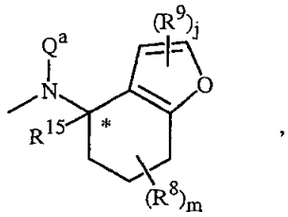

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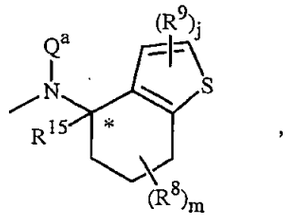

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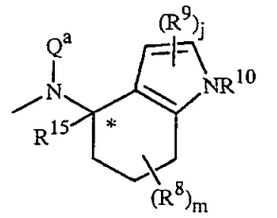

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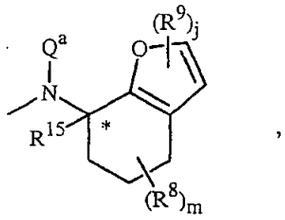

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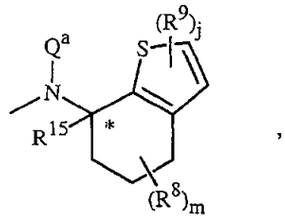

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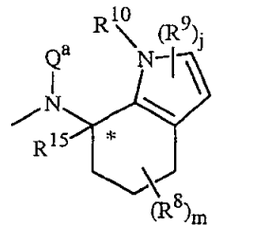

Q-13

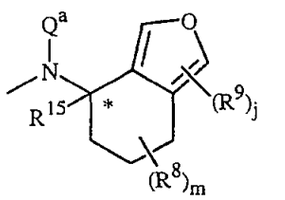

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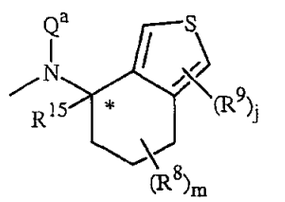

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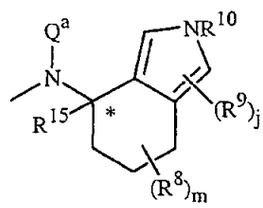

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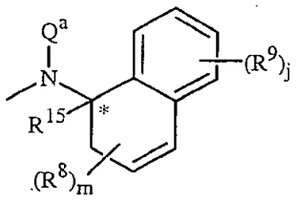

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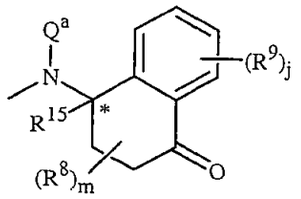

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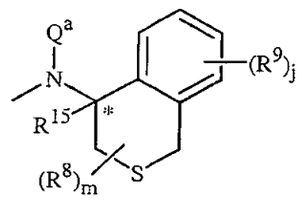

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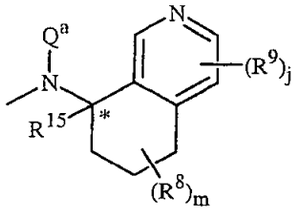

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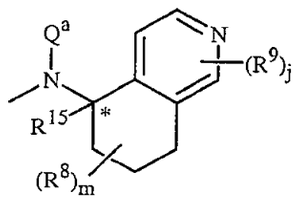

Q-21

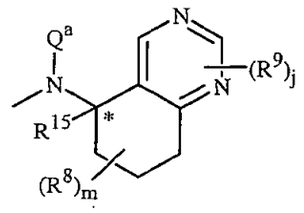

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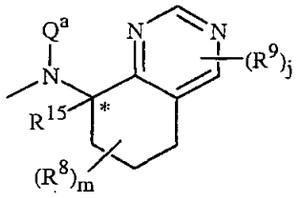

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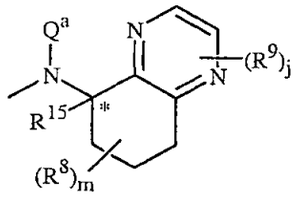

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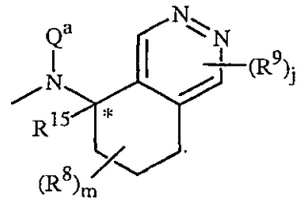

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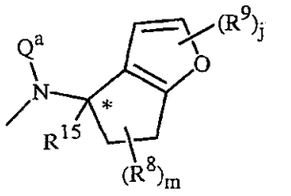

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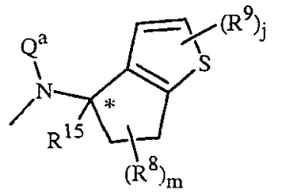

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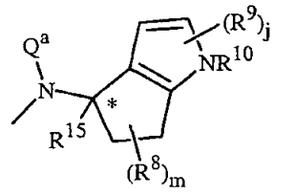

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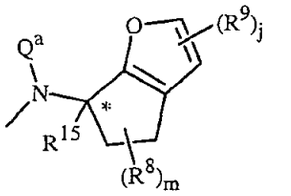

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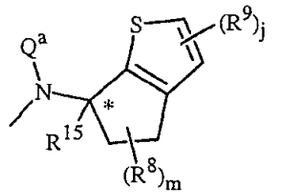

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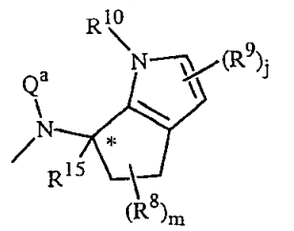

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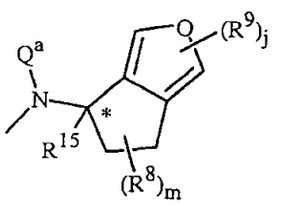

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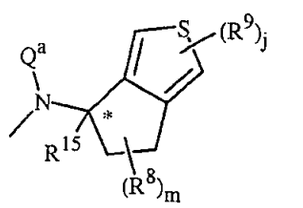

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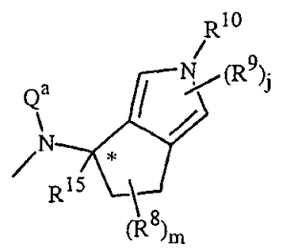

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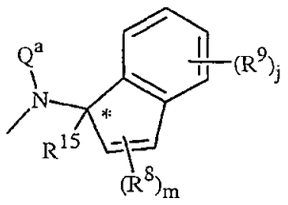

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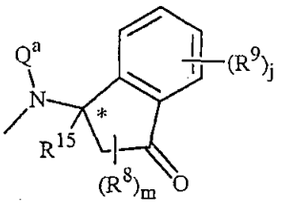

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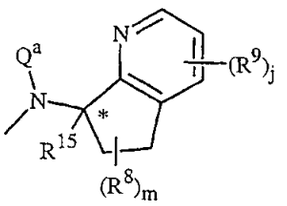

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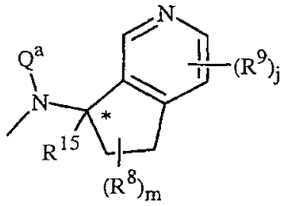

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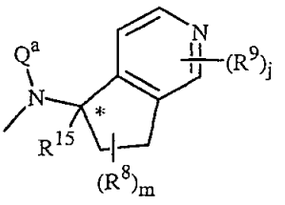

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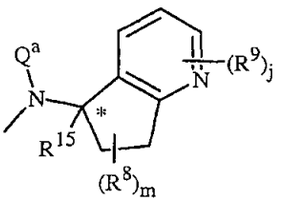

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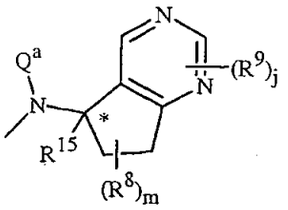

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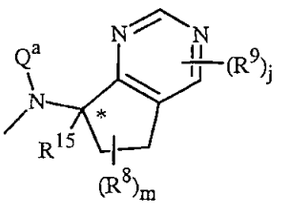

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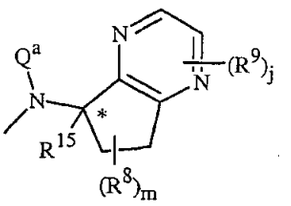

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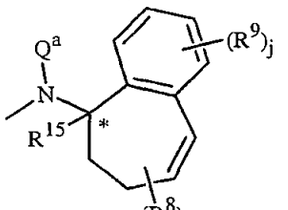

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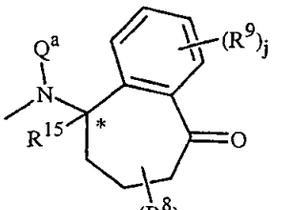

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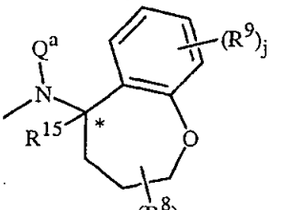

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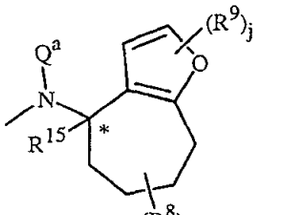

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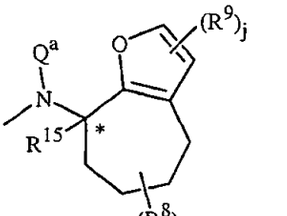

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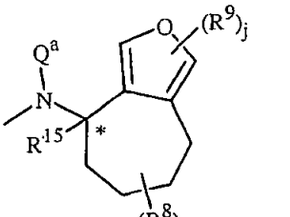

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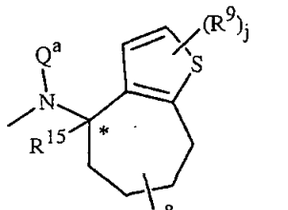

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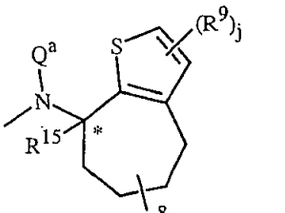

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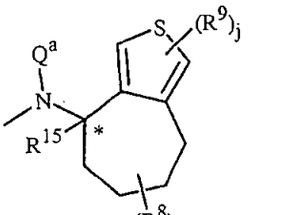

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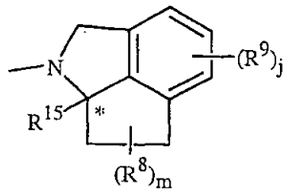

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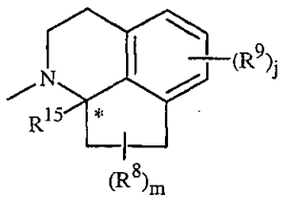

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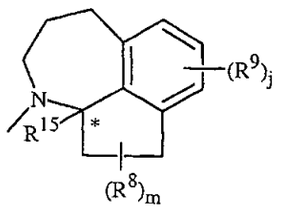

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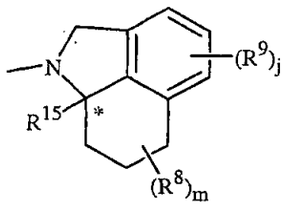

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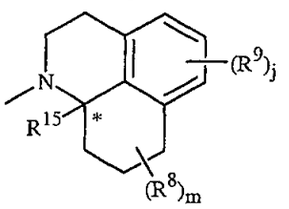

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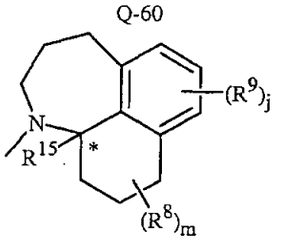

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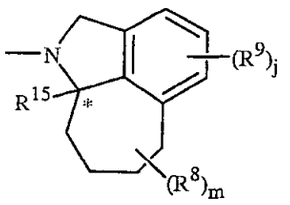

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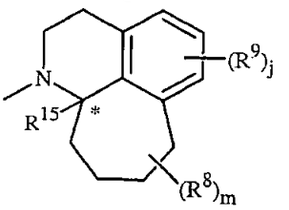

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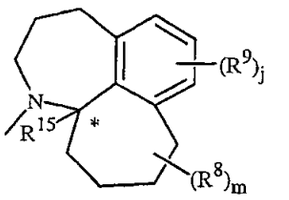

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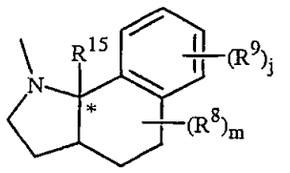

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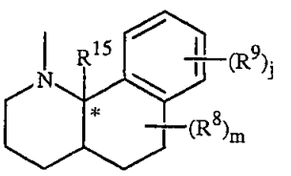

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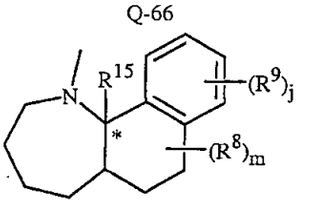

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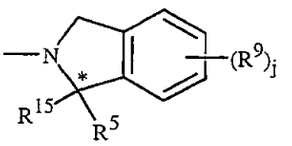

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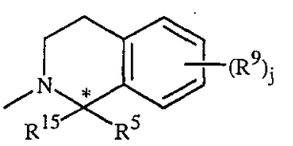

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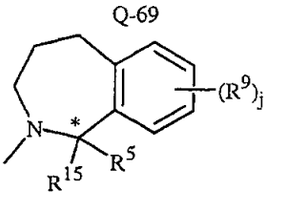

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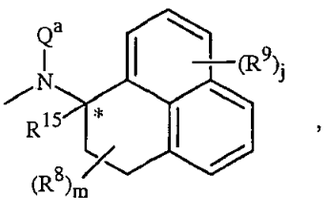

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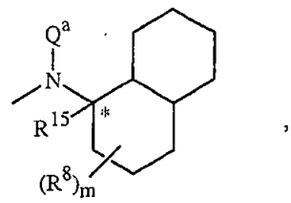

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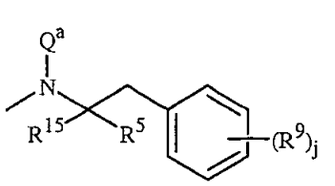

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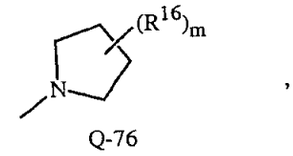

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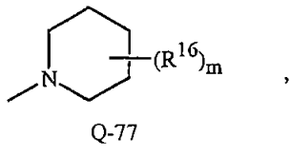

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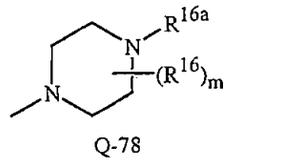

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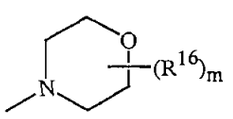

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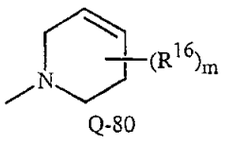

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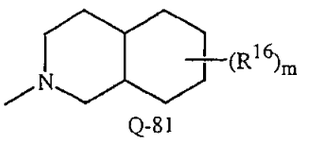

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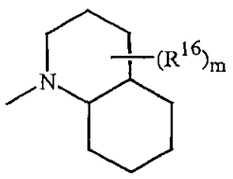

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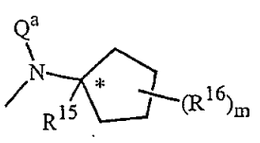

Q-78

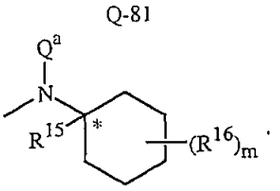

Q-79

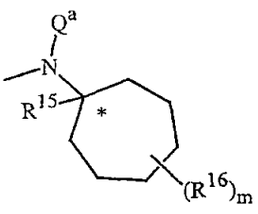

Q-80


Q-81


Q-82


Q-83


Q-84


Q-85

en donde un átomo de carbono identificado con el asterisco (*) contiene un estereocentro; y para Q-2 hasta Q-76, cada R^8 está unido independientemente a los átomos de carbono del anillo carbocíclico o anillo heterocíclico no aromático del grupo Q y cada R^9 está unido independientemente a los átomos de carbono de fenilo o un anillo heteroaromático del grupo Q;

cada R^8 es independientemente H, alquilo de 1 a 4 átomos de carbono, alquenilo de 2 a 4 átomos de carbono, alquinilo de 3 a 4 átomos de carbono, cicloalquilo de 3 a 6 átomos de carbono, haloalquilo de 1 a 4 átomos de carbono, haloalquenilo de 2 a 4 átomos de carbono, haloalquinilo de 2 a 4 átomos de carbono, halocicloalquilo de 3 a 6 átomos de carbono, halógeno, hidroxi, amino, ciano, nitro, alcoxi de 1 a 4 átomos de carbono, haloalcoxi de

