Industria y Comercio
SUPERINTENDENCIA

DELEGATURA DE PROPIEDAD INDUSTRIAL

División de Nuevas Creaciones

SOLICITUD

PATENTE DE INVENCIÓN

SUPERINTENDENCIA DE INDUSTRIA Y COMERCIO

No. 12-019536- -00000-0000

Fecha: 2012-02-03 17:00:32 Dep. 2020 DIR.NUEVASCR
Tra. 11 PATENTEIYII Eve: 378 FASENACIONALI
Act. 411 PRESENTACION Folios: 1017

21. **EXPEDIENTE No.** _____

54. **TÍTULO** PESTICIDAS MESOIONICOS

51. **CLASIFICACIÓN INTERNACIONAL** _____

71. **SOLICITANTE** E.I. DU PONT DE NEMOURS AND COMPANY

DOMICILIO WILMINGTON, DELAWARE, ESTADOS UNIDOS

74. **APODERADO** ALVARO CORREA ORDOÑEZ

22. **BOGOTÁ, D. C.,** Febrero 3, 2012

(FORMA P-10)

115198-Imprenta Nacional de Colombia-2011

PETITORIO

Industria y Comercio
SUPERINTENDENCIA

SUPERINTENDENCIA DE INDUSTRIA Y COMERCIO
No. 12-019536- -00000-0000
Fecha: 2012-02-03 17:00:32 Dep. 2020 DIR.NUEVASCR
Tra. 11 PATENTEIYII Eve: 378 FASENACIONALI
Act. 411 PRESENTACION Folios: 1017

ión

FORMULARIO ÚNICO DE SOLICITUD DE PATENTE
PCT
ENTRADA EN FASE NACIONAL

(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: 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: 6341500 Fax: 3762211
E-Mail: office.bogota@bakermckenzie.com

IDENTIFICACION
C.C. [X] NIT [ ]
C.E. [ ] Otro [ ]
Cual
Número 79.143.366 Usaquén TP 20281

(4) INVENTOR (ES) (72)

Nombre: ZHANG, Wenming; HOLYOKE JR, Caleb, William; HUGHES, Kenneth Andrew; LAHM, George, P.; PAHUTSKI JR, Thomas, Francis; TONG, My-Hanh, Thi; XU, Ming
Dirección: 21 Charles Pointe Newark, Delaware 19702 (US); 120 Country Club Drive Newark, Delaware 19711 (US); 213 Maple Leaf Drive Rising Sun, MD 21911 (US); 148 Fairhill Drive Wilmington, Delaware 19808 (US); 50 Gomer Court Elkton, Maryland 21921 (US); 4 Orchid Drive Bear, Delaware 19701 (US); 25 South Perch Creek Drive Newark, Delaware 19702 (US)
Nacionalidad o Domicilio: Estados Unidos de América

(5) PCT (85)

Solicitud Internacional No. PCT/US2010/044274 Fecha 03-08-2010
Publicación Internacional No. 2011/017342 Fecha 10-02-2011

(6) Título (54) PESTICIDAS MESOIONICOS

(7) Clasificación Internacional (51) C07D 239/54; C07D 401/06; C07D 417/06; C07D 471/04; C07D 487/04; C07D 498/04 ; C07D 513/04; A01N 43/54; A01N 43/56; A01N 43/647; A01N 43/78

(8) Prioridad SI [X] NO [ ]

(33) País de Origen	(32) Fecha	(31) Número de Solicitud
US	05-08-2009	61/231,464

(9) Comprobante de pago No. 12-003775 - 12-008919 – 12-008920 – 12-008921 **Fecha** Enero 13, 2012 y Enero 30, 2012

Instrucciones para el diligenciamiento del presente formulario, ver reverso de esta página

(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

(11) **FIGURA CARATERISTICA**

(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

2012/001

SUPERINTENDENCIA DE INDUSTRIA Y COMERCIO
NIT : 800.176.089-2
- / -

Industria y Comercio
SUPERINTENDENCIA

RECIBO DE CAJA

No. 12 - 003775

Bogotá D.C., Enero 13 de 2012 - 16:51:56

RECIBIDO DE : BAKER & MCKENZIE NI 900.034.906

*** Soporte del Pago ***

TIPO PAGO	BANCO	CUENTA	No. PAGO	FECHA PAGO	VR.PAGO
CONSIGNACION	BANCO DE BOGOTA	062754387	411692610	12/01/2012	71.178.000.00

*** Conceptos Pagados ***

CANT.	RENTISTICO	CONCEPTO	Vr.UNDITARIO	Vr.CONCEPTO
1	50005-01-01 SOLICITUDES	1 TRAMITES DE SOL. DE PATENTE DE INVENCION	590.000.00	590.000.00
				$590.000.00

SON: **QUINIENTOS NOVENTA MIL PESOS MONEDA CORRIENTE***

Responsable: _____
-**-

Recibo de Caja Aplicado al Expediente No. _____

SUPERINTENDENCIA DE INDUSTRIA Y COMERCIO
No. 12-019536- -00000-0000
Fecha: 2012-02-03 17:00:32 Dep. 2020 DIR.NUEVASCR
Tra. 11 PATENTEIYII Eve: 378 FASENACIONALI
Act. 411 PRESENTACION Folios: 1017

4

2012/002

SUPERINTENDENCIA DE INDUSTRIA Y COMERCIO
NIT : 800.176.089-2
- / -

Industria y Comercio
SUPERINTENDENCIA

RECIBO DE CAJA

No. 12 - 008919

Bogotá D.C., Enero 30 de 2012 - 16:34:29

RECIBIDO DE : BAKER & MCKENZIE NI 900.034.906

*** Soporte del Pago ***

TIPO PAGO	BANCO	CUENTA	No. PAGO	FECHA PAGO	VR.PAGO
CONSIGNACION	BANCO DE BOGOTA	062754387	450325595	27/01/2012	83.977.500.00

*** Conceptos Pagados ***

CANT.	RENTISTICO	CONCEPTO	Vr.UNDITARIO	Vr.CONCEPTO
1	50005-01-09 OTROS SERVICIOS DE PROPIEDAD INDUSTRIAL	54 INFORMACION DETALLADA DE UN TRAMITE	30.000.00	30.000.00
				$30.000.00

SON: **TREINTA MIL PESOS MONEDA CORRIENTE***

Responsable: _____
-*******-

Recibo de Caja Aplicado al Expediente No. _____

SUPERINTENDENCIA DE INDUSTRIA Y COMERCIO

No. 12-019536- -00000-0000

Fecha: 2012-02-03 17:00:32 Dep. 2020 DIR.NUEVASCR
Tra. 11 PATENTEIYII Eve: 378 FASENACIONALI
Act. 411 PRESENTACION Folios: 1017

2012/002

5

SUPERINTENDENCIA DE INDUSTRIA Y COMERCIO
NIT : 800.176.089-2
- / -

Industria y Comercio
SUPERINTENDENCIA

RECIBO DE CAJA

No. 12 - 008920

Bogotá D.C., Enero 30 de 2012 - 16:34:29

RECIBIDO DE : BAKER & MCKENZIE NI 900.034.906

*** Soporte del Pago ***

TIPO PAGO	BANCO	CUENTA	No. PAGO	FECHA PAGO	VR.PAGO
CONSIGNACION	BANCO DE BOGOTA	062754387	450325595	27/01/2012	83.977.500.00

*** Conceptos Pagados ***

CANT.	RENTISTICO	CONCEPTO	Vr.UNDITARIO	Vr.CONCEPTO
1	50005-01-09 OTROS SERVICIOS DE PROPIEDAD INDUSTRIAL	54 INFORMACION DETALLADA DE UN TRAMITE	30.000.00	30.000.00
				$30.000.00

SON: **TREINTA MIL PESOS MONEDA CORRIENTE***

Responsable: _____
****

Recibo de Caja Aplicado al Expediente No. _____

SUPERINTENDENCIA DE INDUSTRIA Y COMERCIO
No. 12-019536- -00000-0000
Fecha: 2012-02-03 17:00:32 Dep. 2020 DIR.NUEVASCR
Tra. 11 PATENTEIYII Eve: 378 FASENACIONALI
Act. 411 PRESENTACION Folios: 1017

6

20121002

SUPERINTENDENCIA DE INDUSTRIA Y COMERCIO
NIT : 800.176.089-2
- / -

Industria y Comercio
SUPERINTENDENCIA

RECIBO DE CAJA

No. 12 - 008921

Bogotá D.C., Enero 30 de 2012 - 16:34:29

RECIBIDO DE : BAKER & MCKENZIE NI 900.034.906

*** Soporte del Pago ***

TIPO PAGO	BANCO	CUENTA	No. PAGO	FECHA PAGO	VR PAGO
CONSIGNACION	BANCO DE BOGOTA	062754387	450325595	27/01/2012	83.977.500.00

*** Conceptos Pagados ***

CANT.	RENTISTICO	CONCEPTO	Vr.UNDITARIO	Vr.CONCEPTO
1	50005-01-09 OTROS SERVICIOS DE PROPIEDAD INDUSTRIAL	54 INFORMACION DETALLADA DE UN TRAMITE	30.000.00	30.000.00
				$30.000.00

SON: **TREINTA MIL PESOS MONEDA CORRIENTE***

Responsable: _____

Recibo de Caja Aplicado al Expediente No. _____

SUPERINTENDENCIA DE INDUSTRIA Y COMERCIO

No. 12-019536- -00000-0000

Fecha: 2012-02-03 17:00:32 Dep. 2020 DIR.NUEVASCR
Tra. 11 PATENTEIYII Eve: 378 FASENACIONALI
Act. 411 PRESENTACION Folios: 1017

EXTRACTOS

Industria y Comercio
SUPERINTENDENCIA

SUPERINTENDENCIA DE INDUSTRIA Y COMERCIO
DIVISION DE NUEVAS CREACIONES
TARJETA ARCHIVO PROPIETARIOS

PATENTE DE INVENCION ____ PCT X MODELO DE UTILIDAD ____ DISEÑO INDUSTRIAL ___

(21) N° de solicitud: (22) Fecha de solicitud:

(51) Clasificación Internacional: C07D 239/54; C07D 401/06; C07D 417/06; C07D 471/04; C07D 487/04; C07D 498/04 ; C07D 513/04; A01N 43/54; A01N 43/56; A01N 43/647; A01N 43/78

(71)Solicitante(s): **E. I. du Pont de Nemours and Company**

(72) Inventor(es): ZHANG, Wenming; HOLYOKE JR, Caleb, William; HUGHES, Kenneth Andrew; LAHM, George, P.; PAHUTSKI JR, Thomas, Francis; TONG, My-Hanh, Thi; XU, Ming

(30) Prioridad	(31) ***No. Prioridad***	32) ***Fecha***	(33) ***País***
	61/231,464	05-08-2009	US

(85) Fecha inicio fase nacional: 05-03-2012

(86) Datos relativos a la presentación de la solicitud PCT
Fecha de presentación de la solicitud: 03-08-2010
No. de solicitud: PCT/US2010/044274

(87) Publicación internacional
Fecha: 10-02-2011
N° publicación: 2011/017342

(54) Titulo: PESTICIDAS MESOIONICOS

Industria y Comercio
SUPERINTENDENCIA

SUPERINTENDENCIA DE INDUSTRIA Y COMERCIO
DIVISION DE NUEVAS CREACIONES
TARJETA ARCHIVO TEMATICO

SUPERINTENDENCIA PATENTE DE INVENCION ___ PCT X MODELO DE UTILIDAD ___ DISEÑO INDUSTRIAL ___

(21) N° de solicitud: (22) Fecha de solicitud:

(51) Clasificación Internacional: C07D 239/54; C07D 401/06; C07D 417/06; C07D 471/04; C07D 487/04; C07D 498/04 ; C07D 513/04; A01N 43/54; A01N 43/56; A01N 43/647; A01N 43/78

(71)Solicitante(s) **E. I. du Pont de Nemours and Company**

(72) Inventor(es): ZHANG, Wenming; HOLYOKE JR, Caleb, William; HUGHES, Kenneth Andrew; LAHM, George, P.; PAHUTSKI JR, Thomas, Francis; TONG, My-Hanh, Thi; XU, Ming

(74) Apoderado: ALVARO CORREA ORDOÑEZ

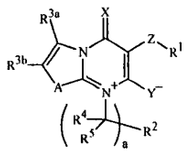
(30) Prioridad	(31) ***No. Prioridad***	32) ***Fecha***	(33) ***País***
	61/231,464	05-08-2009	US

(85) Fecha límite inicio fase nacional: 05-03-2012
(86) Datos relativos a la presentación de la solic. PCT
Fecha de presentación de la solicitud: 03-08-2010
No. de solicitud: PCT/US2010/044274

(87) Publicación internacional:
Fecha: 10-02-2011
No. Publicación: 2011/017342

(54) Titulo: PESTICIDAS MESOIONICOS

(57) Resumen: En la presente invención se describen compuestos de la Fórmula **1**, *N*-óxidos, y sales de estos,



1

CONTINUA AL RESPALDO ...

en donde

X es O o S;

Y es O o S;

A es O, S, NR^{3e} o $C(R^{3c})=C(R^{3d})$;

Z es un enlace directo, O, $S(O)_n$, NR^6, $C(R^7)_2O$, $OC(R^7)_2$, $C(=X^1)$, $C(=X^1)E$, $EC(=X^1)$, $C(=NOR^8)$ o $C(=NN(R^6)_2)$;

a es 1, 2 o 3;

y R^1, R^2, R^{3a}–R^{3e}, R^4, R^5, R^6, R^7, R^8, X^1 y E son como se definen en esta descripción.

También se describen las composiciones que contienen los compuestos de la Fórmula **1** y los métodos para controlar una plaga invertebrada; el método comprende la etapa de poner la plaga invertebrada o su ambiente en contacto con cantidad biológicamente eficaz de un compuesto o una composición de la presente invención.

Industria y Comercio
SUPERINTENDENCIA

SUPERINTENDENCIA DE INDUSTRIA Y COMERCIO
DIVISION DE NUEVAS CREACIONES
EXTRACTO PARA PUBLICACIÓN
SOLICITUD DE PATENTE DE INVENCIÓN PCT

(21) N° de solicitud:

22) Fecha de solicitud:

(30) Prioridad (31) *No.* 61/231,464 32) *Fecha* 05-08-2009 (33) *País* US

(51) Int Cl: C07D 239/54; C07D 401/06; C07D 417/06; C07D 471/04; C07D 487/04; C07D 498/04 ; C07D 513/04; A01N 43/54; A01N 43/56; A01N 43/647; A01N 43/78

(71)Solicitante(s) **E. I. du Pont de Nemours and Company**

(72) Inventor(es) ZHANG, Wenming; HOLYOKE JR, Caleb, William; HUGHES, Kenneth Andrew; LAHM, George, P.; PAHUTSKI JR, Thomas, Francis; TONG, My-Hanh, Thi; XU, Ming

(74) Apoderado: **ALVARO CORREA ORDOÑEZ**

(85) Fecha limite inicio fase nacional: 05-03-2012

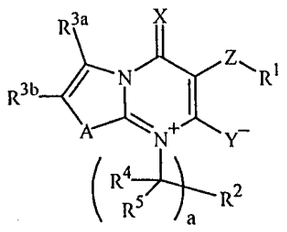
(86) Datos relativos a la presentación de la solicitud PCT
Fecha de presentación de la solicitud: 03-08-2010
No. de solicitud PCT/US2010/044274

(87) Publicación internacional
Fecha: 10-02-2011
N° publicación: 2011/017342

(54) Titulo: PESTICIDAS MESOIONICOS

RESUMEN:

En la presente invención se describen compuestos de la Fórmula **1**, *N*-óxidos, y sales de estos,



1

en donde

X es O o S;

Y es O o S;

A es O, S, NR^{3e} o $C(R^{3c})=C(R^{3d})$;

Z es un enlace directo, O, $S(O)_n$, NR^6, $C(R^7)_2O$, $OC(R^7)_2$, $C(=X^1)$, $C(=X^1)E$, $EC(=X^1)$, $C(=NOR^8)$ o $C(=NN(R^6)_2)$;

a es 1, 2 o 3;

y R^1, R^2, R^{3a}–R^{3e}, R^4, R^5, R^6, R^7, R^8, X^1 y E son como se definen en esta descripción.

También se describen las composiciones que contienen los compuestos de la Fórmula **1** y los métodos para controlar una plaga invertebrada; el método comprende la etapa de poner la plaga invertebrada o su ambiente en contacto con cantidad biológicamente eficaz de un compuesto o una composición de la presente invención.

COPIA SOLICITUD
INTERNACIONAL

PATENT COOPERATION TREATY

PCT/US2010/044274

From the INTERNATIONAL BUREAU

PCT

NOTIFICATION OF THE RECORDING OF A CHANGE

(PCT Rule 92*bis*.1 and Administrative Instructions, Section 422)

To:

KUCHARCZYK, Roman
E. I. du Pont de Nemours and Company
Legal Patent Records Center
4417 Lancaster Pike
Wilmington, Delaware 19805
ETATS-UNIS D'AMERIQUE

Date of mailing *(day/month/year)*
25 January 2012 (25.01.2012)

Applicant's or agent's file reference
BA9462PCT

IMPORTANT NOTIFICATION

International application No.
PCT/US2010/044274

International filing date *(day/month/year)*
03 August 2010 (03.08.2010)

1. The following indications appeared on record concerning:

☒ the applicant ☒ the inventor ☐ the agent ☐ the common representative

Name and Address
HUGHES, Kenneth Andrew
83 Hickory Lane
Elkton, Maryland 21921
United States of America

State of Nationality: US
State of Residence: US
Telephone No.:
Facsimile No.:
E-mail address:

2. The International Bureau hereby notifies the applicant that the following change has been recorded concerning:

☐ the person ☐ the name ☒ the address ☐ the nationality ☐ the residence

Name and Address
HUGHES, Kenneth Andrew
213 Maple Leaf Drive
Rising Sun, MD 21911
United States of America

State of Nationality: US
State of Residence: US
Telephone No.:
Facsimile No.:
E-mail address:
☐ Notifications by e-mail authorized

3. Further observations, if necessary:

4. A copy of this notification has been sent to:

☒ the receiving Office
☐ the International Searching Authority
☐ the Authority(ies) specified for supplementary search
☐ the International Preliminary Examining Authority
☐ the designated Offices concerned
☒ the elected Offices concerned
☐ other:

The International Bureau of WIPO
34, chemin des Colombettes
1211 Geneva 20, Switzerland

Facsimile No. +41 22 338 71 30

Authorized officer
Ben-Mansour Naceur
e-mail pt09.pct@wipo.int
Telephone No. +41 22 338 74 09

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

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
10 February 2011 (10.02.2011)

PCT

(10) International Publication Number
WO 2011/017342 A3

(51) International Patent Classification:
C07D 239/54 (2006.01) *C07D 513/04* (2006.01)
C07D 401/06 (2006.01) *A01N 43/54* (2006.01)
C07D 417/06 (2006.01) *A01N 43/56* (2006.01)
C07D 471/04 (2006.01) *A01N 43/647* (2006.01)
C07D 487/04 (2006.01) *A01N 43/78* (2006.01)
C07D 498/04 (2006.01)

(21) International Application Number:
PCT/US2010/044274

(22) International Filing Date:
3 August 2010 (03.08.2010)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
61/231,464 5 August 2009 (05.08.2009) 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)*: **ZHANG, Wenming** [CN/US]; 21 Charles Pointe, Newark, Delaware 19702 (US). **HOLYOKE JR, Caleb, William** [US/US]; 120 Country Club Drive, Newark, Delaware 19711 (US). **HUGHES, Kenneth Andrew** [US/US]; 83 Hickory Lane, Elkton, Maryland 21921 (US). **LAHM, George, P.** [US/US]; 148 Fairhill Drive, Wilmington, Delaware 19808 (US). **PAHUTSKI JR, Thomas, Francis** [US/US]; 50 Gomer Court, Elkton, Maryland 21921 (US). **TONG, My-Hanh, Thi** [US/US]; 4 Orchid Drive, Bear, Delaware 19701 (US). **XU, Ming** [CN/US]; 25 South Perch Creek Drive, Newark, Delaware 19702 (US).

(74) Agent: **KUCHARCZYK, Roman**; 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, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CL, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PE, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TH, 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, LR, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AL, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, SE, SI, SK, SM, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Published:

— *with international search report (Art. 21(3))*

— *before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments (Rule 48.2(h))*

(88) Date of publication of the international search report:
19 May 2011

WO 2011/017342 A3

(54) Title: MESOIONIC PESTICIDES

(57) Abstract: Disclosed are compounds of Formula 1, *N*-oxides, and salts thereof, wherein (Formula 1) X is O or S; Y is O or S; A is O, S, NR^{3e} or $C(R^{3c})=C(R^{3d})$; Z is a direct bond, O, $S(O)_n$,191, NR^6, $C(R^7)_2$ O,$OC(R^7)_2$, $C(=X^1)$, $C(=X^1)E$, $EC(=X^1)$, $C(=NOR^8)$ or $C(=NN(R^6)2)$; a is 1, 2 or 3; and R^1, R^2, R^{3a}-R^{3e}, R^4, R^5, R^6, R^7, R^8, X^1 and E are as defined in the disclosure. Also disclosed are compositions containing the compounds of Formula 1 and methods for controlling an invertebrate pest comprising contacting the invertebrate pest or its environment with a biologically effective amount of a compound or a composition of the invention.

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

(19) World Intellectual Property Organization
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(54) Title: MESOIONIC PESTICIDES

(57) Abstract: Disclosed are compounds of Formula 1, *N*-oxides, and salts thereof, wherein (Formula 1) X is O or S; Y is O or S; A is O, S, NR^{3e} or $C(R^{3c})=C(R^{3d})$; Z is a direct bond, O, $S(O)_n$191, NR^6, $C(R^7)_2$ $O,OC(R^7)_2$, $C(=X^1)$, $C(=X^1)E$, $EC(=X^1)$, $C(=NOR^8)$ or $C(=NN(R^6)2)$; a is 1, 2 or 3; and R^1, R^2, R^{3a}-R^{3e}, R^4, R^5, R^6, R^7, R^8, X^1 and E are as defined in the disclosure. Also disclosed are compositions containing the compounds of Formula 1 and methods for controlling an invertebrate pest comprising contacting the invertebrate pest or its environment with a biologically effective amount of a compound or a composition of the invention.

MESOIONIC PESTICIDES

FIELD OF THE INVENTION

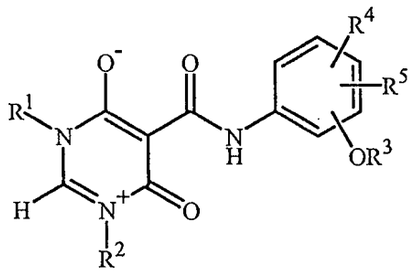
This invention relates to certain pyrimidinium compounds, their *N*-oxides, salts and their compositions suitable for agronomic, nonagronomic and animal health uses, methods of their use for controlling invertebrate pests such as arthropods in both agronomic and nonagronomic environments, and for treatment of parasite infections in animals or infestations in the general environment.

BACKGROUND OF THE INVENTION

The control of invertebrate pests is extremely important in achieving high crop efficiency. Damage by invertebrate pests to growing and stored agronomic crops can cause significant reduction in productivity and thereby result in increased costs to the consumer. The control of invertebrate pests in forestry, greenhouse crops, ornamentals, nursery crops, stored food and fiber products, livestock, household, turf, wood products, and public health is also important. Many products are commercially available for these purposes, but the need continues for new compounds that are more effective, less costly, less toxic, environmentally safer or have different sites of action.

The control of animal parasites in animal health is essential, especially in the areas of food production and companion animals. Existing methods of treatment and parasite control are being compromised due to growing resistance to many current commercial parasiticides. The discovery of more effective ways to control animal parasites is therefore imperative.

U.S. Patent No. 5,151,427 discloses mesoionic pyrimidinium compounds of Formula **i** as anthelmintics



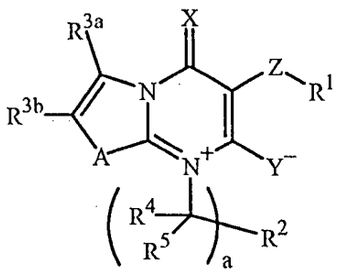
i

wherein, *inter alia*, R^1 and R^2 are independently C_1–C_6 alkyl, R^3 is a heteroaromatic 6-membered ring, and R^4 and R^5 are independently hydrogen or C_1–C_4 alkyl.

The pyrimidinium compounds of the present invention are not disclosed in this publication.

SUMMARY OF THE INVENTION

This invention is directed to compounds of Formula **1** (including all stereoisomers), *N*-oxides, and salts thereof, and compositions containing them and their use for controlling invertebrate pests:



1

wherein

X is O or S;

Y is O or S;

A is O, S, NR^{3e} or $C(R^{3c})=C(R^{3d})$, provided that the $C(R^{3c})=C(R^{3d})$ moiety is oriented so the carbon atom bonded to R^{3d} is connected directly to the pyrimidinium ring of Formula **1**;

Z is a direct bond, O, $S(O)_n$, NR^6, $C(R^7)_2O$, $OC(R^7)_2$, $C(=X^1)$, $C(=X^1)E$, $EC(=X^1)$, $C(=NOR^8)$ or $C(=NN(R^6)_2)$;

X^1 is O, S or NR^9;

E is O, S or NR^{9a};

R^1 is H, halogen, cyano, CHO, $C(=O)OH$, $C(=O)NH_2$ or $C(=S)NH_2$; or C_1–C_8 alkyl, C_2–C_8 alkenyl, C_2–C_8 alkynyl, C_3–C_{10} cycloalkyl, C_4–C_{10} alkylcycloalkyl, C_4–C_{10} cycloalkylalkyl, C_6–C_{14} cycloalkylcycloalkyl, C_5–C_{10} alkylcycloalkylalkyl or C_3–C_6 cycloalkenyl, each unsubstituted or substituted with at least one substituent independently selected from the group consisting of halogen, cyano, nitro, CHO, $C(=O)OH$, $C(=O)NH_2$, $C(=O)R^{10}$, $C(=O)OR^{11}$, $C(=O)NR^{12}R^{13}$, $C(=O)NR^{21}NR^{22}R^{23}$, OR^{11}, $S(O)_nR^{10}$, $SO_2NR^{12}R^{13}$, $S(=O)(=NR^{21})R^{22}$, $Si(R^{10})_3$ and Z^1Q^t; or a 3- to 10-membered ring or a 7- to 11-membered ring system, each ring or ring system containing ring members selected from carbon atoms and up to 4 heteroatoms independently selected from up to 2 O, up to 2 S, and up to 4 N, wherein up to 3 carbon atom ring members are independently selected from C(=O) and C(=S) and the sulfur atom ring members are independently selected from $S(=O)_u(=NR^{24})_z$, each ring or ring system optionally substituted with up to 5 substituents independently selected from R^{14};

provided that when R^1 is halogen, then Z is a direct bond, S(=O), $S(=O)_2$, $OC(R^7)_2$, $C(=X^1)$, $EC(=X^1)$, $C(=NOR^8)$ or $C(=NN(R^6)_2)$;

R^2 is H, halogen, cyano, hydroxy, amino, nitro, OCN, SCN, CHO, C(=O)OH, $C(=O)NH_2$, $C(=S)NH_2$, SO_2NH_2, $C(=O)R^{18}$, $C(=O)OR^{18}$, NHR^{18}, $NR^{18}R^{19}$, $C(=O)NR^{21}R^{19}$, $C(=S)NR^{21}R^{19}$, $SO_2NR^{21}R^{19}$, $OC(=O)R^{21}$, $OC(=O)OR^{18}$, $OC(=O)NR^{21}R^{19}$, $N(R^{21})C(=O)R^{21}$, $N(R^{21})C(=O)OR^{19}$, $N(R^{21})C(=O)NR^{21}R^{22}$, OSO_2R^{18}, $OSO_2NR^{21}R^{22}$, $NR^{21}SO_2R^{18}$, $NR^{21}SO_2NR^{21}R^{22}$ or $Si(R^{18}R^{19}R^{20})$; or C_1–C_8 alkyl, C_2–C_8 alkenyl, C_2–C_8 alkynyl, C_3–C_{10} cycloalkyl, C_4–C_{10} alkylcycloalkyl, C_4–C_{10} cycloalkylalkyl, C_6–C_{14} cycloalkylcycloalkyl, C_5–C_{10} alkylcycloalkylalkyl, C_3–C_8 cycloalkenyl, C_1–C_8 alkoxy, C_3–C_8 cycloalkoxy, C_4–C_{10} cycloalkylalkoxy, C_2–C_8 alkenyloxy, C_2–C_8 alkynyloxy, C_1–C_8 alkylthio, C_1–C_8 alkylsulfinyl, C_1–C_8 alkylsulfonyl, C_3–C_8 cycloalkylthio, C_3–C_8 cycloalkylsulfinyl, C_3–C_8 cycloalkylsulfonyl, C_4–C_{10} cycloalkylalkylthio, C_4–C_{10} cycloalkylalkylsulfinyl, C_4–C_{10} cycloalkylalkylsulfonyl, C_2–C_8 alkenylthio, C_2–C_8 alkenylsulfinyl, C_2–C_8 alkenylsulfonyl, C_2–C_8 alkynylthio, C_2–C_8 alkynylsulfinyl or C_2–C_8 alkynylsulfonyl, each unsubstituted or substituted with at least one substituent independently selected from the group consisting of halogen, cyano, nitro, CHO, C(=O)OH, $C(=O)NH_2$, $C(=O)R^{10}$, $C(=O)OR^{11}$, $C(=O)NR^{12}R^{13}$, OR^{11}, $S(O)_nR^{10}$, $SO_2NR^{12}R^{13}$ and $Si(R^{10})_3$; or a 3- to 10-membered ring or a 7- to 11-membered ring system, each ring or ring system containing ring members selected from carbon atoms and up to 4 heteroatoms independently selected from up to 2 O, up to 2 S, and up to 4 N, wherein up to 3 carbon atom ring members are independently selected from C(=O) and C(=S) and the sulfur atom ring members are independently selected from $S(=O)_u(=NR^{24})_z$, each ring or ring system optionally substituted with up to 5 substituents independently selected from R^{15};

R^{3a}, R^{3b}, R^{3c} and R^{3d} are independently H, halogen, cyano, hydroxy, amino, nitro, SF_5, OCN, SCN, CHO, C(=O)OH, $C(=O)NH_2$, $C(=S)NH_2$, SO_2NH_2, $C(=O)R^{18}$, $C(=O)OR^{18}$, NHR^{18}, $NR^{18}R^{19}$, $C(=O)NR^{21}R^{19}$, $C(=S)NR^{21}R^{19}$, $SO_2NR^{21}R^{19}$, $OC(=O)R^{21}$, $OC(=O)OR^{18}$, $OC(=O)NR^{21}R^{19}$, $N(R^{21})C(=O)R^{21}$, $N(R^{21})C(=O)OR^{19}$, $N(R^{21})C(=O)NR^{21}R^{22}$, OSO_2R^{18}, $OSO_2NR^{21}R^{22}$, $NR^{21}SO_2R^{18}$, $NR^{21}SO_2NR^{21}R^{22}$, $Si(R^{18}R^{19}R^{20})$ or Z^1Q^t; or C_1–C_8 alkyl, C_2–C_8 alkenyl, C_2–C_8 alkynyl, C_3–C_{10} cycloalkyl, C_4–C_{10} alkylcycloalkyl, C_4–C_{10} cycloalkylalkyl, C_6–C_{14} cycloalkylcycloalkyl, C_5–C_{10} alkylcycloalkylalkyl, C_3–C_8 cycloalkenyl, C_1–C_8 alkoxy, C_3–C_8 cycloalkoxy, C_4–C_{10} cycloalkylalkoxy, C_2–C_8 alkenyloxy, C_2–C_8 alkynyloxy, C_1–C_8 alkylthio, C_1–C_8 alkylsulfinyl, C_1–C_8 alkylsulfonyl, C_3–C_8 cycloalkylthio, C_3–C_8 cycloalkylsulfinyl, C_3–C_8

cycloalkylsulfonyl, C_4–C_{10} cycloalkylalkylthio, C_4–C_{10} cycloalkylalkylsulfinyl, C_4–C_{10} cycloalkylalkylsulfonyl, C_2–C_8 alkenylthio, C_2–C_8 alkenylsulfinyl, C_2–C_8 alkenylsulfonyl, C_2–C_8 alkynylthio, C_2–C_8 alkynylsulfinyl or C_2–C_8 alkynylsulfonyl, each unsubstituted or substituted with at least one substituent independently selected from R^{17}; or R^{3a} and R^{3b}, or R^{3b} and R^{3c}, or R^{3c} and R^{3d} are taken together with the adjacent carbon atoms to which they are attached to form a 5- to 7-membered carbocyclic or heterocyclic ring, each ring containing ring members selected from carbon atoms and up to 3 heteroatoms independently selected from up to 2 O, up to 2 S, and up to 3 N, wherein up to 2 carbon atom ring members are independently selected from C(=O) and C(=S) and the sulfur atom ring members are independently selected from $S(=O)_n$, each ring optionally substituted with up to 3 substituents independently selected from the group consisting of halogen, cyano, hydroxy, amino, nitro, C(=O)OH, $C(=O)NH_2$, SO_2NH_2, C_1–C_4 alkyl, C_1–C_4 haloalkyl, C_2–C_4 alkenyl, C_2–C_4 haloalkenyl, C_2–C_4 alkynyl, C_2–C_4 haloalkynyl, C_3–C_7 cycloalkyl, C_3–C_7 halocycloalkyl, C_4–C_8 alkylcycloalkyl, C_4–C_8 haloalkylcycloalkyl, C_4–C_8 cycloalkylalkyl, C_4–C_8 halocycloalkylalkyl, C_1–C_6 alkoxy, C_1–C_6 haloalkoxy, C_2–C_6 alkoxycarbonyl, C_2–C_6 haloalkoxycarbonyl, C_2–C_6 alkylcarbonyl and C_2–C_6 haloalkylcarbonyl;

R^{3e} is H, hydroxy, amino, CHO, $C(=O)NH_2$, $C(=S)NH_2$, SO_2NH_2, $C(=O)R^{18}$, $C(=O)OR^{18}$, NHR^{18}, $NR^{18}R^{19}$, $C(=O)NR^{21}R^{19}$, $C(=S)NR^{21}R^{19}$, $SO_2NR^{21}R^{19}$, $OC(=O)R^{21}$, $OC(=O)OR^{18}$, $OC(=O)NR^{21}R^{19}$, $N(R^{21})C(=O)R^{21}$, $N(R^{21})C(=O)OR^{19}$, $N(R^{21})C(=O)NR^{21}R^{22}$, OSO_2R^{18}, $OSO_2NR^{21}R^{22}$, $NR^{21}SO_2R^{18}$, $NR^{21}SO_2NR^{21}R^{22}$, $Si(R^{18}R^{19}R^{20})$ or Z^1Q^t; or C_1–C_8 alkyl, C_2–C_8 alkenyl, C_2–C_8 alkynyl, C_3–C_{10} cycloalkyl, C_4–C_{10} alkylcycloalkyl, C_4–C_{10} cycloalkylalkyl, C_6–C_{14} cycloalkylcycloalkyl, C_5–C_{10} alkylcycloalkylalkyl, C_3–C_8 cycloalkenyl, C_1–C_8 alkoxy, C_3–C_8 cycloalkoxy, C_4–C_{10} cycloalkylalkoxy, C_2–C_8 alkenyloxy, C_2–C_8 alkynyloxy, C_1–C_8 alkylsulfinyl, C_1–C_8 alkylsulfonyl, C_3–C_8 cycloalkylsulfinyl, C_3–C_8 cycloalkylsulfonyl, C_4–C_{10} cycloalkylalkylsulfinyl, C_4–C_{10} cycloalkylalkylsulfonyl, C_2–C_8 alkenylsulfinyl, C_2–C_8 alkenylsulfonyl, C_2–C_8 alkynylsulfinyl or C_2–C_8 alkynylsulfonyl, each unsubstituted or substituted with at least one substituent independently selected from R^{17}; or R^{3e} and R^{3b} are taken together with the adjacent carbon atoms to which they are attached to form a 5- to 7-membered carbocyclic or heterocyclic ring, each ring containing ring members selected from carbon atoms and up to 3 heteroatoms independently selected from up to 2 O, up to 2 S, and up to 3 N, wherein up to 2 carbon atom ring members are independently selected from C(=O) and C(=S) and the sulfur atom ring members are independently selected

from $S(=O)_n$, each ring optionally substituted with up to 3 substituents independently selected from the group consisting of halogen, cyano, hydroxy, amino, nitro, $C(=O)OH$, $C(=O)NH_2$, SO_2NH_2, C_1–C_4 alkyl, C_1–C_4 haloalkyl, C_2–C_4 alkenyl, C_2–C_4 haloalkenyl, C_2–C_4 alkynyl, C_2–C_4 haloalkynyl, C_3–C_7 cycloalkyl, C_3–C_7 halocycloalkyl, C_4–C_8 alkylcycloalkyl, C_4–C_8 haloalkylcycloalkyl, C_4–C_8 cycloalkylalkyl, C_4–C_8 halocycloalkylalkyl, C_1–C_6 alkoxy, C_1–C_6 haloalkoxy, C_2–C_6 alkoxycarbonyl, C_2–C_6 haloalkoxycarbonyl, C_2–C_6 alkylcarbonyl and C_2–C_6 haloalkylcarbonyl;

each R^4 and R^5 is independently H, halogen, cyano, hydroxy, amino, nitro, OCN, SCN, CHO, $C(=O)OH$, $C(=O)NH_2$, $C(=S)NH_2$ or SO_2NH_2; or C_1–C_6 alkyl, C_2–C_6 alkenyl, C_2–C_6 alkynyl, C_3–C_8 cycloalkyl, C_4–C_8 alkylcycloalkyl, C_4–C_8 cycloalkylalkyl, C_6–C_{12} cycloalkylcycloalkyl, C_5–C_8 alkylcycloalkylalkyl, C_3–C_6 cycloalkenyl, C_1–C_6 alkoxy, C_3–C_6 cycloalkoxy, C_4–C_8 cycloalkylalkoxy, C_2–C_6 alkenyloxy or C_2–C_6 alkynyloxy, each unsubstituted or substituted with at least one substituent independently selected from the group consisting of halogen, cyano, nitro, CHO, $C(=O)OH$, $C(=O)NH_2$, $C(=O)R^{10}$, $C(=O)OR^{11}$, $C(=O)NR^{12}R^{13}$, OR^{11}, $S(O)_nR^{10}$, $SO_2NR^{12}R^{13}$ and $Si(R^{10})_3$; or

R^4 and R^5 are taken together with the carbon atom to which they are attached to form a 3- to 7-membered ring containing ring members selected from carbon atoms and up to 2 heteroatoms independently selected from one O, one S, and up to 2 N, wherein up to 2 carbon atom ring members are independently selected from $C(=O)$ and $C(=S)$ and the sulfur atom ring member is selected from S, S(O) or $S(O)_2$, said ring optionally substituted with up to 4 substituents independently selected from the group consisting of halogen, cyano and C_1–C_4 alkyl;

each R^6 is independently H; or C_1–C_6 alkyl, C_2–C_6 alkenyl, C_2–C_6 alkynyl, C_3–C_8 cycloalkyl, C_4–C_8 alkylcycloalkyl, C_4–C_8 cycloalkylalkyl, C_6–C_{10} cycloalkylcycloalkyl, C_5–C_{10} alkylcycloalkylalkyl, C_3–C_6 cycloalkenyl, C_2–C_6 alkylcarbonyl or C_2–C_6 alkoxycarbonyl, each unsubstituted or substituted with at least one substituent independently selected from the group consisting of halogen, cyano, nitro, CHO, $C(=O)OH$, $C(=O)NH_2$, $C(=O)R^{10}$, $C(=O)OR^{11}$, $C(=O)NR^{12}R^{13}$, OR^{11}, $S(O)_nR^{10}$, $SO_2NR^{12}R^{13}$ and $Si(R^{10})_3$; or

two R^6 substituents are taken together with the nitrogen atom to which they are attached to form a 3- to 7-membered ring containing ring members selected from carbon atoms and up to 2 heteroatoms independently selected from one O, one S, and up to 2 N, wherein up to 2 carbon atom ring members are independently selected from $C(=O)$ and $C(=S)$ and the sulfur atom ring member is selected from S, S(O) or $S(O)_2$, said ring optionally substituted with up to 4 substituents

independently selected from the group consisting of halogen, cyano and C_1–C_4 alkyl;

each R^7 and R^8 is independently H; or C_1–C_6 alkyl, C_2–C_6 alkenyl, C_2–C_6 alkynyl, C_3–C_8 cycloalkyl, C_4–C_8 alkylcycloalkyl, C_4–C_8 cycloalkylalkyl, C_6–C_{10} cycloalkylcycloalkyl, C_5–C_{10} alkylcycloalkylalkyl, C_3–C_6 cycloalkenyl, C_2–C_6 alkylcarbonyl or C_2–C_6 alkoxycarbonyl, each unsubstituted or substituted with at least one substituent independently selected from the group consisting of halogen, cyano, nitro, CHO, C(=O)OH, $C(=O)NH_2$, $C(=O)R^{10}$, $C(=O)OR^{11}$, $C(=O)NR^{12}R^{13}$, OR^{11}, $S(O)_nR^{10}$, $SO_2NR^{12}R^{13}$ and $Si(R^{10})_3$;

each R^9 is independently C_1–C_6 alkyl, C_2–C_6 alkenyl, C_2–C_6 alkynyl, C_3–C_8 cycloalkyl, C_4–C_8 alkylcycloalkyl, C_4–C_8 cycloalkylalkyl, C_6–C_{10} cycloalkylcycloalkyl, C_5–C_{10} alkylcycloalkylalkyl, C_3–C_6 cycloalkenyl, C_2–C_6 alkylcarbonyl or C_2–C_6 alkoxycarbonyl, each unsubstituted or substituted with at least one substituent independently selected from the group consisting of halogen, cyano, nitro, CHO, C(=O)OH, $C(=O)NH_2$, $C(=O)R^{10}$, $C(=O)OR^{11}$, $C(=O)NR^{12}R^{13}$, OR^{11}, $S(O)_nR^{10}$, $SO_2NR^{12}R^{13}$ and $Si(R^{10})_3$;

each R^{9a} is independently H; or C_1–C_6 alkyl, C_2–C_6 alkenyl, C_2–C_6 alkynyl, C_3–C_8 cycloalkyl, C_4–C_8 alkylcycloalkyl, C_4–C_8 cycloalkylalkyl, C_6–C_{10} cycloalkylcycloalkyl, C_5–C_{10} alkylcycloalkylalkyl, C_3–C_6 cycloalkenyl, C_2–C_6 alkylcarbonyl or C_2–C_6 alkoxycarbonyl, each unsubstituted or substituted with at least one substituent independently selected from the group consisting of halogen, cyano, nitro, CHO, C(=O)OH, $C(=O)NH_2$, $C(=O)R^{10}$, $C(=O)OR^{11}$, $C(=O)NR^{12}R^{13}$, OR^{11}, $S(O)_nR^{10}$, $SO_2NR^{12}R^{13}$ and $Si(R^{10})_3$;

each R^{10} and R^{11} is independently C_1–C_6 alkyl, C_2–C_6 alkenyl, C_2–C_6 alkynyl, C_3–C_8 cycloalkyl, C_4–C_8 alkylcycloalkyl, C_4–C_8 cycloalkylalkyl, C_6–C_{10} cycloalkylcycloalkyl, C_5–C_{10} alkylcycloalkylalkyl or C_3–C_6 cycloalkenyl, each unsubstituted or substituted with at least one substituent independently selected from the group consisting of halogen, cyano, nitro, CHO, C(=O)OH, $C(=O)NH_2$, 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_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 and C_3–C_6 trialkylsilyl; or phenyl or a 5- or 6-membered heteroaromatic ring, each unsubstituted or substituted with at least one substituent independently selected from the group consisting of C_1–C_6 alkyl, C_1–C_6 haloalkyl, C_2–C_6 alkenyl, C_2–C_6 alkynyl, C_3–C_8 cycloalkyl, C_4–C_8 alkylcycloalkyl, C_4–C_8 cycloalkylalkyl, C_6–C_{10}

cycloalkylcycloalkyl, C_5–C_{10} alkylcycloalkylalkyl, C_3–C_6 cycloalkenyl, halogen, cyano, nitro, CHO, C(=O)OH, $C(=O)NH_2$, 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_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 and C_3–C_6 trialkylsilyl;

each R^{12} and R^{13} is independently C_1–C_6 alkyl, C_2–C_6 alkenyl, C_2–C_6 alkynyl, C_3–C_8 cycloalkyl, C_4–C_8 alkylcycloalkyl, C_4–C_8 cycloalkylalkyl, C_6–C_{10} cycloalkylcycloalkyl, C_5–C_{10} alkylcycloalkylalkyl or C_3–C_6 cycloalkenyl, each unsubstituted or substituted with at least one substituent independently selected from the group consisting of halogen, cyano, nitro, CHO, C(=O)OH, $C(=O)NH_2$, 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_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 and C_3–C_6 trialkylsilyl; or phenyl or a 5- or 6-membered heteroaromatic ring, each unsubstituted or substituted with at least one substituent independently selected from the group consisting of C_1–C_6 alkyl, C_1–C_6 haloalkyl, C_2–C_6 alkenyl, C_2–C_6 alkynyl, C_3–C_8 cycloalkyl, C_4–C_8 alkylcycloalkyl, C_4–C_8 cycloalkylalkyl, C_6–C_{10} cycloalkylcycloalkyl, C_5–C_{10} alkylcycloalkylalkyl, C_3–C_6 cycloalkenyl, halogen, cyano, nitro, CHO, C(=O)OH, $C(=O)NH_2$, 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_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 and C_3–C_6 trialkylsilyl; or