1 a 4 átomos de carbono, alquiltio de 1 a 4 átomos de carbono, alquilsulfinilo de 1 a 4 átomos de carbono, alquilsulfonilo de 1 a 4 átomos de carbono, haloalquiltio de 1 a 4 átomos de carbono, haloalquilsulfinilo de 1 a 4 átomos de carbono, haloalquilsulfonilo de 1 a 4 átomos de carbono, alquilamino de 1 a 4 átomos de carbono, dialquilamino de 2 a 6 átomos de carbono, cicloalquilamino de 3 a 6 átomos de carbono, alcoxialquilo de 2 a 4 átomos de carbono, hidroxialquilo de 1 a 4 átomos de carbono, alquilcarbonilo de 2 a 4 átomos de carbono, alcoxicarbonilo de 2 a 4 átomos de carbono, alquilcarboniloxi de 2 a 4 átomos de carbono, alquilcarboniltio de 2 a 4 átomos de carbono, alquilaminocarbonilo de 2 a 4 átomos de carbono, alquilaminocarboniloxi de 2 a 4 átomos de carbono, dialquilaminocarbonilo de 3 a 6 átomos de carbono o trialquilsililo de 3 a 6 átomos de carbono;

cada R^9 es independientemente alquilo de 1 a 6 átomos de carbono, alquenilo de 2 a 6 átomos de carbono, alquinilo de 3 a 6 átomos de carbono, cicloalquilo de 3 a 6 átomos de carbono, haloalquilo de 1 a 6 átomos de carbono, haloalquenilo de 2 a 6 átomos de carbono, haloalquinilo de 2 a 6 átomos de carbono, halocicloalquilo de 3 a 6 átomos de carbono, halógeno, hidroxi, amino, ciano,

nitro, alcoxi de 1 a 4 átomos de carbono, haloalcoxi de 1 a 4 átomos de carbono, alquiltio de 1 a 4 átomos de carbono, alquilsulfinilo de 1 a 4 átomos de carbono, alquilsulfonilo de 1 a 4 átomos de carbono, haloalquiltio de 1 a 4 átomos de carbono, haloalquilsulfinilo de 1 a 4 átomos de carbono, haloalquilsulfonilo de 1 a 4 átomos de carbono, alquilamino de 1 a 4 átomos de carbono, dialquilamino de 2 a 8 átomos de carbono, cicloalquilamino de 3 a 6 átomos de carbono, alcoxialquilo de 2 a 4 átomos de carbono, hidroxialquilo de 1 a 4 átomos de carbono, alquilcarbonilo de 2 a 4 átomos de carbono, alcoxicarbonilo de 2 a 6 átomos de carbono, alquilcarboniloxi de 2 a 6 átomos de carbono, alquilcarboniltio de 2 a 6 átomos de carbono, alquilaminocarbonilo de 2 a 6 átomos de carbono, dialquilaminocarbonilo de 3 a 8 átomos de carbono o trialquilsililo de 3 a 6 átomos de carbono;

R^{10} es H o alquilo de 1 a 3 átomos de carbono;

m es 0, 1 o 2;

j es 0, 1 o 2;

cada R^{16} es independientemente alquilo de 1 a 6 átomos de carbono, alquenilo de 2 a 6 átomos de carbono, alquinilo de 2 a 6 átomos de carbono, cicloalquilo de 3 a 6 átomos de carbono, cicloalquilalquilo de 4 a 10

átomos de carbono, alquilcicloalquilo de 4 a 10 átomos de carbono, alquilcicloalquilalquilo de 5 a 10 átomos de carbono, haloalquilo de 1 a 6 átomos de carbono, haloalquenilo de 2 a 6 átomos de carbono, haloalquinilo de 2 a 6 átomos de carbono, halocicloalquilo de 3 a 6 átomos de carbono, halógeno, hidroxi, amino, ciano, nitro, alcoxi de 1 a 4 átomos de carbono, haloalcoxi de 1 a 4 átomos de carbono, alquiltio de 1 a 4 átomos de carbono, alquilsulfinilo de 1 a 4 átomos de carbono, alquilsulfonilo de 1 a 4 átomos de carbono, haloalquiltio de 1 a 4 átomos de carbono, haloalquilsulfinilo de 1 a 4 átomos de carbono, haloalquilsulfonilo de 1 a 4 átomos de carbono, alquilamino de 1 a 4 átomos de carbono, dialquilamino de 2 a 8 átomos de carbono, cicloalquilamino de 3 a 6 átomos de carbono, alcoxialquilo de 2 a 4 átomos de carbono, hidroxialquilo de 1 a 4 átomos de carbono, alquilcarbonilo de 2 a 4 átomos de carbono, alcoxicarbonilo de 2 a 6 átomos de carbono, alquilcarboniloxi de 2 a 6 átomos de carbono, alquilcarboniltio de 2 a 6 átomos de carbono, alquilaminocarbonilo de 2 a 6 átomos de carbono, dialquilaminocarbonilo de 3 a 8 átomos de carbono o trialquilsililo de 3 a 6 átomos de carbono; o un

anillo de fenilo o bencilo, sustituido opcionalmente por hasta 3 sustituyentes seleccionados de R^{13}; o

dos radicales R^{16} unidos a los átomos de carbono adyacentes del anillo se toman juntos como $-(CH_2)_3-$ o $-(CH_2)_4-$ sustituido opcionalmente por 1-3 sustituyentes seleccionados de alquilo de 1 a 4 átomos de carbono, haloalquilo de 1 a 4 átomos de carbono, alcoxi de 1 a 4 átomos de carbono, haloalcoxi de 1 a 4 átomos de carbono, halógeno, hidroxi, amino, ciano y nitro;

R^{16a} es H, alquilo de 1 a 6 átomos de carbono, alquenilo de 3 a 6 átomos de carbono, alquinilo de 3 a 6 átomos de carbono, cicloalquilo de 3 a 6 átomos de carbono, cicloalquilalquilo de 4 a 10 átomos de carbono, alquilcicloalquilo de 4 a 10 átomos de carbono, alquilcicloalquilalquilo de 5 a 10 átomos de carbono, haloalquilo de 1 a 6 átomos de carbono, haloalquenilo de 3 a 6 átomos de carbono, haloalquinilo de 3 a 6 átomos de carbono, halocicloalquilo de 3 a 6 átomos de carbono, alcoxi de 1 a 4 átomos de carbono, haloalcoxi 1 a 4 átomos de carbono, alquilsulfonilo 1 a 4 átomos de carbono, haloalquilsulfonilo 1 a 4 átomos de carbono, amino, alquilamino de 1 a 4 átomos de carbono, dialquilamino de 2 a 8 átomos de carbono, cicloalquilamino 3 a 6 átomos de carbono, alcoxialquilo de 2 a 4 átomos de carbono,

hidroxialquilo 1 a 4 átomos de carbono, alquilcarbonilo de 2 a 4 átomos de carbono, alcoxicarbonilo de 2 a 6 átomos de carbono, alquilaminocarbonilo de 2 a 6 átomos de carbono o dialquilaminocarbonilo de 3 a 8 átomos de carbono; o un anillo de fenilo o bencilo, sustituido opcionalmente por hasta 3 sustituyentes seleccionados de R^{13};

cada R^{13} es independientemente alquilo de 1 a 6 átomos de carbono, alquenilo de 2 a 6 átomos de carbono, alquinilo de 2 a 6 átomos de carbono, cicloalquilo 3 a 6 átomos de carbono, cicloalquilalquilo de 4 a 10 átomos de carbono, alquilcicloalquilo de 4 a 10 átomos de carbono, alquilcicloalquilalquilo de 5 a 10 átomos de carbono, haloalquilo de 1 a 6 átomos de carbono, haloalquenilo de 2 a 6 átomos de carbono, haloalquinilo de 2 a 6 átomos de carbono, halocicloalquilo de 3 a 6 átomos de carbono, halógeno, hidroxi, amino, ciano, nitro, alcoxi de 1 a 4 átomos de carbono, haloalcoxi de 1 a 4 átomos de carbono, alquiltio de 1 a 4 átomos de carbono, alquilsulfinilo de 1 a 4 átomos de carbono, alquilsulfonilo de 1 a 4 átomos de carbono, haloalquiltio de 1 a 4 átomos de carbono, haloalquilsulfinilo de 1 a 4 átomos de carbono, haloalquilsulfonilo de 1 a 4 átomos de

carbono, alquilamino de 1 a 4 átomos de carbono, dialquilamino de 2 a 8 átomos de carbono, cicloalquilamino de 3 a 6 átomos de carbono, alcoxialquilo de 2 a 4 átomos de carbono, hidroxialquilo de 1 a 4 átomos de carbono, alquilcarbonilo de 2 a 4 átomos de carbono, alcoxicarbonilo de 2 a 6 átomos de carbono, alquilcarboniloxi de 2 a 6 átomos de carbono, alquilcarboniltio de 2 a 6 átomos de carbono, alquilaminocarbonilo de 2 a 6 átomos de carbono, dialquilaminocarbonilo de 3 a 8 átomos de carbono o trialquilsililo de 3 a 6 átomos de carbono;

R^6 es fenilo, bencilo, naftalenilo, cicloalquilo de 3 a 6 átomos de carbono, cicloalquenilo de 3 a 6 átomos de carbono o un anillo heteroaromático de 5 o 6 miembros, cada uno sustituido opcionalmente por 1 a 3 sustituyentes seleccionados de R^7 en los miembros de anillo de carbono y R^{12} en los miembros de anillo de nitrógeno;

cada R^7 es independientemente alquilo de 1 a 6 átomos de carbono, alquenilo de 2 a 6 átomos de carbono, alquinilo de 2 a 6 átomos de carbono, cicloalquilo de 3 a 6 átomos de carbono, cicloalquilalquilo de 4 a 10 átomos de carbono, alquilcicloalquilo de 4 a 10 átomos de carbono, alquilcicloalquilalquilo de 5 a 10 átomos

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de carbono, haloalquilo de 1 a 6 átomos de carbono, haloalquenilo de 2 a 6 átomos de carbono, haloalquinilo de 2 a 6 átomos de carbono, halocicloalquilo de 3 a 6 átomos de carbono, halógeno, hidroxi, amino, ciano, nitro, alcoxi de 1 a 4 átomos de carbono, haloalcoxi de 1 a 4 átomos de carbono, alquiltio de 1 a 4 átomos de carbono, alquilsulfinilo de 1 a 4 átomos de carbono, alquilsulfonilo de 1 a 4 átomos de carbono, haloalquiltio de 1 a 4 átomos de carbono, haloalquilsulfinilo de 1 a 4 átomos de carbono, haloalquilsulfonilo de 1 a 4 átomos de carbono, alquilamino de 1 a 4 átomos de carbono, dialquilamino de 2 a 8 átomos de carbono, cicloalquilamino de 3 a 6 átomos de carbono, alcoxialquilo de 2 a 4 átomos de carbono, hidroxialquilo de 1 a 4 átomos de carbono, alquilcarbonilo de 2 a 4 átomos de carbono, alcoxicarbonilo de 2 a 6 átomos de carbono, alquilcarboniloxi de 2 a 6 átomos de carbono, alquilcarboniltio de 2 a 6 átomos de carbono, alquilaminocarbonilo de 2 a 6 átomos de carbono, dialquilaminocarbonilo de 3 a 8 átomos de carbono o trialquilsililo de 3 a 6 átomos de carbono; y

R^{12} es H o alquilo de 1 a 3 átomos de carbono.

3. Un compuesto de conformidad con la

reivindicación 2, caracterizado porque

R^1 es uno de U-1 hasta U-50;

k es 0, 1 o 2;

G es uno de G-1 hasta G-55;

R^{3a} es H, alquilo de 1 a 3 átomos de carbono, haloalquilo de 1 a 3 átomos de carbono o halógeno;

R^{11a} es H o alquilo de 1 a 3 átomos de carbono;

R^6 es uno de H-1 hasta H-46; y

p es 0, 1 o 2;

con la condición que cuando U sea U-4, U-11 hasta U-15, U-24 hasta U-26, U-31 y U-35 y un radical R^4 esté unido a un átomo de nitrógeno del anillo, el radical R^4 es alquilo de 1 a 6 átomos de carbono, alquenilo de 2 a 6 átomos de carbono, alquinilo de 2 a 6 átomos de carbono, cicloalquilo de 3 a 6 átomos de carbono, haloalquilo de 1 a 6 átomos de carbono, haloalquenilo de 2 a 6 átomos de carbono, haloalquinilo 2 a 6 átomos de carbono, halocicloalquilo de 3 a 6 átomos de carbono o alcoxialquilo de 2 a 4 átomos de carbono.

4. Un compuesto de conformidad con la reivindicación 3, caracterizado porque

cada R^2 es independientemente alquilo de 1 a 2 átomos de carbono, haloalquilo de 1 a 2 átomos de carbono, alcoxi de 1 a 2 átomos de carbono, halógeno, ciano o hidroxi;

cada R^4 es independientemente alquilo de 1 a 3 átomos de

carbono, alquenilo de 2 a 3 átomos de carbono, alquinilo de 2 a 3 átomos de carbono, ciclopropilo, haloalquilo de 1 a 3 átomos de carbono, haloalquenilo de 2 a 3 átomos de carbono, haloalquinilo de 2 a 3 átomos de carbono, halociclopropilo, halógeno, ciano, nitro, alcoxi de 1 a 2 átomos de carbono o haloalcoxi de 1 a 2 átomos de carbono;

X es un radical seleccionado de X^1, X^2 y X^3;

Q^a es H o CH_3;

R^5 es alquilo de 1 a 4 átomos de carbono, alquenilo de 2 a 4 átomos de carbono, alquinilo de 2 a 4 átomos de carbono, cicloalquilo de 3 a 4 átomos de carbono, haloalquilo de 1 a 4 átomos de carbono, haloalquenilo de 2 a 4 átomos de carbono, haloalquinilo de 2 a 4 átomos de carbono, halocicloalquilo de 3 a 4 átomos de carbono, ciano o alcoxialquilo de 2 a 4 átomos de carbono;

cada R^7 es independientemente alquilo de 1 a 3 átomos de carbono, alquenilo de 2 a 3 átomos de carbono, alquinilo de 2 a 3 átomos de carbono, ciclopropilo, haloalquilo de 1 a 3 átomos de carbono, haloalquenilo de 2 a 3 átomos de carbono, haloalquinilo de 2 a 3 átomos de carbono, halociclopropilo, halógeno, ciano, nitro, alcoxi de 1 a 2 átomos de carbono o haloalcoxi de 1 a 2 átomos de carbono;

cada R^8 es independientemente H, alquilo de 1 a 4 átomos de

carbono, alquenilo de 2 a 4 átomos de carbono, alquinilo de 3 a 4 átomos de carbono, cicloalquilo de 3 a 6 átomos de carbono, haloalquilo de 1 a 4 átomos de carbono, haloalquenilo de 2 a 4 átomos de carbono, haloalquinilo de 2 a 4 átomos de carbono, halocicloalquilo de 3 a 6 átomos de carbono, halógeno, hidroxi, amino, ciano, nitro, alcoxi de 1 a 4 átomos de carbono o alquilcarboniloxi de 2 a 4 átomos de carbono;

cada R^9 es independientemente alquilo de 1 a 3 átomos de carbono, ciclopropilo, haloalquilo de 1 a 3 átomos de carbono, halociclopropilo, halógeno, hidroxi, alquilcarboniloxi de 2 a 3 átomos de carbono, alcoxi de 1 a 2 átomos de carbono o haloalcoxi de 1 a 2 átomos de carbono;

R^{10} es H o metilo;

cada R^{16} es independientemente alquilo de 1 a 3 átomos de carbono, alquenilo de 2 a 3 átomos de carbono, alquinilo de 2 a 3 átomos de carbono, ciclopropilo, haloalquilo de 1 a 3 átomos de carbono, haloalquenilo de 2 a 3 átomos de carbono, haloalquinilo de 2 a 3 átomos de carbono, halociclopropilo, halógeno, ciano, nitro, alcoxi de 1 a 2 átomos de carbono o haloalcoxi de 1 a 2 átomos de carbono; o un anillo de fenilo o bencilo, sustituido opcionalmente por hasta 3 sustituyentes seleccionados de R^{13};

R^{16a} es H, alquilo de 1 a 3 átomos de carbono, alilo, propargilo, ciclopropilo o haloalquilo de 1 a 3 átomos de carbono; o un anillo de fenilo o bencilo, sustituido opcionalmente por hasta 3 sustituyentes seleccionados de R^{13};

cada R^{13} es independientemente alquilo de 1 a 3 átomos de carbono, alquenilo de 2 a 3 átomos de carbono, alquinilo de 2 a 3 átomos de carbono, ciclopropilo, haloalquilo de 1 a 3 átomos de carbono, haloalquenilo de 2 a 3 átomos de carbono, haloalquinilo de 2 a 3 átomos de carbono, halociclopropilo, halógeno, ciano, nitro, alcoxi de 1 a 2 átomos de carbono o haloalcoxi de 1 a 2 átomos de carbono; y

R^{15} es H o CH_3.

5. Un compuesto de conformidad con la reivindicación 4, caracterizado porque

R^1 es uno de U-1 hasta U-3, U-13, U-20, U-22, U-23, U-37 hasta U-39 y U-50; y

cada R^4 es independientemente halógeno, alquilo de 1 a 3 átomos de carbono, haloalquilo de 1 a 3 átomos de carbono, alcoxi de 1 a 2 átomos de carbono o haloalcoxi de 1 a 2 átomos de carbono.

6. Un compuesto de conformidad con la reivindicación 4, caracterizado porque

G es G-1, G-2, G-7, G-8, G-14, G-15, G-23, G-24, G-26, G-27,

G-36, G-37, G-38, G-49 o G-50;

R^{3a} es H, CH_3, Cl o Br; y

R^{11} es H o CH_3.

7. Un compuesto de conformidad con la reivindicación 6, caracterizado porque

G no es sustituido.

8. Un compuesto de conformidad con la reivindicación 4, caracterizado porque

Q es Q-1, Q-2, Q-3, Q-4, Q-8, Q-9, Q-10, Q-12, Q-14, Q-22, Q-23, Q-24, Q-40, Q-41, Q-59, Q-62, Q-74 o Q-84;

R^5 es alquilo de 1 a 4 átomos de carbono, alquenilo de 2 a 4 átomos de carbono, alquinilo de 2 a 4 átomos de carbono, cicloalquilo de 3 a 4 átomos de carbono, haloalquilo de 1 a 4 átomos de carbono, haloalquenilo de 2 a 4 átomos de carbono, haloalquinilo de 2 a 4 átomos de carbono, halocicloalquilo de 3 a 4 átomos de carbono, ciano o alcoxialquilo de 2 a 4 átomos de carbono;

R^6 es H-1, H-20, H-32, H-45 o H-46;

cada R^7 es independientemente halógeno, alquilo de 1 a 3 átomos de carbono, haloalquilo de 1 a 3 átomos de carbono, alcoxi de 1 a 2 átomos de carbono o haloalcoxi de 1 a 2 átomos de carbono;

cada R^8 es independientemente alquilo de 1 a 2 átomos de carbono, haloalquilo de 1 a 2 átomos de carbono, alcoxi de 1 a 2 átomos de carbono, haloalcoxi de 1 a 2 átomos

de carbono, alquilcarboniloxi de 2 a 4 átomos de carbono o hidroxi; y

cada R^9 es independientemente halógeno, hidroxi, OCH_3 o CH_3.

9. Un compuesto de conformidad con la reivindicación 8, caracterizado porque

Q es Q-1, Q-2, Q-8, Q-14, Q-23, Q-41, Q-59 o Q-62;

Q^a es CH_3;

R^5 es alquilo de 1 a 4 átomos de carbono, haloalquilo de 1 a 4 átomos de carbono, alquenilo de 2 a 4 átomos de carbono, haloalquenilo de 2 a 4 átomos de carbono o ciano;

R^6 es H-1 o H-45;

R^{12} es H o CH_3;

cada R^7 es independientemente F, Cl, Br, OCH_3 o metilo;

R^8 es CH_3, OCH_3 o hidroxi;

R^{10} es H o CH_3; y

R^{15} es H.

10. Un compuesto de conformidad con la reivindicación 4, caracterizado porque

W^1 y W^2 son independientemente O;

Q^a es CH_3;

R^{3a} es H;

m, j, n y p son todos independientemente 0 o 1;

cada R^7 es independientemente F, Cl, Br, OCH_3 o metilo;

cada R^8 es independientemente alquilo de 1 a 2 átomos de

carbono, alcoxi de 1 a 2 átomos de carbono o hidroxi; y cada R^9 es independientemente F, Cl, Br, hidroxi, OCH_3 o CH_3.

11. Un compuesto de conformidad con la reivindicación 10, caracterizado porque

R^1 es U-1 o U-50;

cada R^4 es independientemente halógeno, alquilo de 1 a 3 átomos de carbono, haloalquilo de 1 a 3 átomos de carbono o alcoxi de 1 a 2 átomos de carbono;

k es 1 o 2;

G es G-1, G-2, G-15, G-26, G-27, G-36, G-37 o G-38;

Q es Q-1, Q-2, Q-8, Q-23 o Q-41;

R^5 es alquilo de 1 a 4 átomos de carbono, alquenilo de 2 a 4 átomos de carbono o ciano; y

R^6 es H-45;

con la condición que cuando k sea 1, R^4 esté conectado a la posición 3 o 5 de U-1 y a la posición 2 o 3 de U-50; y cuando k sea 2, un radical R^4 seleccionado independientemente está conectado a cada una de las posiciones 3 y 5 de U-1 y a cada una de las posiciones 2 y 5 de U-50.

12. Un compuesto de conformidad con la reivindicación 11, caracterizado porque

X es X^1; y

G es G-1.

13. Un compuesto de conformidad con la

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reivindicación 11, caracterizado porque

X es X^1; y

G es G-2.

14. Un compuesto de conformidad con la reivindicación 11, caracterizado porque

X es X^1; y

G es G-15.

15. Un compuesto de conformidad con la reivindicación 11, caracterizado porque

X es X^1; y

G es G-26.

16. Un compuesto de conformidad con la reivindicación 11, caracterizado porque

X es X^1; y

G es G-36.

17. Un compuesto de conformidad con la reivindicación 11, caracterizado porque

X es X^2; y

G es G-1.

18. Un compuesto de conformidad con la reivindicación 11, caracterizado porque

X es X^2; y

G es G-2.

19. El compuesto de conformidad con la reivindicación 1, caracterizado porque se selecciona del

grupo que consiste de:

2-[1-[(2,5-dimetilfenil)acetil]-4-piperidinil]-*N*-metil-*N*-[(1*R*)-1,2,3,4-tetrahidro-1-naftalenil]-4-tiazolcarboxamida,

2-[1-[(2,5-diclorofenil)acetil]-4-piperidinil]-*N*-metil-*N*-[(1*R*)-1,2,3,4-tetrahidro-1-naftalenil]-4-tiazolcarboxamida,

N-metil-2-[1-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piperidinil]-*N*-[(1*R*)-1,2,3,4-tetrahidro-1-naftalenil]-4-tiazolcarboxamida,

N-[(1*R*)-2,3-dihidro-1*H*-inden-1-il]-*N*-metil-2-[1-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piperidinil]-4-tiazolcarboxamida,

N-metil-2-[1-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]-acetil]-4-piperidinil]-*N*-[(1*R*)-1,2,3,4-tetrahidro-1-naftalenil]-4-tiazolcarbotioamida,

N-metil-2-[1-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]-acetil]-4-piperidinil]-*N*-[(1*R*,4*S*)-1,2,3,4-tetrahidro-4-hidroxi-1-naftalenil]-4-tiazolcarboxamida y su enantiómero,

N-metil-2-[1-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piperidinil]-*N*-(1,2,3,4-tetrahidro-2-metil-1-naftalenil)-4-tiazolcarboxamida,

N-metil-2-[1-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]-acetil]-4-piperidinil]-*N*-[(1*R*,4*R*)-1,2,3,4-

tetrahidro-4-hidroxi-1-naftalenil]-4-tiazolcarboxamida y su enantiómero,

2-[1-[[5-etil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piperidinil]-*N*-metil-*N*-[(1*R*)-1,2,3,4-tetrahidro-1-naftalenil]-4-tiazolcarboxamida,

2-[1-[[3,5-bis(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piperidinil]-*N*-metil-*N*-[(1*R*)-1,2,3,4-tetrahidro-1-naftalenil]-4-tiazolcarboxamida,

N-metil-2-[1-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piperidinil]-*N*-(1,2,3,4-tetrahidro-4-oxo-1-naftalenil)-4-tiazolcarboxamida,

N-metil-2-[4-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-1-piperazinil]-*N*-[(1*R*)-1,2,3,4-tetrahidro-1-naftalenil]-4-tiazolcarboxamida,

N-(2,3-dihidro-2,2-dimetil-1*H*-inden-1-il)-*N*-metil-2-[1-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piperidinil]-4-tiazolcarboxamida,

N-(2,3-dihidro-2-metil-1*H*-inden-1-il)-*N*-metil-2-[1-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piperidinil]-4-tiazolcarboxamida,

N-metil-1-[1-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piperidinil]-*N*-[(1*R*)-1,2,3,4-tetrahidro-1-naftalenil]-1*H*-pirazol-3-carboxamida,

N-metil-2-[1-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil}-4-piperidinil]-*N*-[(1*R*)-1,2,3,4-

tetrahidro-1-naftalenil]-2*H*-1,2,3-triazol-4-carboxamida,

N-metil-1-[1-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piperidinil]-*N*-[(1*R*)-1,2,3,4-tetrahidro-1-naftalenil]-1*H*-pirazol-4-carboxamida,

N-metil-2-[1-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piperidinil]-*N*-[(1*R*,2*S*)-1,2,3,4-tetrahidro-2-metil-1-naftalenil]-4-tiazolcarboxamida,

N-metil-2-[1-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piperidinil]-*N*-(1,2,3,4-tetrahidro-2,2-dimetil-1-naftalenil]-4-tiazolcarboxamida y su enantiómero,

2-[1-[(3,5-dicloro-1*H*-pirazol-1-il)acetil]-4-piperidinil]-*N*-metil-*N*-[(1*R*)-1,2,3,4-tetrahidro-1-naftalenil]-4-tiazolcarboxamida,

2-[1-[[5-cloro-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piperidinil]-*N*-metil-*N*-[(1*R*)-1,2,3,4-tetrahidro-1-naftalenil]-4-tiazolcarboxamida,

N-metil-2-[1-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piperidinil]-*N*-[(1*R*)-1,2,3,4-tetrahidro-1-naftalenil]-4-oxazolcarboxamida y

N-metil-2-[1-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piperidinil]-*N*-(1,2,3,4-tetrahidro-1-naftalenil)-4-tiazolcarboxamida.

20. Un método para controlar las enfermedades de

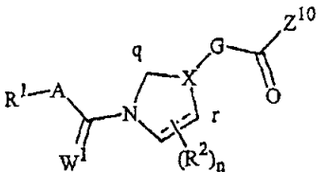
750

las plantas causadas por agentes patógenos micóticos de las plantas de la clase Oomycete, caracterizado porque comprende aplicar a la planta o una porción de la misma o a la semilla de la planta o plántula, una cantidad efectiva desde el punto de vista fungicida de un compuesto que tiene la fórmula que corresponde a la fórmula **1** de conformidad con la reivindicación 1 donde se omiten tanto la condición (a) como la condición (c).

21. Una composición fungicida, caracterizada porque comprende (1) un compuesto que tiene una fórmula que corresponde a la fórmula **1** de conformidad con la reivindicación 1 donde se omiten tanto la condición (a) como la condición (c) y (2) al menos un fungicida diferente.

22. Una composición fungicida, caracterizada porque comprende (1) una cantidad efectiva desde el punto de vista fungicida de un compuesto que tiene una fórmula que corresponde a la fórmula **1** de conformidad con la reivindicación 1 donde se omiten tanto la condición (a) como la condición (c) y (2) al menos un componente adicional seleccionado del grupo que consiste de surfactantes, diluyentes sólidos y diluyentes líquidos.

23. Un compuesto seleccionado de la fórmula **2a**, un *N*-óxido o una sal adecuada desde el punto de vista agrícola del mismo,



2a

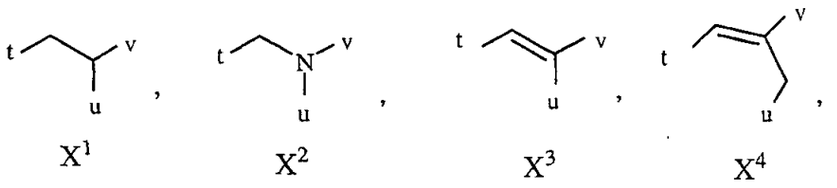
caracterizado porque

R^1 es fenilo sustituido opcionalmente o un anillo heteroaromático de 5 o 6 miembros;

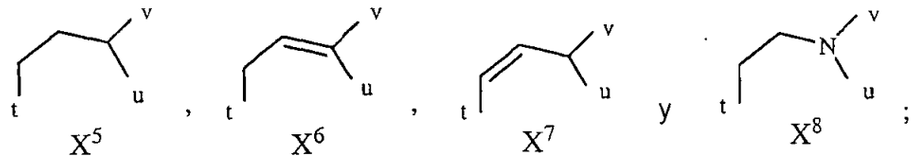
A es CH_2 o NH;

W^1 es O o S;

X es un radical seleccionado de



X^1 , X^2 , X^3 , X^4



X^5 , X^6 , X^7 y X^8 ;

en donde el enlace de X el cual se identifica con "t" está conectado al átomo de carbono identificado con "q" de la fórmula **1**, el enlace el cual se identifica con "u" está conectado al átomo de carbono identificado con "r" de la fórmula **1** y el enlace el cual se identifica con "v" está conectado a G;

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cada R^2 es independientemente alquilo de 1 a 4 átomos de carbono, alquenilo de 1 a 4 átomos de carbono, haloalquilo de 1 a 4 átomos de carbono, alcoxi de 1 a 4 átomos de carbono, halógeno, ciano o hidroxi;

n es 0, 1 o 2; o

dos radicales R^2 se toman juntos como alquileno de 1 a 3 átomos de carbono o alquenileno de 2 a 3 átomos de carbono para formar un sistema de anillos bicíclicos conectados; o

dos radicales R^2 unidos a los átomos de carbono del anillo adyacentes unidos por un enlace doble se toman juntos como -CH=CH-CH=CH- sustituido opcionalmente por 1-3 sustituyentes seleccionados de alquilo de 1 a 4 átomos de carbono, haloalquilo de 1 a 4 átomos de carbono, alcoxi de 1 a 4 átomos de carbono, haloalcoxi de 1 a 4 átomos de carbono, halógeno, hidroxi, amino, ciano y nitro;

G es un anillo heteroaromático de 5 miembros sustituido opcionalmente o un anillo heterocíclico saturado o parcialmente saturado de 5 miembros;

Z^{10} es Cl, OH o OR^{40}; y

R^{40} es alquilo de 1 a 4 átomos de carbono;

con la condición que:

(b) cuando X sea X^2, X^3, X^4, X^6 o X^8, entonces G no está unido a X por vía de un heteroátomo del anillo G; y

(c) R^1 sea diferente de 4-fluorofenilo.

24. Un compuesto de conformidad con la reivindicación 23, caracterizado porque

n es 0;

R^1 es U-1 o U-50;

cada R^4 es independientemente Cl, Br, metilo, etilo, trifluorometilo o metoxi;

W^1 es O;

A es CH_2;

X es X^1 o X^2; y

G es G-1, G-2, G-15, G-26 o G-36 y G no es sustituido.

25. Un compuesto de conformidad con la reivindicación 24, caracterizado porque se selecciona del grupo que consiste de:

2-[1-[(2,5-dimetilfenil)acetil]-4-piperidinil]-4,5-dihidro-4-oxacarboxilato de metilo,

2-[1-[(2,5-dimetilfenil)acetil]-4-piperidinil]-4-oxazolcarboxilato de metilo,

1-[1-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piperidinil]-1*H*-pirazol-3-carboxilato de metilo,

etil-2-[1-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piperidinil]-4-tiazolcarboxilato,

etil-2-[4-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-1-piperazinil]-4-tiazolcarboxilato,

2-[1-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piperidinil]-2*H*,-1,2,3-triazol-4-carboxilato de etilo,

1-[1-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piperidinil]-1*H*-pirazol-4-carboxilato de etilo,

ácido 2-[1-[(2,5-dimetilfenil)acetil]-4-piperidinil]-4-oxazol-carboxílico,

ácido 2-[1-[(2,5-dimetilfenil)acetil]-4-piperidinil]-4-tiazolcarboxílico,

ácido 1-[1-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piperidinil]-1*H*-pirazol-3-carboxílico,

ácido 2-[1-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piperidinil]-4-tiazolcarboxílico,

ácido 2-[4-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-1-piperidinil]-4-tiazolcarboxílico,

ácido 2-[1-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piperidinil]-2*H*-1,2,3-triazol-4-carboxílico,

ácido 1-[1-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piperidinil]-1*H*-pirazol-4-carboxílico,

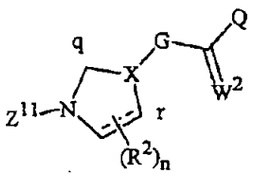
cloruro de 2-[1-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piperidinil]-4-tiazolcarbonilo,

cloruro de 2-[1-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piperidinil]-2*H*-1,2,3-triazol-4-

carbonilo, y

cloruro de 1-[1-[[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]acetil]-4-piperidinil]-1*H*-pirazol-4-carbonilo.