R^{12} and R^{13} are taken together with the nitrogen atom to which they are attached to form a 3- to 7-membered ring containing ring members selected from carbon atoms and up to 2 heteroatoms independently selected from one O, one S, and up to 2 N, wherein up to 2 carbon atom ring members are independently selected from C(=O) and C(=S) and the sulfur atom ring member is selected from S, S(O) or $S(O)_2$, said ring optionally substituted with up to 4 substituents independently selected from the group consisting of halogen, cyano and C_1–C_4 alkyl;

each R^{14} is independently halogen, cyano, hydroxy, amino, nitro, SF_5, OCN, SCN, CHO, C(=O)OH, $C(=O)NH_2$, $C(=S)NH_2$, SO_2NH_2, $C(=O)R^{18}$, $C(=O)OR^{18}$, NHR^{18}, $NR^{18}R^{19}$, $C(=O)NR^{21}R^{19}$, $C(=O)NR^{21}NR^{22}R^{23}$, $C(=S)NR^{21}R^{19}$, $SO_2NR^{21}R^{19}$, $OC(=O)R^{21}$, $OC(=O)OR^{18}$, $OC(=O)NR^{21}R^{19}$, $N(R^{21})C(=O)R^{21}$, $N(R^{21})C(=O)OR^{19}$, $N(R^{21})C(=O)NR^{21}R^{22}$, OSO_2R^{18}, $OSO_2NR^{21}R^{22}$, $NR^{21}SO_2R^{18}$, $NR^{21}SO_2NR^{21}R^{22}$, $Si(R^{18}R^{19}R^{20})$, $C(=NR^{21})R^{22}$, $C(=NOR^{21})R^{22}$, $C(=NNR^{21}R^{22})R^{23}$, $C(=NN(C(=O)R^{19})R^{21})R^{22}$, $C(=NN(C(=O)OR^{19})R^{21})R^{22}$, $ON=CR^{21}R^{22}$, $ONR^{21}R^{22}$, $S(=O)(=NR^{21})R^{22}$, $SO_2NR^{21}C(=O)NR^{22}R^{23}$, $P(=X^2)R^{18}R^{19}$, $OP(=X^2)R^{18}R^{19}$, $OP(=X^2)(OR^{18})R^{19}$, $OP(=X^2)(OR^{18})OR^{19}$, $N=CR^{21}R^{22}$, $NR^{21}N=CR^{22}R^{23}$, $NR^{21}NR^{22}R^{23}$, $NR^{21}C(=X^2)NR^{22}R^{23}$, $NR^{21}C(=NR^{21})NR^{22}R^{23}$, $NR^{21}NR^{21}C(=X^2)NR^{22}R^{23}$, $NR^{21}NR^{21}SO_2NR^{22}R^{23}$, Z^1Q^t or $Z^1Q^iZ^1Q^t$; or C_1–C_8 alkyl, C_2–C_8 alkenyl, C_2–C_8 alkynyl, C_3–C_{10} cycloalkyl, C_4–C_{10} alkylcycloalkyl, C_4–C_{10} cycloalkylalkyl, C_6–C_{14} cycloalkylcycloalkyl, C_5–C_{10} alkylcycloalkylalkyl, C_3–C_8 cycloalkenyl, C_1–C_8 alkoxy, C_3–C_8 cycloalkoxy, C_4–C_{10} cycloalkylalkoxy, C_2–C_8 alkenyloxy, C_2–C_8 alkynyloxy, C_1–C_8 alkylthio, C_1–C_8 alkylsulfinyl, C_1–C_8 alkylsulfonyl, C_3–C_8 cycloalkylthio, C_3–C_8 cycloalkylsulfinyl, C_3–C_8 cycloalkylsulfonyl, C_4–C_{10} cycloalkylalkylthio, C_4–C_{10} cycloalkylalkylsulfinyl, C_4–C_{10} cycloalkylalkylsulfonyl, C_2–C_8 alkenylthio, C_2–C_8 alkenylsulfinyl, C_2–C_8 alkenylsulfonyl, C_2–C_8 alkynylthio, C_2–C_8 alkynylsulfinyl or C_2–C_8 alkynylsulfonyl, each unsubstituted or substituted with at least one substituent independently selected from R^{17}; or

two R^{14} substituents on adjacent ring atoms are taken together with the adjacent ring atoms to form a 5- to 7-membered carbocyclic or heterocyclic ring, each ring containing ring members selected from carbon atoms and up to 3 heteroatoms independently selected from up to 2 O, up to 2 S, and up to 3 N, wherein up to 2 carbon atom ring members are independently selected from C(=O) and C(=S) and the sulfur atom ring members are independently selected from $S(=O)_n$, each ring optionally substituted with up to 3 substituents independently selected from the group consisting of halogen, cyano, hydroxy, amino, nitro, C(=O)OH, $C(=O)NH_2$, SO_2NH_2, C_1–C_4 alkyl, C_1–C_4 haloalkyl, C_2–C_4 alkenyl, C_2–C_4 haloalkenyl, C_2–C_4 alkynyl, C_2–C_4 haloalkynyl, C_3–C_7 cycloalkyl, C_3–C_7 halocycloalkyl, C_4–C_8 alkylcycloalkyl, C_4–C_8 haloalkylcycloalkyl, C_4–C_8 cycloalkylalkyl, C_4–C_8 halocycloalkylalkyl, C_1–C_6 alkoxy, C_1–C_6 haloalkoxy, C_2–C_6 alkoxycarbonyl, C_2–C_6 haloalkoxycarbonyl, C_2–C_6 alkylcarbonyl and C_2–C_6 haloalkylcarbonyl;

each X^2 is independently O or S;

each Z^1 is independently a direct bond, O, $S(O)_n$, NR^6, $C(R^7)_2$, $C(R^7)=C(R^7)$, C≡C, $C(R^7)_2O$, $OC(R^7)_2$, $C(=X^1)$, $C(=X^1)E$, $EC(=X^1)$, $C(=NOR^8)$ or $C(=NN(R^6)_2)$;

each Q^i is independently a 3- to 10-membered ring or a 7- to 11-membered ring system, each ring or ring system containing ring members selected from carbon atoms and up to 4 heteroatoms independently selected from up to 2 O, up to 2 S, and up to 4 N, wherein up to 3 carbon atom ring members are independently selected from C(=O) and C(=S) and the sulfur atom ring members are independently selected from $S(=O)_u(=NR^{24})_z$, each ring or ring system optionally substituted with up to 4 substituents independently selected from the group consisting of halogen, cyano, nitro, CHO, C(=O)OH, $C(=O)NH_2$, $C(=O)R^{10}$, $C(=O)OR^{11}$, $C(=O)NR^{12}R^{13}$, OR^{11}, $S(O)_nR^{10}$, $SO_2NR^{12}R^{13}$, $Si(R^{10})_3$ and R^{16};

each Q^t is independently a 3- to 10-membered ring or a 7- to 11-membered ring system, each ring or ring system containing ring members selected from carbon atoms and up to 4 heteroatoms independently selected from up to 2 O, up to 2 S, and up to 4 N, wherein up to 3 carbon atom ring members are independently selected from C(=O) and C(=S) and the sulfur atom ring members are independently selected from $S(=O)_u(=NR^{24})_z$, each ring or ring system optionally substituted with up to 5 substituents independently selected from the group consisting of halogen, cyano, nitro, CHO, C(=O)OH, $C(=O)NH_2$, $C(=O)R^{10}$, $C(=O)OR^{11}$, $C(=O)NR^{12}R^{13}$, $C(=O)NR^{21}NR^{22}R^{23}$, OR^{11}, $S(O)_nR^{10}$, $SO_2NR^{12}R^{13}$, $S(=O)(=NR^{21})R^{22}$, $Si(R^{10})_3$ and R^{16};

each R^{15} is independently halogen, cyano, hydroxy, amino, nitro, SF_5, OCN, SCN, CHO, C(=O)OH, $C(=O)NH_2$, $C(=S)NH_2$, SO_2NH_2, $C(=O)R^{18}$, $C(=O)OR^{18}$, NHR^{18}, $NR^{18}R^{19}$, $C(=O)NR^{21}R^{19}$, $C(=O)NR^{21}NR^{22}R^{23}$, $C(=S)NR^{21}R^{19}$, $SO_2NR^{21}R^{19}$, $S(=O)(=NR^{21})R^{22}$, $OC(=O)R^{21}$, $OC(=O)OR^{18}$, $OC(=O)NR^{21}R^{19}$, $N(R^{21})C(=O)R^{21}$, $N(R^{21})C(=O)OR^{19}$, $N(R^{21})C(=O)NR^{21}R^{22}$, OSO_2R^{18}, $OSO_2NR^{21}R^{22}$, $NR^{21}SO_2R^{18}$, $NR^{21}SO_2NR^{21}R^{22}$, $Si(R^{18}R^{19}R^{20})$ or Z^1Q^t; or C_1–C_8 alkyl, C_2–C_8 alkenyl, C_2–C_8 alkynyl, C_3–C_{10} cycloalkyl, C_4–C_{10} alkylcycloalkyl, C_4–C_{10} cycloalkylalkyl, C_6–C_{14} cycloalkylcycloalkyl, C_5–C_{10} alkylcycloalkylalkyl, C_3–C_8 cycloalkenyl, C_1–C_8 alkoxy, C_3–C_8 cycloalkoxy, C_4–C_{10} cycloalkylalkoxy, C_2–C_8 alkenyloxy, C_2–C_8 alkynyloxy, C_1–C_8 alkylthio, C_1–C_8 alkylsulfinyl, C_1–C_8 alkylsulfonyl, C_3–C_8 cycloalkylthio, C_3–C_8 cycloalkylsulfinyl, C_3–C_8 cycloalkylsulfonyl, C_4–C_{10} cycloalkylalkylthio, C_4–C_{10} cycloalkylalkylsulfinyl, C_4–C_{10} cycloalkylalkylsulfonyl, C_2–C_8 alkenylthio, C_2–C_8 alkenylsulfinyl, C_2–C_8 alkenylsulfonyl, C_2–C_8 alkynylthio, C_2–C_8 alkynylsulfinyl or C_2–C_8 alkynylsulfonyl, each unsubstituted or substituted with at least one substituent independently selected from R^{17}; or

two R^{15} substituents on adjacent ring atoms are taken together with the adjacent ring atoms to form a 5- to 7-membered carbocyclic or heterocyclic ring, each ring containing ring members selected from carbon atoms and up to 3 heteroatoms independently selected from up to 2 O, up to 2 S, and up to 3 N, wherein up to 2 carbon atom ring members are independently selected from C(=O) and C(=S) and the sulfur atom ring members are independently selected from $S(=O)_n$, each ring optionally substituted with up to 3 substituents independently selected from the group consisting of halogen, cyano, hydroxy, amino, nitro, C(=O)OH, $C(=O)NH_2$, SO_2NH_2, C_1–C_4 alkyl, C_1–C_4 haloalkyl, C_2–C_4 alkenyl, C_2–C_4 haloalkenyl, C_2–C_4 alkynyl, C_2–C_4 haloalkynyl, C_3–C_7 cycloalkyl, C_3–C_7 halocycloalkyl, C_4–C_8 alkylcycloalkyl, C_4–C_8 haloalkylcycloalkyl, C_4–C_8 cycloalkylalkyl, C_4–C_8 halocycloalkylalkyl, C_1–C_6 alkoxy, C_1–C_6 haloalkoxy, C_2–C_6 alkoxycarbonyl, C_2–C_6 haloalkoxycarbonyl, C_2–C_6 alkylcarbonyl and C_2–C_6 haloalkylcarbonyl;

each R^{16} is independently C_1–C_6 alkyl, C_2–C_6 alkenyl, C_2–C_6 alkynyl, C_3–C_8 cycloalkyl, C_4–C_8 alkylcycloalkyl, C_4–C_8 cycloalkylalkyl, C_6–C_{10} cycloalkylcycloalkyl, C_5–C_{10} alkylcycloalkylalkyl or C_3–C_6 cycloalkenyl, each unsubstituted or substituted with at least one substituent independently selected from the group consisting of halogen, cyano, nitro, CHO, C(=O)OH, $C(=O)NH_2$, 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_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 and C_3–C_6 trialkylsilyl; or phenyl or a 5- or 6-membered heteroaromatic ring, each unsubstituted or substituted with at least one substituent independently selected from the group consisting of C_1–C_6 alkyl, C_2–C_6 alkenyl, C_2–C_6 alkynyl, C_3–C_8 cycloalkyl, C_4–C_8 alkylcycloalkyl, C_4–C_8 cycloalkylalkyl, C_6–C_{10} cycloalkylcycloalkyl, C_5–C_{10} alkylcycloalkylalkyl, C_3–C_6 cycloalkenyl, halogen, cyano, nitro, CHO, C(=O)OH, $C(=O)NH_2$, 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_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 and C_3–C_6 trialkylsilyl;

each R^{17} is independently halogen, cyano, nitro, OH, CHO, C(=O)OH, $C(=O)NH_2$, $C(=O)R^{10}$, $C(=O)OR^{11}$, $C(=O)NR^{12}R^{13}$, OR^{11}, $S(O)_nR^{10}$, $SO_2NR^{12}R^{13}$, $Si(R^{10})_3$ or Z^1Q^t;

each R^{18}, R^{19} and R^{20} is independently Q^t; or C_1–C_6 alkyl, C_2–C_6 alkenyl, C_2–C_6 alkynyl, C_3–C_8 cycloalkyl, C_4–C_8 alkylcycloalkyl, C_4–C_8 cycloalkylalkyl, C_6–C_{10} cycloalkylcycloalkyl, C_5–C_{10} alkylcycloalkylalkyl or C_3–C_6 cycloalkenyl, each unsubstituted or substituted with at least one substituent independently selected from R^{17};

each R^{21} is independently Q^t or H; or C_1–C_6 alkyl, C_2–C_6 alkenyl, C_2–C_6 alkynyl, C_3–C_8 cycloalkyl, C_4–C_8 alkylcycloalkyl, C_4–C_8 cycloalkylalkyl, C_6–C_{10} cycloalkylcycloalkyl, C_5–C_{10} alkylcycloalkylalkyl or C_3–C_6 cycloalkenyl, each unsubstituted or substituted with at least one substituent independently selected from R^{17};

each R^{22} and R^{23} is independently Q^t or H; or C_1–C_6 alkyl, C_2–C_6 alkenyl, C_2–C_6 alkynyl, C_3–C_8 cycloalkyl, C_4–C_8 alkylcycloalkyl, C_4–C_8 cycloalkylalkyl, C_6–C_{10} cycloalkylcycloalkyl, C_5–C_{10} alkylcycloalkylalkyl or C_3–C_6 cycloalkenyl, each unsubstituted or substituted with at least one substituent independently selected from R^{17}; or

R^{22} and R^{23} are taken together with the nitrogen atom to which they are attached to form a 3- to 7-membered ring containing ring members selected from carbon atoms and up to 2 heteroatoms independently selected from one O, one S, and up to 2 N, wherein up to 2 carbon atom ring members are independently selected from C(=O) and C(=S) and the sulfur atom ring member is selected from S, S(O) or $S(O)_2$, said ring optionally substituted with up to 4 substituents independently selected from the group consisting of halogen, cyano and C_1–C_4 alkyl;

each R^{24} is independently H, cyano, OCN, SCN, CHO, C(=O)OH, $C(=O)NH_2$, $C(=S)NH_2$, SO_2NH_2, $C(=O)R^{18}$, $C(=O)OR^{18}$, NHR^{18}, $NR^{18}R^{19}$, $C(=O)NR^{21}R^{19}$, $C(=S)NR^{21}R^{19}$, $SO_2NR^{21}R^{19}$, $OC(=O)R^{21}$, $OC(=O)OR^{18}$, $OC(=O)NR^{21}R^{19}$, $N(R^{21})C(=O)R^{21}$, $N(R^{21})C(=O)OR^{19}$, $N(R^{21})C(=O)NR^{21}R^{22}$, OSO_2R^{18}, $OSO_2NR^{21}R^{22}$, $NR^{21}SO_2R^{18}$, $NR^{21}SO_2NR^{21}R^{22}$, $Si(R^{18}R^{19}R^{20})$ or Z^1Q^t; or C_1–C_8 alkyl, C_2–C_8 alkenyl, C_2–C_8 alkynyl, C_3–C_{10} cycloalkyl, C_4–C_{10} alkylcycloalkyl, C_4–C_{10} cycloalkylalkyl, C_6–C_{14} cycloalkylcycloalkyl, C_5–C_{10} alkylcycloalkylalkyl, C_3–C_8 cycloalkenyl, C_1–C_8 alkoxy, C_3–C_8 cycloalkoxy, C_4–C_{10} cycloalkylalkoxy, C_2–C_8 alkenyloxy, C_2–C_8 alkynyloxy, C_1–C_8 alkylthio, C_1–C_8 alkylsulfinyl, C_1–C_8 alkylsulfonyl, C_3–C_8 cycloalkylthio, C_3–C_8 cycloalkylsulfinyl, C_3–C_8 cycloalkylsulfonyl, C_4–C_{10} cycloalkylalkylthio, C_4–C_{10} cycloalkylalkylsulfinyl, C_4–C_{10} cycloalkylalkylsulfonyl, C_2–C_8 alkenylthio, C_2–C_8 alkenylsulfinyl, C_2–

C_8 alkenylsulfonyl, C_2–C_8 alkynylthio, C_2–C_8 alkynylsulfinyl or C_2–C_8 alkynylsulfonyl, each unsubstituted or substituted with at least one substituent independently selected from R^{17};

a is 1, 2 or 3;

each n is independently 0, 1 or 2; and

u and z in each instance of $S(=O)_u(=NR^{24})_z$ are independently 0, 1 or 2, provided that the sum of u and z in each instance of $S(=O)_u(=NR^{24})_z$ is 0, 1 or 2.

This invention is also directed to such compounds of Formula **1** (including all stereoisomers), *N*-oxides, and salts thereof, and compositions containing them and their use for controlling invertebrate pests as described above, and further herein, provided that when A is O, S, NCH_3 or $C(R^{3c})=C(R^{3d})$, R^{3c} is H or F, and R^{3d} is H, F, CF_2H or CF_3, then at least one of R^{3a} or R^{3b} is other than H.

This invention also provides a composition comprising a compound of Formula **1**, an *N*-oxide, or a salt thereof, and at least one additional component selected from the group consisting of surfactants, solid diluents and liquid diluents. In one embodiment, this invention also provides a composition for controlling an invertebrate pest comprising a compound of Formula **1**, an *N*-oxide, or a salt thereof, and at least one additional component selected from the group consisting of surfactants, solid diluents and liquid diluents, said composition further comprising at least one additional biologically active compound or agent.

This invention provides a method for controlling an invertebrate pest comprising contacting the invertebrate pest or its environment with a biologically effective amount of a compound of Formula **1**, an *N*-oxide, or a salt thereof (e.g., as a composition described herein). This invention also relates to such method wherein the invertebrate pest or its environment is contacted with a composition comprising a biologically effective amount of a compound of Formula **1**, an *N*-oxide, or a salt thereof, and at least one additional component selected from the group consisting of surfactants, solid diluents and liquid diluents, said composition optionally further comprising a biologically effective amount of at least one additional biologically active compound or agent.

This invention also provides a method for controlling an invertebrate pest comprising contacting the invertebrate pest or its environment with a biologically effective amount of any of the aforesaid compositions wherein the environment is a plant.

This invention also provides a method for controlling an invertebrate pest comprising contacting the invertebrate pest or its environment with a biologically effective amount of any of the aforesaid compositions wherein the environment is an animal.

This invention also provides a method for controlling an invertebrate pest comprising contacting the invertebrate pest or its environment with a biologically effective amount of any of the aforesaid compositions wherein the environment is a seed.

This invention also provides a method for protecting a seed from an invertebrate pest comprising contacting the seed with a biologically effective amount of a compound of Formula **1**, an *N*-oxide, or a salt thereof (e.g., as a composition described herein). This invention also relates to the treated seed.

This invention further provides a composition for protecting an animal from an invertebrate parasitic pest comprising a parasiticidally effective amount of a compound of Formula **1**, an *N*-oxide, or a salt thereof, and at least one carrier.

This invention further provides a method for treating, preventing, inhibiting and/or killing ecto and/or endoparasites comprising administering to and/or on an animal a parasiticidally effective amount of a compound of Formula **1**, an *N*-oxide, or a salt thereof (e.g., as a composition described herein). This invention also relates to such method wherein a parasiticidally effective amount of a compound of Formula **1**, an *N*-oxide, or a salt thereof, (e.g., as a composition described herein) is administered to an environment (e.g., a stall or blanket) in which an animal resides.

DETAILS OF THE INVENTION

As used herein, the terms "comprises", "comprising", "includes", "including", "has", "having", "contains", "containing", "characterized by" or any other variation thereof, are intended to cover a non-exclusive inclusion, subject to any limitation explicitly indicated. For example, a composition, mixture, process or method 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, mixture, process or method.

The transitional phrase "consisting of" excludes any element, step or ingredient not specified. If in the claim, such would close the claim to the inclusion of materials other than those recited except for impurities ordinarily associated therewith. When the phrase "consisting of" appears in a clause of the body of a claim, rather than immediately following the preamble, it limits only the element set forth in that clause; other elements are not excluded from the claim as a whole.

The transitional phrase "consisting essentially of" is used to define a composition or method that includes materials, steps, features, components or elements, in addition to those literally disclosed, provided that these additional materials, steps, features, components or elements do not materially affect the basic and novel characteristic(s) of the claimed invention. The term "consisting essentially of" occupies a middle ground between "comprising" and "consisting of".

Where applicants have defined an invention or a portion thereof with an open-ended term such as "comprising", it should be readily understood that (unless otherwise stated) the description should be interpreted to also describe such an invention using the terms "consisting essentially of" or "consisting of".

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, the indefinite articles "a" and "an" preceding an element or component of the invention are intended to be nonrestrictive regarding the number of instances (i.e. occurrences) of the element or component. Therefore "a" or "an" should be read to include one or at least one, and the singular word form of the element or component also includes the plural unless the number is obviously meant to be singular.

As referred to in this disclosure, the term "invertebrate pest" includes arthropods, gastropods and nematodes of economic importance as pests. The term "arthropod" includes insects, mites, spiders, scorpions, centipedes, millipedes, pill bugs and symphylans. The term "gastropod" includes snails, slugs and other Stylommatophora. The term "nematode" refers to a living organism of the Phylum Nematoda. The term "helminths" includes roundworms, heartworms, phytophagous nematodes (Nematoda), flukes (Tematoda), Acanthocephala and tapeworms (Cestoda).

In the context of this disclosure "invertebrate pest control" means inhibition of invertebrate pest development (including mortality, feeding reduction, and/or mating disruption), and related expressions are defined analogously.

The term "agronomic" refers to the production of field crops such as for food and fiber and includes the growth of corn, soybeans and other legumes, rice, cereal (e.g., wheat, oats, barley, rye, rice, maize), leafy vegetables (e.g., lettuce, cabbage, and other cole crops), fruiting vegetables (e.g., tomatoes, pepper, eggplant, crucifers and cucurbits), potatoes, sweet potatoes, grapes, cotton, tree fruits (e.g., pome, stone and citrus), small fruit (berries, cherries) and other specialty crops (e.g., canola, sunflower, olives).

The term "nonagronomic" refers to other than field crops, such as horticultural crops (e.g., greenhouse, nursery or ornamental plants not grown in a field), residential, agricultural, commercial and industrial structures, turf (e.g., sod farm, pasture, golf course, lawn, sports field, etc.), wood products, stored product, agro-forestry and vegetation management, public health (i.e. human) and animal health (e.g., domesticated animals such as pets, livestock and poultry, undomesticated animals such as wildlife) applications.

Nonagronomic applications include protecting an animal from an invertebrate parasitic pest by administering a parasiticidally effective (i.e. biologically effective) amount of a compound of the invention, typically in the form of a composition formulated for veterinary use, to the animal to be protected. As referred to in the present disclosure and claims, the terms "parasiticidal" and "parasiticidally" refers to observable effects on an invertebrate parasite pest to provide protection of an animal from the pest. Parasiticidal effects typically relate to diminishing the occurrence or activity of the target invertebrate parasitic pest. Such

effects on the pest include necrosis, death, retarded growth, diminished mobility or lessened ability to remain on or in the host animal, reduced feeding and inhibition of reproduction. These effects on invertebrate parasite pests provide control (including prevention, reduction or elimination) of parasitic infestation or infection of the animal.

In the above recitations, the term "alkyl", used either alone or in compound words such as "haloalkyl" includes straight-chain or branched alkyl, such as, methyl, ethyl, *n*-propyl, *i*-propyl, or the different butyl, pentyl or hexyl isomers. "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.

"Cycloalkyl" includes, for example, cyclopropyl, cyclobutyl, cyclopentyl and cyclohexyl. The term "cycloalkylalkyl" denotes cycloalkyl substitution on an alkyl moiety. Examples of "cycloalkylalkyl" include cyclopropylmethyl, cyclopentylethyl, and other cycloalkyl moieties bonded to straight-chain or branched alkyl groups. "Cycloalkenyl" includes groups such as cyclopentenyl and cyclohexenyl as well as groups with more than one double bond such as 1,3- and 1,4-cyclohexadienyl. The term "cycloalkoxy" denotes cycloalkyl attached to and linked through an oxygen atom such as cyclopentyloxy and cyclohexyloxy. "Alkylcycloalkylalkyl" denotes an alkyl group substituted with alkylcycloalkyl. Examples of "alkylcycloalkylalkyl" include 1-, 2-, 3- or 4-methyl or -ethyl cyclohexylmethyl. The term "cycloalkylcycloalkyl" denotes cycloalkyl substitution on another cycloalkyl ring, wherein each cycloalkyl ring independently has from 3 to 7 carbon atom ring members. Examples of cycloalkylcycloalkyl include cyclopropylcyclopropyl (such as 1,1'-bicyclopropyl-1-yl, 1,1'-bicyclopropyl-2-yl), cyclohexylcyclopentyl (such as 4-cyclopentylcyclohexyl) and cyclohexylcyclohexyl (such as 1,1'-bicyclohexyl-1-yl), and the different *cis*- and *trans*-cycloalkylcycloalkyl isomers, (such as (1*R*,2*S*)-1,1'-bicyclopropyl-2-yl and (1*R*,2*R*)-1,1'-bicyclopropyl-2-yl). "Cycloalkylamino" denotes an NH radical substituted with cycloalkyl. Examples of "cycloalkylamino" include cyclopropylamino and cyclohexylamino. The term "cycloalkylaminoalkyl" denotes cycloalkylamino substitution on an alkyl group. Examples of "cycloalkylaminoalkyl" include cyclopropylaminomethyl, cyclopentylaminoethyl, and other cycloalkylamino moieties bonded to straight-chain or branched alkyl groups.

The term "halogen", either alone or in compound words such as "haloalkyl", or when used in descriptions such as "alkyl substituted with halogen" includes fluorine, chlorine, bromine or iodine. Further, when used in compound words such as "haloalkyl", or when used in descriptions such as "alkyl substituted with halogen" said alkyl may be partially or fully substituted with halogen atoms which may be the same or different. Examples of

"haloalkyl" or "alkyl substituted with halogen" include CF_3, CH_2Cl, CH_2CF_3 and CCl_2CF_3. The terms "haloalkenyl", "haloalkynyl" "haloalkoxy", "haloalkylthio", "haloalkylamino", "haloalkylsulfinyl", "haloalkylsulfonyl", "halocycloalkyl", 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 "haloalkylamino" include $CF_3(CH_3)CHNH$, $(CF_3)_2CHNH$ and CH_2ClCH_2NH. 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 "halocycloalkyl" include 2-chlorocyclopropyl, 2-fluorocyclobutyl, 3-bromocyclopentyl and 4-chlorocyclohexyl. The term "halodialkyl", either alone or in compound words such as "halodialkylamino", means at least one of the two alkyl groups is substituted with at least one halogen atom, and independently each halogenated alkyl group may be partially or fully substituted with halogen atoms which may be the same or different. Examples of "halodialkylamino" include $(BrCH_2CH_2)_2N$ and $BrCH_2CH_2(ClCH_2CH_2)N$.

"Alkoxy" includes, for example, methoxy, ethoxy, *n*-propoxy, isopropoxy and the different butoxy, pentoxy and hexyloxy isomers. "Alkoxyalkyl" denotes alkoxy substitution on alkyl. Examples of "alkoxyalkyl" include CH_2OCH_3, $CH_2CH_2OCH_3$, $CH_2OCH_2CH_3$, $CH_2OCH_2CH_2CH_2CH_3$ and $CH_2CH_2OCH_2CH_3$. "Alkenyloxy" includes straight-chain or branched alkenyl attached to and linked through an oxygen atom. 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 $HC\equiv CCH_2O$, $CH_3C\equiv CCH_2O$ and $CH_3C\equiv CCH_2CH_2O$.

The term "alkylthio" includes straight-chain or branched 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. The chemical abbreviations S(O) and S(=O) as used herein represent a sulfinyl moiety. The chemical abbreviations SO_2, $S(O)_2$ and $S(=O)_2$ as used herein represent a sulfonyl moiety.

"Alkylamino" denotes an NH radical substituted with straight-chain or branched alkyl. Examples of "alkylamino" include $NHCH_2CH_3$, $NHCH_2CH_2CH_3$, and $NHCH_2CH(CH_3)_2$. "Dialkylamino" denotes an N radical substituted independently with two straight-chain or

branched alkyl groups. Examples of "dialkylamino" include $N(CH_3)_2$, $N(CH_3CH_2CH_2)_2$ and $N(CH_3)CH_2CH_3$. "Halodialkylamino" denotes one straight-chain or branched alkyl moiety and one straight-chain or branched haloalkyl moiety bonded to an N radical, or two independent straight-chain or branched haloalkyl moieties bonded to an N radical, wherein "haloalkyl" is as defined above. Examples of "halodialkylamino" include $N(CH_2CH_3)(CH_2CH_2Cl)$ and $N(CF_2CF_3)_2$.

"Alkylcarbonyl" denotes a straight-chain or branched alkyl moiety bonded to a C(O) moiety. The chemical abbreviations C(O) and C(=O) as used herein represent a carbonyl moiety. Examples of "alkylcarbonyl" include $C(O)CH_3$, $C(O)CH_2CH_2CH_3$ and $C(O)CH(CH_3)_2$. Examples of "haloalkylcarbonyl" include $C(O)CF_3$, $C(O)CCl_3$, $C(O)CH_2CF_3$ and $C(O)CF_2CF_3$.

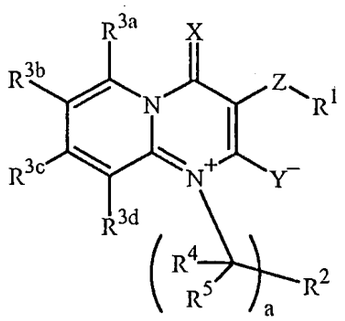
"Alkoxycarbonyl" denotes a straight-chain or branched alkyl moiety bonded to a CO_2 moiety. The chemical abbreviations CO_2, C(O)O and C(=O)O as used herein represent an oxycarbonyl moiety. Examples of "alkoxycarbonyl" include $C(O)OCH_3$, $C(O)OCH_2CH_3$, $C(O)OCH_2CH_2CH_3$ and $C(O)OCH(CH_3)_2$.

"Alkylaminocarbonyl" denotes a straight-chain or branched alkyl moiety bonded to a C(O)NH moiety. The chemical abbreviations C(O)NH, and C(O)N as used herein represent an amide moiety (i.e. an aminocarbonyl group). Examples of "alkylaminocarbonyl" include $C(O)NHCH_3$, $C(O)NHCH_2CH_2CH_3$ and $C(O)NHCH(CH_3)_2$. "Dialkylaminocarbonyl" denotes two independent straight-chain or branched alkyl moieties bonded to a C(O)N moiety. Examples of "dialkylaminocarbonyl" include $C(O)N(CH_3)_2$ and $C(O)N(CH_3)(CH_2CH_3)$.

"Trialkylsilyl" includes 3 branched and/or straight-chain alkyl radicals attached to and linked through a silicon atom, such as trimethylsilyl, triethylsilyl and *tert*-butyldimethylsilyl.

"CHO" means formyl, "OCN" means -O-C≡N, and "SCN" means -S-C≡N.

When A is $C(R^{3c})=C(R^{3d})$, the $C(R^{3c})=C(R^{3d})$ moiety is oriented so the carbon atom bonded to R^{3d} is connected directly to the pyrimidinium ring of Formula **1** as shown below.



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 14. For example, C_1–C_4 alkyl designates methyl through butyl; C_2 alkoxyalkyl designates CH_2OCH_3; C_3 alkoxyalkyl designates, for

example, $CH_3CH(OCH_3)$, $CH_2CH_2OCH_3$ or $CH_2OCH_2CH_3$; 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 $CH_2OCH_2CH_2CH_3$ and $CH_2CH_2OCH_2CH_3$.

When a group contains a substituent which can be hydrogen, for example R^{3a}, 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 $(R^v)_r$ in U-36 of Exhibit 1 wherein r may be 0, then hydrogen can be at the position even if not recited in the variable group definition. When one or more positions on a group are said to be "not substituted" or "unsubstituted", then hydrogen atoms are attached to take up any free valency.

Unless otherwise indicated, a "ring" or "ring system" as a component of Formula **1** is carbocyclic or heterocyclic. The term "ring system" denotes two or more connected rings. The term "bicyclic ring system" denotes a ring system consisting of two rings sharing two or more common atoms.

A ring or a bicyclic ring system can be part of an extended ring system containing more than two rings wherein substituents on the ring or bicyclic ring system are taken together to form the additional rings, which may be in bicyclic relationships with other rings in the extended ring system.

The term "ring member" refers to an atom (e.g., C, O, N or S) or other moiety (e.g., C(=O), C(=S) or $S(=O)_u(=NR^{24})_z$) forming the backbone of a ring or ring system. The term "aromatic" indicates that each of the ring atoms is essentially in the same plane and has a *p*-orbital perpendicular to the ring plane, and that (4n + 2) π electrons, where n is a positive integer, are associated with the ring or ring system to comply with Hückel's rule.

"Partially saturated" and "partially unsaturated" with reference to a ring or ring system means that the ring or ring system contains at least one double bond but the ring or ring system is not aromatic. A ring system is aromatic if at least one component ring is aromatic.

The term "carbocyclic ring" denotes a ring wherein the atoms forming the ring backbone are selected only from carbon. Unless otherwise indicated, a carbocyclic ring can be a saturated, partially unsaturated, or fully unsaturated ring. When a fully unsaturated carbocyclic ring satisfies Hückel's rule, then said ring is also called an "aromatic ring". "Saturated carbocyclic ring" refers to a ring having a backbone consisting of carbon atoms linked to one another by single bonds; unless otherwise specified, the remaining carbon valences are occupied by hydrogen atoms.

The terms "heterocyclic ring" or "heterocycle" denotes a ring wherein at least one of the atoms forming the ring backbone is other than carbon. Unless otherwise indicated, a heterocyclic ring can be a saturated, partially unsaturated, or fully unsaturated ring. "Saturated heterocyclic ring" refers to a heterocyclic ring containing only single bonds between ring members. "Partially saturated heterocyclic ring" refers a heterocyclic ring

containing at least one double bond but which is not aromatic. The term "heteroaromatic ring" denotes a fully unsaturated aromatic ring in which at least one atom forming the ring backbone is not carbon. Typically a heteroaromatic ring contains no more than 4 nitrogens, no more than 1 oxygen and no more than 1 sulfur. Unless otherwise indicated, heteroaromatic rings can be attached through any available carbon or nitrogen by replacement of a hydrogen on said carbon or nitrogen. The term "heteroaromatic bicyclic ring system" denotes a ring system consisting of two fused rings, in which at least one of the two rings is a heteroaromatic ring as defined above.

When a radical (e.g., a 3- to 10-membered ring in the definition of R^1) is optionally substituted with listed substituents with the number of substituents stated (e.g., "up to 5"), then the radical may be unsubstituted or substituted with a number of substituents ranging up to the high number stated (e.g., "5"), and the attached substituents are independently selected from the substituents listed.

When a substituent (e.g., R^1) is a ring or ring system, it can be attached to the remainder of Formula **1** through any available ring member, unless otherwise described.

As noted above, R^1 is, *inter alia*, a 3- to 10-membered ring or a 7- to 11-membered ring system, each ring or ring system containing ring members selected from carbon atoms and up to 4 heteroatoms independently selected from up to 2 O, up to 2 S, and up to 4 N, wherein up to 3 carbon atom ring members are independently selected from C(=O) and C(=S) and the sulfur atom ring members are independently selected from $S(=O)_u(=NR^{24})_z$, each ring or ring system optionally substituted with up to 5 substituents independently selected from R^{14}. In this definition the ring members selected from up to 2 O, up to 2 S and up to 4 N are optional, because the number of heteroatom ring members may be zero. When no heteroatom ring members are present, the ring or ring system is carbocyclic. If at least one heteroatom ring member is present, the ring or ring system is heterocyclic. The definition of $S(=O)_u(=NR^{24})_z$ allows up to 2 sulfur ring members, which can be oxidized sulfur moieties (e.g., S(=O) or $S(=O)_2$) or unoxidized sulfur atoms (i.e. when u and z are both zero). The nitrogen atom ring members may be oxidized as *N*-oxides, because compounds relating to Formula **1** also include *N*-oxide derivatives. The up to 3 carbon atom ring members selected from C(=O) and C(=S) are in addition to the up to 4 heteroatoms selected from up to 2 O, up to 2 S and up to 4 N atoms. As the R^{14} substituents are optional, 0 to 5 substituents may be present, limited only by the number of available points of attachment.

The term "unsubstituted" in connection with a group such as a ring or ring system means the group does not have any substituents other than its one or more attachments to the remainder of Formula **1**. The term "optionally substituted" means that the number of substituents can be zero. Unless otherwise indicated, optionally substituted groups may be substituted with as many optional substituents as can be accommodated by replacing a

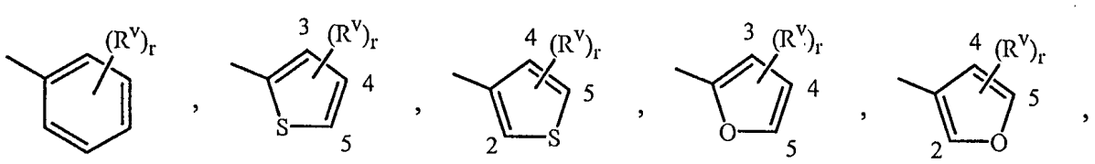
hydrogen atom with a non-hydrogen substituent on any available carbon or nitrogen atom. Commonly, the number of optional substituents (when present) ranges from 1 to 3.

The number of optional substituents may be restricted by an expressed limitation. For example, the phrase "optionally substituted with up to 5 substituents independently selected from R^{15}" means that 0, 1, 2, 3, 4 or 5 substituents can be present (if the number of potential connection points allows). When a range specified for the number of substituents exceeds the number of positions available for substituents on a ring, the actual higher end of the range is recognized to be the number of available positions.

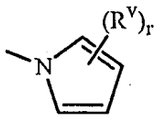
When the number of optional substituents is not restricted by an expressed limitation (e.g., the phrases "optionally substituted with halogen" or "unsubstituted or substituted with at least one substituent independently selected from"), it is understood to mean that the number of optional substituents can range from 0 up to the number of positions available. One skilled in the art will appreciate that while some substituents such as halogen can be present at every available position (for example, the C_2F_5 substituent is a C_2 alkyl group substituted with the maximum number of 5 fluorine atoms), practical factors such as cost and synthetic accessibility can limit the number of occurences of other substituents. These limitations are part of the general synthetic knowledge known to those skilled in the art. Of note are embodiments wherein in the absence of expressed limitation of number of optional substituents, the number of optional substituents is up to 3 (i.e. 0, 1, 2 or 3) if accommodated by the number of available positions.

As noted above, substituents such as R^1 can be (among others) a 5- or 6-membered heteroaromatic ring, optionally substituted with one or more substituents selected from a group of substituents as defined in the Summary of Invention. Examples of a 5- or 6-membered heteroaromatic ring optionally substituted with one or more substituents include the rings U-2 through U-61 illustrated in Exhibit 1 wherein R^v is any substituent as defined in the Summary of the Invention (e.g., for R^1) and r is an integer from 0 to 5, limited by the number of available positions on each U group. As U-29, U-30, U-36, U-37, U-38, U-39, U-40, U-41, U-42 and U-43 have only one available position, for these U groups r is limited to the integers 0 or 1, and r being 0 means that the U group is unsubstituted and a hydrogen is present at the position indicated by $(R^v)_r$.