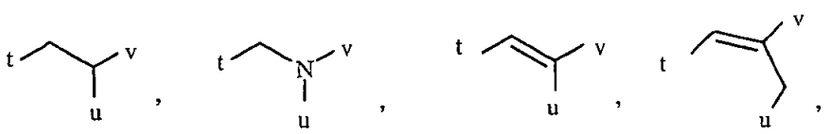
26. Un compuesto seleccionado de la fórmula **6a**, un *N*-óxido o una sal adecuada desde el punto de vista agrícola del mismo,



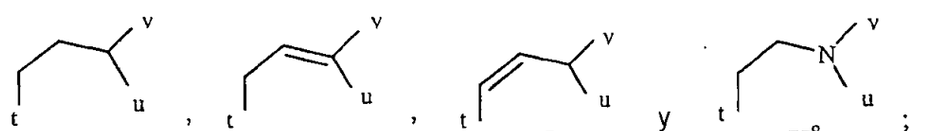
6a

caracterizado porque

X es un radical seleccionado de



X^1, X^2, X^3, X^4



X^5, X^6, X^7 y X^8;

en donde el enlace de X el cual se identifica con "t" está conectado al átomo de carbono identificado con "q" de la fórmula **1**, el enlace el cual se identifica con "u" está conectado al átomo de carbono identificado con "r" de la fórmula **1** y el enlace el cual se identifica con "v" está conectado

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a G;

cada R^2 es independientemente alquilo de 1 a 4 átomos de carbono, alquenilo de 1 a 4 átomos de carbono, haloalquilo de 1 a 4 átomos de carbono, alcoxi de 1 a 4 átomos de carbono, halógeno, ciano o hidroxi;

n es 0, 1 o 2; o

dos radicales R^2 se toman juntos como alquileno de 1 a 3 átomos de carbono o alquenileno de 2 a 3 átomos de carbono para formar un sistema de anillos bicíclicos conectados; o

dos radicales R^2 unidos a los átomos de carbono del anillo adyacentes unidos por un enlace doble se toman juntos como -CH=CH-CH=CH- sustituido opcionalmente por 1-3 sustituyentes seleccionados de alquilo de 1 a 4 átomos de carbono, haloalquilo de 1 a 4 átomos de carbono, alcoxi de 1 a 4 átomos de carbono, haloalcoxi de 1 a 4 átomos de carbono, halógeno, hidroxi, amino, ciano y nitro;

G es un anillo heteroaromático de 5 miembros sustituido opcionalmente o un anillo heterocíclico saturado o parcialmente saturado de 5 miembros;

W^2 es O o S;

Q es $-NQ^aQ^b$;

Q^a es H, alquilo de 1 a 3 átomos de carbono, alquenilo de 2 a 3 átomos de carbono, alquinilo de 2 a 3

átomos de carbono, cicloalquilalquilo de 4 a 10 átomos de carbono, alquilcicloalquilo de 4 a 10 átomos de carbono, alquilcicloalquilalquilo de 5 a 10 átomos de carbono, haloalquilo de 1 a 3 átomos de carbono, haloalquenilo de 2 a 3 átomos de carbono, ciano, hidroxi, alcoxi de 1 a 3 átomos de carbono, alcoxialquilo de 2 a 3 átomos de carbono, hidroxialquilo de 1 a 3 átomos de carbono, alquilcarbonilo de 2 a 3 átomos de carbono, alcoxicarbonilo de 2 a 3 átomos de carbono, alquilaminocarbonilo de 2 a 3 átomos de carbono o dialquilaminocarbonilo de 3 a 5 átomos de carbono;

Q^b es un sistema de anillos bicíclicos saturados o parcialmente saturados de 8 a 11 miembros sustituidos opcionalmente o un sistema de anillos tricíclicos parcialmente saturados de 10 a 15 miembros sustituidos opcionalmente, cada sistema de anillos contiene opcionalmente de 1 a 3 heteroátomos seleccionados de hasta 1 O, hasta 1 S y hasta 3 N e incluye opcionalmente 1-3 miembros de anillo seleccionados del grupo que consiste de C(=O), C(=S), S(O) o $S(O)_2$; o

Q^b es $CR^5R^6R^{15}$; o

Q^a y Q^b se toman junto con el átomo de nitrógeno al cual están enlazados para formar un anillo heterocíclico

saturado o parcialmente saturado de 5 o 6 miembros sustituido opcionalmente;

R^5 es H, alquilo de 1 a 6 átomos de carbono, alquenilo de 2 a 6 átomos de carbono, alquinilo de 2 a 6 átomos de carbono, cicloalquilo de 3 a 6 átomos de carbono, cicloalquilalquilo de 4 a 10 átomos de carbono, alquilcicloalquilo de 4 a 10 átomos de carbono, alquilcicloalquilalquilo de 5 a 10 átomos de carbono, haloalquilo de 1 a 6 átomos de carbono, haloalquenilo de 2 a 6 átomos de carbono, haloalquinilo de 2 a 6 átomos de carbono, halocicloalquilo de 3 a 6 átomos de carbono, ciano, nitro, alcoxialquilo de 2 a 4 átomos de carbono, hidroxialquilo de 1 a 4 átomos de carbono, alquilcarbonilo de 2 a 4 átomos de carbono, alcoxicarbonilo de 2 a 6 átomos de carbono, alquilaminocarbonilo de 2 a 6 átomos de carbono, dialquilaminocarbonilo de 3 a 8 átomos de carbono o trialquilsililo de 3 a 6 átomos de carbono;

R^6 es fenilo sustituido opcionalmente, bencilo, naftalenilo, cicloalquilo de 3 a 6 átomos de carbono, cicloalquenilo de 3 a 6 átomos de carbono o un anillo heteroaromático de 5 o 6 miembros; y

R^{15} es H, alquilo de 1 a 4 átomos de carbono, alquenilo de 2 a 4 átomos de carbono, alquinilo de 2 a 4

átomos de carbono, cicloalquilo de 3 a 4 átomos de carbono, cicloalquilalquilo de 4 a 10 átomos de carbono, alquilcicloalquilo de 4 a 10 átomos de carbono, alquilcicloalquilalquilo de 5 a 10 átomos de carbono, haloalquilo de 1 a 4 átomos de carbono, haloalquenilo de 2 a 4 átomos de carbono, haloalquinilo de 2 a 4 átomos de carbono, halocicloalquilo de 3 a 4 átomos de carbono o alcoxialquilo de 2 a 4 átomos de carbono; o

Q^a y R^5 se toman junto con los átomos que los conectan para formar un anillo de 5 a 7 miembros sustituido opcionalmente que contiene como miembros de anillo de 2 a 7 átomos de carbono y opcionalmente de 1 a 3 heteroátomos seleccionados de hasta 1 O, hasta 1 S y hasta 2 N; o

Q^a y R^6 se toman junto con los átomos que los conectan para formar un anillo de 5 a 7 miembros sustituido opcionalmente que contiene como miembros de anillo de 2 a 7 átomos de carbono y opcionalmente de 1 a 3 heteroátomos seleccionados de hasta 1 O, hasta 1 S y hasta 2 N; o

R^5 y R^{15} se toman junto con el átomo de carbono al cual están enlazados para formar un anillo de 5 a 7 miembros sustituido opcionalmente que contiene como miembros de anillo de 2 a 7 átomos de carbono y

opcionalmente de 1 a 3 heteroátomos seleccionados de hasta 1 O, hasta 1 S y hasta 1 N; o

R^5 y R^6 se toman junto con el átomo de carbono al cual están enlazados para formar un anillo de 5 a 7 miembros sustituido opcionalmente que contiene como miembros de anillo de 2 a 7 átomos de carbono y opcionalmente de 1 a 3 heteroátomos seleccionados de hasta 1 O, hasta 1 S y hasta 1 N; y

Z^{11} es H, $-C(=O)CH_2Cl$, $-C(=O)CH_2Br$ o $-(=O)CH_2I$;

con la condición que cuando X sea X^2, X^3, X^4, X^6 o X^8, entonces G no está unido a X por vía de un heteroátomo del anillo G.

27. Un compuesto de conformidad con la reivindicación 26, caracterizado porque

n es 0;

W^2 es O;

X es X^1 o X^2;

G es G-1, G-2, G-15, G-26 o G-36 y G no es sustituido; y

Q es Q-1, Q-2, Q-8, Q-23 o Q-41 y Q^a es H o alquilo de 1 a 3 átomos de carbono.

28. Un compuesto de conformidad con la reivindicación 27, caracterizado porque se selecciona del grupo que consiste de:

N-metil-*N*-[(1*R*)-1-fenilpropil]-2-(4-piperidinil)-4-tiazolcarboxamida,

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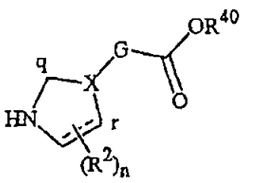
N-metil-*N*-[(1*R*)-1-feniletil]-2-(1-piperazinil)-5-tiazolcarboxamida,

monoclorhidrato de *N*-metil-2-(4-piperidinil)-*N*-[(1*R*)-1,2,3,4-tetrahidro-1-naftalenil]-4-tiazolcarboxamida,

monoclorhidrato de 4-[4-[[metil-[(1*R*)-1-fenilpropil]-amino]carbonil]-2-oxalil]-1-piperidina y

monoclorhidrato de 4-[4-[[metil[(1*R*)-1,2,3,4-tetrahidro-1-naftalenil]amino]carbonil]-2-oxazolil]-1-piperidina.

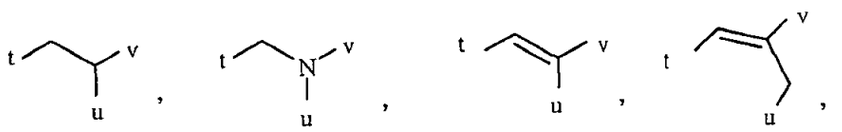
29. Un compuesto seleccionado de la fórmula 18, un N-óxido o una sal adecuada desde el punto de vista agrícola del mismo,



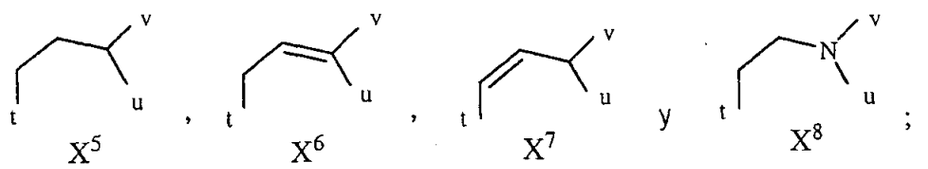
18

caracterizado porque

X es un radical seleccionado de



X^1, X^2, X^3, X^4



X^5, X^6, X^7 y X^8;

en donde el enlace de X el cual se identifica con "t"

está conectado al átomo de carbono identificado con "q" de la fórmula **1**, el enlace el cual se identifica con "u" está conectado al átomo de carbono identificado con "r" de la fórmula **1** y el enlace el cual se identifica con "v" está conectado a G;

cada R^2 es independientemente alquilo de 1 a 4 átomos de carbono, alquenilo de 1 a 4 átomos de carbono, haloalquilo de 1 a 4 átomos de carbono, alcoxi de 1 a 4 átomos de carbono, halógeno, ciano o hidroxi;

n es 0, 1 o 2; o

dos radicales R^2 se toman juntos como alquileno de 1 a 3 átomos de carbono o alquenileno de 2 a 3 átomos de carbono para formar un sistema de anillos bicíclicos conectados; o

dos radicales R^2 unidos a los átomos de carbono del anillo adyacentes unidos por un enlace doble se toman juntos como -CH=CH-CH=CH- sustituido opcionalmente por 1-3 sustituyentes seleccionados de alquilo de 1 a 4 átomos de carbono, haloalquilo de 1 a 4 átomos de carbono, alcoxi de 1 a 4 átomos de carbono, haloalcoxi de 1 a 4 átomos de carbono, halógeno, hidroxi, amino, ciano y nitro;

G es un anillo heteroaromático de 5 miembros sustituido opcionalmente o un anillo heterocíclico saturado o

parcialmente saturado de 5 miembros; y

R^{40} es alquilo de 1 a 4 átomos de carbono;

con la condición que:

(b) cuando X sea X^2, X^3, X^4, X^6 o X^8, entonces G no está unido a X por vía de un heteroátomo del anillo G; y

(d) cuando X sea X^1, G sea G-1 y n sea 0, R^{40} es diferente de alquilo C_2.

30. Un compuesto de conformidad con la reivindicación 29, caracterizado porque

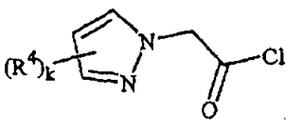
n es 0;

X es X^1 o X^2; y

G es G-1, G-2, G-15, G-26 o G-36 y G no es sustituido.

31. Un compuesto de conformidad con la reivindicación 30, caracterizado porque es 4-piperidinil-2*H*-1,2,3-triazol-4-carboxilato de etilo.

32. Un compuesto seleccionado de la fórmula **5a** un *N*-óxido o una sal adecuada desde el punto de vista agrícola del mismo,



5a

caracterizado porque

cada R^4 es independientemente alquilo de 1 a 6 átomos de carbono, alquenilo de 2 a 6 átomos de carbono,

alquinilo de 2 a 6 átomos de carbono, cicloalquilo de 3 a 6 átomos de carbono, cicloalquilalquilo de 4 a 10 átomos de carbono, alquilcicloalquilo de 4 a 10 átomos de carbono, alquilcicloalquilalquilo de 5 a 10 átomos de carbono, haloalquilo de 1 a 6 átomos de carbono, haloalquenilo de 2 a 6 átomos de carbono, haloalquinilo de 2 a 6 átomos de carbono, halocicloalquilo de 3 a 6 átomos de carbono, halógeno, hidroxi, amino, ciano, nitro, alcoxi de 1 a 4 átomos de carbono, haloalcoxi de 1 a 4 átomos de carbono, alquiltio de 1 a 4 átomos de carbono, alquilsulfinilo de 1 a 4 átomos de carbono, alquilsulfonilo de 1 a 4 átomos de carbono, haloalquiltio de 1 a 4 átomos de carbono, haloalquilsulfinilo de 1 a 4 átomos de carbono, haloalquilsulfonilo de 1 a 4 átomos de carbono, alquilamino de 1 a 4 átomos de carbono, dialquilamino de 2 a 8 átomos de carbono, cicloalquilamino de 3 a 6 átomos de carbono, alcoxialquilo de 2 a 4 átomos de carbono, hidroxialquilo de 1 a 4 átomos de carbono, alquilcarbonilo de 2 a 4 átomos de carbono, alcoxicarbonilo de 2 a 6 átomos de carbono, alquilcarboniloxi de 2 a 6 átomos de carbono, alquilcarboniltio de 2 a 6 átomos de carbono,

alquilaminocarbonilo de 2 a 6 átomos de carbono, dialquilaminocarbonilo de 3 a 8 átomos de carbono o trialquilsililo de 3 a 6 átomos de carbono; y

k es 1 o 2.

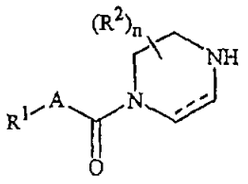
33. Un compuesto de conformidad con la reivindicación 32, caracterizado porque se selecciona del grupo que consiste de:

cloruro de 3,5-dicloro-1*H*-pirazol-1-acetilo,

cloruro de 5-cloro-3-(trifluorometil)-1*H*-pirazol-1-acetilo y

cloruro de 5-metil-3-(trifluorometil)-1*H*-pirazol-1-acetilo.

34. Un compuesto seleccionado de la fórmula **15**, un *N*-óxido o una sal adecuada desde el punto de vista agrícola del mismo,



15

caracterizado porque R^1 es fenilo sustituido opcionalmente o un anillo heteroaromático de 5 o 6 miembros;

A es CH_2 o NH;

cada R^2 es independientemente alquilo de 1 a 4 átomos de carbono, alquenilo de 1 a 4 átomos de carbono,

haloalquilo de 1 a 4 átomos de carbono, alcoxi de 1 a 4 átomos de carbono, halógeno, ciano o hidroxi;

n es 0, 1 o 2; o

dos radicales R^2 se toman juntos como alquileno de 1 a 3 átomos de carbono o alquenileno de 2 a 3 átomos de carbono para formar un sistema de anillos bicíclicos conectados; o

dos radicales R^2 unidos a los átomos de carbono del anillo adyacentes unidos por un enlace doble se toman juntos como -CH=CH-CH=CH- sustituido opcionalmente por 1-3 sustituyentes seleccionados de alquilo de 1 a 4 átomos de carbono, haloalquilo de 1 a 4 átomos de carbono, alcoxi de 1 a 4 átomos de carbono, haloalcoxi de 1 a 4 átomos de carbono, halógeno, hidroxi, amino, ciano y nitro.

35. Un compuesto de conformidad con la reivindicación 34, caracterizado porque

n es 0;

R^1 es U-1 o U-50;

cada R^4 es independientemente Cl, Br, metilo, etilo, trifluorometilo o metoxi; y

A es CH_2.

RESUMEN DE LA INVENCION

Esta invención se dirige a compuestos de la Fórmula (1) inclusive todos los isómeros geométricos y estereoisómeros, *N*-óxidos y sales adecuadas desde el punto de vista agrícola de los mismos, composiciones agrícolas que los contienen y su uso como fungicidas, (1) con la condición que el compuesto de la Fórmula 1 sea diferente de 2-[1-[(2-clorofenil)acetil]-4-piperidinil]-*N*-metil-*N*-[(1*R*)-1-feniletil]-4-tiazolcarboxamida y R^1 sea diferente de 4-fluorofenilo; en donde R^1, R^2, A, G, Q, W^1, W^2, X y n son de otra manera como se define en la descripción. También se dan a conocer composiciones que contienen el compuesto que tiene una fórmula que corresponde a la Fórmula 1 donde se omiten ambas condiciones; y métodos para controlar enfermedades de las plantas causadas por agentes patógenos micóticos de las plantas que comprenden aplicar una cantidad efectiva de un compuesto que tiene una fórmula que corresponde a la Fórmula (1) donde se omiten ambas condiciones.

TRATADO DE COOPERACION EN MATERIA DE PATENTES

PCT

REPORTE DE BUSQUEDA INTERNACIONAL

(Artículo 18 y Reglas 43 y 44 del PCT)

Referencia al expediente del solicitante o del agente	**PARA ACCION ADICIONAL**	véase Forma PCT/ISA/220 así como también, donde sea aplicable, el artículo 5 posterior.
BA9356PCT		
No. de solicitud internacional	Fecha de presentación internacional *(día/mes/año)*	Fecha de prioridad (la más reciente) *(día/mes/año)*
PCT/US2006/029175	26/07/2006	26/07/2005
Solicitante		
E. I. DU PONT DE NEMOURS AND COMPANY		

Este Reporte de Búsqueda Internacional ha sido preparado por esta Autoridad de Búsqueda Internacional y se transmite al solicitante de acuerdo con el Artículo 18. Una copia está siendo transmitida a la Oficina Internacional

Este Reporte de Búsqueda Internacional consiste de un total de 4 hojas.

☒ También está acompañado por una copia de cada documento de la técnica anterior citado en este reporte.

1. Bases del reporte

a. Con respecto al **idioma**, la búsqueda internacional se llevó a cabo en base a:

☒ la solicitud internacional en el idioma en el cual se presentó

☐ una traducción de la solicitud internacional en_____, el cual es el idioma de una traducción proporcionada para los propósitos de búsqueda internacional (Regla 12.3(a) y 23.1(b))

b. ☐ Con respecto a cualquier **secuencia de nucleótidos y/o aminoácidos** descrita en la solicitud internacional, véase Cuadro No. I.

2. ☐ **Se encontró que ciertas reivindicaciones no son investigables** (véase Cuadro No. II).

3. ☐ **La unidad de la invención es deficiente** (véase Cuadro No. III).

4. Con respecto al **título**,

☒ el texto se aprueba como lo presentó el solicitante.

☐ esta Autoridad ha establecido que el texto se lee como sigue:

5. Con respecto al **resumen**,

☒ el texto se aprueba como lo presentó el solicitante

☐ esta Autoridad ha establecido que el texto es, de acuerdo con la Regla 38.2(b), tal como aparece en el Cuadro No. IV. El solicitante puede, dentro de un mes a partir de la fecha de envío por correo de este reporte de búsqueda internacional, proporcionar comentarios a esta Autoridad.

6. Con respecto a los **dibujos**,

a. la figura de los **dibujos** que se va a publicar con el resumen es la Figura No. _____

☐ como lo sugirió el solicitante.

☐ como lo seleccionó esta Autoridad, porque al solicitante le faltó sugerir una figura.

☐ como lo seleccionó esta Autoridad, porque esta figura caracteriza mejor la invención.

b. ☐ ninguna de las figuras debe publicarse con el resumen.

REPORTE DE BUSQUEDA INTERNACIONAL

No. de solicitud internacional
PCT/US2006/029175

A. CLASIFICACION DEL TEMA

INV. C07D417/04 C07D417/14 C07D413/04 C07D413/14 C07D401/04
C07D401/14 C07D403/04 C07D403/14 C07D403/06 A01N43/72
A01P3/00 C07D401/06 C07D277/56 C07D417/12 C07D263/34

De acuerdo a la Clasificación de Patentes Internacional (IPC) o a tanto la clasificación nacional como la IPC.

B. CAMPOS BUSCADOS

Documentación mínima buscada (sistema de clasificación seguido por los símbolos de clasificación):
C07D A01N A01P

Documentación buscada diferente a la documentación mínima, al grado que tales documentos están incluidos en los campos buscados:

Base de datos electrónica consultada durante la búsqueda internacional (nombre de la base de datos y, en donde sea práctico, términos de búsqueda utilizados):
EPO-Internal, Datos CHEM ABS

C. DOCUMENTOS CONSIDERADOS QUE SON RELEVANTES

Categoria*	Cita del documento, con indicación, en donde sea apropiado, de los pasajes relevantes	Pertinente para la reivindicación No.
A	WO 02/ 059086 A (SYNGENTA PARTICIPATION AG [CH]; WALTER HERALD [CH]; TRAH STEPHAN [CH]) 1 de Agosto de 2002 (01-08-2002) el documento completo	1-22
A	WO 2004/058751 A (METRIS THERAPEUTICS LTD [GB]; KNOX PETER [GB]; PAPPA HELEN [GB]; LAM W) 15 de Julio de 2004 (15-07-2004) citado en la solicitud reivindicación 1	1, 20-22
A	WO 2005/003128 A (MERCK PATENT GMBH [DE]; GUEDAT PHILIPPE [FR]; COLLOGNES FRANCOIS [FR];) 13 de Enero de 2005 (13-01-2005) citado en la solicitud el documento completo	1, 20-22

-/--

☒ Los documentos adicionales se listan en la continuación del cuadro C. ☒ Los miembros de la familia de patentes se listan en el anexo

*categorías especiales de los documentos citados:

"A" documento que define el estado general de la técnica, el cual no se considera que es de particular relevancia.

"E" primer documento publicado en o después de la fecha de presentación internacional.

"L" documento el cual puede arrojar dudas sobre la(s) reivindicación(es) de prioridad o el cual se cita para establecer la fecha de publicación de otra cita u otra razón especial (como se especifica)

"O" documento que se refiere a una descripción oral, uso, exhibición u otro medio

"P" documento publicado antes de la fecha de representación internacional pero después de la fecha de prioridad reivindicada.

"T" documento posterior publicado después de la fecha de presentación internacional o la fecha de prioridad y no en conflicto con la solicitud, pero citado para entender el principio o teoría fundamental de la invención.

"X" documento de relevancia particular; la invención reclamada no puede ser considerada nueva o no se puede considerar que involucra una paso inventivo cuando el documento se toma solo.

"Y" documento de particular relevancia; no se puede considerar que la invención reclamada involucra un paso inventivo cuando el documento es combinado con uno o más de tales documentos, tal combinación que es obvia para una persona experimentada en la técnica.

"&" documento miembro de la misma familia de patentes.

Fecha de la terminación actual de la búsqueda internacional	Fecha de envío del reporte de búsqueda internacional
8 de Marzo de 2007	16/03/2007

Nombre y dirección de correo de la ISA	Funcionario autorizado
Oficina Europea de Patentes, P.B. 5818 Patentlaan 2 NL - 2280 HV Rijswijk Tel. (+31-70) 340-2040, Tx. 31 651 epo nl, Fax: (+31-70) 340-3016	Bosma, Peter

Forma PCT/ISA/210 (segunda hoja) (Abril de 2005)

REPORTE DE BUSQUEDA INTERNACIONAL

No. de solicitud internacional
PCT/US2006/029175

C (Continuación) DOCUMENTOS QUE SE CONSIDERAN PERTINENTES

Categoría*	Citación del documento, con indicación, donde es apropiado, de los pasajes pertinentes	Pertinente para la reivindicación No.
X, P	US 2006/069102 A1 (LEBAN; JOHANN Y COLABORADORES) 30 de Marzo de 2006 (30-03-2006) reivindicaciones; ejemplos	I
X, P	WO 2005/116653 A2 (ARENA PHARMACEUTICALS, INC., EUA) 8 de Diciembre de 2005 (08-12-2005) reivindicaciones; ejemplos; tabla 1	I

Forma PCT/ISA/210 (Continuación de la segunda hoja) (Abril de 2005)

REPORTE DE BUSQUEDA INTERNACIONAL

Información de miembros de familia de la patente

No. de Solicitud Internacional
PCT/US2006/029175

Documento de patente citado en el reporte de búsqueda	Fecha de publicación	Miembro(s) de Familia de patentes	Fecha de publicación
WO 02059086 A	01-08-2002	AR 032113 A1	22-10-2003
		BR 0206678 A	10-02-2004
		CA 2433819 A1	01-08-2002
		CN 1498208 A	19-05-2004
		CZ 20032018 A3	15-10-2003
		EP 1355879 A1	29-10-2003
		HU 0302581 A2	28-11-2003
		JP 2004519464 T	02-07-2004
		MX PA03006472 A	24-05-2004
		PL 363324 A1	15-11-2004
		RU 2003125855 A	10-01-2005
		US 2004138265 A1	15-07-2004
		ZA 200305102 A	25-08-2004
WO 2004058751 A	15-07-2004	AU 2003290335 A1	22-07-2004
		AU 2003294143 A1	22-07-2004
		CA 2511506 A1	15-07-2004
		EP 1581528 A1	05-10-2005
		WO 2004058750 A1	15-07-2004
		JP 2006513202 T	20-04-2006
		US 2006135501 A1	22-06-2006
WO 2005003128 A	13-01-2005	AU 2004253649 A1	13-01-2005
		BR PI0411721 A	23-05-2006
		CA 2531011 A1	13-01-2005
		CN 1809560 A	26-07-2006
		EP 1636218 A1	22-03-2006
		FR 2856685 A1	31-12-2004
		KR 20060024812 A	17-03-2006
		MX PA05013521 A	09-03-2006
US 2006069102 A1	30-03-2006	US 2006247253 A1	02-11-2006
WO 2005116653 A2	08-12-2005	AU 2005248722 A1	08-12-2005
		CA 2564139 A1	08-12-2005
		EP 1735622 A2	27-12-2006

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TRATADO DE COOPERACION EN MATERIA DE PATENTES

De la
AUTORIDAD DE BUSQUEDA INTERNACIONAL

Para:

véase forma PCT/ISA/220

PCT

OPINION ESCRITA DE LA AUTORIDAD DE BUSQUEDA INTERNACIONAL

(Regla 43*bis*.1 del PCT)

Fecha de envío *(día/mes/año)*	véase forma PCT/ISA/210 (segunda hoja)
Referencia de archivo del solicitante o agente: Véase forma PCT/ISA/220	**PARA ACCION ADICIONAL** véase párrafo 2 posterior

No. de solicitud internacional	Fecha de presentación internacional *(día/mes/año)*	Fecha de prioridad *(día/mes/año)*
PCT/US2006/029175	26.07.2006	26.07.2005

Clasificación de Patente Internacional (IPC) o tanto clasificación nacional como IPC
INV. C07D417/04 C07D417/14 C07D413/04 C07D413/14 C07D401/04 C07D401/14 C07D403/04 C07D403/14 C07D403/06 A01N43/72 A01P3/00 C07D401/06 C07D277/56 C07D417/12 C07D263/34 C07D 413/12

Solicitante
E. I. DU PONT NEMOURS AND COMPANY

1. Esta opinión contiene indicaciones relacionadas con los siguientes artículos:

[X]	Cuadro No. I	Bases de la opinión
[X]	Cuadro No. II	Prioridad
[ ]	Cuadro No. III	No establecimiento de la opinión con respecto a la novedad, paso inventivo y aplicabilidad industrial
[ ]	Cuadro No. IV	Falta de unidad de la invención
[X]	Cuadro No. V	Declaración justificada conforme a la Regla 43*bis*.1(a)(i) con respecto a la novedad, paso inventivo o aplicabilidad industrial; citaciones y explicaciones que soportan esta declaración
[X]	Cuadro No. VI	Ciertos documentos citados
[ ]	Cuadro No. VII	Ciertos defectos en la solicitud internacional
[ ]	Cuadro No. VIII	Ciertas observaciones en la solicitud internacional

2. **ACCION ADICIONAL**

Si se hace una demanda por el examen preliminar internacional, esta opinión será considerada usualmente que es una opinión escrita de la Autoridad de Examen Preliminar Internacional ("IPEA"). Sin embargo, esto no aplica donde el solicitante selecciona una Autoridad diferente de una que sea la IPEA y la IPEA seleccionada haya notificado a la Oficina Internacional conforme a la Regla 66.1*bis*(b) que las opiniones escritas de esta Autoridad de Búsqueda Internacional no se considerarán así.

Se considera que esta opinión, como se proporcionó anteriormente, es una opinión escrita de la IPEA, se invita al solicitante a proponer a la IPEA una respuesta escrita, donde sea apropiado, junto con las enmiendas, antes de la expiración de tres meses desde la fecha de envío por correo de la Forma PCT/ISA/220 o antes de la expiración de 22 meses desde la fecha de prioridad, cualquiera que expire más tarde.

Para opciones adicionales, véase la Forma PCT/ISA/220.

3. Para detalles adicionales, véase las notas para la Forma PCT/ISA/220.

Nombre y dirección de correspondencia de la ISA:	Fecha de terminación de esta opinión	Funcionario autorizado
Oficina Europea de Patentes - P.B. 5818 Patentlaan 2 NL-2280 HV Rijswijk - Pays Bas Tel. +31 70 340 - 2040 Tx: 31 651 epo nl Fax: +31 70 340 - 3016	véase la forma PCT/ISA/210	Bosma, Peter No. de Teléfono +31 70 340-3665

Forma (PCT/ISA/237) (portada) (Abril de 2005)

OPINION ESCRITA DE LA AUTORIDAD DE BUSQUEDA INTERNACIONAL

No. de solicitud internacional
PCT/US2006/029175

Cuadro No. I Bases de la opinión

1. Con respecto al **idioma**, esta opinión se ha establecido en base a:

☒ la solicitud internacional en el idioma en el cual se presentó

☐ una traducción de la solicitud internacional en el idioma , el cual es el idioma de una traducción proporcionada para los propósitos de la búsqueda internacional (Reglas 12.3(a) y 23.1 (b)).

2. Con respecto a cualquier **secuencia de nucleótidos y/o aminoácidos** descrita en la solicitud internacional y necesaria para la invención reclamada, esta opinión se ha establecido en base a:

a. tipo de material:

☐ un listado de secuencias

☐ tabla(s) relacionada(s) con el listado de secuencias

b. formato de material:

☐ en formato escrito

☐ en forma leible por computadora

c. tiempo de presentación/suministro:

☐ contenido en la solicitud internacional como se presentó.

☐ presentada junto con la solicitud internacional en forma leible por computadora.

☐ proporcionada subsecuentemente en esta Autoridad para los propósitos de búsqueda.

3. ☐ Además, en el caso que más de una versión o copia de un listado de secuencias y/o tabla relacionada con la misma se haya presentado o proporcionado, se proporcionarían las declaraciones requeridas sobre que la información en las copias subsecuentes o adicionales es idéntica a aquella en la solicitud como se presentó o no va más allá de la solicitud como se presentó, como sea apropiado.

4. Comentarios adicionales:

OPINION ESCRITA DE LA AUTORIDAD DE BUSQUEDA INTERNACIONAL

No. de solicitud internacional
PCT/US2006/029175

Cuadro No. II Prioridad

1. ☒ La validez de la reivindicación de prioridad no ha sido considerada debido a que la Autoridad de Búsqueda Internacional no posee una copia de la primera solicitud cuya prioridad ha sido reclamada o, donde se requiera, una traducción de esa primera solicitud. No obstante esta opinión ha sido establecida suponiendo que la fecha pertinente (Reglas 43*bis*.1 y 64.1) es la fecha de prioridad reclamada.

2. ☐ Esta opinión se ha establecido como si no se hubiera reclamado la prioridad debido al hecho que la reivindicación de prioridad se ha encontrado sin efecto (Reglas 43*bis*.1 y 64.1). De esta manera, para propósitos de esta opinión, la fecha de presentación internacional indicada anteriormente se considera que es la fecha pertinente.

3. Observaciones adicionales, si es necesario:

Cuadro No. V Declaración razonada conforme a la Regla 43*bis*.1(a)(i) con respecto a la novedad, paso inventivo o aplicabilidad industrial; citaciones y explicaciones que soportan esta declaración

1. Declaración

Novedad (N)	Si:	Reivindicaciones	1-22
	No:	Reivindicaciones	
Paso Inventivo (PI)	Si:	Reivindicaciones	1-22
	No:	Reivindicaciones	
Aplicabilidad Industrial (AI)	Si:	Reivindicaciones	1-22
	No:	Reivindicaciones	

2. Citaciones y Explicaciones

véase hoja separada

Cuadro No. VI Ciertos documentos citados

1. Ciertos documentos publicados (Reglas 43*bis*.1 y 70.10)

y/o

2. Descripciones no escritas (Reglas 43*bis*.1 y 70.9)

véase forma 210

Con Referencia al Artículo V.