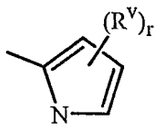
Exhibit 1



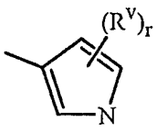
U-1 , U-2 , U-3 , U-4 , U-5 ,



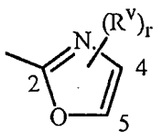
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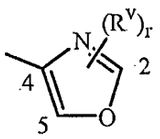
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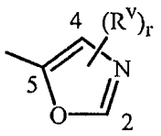
U-8



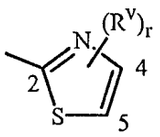
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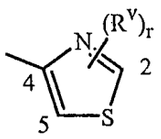
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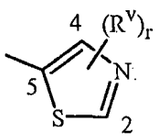
U-11



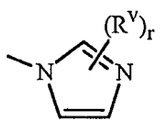
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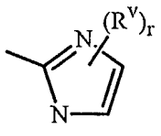
U-13



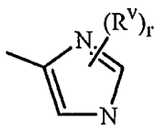
U-14



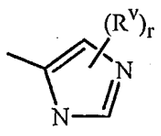
U-15



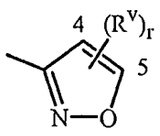
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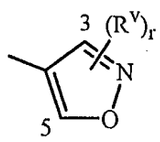
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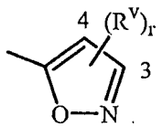
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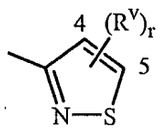
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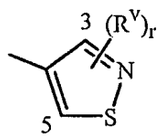
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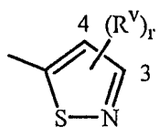
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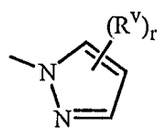
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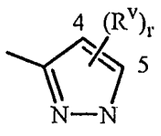
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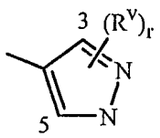
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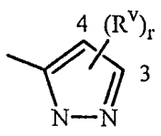
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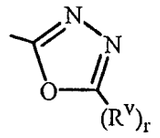
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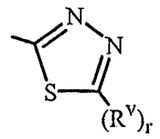
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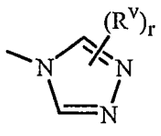
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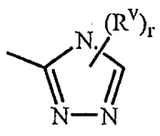
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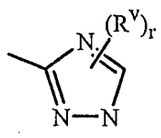
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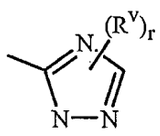
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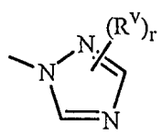
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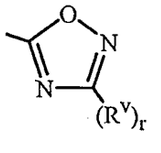
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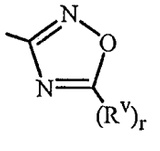
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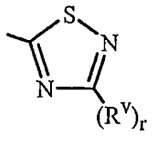
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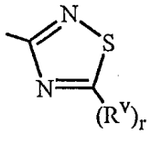
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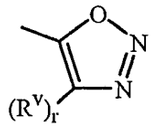
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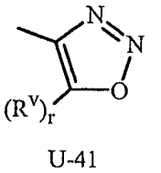
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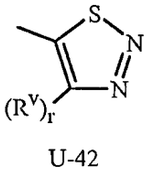
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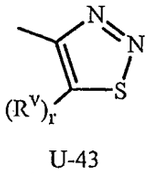
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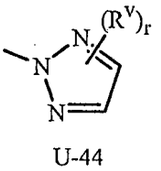
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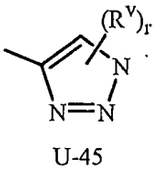
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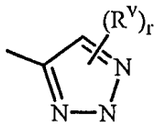
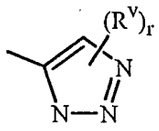
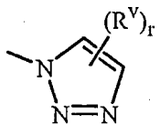
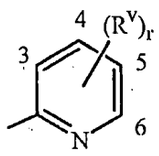
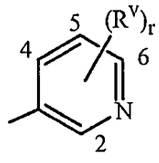
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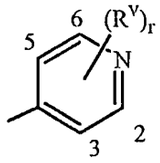
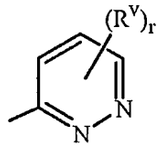
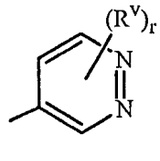
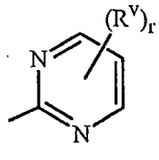
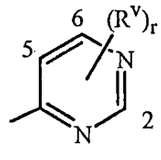


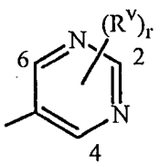
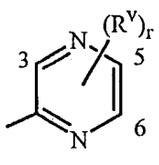
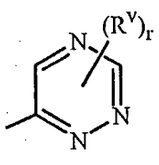
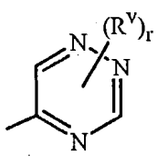
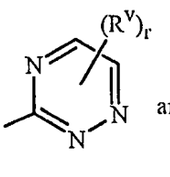
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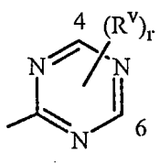


U-45


U-46 ,

U-47 ,

U-48 ,

U-49 ,

U-50 ,

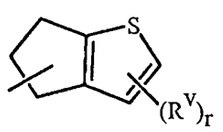
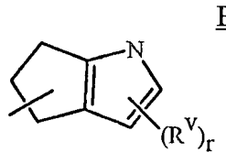
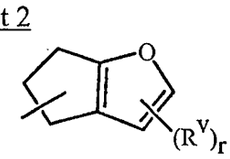
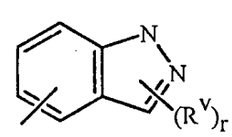

U-51 ,

U-52 ,

U-53 ,

U-54 ,

U-55 ,

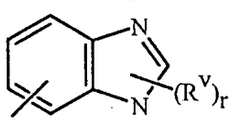
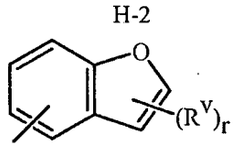
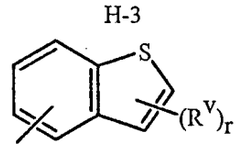
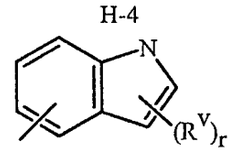

U-56 ,

U-57 ,

U-58 ,

U-59 ,

U-60 and

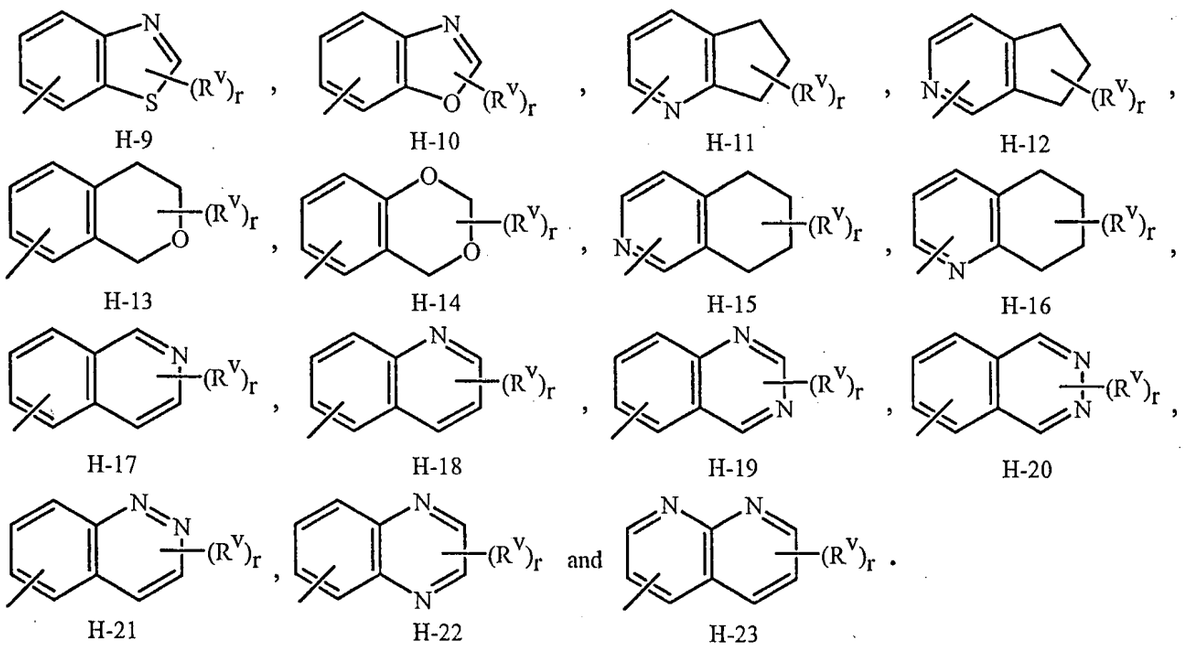

U-61 .

As noted above, substituents such as R^1 can be (among others) an 8-, 9- or 10-membered heteroaromatic bicyclic ring system optionally substituted with up to 5 substituents selected from a group of substituents as defined in the Summary of Invention. Examples of an 8-, 9- or 10-membered heteroaromatic bicyclic ring system optionally substituted with up to 5 substituents include the ring systems H-1 through H-23 illustrated in Exhibit 2 wherein R^v is any substituent as defined in the Summary of the Invention (e.g., for R^1) and r is an integer from 0 to 5, limited by the number of available positions on each H group.

Exhibit 2


H-1 ,

H-2 ,

H-3 ,

H-4 ,


H-5 ,

H-6 ,

H-7 ,

H-8 ,

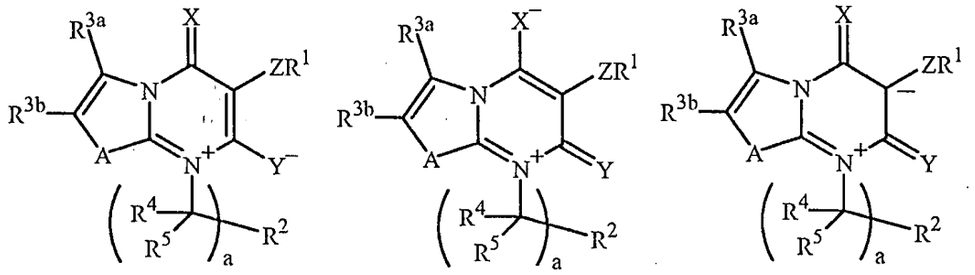


Although R^v groups are shown in the structures U-1 through U-61 and H-1 through H-23, it is noted that they do not need to be present since they are optional substituents. The nitrogen atoms that require substitution to fill their valence are substituted with H or R^v. Note that when the attachment point between $(R^v)_r$ and the U or H group is illustrated as floating, $(R^v)_r$ can be attached to any available carbon atom or nitrogen atom of the U or H group. Note that when the attachment point on the U or H group is illustrated as floating, the U or H group can be attached to the remainder of Formula **1** through any available carbon or nitrogen of the U or H group by replacement of a hydrogen atom.

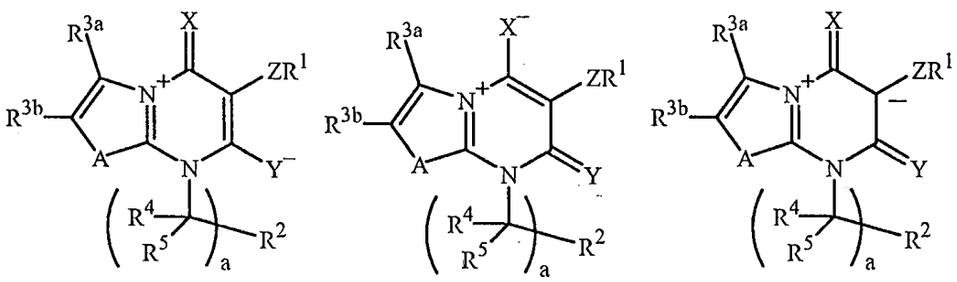
A wide variety of synthetic methods are known in the art to enable preparation of aromatic and nonaromatic heterocyclic rings and ring systems; for extensive reviews see the eight volume set of *Comprehensive Heterocyclic Chemistry*, A. R. Katritzky and C. W. Rees editors-in-chief, Pergamon Press, Oxford, 1984 and the twelve volume set of *Comprehensive Heterocyclic Chemistry II*, A. R. Katritzky, C. W. Rees and E. F. V. Scriven editors-in-chief, Pergamon Press, Oxford, 1996.

The compounds of Formula **1** are mesoionic inner salts. "Inner salts", also known in the art as "zwitterions", are electrically neutral molecules but carry formal positive and negative charges on different atoms in each valence bond structure according to valence bond theory. Furthermore the molecular structure of the compounds of Formula **1** can be represented by the six valence bond structures shown below, each placing the formal positive and negative charges on different atoms. Because of this resonance, the compounds of Formula **1** are also described as "mesoionic". Although for sake of simplicity, the molecular structure of Formula **1** is depicted as a single valence bond structure herein, this particular

valence bond structure is to be understood as representative of all six valence bond structures relevant to bonding in molecules of compounds of Formula **1**. Therefore reference to Formula **1** herein relates to all six applicable valence bond structures and other (e.g., molecular orbital theory) structures unless otherwise specified.



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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. The compounds of the invention may be present as a mixture of stereoisomers, individual stereoisomers or as an optically active form.

Compounds of this invention can exist as one or more conformational isomers due to restricted bond rotation caused by steric hinderance. For example, a compound of Formula **1** wherein Z is a direct bond and R^1 is phenyl substituted in the ortho-position with a sterically demanding alkyl group (e.g., isopropyl) may exist as two rotamers due to restricted rotation about the R^1-pyrimidinium ring bond. This invention comprises mixtures of conformational isomers. In addition, this invention includes compounds that are enriched in one conformer relative to others.

Compounds selected from Formula **1** (including all stereoisomers, *N*-oxides, and salts thereof) typically exist in more than one form, and Formula **1** thus includes all crystalline

and non-crystalline forms of the compounds that Formula **1** represents. Non-crystalline forms include embodiments which are solids such as waxes and gums as well as embodiments which are liquids such as solutions and melts. Crystalline forms include embodiments which represent essentially a single crystal type and embodiments which represent a mixture of polymorphs (i.e. different crystalline types). The term "polymorph" refers to a particular crystalline form of a chemical compound that can crystallize in different crystalline forms, these forms having different arrangements and/or conformations of the molecules in the crystal lattice. Although polymorphs can have the same chemical composition, they can also differ in composition due to the presence or absence of co-crystallized water or other molecules, which can be weakly or strongly bound in the lattice. Polymorphs can differ in such chemical, physical and biological properties as crystal shape, density, hardness, color, chemical stability, melting point, hygroscopicity, suspensibility, dissolution rate and biological availability. One skilled in the art will appreciate that a polymorph of a compound represented by Formula **1** can exhibit beneficial effects (e.g., suitability for preparation of useful formulations, improved biological performance) relative to another polymorph or a mixture of polymorphs of the same compound represented by Formula **1**. Preparation and isolation of a particular polymorph of a compound represented by Formula **1** can be achieved by methods known to those skilled in the art including, for example, crystallization using selected solvents and temperatures.

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 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 3-chloroperbenzoic acid (MCPBA), hydrogen peroxide, alkyl hydroperoxides such as *t*-butyl hydroperoxide, sodium perborate, and dioxiranes such as dimethyldioxirane. 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.

One skilled in the art recognizes that because in the environment and under physiological conditions salts of chemical compounds are in equilibrium with their corresponding nonsalt forms, salts share the biological utility of the nonsalt forms. Thus a wide variety of salts of the compounds of Formula **1** are useful for control of invertebrate pests and animal parasites (i.e. are suitable for animal health use). The salts of the compounds of Formula **1** 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. When a compound of Formula **1** contains an acidic moiety such as a carboxylic acid or phenol, salts also include those formed with organic or inorganic bases such as pyridine, triethylamine or ammonia, or amides, hydrides, hydroxides or carbonates of sodium, potassium, lithium, calcium, magnesium or barium. Accordingly, the present invention comprises compounds selected from Formula **1**, *N*-oxides, and salts thereof.

Embodiments of the present invention as described in the Summary of the Invention include those described below. In the following Embodiments Formula **1** includes stereoisomers, *N*-oxides, and salts thereof, and reference to "a compound of Formula **1**" includes the definitions of substituents specified in the Summary of the Invention unless further defined in the Embodiments.

In the Embodiments that follow, recitation of the word "independently" before more than one variable being defined means that the definition can be applied to each variable independently of the other variables. For example, an Embodiment reciting "independently R^{3a}, R^{3b} when taken alone, and R^{3c} when taken alone are H or halogen" is equivalent to three Embodiments reciting: "R^{3a} is H or halogen", "R^{3b} when taken alone is H or halogen", and "R^{3c} when taken alone is H or halogen", each of which can be independently used as basis to amend or add claims.

Embodiment 1. A compound of Formula **1** wherein X is O.

Embodiment 2. A compound of Formula **1** wherein X is S.

Embodiment 3. A compound of Formula **1** or Embodiments 1 or 2 wherein Y is O.

Embodiment 4. A compound of Formula **1** or Embodiments 1 or 2 wherein Y is S.

Embodiment 5. A compound of Formula **1** or any one of Embodiments 1–4 wherein A is S, NR^{3e} or $C(R^{3c})=C(R^{3d})$.

Embodiment 5a. A compound of Embodiment 5 wherein A is S or $C(R^{3c})=C(R^{3d})$.

Embodiment 5b. A compound of Embodiment 5a wherein A is $C(R^{3c})=C(R^{3d})$.

Embodiment 5c. A compound of Embodiment 5a wherein A is S.

Embodiment 5d. A compound of Embodiment 5 wherein A is $C(R^{3c})=C(R^{3d})$ or NR^{3e};

Embodiment 5e. A compound of Embodiment 5d wherein A is NR^{3e}.

Embodiment 6. A compound of Formula **1** or any one of Embodiments 1–5b wherein independently R^{3a} when taken alone (i.e. when R^{3a} is not taken together with

R^{3b} to form a ring), R^{3b} when taken alone (i.e. when R^{3b} is not taken together with R^{3a}, R^{3c} or R^{3e} to form a ring), R^{3c} when taken alone (i.e. when R^{3c} is not taken together with R^{3b} or R^{3d} to form a ring) and R^{3d} when taken alone (i.e. when R^{3d} is not taken together with R^{3c} to form a ring) are H, halogen, cyano, hydroxy, amino, nitro, SF_5, OCN, SCN, CHO, C(=O)OH, $C(=O)NH_2$, $C(=S)NH_2$, SO_2NH_2, $C(=O)R^{18}$, $C(=O)OR^{18}$, NHR^{18}, $NR^{18}R^{19}$, $C(=O)NR^{21}R^{19}$, $C(=S)NR^{21}R^{19}$, $SO_2NR^{21}R^{19}$, $OC(=O)R^{21}$, $OC(=O)OR^{18}$, $OC(=O)NR^{21}R^{19}$, $N(R^{21})C(=O)R^{21}$, $N(R^{21})C(=O)OR^{19}$, $N(R^{21})C(=O)NR^{21}R^{22}$, OSO_2R^{18}, $OSO_2NR^{21}R^{22}$, $NR^{21}SO_2R^{18}$, $NR^{21}SO_2NR^{21}R^{22}$, $Si(R^{18}R^{19}R^{20})$ or Z^1Q^t; or C_1–C_8 alkyl, C_2–C_8 alkenyl, C_2–C_8 alkynyl, C_3–C_{10} cycloalkyl, C_4–C_{10} alkylcycloalkyl, C_4–C_{10} cycloalkylalkyl, C_6–C_{14} cycloalkylcycloalkyl, C_5–C_{10} alkylcycloalkylalkyl, C_3–C_8 cycloalkenyl, C_1–C_8 alkoxy, C_3–C_8 cycloalkoxy, C_4–C_{10} cycloalkylalkoxy, C_2–C_8 alkenyloxy, C_2–C_8 alkynyloxy, C_1–C_8 alkylthio, C_1–C_8 alkylsulfinyl, C_1–C_8 alkylsulfonyl, C_3–C_8 cycloalkylthio, C_3–C_8 cycloalkylsulfinyl, C_3–C_8 cycloalkylsulfonyl, C_4–C_{10} cycloalkylalkylthio, C_4–C_{10} cycloalkylalkylsulfinyl, C_4–C_{10} cycloalkylalkylsulfonyl, C_2–C_8 alkenylthio, C_2–C_8 alkenylsulfinyl, C_2–C_8 alkenylsulfonyl, C_2–C_8 alkynylthio, C_2–C_8 alkynylsulfinyl or C_2–C_8 alkynylsulfonyl, each unsubstituted or substituted with at least one substituent independently selected from R^{17}.

Embodiment 6a. A compound of Embodiment 6 wherein independently R^{3a} when taken alone, R^{3b} when taken alone, R^{3c} when taken alone and R^{3d} when taken alone are H, halogen, cyano, OCN, SCN, CHO, SO_2NH_2, $C(=O)R^{18}$, $C(=O)OR^{18}$, $C(=O)NR^{21}R^{19}$, $C(=S)NR^{21}R^{19}$, $SO_2NR^{21}R^{19}$, $OC(=O)R^{21}$, $OC(=O)OR^{18}$, $OC(=O)NR^{21}R^{19}$ or Z^1Q^t; or C_1–C_8 alkyl, C_2–C_8 alkenyl, C_2–C_8 alkynyl, C_3–C_{10} cycloalkyl, C_4–C_{10} alkylcycloalkyl, C_4–C_{10} cycloalkylalkyl, C_6–C_{14} cycloalkylcycloalkyl, C_5–C_{10} alkylcycloalkylalkyl, C_3–C_8 cycloalkenyl, C_1–C_8 alkoxy, C_3–C_8 cycloalkoxy, C_4–C_{10} cycloalkylalkoxy, C_2–C_8 alkenyloxy, C_2–C_8 alkynyloxy, C_1–C_8 alkylthio, C_3–C_8 cycloalkylthio, C_4–C_{10} cycloalkylalkylthio, C_4–C_{10} cycloalkylalkylsulfinyl, C_4–C_{10} cycloalkylalkylsulfonyl, C_2–C_8 alkenylthio or C_2–C_8 alkynylthio, each unsubstituted or substituted with at least one substituent independently selected from R^{17}.

Embodiment 6b. A compound of Embodiment 6a wherein independently R^{3a} when taken alone, R^{3b} when taken alone, R^{3c} when taken alone and R^{3d} when taken alone are H or halogen; or C_1–C_8 alkyl, C_2–C_8 alkenyl, C_2–C_8 alkynyl, C_3–C_{10} cycloalkyl, C_1–C_8 alkoxy, C_3–C_8 cycloalkoxy, C_2–C_8 alkenyloxy or C_2–C_8

alkynyloxy, each unsubstituted or substituted with at least one substituent independently selected from R^{17}.

Embodiment 6c. A compound of Embodiment 6b wherein independently R^{3a} when taken alone, R^{3b} when taken alone, R^{3c} when taken alone and R^{3d} when taken alone are H or halogen; or C_1–C_8 alkyl, C_3–C_{10} cycloalkyl or C_1–C_8 alkoxy, each optionally substituted with halogen.

Embodiment 6d. A compound of Embodiment 6c wherein independently R^{3a} when taken alone, R^{3b} when taken alone, and R^{3c} when taken alone are H or halogen.

Embodiment 6e. A compound of Embodiment 6d wherein independently R^{3a} when taken alone, R^{3b} when taken alone and R^{3c} when taken alone are H.

Embodiment 7. A compound of Formula **1** or any one of Embodiments 1–6e wherein R^{3d} when taken alone is H, halogen, C_1–C_8 alkyl or C_1–C_8 alkoxy.

Embodiment 7a. A compound of Embodiment 7 wherein R^{3d} when taken alone is halogen, C_1–C_8 alkyl or C_1–C_8 alkoxy.

Embodiment 7a1. A compound of Formula **1** or any one of Embodiments 1–6e wherein R^{3d} when taken alone is halogen, C_1–C_8 alkyl, C_1–C_8 alkoxy, C_1–C_8 haloalkyl or C_1–C_8 haloalkoxy.

Embodiment 7b. A compound of Embodiment 7a wherein R^{3d} when taken alone is halogen, C_1–C_4 alkyl or C_1–C_4 alkoxy.

Embodiment 7c. A compound of Embodiment 7b wherein R^{3d} when taken alone is F, Cl, Br, CH_3 or OCH_3.

Embodiment 7d. A compound of Embodiment 7c wherein R^{3d} when taken alone is CH_3.

Embodiment 7e. A compound of Embodiment 7 wherein R^{3d} when taken alone is H, halogen, C_1–C_4 alkyl or C_1–C_4 alkoxy.

Embodiment 7f. A compound of Embodiment 7e wherein R^{3d} when taken alone is H or halogen.

Embodiment 7g. A compound of Embodiment 7e wherein R^{3d} when taken alone is H, F, Cl, Br, CH_3 or OCH_3.

Embodiment 8. A compound of Formula **1** or any one of Embodiments 1–7g wherein R^{3c} and R^{3d} are taken alone.

Embodiment 9. A compound of Formula **1** or any one of Embodiments 1–7g wherein when R^{3c} and R^{3d} are taken together with the adjacent carbon atoms to which they are attached to form a 5- or 6-membered ring (which is understood to be optionally substituted with the substituents described in the Summary of the Invention).

Embodiment 9a. A compound of Embodiment 9 wherein the 5- or 6-membered ring formed is aromatic.

Embodiment 9b. A compound of Embodiment 9a wherein the 5- or 6-membered ring formed is 6-membered and carbocyclic (i.e. a fused benzene ring optionally substituted as described in Embodiment 9).

Embodiment 9d. A compound of Embodiment 9 wherein the 5- or 6-membered ring formed is partially unsaturated (and carbocyclic or heterocyclic).

Embodiment 9e. A compound of Formula 1 or any one of Embodiments 1–7g and 9–9d wherein R^{3c} and R^{3d} are taken together with the carbon atoms to which they are attached to form a ring (which is optionally substituted as described in Embodiment 9).

Embodiment 10. A compound of Formula 1 or any one of Embodiments 1–9d wherein R^{3e} when taken alone (i.e. when R^{3e} is not taken together with R^{3b} to form a ring) is H, hydroxy, amino, CHO, $C(=O)NH_2$, $C(=S)NH_2$, SO_2NH_2, $C(=O)R^{18}$, $C(=O)OR^{18}$, NHR^{18}, $NR^{18}R^{19}$, $C(=O)NR^{21}R^{19}$, $C(=S)NR^{21}R^{19}$, $SO_2NR^{21}R^{19}$, $OC(=O)R^{21}$, $OC(=O)OR^{18}$, $OC(=O)NR^{21}R^{19}$, $N(R^{21})C(=O)R^{21}$, $N(R^{21})C(=O)OR^{19}$, $N(R^{21})C(=O)NR^{21}R^{22}$, OSO_2R^{18}, $OSO_2NR^{21}R^{22}$, $NR^{21}SO_2R^{18}$, $NR^{21}SO_2NR^{21}R^{22}$, $Si(R^{18}R^{19}R^{20})$ or Z^1Q^t; or C_1–C_8 alkyl, C_2–C_8 alkenyl, C_2–C_8 alkynyl, C_3–C_{10} cycloalkyl, C_4–C_{10} alkylcycloalkyl, C_4–C_{10} cycloalkylalkyl, C_6–C_{14} cycloalkylcycloalkyl, C_5–C_{10} alkylcycloalkylalkyl, C_3–C_8 cycloalkenyl, C_1–C_8 alkoxy, C_3–C_8 cycloalkoxy, C_4–C_{10} cycloalkylalkoxy, C_2–C_8 alkenyloxy or C_2–C_8 alkynyloxy, each unsubstituted or substituted with at least one substituent independently selected from R^{17}.

Embodiment 10a. A compound of Embodiment 10 wherein R^{3e} when taken alone is H, CHO, $C(=O)R^{18}$, $C(=O)OR^{18}$, $C(=O)NR^{21}R^{19}$, $C(=S)NR^{21}R^{19}$, $SO_2NR^{21}R^{19}$, C_1–C_8 alkyl, C_3–C_8 cycloalkyl or C_1–C_8 alkoxy.

Embodiment 10b. A compound of Embodiment 10a wherein R^{3e} when taken alone is H, C_1–C_4 alkyl, C_3–C_4 cycloalkyl or C_1–C_4 alkoxy.

Embodiment 10c. A compound of Embodiment 10b wherein R^{3e} when taken alone is H or C_1–C_4 alkyl.

Embodiment 10d. A compound of Embodiment 10c wherein R^{3e} when taken alone is C_1–C_4 alkyl.

Embodiment 10e. A compound of Embodiment 10d wherein R^{3e} when taken alone is CH_3.

Embodiment 10f. A compound of Embodiment 10c wherein R^{3e} when taken alone is H or CH_3.

Embodiment 10g. A compound of Embodiment 10f wherein R^{3e} when taken alone is H.

Embodiment 11. A compound of Formula 1 or any one of Embodiments 1–10 wherein instances of R^{17} in the definition of R^{3e} are independently selected from R^{17a}; R^{17a} is halogen, OR^{11} or $Z^{1a}Q^t$; and Z^{1a} is a direct bond.

Embodiment 12. A compound of Formula **1** or any one of Embodiments 1–11 wherein R^{3b} and R^{3e} are taken alone (i.e. R^{3b} and R^{3e} are not taken together to form a ring).

Embodiment 13. A compound of Formula **1** or any one of Embodiments 1–11 wherein when R^{3e} and R^{3b} are taken together with the adjacent carbon atoms to which they are attached to form a 5- or 6-membered ring (which is understood to be optionally substituted with the substituents described in the Summary of the Invention).

Embodiment 14. A compound of Embodiment 13 wherein the 5- or 6-membered ring formed is a fused pyridine ring (which is optionally substituted as described in Embodiment 13).

Embodiment 15. A compound of Formula **1** or any one of Embodiments 1–14 wherein Z is a direct bond, O, NR^6, $C(=X^1)$, $C(=X^1)E$, $EC(=X^1)$, $C(=NOR^8)$ or $C(=NN(R^6)_2)$.

Embodiment 15a. A compound of Embodiment 15 wherein Z is a direct bond, $C(=X^1)$, $C(=X^1)E$, $EC(=X^1)$, $C(=NOR^8)$ or $C(=NN(R^6)_2)$.

Embodiment 15b. A compound of Embodiment 15a wherein Z is a direct bond, $C(=X^1)$ or $C(=X^1)E$.

Embodiment 15c. A compound of Embodiment 15b wherein Z is $C(=X^1)E$.

Embodiment 15d. A compound of Embodiment 15b wherein Z is $C(=X^1)$.

Embodiment 15e. A compound of Embodiment 15b wherein Z is a direct bond.

Embodiment 16. A compound of Formula **1** or any one of Embodiments 1–15d wherein X^1 is O or S.

Embodiment 16a. A compound of Formula **1** or any one of Embodiments 1–15d wherein X^1 is NR^9.

Embodiment 16b. A compound of Embodiment 16 wherein X^1 is O.

Embodiment 16c. A compound of Embodiment 16 wherein X^1 is S.

Embodiment 17. A compound of Formula **1** or any one of Embodiments 1–15c wherein E is O.

Embodiment 17a. A compound of Formula **1** or any one of Embodiments 1–15c wherein E is S.

Embodiment 17b. A compound of Formula **1** or any one of Embodiments 1–15c wherein E is NR^{9a}.

Embodiment 18. A compound of Formula **1** or any one of Embodiments 1–15d and 16a wherein each R^9 is independently C_1–C_6 alkyl, C_2–C_6 alkylcarbonyl or C_2–C_6 alkoxycarbonyl.

Embodiment 18a. A compound of Embodiment 18 wherein each R^9 is independently CH_3, $C(=O)CH_3$ or $C(=O)OCH_3$.

Embodiment 19. A compound of Formula **1** or any one of Embodiments 1–15c, 16–16c and 17b wherein each R^{9a} is independently H, C_1–C_6 alkyl, C_2–C_6 alkylcarbonyl or C_2–C_6 alkoxycarbonyl.

Embodiment 19a. A compound of Embodiment 19 wherein each R^{9a} is independently H, CH_3, $C(=O)CH_3$ or $C(=O)OCH_3$.

Embodiment 20. A compound of Formula **1** or any one of Embodiments 1–19a wherein R^1 is H, halogen, cyano, CHO, C(=O)OH, $C(=O)NH_2$ or $C(=S)NH_2$; or C_1–C_8 alkyl, C_2–C_8 alkenyl, C_2–C_8 alkynyl, C_3–C_{10} cycloalkyl, C_4–C_{10} alkylcycloalkyl, C_4–C_{10} cycloalkylalkyl, C_6–C_{14} cycloalkylcycloalkyl, C_5–C_{10} alkylcycloalkylalkyl or C_3–C_6 cycloalkenyl, each unsubstituted or substituted with at least one substituent independently selected from the group consisting of halogen, cyano, nitro, CHO, C(=O)OH, $C(=O)NH_2$, $C(=O)R^{10}$, $C(=O)OR^{11}$, $C(=O)NR^{12}R^{13}$, OR^{11}, $S(O)_nR^{10}$, $SO_2NR^{12}R^{13}$, $Si(R^{10})_3$ and Z^1Q^t; or a 3- to 10-membered ring or a 7- to 11-membered ring system, each ring or ring system containing ring members selected from carbon atoms and up to 4 heteroatoms independently selected from up to 2 O, up to 2 S, and up to 4 N, wherein up to 3 carbon atom ring members are independently selected from C(=O) and C(=S) and the sulfur atom ring members are independently selected from $S(=O)_u(=NR^{24})_z$, each ring or ring system optionally substituted with up to 5 substituents independently selected from R^{14}.

Embodiment 20a. A compound of Embodiment 20 wherein R^1 is H, halogen, cyano or CHO; or C_1–C_8 alkyl, C_2–C_8 alkenyl, C_2–C_8 alkynyl or C_3–C_6 cycloalkenyl, each unsubstituted or substituted with at least one substituent independently selected from the group consisting of halogen, cyano, nitro, CHO, C(=O)OH, $C(=O)NH_2$, $C(=O)R^{10}$, $C(=O)OR^{11}$, $C(=O)NR^{12}R^{13}$, OR^{11}, $S(O)_nR^{10}$, $SO_2NR^{12}R^{13}$, $Si(R^{10})_3$ and Z^1Q^t; or a 3- to 10-membered ring or a 7- to 11-membered ring system, each ring or ring system containing ring members selected from carbon atoms and up to 4 heteroatoms independently selected from up to 2 O, up to 2 S, and up to 4 N, wherein up to 3 carbon atom ring members are independently selected from C(=O) and C(=S) and the sulfur atom ring members are independently selected from $S(=O)_u(=NR^{24})_z$, each ring or ring system optionally substituted with up to 5 substituents independently selected from R^{14}.

Embodiment 20b. A compound of Embodiment 20a wherein R^1 is H, halogen or CHO; or C_1–C_8 alkyl unsubstituted or substituted with at least one substituent independently selected from the group consisting of halogen and Z^1Q^t; or C_2–C_8 alkenyl or C_2–C_8 alkynyl, each unsubstituted or substituted with at least one substituent independently selected from the group consisting of halogen, cyano,

nitro, CHO, C(=O)OH, $C(=O)NH_2$, $C(=O)R^{10}$, $C(=O)OR^{11}$, $C(=O)NR^{12}R^{13}$, OR^{11}, $S(O)_nR^{10}$, $SO_2NR^{12}R^{13}$, $Si(R^{10})_3$ and Z^1Q^t; or a 3- to 10-membered ring or a 7- to 11-membered ring system, each ring or ring system containing ring members selected from carbon atoms and up to 4 heteroatoms independently selected from up to 2 O, up to 2 S, and up to 4 N, wherein up to 3 carbon atom ring members are independently selected from C(=O) and C(=S) and the sulfur atom ring members are independently selected from $S(=O)_u(=NR^{24})_z$, each ring or ring system optionally substituted with up to 5 substituents independently selected from R^{14}.

Embodiment 20c. A compound of Formula **1** or any one of Embodiments 1–20b wherein instances of Z^1Q^t in the definition of R^1 are independently selected from $Z^{1b}Q^{tb}$; wherein Z^{1b} is a direct bond; and Q^{tb} is a 5- or 6-membered carbocyclic or heterocyclic ring unsubstituted or substituted with at least one substituent independently selected from the group consisting of halogen, C_1–C_4 alkyl, C_1–C_4 haloalkyl, C_1–C_4 alkoxy, C_1–C_4 haloalkoxy, C_1–C_4 alkylthio, C_1–C_4 haloalkylthio, C_1–C_4 alkylsulfinyl and C_1–C_4 haloalkylsulfinyl.

Embodiment 21. A compound of Embodiment 20b wherein R^1 is C_1–C_8 alkyl optionally substituted with halogen; or a 3- to 10-membered ring or a 7- to 11-membered ring system, each ring or ring system containing ring members selected from carbon atoms and up to 4 heteroatoms independently selected from up to 2 O, up to 2 S, and up to 4 N, wherein up to 3 carbon atom ring members are independently selected from C(=O) and C(=S) and the sulfur atom ring members are independently selected from $S(=O)_u(=NR^{24})_z$, each ring or ring system optionally substituted with up to 5 substituents independently selected from R^{14}.

Embodiment 21a. A compound of Embodiment 21 wherein R^1 is a 3- to 10-membered ring or a 7- to 11-membered ring system, each ring or ring system containing ring members selected from carbon atoms and up to 4 heteroatoms independently selected from up to 2 O, up to 2 S, and up to 4 N, wherein up to 3 carbon atom ring members are independently selected from C(=O) and C(=S) and the sulfur atom ring members are independently selected from $S(=O)_u(=NR^{24})_z$, each ring or ring system optionally substituted with up to 5 substituents independently selected from R^{14}.

Embodiment 21b. A compound of Formula **1** or any one of Embodiments 1–21a wherein the ring or ring system of R^1 is partially unsaturated or aromatic (including heteroaromatic).

Embodiment 21c. A compound of Embodiment 21b wherein the ring or ring system of R^1 is aromatic (including heteroaromatic).

Embodiment 21d. A compound of Formula **1** or any one of Embodiments 1–21c wherein the ring system of R^1 is bicyclic.

Embodiment 22. A compound of Formula **1** or any one of Embodiments 1–21c wherein R^1 is a 3- to 10-membered ring containing ring members selected from carbon atoms and up to 4 heteroatoms independently selected from up to 2 O, up to 2 S, and up to 4 N, wherein up to 3 carbon atom ring members are independently selected from C(=O) and C(=S) and the sulfur atom ring members are independently selected from $S(=O)_u(=NR^{24})_z$, and optionally substituted with up to 5 substituents independently selected from R^{14}.

Embodiment 22a. A compound of Embodiment 22 wherein the ring of R^1 is 5- or 6-membered.

Embodiment 22b. A compound of Embodiment 22 or 22a wherein the ring of R^1 is carbocyclic.

Embodiment 22c. A compound of Embodiment 22 or 22a wherein the ring of R^1 is heterocyclic.

Embodiment 22d. A compound of Embodiment 22a wherein the ring is phenyl optionally substituted with up to 5 substituents independently selected from R^{14}; a 5-membered heterocyclic ring optionally substituted with up to 3 substituents independently selected from R^{14}; or a 6-membered heterocyclic ring optionally substituted with up to 5 substituents independently selected from R^{14}.

Embodiment 22e. A compound of Embodiment 22d wherein R^1 is phenyl optionally substituted with up to 5 substituents independently selected from R^{14}; or pyridinyl optionally substituted with up to 4 substituents independently selected from R^{14}.

Embodiment 22f. A compound of Embodiment 22e wherein R^1 is phenyl optionally substituted with up to 3 substituents independently selected from R^{14}; or pyridinyl optionally substituted with up to 2 substituents independently selected from R^{14}.

Embodiment 22g. A compound of Embodiment 22f wherein R^1 is phenyl optionally substituted with up to 3 substituents independently selected from R^{14}.

Embodiment 22h. A compound of Embodiment 22f wherein R^1 is pyridinyl optionally substituted with up to 2 substituents independently selected from R^{14}.

Embodiment 22i. A compound of Formula 1 or any one of Embodiments 1–22h wherein

R^{14} when taken alone (i.e. when not taken together with another instance of R^{14} on an adjacent ring atom to form a ring) is halogen, cyano, SF_5, CHO, $C(=O)R^{18}$, $C(=O)OR^{18}$, $C(=O)NR^{21}R^{19}$, Z^1Q^t or $Z^1Q^iZ^1Q^t$; or C_1–C_8 alkyl, C_2–C_8 alkenyl, C_2–C_8 alkynyl, C_3–C_{10} cycloalkyl, C_4–C_{10} alkylcycloalkyl, C_4–

C_{10} cycloalkylalkyl, C_1–C_8 alkoxy, C_3–C_8 cycloalkoxy, C_4–C_{10} cycloalkylalkoxy, C_2–C_8 alkenyloxy, C_2–C_8 alkynyloxy, C_1–C_8 alkylthio, C_1–C_8 alkylsulfinyl, C_1–C_8 alkylsulfonyl, C_3–C_8 cycloalkylthio, C_4–C_{10} cycloalkylalkylthio, C_2–C_8 alkenylthio, C_2–C_8 alkynylthio, each unsubstituted or substituted with at least one substituent independently selected from R^{17a}; and R^{17a} is halogen, OR^{11} or Z^1Q^t.

Embodiment 22j. A compound of Embodiment 22i wherein R^{14} when taken alone is halogen or Z^1Q^t; or C_1–C_8 alkyl, C_1–C_8 alkoxy or C_1–C_8 alkylthio, each optionally substituted with halogen.

Embodiment 22k. A compound of Formula **1** or any one of Embodiments 1–22j wherein each instance of R^{14} is taken alone (i.e. not taken together with another instance of R^{14} on an adjacent ring atom to form a ring).

Embodiment 22m. A compound of Formula **1** or any one of Embodiments 1–22d wherein R^1 is phenyl or a 6-membered heteroaromatic ring, each optionally substituted with up to 3 substituents independently selected from R^{14}.

Embodiment 22m1. A compound of Formula **1** or any one of Embodiments 1–22d wherein R^1 is phenyl or a 5- or 6-membered heteroaromatic ring, each optionally substituted with up to 3 substituents independently selected from R^{14}.

Embodiment 22n. A compound of Embodiment 22m wherein R^1 is phenyl or pyridinyl, each optionally substituted with up to 3 substituents independently selected from R^{14}.

Embodiment 22o. A compound of Embodiments 22m or 22n wherein R^{14} is halogen, cyano, $C(=NOR^{21})R^{22}$ or Z^1Q^t; or C_1–C_8 alkyl, C_1–C_8 alkoxy or C_1–C_8 alkylthio, each optionally substituted with halogen.

Embodiment 22o1. A compound of Embodiments 22m, 22m1 or 22n wherein R^{14} is halogen, cyano, $C(=O)OR^{18}$, $C(=O)NR^{21}R^{19}$, $C(=NOR^{21})R^{22}$ or Z^1Q^t; or C_1–C_8 alkyl, C_1–C_8 alkoxy or C_1–C_8 alkylthio, each optionally substituted with halogen.

Embodiment 22p. A compound of Embodiment 22o wherein R^{21} is C_1–C_4 alkyl.

Embodiment 22q. A compound of Embodiment 22o wherein R^{22} is C_1–C_4 alkyl.

Embodiment 22 r. A compound of Embodiment 22o wherein one R^{14} is Z^1Q^t; Z^1 is a direct bond; and Q^t is phenyl, pyridinyl or pyrimidinyl, each optionally substituted with up to 5 substituents independently selected from the group consisting of halogen, cyano, C_1–C_4 alkyl, C_1–C_4 haloalkyl, C_1–C_4 alkoxy and C_1–C_4 haloalkoxy.

Embodiment 23. A compound of Formula **1** or any one of Embodiments 1–22r wherein R^2 is H, halogen, cyano, CHO, $C(=O)R^{18}$, $C(=O)OR^{18}$, $C(=O)NR^{21}R^{19}$, $C(=S)NR^{21}R^{19}$ or $SO_2NR^{21}R^{19}$; or C_1–C_8 alkyl, C_2–C_8 alkenyl, C_2–C_8 alkynyl,

C_3–C_{10} cycloalkyl, C_4–C_{10} alkylcycloalkyl, C_4–C_{10} cycloalkylalkyl, C_6–C_{14} cycloalkylcycloalkyl, C_5–C_{10} alkylcycloalkylalkyl, C_3–C_8 cycloalkenyl, C_1–C_8 alkoxy, C_3–C_8 cycloalkoxy, C_4–C_{10} cycloalkylalkoxy, C_2–C_8 alkenyloxy, C_2–C_8 alkynyloxy, C_1–C_8 alkylthio, C_1–C_8 alkylsulfinyl, C_1–C_8 alkylsulfonyl, C_3–C_8 cycloalkylthio, C_3–C_8 cycloalkylsulfinyl, C_3–C_8 cycloalkylsulfonyl, C_4–C_{10} cycloalkylalkylthio, C_4–C_{10} cycloalkylalkylsulfinyl, C_4–C_{10} cycloalkylalkylsulfonyl, C_2–C_8 alkenylthio, C_2–C_8 alkenylsulfinyl, C_2–C_8 alkenylsulfonyl, C_2–C_8 alkynylthio, C_2–C_8 alkynylsulfinyl or C_2–C_8 alkynylsulfonyl, each unsubstituted or substituted with at least one substituent independently selected from the group consisting of halogen, cyano, nitro, CHO, C(=O)OH, $C(=O)NH_2$, $C(=O)R^{10}$, $C(=O)OR^{11}$, $C(=O)NR^{12}R^{13}$, OR^{11}, $S(O)_nR^{10}$, $SO_2NR^{12}R^{13}$ and $Si(R^{10})_3$; or a 3- to 10-membered ring or a 7- to 11-membered ring system, each ring or ring system containing ring members selected from carbon atoms and up to 4 heteroatoms independently selected from up to 2 O, up to 2 S, and up to 4 N, wherein up to 3 carbon atom ring members are independently selected from C(=O) and C(=S) and the sulfur atom ring members are independently selected from $S(=O)_u(=NR^{24})_z$, each ring or ring system optionally substituted with up to 5 substituents independently selected from R^{15}.

Embodiment 23a. A compound of Embodiment 23 wherein R^2 is H, halogen, cyano, CHO, $C(=O)R^{18}$ or $C(=O)OR^{18}$; or C_1–C_8 alkyl, C_2–C_8 alkenyl, C_2–C_8 alkynyl, C_3–C_{10} cycloalkyl, C_4–C_{10} alkylcycloalkyl, C_4–C_{10} cycloalkylalkyl, C_6–C_{14} cycloalkylcycloalkyl, C_5–C_{10} alkylcycloalkylalkyl, C_3–C_8 cycloalkenyl, C_1–C_8 alkoxy, C_3–C_8 cycloalkoxy, C_4–C_{10} cycloalkylalkoxy, C_2–C_8 alkenyloxy or C_2–C_8 alkynyloxy, each unsubstituted or substituted with at least one substituent independently selected from the group consisting of halogen, cyano, nitro, CHO, C(=O)OH, $C(=O)NH_2$, $C(=O)R^{10}$, $C(=O)OR^{11}$, $C(=O)NR^{12}R^{13}$, OR^{11}, $S(O)_nR^{10}$, $SO_2NR^{12}R^{13}$ and $Si(R^{10})_3$; or a 3- to 10-membered ring or a 7- to 11-membered ring system, each ring or ring system containing ring members selected from carbon atoms and up to 4 heteroatoms independently selected from up to 2 O, up to 2 S, and up to 4 N, wherein up to 3 carbon atom ring members are independently selected from C(=O) and C(=S) and the sulfur atom ring members are independently selected from $S(=O)_u(=NR^{24})_z$, each ring or ring system optionally substituted with up to 5 substituents independently selected from R^{15}.

Embodiment 23b. A compound of Embodiment 23a wherein R^2 is H.

Embodiment 23c. A compound of Embodiment 23a wherein R^2 is halogen.

Embodiment 23d. A compound of Embodiment 23a wherein R^2 is cyano, CHO, $C(=O)R^{18}$ or $C(=O)OR^{18}$; or C_1–C_8 alkyl, C_2–C_8 alkenyl, C_2–C_8 alkynyl, C_3–C_{10} cycloalkyl, C_4–C_{10} alkylcycloalkyl, C_4–C_{10} cycloalkylalkyl, C_1–C_8 alkoxy, C_3–C_8 cycloalkoxy or C_4–C_{10} cycloalkylalkoxy, each unsubstituted or substituted with at least one substituent independently selected from the group consisting of halogen, cyano, nitro, CHO, C(=O)OH, $C(=O)NH_2$, $C(=O)R^{10}$, $C(=O)OR^{11}$, $C(=O)NR^{12}R^{13}$, OR^{11}, $S(O)_nR^{10}$, $SO_2NR^{12}R^{13}$ and $Si(R^{10})_3$; or a 3- to 10-membered ring or a 7- to 11-membered ring system, each ring or ring system containing ring members selected from carbon atoms and up to 4 heteroatoms independently selected from up to 2 O, up to 2 S, and up to 4 N, wherein up to 3 carbon atom ring members are independently selected from C(=O) and C(=S) and the sulfur atom ring members are independently selected from $S(=O)_u(=NR^{24})_z$, each ring or ring system optionally substituted with up to 5 substituents independently selected from R^{15}.

Embodiment 23e. A compound of Embodiment 23d wherein R^2 is $C(=O)OR^{18}$; or C_1–C_8 alkyl optionally substituted with halogen; or a 3- to 10-membered ring or a 7- to 11-membered ring system, each ring or ring system containing ring members selected from carbon atoms and up to 4 heteroatoms independently selected from up to 2 O, up to 2 S, and up to 4 N, wherein up to 3 carbon atom ring members are independently selected from C(=O) and C(=S) and the sulfur atom ring members are independently selected from $S(=O)_u(=NR^{24})_z$, each ring or ring system optionally substituted with up to 5 substituents independently selected from R^{15}.

Embodiment 23f. A compound of Formula **1** or any one of Embodiments 1–23e wherein instances of R^{18} in the definition of R^2 are independently selected from R^{18a}; and R^{18a} is C_1–C_6 alkyl, C_2–C_6 alkenyl, C_2–C_6 alkynyl, C_3–C_8 cycloalkyl, C_4–C_8 alkylcycloalkyl, C_4–C_8 cycloalkylalkyl, C_6–C_{10} cycloalkylcycloalkyl, C_5–C_{10} alkylcycloalkylalkyl or C_3–C_6 cycloalkenyl, each unsubstituted or substituted with at least one substituent independently selected from R^{17a};

R^{17a} is halogen, OR^{11} or $Z^{1c}Q^t$; and Z^{1c} is a direct bond.

Embodiment 24. A compound of Embodiment 23e wherein R^2 is a 3- to 10-membered ring or a 7- to 11-membered ring system, each ring or ring system containing ring members selected from carbon atoms and up to 4 heteroatoms independently selected from up to 2 O, up to 2 S, and up to 4 N, wherein up to 3 carbon atom ring members are independently selected from C(=O) and C(=S) and the sulfur atom ring members are independently selected from $S(=O)_u(=NR^{24})_z$, each ring

or ring system optionally substituted with up to 5 substituents independently selected from R^{15}.

Embodiment 24a. A compound of Embodiment 24 wherein R^2 is a 3- to 10-membered ring containing ring members selected from carbon atoms and up to 4 heteroatoms independently selected from up to 2 O, up to 2 S, and up to 4 N, wherein up to 3 carbon atom ring members are independently selected from C(=O) and C(=S) and the sulfur atom ring members are independently selected from $S(=O)_u(=NR^{24})_z$, and optionally substituted with up to 5 substituents independently selected from R^{15}.

Embodiment 24b. A compound of Embodiment 24a wherein the ring of R^2 is 5- or 6-membered.

Embodiment 24c. A compound of Embodiment 24b wherein the ring of R^2 is 5-membered and optionally substituted with up to 3 substituents independently selected from R^{15}.

Embodiment 24d. A compound of Embodiment 24b wherein the ring of R^2 is 6-membered.

Embodiment 24e. A compound of Formula **1** or any one of Embodiments 1–24d wherein the ring or ring system of R^2 is partially unsaturated or aromatic (including heteroaromatic).

Embodiment 24f. A compound of Embodiment 24e wherein the ring or ring system of R^2 is aromatic (including heteroaromatic).

Embodiment 24h. A compound of Formula **1** or any one of Embodiments 1–24f wherein the ring or ring system of R^2 is carbocyclic.

Embodiment 24i. A compound of Formula **1** or any one of Embodiments 1–24f wherein the ring or ring system of R^2 is heterocyclic.

Embodiment 25. A compound of Embodiment 24i wherein R^2 is pyridinyl, pyrimidinyl or thiazolyl, each optionally substituted with up to 3 substituents independently selected from the group consisting of halogen, cyano, SF_5, CHO, $C(=O)R^{18}$, $C(=O)OR^{18}$, $C(=O)NR^{21}R^{19}$ and Z^1Q^t, and C_1–C_8 alkyl, C_2–C_8 alkenyl, C_2–C_8 alkynyl, C_3–C_{10} cycloalkyl, C_4–C_{10} alkylcycloalkyl, C_4–C_{10} cycloalkylalkyl, C_1–C_8 alkoxy, C_3–C_8 cycloalkoxy, C_4–C_{10} cycloalkylalkoxy, C_2–C_8 alkenyloxy, C_2–C_8 alkynyloxy, C_1–C_8 alkylthio, C_1–C_8 alkylsulfinyl, C_1–C_8 alkylsulfonyl, C_3–C_8 cycloalkylthio, C_4–C_{10} cycloalkylalkylthio, C_2–C_8 alkenylthio and C_2–C_8 alkynylthio, each unsubstituted or substituted with at least one substituent independently selected from the group consisting of halogen, OR^{11} and Z^1Q^t.

Embodiment 25a. A compound of Embodiment 24i wherein R^2 is pyridinyl, pyrimidinyl, oxazolyl or thiazolyl, each optionally substituted with up to 3

substituents independently selected from the group consisting of halogen and C_1–C_4 alkyl.

Embodiment 25b. A compound of Embodiment 25 wherein R^2 is pyridinyl, pyrimidinyl or thiazolyl, each optionally substituted with up to 3 substituents independently selected from the group consisting of halogen and C_1–C_4 alkyl.

Embodiment 25c. A compound of Embodiment 25b wherein R^2 is pyridinyl optionally substituted with at least one substituent independently selected from the group consisting of halogen and C_1–C_4 alkyl.

Embodiment 25d. A compound of Embodiment 25c wherein R^2 is pyridinyl optionally substituted with halogen.

Embodiment 25e. A compound of Embodiment 25d wherein R^2 is pyridinyl optionally substituted with Cl.

Embodiment 25f. A compound of Embodiment 25e wherein R^2 is 6-chloro-3-pyridinyl.

Embodiment 26. A compound of Embodiment 25b wherein R^2 is thiazolyl optionally substituted with at least one substituent independently selected from the group consisting of halogen or C_1–C_4 alkyl.

Embodiment 26a. A compound of Embodiment 26 wherein R^2 is thiazolyl optionally substituted with halogen.

Embodiment 26b. A compound of Embodiment 26a wherein R^2 is thiazolyl optionally substituted with Cl.

Embodiment 26c. A compound of Embodiment 26b wherein R^2 is 2-chloro-5-thiazolyl.

Embodiment 26d. A compound of Embodiment 25b wherein R^2 is pyrimidinyl optionally substituted with C_1–C_4 alkyl.

Embodiment 26e. A compound of Embodiment 24i wherein R^2 is *N*-methyl pyrazolyl optionally substituted with C_1–C_4 alkyl.

Embodiment 26f. A compound of Embodiment 25b wherein R^2 is 6-chloro-3-pyridinyl or 2-chloro-5-thiazolyl.

Embodiment 26g. A compound of Formula **1** or any one of Embodiments 1– 26f wherein each R^{15} is independently halogen, cyano, SF_5, CHO, $C(=O)R^{18}$, $C(=O)OR^{18}$, $C(=O)NR^{21}R^{19}$ or $Z^{1c}Q^t$; or C_1–C_8 alkyl, C_2–C_8 alkenyl, C_2–C_8 alkynyl, C_3–C_{10} cycloalkyl, C_4–C_{10} alkylcycloalkyl, C_4–C_{10} cycloalkylalkyl, C_1–C_8 alkoxy, C_3–C_8 cycloalkoxy, C_4–C_{10} cycloalkylalkoxy, C_2–C_8 alkenyloxy, C_2–C_8 alkynyloxy, C_1–C_8 alkylthio, C_1–C_8 alkylsulfinyl, C_1–C_8 alkylsulfonyl, C_3–C_8 cycloalkylthio, C_4–C_{10} cycloalkylalkylthio, C_2–C_8 alkenylthio, C_2–C_8 alkynylthio, each unsubstituted or substituted with at least one substituent independently selected from R^{17a}; R^{17a} is halogen, OR^{11} or $Z^{1d}Q^t$; Z^{1c} is a direct bond; and Z^{1d} is a direct bond.

Embodiment 27. A compound of Formula **1** or any one of Embodiments 1–26g wherein each R^4 and R^5 is independently H, halogen, cyano, hydroxy, amino, nitro, OCN, SCN, CHO, C(=O)OH, $C(=O)NH_2$, $C(=S)NH_2$ or SO_2NH_2; or C_1–C_6 alkyl, C_2–C_6 alkenyl, C_2–C_6 alkynyl, C_3–C_8 cycloalkyl, C_4–C_8 alkylcycloalkyl, C_4–C_8 cycloalkylalkyl, C_6–C_{12} cycloalkylcycloalkyl, C_5–C_8 alkylcycloalkylalkyl, C_3–C_6 cycloalkenyl, C_1–C_6 alkoxy, C_3–C_6 cycloalkoxy, C_4–C_8 cycloalkylalkoxy, C_2–C_6 alkenyloxy or C_2–C_6 alkynyloxy, each unsubstituted or substituted with at least one substituent independently selected from the group consisting of halogen, cyano, nitro, CHO, C(=O)OH, $C(=O)NH_2$, $C(=O)R^{10}$, $C(=O)OR^{11}$, $C(=O)NR^{12}R^{13}$, OR^{11}, $S(O)_nR^{10}$, $SO_2NR^{12}R^{13}$ and $Si(R^{10})_3$.

Embodiment 27a. A compound of Embodiment 27 where each R^4 and R^5 is independently H or halogen; or C_1–C_6 alkyl, C_3–C_8 cycloalkyl or C_1–C_6 alkoxy, each optionally substituted with halogen.

Embodiment 27b. A compound of Embodiment 27a where each R^4 and R^5 is independently H, halogen, C_1–C_2 alkyl or C_1–C_2 alkoxy.

Embodiment 27c. A compound of Embodiment 27b where each R^4 and R^5 is independently H, halogen or CH_3.

Embodiment 27d. A compound of Embodiment 27c wherein each R^4 and R^5 is independently H or halogen.

Embodiment 27e. A compound of Formula **1** or any one of Embodiments 1–27d wherein each R^5 is H.

Embodiment 27f. A compound of Embodiment 27e wherein each R^4 and R^5 is H.

Embodiment 28. A compound of Formula **1** or any one of Embodiments 1–27f wherein each R^6, R^7 and R^8 is independently H, C_1–C_6 alkyl, C_2–C_6 alkylcarbonyl or C_2–C_6 alkoxycarbonyl.

Embodiment 29. A compound of Embodiment 28 wherein each R^6, R^7 and R^8 is independently H, CH_3, $C(=O)CH_3$ or $C(=O)OCH_3$.

Embodiment 30. A compound of Formula **1** or any one of Embodiments 1–29 wherein each Z^1 is a direct bond.

Embodiment 30a. A compound of Formula **1** or any one of Embodiments 1–29 wherein each Z^1 is independently a direct bond or O.

Embodiment 31. A compound of Formula **1** or any one of Embodiments 1–30 wherein each R^{16} is independently C_1–C_6 alkyl, C_2–C_6 alkenyl, C_2–C_6 alkynyl, C_3–C_8 cycloalkyl, C_4–C_8 alkylcycloalkyl, C_4–C_8 cycloalkylalkyl, each unsubstituted or substituted with at least one substituent independently selected from the group consisting of halogen, cyano, C_1–C_4 alkoxy, C_1–C_4 haloalkoxy, C_1–C_4

alkylthio, C_1–C_4 haloalkylthio, C_2–C_4 alkoxyalkyl, C_2–C_4 alkylcarbonyl, C_2–C_6 alkoxycarbonyl, C_2–C_6 alkylcarbonyloxy and C_3–C_8 dialkylaminocarbonyl.

Embodiment 32. A compound of Formula **1** or any one of Embodiments 1–31 wherein a is 1.

Embodiment 33. A compound of Formula **1** or any one of Embodiments 1–32 wherein each R^{24} is independently H, cyano, C_1–C_4 alkyl or C_1–C_4 alkoxy.

Embodiment 34. A compound of Formula **1** or any one of Embodiments 1–33 wherein in each instance of $S(=O)_u(=NR^{24})_z$, when z is 1 then u is 1.

Embodiment 35. A compound of Formula **1** or any one of Embodiments 1–34 wherein each Q^i and Q^t is independently phenyl or pyridinyl, each optionally substituted with up to 5 substituents independently selected from halogen and C_1–C_4 haloalkyl.

Embodiment 35a. A compound of Formula **1** or any one of Embodiments 1–34 wherein each Q^t is independently phenyl or a 5- or 6-membered heteroaromatic ring, each optionally substituted with up to 5 substituents independently selected from the group consisting of halogen, cyano, nitro, CHO, $C(=O)OH$, $C(=O)NH_2$, $C(=O)R^{10}$, $C(=O)OR^{11}$, $C(=O)NR^{12}R^{13}$, $C(=O)NR^{21}NR^{22}R^{23}$, OR^{11}, $S(O)_nR^{10}$, $SO_2NR^{12}R^{13}$, $S(=O)(=NR^{21})R^{22}$, $Si(R^{10})_3$ and R^{16}.

Embodiment 36. A compound of Formula **1** or any one of Embodiments 1–34 wherein each Q^t is independently phenyl, pyridinyl or pyrimidinyl, each optionally substituted with up to 5 substituents independently selected from the group consisting of halogen, cyano, C_1–C_4 alkyl, C_1–C_4 haloalkyl, C_1–C_4 alkoxy and C_1–C_4 haloalkoxy.

Of note are compounds of Formula **1** or any one of Embodiments 1–36 wherein X and Y are O, a composition comprising said compound, and its use for controlling an invertebrate pest.

Embodiments of this invention, including Embodiments 1–36 above as well as any other embodiments described herein, can be combined in any manner, and the descriptions of variables in the embodiments pertain not only to the compounds of Formula **1** but also to the starting compounds and intermediate compounds useful for preparing the compounds of Formula **1**. In addition, embodiments of this invention, including Embodiments 1–36 above as well as any other embodiments described herein, and any combination thereof, pertain to the compositions and methods of the present invention.

Combinations of Embodiments 1–36 are illustrated by:

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

X is O;

Y is O;

Z is a direct bond, $C(=X^1)$ or $C(=X^1)E$;

X^1 is O;

E is O;

A is $C(R^{3c})=C(R^{3d})$ or NR^{3e};

R^1 is C_1–C_8 alkyl optionally substituted with halogen; or a 3- to 10-membered ring or a 7- to 11-membered ring system, each ring or ring system containing ring members selected from carbon atoms and up to 4 heteroatoms independently selected from up to 2 O, up to 2 S, and up to 4 N, wherein up to 3 carbon atom ring members are independently selected from C(=O) and C(=S) and the sulfur atom ring members are independently selected from $S(=O)_u(=NR^{24})_z$, each ring or ring system optionally substituted with up to 5 substituents independently selected from R^{14};

R^2 is $C(=O)OR^{18}$; or C_1–C_8 alkyl optionally substituted with halogen; or a 3- to 10-membered ring or a 7- to 11-membered ring system, each ring or ring system containing ring members selected from carbon atoms and up to 4 heteroatoms independently selected from up to 2 O, up to 2 S, and up to 4 N, wherein up to 3 carbon atom ring members are independently selected from C(=O) and C(=S) and the sulfur atom ring members are independently selected from $S(=O)_u(=NR^{24})_z$, each ring or ring system optionally substituted with up to 5 substituents independently selected from R^{15};

R^{3a}, R^{3b}, R^{3c} are independently H or halogen;

R^{3d} is halogen, C_1–C_8 alkyl, C_1–C_8 alkoxy, C_1–C_8 haloalkyl or C_1–C_8 haloalkoxy; or R^{3c} and R^{3d} are taken together to form a 5- to 7-membered carbocyclic or heterocyclic ring, each ring containing ring members selected from carbon atoms and up to 3 heteroatoms independently selected from up to 2 O, up to 2 S, and up to 3 N, wherein up to 2 carbon atom ring members are independently selected from C(=O) and C(=S) and the sulfur atom ring members are independently selected from $S(=O)_n$, each ring optionally substituted with up to 3 substituents independently selected from the group consisting of halogen, cyano, hydroxy, amino, nitro, C(=O)OH, $C(=O)NH_2$, SO_2NH_2, C_1–C_4 alkyl, C_1–C_4 haloalkyl, C_2–C_4 alkenyl, C_2–C_4 haloalkenyl, C_2–C_4 alkynyl, C_2–C_4 haloalkynyl, C_3–C_7 cycloalkyl, C_3–C_7 halocycloalkyl, C_4–C_8 alkylcycloalkyl, C_4–C_8 haloalkylcycloalkyl, C_4–C_8 cycloalkylalkyl, C_4–C_8 halocycloalkylalkyl, C_1–C_6 alkoxy, C_1–C_6 haloalkoxy, C_2–C_6 alkoxycarbonyl, C_2–C_6 haloalkoxycarbonyl, C_2–C_6 alkylcarbonyl and C_2–C_6 haloalkylcarbonyl;

R^{3e} is C_1–C_4 alkyl;

R^4 and R^5 are H; and

a is 1.

Embodiment A1. A compound of Embodiment A wherein

R^{3d} is independently halogen, C_1–C_8 alkyl or C_1–C_8 alkoxy; or

R^{3c} and R^{3d} are taken together to form a 5- to 7-membered carbocyclic or heterocyclic ring, each ring containing ring members selected from carbon atoms and up to 3 heteroatoms independently selected from up to 2 O, up to 2 S, and up to 3 N, wherein up to 2 carbon atom ring members are independently selected from C(=O) and C(=S) and the sulfur atom ring members are independently selected from $S(=O)_n$, each ring optionally substituted with up to 3 substituents independently selected from the group consisting of halogen, cyano, hydroxy, amino, nitro, C(=O)OH, $C(=O)NH_2$, SO_2NH_2, C_1–C_4 alkyl, C_1–C_4 haloalkyl, C_2–C_4 alkenyl, C_2–C_4 haloalkenyl, C_2–C_4 alkynyl, C_2–C_4 haloalkynyl, C_3–C_7 cycloalkyl, C_3–C_7 halocycloalkyl, C_4–C_8 alkylcycloalkyl, C_4–C_8 haloalkylcycloalkyl, C_4–C_8 cycloalkylalkyl, C_4–C_8 halocycloalkylalkyl, C_1–C_6 alkoxy, C_1–C_6 haloalkoxy, C_2–C_6 alkoxycarbonyl, C_2–C_6 haloalkoxycarbonyl, C_2–C_6 alkylcarbonyl and C_2–C_6 haloalkylcarbonyl.

Embodiment B. A compound of Embodiment A1 wherein

R^1 is phenyl or a 5- or 6-membered heteroaromatic ring, each optionally substituted with up to 3 substituents independently selected from R^{14};

R^{14} is halogen, cyano, $C(=O)OR^{18}$, $C(=O)NR^{21}R^{19}$, $C(=NOR^{21})R^{22}$ or Z^1Q^t; or C_1–C_8 alkyl, C_1–C_8 alkoxy or C_1–C_8 alkylthio, each optionally substituted with halogen;

R^{21} is C_1–C_4 alkyl;

R^{22} is C_1–C_4 alkyl;

Z is a direct bond;

each Z^1 is independently a direct bond or O; and

each Q^t is independently phenyl or a 5- or 6-membered heteroaromatic ring, each optionally substituted with up to 5 substituents independently selected from the group consisting of halogen, cyano, nitro, CHO, C(=O)OH, $C(=O)NH_2$, $C(=O)R^{10}$, $C(=O)OR^{11}$, $C(=O)NR^{12}R^{13}$, $C(=O)NR^{21}NR^{22}R^{23}$, OR^{11}, $S(O)_nR^{10}$, $SO_2NR^{12}R^{13}$, $S(=O)(=NR^{21})R^{22}$, $Si(R^{10})_3$ and R^{16}.

Embodiment B1. A compound of Embodiment B wherein

R^1 is phenyl optionally substituted with up to 3 substituents independently selected from R^{14};

R^{14} is halogen, cyano, SF_5, CHO, $C(=O)R^{18}$, $C(=O)OR^{18}$, $C(=O)NR^{21}R^{19}$, Z^1Q^t or $Z^1Q^iZ^1Q^t$; or C_1–C_8 alkyl, C_2–C_8 alkenyl, C_2–C_8 alkynyl, C_3–C_{10} cycloalkyl, C_4–C_{10} alkylcycloalkyl, C_4–C_{10} cycloalkylalkyl, C_1–C_8 alkoxy, C_3–C_8 cycloalkoxy, C_4–C_{10} cycloalkylalkoxy, C_2–C_8 alkenyloxy, C_2–C_8 alkynyloxy, C_1–C_8 alkylthio, C_1–C_8 alkylsulfinyl, C_1–C_8 alkylsulfonyl, C_3–C_8 cycloalkylthio, C_4–C_{10} cycloalkylalkylthio, C_2–C_8 alkenylthio or C_2–C_8

alkynylthio, each unsubstituted or substituted with at least one substituent independently selected from R^{17a};

R^{17a} is halogen, OR^{11} or Z^1Q^t;

R^2 is pyridinyl, pyrimidinyl or thiazolyl, each optionally substituted with up to 3 substituents independently selected from halogen, cyano, SF_5, CHO, $C(=O)R^{18}$, $C(=O)OR^{18}$, $C(=O)NR^{21}R^{19}$, Z^1Q^t; or C_1–C_8 alkyl, C_2–C_8 alkenyl, C_2–C_8 alkynyl, C_3–C_{10} cycloalkyl, C_4–C_{10} alkylcycloalkyl, C_4–C_{10} cycloalkylalkyl, C_1–C_8 alkoxy, C_3–C_8 cycloalkoxy, C_4–C_{10} cycloalkylalkoxy, C_2–C_8 alkenyloxy, C_2–C_8 alkynyloxy, C_1–C_8 alkylthio, C_1–C_8 alkylsulfinyl, C_1–C_8 alkylsulfonyl, C_3–C_8 cycloalkylthio, C_4–C_{10} cycloalkylalkylthio, C_2–C_8 alkenylthio and C_2–C_8 alkynylthio, each unsubstituted or substituted with at least one substituent independently selected from the group consisting of halogen, OR^{11} and Z^1Q^t;

each Z^1 is a direct bond; and

each Q^i and Q^t is independently phenyl or pyridinyl, each optionally substituted with up to 5 substituents independently selected from the group consisting of halogen and C_1–C_4 haloalkyl.

Embodiment C. A compound of Embodiment B1 wherein

A is $C(R^{3c})=C(R^{3d})$;

Z is a direct bond;

R^{14} is halogen or Z^1Q^t; or C_1–C_8 alkyl, C_1–C_8 alkoxy or C_1–C_8 alkylthio, each optionally substituted with halogen; and

R^2 is pyridinyl, pyrimidinyl or thiazolyl, each optionally substituted with up to 3 substituents independently selected from the group consisting of halogen and C_1–C_4 alkyl.

Embodiment D. A compound of Embodiment C wherein

R^{3a}, R^{3b} and R^{3c} are H; and

R^{3d} is halogen, C_1–C_4 alkyl or C_1–C_4 alkoxy.

Embodiment E. A compound of Embodiment A wherein

R^1 is phenyl or a 6-membered heteroaromatic ring, each optionally substituted with up to 3 substituents independently selected from R^{14};

R^{14} is halogen, cyano, $C(=NOR^{21})R^{22}$ or Z^1Q^t; or C_1–C_8 alkyl, C_1–C_8 alkoxy or C_1–C_8 alkylthio, each optionally substituted with halogen;

R^{21} is C_1–C_4 alkyl;

R^{22} is C_1–C_4 alkyl;

Z is a direct bond; and

each Z^1 is a direct bond.

Embodiment F. A compound of Embodiment B wherein

R^2 is pyridinyl, pyrimidinyl, oxazolyl or thiazolyl, each optionally substituted with up to 3 substituents independently selected from the group consisting of halogen and C_1–C_4 alkyl.

Embodiment G. A compound of Embodiment F wherein

R^1 is phenyl or pyridinyl, each optionally substituted with up to 3 substituents independently selected from R^{14}; and

each Q^t is independently phenyl, pyridinyl or pyrimidinyl, each optionally substituted with up to 5 substituents independently selected from the group consisting of halogen, cyano, C_1–C_4 alkyl, C_1–C_4 haloalkyl, C_1–C_4 alkoxy and C_1–C_4 haloalkoxy.

Embodiment H. A compound of Embodiment G wherein

A is $C(R^{3c})=C(R^{3d})$;

R^{3a}, R^{3b} and R^{3c} are H; and

R^{3d} is halogen, C_1–C_4 alkyl or C_1–C_4 alkoxy.

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

9-bromo-1-[(2-chloro-5-thiazolyl)methyl]-2-hydroxy-4-oxo-3-[3-(trifluoromethoxy)phenyl]-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;

9-bromo-1-[(2-chloro-5-thiazolyl)methyl]-2-hydroxy-4-oxo-3-[3-(trifluoromethyl)phenyl]-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;

9-bromo-3-(3-bromophenyl)-1-[(2-chloro-5-thiazolyl)methyl]-2-hydroxy-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;

9-bromo-1-[(2-chloro-5-thiazolyl)methyl]-3-[3-chloro-5-(trifluoromethyl)phenyl]-2-hydroxy-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;

9-bromo-3-[3-chloro-2-fluoro-5-(trifluoromethyl)phenyl]-1-[(2-chloro-5-thiazolyl)methyl]-2-hydroxy-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;

9-chloro-1-[(2-chloro-5-thiazolyl)methyl]-2-hydroxy-4-oxo-3-[3-(trifluoromethoxy)phenyl]-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;

1-[(2-chloro-5-thiazolyl)methyl]-2-hydroxy-9-methyl-4-oxo-3-[3-(trifluoromethoxy)phenyl]-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;

1-[(2-chloro-5-thiazolyl)methyl]-2-hydroxy-9-methyl-4-oxo-3-[3-(trifluoromethyl)phenyl]-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;

1-[(2-chloro-5-thiazolyl)methyl]-3-(2-fluorophenyl)-2-hydroxy-9-methyl-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;

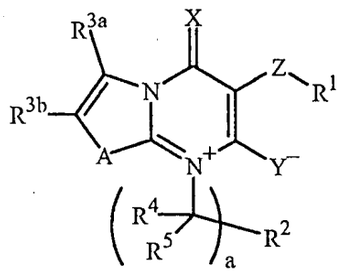
9-bromo-1-[(2-chloro-5-thiazolyl)methyl]-3-[3-chloro-5-(trifluoromethoxy)phenyl]-2-hydroxy-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;

1-[(2-chloro-5-thiazolyl)methyl]-3-[3-chloro-5-(trifluoromethoxy)phenyl]-2-hydroxy-9-methyl-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
1-[(2-chloro-5-thiazolyl)methyl]-3-(2,6-difluorophenyl)-2-hydroxy-9-methyl-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
1-[(2-chloro-5-thiazolyl)methyl]-2-hydroxy-9-methyl-4-oxo-3-[3-[(trifluoromethyl)thio]phenyl]-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
3-(2-fluorophenyl)-2-hydroxy-9-methyl-4-oxo-1-(5-pyrimidinylmethyl)-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
1-[(2-chloro-5-thiazolyl)methyl]-2-hydroxy-9-methyl-4-oxo-3-phenyl-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
1-[(2-chloro-5-thiazolyl)methyl]-3-[2-fluoro-5-(trifluoromethyl)phenyl]-2-hydroxy-9-methyl-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
1-[(2-chloro-5-thiazolyl)methyl]-3-(4-fluorophenyl)-2-hydroxy-9-methyl-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
1-[(2-chloro-5-thiazolyl)methyl]-2-hydroxy-9-methoxy-4-oxo-3-[3-(trifluoromethoxy)phenyl]-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
1-[(2-chloro-5-thiazolyl)methyl]-3-[2-fluoro-5-(trifluoromethyl)phenyl]-2-hydroxy-9-methoxy-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
1-[(2-chloro-5-thiazolyl)methyl]-2-hydroxy-3-[2-methoxy-5-(trifluoromethoxy)phenyl]-9-methyl-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
1-[(2-chloro-5-thiazolyl)methyl]-2-hydroxy-3-(2-methoxyphenyl)-9-methyl-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
3-[3-chloro-2-fluoro-5-(trifluoromethyl)phenyl]-1-[(2-chloro-5-thiazolyl)methyl]-2-hydroxy-9-methyl-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
1-[(2-chloro-5-thiazolyl)methyl]-2-hydroxy-9-methyl-4-oxo-3-[4-[(trifluoromethyl)thio]phenyl]-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
1-[(2-chloro-5-thiazolyl)methyl]-3-(2,4-difluorophenyl)-2-hydroxy-9-methyl-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
3-(3-chloro-2-fluorophenyl)-1-[(2-chloro-5-thiazolyl)methyl]-2-hydroxy-9-methyl-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
1-[(2-chloro-5-thiazolyl)methyl]-2-hydroxy-3-(3-methoxyphenyl)-9-methyl-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
7-bromo-1-[(2-chloro-5-thiazolyl)methyl]-3-[2-fluoro-3-(trifluoromethyl)phenyl]-2-hydroxy-9-methyl-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
1-[(2-chloro-5-thiazolyl)methyl]-2-hydroxy-3-(3-iodophenyl)-9-methyl-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
2-hydroxy-9-methyl-1-[(2-methyl-5-thiazolyl)methyl]-4-oxo-3-[3-

(trifluoromethoxy)phenyl]-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
2-hydroxy-9-methyl-1-[(2-methyl-5-thiazolyl)methyl]-4-oxo-3-[3-(trifluoromethyl)phenyl]-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
3-(2-fluorophenyl)-2-hydroxy-9-methyl-1-[(2-methyl-5-thiazolyl)methyl]-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
3-[3-bromo-5-(trifluoromethyl)phenyl]-1-[(2-chloro-5-thiazolyl)methyl]-2-hydroxy-9-methyl-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
3-[3-bromo-5-(trifluoromethoxy)phenyl]-1-[(2-chloro-5-thiazolyl)methyl]-2-hydroxy-9-methyl-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
1-[(2-chloro-5-thiazolyl)methyl]-3-[3-chloro-5-(trifluoromethyl)phenyl]-2-hydroxy-9-methyl-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
1-[(2-chloro-5-thiazolyl)methyl]-3-[3-fluoro-5-(trifluoromethyl)phenyl]-2-hydroxy-9-methyl-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
1-[(2-chloro-5-thiazolyl)methyl]-2-hydroxy-3-(3-iodo-5-methoxyphenyl)-9-methyl-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
3-(3-bromophenyl)-1-[(2-chloro-5-thiazolyl)methyl]-2-hydroxy-9-methyl-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
1-[(2-chloro-5-thiazolyl)methyl]-2-hydroxy-9-methyl-4-oxo-3-[3'-(trifluoromethoxy)[1,1'-biphenyl]-3-yl]-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
1-[(2-chloro-5-thiazolyl)methyl]-3-(2',5'-difluoro[1,1'-biphenyl]-3-yl)-2-hydroxy-9-methyl-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
3-[3-(6-chloro-3-pyridinyl)-5-(trifluoromethoxy)phenyl]-1-[(2-chloro-5-thiazolyl)methyl]-2-hydroxy-9-methyl-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
1-[(2-chloro-5-thiazolyl)methyl]-3-(2',4'-dichloro[1,1'-biphenyl]-3-yl)-2-hydroxy-9-methyl-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
1-[(2-chloro-5-thiazolyl)methyl]-2-hydroxy-4-oxo-3-phenyl-4*H*-pyrimido[2,1-*a*]isoquinolinium inner salt; and
1-[(2-chloro-5-thiazolyl)methyl]-3-(3-ethenylphenyl)-2-hydroxy-9-methyl-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;

Further specific embodiments include compounds of Formula **1** selected from the group consisting of compound numbers 113, 118, 125, 137, 183, 190, 191, 196, 229, 231, 254, 257, 272, 289, 296, 299, 307, 308, 315, 343, 344, 352, 363, 364, 368, 381, 385, 421, 433, 435, 448, 449, 450, 451, 462, 482, 490 and 493, wherein the compound number refers to compounds in Index Tables A–E.