1 Se hace referencia al siguiente documento:

D1: WO 02/059086 A (SYNGENTA PARTICIPATIONS AG[CH]) 1 de Agosto de 2002

2 El documento D1, el cual se considera que representa el estado más relevante de la técnica, da a conocer carboxamidas heterocíclicas que son útiles como fungicidas.
A partir de esto, el contenido de las presentes reivindicaciones 1-22 difiere estructuralmente en al menos los significados de -Q y la porción $-C=W^1-A-R^1$.
Los presentes compuestos también son útiles como fungicidas.

Por lo tanto el contenido de las reivindicaciones 1-22 es novedoso (Artículo 33(2) del PCT).

3 El problema a ser resuelto por la presente invención puede considerarse como la provisión de compuestos adicionales que son útiles como fungicidas.
La solución a este problema propuesta por la presente solicitud se considera que involucra un paso inventivo (Artículo 33(2) del PCT) por las siguientes razones:
Los presentes compuestos están estructuralmente alejados del documento D1 de la técnica anterior más cercana. Por lo tanto, se debe reconocer un paso inventivo debido a que la persona

experta no llegaría a los compuestos reclamados actualmente que son útiles como fungicidas, partiendo de las enseñanzas de D1 o cualquier otro documento disponible de la técnica anterior.

4. Contrario a los requerimientos de la Regla 5.1(a)(ii) del PCT, la técnica anterior relevante dada a conocer en el documento D1 no se menciona en la descripción, ni se identifica este documento en la misma.

PATENT COOPERATION TREATY

MAR 20 2007

DEH

From the INTERNATIONAL SEARCHING AUTHORITY

PCT

NOTIFICATION OF TRANSMITTAL OF THE INTERNATIONAL SEARCH REPORT AND THE WRITTEN OPINION OF THE INTERNATIONAL SEARCHING AUTHORITY, OR THE DECLARATION

(PCT Rule 44.1)

To:

E.I. DUPONT DE NEMOURS AND COMPANY
Attn. Heiser, David E.
Legal Patent Records Center
4417 Lancaster Pike
Wilmington, DE 19805
ETATS-UNIS D'AMERIQUE

MAR 19 2007

Applicant's or agent's file reference BA9356PCT	Date of mailing *(day/month/year)* 16/03/2007 TO BE REVIEWED BY ATTORNEY **FOR FURTHER ACTION** See paragraphs 1 and 4 below
International application No. PCT/US2006/029175	International filing date *(day/month/year)* 26/07/2006
Applicant E. I. DU PONT DE NEMOURS AND COMPANY	

1. [X] The applicant is hereby notified that the international search report and the written opinion of the International Searching Authority have been established and are transmitted herewith.

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

   When? The time limit for filing such amendments is normally two months from the date of transmittal of the International Search Report.

   Where? Directly to the International Bureau of WIPO, 34 chemin des Colombettes 1211 Geneva 20, Switzerland, Fascimile No.: (41-22) 338.82.70

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

2. [ ] The applicant is hereby notified that no international search report will be established and that the declaration under Article 17(2)(a) to that effect and the written opinion of the International Searching Authority are transmitted herewith.

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

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

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

4. **Reminders**

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

   The applicant may submit comments on an informal basis on the written opinion of the International Searching Authority to the International Bureau. The International Bureau will send a copy of such comments to all designated Offices unless an international preliminary examination report has been or is to be established. These comments would also be made available to the public but not before the expiration of 30 months from the priority date.

   Within **19 months** from the priority date, but only in respect of some designated Offices, a demand for international preliminary examination must be filed if the applicant wishes to postpone the entry into the national phase **until 30 months** from the priority date (in some Offices even later); otherwise, the applicant must, **within 20 months** from the priority date, perform the prescribed acts for entry into the national phase before those designated Offices.

   In respect of other designated Offices, the time limit of **30 months** (or later) will apply even if no demand is filed within 19 months.

   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.

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

Form PCT/ISA/220 (October 2005) *(See notes on accompanying sheet)*

PATENT COOPERATION TREATY

PCT

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INTERNATIONAL SEARCH REPORT

(PCT Article 18 and Rules 43 and 44)

Applicant's or agent's file reference	**FOR FURTHER ACTION**	see Form PCT/ISA/220 as well as, where applicable, item 5 below.
BA9356PCT		
International application No.	International filing date *(day/month/year)*	(Earliest) Priority Date *(day/month/year)*
PCT/US2006/029175	26/07/2006	26/07/2005
Applicant		
E. I. DU PONT DE NEMOURS AND COMPANY		

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

This international search report consists of a total of 4 sheets.

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

1. **Basis of the report**
   a. With regard to the **language**, the international search was carried out on the basis of:
      [X] the international application in the language in which it was filed
      [ ] a translation of the international application into _____, which is the language of a translation furnished for the purposes of international search (Rules 12.3(a) and 23.1(b))
   b. [ ] With regard to any **nucleotide and/or amino acid sequence** disclosed in the international application, see Box No. I.

2. [ ] **Certain claims were found unsearchable** (See Box No. II)

3. [ ] **Unity of invention is lacking** (see Box No III)

4. With regard to the **title**,
   [X] the text is approved as submitted by the applicant
   [ ] the text has been established by this Authority to read as follows:

5. With regard to the **abstract**,
   [X] the text is approved as submitted by the applicant
   [ ] the text has been established, according to Rule 38.2(b), by this Authority as it appears in Box No. IV. The applicant may, within one month from the date of mailing of this international search report, submit comments to this Authority

6. With regard to the **drawings**,
   a. the figure of the **drawings** to be published with the abstract is Figure No. _____
      [ ] as suggested by the applicant
      [ ] as selected by this Authority, because the applicant failed to suggest a figure
      [ ] as selected by this Authority, because this figure better characterizes the invention
   b. [ ] none of the figures is to be published with the abstract

A. CLASSIFICATION OF SUBJECT MATTER

INV. C07D417/04 C07D417/14 C07D413/04 C07D413/14 C07D401/04
C07D401/14 C07D403/04 C07D403/14 C07D403/06 A01N43/72
A01P3/00 C07D401/06 C07D277/56 C07D417/12 C07D263/34

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

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

C07D A01N A01P

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

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

EPO-Internal, CHEM ABS Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	WO 02/059086 A (SYNGENTA PARTICIPATIONS AG [CH]; WALTER HARALD [CH]; TRAH STEPHAN [CH]) 1 August 2002 (2002-08-01) the whole document	1-22
A	WO 2004/058751 A (METRIS THERAPEUTICS LTD [GB]; KNOX PETER [GB]; PAPPA HELEN [GB]; LAM W) 15 July 2004 (2004-07-15) cited in the application claim 1	1,20-22
A	WO 2005/003128 A (MERCK PATENT GMBH [DE]; GUEDAT PHILIPPE [FR]; COLLONGES FRANCOIS [FR];) 13 January 2005 (2005-01-13) cited in the application the whole document	1,20-22

-/--

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

[X] See patent family annex.

* Special categories of cited documents :

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

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

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

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

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

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

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

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

"&" document member of the same patent family

Date of the actual completion of the international search	Date of mailing of the international search report
8 March 2007	16/03/2007

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

Form PCT/ISA/210 (second sheet) (April 2005)

C(Continuation). DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X,P	US 2006/069102 A1 (LEBAN, JOHANN ET AL) 30 March 2006 (2006-03-30) claims; examples	1
X,P	WO 2005/116653 A2 (ARENA PHARMACEUTICALS, INC., USA) 8 December 2005 (2005-12-08) claims; examples; table 1	1

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
WO 02059086 A	01-08-2002	AR 032113 A1	22-10-2003
		BR 0206678 A	10-02-2004
		CA 2433819 A1	01-08-2002
		CN 1498208 A	19-05-2004
		CZ 20032018 A3	15-10-2003
		EP 1355879 A1	29-10-2003
		HU 0302581 A2	28-11-2003
		JP 2004519464 T	02-07-2004
		MX PA03006472 A	24-05-2004
		PL 363324 A1	15-11-2004
		RU 2003125855 A	10-01-2005
		US 2004138265 A1	15-07-2004
		ZA 200305102 A	25-08-2004
WO 2004058751 A	15-07-2004	AU 2003290335 A1	22-07-2004
		AU 2003294143 A1	22-07-2004
		CA 2511506 A1	15-07-2004
		EP 1581528 A1	05-10-2005
		WO 2004058750 A1	15-07-2004
		JP 2006513202 T	20-04-2006
		US 2006135501 A1	22-06-2006
WO 2005003128 A	13-01-2005	AU 2004253649 A1	13-01-2005
		BR PI0411721 A	23-05-2006
		CA 2531011 A1	13-01-2005
		CN 1809560 A	26-07-2006
		EP 1636218 A1	22-03-2006
		FR 2856685 A1	31-12-2004
		KR 20060024812 A	17-03-2006
		MX PA05013521 A	09-03-2006
US 2006069102 A1	30-03-2006	US 2006247253 A1	02-11-2006
WO 2005116653 A2	08-12-2005	AU 2005248722 A1	08-12-2005
		CA 2564139 A1	08-12-2005
		EP 1735622 A2	27-12-2006

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From the
INTERNATIONAL SEARCHING AUTHORITY

To:

see form PCT/ISA/220

PCT

WRITTEN OPINION OF THE INTERNATIONAL SEARCHING AUTHORITY

(PCT Rule 43*bis*.1)

Date of mailing
(day/month/year) see form PCT/ISA/210 (second sheet)

Applicant's or agent's file reference
see form PCT/ISA/220

FOR FURTHER ACTION
See paragraph 2 below

International application No.	International filing date *(day/month/year)*	Priority date *(day/month/year)*
PCT/US2006/029175	26.07.2006	26.07.2005

International Patent Classification (IPC) or both national classification and IPC
INV. C07D417/04 C07D417/14 C07D413/04 C07D413/14 C07D401/04 C07D401/14 C07D403/04 C07D403/14 C07D403/06 A01N43/72 A01P3/00 C07D401/06 C07D277/56 C07D417/12 C07D263/34 C07D413/12

Applicant
E. I. DU PONT DE NEMOURS AND COMPANY

1. This opinion contains indications relating to the following items:

- ☒ Box No. I Basis of the opinion
- ☒ Box No. II Priority
- ☐ Box No. III Non-establishment of opinion with regard to novelty, inventive step and industrial applicability
- ☐ Box No. IV Lack of unity of invention
- ☒ Box No. V Reasoned statement under Rule 43*bis*.1(a)(i) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement
- ☒ Box No. VI Certain documents cited
- ☐ Box No. VII Certain defects in the international application
- ☐ Box No. VIII Certain observations on the international application

2. **FURTHER ACTION**

If a demand for international preliminary examination is made, this opinion will usually be considered to be a written opinion of the International Preliminary Examining Authority ("IPEA") except that this does not apply where the applicant chooses an Authority other than this one to be the IPEA and the chosen IPEA has notifed the International Bureau under Rule 66.1*bis*(b) that written opinions of this International Searching Authority will not be so considered.

If this opinion is, as provided above, considered to be a written opinion of the IPEA, the applicant is invited to submit to the IPEA a written reply together, where appropriate, with amendments, before the expiration of 3 months from the date of mailing of Form PCT/ISA/220 or before the expiration of 22 months from the priority date, whichever expires later.

For further options, see Form PCT/ISA/220.

3. For further details, see notes to Form PCT/ISA/220.

Name and mailing address of the ISA:	Date of completion of this opinion	Authorized Officer
European Patent Office - P.B. 5818 Patentlaan 2 NL-2280 HV Rijswijk - Pays Bas Tel. +31 70 340 - 2040 Tx: 31 651 epo nl Fax: +31 70 340 - 3016	see form PCT/ISA/210	Bosma, Peter Telephone No. +31 70 340-3665

Form (PCT/ISA/237) (Cover Sheet) (April 2005)

WRITTEN OPINION OF THE INTERNATIONAL SEARCHING AUTHORITY

International application No.
PCT/US2006/029175

Box No. I Basis of the opinion

1. With regard to the **language**, this opinion has been established on the basis of:

   ☒ the international application in the language in which it was filed

   ☐ a translation of the international application into , which is the language of a translation furnished for the purposes of international search (Rules 12.3(a) and 23.1 (b)).

2. With regard to any **nucleotide and/or amino acid sequence** disclosed in the international application and necessary to the claimed invention, this opinion has been established on the basis of:

   a. type of material:

      ☐ a sequence listing

      ☐ table(s) related to the sequence listing

   b. format of material:

      ☐ on paper

      ☐ in electronic form

   c. time of filing/furnishing:

      ☐ contained in the international application as filed.

      ☐ filed together with the international application in electronic form.

      ☐ furnished subsequently to this Authority for the purposes of search.

3. ☐ In addition, in the case that more than one version or copy of a sequence listing and/or table relating thereto has been filed or furnished, the required statements that the information in the subsequent or additional copies is identical to that in the application as filed or does not go beyond the application as filed, as appropriate, were furnished.

4. Additional comments:

Box No. II Priority

1. ☒ The validity of the priority claim has not been considered because the International Searching Authority does not have in its possession a copy of the earlier application whose priority has been claimed or, where required, a translation of that earlier application. This opinion has nevertheless been established on the assumption that the relevant date (Rules 43*bis*.1 and 64.1) is the claimed priority date.

2. ☐ This opinion has been established as if no priority had been claimed due to the fact that the priority claim has been found invalid (Rules 43*bis*.1 and 64.1). Thus for the purposes of this opinion, the international filing date indicated above is considered to be the relevant date.

3. Additional observations, if necessary:

Box No. V Reasoned statement under Rule 43*bis*.1(a)(i) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

1. Statement

Novelty (N)	Yes:	Claims	1-22
	No:	Claims	
Inventive step (IS)	Yes:	Claims	1-22
	No:	Claims	
Industrial applicability (IA)	Yes:	Claims	1-22
	No:	Claims	

2. Citations and explanations

see separate sheet

Box No. VI Certain documents cited

1. Certain published documents (Rules 43*bis*.1 and 70.10)

and / or

2. Non-written disclosures (Rules 43*bis*.1 and 70.9)

see form 210

Re Item V.

1 Reference is made to the following document:
D1 : WO 02/059086 A (SYNGENTA PARTICIPATIONS AG [CH]) 1 August 2002

2 Document D1, which is considered to represent the most relevant state of the art, discloses heterocyclic carboxamides useful as fungicides.
From this, the subject-matter of the present claims 1-22 differs structurally in at least the meanings of -Q and the moiety $-C=W^1-A-R^1$.
The present compounds are also useful as fungicides.

The subject-matter of claims 1-22 is therefore novel (Article 33(2) PCT)

3 The problem to be solved by the present invention may be regarded as the provision of further compounds useful as fungicides.
The solution to this problem proposed by the present application is considered as involving an inventive step (Article 33(3) PCT) for the following reasons:
The present compounds are structurally remote from the closest prior art document D1.
An inventive step must therefore be acknowledged, because the skilled man would not arrive at the presently claimed compounds, useful as fungicides, starting from the teachings of D1 or any other of the available prior art documents.

4 Contrary to the requirements of Rule 5.1(a)(ii) PCT, the relevant background art disclosed in the document D1 is not mentioned in the description, nor is this document identified therein.

LA OFICINA INTERNACIONAL DE LA WIPO
y
LA OFICINA EUROPA DE PATENTES

En la Solicitud de:

E. I. DU PONT DE NEMOURS AND COMPANY

Caso No.: BA9356 PCT

Solicitud Internacional No.: PCT/US06/29175

Fecha de Presentación Internacional: 26 de Julio de 2006

Para: CARBOXAMIDAS FUNGICIDAS

Wilmington, Delaware 19898

Fecha: 15 de Noviembre de 2007

LA OFICINA INTERNACIONAL DE LA WIPO
34 Chemin des Colombettes
1211 Ginebra 20
SUIZA
ENVIAR VIA FACSIMIL
#9-011-41-22-740-1435

OFICINA EUROPEA DE PATENTES
Erhardstrasse 27
D-88331 Munchen
ALEMANIA
ENVIAR VIA FACSIMIL
#9-011-49-89-2399-4465

PETICIÓN CONFORME A LA REGLA 92^{bis}.1(a)(i) DEL PCT
CORRECCION DE LA DIRECCIÓN DEL SOLICITANTE/INVENTOR

El solicitante presenta este documento para informar a RO que el solicitante anterior desea corregir la dirección del solicitante/inventor:

COMO ESTÁ: Robert James Pasteris
3208 Landsdowne Drive
Wilmington, Delaware 19810, EUA
Ciudadano de EUA

DEBE SER: Robert James Pasteris
842 Colorado Drive
Newark, Delaware 19713, EUA
Ciudadano de EUA

EL RESTO DE LA INFORMACION PERMANECE IGUAL. Actualice por favor todos los registros necesarios para reflejar este cambio y volver a publicar la solicitud.