An embodiment of this invention is directed to compounds of Formula **1** (including all stereoisomers), *N*-oxides, and salts thereof, and compositions containing them and their use for controlling invertebrate pests:



1

wherein

X is O or S;

Y is O or S;

A is O, S, NR^{3e} or $C(R^{3c})=C(R^{3d})$, provided that the $C(R^{3c})=C(R^{3d})$ moiety is oriented so the carbon atom bonded to R^{3d} is connected directly to the pyrimidinium ring of Formula **1**;

Z is a direct bond, O, $S(O)_n$, NR^6, $C(R^7)_2O$, $OC(R^7)_2$, $C(=X^1)$, $C(=X^1)E$, $EC(=X^1)$, $C(=NOR^8)$ or $C(=NN(R^6)_2)$;

X^1 is O, S or NR^9;

E is O, S or NR^{9a};

R^1 is H, halogen, cyano, CHO, C(=O)OH, $C(=O)NH_2$ or $C(=S)NH_2$; or C_1–C_8 alkyl, C_2–C_8 alkenyl, C_2–C_8 alkynyl, C_3–C_{10} cycloalkyl, C_4–C_{10} alkylcycloalkyl, C_4–C_{10} cycloalkylalkyl, C_6–C_{14} cycloalkylcycloalkyl, C_5–C_{10} alkylcycloalkylalkyl or C_3–C_6 cycloalkenyl, each unsubstituted or substituted with at least one substituent independently selected from the group consisting of halogen, cyano, nitro, CHO, C(=O)OH, $C(=O)NH_2$, $C(=O)R^{10}$, $C(=O)OR^{11}$, $C(=O)NR^{12}R^{13}$, $C(=O)NR^{21}NR^{22}R^{23}$, OR^{11}, $S(O)_nR^{10}$, $SO_2NR^{12}R^{13}$, $S(=O)(=NR^{21})R^{22}$, $Si(R^{10})_3$ and Z^1Q^t; or a 3- to 10-membered ring or a 7- to 11-membered ring system, each ring or ring system containing ring members selected from carbon atoms and up to 4 heteroatoms independently selected from up to 2 O, up to 2 S, and up to 4 N, wherein up to 3 carbon atom ring members are independently selected from C(=O) and C(=S) and the sulfur atom ring members are independently selected from $S(=O)_u(=NR^{24})_z$, each ring or ring system optionally substituted with up to 5 substituents independently selected from R^{14}; provided that when R^1 is halogen, then Z is a direct bond, S(=O), $S(=O)_2$, $OC(R^7)_2$, $C(=X^1)$, $EC(=X^1)$, $C(=NOR^8)$ or $C(=NN(R^6)_2)$;

R^2 is H, halogen, cyano, hydroxy, amino, nitro, OCN, SCN, CHO, C(=O)OH, $C(=O)NH_2$, $C(=S)NH_2$, SO_2NH_2, $C(=O)R^{18}$, $C(=O)OR^{18}$, NHR^{18}, $NR^{18}R^{19}$, $C(=O)NR^{21}R^{19}$, $C(=S)NR^{21}R^{19}$, $SO_2NR^{21}R^{19}$, $OC(=O)R^{21}$, $OC(=O)OR^{18}$, $OC(=O)NR^{21}R^{19}$, $N(R^{21})C(=O)R^{21}$, $N(R^{21})C(=O)OR^{19}$, $N(R^{21})C(=O)NR^{21}R^{22}$, OSO_2R^{18}, $OSO_2NR^{21}R^{22}$, $NR^{21}SO_2R^{18}$, $NR^{21}SO_2NR^{21}R^{22}$ or $Si(R^{18}R^{19}R^{20})$; or C_1–C_8 alkyl, C_2–C_8 alkenyl, C_2–C_8 alkynyl, C_3–C_{10} cycloalkyl, C_4–C_{10} alkylcycloalkyl, C_4–C_{10} cycloalkylalkyl, C_6–C_{14} cycloalkylcycloalkyl, C_5–C_{10} alkylcycloalkylalkyl, C_3–C_8 cycloalkenyl, C_1–C_8 alkoxy, C_3–C_8 cycloalkoxy, C_4–C_{10} cycloalkylalkoxy, C_2–C_8 alkenyloxy, C_2–C_8 alkynyloxy, C_1–C_8 alkylthio, C_1–C_8 alkylsulfinyl, C_1–C_8 alkylsulfonyl, C_3–C_8 cycloalkylthio, C_3–C_8 cycloalkylsulfinyl, C_3–C_8 cycloalkylsulfonyl, C_4–C_{10} cycloalkylalkylthio, C_4–C_{10} cycloalkylalkylsulfinyl, C_4–C_{10} cycloalkylalkylsulfonyl, C_2–C_8 alkenylthio, C_2–C_8 alkenylsulfinyl, C_2–C_8 alkenylsulfonyl, C_2–C_8 alkynylthio, C_2–C_8 alkynylsulfinyl or C_2–C_8 alkynylsulfonyl, each unsubstituted or substituted with at least one substituent independently selected from the group consisting of halogen, cyano, nitro, CHO, C(=O)OH, $C(=O)NH_2$, $C(=O)R^{10}$, $C(=O)OR^{11}$, $C(=O)NR^{12}R^{13}$, OR^{11}, $S(O)_nR^{10}$, $SO_2NR^{12}R^{13}$ and $Si(R^{10})_3$; or a 3- to 10-membered ring or a 7- to 11-membered ring system, each ring or ring system containing ring members selected from carbon atoms and up to 4 heteroatoms independently selected from up to 2 O, up to 2 S, and up to 4 N, wherein up to 3 carbon atom ring members are independently selected from C(=O) and C(=S) and the sulfur atom ring members are independently selected from $S(=O)_u(=NR^{24})_z$, each ring or ring system optionally substituted with up to 5 substituents independently selected from R^{15};

R^{3a}, R^{3b}, R^{3c} and R^{3d} are independently H, halogen, cyano, hydroxy, amino, nitro, SF_5, OCN, SCN, CHO, C(=O)OH, $C(=O)NH_2$, $C(=S)NH_2$, SO_2NH_2, $C(=O)R^{18}$, $C(=O)OR^{18}$, NHR^{18}, $NR^{18}R^{19}$, $C(=O)NR^{21}R^{19}$, $C(=S)NR^{21}R^{19}$, $SO_2NR^{21}R^{19}$, $OC(=O)R^{21}$, $OC(=O)OR^{18}$, $OC(=O)NR^{21}R^{19}$, $N(R^{21})C(=O)R^{21}$, $N(R^{21})C(=O)OR^{19}$, $N(R^{21})C(=O)NR^{21}R^{22}$, OSO_2R^{18}, $OSO_2NR^{21}R^{22}$, $NR^{21}SO_2R^{18}$, $NR^{21}SO_2NR^{21}R^{22}$, $Si(R^{18}R^{19}R^{20})$ or Z^1Q^t; or C_1–C_8 alkyl, C_2–C_8 alkenyl, C_2–C_8 alkynyl, C_3–C_{10} cycloalkyl, C_4–C_{10} alkylcycloalkyl, C_4–C_{10} cycloalkylalkyl, C_6–C_{14} cycloalkylcycloalkyl, C_5–C_{10} alkylcycloalkylalkyl, C_3–C_8 cycloalkenyl, C_1–C_8 alkoxy, C_3–C_8 cycloalkoxy, C_4–C_{10} cycloalkylalkoxy, C_2–C_8 alkenyloxy, C_2–C_8 alkynyloxy, C_1–C_8 alkylthio, C_1–C_8 alkylsulfinyl, C_1–C_8 alkylsulfonyl, C_3–C_8 cycloalkylthio, C_3–C_8 cycloalkylsulfinyl, C_3–C_8 cycloalkylsulfonyl, C_4–C_{10} cycloalkylalkylthio, C_4–C_{10} cycloalkylalkylsulfinyl, C_4–C_{10} cycloalkylalkylsulfonyl, C_2–C_8 alkenylthio, C_2–C_8 alkenylsulfinyl, C_2–

C_8 alkenylsulfonyl, C_2–C_8 alkynylthio, C_2–C_8 alkynylsulfinyl or C_2–C_8 alkynylsulfonyl, each unsubstituted or substituted with at least one substituent independently selected from R^{17}; or R^{3a} and R^{3b}, or R^{3b} and R^{3c}, or R^{3c} and R^{3d} are taken together with the adjacent carbon atoms to which they are attached to form a 5- to 7-membered carbocyclic or heterocyclic ring, each ring containing ring members selected from carbon atoms and up to 3 heteroatoms independently selected from up to 2 O, up to 2 S, and up to 3 N, wherein up to 2 carbon atom ring members are independently selected from C(=O) and C(=S) and the sulfur atom ring members are independently selected from $S(=O)_n$, each ring optionally substituted with up to 3 substituents independently selected from the group consisting of halogen, cyano, hydroxy, amino, nitro, C(=O)OH, $C(=O)NH_2$, SO_2NH_2, C_1–C_4 alkyl, C_1–C_4 haloalkyl, C_2–C_4 alkenyl, C_2–C_4 haloalkenyl, C_2–C_4 alkynyl, C_2–C_4 haloalkynyl, C_3–C_7 cycloalkyl, C_3–C_7 halocycloalkyl, C_4–C_8 alkylcycloalkyl, C_4–C_8 haloalkylcycloalkyl, C_4–C_8 cycloalkylalkyl, C_4–C_8 halocycloalkylalkyl, C_1–C_6 alkoxy, C_1–C_6 haloalkoxy, C_2–C_6 alkoxycarbonyl, C_2–C_6 haloalkoxycarbonyl, C_2–C_6 alkylcarbonyl and C_2–C_6 haloalkylcarbonyl;

R^{3e} is H, hydroxy, amino, CHO, $C(=O)NH_2$, $C(=S)NH_2$, SO_2NH_2, $C(=O)R^{18}$, $C(=O)OR^{18}$, NHR^{18}, $NR^{18}R^{19}$, $C(=O)NR^{21}R^{19}$, $C(=S)NR^{21}R^{19}$, $SO_2NR^{21}R^{19}$, $OC(=O)R^{21}$, $OC(=O)OR^{18}$, $OC(=O)NR^{21}R^{19}$, $N(R^{21})C(=O)R^{21}$, $N(R^{21})C(=O)OR^{19}$, $N(R^{21})C(=O)NR^{21}R^{22}$, OSO_2R^{18}, $OSO_2NR^{21}R^{22}$, $NR^{21}SO_2R^{18}$, $NR^{21}SO_2NR^{21}R^{22}$, $Si(R^{18}R^{19}R^{20})$ or Z^1Q^t; or C_1–C_8 alkyl, C_2–C_8 alkenyl, C_2–C_8 alkynyl, C_3–C_{10} cycloalkyl, C_4–C_{10} alkylcycloalkyl, C_4–C_{10} cycloalkylalkyl, C_6–C_{14} cycloalkylcycloalkyl, C_5–C_{10} alkylcycloalkylalkyl, C_3–C_8 cycloalkenyl, C_1–C_8 alkoxy, C_3–C_8 cycloalkoxy, C_4–C_{10} cycloalkylalkoxy, C_2–C_8 alkenyloxy, C_2–C_8 alkynyloxy, C_1–C_8 alkylsulfinyl, C_1–C_8 alkylsulfonyl, C_3–C_8 cycloalkylsulfinyl, C_3–C_8 cycloalkylsulfonyl, C_4–C_{10} cycloalkylalkylsulfinyl, C_4–C_{10} cycloalkylalkylsulfonyl, C_2–C_8 alkenylsulfinyl, C_2–C_8 alkenylsulfonyl, C_2–C_8 alkynylsulfinyl or C_2–C_8 alkynylsulfonyl, each unsubstituted or substituted with at least one substituent independently selected from R^{17}; or R^{3e} and R^{3b} are taken together with the adjacent carbon atoms to which they are attached to form a 5- to 7-membered carbocyclic or heterocyclic ring, each ring containing ring members selected from carbon atoms and up to 3 heteroatoms independently selected from up to 2 O, up to 2 S, and up to 3 N, wherein up to 2 carbon atom ring members are independently selected from C(=O) and C(=S) and the sulfur atom ring members are independently selected from $S(=O)_n$, each ring optionally substituted with up to 3 substituents independently selected from the group consisting of halogen, cyano, hydroxy,

amino, nitro, C(=O)OH, C(=O)NH_2, SO_2NH_2, C_1–C_4 alkyl, C_1–C_4 haloalkyl, C_2–C_4 alkenyl, C_2–C_4 haloalkenyl, C_2–C_4 alkynyl, C_2–C_4 haloalkynyl, C_3–C_7 cycloalkyl, C_3–C_7 halocycloalkyl, C_4–C_8 alkylcycloalkyl, C_4–C_8 haloalkylcycloalkyl, C_4–C_8 cycloalkylalkyl, C_4–C_8 halocycloalkylalkyl, C_1–C_6 alkoxy, C_1–C_6 haloalkoxy, C_2–C_6 alkoxycarbonyl, C_2–C_6 haloalkoxycarbonyl, C_2–C_6 alkylcarbonyl and C_2–C_6 haloalkylcarbonyl;

each R^4 and R^5 is independently H, halogen, cyano, hydroxy, amino, nitro, OCN, SCN, CHO, C(=O)OH, C(=O)NH_2, C(=S)NH_2 or SO_2NH_2; or C_1–C_6 alkyl, C_2–C_6 alkenyl, C_2–C_6 alkynyl, C_3–C_8 cycloalkyl, C_4–C_8 alkylcycloalkyl, C_4–C_8 cycloalkylalkyl, C_6–C_{12} cycloalkylcycloalkyl, C_5–C_8 alkylcycloalkylalkyl, C_3–C_6 cycloalkenyl, C_1–C_6 alkoxy, C_3–C_6 cycloalkoxy, C_4–C_8 cycloalkylalkoxy, C_2–C_6 alkenyloxy or C_2–C_6 alkynyloxy, each unsubstituted or substituted with at least one substituent independently selected from the group consisting of halogen, cyano, nitro, CHO, C(=O)OH, C(=O)NH_2, C(=O)R^{10}, C(=O)OR^{11}, C(=O)$NR^{12}R^{13}$, OR^{11}, $S(O)_nR^{10}$, $SO_2NR^{12}R^{13}$ and $Si(R^{10})_3$;

each R^6, R^7 and R^8 is independently H; or C_1–C_6 alkyl, C_2–C_6 alkenyl, C_2–C_6 alkynyl, C_3–C_8 cycloalkyl, C_4–C_8 alkylcycloalkyl, C_4–C_8 cycloalkylalkyl, C_6–C_{10} cycloalkylcycloalkyl, C_5–C_{10} alkylcycloalkylalkyl, C_3–C_6 cycloalkenyl, C_2–C_6 alkylcarbonyl or C_2–C_6 alkoxycarbonyl, each unsubstituted or substituted with at least one substituent independently selected from the group consisting of halogen, cyano, nitro, CHO, C(=O)OH, C(=O)NH_2, C(=O)R^{10}, C(=O)OR^{11}, C(=O)$NR^{12}R^{13}$, OR^{11}, $S(O)_nR^{10}$, $SO_2NR^{12}R^{13}$ and $Si(R^{10})_3$;

each R^9 is independently C_1–C_6 alkyl, C_2–C_6 alkenyl, C_2–C_6 alkynyl, C_3–C_8 cycloalkyl, C_4–C_8 alkylcycloalkyl, C_4–C_8 cycloalkylalkyl, C_6–C_{10} cycloalkylcycloalkyl, C_5–C_{10} alkylcycloalkylalkyl, C_3–C_6 cycloalkenyl, C_2–C_6 alkylcarbonyl or C_2–C_6 alkoxycarbonyl, each unsubstituted or substituted with at least one substituent independently selected from the group consisting of halogen, cyano, nitro, CHO, C(=O)OH, C(=O)NH_2, C(=O)R^{10}, C(=O)OR^{11}, C(=O)$NR^{12}R^{13}$, OR^{11}, $S(O)_nR^{10}$, $SO_2NR^{12}R^{13}$ and $Si(R^{10})_3$;

each R^{9a} is independently H; or C_1–C_6 alkyl, C_2–C_6 alkenyl, C_2–C_6 alkynyl, C_3–C_8 cycloalkyl, C_4–C_8 alkylcycloalkyl, C_4–C_8 cycloalkylalkyl, C_6–C_{10} cycloalkylcycloalkyl, C_5–C_{10} alkylcycloalkylalkyl, C_3–C_6 cycloalkenyl, C_2–C_6 alkylcarbonyl or C_2–C_6 alkoxycarbonyl, each unsubstituted or substituted with at least one substituent independently selected from the group consisting of halogen, cyano, nitro, CHO, C(=O)OH, C(=O)NH_2, C(=O)R^{10}, C(=O)OR^{11}, C(=O)$NR^{12}R^{13}$, OR^{11}, $S(O)_nR^{10}$, $SO_2NR^{12}R^{13}$ and $Si(R^{10})_3$;

each R^{10}, R^{11}, R^{12} and R^{13} is independently C_1–C_6 alkyl, C_2–C_6 alkenyl, C_2–C_6 alkynyl, C_3–C_8 cycloalkyl, C_4–C_8 alkylcycloalkyl, C_4–C_8 cycloalkylalkyl, C_6–

C_{10} cycloalkylcycloalkyl, C_5–C_{10} alkylcycloalkylalkyl or C_3–C_6 cycloalkenyl, each unsubstituted or substituted with at least one substituent independently selected from the group consisting of halogen, cyano, nitro, CHO, C(=O)OH, $C(=O)NH_2$, 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_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 and C_3–C_6 trialkylsilyl; or phenyl or a 5- or 6-membered heteroaromatic ring, each unsubstituted or substituted with at least one substituent independently selected from the group consisting of C_1–C_6 alkyl, C_1–C_6 haloalkyl, C_2–C_6 alkenyl, C_2–C_6 alkynyl, C_3–C_8 cycloalkyl, C_4–C_8 alkylcycloalkyl, C_4–C_8 cycloalkylalkyl, C_6–C_{10} cycloalkylcycloalkyl, C_5–C_{10} alkylcycloalkylalkyl, C_3–C_6 cycloalkenyl, halogen, cyano, nitro, CHO, C(=O)OH, $C(=O)NH_2$, 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_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 and C_3–C_6 trialkylsilyl;

each R^{14} is independently halogen, cyano, hydroxy, amino, nitro, SF_5, OCN, SCN, CHO, C(=O)OH, $C(=O)NH_2$, $C(=S)NH_2$, SO_2NH_2, $C(=O)R^{18}$, $C(=O)OR^{18}$, NHR^{18}, $NR^{18}R^{19}$, $C(=O)NR^{21}R^{19}$, $C(=O)NR^{21}NR^{22}R^{23}$, $C(=S)NR^{21}R^{19}$, $SO_2NR^{21}R^{19}$, $OC(=O)R^{21}$, $OC(=O)OR^{18}$, $OC(=O)NR^{21}R^{19}$, $N(R^{21})C(=O)R^{21}$, $N(R^{21})C(=O)OR^{19}$, $N(R^{21})C(=O)NR^{21}R^{22}$, OSO_2R^{18}, $OSO_2NR^{21}R^{22}$, $NR^{21}SO_2R^{18}$, $NR^{21}SO_2NR^{21}R^{22}$, $Si(R^{18}R^{19}R^{20})$, $C(=NR^{21})R^{22}$, $C(=NOR^{21})R^{22}$, $C(=NNR^{21}R^{22})R^{23}$, $C(=NN(C(=O)R^{19})R^{21})R^{22}$, $C(=NN(C(=O)OR^{19})R^{21})R^{22}$, $ON=CR^{21}R^{22}$, $ONR^{21}R^{22}$, $S(=O)(=NR^{21})R^{22}$, $SO_2NR^{21}C(=O)NR^{22}R^{23}$, $P(=X^2)R^{18}R^{19}$, $OP(=X^2)R^{18}R^{19}$, $OP(=X^2)(OR^{18})R^{19}$, $OP(=X^2)(OR^{18})OR^{19}$, $N=CR^{21}R^{22}$, $NR^{21}N=CR^{22}R^{23}$, $NR^{21}NR^{22}R^{23}$, $NR^{21}C(=X^2)NR^{22}R^{23}$, $NR^{21}C(=NR^{21})NR^{22}R^{23}$, $NR^{21}NR^{21}C(=X^2)NR^{22}R^{23}$, $NR^{21}NR^{21}SO_2NR^{22}R^{23}$, Z^1Q^t or $Z^1Q^iZ^1Q^t$; or C_1–C_8 alkyl, C_2–C_8 alkenyl, C_2–C_8 alkynyl, C_3–C_{10} cycloalkyl, C_4–C_{10} alkylcycloalkyl, C_4–C_{10} cycloalkylalkyl, C_6–C_{14} cycloalkylcycloalkyl, C_5–C_{10} alkylcycloalkylalkyl, C_3–C_8 cycloalkenyl, C_1–C_8 alkoxy, C_3–C_8 cycloalkoxy, C_4–C_{10} cycloalkylalkoxy, C_2–C_8 alkenyloxy, C_2–C_8 alkynyloxy, C_1–C_8 alkylthio, C_1–C_8 alkylsulfinyl, C_1–C_8 alkylsulfonyl, C_3–C_8 cycloalkylthio, C_3–

C_8 cycloalkylsulfinyl, C_3–C_8 cycloalkylsulfonyl, C_4–C_{10} cycloalkylalkylthio, C_4–C_{10} cycloalkylalkylsulfinyl, C_4–C_{10} cycloalkylalkylsulfonyl, C_2–C_8 alkenylthio, C_2–C_8 alkenylsulfinyl, C_2–C_8 alkenylsulfonyl, C_2–C_8 alkynylthio, C_2–C_8 alkynylsulfinyl or C_2–C_8 alkynylsulfonyl, each unsubstituted or substituted with at least one substituent independently selected from R^{17}; or

two R^{14} substituents on adjacent ring atoms are taken together with the adjacent ring atoms to form a 5- to 7-membered carbocyclic or heterocyclic ring, each ring containing ring members selected from carbon atoms and up to 3 heteroatoms independently selected from up to 2 O, up to 2 S, and up to 3 N, wherein up to 2 carbon atom ring members are independently selected from C(=O) and C(=S) and the sulfur atom ring members are independently selected from $S(=O)_n$, each ring optionally substituted with up to 3 substituents independently selected from the group consisting of halogen, cyano, hydroxy, amino, nitro, C(=O)OH, $C(=O)NH_2$, SO_2NH_2, C_1–C_4 alkyl, C_1–C_4 haloalkyl, C_2–C_4 alkenyl, C_2–C_4 haloalkenyl, C_2–C_4 alkynyl, C_2–C_4 haloalkynyl, C_3–C_7 cycloalkyl, C_3–C_7 halocycloalkyl, C_4–C_8 alkylcycloalkyl, C_4–C_8 haloalkylcycloalkyl, C_4–C_8 cycloalkylalkyl, C_4–C_8 halocycloalkylalkyl, C_1–C_6 alkoxy, C_1–C_6 haloalkoxy, C_2–C_6 alkoxycarbonyl, C_2–C_6 haloalkoxycarbonyl, C_2–C_6 alkylcarbonyl and C_2–C_6 haloalkylcarbonyl;

each X^2 is independently O or S;

each Z^1 is independently a direct bond, O, $S(O)_n$, NR^6, $C(R^7)_2$, $C(R^7)=C(R^7)$, C≡C, $C(R^7)_2O$, $OC(R^7)_2$, $C(=X^1)$, $C(=X^1)E$, $EC(=X^1)$, $C(=NOR^8)$ or $C(=NN(R^6)_2)$;

each Q^i is independently a 3- to 10-membered ring or a 7- to 11-membered ring system, each ring or ring system containing ring members selected from carbon atoms and up to 4 heteroatoms independently selected from up to 2 O, up to 2 S, and up to 4 N, wherein up to 3 carbon atom ring members are independently selected from C(=O) and C(=S) and the sulfur atom ring members are independently selected from $S(=O)_u(=NR^{24})_z$, each ring or ring system optionally substituted with up to 4 substituents independently selected from the group consisting of halogen, cyano, nitro, CHO, C(=O)OH, $C(=O)NH_2$, $C(=O)R^{10}$, $C(=O)OR^{11}$, $C(=O)NR^{12}R^{13}$, OR^{11}, $S(O)_nR^{10}$, $SO_2NR^{12}R^{13}$, $Si(R^{10})_3$ and R^{16};

each Q^t is independently a 3- to 10-membered ring or a 7- to 11-membered ring system, each ring or ring system containing ring members selected from carbon atoms and up to 4 heteroatoms independently selected from up to 2 O, up to 2 S, and up to 4 N, wherein up to 3 carbon atom ring members are independently selected from C(=O) and C(=S) and the sulfur atom ring members are independently selected from $S(=O)_u(=NR^{24})_z$, each ring or ring system

optionally substituted with up to 5 substituents independently selected from the group consisting of halogen, cyano, nitro, CHO, C(=O)OH, $C(=O)NH_2$, $C(=O)R^{10}$, $C(=O)OR^{11}$, $C(=O)NR^{12}R^{13}$, $C(=O)NR^{21}NR^{22}R^{23}$, OR^{11}, $S(O)_nR^{10}$, $SO_2NR^{12}R^{13}$, $S(=O)(=NR^{21})R^{22}$, $Si(R^{10})_3$ and R^{16};

each R^{15} is independently halogen, cyano, hydroxy, amino, nitro, SF_5, OCN, SCN, CHO, C(=O)OH, $C(=O)NH_2$, $C(=S)NH_2$, SO_2NH_2, $C(=O)R^{18}$, $C(=O)OR^{18}$, NHR^{18}, $NR^{18}R^{19}$, $C(=O)NR^{21}R^{19}$, $C(=O)NR^{21}NR^{22}R^{23}$, $C(=S)NR^{21}R^{19}$, $SO_2NR^{21}R^{19}$, $S(=O)(=NR^{21})R^{22}$, $OC(=O)R^{21}$, $OC(=O)OR^{18}$, $OC(=O)NR^{21}R^{19}$, $N(R^{21})C(=O)R^{21}$, $N(R^{21})C(=O)OR^{19}$, $N(R^{21})C(=O)NR^{21}R^{22}$, OSO_2R^{18}, $OSO_2NR^{21}R^{22}$, $NR^{21}SO_2R^{18}$, $NR^{21}SO_2NR^{21}R^{22}$, $Si(R^{18}R^{19}R^{20})$ or Z^1Q^t; or C_1–C_8 alkyl, C_2–C_8 alkenyl, C_2–C_8 alkynyl, C_3–C_{10} cycloalkyl, C_4–C_{10} alkylcycloalkyl, C_4–C_{10} cycloalkylalkyl, C_6–C_{14} cycloalkylcycloalkyl, C_5–C_{10} alkylcycloalkylalkyl, C_3–C_8 cycloalkenyl, C_1–C_8 alkoxy, C_3–C_8 cycloalkoxy, C_4–C_{10} cycloalkylalkoxy, C_2–C_8 alkenyloxy, C_2–C_8 alkynyloxy, C_1–C_8 alkylthio, C_1–C_8 alkylsulfinyl, C_1–C_8 alkylsulfonyl, C_3–C_8 cycloalkylthio, C_3–C_8 cycloalkylsulfinyl, C_3–C_8 cycloalkylsulfonyl, C_4–C_{10} cycloalkylalkylthio, C_4–C_{10} cycloalkylalkylsulfinyl, C_4–C_{10} cycloalkylalkylsulfonyl, C_2–C_8 alkenylthio, C_2–C_8 alkenylsulfinyl, C_2–C_8 alkenylsulfonyl, C_2–C_8 alkynylthio, C_2–C_8 alkynylsulfinyl or C_2–C_8 alkynylsulfonyl, each unsubstituted or substituted with at least one substituent independently selected from R^{17}; or

two R^{15} substituents on adjacent ring atoms are taken together with the adjacent ring atoms to form a 5- to 7-membered carbocyclic or heterocyclic ring, each ring containing ring members selected from carbon atoms and up to 3 heteroatoms independently selected from up to 2 O, up to 2 S, and up to 3 N, wherein up to 2 carbon atom ring members are independently selected from C(=O) and C(=S) and the sulfur atom ring members are independently selected from $S(=O)_n$, each ring optionally substituted with up to 3 substituents independently selected from the group consisting of halogen, cyano, hydroxy, amino, nitro, C(=O)OH, $C(=O)NH_2$, SO_2NH_2, C_1–C_4 alkyl, C_1–C_4 haloalkyl, C_2–C_4 alkenyl, C_2–C_4 haloalkenyl, C_2–C_4 alkynyl, C_2–C_4 haloalkynyl, C_3–C_7 cycloalkyl, C_3–C_7 halocycloalkyl, C_4–C_8 alkylcycloalkyl, C_4–C_8 haloalkylcycloalkyl, C_4–C_8 cycloalkylalkyl, C_4–C_8 halocycloalkylalkyl, C_1–C_6 alkoxy, C_1–C_6 haloalkoxy, C_2–C_6 alkoxycarbonyl, C_2–C_6 haloalkoxycarbonyl, C_2–C_6 alkylcarbonyl and C_2–C_6 haloalkylcarbonyl;

each R^{16} is independently C_1–C_6 alkyl, C_2–C_6 alkenyl, C_2–C_6 alkynyl, C_3–C_8 cycloalkyl, C_4–C_8 alkylcycloalkyl, C_4–C_8 cycloalkylalkyl, C_6–C_{10} cycloalkylcycloalkyl, C_5–C_{10} alkylcycloalkylalkyl or C_3–C_6 cycloalkenyl, each unsubstituted or substituted with at least one substituent independently selected

from the group consisting of halogen, cyano, nitro, CHO, C(=O)OH, $C(=O)NH_2$, 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_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 and C_3–C_6 trialkylsilyl; or phenyl or a 5- or 6-membered heteroaromatic ring, each unsubstituted or substituted with at least one substituent independently selected from the group consisting of C_1–C_6 alkyl, C_2–C_6 alkenyl, C_2–C_6 alkynyl, C_3–C_8 cycloalkyl, C_4–C_8 alkylcycloalkyl, C_4–C_8 cycloalkylalkyl, C_6–C_{10} cycloalkylcycloalkyl, C_5–C_{10} alkylcycloalkylalkyl, C_3–C_6 cycloalkenyl, halogen, cyano, nitro, CHO, C(=O)OH, $C(=O)NH_2$, 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_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 and C_3–C_6 trialkylsilyl;

each R^{17} is independently halogen, cyano, nitro, OH, CHO, C(=O)OH, $C(=O)NH_2$, $C(=O)R^{10}$, $C(=O)OR^{11}$, $C(=O)NR^{12}R^{13}$, OR^{11}, $S(O)_nR^{10}$, $SO_2NR^{12}R^{13}$, $Si(R^{10})_3$ or Z^1Q^t;

each R^{18}, R^{19} and R^{20} is independently Q^t; or C_1–C_6 alkyl, C_2–C_6 alkenyl, C_2–C_6 alkynyl, C_3–C_8 cycloalkyl, C_4–C_8 alkylcycloalkyl, C_4–C_8 cycloalkylalkyl, C_6–C_{10} cycloalkylcycloalkyl, C_5–C_{10} alkylcycloalkylalkyl or C_3–C_6 cycloalkenyl, each unsubstituted or substituted with at least one substituent independently selected from R^{17};

each R^{21}, R^{22} and R^{23} is independently Q^t or H; or C_1–C_6 alkyl, C_2–C_6 alkenyl, C_2–C_6 alkynyl, C_3–C_8 cycloalkyl, C_4–C_8 alkylcycloalkyl, C_4–C_8 cycloalkylalkyl, C_6–C_{10} cycloalkylcycloalkyl, C_5–C_{10} alkylcycloalkylalkyl or C_3–C_6 cycloalkenyl, each unsubstituted or substituted with at least one substituent independently selected from R^{17};

each R^{24} is independently H, cyano, OCN, SCN, CHO, C(=O)OH, $C(=O)NH_2$, $C(=S)NH_2$, SO_2NH_2, $C(=O)R^{18}$, $C(=O)OR^{18}$, NHR^{18}, $NR^{18}R^{19}$, $C(=O)NR^{21}R^{19}$, $C(=S)NR^{21}R^{19}$, $SO_2NR^{21}R^{19}$, $OC(=O)R^{21}$, $OC(=O)OR^{18}$, $OC(=O)NR^{21}R^{19}$, $N(R^{21})C(=O)R^{21}$, $N(R^{21})C(=O)OR^{19}$, $N(R^{21})C(=O)NR^{21}R^{22}$, OSO_2R^{18}, $OSO_2NR^{21}R^{22}$, $NR^{21}SO_2R^{18}$, $NR^{21}SO_2NR^{21}R^{22}$, $Si(R^{18}R^{19}R^{20})$ or Z^1Q^t; or C_1–C_8 alkyl, C_2–C_8 alkenyl, C_2–

C_8 alkynyl, C_3–C_{10} cycloalkyl, C_4–C_{10} alkylcycloalkyl, C_4–C_{10} cycloalkylalkyl, C_6–C_{14} cycloalkylcycloalkyl, C_5–C_{10} alkylcycloalkylalkyl, C_3–C_8 cycloalkenyl, C_1–C_8 alkoxy, C_3–C_8 cycloalkoxy, C_4–C_{10} cycloalkylalkoxy, C_2–C_8 alkenyloxy, C_2–C_8 alkynyloxy, C_1–C_8 alkylthio, C_1–C_8 alkylsulfinyl, C_1–C_8 alkylsulfonyl, C_3–C_8 cycloalkylthio, C_3–C_8 cycloalkylsulfinyl, C_3–C_8 cycloalkylsulfonyl, C_4–C_{10} cycloalkylalkylthio, C_4–C_{10} cycloalkylalkylsulfinyl, C_4–C_{10} cycloalkylalkylsulfonyl, C_2–C_8 alkenylthio, C_2–C_8 alkenylsulfinyl, C_2–C_8 alkenylsulfonyl, C_2–C_8 alkynylthio, C_2–C_8 alkynylsulfinyl or C_2–C_8 alkynylsulfonyl, each unsubstituted or substituted with at least one substituent independently selected from R^{17};

a is 1, 2 or 3;

each n is independently 0, 1 or 2; and

u and z in each instance of $S(=O)_u(=NR^{24})_z$ are independently 0, 1 or 2, provided that the sum of u and z in each instance of $S(=O)_u(=NR^{24})_z$ is 0, 1 or 2;

provided that when A is O, S, NCH_3 or $C(R^{3c})=C(R^{3d})$, R^{3c} is H or F, and R^{3d} is H, F, CF_2H or CF_3, then at least one of R^{3a} or R^{3b} is other than H.

Of note is that compounds of this invention are characterized by favorable metabolic and/or soil residual patterns and exhibit activity controlling a spectrum of agronomic and nonagronomic invertebrate pests.

Of particular note, for reasons of invertebrate pest control spectrum and economic importance, protection of agronomic crops from damage or injury caused by invertebrate pests by controlling invertebrate pests are embodiments of the invention. Compounds of this invention because of their favorable translocation properties or systemicity in plants also protect foliar or other plant parts which are not directly contacted with a compound of Formula **1** or a composition comprising the compound.

Also noteworthy as embodiments of the present invention are compositions comprising a compound of any of the preceding Embodiments, as well as any other embodiments described herein, and any combinations thereof, and at least one additional component selected from the group consisting of a surfactant, a solid diluent and a liquid diluent, said compositions optionally further comprising at least one additional biologically active compound or agent.

Further noteworthy as embodiments of the present invention are compositions for controlling an invertebrate pest comprising a compound (i.e. in a biologically effective amount) of any of the preceding Embodiments, as well as any other embodiments described herein, and any combinations thereof, and at least one additional component selected from the group consisting of a surfactant, a solid diluent and a liquid diluent, said compositions optionally further comprising at least one additional biologically active compound or agent (i.e. in a biologically effective amount).

Embodiments of the invention also include a composition for protecting an animal comprising a compound (i.e. in a parasiticidally effective amount) of any of the preceding Embodiments and a carrier.

Embodiments of the invention further include methods for controlling an invertebrate pest comprising contacting the invertebrate pest or its environment with a biologically effective amount of a compound of any of the preceding Embodiments (e.g., as a composition described herein). Of particular note is a method for protecting an animal comprising administering to the animal a parasiticidally effective amount of a compound of any of the preceding Embodiments (e.g., as a composition described herein).

Embodiments of the invention also include a composition comprising a compound of any of the preceding Embodiments in the form of a soil drench liquid formulation. Embodiments of the invention further include methods for controlling an invertebrate pest comprising contacting the soil with a liquid composition as a soil drench comprising a biologically effective amount of a compound of any of the preceding Embodiments.

Embodiments of the invention also include a spray composition for controlling an invertebrate pest comprising a compound (i.e. in a biologically effective amount) of any of the preceding Embodiments and a propellant. Embodiments of the invention further include a bait composition for controlling an invertebrate pest comprising a compound (i.e. in a biologically effective amount) of any of the preceding Embodiments, one or more food materials, optionally an attractant, and optionally a humectant. Embodiments of the invention also include a device for controlling an invertebrate pest comprising said bait composition and a housing adapted to receive said bait composition, wherein the housing has at least one opening sized to permit the invertebrate pest to pass through the opening so the invertebrate pest can gain access to said bait composition from a location outside the housing, and wherein the housing is further adapted to be placed in or near a locus of potential or known activity for the invertebrate pest.

Embodiments of the invention also include a method for protecting a seed from an invertebrate pest comprising contacting the seed with a biologically effective amount of a compound of any of the preceding Embodiments (e.g., as a composition described herein).

Embodiments of the invention also include methods for protecting an animal from an invertebrate parasitic pest comprising administering to the animal a parasiticidally effective amount of a compound of any of the preceding Embodiments.

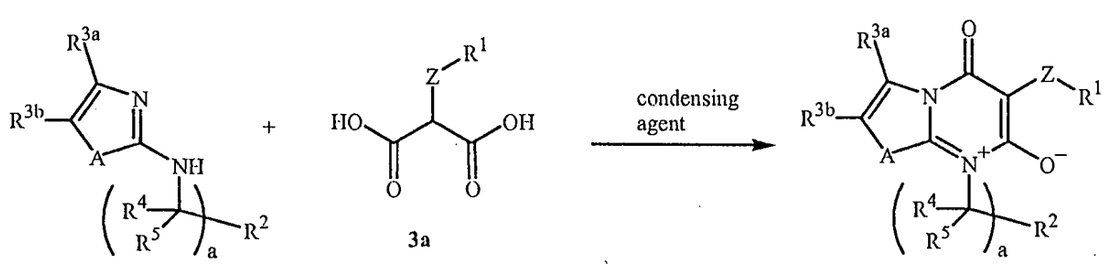
Embodiments of the invention also include methods for controlling an invertebrate pest comprising contacting the invertebrate pest or its environment with a biologically effective amount of a compound of Formula 1, an *N*-oxide, or a salt thereof, (e.g., as a composition described herein), provided that the methods are not methods of medical treatment of a human or animal body by therapy.

This invention also relates to such methods wherein the invertebrate pest or its environment is contacted with a composition comprising a biologically effective amount of a compound of Formula **1**, an *N*-oxide, or a salt thereof, and at least one additional component selected from the group consisting of surfactants, solid diluents and liquid diluents, said composition optionally further comprising a biologically effective amount of at least one additional biologically active compound or agent, provided that the methods are not methods of medical treatment of a human or animal body by therapy.

One or more of the following methods and variations as described in Schemes 1–13 can be used to prepare the compounds of Formula **1**. The definitions of R^1, R^2, R^{3a}, R^{3b}, R^4, R^5, X, Y, Z and A in the compounds of Formulae **1–13** below are as defined above in the Summary of the Invention unless otherwise noted. Formulae **1a–1e** are various subsets of Formula **1**, and all substituents for Formulae **1a–1e** are as defined above for Formula **1** unless otherwise indicated. Ambient or room temperature is defined as about 20–25 °C.

Compounds of Formula **1a** (i.e. Formula **1** wherein X and Y are O) can be prepared by condensation of appropriately substituted compounds of Formula **2** with optionally substituted malonic acids (**3a**) in the presence of condensing agents as shown in Scheme 1. Condensing agents can be carbodiimides such as dicyclohexyl carbodiimide (see, for example, Koch, A. et al. *Tetrahedron* **2004**, *60*, 10011-10018) or other agents well known in the art to form amide bonds with or without activating agents such as *N*-hydroxybenzotriazole as described in *Science of Synthesis* **2005**, *21*, 17–25 and *Tetrahedron* **2005**, *61*, 10827–10852. This reaction is typically carried out in an inert organic solvent, such as dichloromethane or 1,2-dichloroethane, at temperatures from about 0 to about 80 °C for a period of 10 min to several days.

Scheme 1

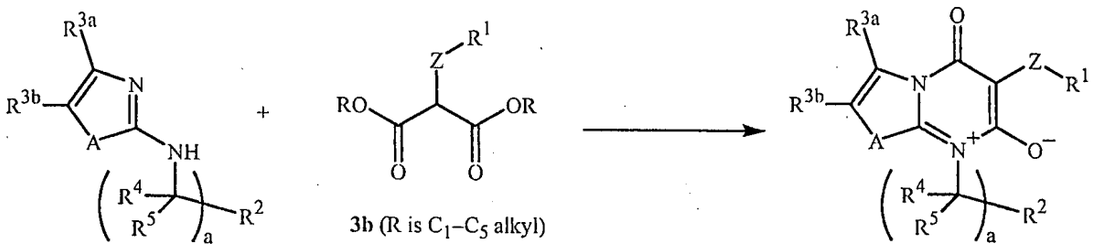


2 **3a** **1a**

Compounds of Formula **1a** can also be prepared by the condensation of compounds of Formula **2** with malonic acid esters (**3b**) wherein R is a C_1–C_5 alkyl group as shown in Scheme 2. These reactions can be performed neat or in the presence of inert solvents as described in *Bulletin of the Chemical Society of Japan* **1999**, *72*(3), 503–509. Inert solvents include, but are not limited to, high boiling hydrocarbons such as mesitylene, tetralin or cymene, or high boiling ethers such as diphenyl ether. Typical temperatures range from 50

to 250 °C. Of note are temperatures from 150 to 200 °C, which typically provide rapid reaction times and high yields. These reactions can also be performed in microwave reactors within the same temperature ranges. Typical reaction times range from 5 minutes to several hours.

Scheme 2

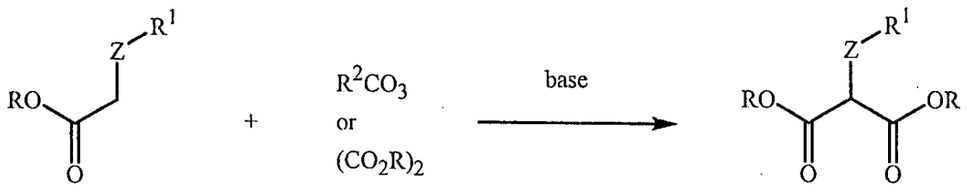


2 1a

Compounds of Formula **3a** can be prepared by a variety of methods known in the art, for example by base hydrolysis of compounds of Formula **3b**.

Compounds of Formula **3b** wherein Z is a direct bond and R^1 is an optionally substituted aromatic (including heteroaromatic) ring or ring system can be prepared by arylation of malonate esters (using compounds of formula R^1X^1 wherein X^1 is Cl, Br or I, examples of which are found in Tables I-24a-24c) catalyzed by palladium (*J. Org. Chem* **2002**, *67*, 541-555) or copper (*Org. Lett.* **2002**, *4*, 269–272 and *Org. Lett.* **2005**, *7*, 4693–4695). Alternatively, compounds of Formula **3b** can be prepared by the method shown in Scheme 2a (see, for example, *J. Med. Chem* **1982**, *25*(6), 745–747).

Scheme 2a



4 (R is C_1–C_5 alkyl, phenyl or 2,4,6-trichlorophenyl)

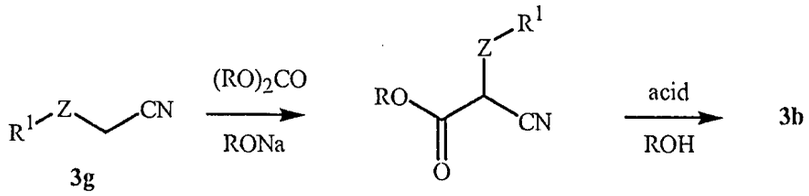
3b (R is C_1–C_5 alkyl, phenyl or 2,4,6-trichlorophenyl)

Esters of Formula **4** can be prepared from the corresponding acids by methods well known in the art. Many of the acids of Formula **4** where R is H are commercially available or readily prepared by methods known in the art (examples are listed in Table I-1).

Compounds of Formula **3b** can also be prepared by the method shown in Scheme 2b. Reaction of nitriles of Formula **3g** with dialkyl carbonates yields nitrile esters of Formula **3h**, and subsequent acidic hydrolysis in the presence of an alcohol provides the compounds of Formula **3b** (see, for example, *Helvetica Chimica Acta* **1991**, *74*(2), 309-314). Many of the

nitriles of Formula **3g** are commercially available or readily prepared by methods known in the art.

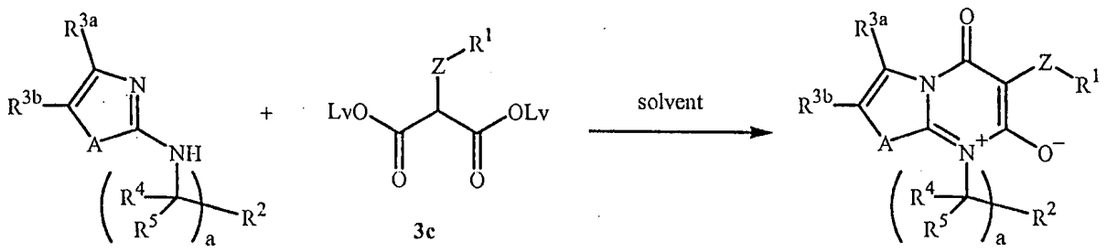
Scheme 2b



R is C_1–C_5 alkyl

Compounds of Formula **1a** can also be prepared by treatment of compounds of Formula **2** with activated esters of Formula **3c** wherein LvO is an activated leaving group as shown in Scheme 3. Examples of Lv preferred for ease of synthesis or reactivity are phenyl, 4-nitrophenyl or halogen-substituted phenyl (e.g., 2,4,6-trichlorophenyl, pentachlorophenyl or pentafluorophenyl) as described in *Archiv der Pharmazie* (Weinheim, Germany) **1991**, *324*, 863–866. Other activated esters are well known in the art and include, but are not limited to, *N*-hydroxysuccinimide esters (see, for example, *J. Am. Chem. Soc.* **2002**, *124*, 6872–6878). Typical temperatures range from 50 to 200 °C. Of note are temperatures from 50 to 150 °C, which typically provide rapid reaction times and high yields. These reactions can be performed with or without solvent, such as toluene, and in microwave reactors within the same temperature ranges. Typical reaction times range from 5 minutes to 2 hours.

Scheme 3

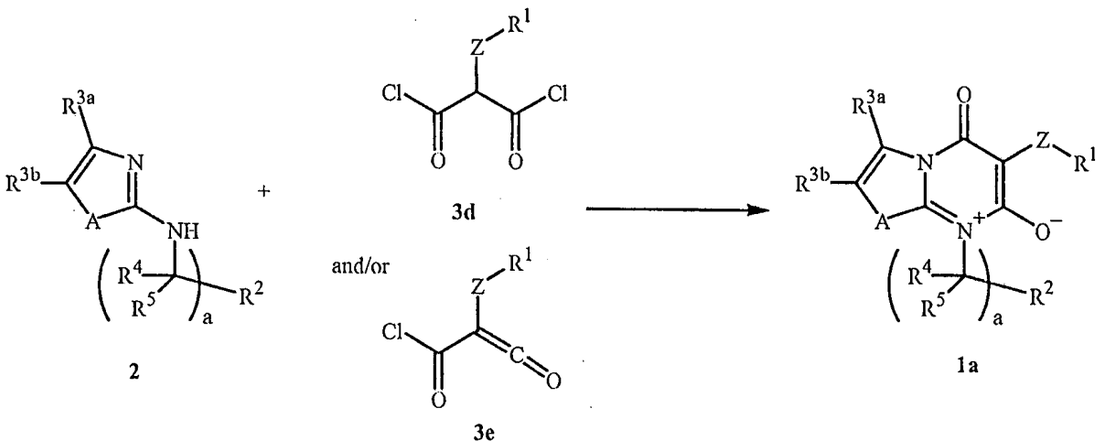


Compounds of the Formula **3c** can be prepared, for example, from compounds of Formula **3a** (see, for example, *J. Het. Chem.* **1980**, *17*, 337).

Compounds of Formula **1a** can also be prepared by condensation of compounds of Formula **2** with compounds of Formula **3d** or **3e**, or by condensation of compounds of Formula **2** with mixtures of compounds of Formulae **3d** and **3e** as shown in Scheme 4. These reactions are typically performed in an inert solvent, such as dichloromethane, and optionally in the presence of two or more equivalents of an acid acceptor (see, for example, *Zeitschrift für Naturforschung, Teil B: Anorganische Chemie, Organische Chemie* **1982**,

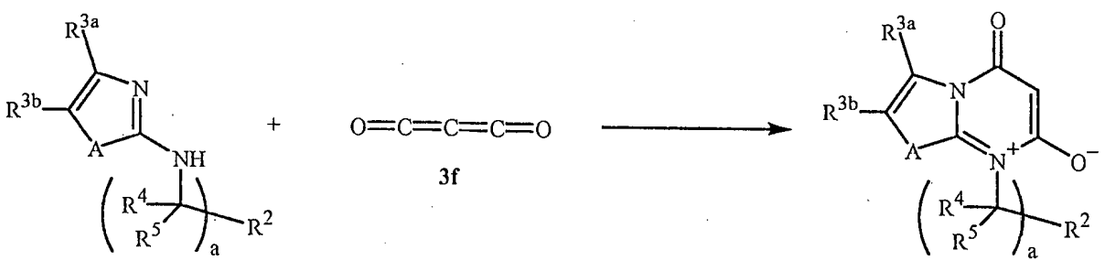
37B(2), 222–233). Typical acid acceptors include, but are not limited to, triethylamine, *N,N*-diisopropylethylamine, pyridine and substituted pyridines, and metal hydroxides, carbonates and bicarbonates.

Scheme 4



Compounds of Formula **1b** (i.e. Formula **1a** wherein Z is a direct bond and R^1 is H) can be prepared by condensation of compounds of Formula **2** with carbon suboxide (**3f**) (see, for example, *J. Org. Chem.* **1972**, *37*(9), 1422–1425) as shown in Scheme 5. The reactions are typically performed in an inert solvent such as ether and can include the use of a catalyst such as $AlCl_3$.

Scheme 5

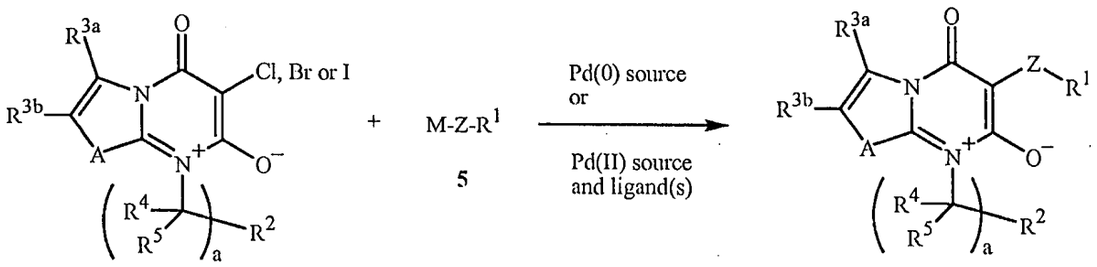


Compounds of Formula **2** can be prepared in a variety of ways known in the art; see, for example, Patai, S. *The Chemistry of Functional Groups: The Chemistry of Amidines and Imidates*; Wiley: Chichester, UK, 1975; *The Chemistry of Amidines and Imidates*; Patai, S.; Rappoport, Z., Eds.; Wiley: Chichester, UK, 1991; Vol. 2; Mega, T. et al. *Bulletin of the Chemical Society of Japan* **1988**, *61*(12), 4315–4321; Ife, R. et al. *European Journal of Medicinal Chemistry* **1989**, *24*(3), 249–257; Wagaw, S.; Buchwald, S. *Journal of Organic Chemistry* **1996**, *61*(21), 7240–7241; Shen, Q. et al. *Angewandte Chemie, International Edition* **2005**, *44*(9), 1371–1375; and Okano, K. et al. *Organic Letters* **2003**, *5*(26), 4987–4990.

One skilled in the art will recognize that the compounds of Formula 2 can also be used as their acid-addition salts (e.g., hydrochloric salts, acetic acid salts) in the coupling methods of Schemes 1–5.

Compounds of Formula **1a** wherein Z is a direct bond and R^1 is C_2–C_8 alkenyl or an optionally substituted aromatic ring or ring system, can be prepared from compounds of Formula **1c** (i.e. Formula **1** wherein X and Y are O, Z is a direct bond and R^1 is Cl, Br or I, preferably Br or I) and compounds of Formula **5** wherein R^1 is C_2–C_8 alkenyl or an optionally substituted aromatic ring or ring system, and M with Z–R^1 forms a boronic acid, boronic acid ester or trifluoroborate salt, or M is trialkylstannyl or zinc and Z is a direct bond, as shown in Scheme 6.

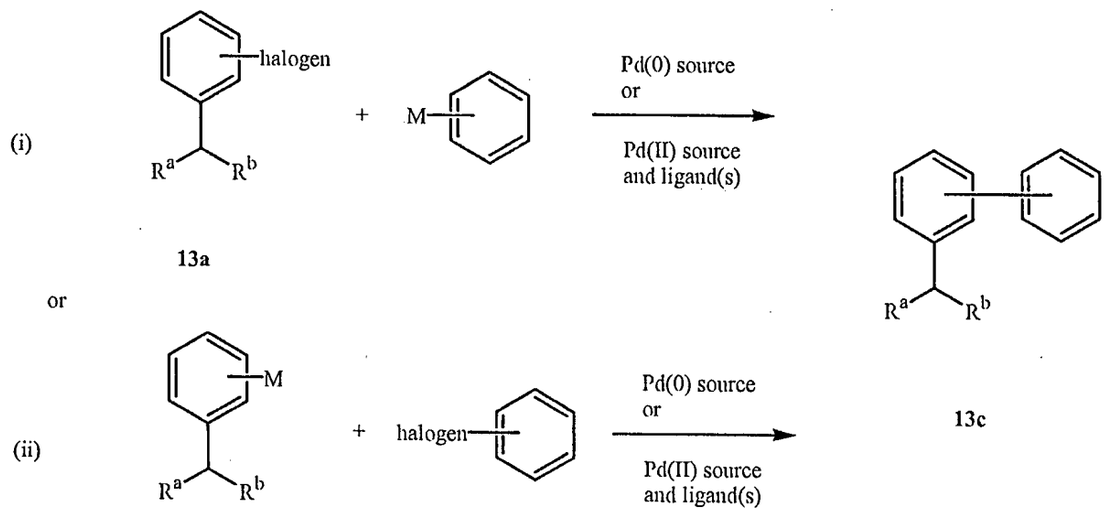
Scheme 6



1c **1a**

In a similar manner, compounds of Formula **1** wherein a substituent (e.g., R^1 or R^2) consists of two directly bonded aromatic rings or ring systems (e.g., a phenyl ring bonded to a second phenyl ring, a phenyl ring bonded to a pyridinyl ring, or a pyridinyl ring bonded to a second pyridinyl ring) can be prepared by palladium-catalyzed coupling of the two appropriately substituted aromatic rings or ring systems. These palladium-catalyzed couplings between an aromatic chloride, bromide or iodide and an aromatic boronic acid or ester, or an aromatic tin or zinc reagent, are well known and have been extensively described in the art. For example, see Scheme 6a, wherein a compound of Formula **13a** or **13b** is coupled with an appropriately substituted phenyl ring to provide the biphenyl compound of Formula **13c**. M is as defined above for Scheme 6.

Scheme 6a



R^a is CO_2R, and R^b is CO_2R, CN or H; or
R^a is CN, and R^b is H; and
R is C_1–C_5 alkyl

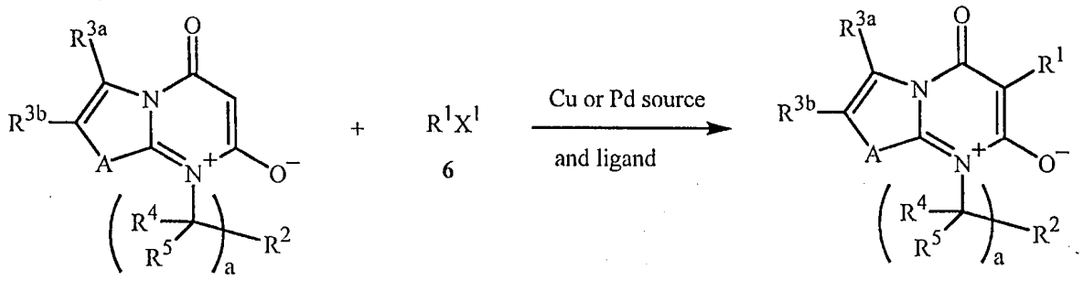
These coupling reactions are typically carried out in the presence of a palladium catalyst and a base optionally under an inert atmosphere. The palladium catalysts used for these coupling reactions typically comprises palladium in a formal oxidation state of either 0 (i.e. Pd(0)) or 2 (i.e. Pd(II)). A wide variety of such palladium-containing compounds and complexes are useful as catalysts for these reactions. Examples of palladium-containing compounds and complexes useful as catalysts in the methods include $PdCl_2(PPh_3)_2$ (bis(triphenylphosphine)palladium (II) dichloride), $Pd(PPh_3)_4$ (tetrakis(triphenylphosphine)-palladium(0)), $Pd(C_5H_7O_2)_2$ (palladium(II) acetylacetonate), $Pd_2(dba)_3$ (tris(dibenzylidene-acetone)dipalladium(0)), and [1,1'-bis(diphenylphosphino)ferrocene]dichloropalladium(II). These coupling methods are generally conducted in a liquid phase, and therefore the palladium catalyst preferably has good solubility in the liquid phase. Useful solvents include, for example, water, ethers such as 1,2-dimethoxyethane, amides such as *N*,*N*-dimethylacetamide, and non-halogenated aromatic hydrocarbons such as toluene.

The coupling methods can be conducted over a wide range of temperatures, ranging from about 25 to about 200 °C. Of note are temperatures from about 60 to about 150 °C, which typically provide fast reaction times and high product yields. The general methods and procedures for Stille, Negishi and Suzuki couplings with aryl iodides, bromides or chlorides and an aryl tin, aryl zinc or aryl boronic acid respectively are well known in the

literature; see, for example, E. Negishi, *Handbook of Organopalladium Chemistry for Organic Synthesis*, Wiley-Interscience, **2002**, New York, New York.

Compounds of Formula **1d** (i.e. Formula **1** wherein X and Y are O and Z is a direct bond) wherein R^1 is an optionally substituted aromatic ring or ring system can be prepared from compounds of Formula **1b** (i.e. Formula **1a** wherein Z is a direct bond and R^1 is H) and compounds of Formula **6** wherein X^1 is Cl, Br or I (preferably Br or I) as shown in Scheme 7.

Scheme 7



1b **1d**

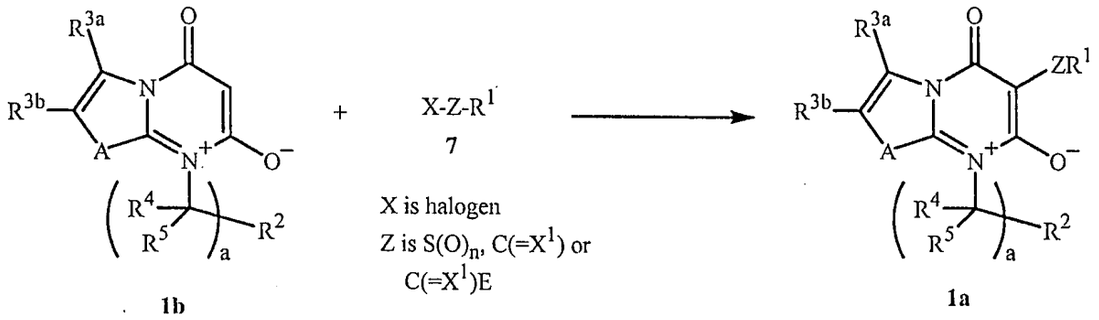
These reactions are typically carried out in the presence of a copper or palladium catalyst preferably under an inert atmosphere. The copper catalysts used for the present method typically comprise copper in metallic form (e.g., as a powder) or copper in a formal oxidation state of 1 (i.e. Cu(I)). Examples of copper-containing compounds useful as catalysts in the method of Scheme 7 include Cu, CuI, CuBr, CuCl. Examples of palladium-containing compounds useful as catalysts in the method of Scheme 7 include $Pd(OAc)_2$. Useful solvents for the method of Scheme 7 include, for example, ethers such as 1,4-dioxane, amides such as *N*,*N*-dimethylacetamide and dimethyl sulfoxide.

The method of Scheme 7 can be conducted over a wide range of temperatures from 25 to 200 °C. Of note are temperatures from 40 to 150 °C. The method of Scheme 7 can be conducted in the presence of a ligand. A wide variety of copper-binding compounds are useful as ligands for the present method. Examples of useful ligands include, but are not limited to, 1,10-phenanthroline, *N*,*N*-dimethylethylenediamine, L-proline and 2-picolinic acid. The general methods and procedures for copper-catalyzed Ullmann-type coupling reactions are well known in the literature; see, for example, Xie, Ma, et al. *Org. Lett.* **2005**, *7*, 4693-4695.

Compounds of Formula **1a** wherein Z is $S(O)_n$, $C(=X^1)$ or $C(=X^1)E$ can be prepared from compounds of Formula **1b** by treatment with compounds of Formula **7**, optionally in the presence of a Lewis acid catalyst (e.g., $FeCl_3$), as shown in Scheme 8. Examples of compounds of Formula **7** useful in the method of Scheme 8 include, but are not limited to, sulfenyl and sulfonyl halides, carboxylic acids, acid anhydrides, acid halides,

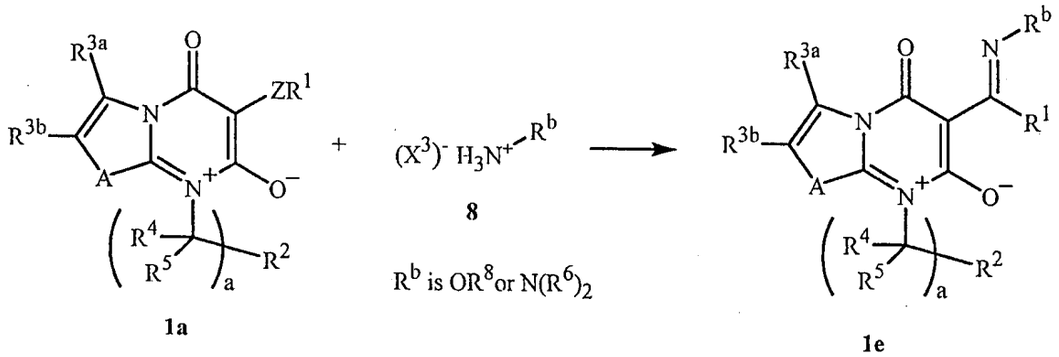
chloroformates, aminocarbonyl halides, isocyanates and isothiocyanates. Typically the reaction is performed in an inert solvent, more typically a polar solvent such as *N*,*N*-dimethylacetamide or 1-methyl-2-pyrrolidinone. The reaction is typically performed at temperatures from 0 to 180 °C, more typically at ambient temperature to 150 °C. Microwave irradiation can be advantageous in heating the reaction mixture.

Scheme 8



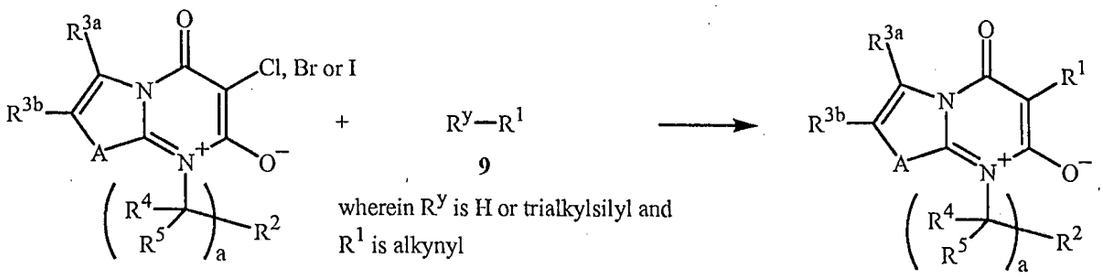
Compounds of the Formula **1e** (i.e. Formula **1a** wherein Z is $C(=NOR^8)$ or $C(=NN(R^6)_2)$ can be prepared by reacting compounds of Formula **1a** wherein Z is C(=O) with an alkoxyamine or hydrazine salt of Formula **8**, where X^3 is a counterion such as halide or oxalate, as shown in Scheme 9. The reaction can be run in an alcoholic solvent such as ethanol or propanol at temperatures ranging from 80 °C to the reflux temperature of the solvent in 3 to 24 hours.

Scheme 9



Compounds of Formula **1d** wherein R^1 is C_2–C_8 alkynyl, can be prepared from compounds of Formula **1c** and substituted alkynes of Formula **9** by a Sonogashira coupling reaction as shown in Scheme 10. Sonogashira couplings are well known in the literature. See, for example, K. Sonogashira, *Sonogashira Alkyne Synthesis* Vol 2, p. 493 in E. Negishi, *Handbook of Organopalladium Chemistry for Organic Synthesis*, Wiley-Interscience, **2002**, New York, New York.

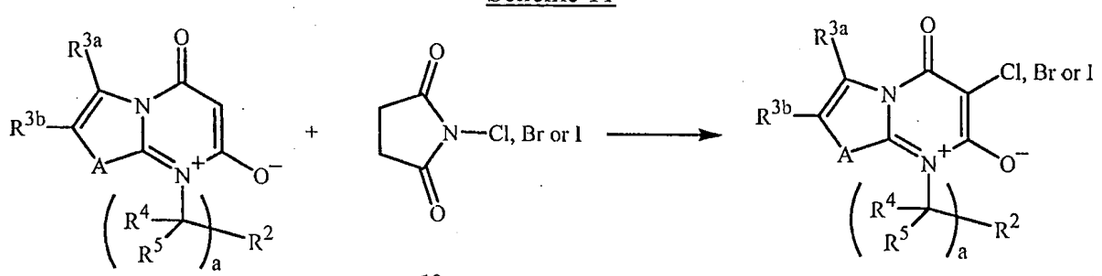
Scheme 10



1c **9** **1d**

Compounds of Formula **1c** can be prepared from compounds of Formula **1b** by halogenation using, for example, liquid bromine or *N*-halosuccinimides (**10**) as shown in Scheme 11. Typically the reaction is performed in an inert solvent, more typically a halogenated solvent such as methylene chloride or 1,2-dichloroethane. The reaction is typically performed at temperatures from 0 to 80 °C, more typically at ambient temperature.

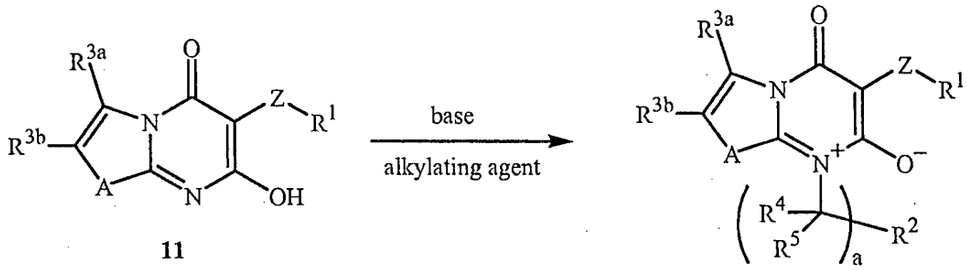
Scheme 11



1b **10** **1c**

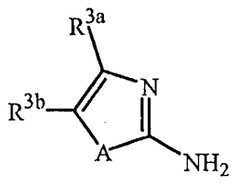
Compounds of Formula **1a** can also be prepared by alkylation of compounds of Formula **11** using appropriately substituted alkylating agents and bases such as potassium carbonate as shown in Scheme 12 (see, for example, Kappe, T. et al. *Monatschefte fur Chemie* **1971**, *102,* 412-424 and Urban, M. G.; Arnold,W. *Helvetica Chimica Acta* **1970**, *53,* 905-922). Alkylating agents include, but are not limited to, alkyl chlorides, bromides, iodides and sulfonate esters. A wide variety of bases and solvents can be employed in the method of Scheme 12, and these bases and solvents are well known in the art.

Scheme 12



11 1a

Compounds of Formula **11** can be prepared from compounds of Formula **2a** by methods analogous to those shown in Schemes 1 through 5 wherein the compound of Formula **2** is replaced by a compound of Formula **2a**. Compounds of Formula **2a** are commercially available or can be prepared by general methods well known in the art.



2a

A particularly useful method for the preparation of compounds of Formula **2** is shown in Scheme 13. In the method of Scheme 13, compounds of Formula **2a** are protected with suitable protecting groups such as, but not limited to, *tert*-butoxycarbonyl, acetyl or formyl to form the intermediate of Formula **2b** wherein PG is a protecting group. The compound of Formula **2b** is then alkylated with an appropriate reagent of Formula **12** (wherein at least one of R^4 or R^5 is hydrogen and X is a leaving group such as a halogen) to give an intermediate of Formula **2c**. The protecting group is removed to provide a compound of Formula **2**. Conditions for the formation and removal of protecting groups on an amine function are known in the literature (see, for example, Greene, T. W.; Wuts, P. G. M. *Protective Groups in Organic Synthesis*, 2nd ed.; Wiley: New York, 1991).

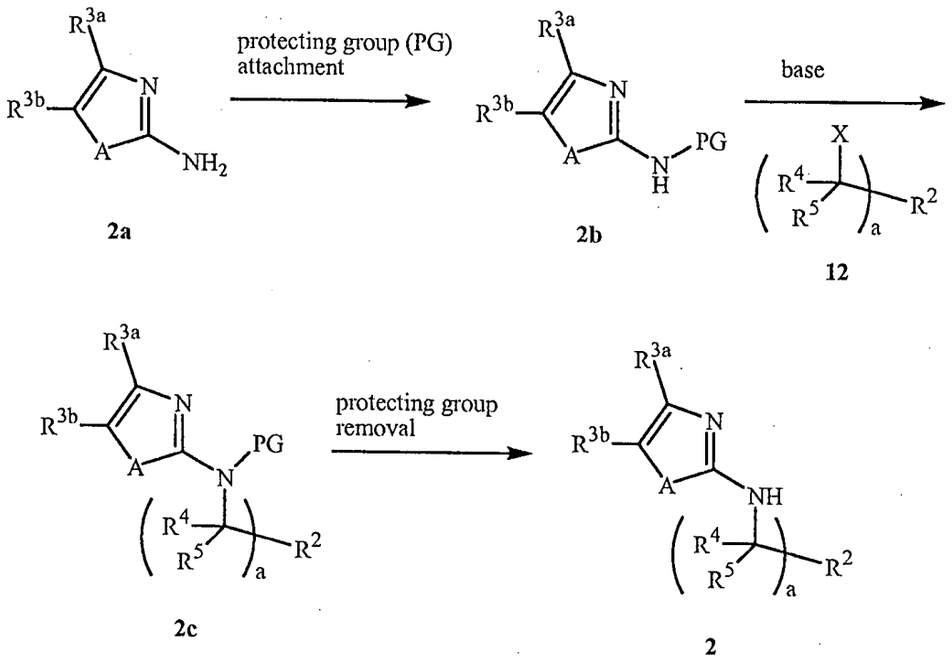
Examples of particularly useful compounds of Formula **2** are shown in Tables I-27 to I-27g and I-29. Some examples of compounds of Formula **2a** are shown in Tables I-28 to I-28g.

An alternative method for the preparation of compounds of Formula 2 is by the reductive amination of appropriate carbonyl compounds. This method is shown in Steps B and C of Synthesis Example 1, and Step A of Synthesis Example 5.

Another alternative method for the preparation of compounds of Formula **2** is by the reaction of appropriately substituted amines with halogen-substituted heteroaromatic compounds analogous to the compounds of Formula **2a** (i.e. compounds of Formula **2a** wherein the amino group is replaced with halogen) in the presence of a copper or palladium catalyst. This method is shown in Step A of Synthesis Example 2.

Compounds of Formula **2** can also be prepared in a variety of ways known in the art; see, for example, Patai, S. *The Chemistry of Functional Groups: The Chemistry of Amidines and Imidates*; Wiley: Chichester, UK, 1975; *The Chemistry of Amidines and Imidates*; Patai, S.; Rappoport, Z., Eds.; Wiley: Chichester, UK, 1991; Vol. 2; Mega, T. et al. *Bulletin of the Chemical Society of Japan* **1988**, *61*(12), 4315–4321; Ife, R. et al. *European Journal of Medicinal Chemistry* **1989**, *24*(3), 249–257; Wagaw, S.; Buchwald, S. *Journal of Organic Chemistry* **1996**, *61*(21), 7240–7241; Shen, Q. et al. *Angewandte Chemie, International Edition* **2005**, *44*(9), 1371–1375; and Okano, K. et al. *Organic Letters* **2003**, *5*(26), 4987–4990.

Scheme 13



Compounds of Formula **1a** wherein Z is O can be prepared by reaction of appropriately substituted alcohols (e.g., alkyl alcohols or phenols) with compounds of Formula **1c** in the presence of a Cu source (the Ullmann reaction; for example, see Hayashi, S.; Nakanishi, W. *Bulletin of the Chemical Society of Japan* **2008**, *81*(12), 1605–1615). This Cu-catalyzed reaction is typically performed at room temperature to 200 °C, more typically at 100 to 150 °C, and in a solvent such as *N,N*-dimethylformamide or *N*-methylpyrrolidinone. Alternatively, this method can be performed in the presence of a Pd

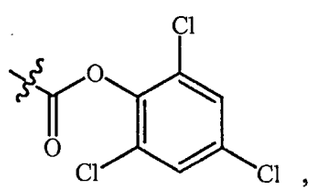
source (for example, see Buchwald, S. et al. *Angew. Chem. Int. Ed.* **2006**, *45*, 1–7. This Pd-catalyzed reaction is typically performed at room temperature to 200 °C, more typically at 100 to 150 °C, and in the presence of a base such as K_3PO_4, and in the presence of a ligand such as 2-di-*tert*-butylphosphino-2',4',6'-triisopropylbiphenyl (i.e. di-*t*-BuXphos) in an inert solvent such as toluene.

Compounds of Formula **1a** wherein Z is NR^6 can be prepared by reaction of appropriately substituted amines (e.g., alkyl amines or anilines) with compounds of Formula **1c** in the presence of a Cu source (the Ullmann reaction; for example, see Xu, H.; Yin, K.; Huang, W. *Chemistry - A European Journal* **2007**, *13*(36), 10281–10293). This Cu-catalyzed reaction is typically performed at room temperature to 200 °C, more typically at 100 to 150 °C, and in a solvent such as *N,N*-dimethylformamide or *N*-methylpyrrolidinone. Alternatively, this method can be performed in the presence of a Pd source (for example, see Uchiyama, M. et al. *J. Am. Chem. Soc.* **2004**, *126*(28), 8755–8759). This Pd-catalyzed reaction is typically performed at room temperature to 200 °C, more typically at 100 to 150 °C, in an inert solvent such as toluene, and in the presence of a base such as NaO-*t*-Bu.

Compounds of Formula **1** wherein X and/or Y are S can be prepared from corresponding compounds of Formula **1a** by general methods known in the art involving treatment with thionating reagents such as P_4S_{10} or Lawessen's Reagent (2,4-bis-(4-methoxyphenyl)-1,3-dithia-2,4-diphosphetane 2,4-disulfide). Alternatively, malonic acids of Formula **3a** can be treated with $P_2S_6(CH_3)_2$ as described in *J. Am. Chem. Soc.* **1988**, *110* (4), 1316-1318. The resulting malonic acid sulfur derivatives can then be used to prepare the compounds of Formula **1** wherein X and/or Y are S by the method of Scheme 1.

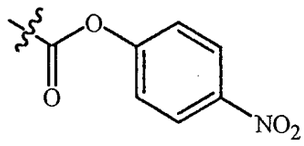
Schemes 1 through 13 illustrate methods to prepare compounds of Formula **1** having a variety of substituents noted for R^1, R^2, R^{3a}, R^{3b}, R^4, R^5, X, Y, Z and A. Compounds of Formula **1** having R^1, R^2, R^{3a}, R^{3b}, R^4, R^5, X, Y, Z and A substituents other than those particularly noted for Schemes 1 through 13 can be prepared by general methods known in the art of synthetic organic chemistry, including methods analogous to those described for Schemes 1 to 13.

Examples of intermediates useful in the preparation of compounds of this invention are shown in Tables I-1 through I-30. The following abbreviations are used in the Tables which follow: Me means methyl, Et means ethyl, Pr means propyl, Ph means phenyl, C(O)O(2,4,6-trichlorophenyl) means



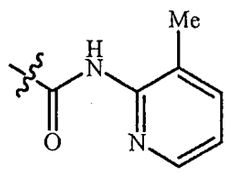
,

C(O)O(4-nitrophenyl) means



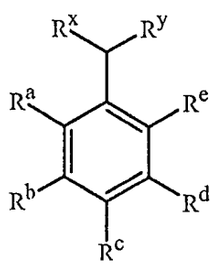
, and

C(O)(3-methyl-2-pyridinylamino) means



5

TABLE I-1



R^x is C(O)OH; R^y is H; R^b, R^c, R^d and R^e are H

R^a	R^a	R^a	R^a
H	O-*i*-Pr	3-(CF_3)phenyl	2-fluoro-4-cyanophenyl
F	$OCH_2CH{=}CH_2$	3-fluorophenyl	2-fluoro-4-chlorophenyl
Cl	$OCH_2C{\equiv}CH$	3-cyanophenyl	2-methyl-4-chlorophenyl
Br	O-*c*-Pr	3-(OCF_3)phenyl	2-fluoro-4-(CF_3)phenyl
I	OCF_3	4-fluorophenyl	2,4-bis(CF_3)phenyl
Me	$OCHF_2$	4-chlorophenyl	2-fluoro-4-bromophenyl
Et	OCH_2CF_3	4-(CF_3)phenyl	2-chloro-4-fluorophenyl
Pr	SCF_3	4-cyanophenyl	2-(CF_3)-4-fluorophenyl
i-Pr	SCF_3	4-bromophenyl	2-methyl-4-(CF_3)phenyl
c-Pr	$SCHF_2$	6-chloro-3-pyridinyl	2-chloro-4-(CF_3)phenyl
t-Bu	$S(O)CF_3$	6-fluoro-3-pyridinyl	2-(CF_3)-4-chlorophenyl
CF_3	SO_2CF_3	6-(CF_3)-3-pyridinyl	2,5-difluorophenyl
CH_2F	CO_2Me	4,6-dichloro-3-pyridinyl	2-fluoro-5-(CF_3)phenyl
CHF_2	CO_2Et	2-fluoro-6-chloro-3-pyridinyl	2-fluoro-5-chlorophenyl
OMe	cyano	2,6-dichloro-3-pyridinyl	2,5-dichlorophenyl
OEt	C(O)NHMe	2-bromo-5-chloro-4-pyridinyl	2-fluoro-5-(OCF_3)phenyl

O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorophenyl	2-chloro-5-(CF_3)phenyl
OPh	C(=NOMe)Me	3-chloro-5-fluorophenyl	*N*-Me-4-pyrazolyl
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-chlorophenyl	3-Me-5-isoxazolyl
C≡CH	2-fluorophenyl	2,4-dichlorophenyl	6-(6-Cl-3-pyridinyl)-3-pyridinyl
phenyl	3-chlorophenyl	2,4-difluorophenyl	

R^x is C(O)OH; R^y is H; R^a, R^c, R^d and R^e are H

R^b	R^b	R^b	R^b
H	O-*i*-Pr	3-(CF_3)phenyl	2-fluoro-4-cyanophenyl
F	$OCH_2CH=CH_2$	3-fluorophenyl	2-fluoro-4-chlorophenyl
Cl	$OCH_2C\equiv CH$	3-cyanophenyl	2-methyl-4-chlorophenyl
Br	O-*c*-Pr	3-(OCF_3)phenyl	2-fluoro-4-(CF_3)phenyl
I	OCF_3	4-fluorophenyl	2,4-bis(CF_3)phenyl
Me	$OCHF_2$	4-chlorophenyl	2-fluoro-4-bromophenyl
Et	OCH_2CF_3	4-(CF_3)phenyl	2-chloro-4-fluorophenyl
Pr	SCF_3	4-cyanophenyl	2-(CF_3)-4-fluorophenyl
i-Pr	SCF_3	4-bromophenyl	2-methyl-4-(CF_3)phenyl
c-Pr	$SCHF_2$	6-chloro-3-pyridinyl	2-chloro-4-(CF_3)phenyl
t-Bu	$S(O)CF_3$	6-fluoro-3-pyridinyl	2-(CF_3)-4-chlorophenyl
CF_3	SO_2CF_3	6-(CF_3)-3-pyridinyl	2,5-difluorophenyl
CH_2F	CO_2Me	4,6-dichloro-3-pyridinyl	2-fluoro-5-(CF_3)phenyl
CHF_2	CO_2Et	2-fluoro-6-chloro-3-pyridinyl	2-fluoro-5-chlorophenyl
OMe	cyano	2,6-dichloro-3-pyridinyl	2,5-dichlorophenyl
OEt	C(O)NHMe	2-bromo-5-chloro-4-pyridinyl	2-fluoro-5-(OCF_3)phenyl
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorophenyl	2-chloro-5-(CF_3)phenyl
OPh	C(=NOMe)Me	3-chloro-5-fluorophenyl	*N*-Me-4-pyrazolyl
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-chlorophenyl	3-Me-5-isoxazolyl
C≡CH	2-fluorophenyl	2,4-dichlorophenyl	6-(6-Cl-3-pyridinyl)-3-pyridinyl
phenyl	3-chlorophenyl	2,4-difluorophenyl	

R^x is C(O)OH; R^y is H; R^a, R^b, R^d and R^e are H

R^c	R^c	R^c	R^c
H	O-*i*-Pr	3-(CF_3)phenyl	2-fluoro-4-cyanophenyl
F	$OCH_2CH=CH_2$	3-fluorophenyl	2-fluoro-4-chlorophenyl
Cl	$OCH_2C\equiv CH$	3-cyanophenyl	2-methyl-4-chlorophenyl
Br	O-*c*-Pr	3-(OCF_3)phenyl	2-fluoro-4-(CF_3)phenyl
I	OCF_3	4-fluorophenyl	2,4-bis(CF_3)phenyl
Me	$OCHF_2$	4-chlorophenyl	2-fluoro-4-bromophenyl

Et	OCH_2CF_3	4-(CF_3)phenyl	2-chloro-4-fluorophenyl
Pr	SCF_3	4-cyanophenyl	2-(CF_3)-4-fluorophenyl
i-Pr	SCF_3	4-bromophenyl	2-methyl-4-(CF_3)phenyl
c-Pr	$SCHF_2$	6-chloro-3-pyridinyl	2-chloro-4-(CF_3)phenyl
t-Bu	$S(O)CF_3$	6-fluoro-3-pyridinyl	2-(CF_3)-4-chlorophenyl
CF_3	SO_2CF_3	6-(CF_3)-3-pyridinyl	2,5-difluorophenyl
CH_2F	CO_2Me	4,6-dichloro-3-pyridinyl	2-fluoro-5-(CF_3)phenyl
CHF_2	CO_2Et	2-fluoro-6-chloro-3-pyridinyl	2-fluoro-5-chlorophenyl
OMe	cyano	2,6-dichloro-3-pyridinyl	2,5-dichlorophenyl
OEt	C(O)NHMe	2-bromo-5-chloro-4-pyridinyl	2-fluoro-5-(OCF_3)phenyl
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorophenyl	2-chloro-5-(CF_3)phenyl
OPh	C(=NOMe)Me	3-chloro-5-fluorophenyl	*N*-Me-4-pyrazolyl
$CH{=}CH_2$	C(=NOEt)Me	3-fluoro-4-chlorophenyl	3-Me-5-isoxazolyl
C≡CH	2-fluorophenyl	2,4-dichlorophenyl	6-(6-Cl-3-pyridinyl)-3-pyridinyl
phenyl	3-chlorophenyl	2,4-difluorophenyl	

R^x is C(O)OH; R^y is H; R^a is F; R^c, R^d and R^e are H

R^b	R^b	R^b	R^b
H	O-*i*-Pr	3-(CF_3)phenyl	2-fluoro-4-cyanophenyl
F	$OCH_2CH{=}CH_2$	3-fluorophenyl	2-fluoro-4-chlorophenyl
Cl	$OCH_2C{\equiv}CH$	3-cyanophenyl	2-methyl-4-chlorophenyl
Br	O-*c*-Pr	3-(OCF_3)phenyl	2-fluoro-4-(CF_3)phenyl
I	OCF_3	4-fluorophenyl	2,4-bis(CF_3)phenyl
Me	$OCHF_2$	4-chlorophenyl	2-fluoro-4-bromophenyl
Et	OCH_2CF_3	4-(CF_3)phenyl	2-chloro-4-fluorophenyl
Pr	SCF_3	4-cyanophenyl	2-(CF_3)-4-fluorophenyl
i-Pr	SCF_3	4-bromophenyl	2-methyl-4-(CF_3)phenyl
c-Pr	$SCHF_2$	6-chloro-3-pyridinyl	2-chloro-4-(CF_3)phenyl
t-Bu	$S(O)CF_3$	6-fluoro-3-pyridinyl	2-(CF_3)-4-chlorophenyl
CF_3	SO_2CF_3	6-(CF_3)-3-pyridinyl	2,5-difluorophenyl
CH_2F	CO_2Me	4,6-dichloro-3-pyridinyl	2-fluoro-5-(CF_3)phenyl
CHF_2	CO_2Et	2-fluoro-6-chloro-3-pyridinyl	2-fluoro-5-chlorophenyl
OMe	cyano	2,6-dichloro-3-pyridinyl	2,5-dichlorophenyl
OEt	C(O)NHMe	2-bromo-5-chloro-4-pyridinyl	2-fluoro-5-(OCF_3)phenyl
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorophenyl	2-chloro-5-(CF_3)phenyl
OPh	C(=NOMe)Me	3-chloro-5-fluorophenyl	*N*-Me-4-pyrazolyl
$CH{=}CH_2$	C(=NOEt)Me	3-fluoro-4-chlorophenyl	3-Me-5-isoxazolyl

C≡CH	2-fluorophenyl	2,4-dichlorophenyl	6-(6-Cl-3-pyridinyl)-3-pyridinyl
phenyl	3-chlorophenyl	2,4-difluorophenyl	

R^x is C(O)OH; R^y is H; R^a is F; R^b, R^d and R^e are H

R^c	R^c	R^c	R^c
H	O-*i*-Pr	3-(CF_3)phenyl	2-fluoro-4-cyanophenyl
F	$OCH_2CH=CH_2$	3-fluorophenyl	2-fluoro-4-chlorophenyl
Cl	$OCH_2C\equiv CH$	3-cyanophenyl	2-methyl-4-chlorophenyl
Br	O-*c*-Pr	3-(OCF_3)phenyl	2-fluoro-4-(CF_3)phenyl
I	OCF_3	4-fluorophenyl	2,4-bis(CF_3)phenyl
Me	$OCHF_2$	4-chlorophenyl	2-fluoro-4-bromophenyl
Et	OCH_2CF_3	4-(CF_3)phenyl	2-chloro-4-fluorophenyl
Pr	SCF_3	4-cyanophenyl	2-(CF_3)-4-fluorophenyl
i-Pr	SCF_3	4-bromophenyl	2-methyl-4-(CF_3)phenyl
c-Pr	$SCHF_2$	6-chloro-3-pyridinyl	2-chloro-4-(CF_3)phenyl
t-Bu	$S(O)CF_3$	6-fluoro-3-pyridinyl	2-(CF_3)-4-chlorophenyl
CF_3	SO_2CF_3	6-(CF_3)-3-pyridinyl	2,5-difluorophenyl
CH_2F	CO_2Me	4,6-dichloro-3-pyridinyl	2-fluoro-5-(CF_3)phenyl
CHF_2	CO_2Et	2-fluoro-6-chloro-3-pyridinyl	2-fluoro-5-chlorophenyl
OMe	cyano	2,6-dichloro-3-pyridinyl	2,5-dichlorophenyl
OEt	C(O)NHMe	2-bromo-5-chloro-4-pyridinyl	2-fluoro-5-(OCF_3)phenyl
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorophenyl	2-chloro-5-(CF_3)phenyl
OPh	C(=NOMe)Me	3-chloro-5-fluorophenyl	*N*-Me-4-pyrazolyl
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-chlorophenyl	3-Me-5-isoxazolyl
C≡CH	2-fluorophenyl	2,4-dichlorophenyl	6-(6-Cl-3-pyridinyl)-3-pyridinyl
phenyl	3-chlorophenyl	2,4-difluorophenyl	

R^x is C(O)OH; R^y is H; R^a is F; R^b, R^c and R^e are H

R^d	R^d	R^d	R^d
H	O-*i*-Pr	3-(CF_3)phenyl	2-fluoro-4-cyanophenyl
F	$OCH_2CH=CH_2$	3-fluorophenyl	2-fluoro-4-chlorophenyl
Cl	$OCH_2C\equiv CH$	3-cyanophenyl	2-methyl-4-chlorophenyl
Br	O-*c*-Pr	3-(OCF_3)phenyl	2-fluoro-4-(CF_3)phenyl
I	OCF_3	4-fluorophenyl	2,4-bis(CF_3)phenyl
Me	$OCHF_2$	4-chlorophenyl	2-fluoro-4-bromophenyl
Et	OCH_2CF_3	4-(CF_3)phenyl	2-chloro-4-fluorophenyl
Pr	SCF_3	4-cyanophenyl	2-(CF_3)-4-fluorophenyl
i-Pr	SCF_3	4-bromophenyl	2-methyl-4-(CF_3)phenyl

c-Pr	$SCHF_2$	6-chloro-3-pyridinyl	2-chloro-4-(CF_3)phenyl
t-Bu	$S(O)CF_3$	6-fluoro-3-pyridinyl	2-(CF_3)-4-chlorophenyl
CF_3	SO_2CF_3	6-(CF_3)-3-pyridinyl	2,5-difluorophenyl
CH_2F	CO_2Me	4,6-dichloro-3-pyridinyl	2-fluoro-5-(CF_3)phenyl
CHF_2	CO_2Et	2-fluoro-6-chloro-3-pyridinyl	2-fluoro-5-chlorophenyl
OMe	cyano	2,6-dichloro-3-pyridinyl	2,5-dichlorophenyl
OEt	C(O)NHMe	2-bromo-5-chloro-4-pyridinyl	2-fluoro-5-(OCF_3)phenyl
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorophenyl	2-chloro-5-(CF_3)phenyl
OPh	C(=NOMe)Me	3-chloro-5-fluorophenyl	*N*-Me-4-pyrazolyl
$CH{=}CH_2$	C(=NOEt)Me	3-fluoro-4-chlorophenyl	3-Me-5-isoxazolyl
C≡CH	2-fluorophenyl	2,4-dichlorophenyl	6-(6-Cl-3-pyridinyl)-3-pyridinyl
phenyl	3-chlorophenyl	2,4-difluorophenyl	