Propuso respetuosamente,
E.I. DU PONT DE NEMOURS AND COMPANY

Por: _____
Miriam D. MECONNAHEY
Subsecretaria-Consejo de Patentes

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THE INTERNATIONAL BUREAU OF WIPO
and
THE EUROPEAN PATENT OFFICE

In the Application of:

E. I. DU PONT DE NEMOURS AND COMPANY

Case No.: BA9356 PCT

International Application No.: PCT/US06/29175

International Filing Date: July 26, 2006

For: FUNGICIDAL CARBOXAMIDES

Wilmington, Delaware 19898
Date: November 15, 2007

THE INTERNATIONAL BUREAU OF WIPO
34 Chemin des Colombettes
1211 Geneva 20
SWITZERLAND
SENT VIA FACSIMILE
#9-011-41-22-740-1435

EUROPEAN PATENT OFFICE
Erhardstrasse 27
D-88331 Munchen
GERMANY
SENT VIA FACSIMILE
#9-011-49-89-2399-4465

REQUEST PURSUANT TO PCT RULE 92*bis*.1(a)(i)
CORRECTION OF APPLICANT/INVENTOR'S ADDRESS

Applicant is filing this paper to inform the RO that the above applicant wishes to correct the address of applicant/inventor:

AS IS: Robert James Pasteris
3208 Landsdowne Drive
Wilmington, Delaware 19810, USA
USA Citizen

SHOULD BE: Robert James Pasteris
842 Colorado Drive
Newark, Delaware 19713, USA
USA Citizen

ALL THE OTHER INFORMATION REMAINS THE SAME. Please update all the necessary records to reflect this change and republish the application.

Respectfully submitted,
E. I. DU PONT DE NEMOURS AND COMPANY

By: Miriam D. Meconnahey
Miriam D. MECONNAHEY
Assistant Secretary - Patent Board

FAX HEADER 1: 3029924773 DUPONT PAT REC CTR
FAX HEADER 2:

MITTED/STORED : NOV. 20. 2007 8:47AM MODE OPTION	ADDRESS	RESULT	PAGE
MEMORY TX	41223388270	OK	1/1

REASON FOR ERROR
E-1) HANG UP OR LINE FAIL
E-2) BUSY
E-3) NO ANSWER
E-4) NO FACSIMILE CONNECTION

THE INTERNATIONAL BUREAU OF WIPO
and
THE EUROPEAN PATENT OFFICE

In the Application of:

E. I. DU PONT DE NEMOURS AND COMPANY

Case No.: BA9356 PCT

International Application No.: PCT/US06/29175

International Filing Date: July 26, 2006

For: FUNGICIDAL CARBOXAMIDES

Wilmington, Delaware 19898
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THE INTERNATIONAL BUREAU OF WIPO
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EUROPEAN PATENT OFFICE
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#9-011-49-89-2399-4465

REQUEST PURSUANT TO PCT RULE 92*bis*.1(a)(i)
CORRECTION OF APPLICANT/INVENTOR'S ADDRESS

Applicant is filing this paper to inform the RO that the above applicant wishes to correct the address of applicant/inventor:

AS IS: Robert James Pasteris
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Wilmington, Delaware 19810, USA
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ALL THE OTHER INFORMATION REMAINS THE SAME. Please update all the necessary records to reflect this change and republish the application.

Respectfully submitted,
E. I. DU PONT DE NEMOURS AND COMPANY

By: Miriam D. Meconnahey
Miriam D. MECONNAHEY
Assistant Secretary - Patent Board

* * * COMMUNICATION RESULT REPORT (NOV. 20. 2007 8:48AM) * * * 790

FAX HEADER 1: 3029924773 DUPONT PAT REC CTR
FAX HEADER 2:

TRANSMITTED/STORED : NOV. 20. 2007 8:47AM FILE MODE	OPTION	ADDRESS	RESULT	PAGE
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REASON FOR ERROR
E-1) HANG UP OR LINE FAIL
E-2) BUSY
E-3) NO ANSWER
E-4) NO FACSIMILE CONNECTION

THE INTERNATIONAL BUREAU OF WIPO
and
THE EUROPEAN PATENT OFFICE

In the Application of:

E. I. DU PONT DE NEMOURS AND COMPANY

Case No.: BA9356 PCT

International Application No.: PCT/US06/29175

International Filing Date: July 26, 2006

For: FUNGICIDAL CARBOXAMIDES

Wilmington, Delaware 19898
Date: November 15, 2007

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1211 Geneva 20
SWITZERLAND
SENT VIA FACSIMILE
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EUROPEAN PATENT OFFICE
Erhardstrasse 27
D-88331 Munchen
GERMANY
SENT VIA FACSIMILE
#9-011-49-89-2399-4465

REQUEST PURSUANT TO PCT RULE 92*bis*.1(a)(i)
CORRECTION OF APPLICANT/INVENTOR'S ADDRESS

Applicant is filing this paper to inform the RO that the above applicant wishes to correct the address of applicant/inventor:

AS IS: Robert James Pasteris
3208 Landsdowne Drive
Wilmington, Delaware 19810, USA
USA Citizen

SHOULD BE: Robert James Pasteris
842 Colorado Drive
Newark, Delaware 19713, USA
USA Citizen

ALL THE OTHER INFORMATION REMAINS THE SAME. Please update all the necessary records to reflect this change and republish the application.

Respectfully submitted,
E. I. DU PONT DE NEMOURS AND COMPANY

By: Miriam D. Meconnahey
Miriam D. MECONNAHEY
Assistant Secretary - Patent Board

PARA LA OFICINA INTERNACIONAL

Solicitante(s): E. I. DU PONT DE NEMOURS AND COMPANY
Registro del Apoderado No.: BA9356WOPCT
Solicitud Internacional No.: PCT/US06/29175
Fecha de Presentación Internacional: 26 de Julio de 2006
Para: CARBOXAMIDAS FUNGICIDAS

Oficina Internacional de la WIPO
34 Chemin des Colombettes
1211 Ginebra 20 Suiza
Fax (41-22) 338-82-70

Carta que Acompaña la Enmienda del Artículo 19

La enmienda requerida es la adición de las páginas de la solicitud 266a, 266b, 266c, 266d, 266e, 266f, 266g y 266h. Estas ocho páginas contienen las reivindicaciones adicionales 23 hasta 35 dirigidas a ciertos compuestos "intermediarios". Más particularmente:

A. La reivindicación 23 se refiere a los compuestos de la Fórmula "2a", la cual en virtud de los diversos grupos Z^{10} incluye los compuestos de la Fórmula 2 (véase por ejemplo el Esquema de Reacción 1, página 40) así como también los compuestos de la Fórmula 4 (véase por ejemplo el Esquema de Reacción 2, página 40) y la Fórmula 17 (véase por ejemplo el Esquema de Reacción 11, página 45). La reivindicación 24 se refiere a la Modalidad A11 aplicada a los compuestos de la reivindicación 23 y la reivindicación 25 se refiere a los diecisiete compuestos de la reivindicación 24 dados a conocer respectivamente en (1) Ejemplo 9, Paso D, (2) Ejemplo 9, Paso E, (3) Ejemplo 18, Paso D, (4) Ejemplo 19, Paso B, (5) Ejemplo 30, Paso C, (6) Ejemplo 32, Paso B, (7) Ejemplo 33, Paso B, (8) Ejemplo 9, Paso F, (9) Ejemplo 11, (10) Ejemplo 18, Paso E, (11) Ejemplo 19, Paso C, (12) Ejemplo 30, Paso D,

(13) Ejemplo 32, Paso C, (14) Ejemplo 33, Paso C, (15) Ejemplo 19, Paso D, (16) Ejemplo 32, Paso D y (17) Ejemplo 33, Paso D.

B. La reivindicación 26 se refiere a los compuestos de la Fórmula "6a", la cual en virtud de los diversos grupos Z^{11} incluye los compuestos de la Fórmula 6 (véase por ejemplo el Esquema de Reacción 3, página 41) así como también los compuestos de la Fórmula 9 (véase por ejemplo el Esquema de Reacción 5, página 42). La reivindicación 27 se refiere a la Modalidad A7 aplicada a los compuestos de la reivindicación 26 y la reivindicación 28 se refiere a los cinco compuestos de la reivindicación 27 dados a conocer respectivamente en (1) Ejemplo 1, Paso E, (2) Ejemplo 4, Paso D, (3) Ejemplo 6, Paso C, (4) Ejemplo 7, Paso F y (5) Ejemplo 8, Paso B.

C. La reivindicación 29 se refiere a los compuestos de la Fórmula 18 (véase por ejemplo el Esquema de Reacción 12, página 46). La reivindicación 30 se refiere a la Modalidad A6 aplicada a los compuestos de la reivindicación 29 y la reivindicación 31 se refiere a los compuestos de la reivindicación 30 dados a conocer en el Ejemplo 32, Paso B.

D. La reivindicación 32 se refiere a los compuestos de la Fórmula "5a" la cual se refiere al párrafo A (páginas 9-10) aplicado a los compuestos de la Fórmula 5 (véase por ejemplo el Esquema de Reacción 3, página 41) donde R^1 es un grupo U-1 sustituido. La reivindicación 33 se refiere a los tres compuestos de la reivindicación 32 dados a conocer respectivamente en (1) Ejemplo 12, Paso G, (2) Ejemplo 13, Paso F y (3) Ejemplo 19, Paso B.

E. La reivindicación 34 se refiere a los compuestos de la Fórmula 15 (véase por ejemplo el Esquema de Reacción 10, página 45). La reivindicación 35 se refiere a la Modalidad A11 aplicada a los compuestos de la reivindicación 34.

Propuso respetuosamente,

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Anexos

Fecha: 20 de Marzo de 2007-12-28

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CPP 294

TO THE INTERNATIONAL BUREAU

Applicant(s):	E.I. DU PONT DE NEMOURS AND COMPANY
Attorney Docket No.:	BA9356WOPCT
International Application No.:	PCT/US06/29175
International Filing Date:	July 26, 2006
For:	FUNGICIDAL CARBOXAMIDES

International Bureau of WIPO
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1211 Geneva 20 Switzerland
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Letter Accompanying Article 19 Amendment

The amendment requested is the addition of application pages 266a, 266b, 266c, 266d, 266e, 266f, 266g and 266h. These eight pages contain additional claims 23 through 35 directed to certain "intermediate" compounds. More particularly:

A. Claim 23 relates to compounds of Formula "2a", which by virtue of the various Z^{10} groups encompasses compounds of Formula 2 (see e.g., Scheme 1, page 40) as well as compounds of Formula 4 (see e.g., Scheme 2, page 40) and of Formula 17 (see e.g., Scheme 11, page 45). Claim 24 relates to Embodiment A11 as applied to Claim 23 compounds; and Claim 25 relates to seventeen compounds of Claim 24 respectively disclosed at (1) Example 9, Step D, (2) Example 9, Step E, (3) Example 18, Step D, (4) Example 19, Step B, (5) Example 30, Step C, (6) Example 32, Step B, (7) Example 33, Step B, (8) Example 9, Step F, (9) , Example 11, (10) Example 18, Step E, (11) Example 19, Step C, (12) Example 30, Step D, (13) Example 32, Step C, (14) Example 33, Step C, (15) Example 19, Step D, (16) Example 32, Step D and (17) Example 33, Step D.

B. Claim 26 relates to compounds of Formula "6a", which by virtue of the various Z^{11} groups encompasses compounds of Formula 6 (see e.g., Scheme 3, page 41) as well as compounds of Formula 9 (see e.g., Scheme 5, page 42). Claim 27 relates to Embodiment A7 as applied to Claim 26 compounds; and Claim 28 relates to five compounds of Claim 27 respectively disclosed at (1) Example 1, Step E, (2) Example 4, Step D, (3) Example 6, Step C, (4) Example 7, Step F and (5) Example 8, Step B.

C. Claim 29 relates to compounds of Formula 18 (see e.g., Scheme 12, page 46). Claim 30 relates to Embodiment A6 as applied to Claim 29 compounds; and Claim 31 relates to a compound of Claim 30 disclosed at Example 32, Step B.

D. Claim 32 relates to compounds of Formula "5a" which relates to paragraph A (pages 9-10) as applied to compounds of Formula 5 (see e.g., Scheme 3, page 41) where R^1 is a substituted U-1 group. Claim 33 relates to three compounds of Claim 32 respectively disclosed at (1) Example 12, Step G, (2) Example 13, Step F and (3) Example 19, Step B.

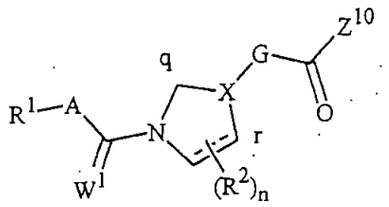
E. Claim 34 relates to compounds of Formula 15 (see e.g., Scheme 10, page 45). Claim 35 relates to Embodiment A11 as applied to Claim 34 compounds.

Respectfully submitted,

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Attachments
Date: March 20, 2007
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23. A compound selected from Formula **2a**, an *N*-oxide or an agriculturally suitable salt thereof,



2a

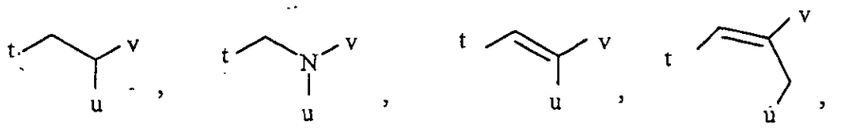
wherein

R^1 is an optionally substituted phenyl or 5- or 6-membered heteroaromatic ring;

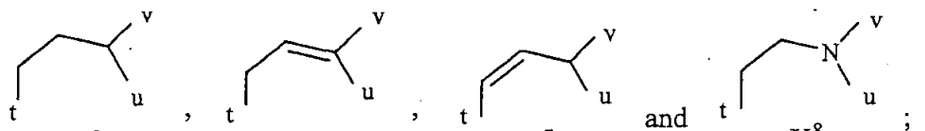
A is CH_2 or NH;

W^1 is O or S;

X is a radical selected from



X^1 X^2 X^3 X^4



X^5 X^6 X^7 and X^8 ;

wherein the bond of X which is identified with "t" is connected to the carbon atom identified with "q" of Formula **1**, the bond which is identified with "u" is connected to the carbon atom identified with "r" of Formula **1**, and the bond which is identified with "v" is connected to G;

each R^2 is independently C_1–C_4 alkyl, C_1–C_4 alkenyl, C_1–C_4 haloalkyl, C_1–C_4 alkoxy, halogen, cyano or hydroxy;

n is 0, 1 or 2; or

two R^2 are taken together as C_1–C_3 alkylene or C_2–C_3 alkenylene to form a bridged bicyclic ring system; or

two R^2 attached to adjacent ring carbon atoms joined by a double bond are taken together as –CH=CH–CH=CH– optionally substituted with 1–3 substituents selected from C_1–C_4 alkyl, C_1–C_4 haloalkyl, C_1–C_4 alkoxy, C_1–C_4 haloalkoxy, halogen, hydroxy, amino, cyano and nitro;

G is an optionally substituted 5-membered heteroaromatic ring or 5-membered saturated or partially saturated heterocyclic ring;

Z^{10} is Cl, OH or OR^{40}; and

R^{40} is C_1-C_4 alkyl;

provided that:

(b) when X is X^2, X^3, X^4, X^6 or X^8, then G is not linked to X via a heteroatom of the G ring; and

(c) R^1 is other than 4-fluorophenyl.

24. A compound of Claim 23 wherein

n is 0;

R^1 is U-1 or U-50;

each R^4 is independently Cl, Br, methyl, ethyl, trifluoromethyl or methoxy;

W^1 is O;

A is CH_2;

X is X^1 or X^2; and

G is G-1, G-2, G-15, G-26 or G-36, and G is unsubstituted.

25. A compound of Claim 24 selected from the group consisting of:

methyl 2-[1-[(2,5-dimethylphenyl)acetyl]-4-piperidinyl]-4,5-dihydro-4-oxazolecarboxylate,

methyl 2-[1-[(2,5-dimethylphenyl)acetyl]-4-piperidinyl]-4-oxazolecarboxylate,

methyl 1-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-1*H*-pyrazole-3-carboxylate,

ethyl-2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-4-thiazolecarboxylate,

ethyl-2-[4-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-1-piperazinyl]-4-thiazolecarboxylate,

ethyl 2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-2*H*-1,2,3-triazole-4-carboxylate,

ethyl 1-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-1*H*-pyrazole-4-carboxylate,

2-[1-[(2,5-dimethylphenyl)acetyl]-4-piperidinyl]-4-oxazole-carboxylic acid,

2-[1-[(2,5-dimethylphenyl)acetyl]-4-piperidinyl]-4-thiazolecarboxylic acid,

1-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-1*H*-pyrazole-3-carboxylic acid,

2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-4-thiazolecarboxylic acid,

2-[4-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-1-piperazinyl]-4-thiazolecarboxylic acid,

2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-2*H*-1,2,3-triazole-4-carboxylic acid,

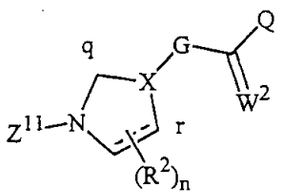
1-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-1*H*-pyrazole-4-carboxylic acid,

2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-4-thiazolecarbonyl chloride,

2-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-2*H*-1,2,3-triazole-4-carbonyl chloride, and

1-[1-[[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]acetyl]-4-piperidinyl]-1*H*-pyrazole-4-carbonyl chloride.