R^x is C(O)OH; R^y is H; R^a is F; R^b, R^c and R^d are H

R^e	R^e	R^e	R^e
H	O-*i*-Pr	3-(CF_3)phenyl	2-fluoro-4-cyanophenyl
F	$OCH_2CH{=}CH_2$	3-fluorophenyl	2-fluoro-4-chlorophenyl
Cl	$OCH_2C{\equiv}CH$	3-cyanophenyl	2-methyl-4-chlorophenyl
Br	O-*c*-Pr	3-(OCF_3)phenyl	2-fluoro-4-(CF_3)phenyl
I	OCF_3	4-fluorophenyl	2,4-bis(CF_3)phenyl
Me	$OCHF_2$	4-chlorophenyl	2-fluoro-4-bromophenyl
Et	OCH_2CF_3	4-(CF_3)phenyl	2-chloro-4-fluorophenyl
Pr	SCF_3	4-cyanophenyl	2-(CF_3)-4-fluorophenyl
i-Pr	SCF_3	4-bromophenyl	2-methyl-4-(CF_3)phenyl
c-Pr	$SCHF_2$	6-chloro-3-pyridinyl	2-chloro-4-(CF_3)phenyl
t-Bu	$S(O)CF_3$	6-fluoro-3-pyridinyl	2-(CF_3)-4-chlorophenyl
CF_3	SO_2CF_3	6-(CF_3)-3-pyridinyl	2,5-difluorophenyl
CH_2F	CO_2Me	4,6-dichloro-3-pyridinyl	2-fluoro-5-(CF_3)phenyl
CHF_2	CO_2Et	2-fluoro-6-chloro-3-pyridinyl	2-fluoro-5-chlorophenyl
OMe	cyano	2,6-dichloro-3-pyridinyl	2,5-dichlorophenyl
OEt	C(O)NHMe	2-bromo-5-chloro-4-pyridinyl	2-fluoro-5-(OCF_3)phenyl
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorophenyl	2-chloro-5-(CF_3)phenyl
OPh	C(=NOMe)Me	3-chloro-5-fluorophenyl	*N*-Me-4-pyrazolyl
$CH{=}CH_2$	C(=NOEt)Me	3-fluoro-4-chlorophenyl	3-Me-5-isoxazolyl
C≡CH	2-fluorophenyl	2,4-dichlorophenyl	6-(6-Cl-3-pyridinyl)-3-pyridinyl
phenyl	3-chlorophenyl	2,4-difluorophenyl	

R^x is C(O)OH; R^y is H; R^a is Cl; R^c, R^d and R^e are H

R^b	R^b	R^b	R^b
H	O-*i*-Pr	3-(CF_3)phenyl	2-fluoro-4-cyanophenyl
F	$OCH_2CH=CH_2$	3-fluorophenyl	2-fluoro-4-chlorophenyl
Cl	$OCH_2C\equiv CH$	3-cyanophenyl	2-methyl-4-chlorophenyl
Br	O-*c*-Pr	3-(OCF_3)phenyl	2-fluoro-4-(CF_3)phenyl
I	OCF_3	4-fluorophenyl	2,4-bis(CF_3)phenyl
Me	$OCHF_2$	4-chlorophenyl	2-fluoro-4-bromophenyl
Et	OCH_2CF_3	4-(CF_3)phenyl	2-chloro-4-fluorophenyl
Pr	SCF_3	4-cyanophenyl	2-(CF_3)-4-fluorophenyl
i-Pr	SCF_3	4-bromophenyl	2-methyl-4-(CF_3)phenyl
c-Pr	$SCHF_2$	6-chloro-3-pyridinyl	2-chloro-4-(CF_3)phenyl
t-Bu	$S(O)CF_3$	6-fluoro-3-pyridinyl	2-(CF_3)-4-chlorophenyl
CF_3	SO_2CF_3	6-(CF_3)-3-pyridinyl	2,5-difluorophenyl
CH_2F	CO_2Me	4,6-dichloro-3-pyridinyl	2-fluoro-5-(CF_3)phenyl
CHF_2	CO_2Et	2-fluoro-6-chloro-3-pyridinyl	2-fluoro-5-chlorophenyl
OMe	cyano	2,6-dichloro-3-pyridinyl	2,5-dichlorophenyl
OEt	C(O)NHMe	2-bromo-5-chloro-4-pyridinyl	2-fluoro-5-(OCF_3)phenyl
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorophenyl	2-chloro-5-(CF_3)phenyl
OPh	C(=NOMe)Me	3-chloro-5-fluorophenyl	*N*-Me-4-pyrazolyl
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-chlorophenyl	3-Me-5-isoxazolyl
$C\equiv CH$	2-fluorophenyl	2,4-dichlorophenyl	6-(6-Cl-3-pyridinyl)-3-pyridinyl
phenyl	3-chlorophenyl	2,4-difluorophenyl	

R^x is C(O)OH; R^y is H; R^a is Cl; R^b, R^d and R^e are H

R^c	R^c	R^c	R^c
H	O-*i*-Pr	3-(CF_3)phenyl	2-fluoro-4-cyanophenyl
F	$OCH_2CH=CH_2$	3-fluorophenyl	2-fluoro-4-chlorophenyl
Cl	$OCH_2C\equiv CH$	3-cyanophenyl	2-methyl-4-chlorophenyl
Br	O-*c*-Pr	3-(OCF_3)phenyl	2-fluoro-4-(CF_3)phenyl
I	OCF_3	4-fluorophenyl	2,4-bis(CF_3)phenyl
Me	$OCHF_2$	4-chlorophenyl	2-fluoro-4-bromophenyl
Et	OCH_2CF_3	4-(CF_3)phenyl	2-chloro-4-fluorophenyl
Pr	SCF_3	4-cyanophenyl	2-(CF_3)-4-fluorophenyl
i-Pr	SCF_3	4-bromophenyl	2-methyl-4-(CF_3)phenyl
c-Pr	$SCHF_2$	6-chloro-3-pyridinyl	2-chloro-4-(CF_3)phenyl
t-Bu	$S(O)CF_3$	6-fluoro-3-pyridinyl	2-(CF_3)-4-chlorophenyl
CF_3	SO_2CF_3	6-(CF_3)-3-pyridinyl	2,5-difluorophenyl

CH_2F	CO_2Me	4,6-dichloro-3-pyridinyl	2-fluoro-5-(CF_3)phenyl
CHF_2	CO_2Et	2-fluoro-6-chloro-3-pyridinyl	2-fluoro-5-chlorophenyl
OMe	cyano	2,6-dichloro-3-pyridinyl	2,5-dichlorophenyl
OEt	C(O)NHMe	2-bromo-5-chloro-4-pyridinyl	2-fluoro-5-(OCF_3)phenyl
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorophenyl	2-chloro-5-(CF_3)phenyl
OPh	C(=NOMe)Me	3-chloro-5-fluorophenyl	*N*-Me-4-pyrazolyl
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-chlorophenyl	3-Me-5-isoxazolyl
C≡CH	2-fluorophenyl	2,4-dichlorophenyl	6-(6-Cl-3-pyridinyl)-3-pyridinyl
phenyl	3-chlorophenyl	2,4-difluorophenyl	

R^x is C(O)OH; R^y is H; R^a is Cl; R^b, R^c and R^e are H

R^d	R^d	R^d	R^d
H	O-*i*-Pr	3-(CF_3)phenyl	2-fluoro-4-cyanophenyl
F	$OCH_2CH=CH_2$	3-fluorophenyl	2-fluoro-4-chlorophenyl
Cl	$OCH_2C≡CH$	3-cyanophenyl	2-methyl-4-chlorophenyl
Br	O-*c*-Pr	3-(OCF_3)phenyl	2-fluoro-4-(CF_3)phenyl
I	OCF_3	4-fluorophenyl	2,4-bis(CF_3)phenyl
Me	$OCHF_2$	4-chlorophenyl	2-fluoro-4-bromophenyl
Et	OCH_2CF_3	4-(CF_3)phenyl	2-chloro-4-fluorophenyl
Pr	SCF_3	4-cyanophenyl	2-(CF_3)-4-fluorophenyl
i-Pr	SCF_3	4-bromophenyl	2-methyl-4-(CF_3)phenyl
c-Pr	$SCHF_2$	6-chloro-3-pyridinyl	2-chloro-4-(CF_3)phenyl
t-Bu	$S(O)CF_3$	6-fluoro-3-pyridinyl	2-(CF_3)-4-chlorophenyl
CF_3	SO_2CF_3	6-(CF_3)-3-pyridinyl	2,5-difluorophenyl
CH_2F	CO_2Me	4,6-dichloro-3-pyridinyl	2-fluoro-5-(CF_3)phenyl
CHF_2	CO_2Et	2-fluoro-6-chloro-3-pyridinyl	2-fluoro-5-chlorophenyl
OMe	cyano	2,6-dichloro-3-pyridinyl	2,5-dichlorophenyl
OEt	C(O)NHMe	2-bromo-5-chloro-4-pyridinyl	2-fluoro-5-(OCF_3)phenyl
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorophenyl	2-chloro-5-(CF_3)phenyl
OPh	C(=NOMe)Me	3-chloro-5-fluorophenyl	*N*-Me-4-pyrazolyl
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-chlorophenyl	3-Me-5-isoxazolyl
C≡CH	2-fluorophenyl	2,4-dichlorophenyl	6-(6-Cl-3-pyridinyl)-3-pyridinyl
phenyl	3-chlorophenyl	2,4-difluorophenyl	

R^x is C(O)OH; R^y is H; R^a is Cl; R^b, R^c and R^d are H

R^e	R^e	R^e	R^e
H	O-*i*-Pr	3-(CF_3)phenyl	2-fluoro-4-cyanophenyl
F	$OCH_2CH=CH_2$	3-fluorophenyl	2-fluoro-4-chlorophenyl

Cl	$OCH_2C{\equiv}CH$	3-cyanophenyl	2-methyl-4-chlorophenyl
Br	O-*c*-Pr	3-(OCF_3)phenyl	2-fluoro-4-(CF_3)phenyl
I	OCF_3	4-fluorophenyl	2,4-bis(CF_3)phenyl
Me	$OCHF_2$	4-chlorophenyl	2-fluoro-4-bromophenyl
Et	OCH_2CF_3	4-(CF_3)phenyl	2-chloro-4-fluorophenyl
Pr	SCF_3	4-cyanophenyl	2-(CF_3)-4-fluorophenyl
i-Pr	SCF_3	4-bromophenyl	2-methyl-4-(CF_3)phenyl
c-Pr	$SCHF_2$	6-chloro-3-pyridinyl	2-chloro-4-(CF_3)phenyl
t-Bu	$S(O)CF_3$	6-fluoro-3-pyridinyl	2-(CF_3)-4-chlorophenyl
CF_3	SO_2CF_3	6-(CF_3)-3-pyridinyl	2,5-difluorophenyl
CH_2F	CO_2Me	4,6-dichloro-3-pyridinyl	2-fluoro-5-(CF_3)phenyl
CHF_2	CO_2Et	2-fluoro-6-chloro-3-pyridinyl	2-fluoro-5-chlorophenyl
OMe	cyano	2,6-dichloro-3-pyridinyl	2,5-dichlorophenyl
OEt	C(O)NHMe	2-bromo-5-chloro-4-pyridinyl	2-fluoro-5-(OCF_3)phenyl
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorophenyl	2-chloro-5-(CF_3)phenyl
OPh	C(=NOMe)Me	3-chloro-5-fluorophenyl	*N*-Me-4-pyrazolyl
$CH{=}CH_2$	C(=NOEt)Me	3-fluoro-4-chlorophenyl	3-Me-5-isoxazolyl
$C{\equiv}CH$	2-fluorophenyl	2,4-dichlorophenyl	6-(6-Cl-3-pyridinyl)-3-pyridinyl
phenyl	3-chlorophenyl	2,4-difluorophenyl	

R^x is C(O)OH; R^y is H; R^a is OMe; R^c, R^d and R^e are H

R^b	R^b	R^b	R^b
H	O-*i*-Pr	3-(CF_3)phenyl	2-fluoro-4-cyanophenyl
F	$OCH_2CH{=}CH_2$	3-fluorophenyl	2-fluoro-4-chlorophenyl
Cl	$OCH_2C{\equiv}CH$	3-cyanophenyl	2-methyl-4-chlorophenyl
Br	O-*c*-Pr	3-(OCF_3)phenyl	2-fluoro-4-(CF_3)phenyl
I	OCF_3	4-fluorophenyl	2,4-bis(CF_3)phenyl
Me	$OCHF_2$	4-chlorophenyl	2-fluoro-4-bromophenyl
Et	OCH_2CF_3	4-(CF_3)phenyl	2-chloro-4-fluorophenyl
Pr	SCF_3	4-cyanophenyl	2-(CF_3)-4-fluorophenyl
i-Pr	SCF_3	4-bromophenyl	2-methyl-4-(CF_3)phenyl
c-Pr	$SCHF_2$	6-chloro-3-pyridinyl	2-chloro-4-(CF_3)phenyl
t-Bu	$S(O)CF_3$	6-fluoro-3-pyridinyl	2-(CF_3)-4-chlorophenyl
CF_3	SO_2CF_3	6-(CF_3)-3-pyridinyl	2,5-difluorophenyl
CH_2F	CO_2Me	4,6-dichloro-3-pyridinyl	2-fluoro-5-(CF_3)phenyl
CHF_2	CO_2Et	2-fluoro-6-chloro-3-pyridinyl	2-fluoro-5-chlorophenyl
OMe	cyano	2,6-dichloro-3-pyridinyl	2,5-dichlorophenyl
OEt	C(O)NHMe	2-bromo-5-chloro-4-pyridinyl	2-fluoro-5-(OCF_3)phenyl

O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorophenyl	2-chloro-5-(CF_3)phenyl
OPh	C(=NOMe)Me	3-chloro-5-fluorophenyl	*N*-Me-4-pyrazolyl
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-chlorophenyl	3-Me-5-isoxazolyl
C≡CH	2-fluorophenyl	2,4-dichlorophenyl	6-(6-Cl-3-pyridinyl)-3-pyridinyl
phenyl	3-chlorophenyl	2,4-difluorophenyl	

R^x is C(O)OH; R^y is H; R^a is OMe; R^b, R^d and R^e are H

R^c	R^c	R^c	R^c
H	O-*i*-Pr	3-(CF_3)phenyl	2-fluoro-4-cyanophenyl
F	$OCH_2CH=CH_2$	3-fluorophenyl	2-fluoro-4-chlorophenyl
Cl	$OCH_2C\equiv CH$	3-cyanophenyl	2-methyl-4-chlorophenyl
Br	O-*c*-Pr	3-(OCF_3)phenyl	2-fluoro-4-(CF_3)phenyl
I	OCF_3	4-fluorophenyl	2,4-bis(CF_3)phenyl
Me	$OCHF_2$	4-chlorophenyl	2-fluoro-4-bromophenyl
Et	OCH_2CF_3	4-(CF_3)phenyl	2-chloro-4-fluorophenyl
Pr	SCF_3	4-cyanophenyl	2-(CF_3)-4-fluorophenyl
i-Pr	SCF_3	4-bromophenyl	2-methyl-4-(CF_3)phenyl
c-Pr	$SCHF_2$	6-chloro-3-pyridinyl	2-chloro-4-(CF_3)phenyl
t-Bu	$S(O)CF_3$	6-fluoro-3-pyridinyl	2-(CF_3)-4-chlorophenyl
CF_3	SO_2CF_3	6-(CF_3)-3-pyridinyl	2,5-difluorophenyl
CH_2F	CO_2Me	4,6-dichloro-3-pyridinyl	2-fluoro-5-(CF_3)phenyl
CHF_2	CO_2Et	2-fluoro-6-chloro-3-pyridinyl	2-fluoro-5-chlorophenyl
OMe	cyano	2,6-dichloro-3-pyridinyl	2,5-dichlorophenyl
OEt	C(O)NHMe	2-bromo-5-chloro-4-pyridinyl	2-fluoro-5-(OCF_3)phenyl
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorophenyl	2-chloro-5-(CF_3)phenyl
OPh	C(=NOMe)Me	3-chloro-5-fluorophenyl	*N*-Me-4-pyrazolyl
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-chlorophenyl	3-Me-5-isoxazolyl
C≡CH	2-fluorophenyl	2,4-dichlorophenyl	6-(6-Cl-3-pyridinyl)-3-pyridinyl
phenyl	3-chlorophenyl	2,4-difluorophenyl	

R^x is C(O)OH; R^y is H; R^a is OMe; R^b, R^c and R^e are H

R^d	R^d	R^d	R^d
H	O-*i*-Pr	3-(CF_3)phenyl	2-fluoro-4-cyanophenyl
F	$OCH_2CH=CH_2$	3-fluorophenyl	2-fluoro-4-chlorophenyl
Cl	$OCH_2C\equiv CH$	3-cyanophenyl	2-methyl-4-chlorophenyl
Br	O-*c*-Pr	3-(OCF_3)phenyl	2-fluoro-4-(CF_3)phenyl
I	OCF_3	4-fluorophenyl	2,4-bis(CF_3)phenyl
Me	$OCHF_2$	4-chlorophenyl	2-fluoro-4-bromophenyl

Et	OCH_2CF_3	4-(CF_3)phenyl	2-chloro-4-fluorophenyl
Pr	SCF_3	4-cyanophenyl	2-(CF_3)-4-fluorophenyl
i-Pr	SCF_3	4-bromophenyl	2-methyl-4-(CF_3)phenyl
c-Pr	$SCHF_2$	6-chloro-3-pyridinyl	2-chloro-4-(CF_3)phenyl
t-Bu	$S(O)CF_3$	6-fluoro-3-pyridinyl	2-(CF_3)-4-chlorophenyl
CF_3	SO_2CF_3	6-(CF_3)-3-pyridinyl	2,5-difluorophenyl
CH_2F	CO_2Me	4,6-dichloro-3-pyridinyl	2-fluoro-5-(CF_3)phenyl
CHF_2	CO_2Et	2-fluoro-6-chloro-3-pyridinyl	2-fluoro-5-chlorophenyl
OMe	cyano	2,6-dichloro-3-pyridinyl	2,5-dichlorophenyl
OEt	C(O)NHMe	2-bromo-5-chloro-4-pyridinyl	2-fluoro-5-(OCF_3)phenyl
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorophenyl	2-chloro-5-(CF_3)phenyl
OPh	C(=NOMe)Me	3-chloro-5-fluorophenyl	*N*-Me-4-pyrazolyl
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-chlorophenyl	3-Me-5-isoxazolyl
$C\equiv CH$	2-fluorophenyl	2,4-dichlorophenyl	6-(6-Cl-3-pyridinyl)-3-pyridinyl
phenyl	3-chlorophenyl	2,4-difluorophenyl	

R^x is C(O)OH; R^y is H; R^a is OMe; R^b, R^c and R^d are H

R^e	R^e	R^e	R^e
H	O-*i*-Pr	3-(CF_3)phenyl	2-fluoro-4-cyanophenyl
F	$OCH_2CH=CH_2$	3-fluorophenyl	2-fluoro-4-chlorophenyl
Cl	$OCH_2C\equiv CH$	3-cyanophenyl	2-methyl-4-chlorophenyl
Br	O-*c*-Pr	3-(OCF_3)phenyl	2-fluoro-4-(CF_3)phenyl
I	OCF_3	4-fluorophenyl	2,4-bis(CF_3)phenyl
Me	$OCHF_2$	4-chlorophenyl	2-fluoro-4-bromophenyl
Et	OCH_2CF_3	4-(CF_3)phenyl	2-chloro-4-fluorophenyl
Pr	SCF_3	4-cyanophenyl	2-(CF_3)-4-fluorophenyl
i-Pr	SCF_3	4-bromophenyl	2-methyl-4-(CF_3)phenyl
c-Pr	$SCHF_2$	6-chloro-3-pyridinyl	2-chloro-4-(CF_3)phenyl
t-Bu	$S(O)CF_3$	6-fluoro-3-pyridinyl	2-(CF_3)-4-chlorophenyl
CF_3	SO_2CF_3	6-(CF_3)-3-pyridinyl	2,5-difluorophenyl
CH_2F	CO_2Me	4,6-dichloro-3-pyridinyl	2-fluoro-5-(CF_3)phenyl
CHF_2	CO_2Et	2-fluoro-6-chloro-3-pyridinyl	2-fluoro-5-chlorophenyl
OMe	cyano	2,6-dichloro-3-pyridinyl	2,5-dichlorophenyl
OEt	C(O)NHMe	2-bromo-5-chloro-4-pyridinyl	2-fluoro-5-(OCF_3)phenyl
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorophenyl	2-chloro-5-(CF_3)phenyl
OPh	C(=NOMe)Me	3-chloro-5-fluorophenyl	*N*-Me-4-pyrazolyl
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-chlorophenyl	3-Me-5-isoxazolyl

C≡CH	2-fluorophenyl	2,4-dichlorophenyl	6-(6-Cl-3-pyridinyl)-3-pyridinyl
phenyl	3-chlorophenyl	2,4-difluorophenyl	

R^x is C(O)OH; R^y is H; R^a is Me; R^c, R^d and R^e are H

R^b	R^b	R^b	R^b
H	O-*i*-Pr	3-(CF_3)phenyl	2-fluoro-4-cyanophenyl
F	$OCH_2CH=CH_2$	3-fluorophenyl	2-fluoro-4-chlorophenyl
Cl	$OCH_2C\equiv CH$	3-cyanophenyl	2-methyl-4-chlorophenyl
Br	O-*c*-Pr	3-(OCF_3)phenyl	2-fluoro-4-(CF_3)phenyl
I	OCF_3	4-fluorophenyl	2,4-bis(CF_3)phenyl
Me	$OCHF_2$	4-chlorophenyl	2-fluoro-4-bromophenyl
Et	OCH_2CF_3	4-(CF_3)phenyl	2-chloro-4-fluorophenyl
Pr	SCF_3	4-cyanophenyl	2-(CF_3)-4-fluorophenyl
i-Pr	SCF_3	4-bromophenyl	2-methyl-4-(CF_3)phenyl
c-Pr	$SCHF_2$	6-chloro-3-pyridinyl	2-chloro-4-(CF_3)phenyl
t-Bu	$S(O)CF_3$	6-fluoro-3-pyridinyl	2-(CF_3)-4-chlorophenyl
CF_3	SO_2CF_3	6-(CF_3)-3-pyridinyl	2,5-difluorophenyl
CH_2F	CO_2Me	4,6-dichloro-3-pyridinyl	2-fluoro-5-(CF_3)phenyl
CHF_2	CO_2Et	2-fluoro-6-chloro-3-pyridinyl	2-fluoro-5-chlorophenyl
OMe	cyano	2,6-dichloro-3-pyridinyl	2,5-dichlorophenyl
OEt	C(O)NHMe	2-bromo-5-chloro-4-pyridinyl	2-fluoro-5-(OCF_3)phenyl
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorophenyl	2-chloro-5-(CF_3)phenyl
OPh	C(=NOMe)Me	3-chloro-5-fluorophenyl	*N*-Me-4-pyrazolyl
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-chlorophenyl	3-Me-5-isoxazolyl
C≡CH	2-fluorophenyl	2,4-dichlorophenyl	6-(6-Cl-3-pyridinyl)-3-pyridinyl
phenyl	3-chlorophenyl	2,4-difluorophenyl	

R^x is C(O)OH; R^y is H; R^a is Me; R^b, R^d and R^e are H

R^c	R^c	R^c	R^c
H	O-*i*-Pr	3-(CF_3)phenyl	2-fluoro-4-cyanophenyl
F	$OCH_2CH=CH_2$	3-fluorophenyl	2-fluoro-4-chlorophenyl
Cl	$OCH_2C\equiv CH$	3-cyanophenyl	2-methyl-4-chlorophenyl
Br	O-*c*-Pr	3-(OCF_3)phenyl	2-fluoro-4-(CF_3)phenyl
I	OCF_3	4-fluorophenyl	2,4-bis(CF_3)phenyl
Me	$OCHF_2$	4-chlorophenyl	2-fluoro-4-bromophenyl
Et	OCH_2CF_3	4-(CF_3)phenyl	2-chloro-4-fluorophenyl
Pr	SCF_3	4-cyanophenyl	2-(CF_3)-4-fluorophenyl
i-Pr	SCF_3	4-bromophenyl	2-methyl-4-(CF_3)phenyl

c-Pr	$SCHF_2$	6-chloro-3-pyridinyl	2-chloro-4-(CF_3)phenyl
t-Bu	$S(O)CF_3$	6-fluoro-3-pyridinyl	2-(CF_3)-4-chlorophenyl
CF_3	SO_2CF_3	6-(CF_3)-3-pyridinyl	2,5-difluorophenyl
CH_2F	CO_2Me	4,6-dichloro-3-pyridinyl	2-fluoro-5-(CF_3)phenyl
CHF_2	CO_2Et	2-fluoro-6-chloro-3-pyridinyl	2-fluoro-5-chlorophenyl
OMe	cyano	2,6-dichloro-3-pyridinyl	2,5-dichlorophenyl
OEt	C(O)NHMe	2-bromo-5-chloro-4-pyridinyl	2-fluoro-5-(OCF_3)phenyl
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorophenyl	2-chloro-5-(CF_3)phenyl
OPh	C(=NOMe)Me	3-chloro-5-fluorophenyl	*N*-Me-4-pyrazolyl
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-chlorophenyl	3-Me-5-isoxazolyl
C≡CH	2-fluorophenyl	2,4-dichlorophenyl	6-(6-Cl-3-pyridinyl)-3-pyridinyl
phenyl	3-chlorophenyl	2,4-difluorophenyl	

R^x is C(O)OH; R^y is H; R^a is Me; R^b, R^c and R^e are H

R^d	R^d	R^d	R^d
H	O-*i*-Pr	3-(CF_3)phenyl	2-fluoro-4-cyanophenyl
F	$OCH_2CH=CH_2$	3-fluorophenyl	2-fluoro-4-chlorophenyl
Cl	$OCH_2C≡CH$	3-cyanophenyl	2-methyl-4-chlorophenyl
Br	O-*c*-Pr	3-(OCF_3)phenyl	2-fluoro-4-(CF_3)phenyl
I	OCF_3	4-fluorophenyl	2,4-bis(CF_3)phenyl
Me	$OCHF_2$	4-chlorophenyl	2-fluoro-4-bromophenyl
Et	OCH_2CF_3	4-(CF_3)phenyl	2-chloro-4-fluorophenyl
Pr	SCF_3	4-cyanophenyl	2-(CF_3)-4-fluorophenyl
i-Pr	SCF_3	4-bromophenyl	2-methyl-4-(CF_3)phenyl
c-Pr	$SCHF_2$	6-chloro-3-pyridinyl	2-chloro-4-(CF_3)phenyl
t-Bu	$S(O)CF_3$	6-fluoro-3-pyridinyl	2-(CF_3)-4-chlorophenyl
CF_3	SO_2CF_3	6-(CF_3)-3-pyridinyl	2,5-difluorophenyl
CH_2F	CO_2Me	4,6-dichloro-3-pyridinyl	2-fluoro-5-(CF_3)phenyl
CHF_2	CO_2Et	2-fluoro-6-chloro-3-pyridinyl	2-fluoro-5-chlorophenyl
OMe	cyano	2,6-dichloro-3-pyridinyl	2,5-dichlorophenyl
OEt	C(O)NHMe	2-bromo-5-chloro-4-pyridinyl	2-fluoro-5-(OCF_3)phenyl
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorophenyl	2-chloro-5-(CF_3)phenyl
OPh	C(=NOMe)Me	3-chloro-5-fluorophenyl	*N*-Me-4-pyrazolyl
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-chlorophenyl	3-Me-5-isoxazolyl
C≡CH	2-fluorophenyl	2,4-dichlorophenyl	6-(6-Cl-3-pyridinyl)-3-pyridinyl
phenyl	3-chlorophenyl	2,4-difluorophenyl	

R^x is C(O)OH; R^y is H; R^a is Me; R^b, R^c and R^d are H

R^e	R^e	R^e	R^e
H	O-*i*-Pr	3-(CF_3)phenyl	2-fluoro-4-cyanophenyl
F	$OCH_2CH=CH_2$	3-fluorophenyl	2-fluoro-4-chlorophenyl
Cl	$OCH_2C\equiv CH$	3-cyanophenyl	2-methyl-4-chlorophenyl
Br	O-*c*-Pr	3-(OCF_3)phenyl	2-fluoro-4-(CF_3)phenyl
I	OCF_3	4-fluorophenyl	2,4-bis(CF_3)phenyl
Me	$OCHF_2$	4-chlorophenyl	2-fluoro-4-bromophenyl
Et	OCH_2CF_3	4-(CF_3)phenyl	2-chloro-4-fluorophenyl
Pr	SCF_3	4-cyanophenyl	2-(CF_3)-4-fluorophenyl
i-Pr	SCF_3	4-bromophenyl	2-methyl-4-(CF_3)phenyl
c-Pr	$SCHF_2$	6-chloro-3-pyridinyl	2-chloro-4-(CF_3)phenyl
t-Bu	$S(O)CF_3$	6-fluoro-3-pyridinyl	2-(CF_3)-4-chlorophenyl
CF_3	SO_2CF_3	6-(CF_3)-3-pyridinyl	2,5-difluorophenyl
CH_2F	CO_2Me	4,6-dichloro-3-pyridinyl	2-fluoro-5-(CF_3)phenyl
CHF_2	CO_2Et	2-fluoro-6-chloro-3-pyridinyl	2-fluoro-5-chlorophenyl
OMe	cyano	2,6-dichloro-3-pyridinyl	2,5-dichlorophenyl
OEt	C(O)NHMe	2-bromo-5-chloro-4-pyridinyl	2-fluoro-5-(OCF_3)phenyl
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorophenyl	2-chloro-5-(CF_3)phenyl
OPh	C(=NOMe)Me	3-chloro-5-fluorophenyl	*N*-Me-4-pyrazolyl
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-chlorophenyl	3-Me-5-isoxazolyl
$C\equiv CH$	2-fluorophenyl	2,4-dichlorophenyl	6-(6-Cl-3-pyridinyl)-3-pyridinyl
phenyl	3-chlorophenyl	2,4-difluorophenyl	

R^x is C(O)OH; R^y is H; R^d is Cl; R^a, R^c and R^e are H

R^b	R^b	R^b	R^b
H	O-*i*-Pr	3-(CF_3)phenyl	2-fluoro-4-cyanophenyl
F	$OCH_2CH=CH_2$	3-fluorophenyl	2-fluoro-4-chlorophenyl
Cl	$OCH_2C\equiv CH$	3-cyanophenyl	2-methyl-4-chlorophenyl
Br	O-*c*-Pr	3-(OCF_3)phenyl	2-fluoro-4-(CF_3)phenyl
I	OCF_3	4-fluorophenyl	2,4-bis(CF_3)phenyl
Me	$OCHF_2$	4-chlorophenyl	2-fluoro-4-bromophenyl
Et	OCH_2CF_3	4-(CF_3)phenyl	2-chloro-4-fluorophenyl
Pr	SCF_3	4-cyanophenyl	2-(CF_3)-4-fluorophenyl
i-Pr	SCF_3	4-bromophenyl	2-methyl-4-(CF_3)phenyl
c-Pr	$SCHF_2$	6-chloro-3-pyridinyl	2-chloro-4-(CF_3)phenyl
t-Bu	$S(O)CF_3$	6-fluoro-3-pyridinyl	2-(CF_3)-4-chlorophenyl
CF_3	SO_2CF_3	6-(CF_3)-3-pyridinyl	2,5-difluorophenyl

CH_2F	CO_2Me	4,6-dichloro-3-pyridinyl	2-fluoro-5-(CF_3)phenyl
CHF_2	CO_2Et	2-fluoro-6-chloro-3-pyridinyl	2-fluoro-5-chlorophenyl
OMe	cyano	2,6-dichloro-3-pyridinyl	2,5-dichlorophenyl
OEt	C(O)NHMe	2-bromo-5-chloro-4-pyridinyl	2-fluoro-5-(OCF_3)phenyl
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorophenyl	2-chloro-5-(CF_3)phenyl
OPh	C(=NOMe)Me	3-chloro-5-fluorophenyl	*N*-Me-4-pyrazolyl
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-chlorophenyl	3-Me-5-isoxazolyl
C≡CH	2-fluorophenyl	2,4-dichlorophenyl	6-(6-Cl-3-pyridinyl)-3-pyridinyl
phenyl	3-chlorophenyl	2,4-difluorophenyl	

R^x is C(O)OH; R^y is H; R^d is CF_3; R^a, R^c and R^e are H

R^b	R^b	R^b	R^b
H	O-*i*-Pr	3-(CF_3)phenyl	2-fluoro-4-cyanophenyl
F	$OCH_2CH=CH_2$	3-fluorophenyl	2-fluoro-4-chlorophenyl
Cl	$OCH_2C≡CH$	3-cyanophenyl	2-methyl-4-chlorophenyl
Br	O-*c*-Pr	3-(OCF_3)phenyl	2-fluoro-4-(CF_3)phenyl
I	OCF_3	4-fluorophenyl	2,4-bis(CF_3)phenyl
Me	$OCHF_2$	4-chlorophenyl	2-fluoro-4-bromophenyl
Et	OCH_2CF_3	4-(CF_3)phenyl	2-chloro-4-fluorophenyl
Pr	SCF_3	4-cyanophenyl	2-(CF_3)-4-fluorophenyl
i-Pr	SCF_3	4-bromophenyl	2-methyl-4-(CF_3)phenyl
c-Pr	$SCHF_2$	6-chloro-3-pyridinyl	2-chloro-4-(CF_3)phenyl
t-Bu	$S(O)CF_3$	6-fluoro-3-pyridinyl	2-(CF_3)-4-chlorophenyl
CF_3	SO_2CF_3	6-(CF_3)-3-pyridinyl	2,5-difluorophenyl
CH_2F	CO_2Me	4,6-dichloro-3-pyridinyl	2-fluoro-5-(CF_3)phenyl
CHF_2	CO_2Et	2-fluoro-6-chloro-3-pyridinyl	2-fluoro-5-chlorophenyl
OMe	cyano	2,6-dichloro-3-pyridinyl	2,5-dichlorophenyl
OEt	C(O)NHMe	2-bromo-5-chloro-4-pyridinyl	2-fluoro-5-(OCF_3)phenyl
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorophenyl	2-chloro-5-(CF_3)phenyl
OPh	C(=NOMe)Me	3-chloro-5-fluorophenyl	*N*-Me-4-pyrazolyl
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-chlorophenyl	3-Me-5-isoxazolyl
C≡CH	2-fluorophenyl	2,4-dichlorophenyl	6-(6-Cl-3-pyridinyl)-3-pyridinyl
phenyl	3-chlorophenyl	2,4-difluorophenyl	

R^x is C(O)OH; R^y is H; R^b is Br; R^a, R^c and R^e are H

R^d	R^d	R^d	R^d
H	O-*i*-Pr	3-(CF_3)phenyl	2-fluoro-4-cyanophenyl
F	$OCH_2CH=CH_2$	3-fluorophenyl	2-fluoro-4-chlorophenyl

Cl	$OCH_2C{\equiv}CH$	3-cyanophenyl	2-methyl-4-chlorophenyl
Br	O-*c*-Pr	3-(OCF_3)phenyl	2-fluoro-4-(CF_3)phenyl
I	OCF_3	4-fluorophenyl	2,4-bis(CF_3)phenyl
Me	$OCHF_2$	4-chlorophenyl	2-fluoro-4-bromophenyl
Et	OCH_2CF_3	4-(CF_3)phenyl	2-chloro-4-fluorophenyl
Pr	SCF_3	4-cyanophenyl	2-(CF_3)-4-fluorophenyl
i-Pr	SCF_3	4-bromophenyl	2-methyl-4-(CF_3)phenyl
c-Pr	$SCHF_2$	6-chloro-3-pyridinyl	2-chloro-4-(CF_3)phenyl
t-Bu	$S(O)CF_3$	6-fluoro-3-pyridinyl	2-(CF_3)-4-chlorophenyl
CF_3	SO_2CF_3	6-(CF_3)-3-pyridinyl	2,5-difluorophenyl
CH_2F	CO_2Me	4,6-dichloro-3-pyridinyl	2-fluoro-5-(CF_3)phenyl
CHF_2	CO_2Et	2-fluoro-6-chloro-3-pyridinyl	2-fluoro-5-chlorophenyl
OMe	cyano	2,6-dichloro-3-pyridinyl	2,5-dichlorophenyl
OEt	C(O)NHMe	2-bromo-5-chloro-4-pyridinyl	2-fluoro-5-(OCF_3)phenyl
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorophenyl	2-chloro-5-(CF_3)phenyl
OPh	C(=NOMe)Me	3-chloro-5-fluorophenyl	*N*-Me-4-pyrazolyl
$CH{=}CH_2$	C(=NOEt)Me	3-fluoro-4-chlorophenyl	3-Me-5-isoxazolyl
$C{\equiv}CH$	2-fluorophenyl	2,4-dichlorophenyl	6-(6-Cl-3-pyridinyl)-3-pyridinyl
phenyl	3-chlorophenyl	2,4-difluorophenyl	

R^x is C(O)OH; R^y is H; R^b is OCF_3; R^a, R^c and R^e are H

R^d	R^d	R^d	R^d
H	O-*i*-Pr	3-(CF_3)phenyl	2-fluoro-4-cyanophenyl
F	$OCH_2CH{=}CH_2$	3-fluorophenyl	2-fluoro-4-chlorophenyl
Cl	$OCH_2C{\equiv}CH$	3-cyanophenyl	2-methyl-4-chlorophenyl
Br	O-*c*-Pr	3-(OCF_3)phenyl	2-fluoro-4-(CF_3)phenyl
I	OCF_3	4-fluorophenyl	2,4-bis(CF_3)phenyl
Me	$OCHF_2$	4-chlorophenyl	2-fluoro-4-bromophenyl
Et	OCH_2CF_3	4-(CF_3)phenyl	2-chloro-4-fluorophenyl
Pr	SCF_3	4-cyanophenyl	2-(CF_3)-4-fluorophenyl
i-Pr	SCF_3	4-bromophenyl	2-methyl-4-(CF_3)phenyl
c-Pr	$SCHF_2$	6-chloro-3-pyridinyl	2-chloro-4-(CF_3)phenyl
t-Bu	$S(O)CF_3$	6-fluoro-3-pyridinyl	2-(CF_3)-4-chlorophenyl
CF_3	SO_2CF_3	6-(CF_3)-3-pyridinyl	2,5-difluorophenyl
CH_2F	CO_2Me	4,6-dichloro-3-pyridinyl	2-fluoro-5-(CF_3)phenyl
CHF_2	CO_2Et	2-fluoro-6-chloro-3-pyridinyl	2-fluoro-5-chlorophenyl
OMe	cyano	2,6-dichloro-3-pyridinyl	2,5-dichlorophenyl
OEt	C(O)NHMe	2-bromo-5-chloro-4-pyridinyl	2-fluoro-5-(OCF_3)phenyl

O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorophenyl	2-chloro-5-(CF_3)phenyl
OPh	C(=NOMe)Me	3-chloro-5-fluorophenyl	*N*-Me-4-pyrazolyl
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-chlorophenyl	3-Me-5-isoxazolyl
C≡CH	2-fluorophenyl	2,4-dichlorophenyl	6-(6-Cl-3-pyridinyl)-3-pyridinyl
phenyl	3-chlorophenyl	2,4-difluorophenyl	

R^x is C(O)OH; R^y is H; R^b is OMe; R^a, R^c and R^e are H

R^d	R^d	R^d	R^d
H	O-*i*-Pr	3-(CF_3)phenyl	2-fluoro-4-cyanophenyl
F	$OCH_2CH=CH_2$	3-fluorophenyl	2-fluoro-4-chlorophenyl
Cl	$OCH_2C\equiv CH$	3-cyanophenyl	2-methyl-4-chlorophenyl
Br	O-*c*-Pr	3-(OCF_3)phenyl	2-fluoro-4-(CF_3)phenyl
I	OCF_3	4-fluorophenyl	2,4-bis(CF_3)phenyl
Me	$OCHF_2$	4-chlorophenyl	2-fluoro-4-bromophenyl
Et	OCH_2CF_3	4-(CF_3)phenyl	2-chloro-4-fluorophenyl
Pr	SCF_3	4-cyanophenyl	2-(CF_3)-4-fluorophenyl
i-Pr	SCF_3	4-bromophenyl	2-methyl-4-(CF_3)phenyl
c-Pr	$SCHF_2$	6-chloro-3-pyridinyl	2-chloro-4-(CF_3)phenyl
t-Bu	$S(O)CF_3$	6-fluoro-3-pyridinyl	2-(CF_3)-4-chlorophenyl
CF_3	SO_2CF_3	6-(CF_3)-3-pyridinyl	2,5-difluorophenyl
CH_2F	CO_2Me	4,6-dichloro-3-pyridinyl	2-fluoro-5-(CF_3)phenyl
CHF_2	CO_2Et	2-fluoro-6-chloro-3-pyridinyl	2-fluoro-5-chlorophenyl
OMe	cyano	2,6-dichloro-3-pyridinyl	2,5-dichlorophenyl
OEt	C(O)NHMe	2-bromo-5-chloro-4-pyridinyl	2-fluoro-5-(OCF_3)phenyl
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorophenyl	2-chloro-5-(CF_3)phenyl
OPh	C(=NOMe)Me	3-chloro-5-fluorophenyl	*N*-Me-4-pyrazolyl
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-chlorophenyl	3-Me-5-isoxazolyl
C≡CH	2-fluorophenyl	2,4-dichlorophenyl	6-(6-Cl-3-pyridinyl)-3-pyridinyl
phenyl	3-chlorophenyl	2,4-difluorophenyl	

R^x is C(O)OH; R^y is H; R^b is F; R^a, R^c and R^e are H

R^d	R^d	R^d	R^d
H	O-*i*-Pr	3-(CF_3)phenyl	2-fluoro-4-cyanophenyl
F	$OCH_2CH=CH_2$	3-fluorophenyl	2-fluoro-4-chlorophenyl
Cl	$OCH_2C\equiv CH$	3-cyanophenyl	2-methyl-4-chlorophenyl
Br	O-*c*-Pr	3-(OCF_3)phenyl	2-fluoro-4-(CF_3)phenyl
I	OCF_3	4-fluorophenyl	2,4-bis(CF_3)phenyl
Me	$OCHF_2$	4-chlorophenyl	2-fluoro-4-bromophenyl

Et	OCH_2CF_3	4-(CF_3)phenyl	2-chloro-4-fluorophenyl
Pr	SCF_3	4-cyanophenyl	2-(CF_3)-4-fluorophenyl
i-Pr	SCF_3	4-bromophenyl	2-methyl-4-(CF_3)phenyl
c-Pr	$SCHF_2$	6-chloro-3-pyridinyl	2-chloro-4-(CF_3)phenyl
t-Bu	$S(O)CF_3$	6-fluoro-3-pyridinyl	2-(CF_3)-4-chlorophenyl
CF_3	SO_2CF_3	6-(CF_3)-3-pyridinyl	2,5-difluorophenyl
CH_2F	CO_2Me	4,6-dichloro-3-pyridinyl	2-fluoro-5-(CF_3)phenyl
CHF_2	CO_2Et	2-fluoro-6-chloro-3-pyridinyl	2-fluoro-5-chlorophenyl
OMe	cyano	2,6-dichloro-3-pyridinyl	2,5-dichlorophenyl
OEt	C(O)NHMe	2-bromo-5-chloro-4-pyridinyl	2-fluoro-5-(OCF_3)phenyl
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorophenyl	2-chloro-5-(CF_3)phenyl
OPh	C(=NOMe)Me	3-chloro-5-fluorophenyl	*N*-Me-4-pyrazolyl
$CH{=}CH_2$	C(=NOEt)Me	3-fluoro-4-chlorophenyl	3-Me-5-isoxazolyl
C≡CH	2-fluorophenyl	2,4-dichlorophenyl	6-(6-Cl-3-pyridinyl)-3-pyridinyl
phenyl	3-chlorophenyl	2,4-difluorophenyl	