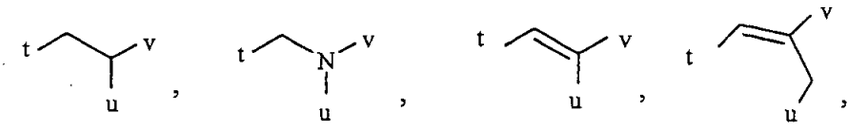
26. A compound selected from Formula **6a**, an *N*-oxide or an agriculturally suitable salt thereof,



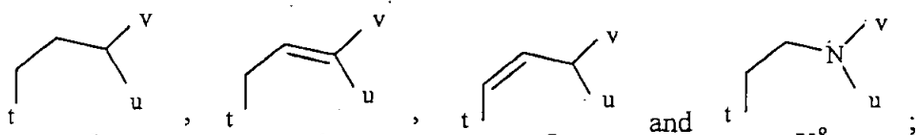
6a

wherein

X is a radical selected from



X^1, X^2, X^3, X^4



X^5, X^6, X^7 and X^8;

wherein the bond of X which is identified with "t" is connected to the carbon atom identified with "q" of Formula **1**, the bond which is identified with "u" is connected to the carbon atom identified with "r" of Formula **1**, and the bond which is identified with "v" is connected to G;

each R^2 is independently C_1–C_4 alkyl, C_1–C_4 alkenyl, C_1–C_4 haloalkyl, C_1–C_4 alkoxy, halogen, cyano or hydroxy;

n is 0, 1 or 2; or

two R^2 are taken together as C_1–C_3 alkylene or C_2–C_3 alkenylene to form a bridged bicyclic ring system; or

two R^2 attached to adjacent ring carbon atoms joined by a double bond are taken together as –CH=CH–CH=CH– optionally substituted with 1–3 substituents selected from C_1–C_4 alkyl, C_1–C_4 haloalkyl, C_1–C_4 alkoxy, C_1–C_4 haloalkoxy, halogen, hydroxy, amino, cyano and nitro;

G is an optionally substituted 5-membered heteroaromatic ring or 5-membered saturated or partially saturated heterocyclic ring;

W^2 is O or S;

Q is $–NQ^aQ^b$;

Q^a is H, C_1–C_3 alkyl, C_2–C_3 alkenyl, C_2–C_3 alkynyl, C_4–C_{10} cycloalkylalkyl, C_4–C_{10} alkylcycloalkyl, C_5–C_{10} alkylcycloalkylalkyl, C_1–C_3 haloalkyl, C_2–C_3 haloalkenyl, cyano, hydroxy, C_1–C_3 alkoxy, C_2–C_3 alkoxyalkyl, C_1–C_3 hydroxyalkyl, C_2–C_3 alkylcarbonyl, C_2–C_3 alkoxycarbonyl, C_2–C_3 alkylaminocarbonyl or C_3–C_5 dialkylaminocarbonyl;

Q^b is an optionally substituted 8- to 11-membered saturated or partially saturated bicyclic ring system or an optionally substituted 10- to 15-membered partially saturated tricyclic ring system, each ring system optionally containing 1 to 3 heteroatoms selected from up to 1 O, up to 1 S and up to 3 N, and optionally including 1-3 ring members selected from the group consisting of C(=O), C(=S), S(O), or $S(O)_2$; or

Q^b is $CR^5R^6R^{15}$; or

Q^a and Q^b are taken together with the nitrogen atom to which they are bonded to form an optionally substituted 5- or 6-membered saturated or partially saturated heterocyclic ring;

R^5 is H, C_1–C_6 alkyl, C_2–C_6 alkenyl, C_2–C_6 alkynyl, C_3–C_6 cycloalkyl, C_4–C_{10} cycloalkylalkyl, C_4–C_{10} alkylcycloalkyl, C_5–C_{10} alkylcycloalkylalkyl, C_1–C_6 haloalkyl, C_2–C_6 haloalkenyl, C_2–C_6 haloalkynyl, C_3–C_6 halocycloalkyl, cyano, nitro, C_2–C_4 alkoxyalkyl, C_1–C_4 hydroxyalkyl, C_2–C_4 alkylcarbonyl, C_2–C_6 alkoxycarbonyl, C_2–C_6 alkylaminocarbonyl, C_3–C_8 dialkylaminocarbonyl or C_3–C_6 trialkylsilyl;

R^6 is an optionally substituted phenyl, benzyl, naphthalenyl, C_3–C_6 cycloalkyl, C_3–C_6 cycloalkenyl or 5- or 6-membered heteroaromatic ring; and

R^{15} is H, C_1–C_4 alkyl, C_2–C_4 alkenyl, C_2–C_4 alkynyl, C_3–C_4 cycloalkyl, C_4–C_{10} cycloalkylalkyl, C_4–C_{10} alkylcycloalkyl, C_5–C_{10} alkylcycloalkylalkyl, C_1–C_4

haloalkyl, C_2–C_4 haloalkenyl, C_2–C_4 haloalkynyl, C_3–C_4 halocycloalkyl or C_2–C_4 alkoxyalkyl; or

Q^a and R^5 are taken together with the atoms connecting them to form an optionally substituted 5- to 7-membered ring containing as ring members 2 to 7 carbon atoms and optionally 1 to 3 heteroatoms selected from up to 1 O, up to 1 S and up to 2 N; or

Q^a and R^6 are taken together with the atoms connecting them to form an optionally substituted 5- to 7-membered ring containing as ring members 2 to 7 carbon atoms and optionally 1 to 3 heteroatoms selected from up to 1 O, up to 1 S and up to 2 N; or

R^5 and R^{15} are taken together with the carbon atom to which they are bonded to form an optionally substituted 5- to 7-membered ring containing as ring members 2 to 7 carbon atoms and, optionally 1 to 3 heteroatoms selected from up to 1 O, up to 1 S and up to 1 N; or

R^5 and R^6 are taken together with the carbon atom to which they are bonded to form an optionally substituted 5- to 7-membered ring containing as ring members 2 to 7 carbon atoms and, optionally 1 to 3 heteroatoms selected from up to 1 O, up to 1 S and up to 1 N; and

Z^{11} is H, $-C(=O)CH_2Cl$, $-C(=O)CH_2Br$ or $-C(=O)CH_2I$;

provided that when X is X^2, X^3, X^4, X^6 or X^8, then G is not linked to X via a heteroatom of the G ring.

27. A compound of Claim 26 wherein

n is 0;

W^2 is O;

X is X^1 or X^2;

G is G-1, G-2, G-15, G-26 or G-36, and G is unsubstituted; and

Q is Q-1, Q-2, Q-8, Q-23 or Q-41 and Q^a is H or C_1–C_3 alkyl.

28. A compound of Claim 27 selected from the group consisting of:

N-methyl-*N*-[(1*R*)-1-phenylpropyl]-2-(4-piperidinyl)-4-thiazolecarboxamide,

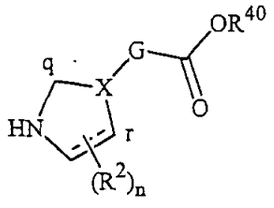
N-methyl-*N*-[(1*R*)-1-phenylethyl]-2-(1-piperazinyl)-5-thiazolecarboxamide,

N-methyl-2-(4-piperidinyl)-*N*-[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]-4-thiazolecarboxamide monohydrochloride,

4-[4-[[methyl[(1*R*)-1-phenylpropyl]amino]carbonyl]-2-oxazolyl]-1-piperidine monohydrochloride, and

4-[4-[[methyl[(1*R*)-1,2,3,4-tetrahydro-1-naphthalenyl]amino]-carbonyl]-2-oxazolyl]-1-piperidine monohydrochloride.

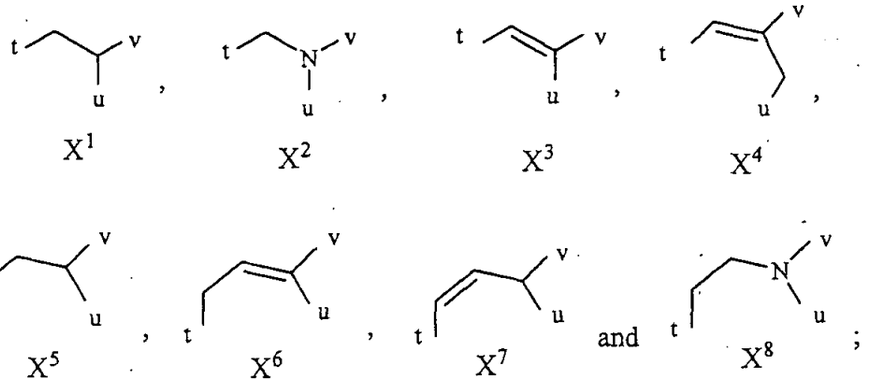
29. A compound selected from Formula **18**, an *N*-oxide or an agriculturally suitable salt thereof,



18

wherein

X is a radical selected from



wherein the bond of X which is identified with "t" is connected to the carbon atom identified with "q" of Formula **1**, the bond which is identified with "u" is connected to the carbon atom identified with "r" of Formula **1**, and the bond which is identified with "v" is connected to G;

each R^2 is independently C_1–C_4 alkyl, C_1–C_4 alkenyl, C_1–C_4 haloalkyl, C_1–C_4 alkoxy, halogen, cyano or hydroxy;

n is 0, 1 or 2; or

two R^2 are taken together as C_1–C_3 alkylene or C_2–C_3 alkenylene to form a bridged bicyclic ring system; or

two R^2 attached to adjacent ring carbon atoms joined by a double bond are taken together as –CH=CH–CH=CH– optionally substituted with 1–3 substituents selected from C_1–C_4 alkyl, C_1–C_4 haloalkyl, C_1–C_4 alkoxy, C_1–C_4 haloalkoxy, halogen, hydroxy, amino, cyano and nitro;

G is an optionally substituted 5-membered heteroaromatic ring or 5-membered saturated or partially saturated heterocyclic ring; and

R^{40} is C_1-C_4 alkyl;

provided that:

(b) when X is X^2, X^3, X^4, X^6 or X^8, then G is not linked to X via a heteroatom of the G ring; and

(d) when X is X^1, G is G-1 and n is 0, R^{40} is other than C_2 alkyl.

30. A compound of Claim 29 wherein

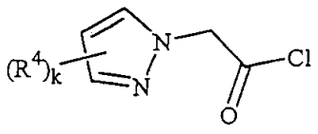
n is 0;

X is X^1 or X^2; and

G is G-1, G-2, G-15, G-26 or G-36, and G is unsubstituted.

31. A compound of Claim 30 is ethyl 4-piperidinyl-2*H*-1,2,3-triazole-4-carboxylate.

32. A compound selected from Formula **5a**, an *N*-oxide or an agriculturally suitable salt thereof,



5a

wherein

each R^4 is independently C_1–C_6 alkyl, C_2–C_6 alkenyl, C_2–C_6 alkynyl, C_3–C_6 cycloalkyl, C_4–C_{10} cycloalkylalkyl, C_4–C_{10} alkylcycloalkyl, C_5–C_{10} alkylcycloalkylalkyl, C_1–C_6 haloalkyl, C_2–C_6 haloalkenyl, C_2–C_6 haloalkynyl, C_3–C_6 halocycloalkyl, halogen, hydroxy, amino, cyano, nitro, C_1–C_4 alkoxy, C_1–C_4 haloalkoxy, C_1–C_4 alkylthio, C_1–C_4 alkylsulfinyl, C_1–C_4 alkylsulfonyl, C_1–C_4 haloalkylthio, C_1–C_4 haloalkylsulfinyl, C_1–C_4 haloalkylsulfonyl, C_1–C_4 alkylamino, C_2–C_8 dialkylamino, C_3–C_6 cycloalkylamino, C_2–C_4 alkoxyalkyl, C_1–C_4 hydroxyalkyl, C_2–C_4 alkylcarbonyl, C_2–C_6 alkoxycarbonyl, C_2–C_6 alkylcarbonyloxy, C_2–C_6 alkylcarbonylthio, C_2–C_6 alkylaminocarbonyl, C_3–C_8 dialkylaminocarbonyl or C_3–C_6 trialkylsilyl; and

k is 1 or 2.

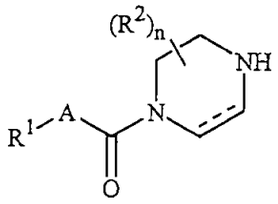
33. A compound of Claim 32 selected from the group consisting of:

3,5-dichloro-1*H*-pyrazol-1-acetyl chloride,

5-chloro-3-(trifluoromethyl)-1*H*-pyrazole-1-acetyl chloride, and

5-methyl-3-(trifluoromethyl)-1*H*-pyrazole-1-acetyl chloride.

34. A compound selected from Formula **15**, an *N*-oxide or an agriculturally suitable salt thereof,



15

wherein R^1 is an optionally substituted phenyl or 5- or 6-membered heteroaromatic ring;

A is CH_2 or NH;

each R^2 is independently C_1–C_4 alkyl, C_1–C_4 alkenyl, C_1–C_4 haloalkyl, C_1–C_4 alkoxy, halogen, cyano or hydroxy;

n is 0, 1 or 2; or

two R^2 are taken together as C_1–C_3 alkylene or C_2–C_3 alkenylene to form a bridged bicyclic ring system; or

two R^2 attached to adjacent ring carbon atoms joined by a double bond are taken together as –CH=CH–CH=CH– optionally substituted with 1–3 substituents selected from C_1–C_4 alkyl, C_1–C_4 haloalkyl, C_1–C_4 alkoxy, C_1–C_4 haloalkoxy, halogen, hydroxy, amino, cyano and nitro.

35. A compound of Claim 34 wherein

n is 0;

R^1 is U-1 or U-50;

each R^4 is independently Cl, Br, methyl, ethyl, trifluoromethyl or methoxy; and

A is CH_2.

EXTRACTOS

Industria y Comercio
SUPERINTENDENCIA

SUPERINTENDENCIA DE INDUSTRIA Y COMERCIO
DIVISION DE NUEVAS CREACIONES
EXTRACTO PARA PUBLICACIÓN
SOLICITUD DE PATENTE DE INVENCIÓN PCT

904

(21) N° de solicitud:

22) Fecha de solicitud:

(30) Prioridad (31) *No.* 60/702,579 32) *Fecha* 26/07/05 (33) *País* US

(51) Int Cl: **C07D 417/04, A01N 43/72, A01P 3/00, C07D 263/34, C07D 277/56, C07D 401/04, C07D 401/06, C07D 401/14, C07D 403/04, C07D 403/06, C07D 403/14, C07D 413/04, C07D 413/14, C07D 417/12, C07D 417/14**

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(72) Inventor(es) **BISAHA, John Joseph**
KOVACS, Patrick Ryan
y otros

(74) Apoderado: **ALVARO CORREA ORDOÑEZ**

(85) Fecha limite inicio fase nacional: **26/02/08**
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Fecha de presentación de la solicitud: ***26/07/06***
No. de solicitud **PCT/US2006/029175**

(87) Publicación internacional
Fecha: ***01/02/07***
N° publicación: **WO/2007/014290**

(54) Titulo: **CARBOXAMIDAS FUNGICIDAS**

Esta invención se dirige a compuestos de la Fórmula (1) inclusive todos los isómeros geométricos y estereoisómeros, N-óxidos y sales adecuadas desde el punto de vista agrícola de los mismos, composiciones agrícolas que los contienen y su uso como fungicidas, (1) con la condición que el compuesto de la Fórmula 1 sea diferente de 2-[1-[(2-clorofenil)acetil]-4-piperidinil]-N-metil-N-[(1R)-1-feniletil]-4-tiazolcarboxamida y R1 sea diferente de 4-fluorofenilo; en donde R1, R2, A, G, Q, W1, W2, X y n son de otra manera como se define en la descripción. También se dan a conocer composiciones que contienen el compuesto que tiene una fórmula que corresponde a la Fórmula 1 donde se omiten ambas condiciones; y métodos para controlar enfermedades de las plantas causadas por agentes patógenos micóticos de las plantas que comprenden aplicar una cantidad efectiva de un compuesto que tiene una fórmula que corresponde a la Fórmula (1) donde se omiten ambas condiciones.

Se retiran folios 805 y 806
Tarjetas archivo.