R^x is C(O)OH; R^y is H; R^b is CN; R^a, R^c and R^e are H

R^d	R^d	R^d	R^d
H	O-*i*-Pr	3-(CF_3)phenyl	2-fluoro-4-cyanophenyl
F	$OCH_2CH{=}CH_2$	3-fluorophenyl	2-fluoro-4-chlorophenyl
Cl	$OCH_2C{\equiv}CH$	3-cyanophenyl	2-methyl-4-chlorophenyl
Br	O-*c*-Pr	3-(OCF_3)phenyl	2-fluoro-4-(CF_3)phenyl
I	OCF_3	4-fluorophenyl	2,4-bis(CF_3)phenyl
Me	$OCHF_2$	4-chlorophenyl	2-fluoro-4-bromophenyl
Et	OCH_2CF_3	4-(CF_3)phenyl	2-chloro-4-fluorophenyl
Pr	SCF_3	4-cyanophenyl	2-(CF_3)-4-fluorophenyl
i-Pr	SCF_3	4-bromophenyl	2-methyl-4-(CF_3)phenyl
c-Pr	$SCHF_2$	6-chloro-3-pyridinyl	2-chloro-4-(CF_3)phenyl
t-Bu	$S(O)CF_3$	6-fluoro-3-pyridinyl	2-(CF_3)-4-chlorophenyl
CF_3	SO_2CF_3	6-(CF_3)-3-pyridinyl	2,5-difluorophenyl
CH_2F	CO_2Me	4,6-dichloro-3-pyridinyl	2-fluoro-5-(CF_3)phenyl
CHF_2	CO_2Et	2-fluoro-6-chloro-3-pyridinyl	2-fluoro-5-chlorophenyl
OMe	cyano	2,6-dichloro-3-pyridinyl	2,5-dichlorophenyl
OEt	C(O)NHMe	2-bromo-5-chloro-4-pyridinyl	2-fluoro-5-(OCF_3)phenyl
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorophenyl	2-chloro-5-(CF_3)phenyl
OPh	C(=NOMe)Me	3-chloro-5-fluorophenyl	*N*-Me-4-pyrazolyl
$CH{=}CH_2$	C(=NOEt)Me	3-fluoro-4-chlorophenyl	3-Me-5-isoxazolyl

C≡CH	2-fluorophenyl	2,4-dichlorophenyl	6-(6-Cl-3-pyridinyl)-3-pyridinyl
phenyl	3-chlorophenyl	2,4-difluorophenyl	

R^x is C(O)OH; R^y is H; R^b is Me; R^a, R^c and R^e are H

R^d	R^d	R^d	R^d
H	O-*i*-Pr	3-(CF_3)phenyl	2-fluoro-4-cyanophenyl
F	$OCH_2CH=CH_2$	3-fluorophenyl	2-fluoro-4-chlorophenyl
Cl	$OCH_2C\equiv CH$	3-cyanophenyl	2-methyl-4-chlorophenyl
Br	O-*c*-Pr	3-(OCF_3)phenyl	2-fluoro-4-(CF_3)phenyl
I	OCF_3	4-fluorophenyl	2,4-bis(CF_3)phenyl
Me	$OCHF_2$	4-chlorophenyl	2-fluoro-4-bromophenyl
Et	OCH_2CF_3	4-(CF_3)phenyl	2-chloro-4-fluorophenyl
Pr	SCF_3	4-cyanophenyl	2-(CF_3)-4-fluorophenyl
i-Pr	SCF_3	4-bromophenyl	2-methyl-4-(CF_3)phenyl
c-Pr	$SCHF_2$	6-chloro-3-pyridinyl	2-chloro-4-(CF_3)phenyl
t-Bu	$S(O)CF_3$	6-fluoro-3-pyridinyl	2-(CF_3)-4-chlorophenyl
CF_3	SO_2CF_3	6-(CF_3)-3-pyridinyl	2,5-difluorophenyl
CH_2F	CO_2Me	4,6-dichloro-3-pyridinyl	2-fluoro-5-(CF_3)phenyl
CHF_2	CO_2Et	2-fluoro-6-chloro-3-pyridinyl	2-fluoro-5-chlorophenyl
OMe	cyano	2,6-dichloro-3-pyridinyl	2,5-dichlorophenyl
OEt	C(O)NHMe	2-bromo-5-chloro-4-pyridinyl	2-fluoro-5-(OCF_3)phenyl
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorophenyl	2-chloro-5-(CF_3)phenyl
OPh	C(=NOMe)Me	3-chloro-5-fluorophenyl	*N*-Me-4-pyrazolyl
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-chlorophenyl	3-Me-5-isoxazolyl
C≡CH	2-fluorophenyl	2,4-dichlorophenyl	6-(6-Cl-3-pyridinyl)-3-pyridinyl
phenyl	3-chlorophenyl	2,4-difluorophenyl	

R^x is C(O)OH; R^y is H; R^b is I; R^a, R^c and R^e are H

R^d	R^d	R^d	R^d
H	O-*i*-Pr	3-(CF_3)phenyl	2-fluoro-4-cyanophenyl
F	$OCH_2CH=CH_2$	3-fluorophenyl	2-fluoro-4-chlorophenyl
Cl	$OCH_2C\equiv CH$	3-cyanophenyl	2-methyl-4-chlorophenyl
Br	O-*c*-Pr	3-(OCF_3)phenyl	2-fluoro-4-(CF_3)phenyl
I	OCF_3	4-fluorophenyl	2,4-bis(CF_3)phenyl
Me	$OCHF_2$	4-chlorophenyl	2-fluoro-4-bromophenyl
Et	OCH_2CF_3	4-(CF_3)phenyl	2-chloro-4-fluorophenyl
Pr	SCF_3	4-cyanophenyl	2-(CF_3)-4-fluorophenyl
i-Pr	SCF_3	4-bromophenyl	2-methyl-4-(CF_3)phenyl

c-Pr	$SCHF_2$	6-chloro-3-pyridinyl	2-chloro-4-(CF_3)phenyl
t-Bu	$S(O)CF_3$	6-fluoro-3-pyridinyl	2-(CF_3)-4-chlorophenyl
CF_3	SO_2CF_3	6-(CF_3)-3-pyridinyl	2,5-difluorophenyl
CH_2F	CO_2Me	4,6-dichloro-3-pyridinyl	2-fluoro-5-(CF_3)phenyl
CHF_2	CO_2Et	2-fluoro-6-chloro-3-pyridinyl	2-fluoro-5-chlorophenyl
OMe	cyano	2,6-dichloro-3-pyridinyl	2,5-dichlorophenyl
OEt	C(O)NHMe	2-bromo-5-chloro-4-pyridinyl	2-fluoro-5-(OCF_3)phenyl
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorophenyl	2-chloro-5-(CF_3)phenyl
OPh	C(=NOMe)Me	3-chloro-5-fluorophenyl	*N*-Me-4-pyrazolyl
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-chlorophenyl	3-Me-5-isoxazolyl
C≡CH	2-fluorophenyl	2,4-dichlorophenyl	6-(6-Cl-3-pyridinyl)-3-pyridinyl
phenyl	3-chlorophenyl	2,4-difluorophenyl	

R^x is C(O)OH; R^y is H; R^a and R^b are F; R^c and R^e are H

R^d	R^d	R^d	R^d
H	O-*i*-Pr	3-(CF_3)phenyl	2-fluoro-4-cyanophenyl
F	$OCH_2CH=CH_2$	3-fluorophenyl	2-fluoro-4-chlorophenyl
Cl	$OCH_2C≡CH$	3-cyanophenyl	2-methyl-4-chlorophenyl
Br	O-*c*-Pr	3-(OCF_3)phenyl	2-fluoro-4-(CF_3)phenyl
I	OCF_3	4-fluorophenyl	2,4-bis(CF_3)phenyl
Me	$OCHF_2$	4-chlorophenyl	2-fluoro-4-bromophenyl
Et	OCH_2CF_3	4-(CF_3)phenyl	2-chloro-4-fluorophenyl
Pr	SCF_3	4-cyanophenyl	2-(CF_3)-4-fluorophenyl
i-Pr	SCF_3	4-bromophenyl	2-methyl-4-(CF_3)phenyl
c-Pr	$SCHF_2$	6-chloro-3-pyridinyl	2-chloro-4-(CF_3)phenyl
t-Bu	$S(O)CF_3$	6-fluoro-3-pyridinyl	2-(CF_3)-4-chlorophenyl
CF_3	SO_2CF_3	6-(CF_3)-3-pyridinyl	2,5-difluorophenyl
CH_2F	CO_2Me	4,6-dichloro-3-pyridinyl	2-fluoro-5-(CF_3)phenyl
CHF_2	CO_2Et	2-fluoro-6-chloro-3-pyridinyl	2-fluoro-5-chlorophenyl
OMe	cyano	2,6-dichloro-3-pyridinyl	2,5-dichlorophenyl
OEt	C(O)NHMe	2-bromo-5-chloro-4-pyridinyl	2-fluoro-5-(OCF_3)phenyl
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorophenyl	2-chloro-5-(CF_3)phenyl
OPh	C(=NOMe)Me	3-chloro-5-fluorophenyl	*N*-Me-4-pyrazolyl
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-chlorophenyl	3-Me-5-isoxazolyl
C≡CH	2-fluorophenyl	2,4-dichlorophenyl	6-(6-Cl-3-pyridinyl)-3-pyridinyl
phenyl	3-chlorophenyl	2,4-difluorophenyl	

R^x is C(O)OH; R^y is H; R^a is F; R^b is Cl; R^c and R^e are H

R^d	R^d	R^d	R^d
H	O-*i*-Pr	3-(CF_3)phenyl	2-fluoro-4-cyanophenyl
F	$OCH_2CH=CH_2$	3-fluorophenyl	2-fluoro-4-chlorophenyl
Cl	$OCH_2C\equiv CH$	3-cyanophenyl	2-methyl-4-chlorophenyl
Br	O-*c*-Pr	3-(OCF_3)phenyl	2-fluoro-4-(CF_3)phenyl
I	OCF_3	4-fluorophenyl	2,4-bis(CF_3)phenyl
Me	$OCHF_2$	4-chlorophenyl	2-fluoro-4-bromophenyl
Et	OCH_2CF_3	4-(CF_3)phenyl	2-chloro-4-fluorophenyl
Pr	SCF_3	4-cyanophenyl	2-(CF_3)-4-fluorophenyl
i-Pr	SCF_3	4-bromophenyl	2-methyl-4-(CF_3)phenyl
c-Pr	$SCHF_2$	6-chloro-3-pyridinyl	2-chloro-4-(CF_3)phenyl
t-Bu	$S(O)CF_3$	6-fluoro-3-pyridinyl	2-(CF_3)-4-chlorophenyl
CF_3	SO_2CF_3	6-(CF_3)-3-pyridinyl	2,5-difluorophenyl
CH_2F	CO_2Me	4,6-dichloro-3-pyridinyl	2-fluoro-5-(CF_3)phenyl
CHF_2	CO_2Et	2-fluoro-6-chloro-3-pyridinyl	2-fluoro-5-chlorophenyl
OMe	cyano	2,6-dichloro-3-pyridinyl	2,5-dichlorophenyl
OEt	C(O)NHMe	2-bromo-5-chloro-4-pyridinyl	2-fluoro-5-(OCF_3)phenyl
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorophenyl	2-chloro-5-(CF_3)phenyl
OPh	C(=NOMe)Me	3-chloro-5-fluorophenyl	*N*-Me-4-pyrazolyl
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-chlorophenyl	3-Me-5-isoxazolyl
$C\equiv CH$	2-fluorophenyl	2,4-dichlorophenyl	6-(6-Cl-3-pyridinyl)-3-pyridinyl
phenyl	3-chlorophenyl	2,4-difluorophenyl	

R^x is C(O)OH; R^y is H; R^c is OMe; R^a, R^b and R^e are H

R^d	R^d	R^d	R^d
H	O-*i*-Pr	3-(CF_3)phenyl	2-fluoro-4-cyanophenyl
F	$OCH_2CH=CH_2$	3-fluorophenyl	2-fluoro-4-chlorophenyl
Cl	$OCH_2C\equiv CH$	3-cyanophenyl	2-methyl-4-chlorophenyl
Br	O-*c*-Pr	3-(OCF_3)phenyl	2-fluoro-4-(CF_3)phenyl
I	OCF_3	4-fluorophenyl	2,4-bis(CF_3)phenyl
Me	$OCHF_2$	4-chlorophenyl	2-fluoro-4-bromophenyl
Et	OCH_2CF_3	4-(CF_3)phenyl	2-chloro-4-fluorophenyl
Pr	SCF_3	4-cyanophenyl	2-(CF_3)-4-fluorophenyl
i-Pr	SCF_3	4-bromophenyl	2-methyl-4-(CF_3)phenyl
c-Pr	$SCHF_2$	6-chloro-3-pyridinyl	2-chloro-4-(CF_3)phenyl
t-Bu	$S(O)CF_3$	6-fluoro-3-pyridinyl	2-(CF_3)-4-chlorophenyl
CF_3	SO_2CF_3	6-(CF_3)-3-pyridinyl	2,5-difluorophenyl

CH_2F	CO_2Me	4,6-dichloro-3-pyridinyl	2-fluoro-5-(CF_3)phenyl
CHF_2	CO_2Et	2-fluoro-6-chloro-3-pyridinyl	2-fluoro-5-chlorophenyl
OMe	cyano	2,6-dichloro-3-pyridinyl	2,5-dichlorophenyl
OEt	C(O)NHMe	2-bromo-5-chloro-4-pyridinyl	2-fluoro-5-(OCF_3)phenyl
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorophenyl	2-chloro-5-(CF_3)phenyl
OPh	C(=NOMe)Me	3-chloro-5-fluorophenyl	*N*-Me-4-pyrazolyl
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-chlorophenyl	3-Me-5-isoxazolyl
C≡CH	2-fluorophenyl	2,4-dichlorophenyl	6-(6-Cl-3-pyridinyl)-3-pyridinyl
phenyl	3-chlorophenyl	2,4-difluorophenyl	

R^x is C(O)OH; R^y is H; R^c is Me; R^a, R^b and R^e are H

R^d	R^d	R^d	R^d
H	O-*i*-Pr	3-(CF_3)phenyl	2-fluoro-4-cyanophenyl
F	$OCH_2CH=CH_2$	3-fluorophenyl	2-fluoro-4-chlorophenyl
Cl	$OCH_2C≡CH$	3-cyanophenyl	2-methyl-4-chlorophenyl
Br	O-*c*-Pr	3-(OCF_3)phenyl	2-fluoro-4-(CF_3)phenyl
I	OCF_3	4-fluorophenyl	2,4-bis(CF_3)phenyl
Me	$OCHF_2$	4-chlorophenyl	2-fluoro-4-bromophenyl
Et	OCH_2CF_3	4-(CF_3)phenyl	2-chloro-4-fluorophenyl
Pr	SCF_3	4-cyanophenyl	2-(CF_3)-4-fluorophenyl
i-Pr	SCF_3	4-bromophenyl	2-methyl-4-(CF_3)phenyl
c-Pr	$SCHF_2$	6-chloro-3-pyridinyl	2-chloro-4-(CF_3)phenyl
t-Bu	$S(O)CF_3$	6-fluoro-3-pyridinyl	2-(CF_3)-4-chlorophenyl
CF_3	SO_2CF_3	6-(CF_3)-3-pyridinyl	2,5-difluorophenyl
CH_2F	CO_2Me	4,6-dichloro-3-pyridinyl	2-fluoro-5-(CF_3)phenyl
CHF_2	CO_2Et	2-fluoro-6-chloro-3-pyridinyl	2-fluoro-5-chlorophenyl
OMe	cyano	2,6-dichloro-3-pyridinyl	2,5-dichlorophenyl
OEt	C(O)NHMe	2-bromo-5-chloro-4-pyridinyl	2-fluoro-5-(OCF_3)phenyl
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorophenyl	2-chloro-5-(CF_3)phenyl
OPh	C(=NOMe)Me	3-chloro-5-fluorophenyl	*N*-Me-4-pyrazolyl
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-chlorophenyl	3-Me-5-isoxazolyl
C≡CH	2-fluorophenyl	2,4-dichlorophenyl	6-(6-Cl-3-pyridinyl)-3-pyridinyl
phenyl	3-chlorophenyl	2,4-difluorophenyl	

R^x is C(O)OH; R^y is H; R^c is F; R^a, R^b and R^e are H

R^d	R^d	R^d	R^d
H	O-*i*-Pr	3-(CF_3)phenyl	2-fluoro-4-cyanophenyl
F	$OCH_2CH=CH_2$	3-fluorophenyl	2-fluoro-4-chlorophenyl

Cl	$OCH_2C{\equiv}CH$	3-cyanophenyl	2-methyl-4-chlorophenyl
Br	O-*c*-Pr	3-(OCF_3)phenyl	2-fluoro-4-(CF_3)phenyl
I	OCF_3	4-fluorophenyl	2,4-bis(CF_3)phenyl
Me	$OCHF_2$	4-chlorophenyl	2-fluoro-4-bromophenyl
Et	OCH_2CF_3	4-(CF_3)phenyl	2-chloro-4-fluorophenyl
Pr	SCF_3	4-cyanophenyl	2-(CF_3)-4-fluorophenyl
i-Pr	SCF_3	4-bromophenyl	2-methyl-4-(CF_3)phenyl
c-Pr	$SCHF_2$	6-chloro-3-pyridinyl	2-chloro-4-(CF_3)phenyl
t-Bu	$S(O)CF_3$	6-fluoro-3-pyridinyl	2-(CF_3)-4-chlorophenyl
CF_3	SO_2CF_3	6-(CF_3)-3-pyridinyl	2,5-difluorophenyl
CH_2F	CO_2Me	4,6-dichloro-3-pyridinyl	2-fluoro-5-(CF_3)phenyl
CHF_2	CO_2Et	2-fluoro-6-chloro-3-pyridinyl	2-fluoro-5-chlorophenyl
OMe	cyano	2,6-dichloro-3-pyridinyl	2,5-dichlorophenyl
OEt	C(O)NHMe	2-bromo-5-chloro-4-pyridinyl	2-fluoro-5-(OCF_3)phenyl
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorophenyl	2-chloro-5-(CF_3)phenyl
OPh	C(=NOMe)Me	3-chloro-5-fluorophenyl	*N*-Me-4-pyrazolyl
$CH{=}CH_2$	C(=NOEt)Me	3-fluoro-4-chlorophenyl	3-Me-5-isoxazolyl
$C{\equiv}CH$	2-fluorophenyl	2,4-dichlorophenyl	6-(6-Cl-3-pyridinyl)-3-pyridinyl
phenyl	3-chlorophenyl	2,4-difluorophenyl	

R^x is C(O)OH; R^y is H; R^c is Cl; R^a, R^b and R^e are H

R^d	R^d	R^d	R^d
H	O-*i*-Pr	3-(CF_3)phenyl	2-fluoro-4-cyanophenyl
F	$OCH_2CH{=}CH_2$	3-fluorophenyl	2-fluoro-4-chlorophenyl
Cl	$OCH_2C{\equiv}CH$	3-cyanophenyl	2-methyl-4-chlorophenyl
Br	O-*c*-Pr	3-(OCF_3)phenyl	2-fluoro-4-(CF_3)phenyl
I	OCF_3	4-fluorophenyl	2,4-bis(CF_3)phenyl
Me	$OCHF_2$	4-chlorophenyl	2-fluoro-4-bromophenyl
Et	OCH_2CF_3	4-(CF_3)phenyl	2-chloro-4-fluorophenyl
Pr	SCF_3	4-cyanophenyl	2-(CF_3)-4-fluorophenyl
i-Pr	SCF_3	4-bromophenyl	2-methyl-4-(CF_3)phenyl
c-Pr	$SCHF_2$	6-chloro-3-pyridinyl	2-chloro-4-(CF_3)phenyl
t-Bu	$S(O)CF_3$	6-fluoro-3-pyridinyl	2-(CF_3)-4-chlorophenyl
CF_3	SO_2CF_3	6-(CF_3)-3-pyridinyl	2,5-difluorophenyl
CH_2F	CO_2Me	4,6-dichloro-3-pyridinyl	2-fluoro-5-(CF_3)phenyl
CHF_2	CO_2Et	2-fluoro-6-chloro-3-pyridinyl	2-fluoro-5-chlorophenyl
OMe	cyano	2,6-dichloro-3-pyridinyl	2,5-dichlorophenyl
OEt	C(O)NHMe	2-bromo-5-chloro-4-pyridinyl	2-fluoro-5-(OCF_3)phenyl

O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorophenyl	2-chloro-5-(CF_3)phenyl
OPh	C(=NOMe)Me	3-chloro-5-fluorophenyl	*N*-Me-4-pyrazolyl
$CH{=}CH_2$	C(=NOEt)Me	3-fluoro-4-chlorophenyl	3-Me-5-isoxazolyl
C≡CH	2-fluorophenyl	2,4-dichlorophenyl	6-(6-Cl-3-pyridinyl)-3-pyridinyl
phenyl	3-chlorophenyl	2,4-difluorophenyl	

R^x is C(O)OH; R^y is H; R^a and R^e are F; R^c and R^d are H

R^b	R^b	R^b	R^b
H	O-*i*-Pr	3-(CF_3)phenyl	2-fluoro-4-cyanophenyl
F	$OCH_2CH{=}CH_2$	3-fluorophenyl	2-fluoro-4-chlorophenyl
Cl	$OCH_2C{\equiv}CH$	3-cyanophenyl	2-methyl-4-chlorophenyl
Br	O-*c*-Pr	3-(OCF_3)phenyl	2-fluoro-4-(CF_3)phenyl
I	OCF_3	4-fluorophenyl	2,4-bis(CF_3)phenyl
Me	$OCHF_2$	4-chlorophenyl	2-fluoro-4-bromophenyl
Et	OCH_2CF_3	4-(CF_3)phenyl	2-chloro-4-fluorophenyl
Pr	SCF_3	4-cyanophenyl	2-(CF_3)-4-fluorophenyl
i-Pr	SCF_3	4-bromophenyl	2-methyl-4-(CF_3)phenyl
c-Pr	$SCHF_2$	6-chloro-3-pyridinyl	2-chloro-4-(CF_3)phenyl
t-Bu	$S(O)CF_3$	6-fluoro-3-pyridinyl	2-(CF_3)-4-chlorophenyl
CF_3	SO_2CF_3	6-(CF_3)-3-pyridinyl	2,5-difluorophenyl
CH_2F	CO_2Me	4,6-dichloro-3-pyridinyl	2-fluoro-5-(CF_3)phenyl
CHF_2	CO_2Et	2-fluoro-6-chloro-3-pyridinyl	2-fluoro-5-chlorophenyl
OMe	cyano	2,6-dichloro-3-pyridinyl	2,5-dichlorophenyl
OEt	C(O)NHMe	2-bromo-5-chloro-4-pyridinyl	2-fluoro-5-(OCF_3)phenyl
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorophenyl	2-chloro-5-(CF_3)phenyl
OPh	C(=NOMe)Me	3-chloro-5-fluorophenyl	*N*-Me-4-pyrazolyl
$CH{=}CH_2$	C(=NOEt)Me	3-fluoro-4-chlorophenyl	3-Me-5-isoxazolyl
C≡CH	2-fluorophenyl	2,4-dichlorophenyl	6-(6-Cl-3-pyridinyl)-3-pyridinyl
phenyl	3-chlorophenyl	2,4-difluorophenyl	

TABLE I-2

Table I-2 is identical to Table I-1, except that R^x is C(O)OMe.

TABLE I-3

Table I-3 is identical to Table I-1, except that R^x is C(O)OEt.

TABLE I-4

Table I-4 is identical to Table I-1, except that R^x is C(O)OPh.

TABLE I-5

Table I-5 is identical to Table I-1, except that R^x is $C(O)OC(CH_3)_3$.

TABLE I-5a

Table I-5 is identical to Table I-1, except that R^x is C(O)O(2,4,6-trichlorophenyl).

TABLE I-5b

Table I-5 is identical to Table I-1, except that R^x is C(O)O(4-nitrophenyl).

TABLE I-6

Table I-6 is identical to Table I-1, except that R^x is C(O)OH and R^y is C(O)OH.

TABLE I-7

Table I-7 identical to Table I-1, except that R^x is C(O)OH and R^y is C(O)OMe.

TABLE I-8

Table I-8 is constructed the same as Table I-1, except that R^x is C(O)OH and R^y is C(O)OEt.

TABLE I-9

Table I-9 identical to Table I-1, except that R^x is C(O)OH and R^y is $C(O)OC(CH_3)_3$.

TABLE I-10

Table I-10 identical to Table I-1, except that R^x is C(O)OH and R^y is C(O)OPh.

TABLE I-10a

Table I-10 identical to Table I-1, except that R^x is C(O)OH and R^y is C(O)O(2,4,6-trichlorophenyl).

TABLE I-10b

Table I-10 identical to Table I-1, except that R^x is C(O)OH and R^y is C(O)O(4-nitrophenyl).

TABLE I-11

Table I-11 is identical to Table I-1, except that R^x is C(O)OPh and R^y is C(O)OMe.

TABLE I-12

Table I-12 is identical to Table I-1, except that R^x is C(O)OPh and R^y is C(O)OEt.

TABLE I-13

Table I-13 is identical to Table I-1, except that R^x is C(O)OPh and R^y is $C(O)OC(CH_3)_3$.

TABLE I-14

Table I-14 is identical to Table I-1, except that R^x is C(O)OPh and R^y is C(O)OPh.

TABLE I-14a

Table I-14 is identical to Table I-1, except that R^x is C(O)OPh and R^y is C(O)O(2,4,6-trichlorophenyl).

TABLE I-14b

Table I-14 is identical to Table I-1, except that R^X is C(O)OPh and R^Y is C(O)O(4-nitrophenyl).

TABLE I-15

Table I-15 is identical to Table I-1, except that R^X is C(O)Cl and R^Y is C(O)Cl.

TABLE I-16

Table I-16 is identical to Table I-1, except that R^X is C(O)OMe and R^Y is C(O)OMe.

TABLE I-17

Table I-17 is identical to Table I-1, except that R^X is C(O)OEt and R^Y is C(O)OEt.

TABLE I-18

Table I-18 is identical to Table I-1, except that R^X is $C(O)OC(CH_3)_3$ and R^Y is $C(O)OC(CH_3)_3$.

TABLE I-19

Table I-19 is identical to Table I-1, except that R^X is C(O)O(2,4,6-trichlorophenyl) and R^Y is C(O)O(2,4,6-trichlorophenyl).

TABLE I-19a

Table I-19 is identical to Table I-1, except that R^X is C(O)O(4-nitrophenyl) and R^Y is C(O)O(4-nitrophenyl).

TABLE I-20

Table I-20 is identical to Table I-1, except that R^X is C(O)(3-methyl-2-pyridinylamino) and R^Y is C(O)OH.

TABLE I-21

Table I-21 is identical to Table I-1, except that R^X is C(O)(3-methyl-2-pyridinylamino) and R^Y is C(O)OMe.

TABLE I-22

Table I-22 is identical to Table I-1, except that R^X is C(O)(3-methyl-2-pyridinylamino) and R^Y is C(O)OEt.

TABLE I-23

Table I-23 is identical to Table I-1, except that R^X is C(O)(3-methyl-2-pyridinylamino) and R^Y is C(O)OPh.

TABLE I-23a

Table I-23 is identical to Table I-1, except that R^X is C(O)(3-methyl-2-pyridinylamino) and R^Y is C(O)O(2,4,6-trichlorophenyl).

TABLE I-23b

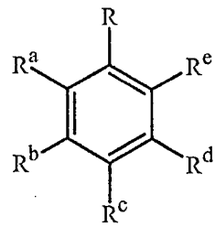
Table I-23 is identical to Table I-1, except that R^x is C(O)(3-methyl-2-pyridinylamino) and R^y is C(O)O(4-nitrophenyl).

TABLE I-24

Table I-24 is identical to Table I-1, except that R^x is C(O)(3-methyl-2-pyridinylamino) and R^y is $C(O)OC(CH_3)_3$.

TABLE I-24a

Table I-24a is identical to Table I-1, except that the chemical structure under the Table I-24a heading is replaced with the following structure, and R is Cl. The groups R^x and R^y found in Table I-1 are not relevant to Table I-24a, as the $CH(R^x)(R^y)$ moiety in the structure of Table I-1 is replaced with a R group in the structure of Table I-24a.



For example, the first compound in Table I-24a is the structure shown immediately above wherein R^a, R^b, R^c, R^d and R^e are H, and R is Cl.

TABLE I-24b

Table I-24b is identical to Table I-24a, except that R is Br.

TABLE I-24c

Table I-24c is identical to Table I-24a, except that R is I.

TABLE I-24d

Table I-24d is identical to Table I-24a, except that R is CH_2OH.

TABLE I-24e

Table I-24e is identical to Table I-24a, except that R is CH_2CN.

TABLE I-24f

Table I-24f is identical to Table I-24a, except that R is CH_2Cl.

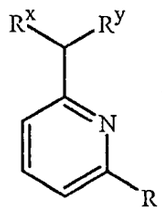
TABLE I-24g

Table I-24g is identical to Table I-24a, except that R is $CH(CN)CO_2Me$.

TABLE I-24h

Table I-24h is identical to Table I-24a, except that R is $CH(CN)CO_2Et$.

TABLE I-25



R is CF_3

R^x	R^y	R^x	R^y
H	C(O)O(2,4,6-trichlorophenyl)	C(O)OH	C(O)OH
H	C(O)O(4-nitrophenyl)	C(O)OH	C(O)OMe
C(O)OH	C(O)O(4-nitrophenyl)	C(O)OH	C(O)OEt
C(O)OH	C(O)O(2,4,6-trichlorophenyl)	C(O)OH	C(O)OPh
C(O)OH	C(O)(3-methyl-2-pyridinylamino)	C(O)OH	$C(O)OC(CH_3)_3$
C(O)OMe	C(O)(3-methyl-2-pyridinylamino)	C(O)Cl	C(O)Cl
C(O)OEt	C(O)(3-methyl-2-pyridinylamino)	C(O)OMe	C(O)OMe
C(O)OPh	C(O)O(4-nitrophenyl)	C(O)OEt	C(O)OEt
C(O)OPh	C(O)O(2,4,6-trichlorophenyl)	C(O)OPh	C(O)OPh
C(O)OPh	C(O)(3-methyl-2-pyridinylamino)	$C(O)OC(CH_3)_3$	$C(O)OC(CH_3)_3$
$C(O)OC(CH_3)_3$	C(O)OPh	C(O)OMe	C(O)OPh
$C(O)OC(CH_3)_3$	C(O)(3-methyl-2-pyridinylamino)	C(O)OEt	C(O)OPh
C(O)O(4-nitrophenyl)	C(O)O(4-nitrophenyl)	H	C(O)OH
C(O)O(4-nitrophenyl)	C(O)(3-methyl-2-pyridinylamino)	H	C(O)OMe
C(O)O(2,4,6-trichlorophenyl)	C(O)O(2,4,6-trichlorophenyl)	H	C(O)OEt
C(O)O(2,4,6-trichlorophenyl)	C(O)(3-methyl-2-pyridinylamino)	H	C(O)OPh
		H	$C(O)OC(CH_3)_3$

R is H

R^x	R^y	R^x	R^y
H	C(O)O(2,4,6-trichlorophenyl)	C(O)OH	C(O)OH
H	C(O)O(4-nitrophenyl)	C(O)OH	C(O)OMe
C(O)OH	C(O)O(4-nitrophenyl)	C(O)OH	C(O)OEt
C(O)OH	C(O)O(2,4,6-trichlorophenyl)	C(O)OH	C(O)OPh
C(O)OH	C(O)(3-methyl-2-pyridinylamino)	C(O)OH	$C(O)OC(CH_3)_3$
C(O)OMe	C(O)(3-methyl-2-pyridinylamino)	C(O)Cl	C(O)Cl
C(O)OEt	C(O)(3-methyl-2-pyridinylamino)	C(O)OMe	C(O)OMe
C(O)OPh	C(O)O(4-nitrophenyl)	C(O)OEt	C(O)OEt
C(O)OPh	C(O)O(2,4,6-trichlorophenyl)	C(O)OPh	C(O)OPh

R^x	R^y	R^x	R^y
C(O)OPh	C(O)(3-methyl-2-pyridinylamino)	$C(O)OC(CH_3)_3$	$C(O)OC(CH_3)_3$
$C(O)OC(CH_3)_3$	C(O)OPh	C(O)OMe	C(O)OPh
$C(O)OC(CH_3)_3$	C(O)(3-methyl-2-pyridinylamino)	C(O)OEt	C(O)OPh
C(O)O(4-nitrophenyl)	C(O)O(4-nitrophenyl)	H	C(O)OH
C(O)O(4-nitrophenyl)	C(O)(3-methyl-2-pyridinylamino)	H	C(O)OMe
C(O)O(2,4,6-trichlorophenyl)	C(O)O(2,4,6-trichlorophenyl)	H	C(O)OEt
C(O)O(2,4,6-trichlorophenyl)	C(O)(3-methyl-2-pyridinylamino)	H	C(O)OPh
		H	$C(O)OC(CH_3)_3$

R is Cl

R^x	R^y	R^x	R^y
H	C(O)O(2,4,6-trichlorophenyl)	C(O)OH	C(O)OH
H	C(O)O(4-nitrophenyl)	C(O)OH	C(O)OMe
C(O)OH	C(O)O(4-nitrophenyl)	C(O)OH	C(O)OEt
C(O)OH	C(O)O(2,4,6-trichlorophenyl)	C(O)OH	C(O)OPh
C(O)OH	C(O)(3-methyl-2-pyridinylamino)	C(O)OH	$C(O)OC(CH_3)_3$
C(O)OMe	C(O)(3-methyl-2-pyridinylamino)	C(O)Cl	C(O)Cl
C(O)OEt	C(O)(3-methyl-2-pyridinylamino)	C(O)OMe	C(O)OMe
C(O)OPh	C(O)O(4-nitrophenyl)	C(O)OEt	C(O)OEt
C(O)OPh	C(O)O(2,4,6-trichlorophenyl)	C(O)OPh	C(O)OPh
C(O)OPh	C(O)(3-methyl-2-pyridinylamino)	$C(O)OC(CH_3)_3$	$C(O)OC(CH_3)_3$
$C(O)OC(CH_3)_3$	C(O)OPh	C(O)OMe	C(O)OPh
$C(O)OC(CH_3)_3$	C(O)(3-methyl-2-pyridinylamino)	C(O)OEt	C(O)OPh
C(O)O(4-nitrophenyl)	C(O)O(4-nitrophenyl)	H	C(O)OH
C(O)O(4-nitrophenyl)	C(O)(3-methyl-2-pyridinylamino)	H	C(O)OMe
C(O)O(2,4,6-trichlorophenyl)	C(O)O(2,4,6-trichlorophenyl)	H	C(O)OEt
C(O)O(2,4,6-trichlorophenyl)	C(O)(3-methyl-2-pyridinylamino)	H	C(O)OPh
		H	$C(O)OC(CH_3)_3$

R is Br

R^x	R^y	R^x	R^y
H	C(O)O(2,4,6-trichlorophenyl)	C(O)OH	C(O)OH
H	C(O)O(4-nitrophenyl)	C(O)OH	C(O)OMe
C(O)OH	C(O)O(4-nitrophenyl)	C(O)OH	C(O)OEt
C(O)OH	C(O)O(2,4,6-trichlorophenyl)	C(O)OH	C(O)OPh
C(O)OH	C(O)(3-methyl-2-pyridinylamino)	C(O)OH	$C(O)OC(CH_3)_3$
C(O)OMe	C(O)(3-methyl-2-pyridinylamino)	C(O)Cl	C(O)Cl

R^x	R^y	R^x	R^y
C(O)OEt	C(O)(3-methyl-2-pyridinylamino)	C(O)OMe	C(O)OMe
C(O)OPh	C(O)O(4-nitrophenyl)	C(O)OEt	C(O)OEt
C(O)OPh	C(O)O(2,4,6-trichlorophenyl)	C(O)OPh	C(O)OPh
C(O)OPh	C(O)(3-methyl-2-pyridinylamino)	$C(O)OC(CH_3)_3$	$C(O)OC(CH_3)_3$
$C(O)OC(CH_3)_3$	C(O)OPh	C(O)OMe	C(O)OPh
$C(O)OC(CH_3)_3$	C(O)(3-methyl-2-pyridinylamino)	C(O)OEt	C(O)OPh
C(O)O(4-nitrophenyl)	C(O)O(4-nitrophenyl)	H	C(O)OH
C(O)O(4-nitrophenyl)	C(O)(3-methyl-2-pyridinylamino)	H	C(O)OMe
C(O)O(2,4,6-trichlorophenyl)	C(O)O(2,4,6-trichlorophenyl)	H	C(O)OEt
C(O)O(2,4,6-trichlorophenyl)	C(O)(3-methyl-2-pyridinylamino)	H	C(O)OPh
		H	$C(O)OC(CH_3)_3$

R is I

R^x	R^y	R^x	R^y
H	C(O)O(2,4,6-trichlorophenyl)	C(O)OH	C(O)OH
H	C(O)O(4-nitrophenyl)	C(O)OH	C(O)OMe
C(O)OH	C(O)O(4-nitrophenyl)	C(O)OH	C(O)OEt
C(O)OH	C(O)O(2,4,6-trichlorophenyl)	C(O)OH	C(O)OPh
C(O)OH	C(O)(3-methyl-2-pyridinylamino)	C(O)OH	$C(O)OC(CH_3)_3$
C(O)OMe	C(O)(3-methyl-2-pyridinylamino)	C(O)Cl	C(O)Cl
C(O)OEt	C(O)(3-methyl-2-pyridinylamino)	C(O)OMe	C(O)OMe
C(O)OPh	C(O)O(4-nitrophenyl)	C(O)OEt	C(O)OEt
C(O)OPh	C(O)O(2,4,6-trichlorophenyl)	C(O)OPh	C(O)OPh
C(O)OPh	C(O)(3-methyl-2-pyridinylamino)	$C(O)OC(CH_3)_3$	$C(O)OC(CH_3)_3$
$C(O)OC(CH_3)_3$	C(O)OPh	C(O)OMe	C(O)OPh
$C(O)OC(CH_3)_3$	C(O)(3-methyl-2-pyridinylamino)	C(O)OEt	C(O)OPh
C(O)O(4-nitrophenyl)	C(O)O(4-nitrophenyl)	H	C(O)OH
C(O)O(4-nitrophenyl)	C(O)(3-methyl-2-pyridinylamino)	H	C(O)OMe
C(O)O(2,4,6-trichlorophenyl)	C(O)O(2,4,6-trichlorophenyl)	H	C(O)OEt
C(O)O(2,4,6-trichlorophenyl)	C(O)(3-methyl-2-pyridinylamino)	H	C(O)OPh
		H	$C(O)OC(CH_3)_3$

R is NH_2

R^x	R^y	R^x	R^y
H	C(O)O(2,4,6-trichlorophenyl)	C(O)OH	C(O)OH
H	C(O)O(4-nitrophenyl)	C(O)OH	C(O)OMe
C(O)OH	C(O)O(4-nitrophenyl)	C(O)OH	C(O)OEt

R^x	R^y	R^x	R^y
C(O)OH	C(O)O(2,4,6-trichlorophenyl)	C(O)OH	C(O)OPh
C(O)OH	C(O)(3-methyl-2-pyridinylamino)	C(O)OH	$C(O)OC(CH_3)_3$
C(O)OMe	C(O)(3-methyl-2-pyridinylamino)	C(O)Cl	C(O)Cl
C(O)OEt	C(O)(3-methyl-2-pyridinylamino)	C(O)OMe	C(O)OMe
C(O)OPh	C(O)O(4-nitrophenyl)	C(O)OEt	C(O)OEt
C(O)OPh	C(O)O(2,4,6-trichlorophenyl)	C(O)OPh	C(O)OPh
C(O)OPh	C(O)(3-methyl-2-pyridinylamino)	$C(O)OC(CH_3)_3$	$C(O)OC(CH_3)_3$
$C(O)OC(CH_3)_3$	C(O)OPh	C(O)OMe	C(O)OPh
$C(O)OC(CH_3)_3$	C(O)(3-methyl-2-pyridinylamino)	C(O)OEt	C(O)OPh
C(O)O(4-nitrophenyl)	C(O)O(4-nitrophenyl)	H	C(O)OH
C(O)O(4-nitrophenyl)	C(O)(3-methyl-2-pyridinylamino)	H	C(O)OMe
C(O)O(2,4,6-trichlorophenyl)	C(O)O(2,4,6-trichlorophenyl)	H	C(O)OEt
C(O)O(2,4,6-trichlorophenyl)	C(O)(3-methyl-2-pyridinylamino)	H	C(O)OPh
		H	$C(O)OC(CH_3)_3$

R is 2-chloro-4-(trifluoromethyl)phenyl

R^x	R^y	R^x	R^y
H	C(O)O(2,4,6-trichlorophenyl)	C(O)OH	C(O)OH
H	C(O)O(4-nitrophenyl)	C(O)OH	C(O)OMe
C(O)OH	C(O)O(4-nitrophenyl)	C(O)OH	C(O)OEt
C(O)OH	C(O)O(2,4,6-trichlorophenyl)	C(O)OH	C(O)OPh
C(O)OH	C(O)(3-methyl-2-pyridinylamino)	C(O)OH	$C(O)OC(CH_3)_3$
C(O)OMe	C(O)(3-methyl-2-pyridinylamino)	C(O)Cl	C(O)Cl
C(O)OEt	C(O)(3-methyl-2-pyridinylamino)	C(O)OMe	C(O)OMe
C(O)OPh	C(O)O(4-nitrophenyl)	C(O)OEt	C(O)OEt
C(O)OPh	C(O)O(2,4,6-trichlorophenyl)	C(O)OPh	C(O)OPh
C(O)OPh	C(O)(3-methyl-2-pyridinylamino)	$C(O)OC(CH_3)_3$	$C(O)OC(CH_3)_3$
$C(O)OC(CH_3)_3$	C(O)OPh	C(O)OMe	C(O)OPh
$C(O)OC(CH_3)_3$	C(O)(3-methyl-2-pyridinylamino)	C(O)OEt	C(O)OPh
C(O)O(4-nitrophenyl)	C(O)O(4-nitrophenyl)	H	C(O)OH
C(O)O(4-nitrophenyl)	C(O)(3-methyl-2-pyridinylamino)	H	C(O)OMe
C(O)O(2,4,6-trichlorophenyl)	C(O)O(2,4,6-trichlorophenyl)	H	C(O)OEt
C(O)O(2,4,6-trichlorophenyl)	C(O)(3-methyl-2-pyridinylamino)	H	C(O)OPh
		H	$C(O)OC(CH_3)_3$

R is 2-fluoro-5-(trifluoromethyl)phenyl

R^x	R^y	R^x	R^y
H	C(O)O(2,4,6-trichlorophenyl)	C(O)OH	C(O)OH
H	C(O)O(4-nitrophenyl)	C(O)OH	C(O)OMe
C(O)OH	C(O)O(4-nitrophenyl)	C(O)OH	C(O)OEt
C(O)OH	C(O)O(2,4,6-trichlorophenyl)	C(O)OH	C(O)OPh
C(O)OH	C(O)(3-methyl-2-pyridinylamino)	C(O)OH	$C(O)OC(CH_3)_3$
C(O)OMe	C(O)(3-methyl-2-pyridinylamino)	C(O)Cl	C(O)Cl
C(O)OEt	C(O)(3-methyl-2-pyridinylamino)	C(O)OMe	C(O)OMe
C(O)OPh	C(O)O(4-nitrophenyl)	C(O)OEt	C(O)OEt
C(O)OPh	C(O)O(2,4,6-trichlorophenyl)	C(O)OPh	C(O)OPh
C(O)OPh	C(O)(3-methyl-2-pyridinylamino)	$C(O)OC(CH_3)_3$	$C(O)OC(CH_3)_3$
$C(O)OC(CH_3)_3$	C(O)OPh	C(O)OMe	C(O)OPh
$C(O)OC(CH_3)_3$	C(O)(3-methyl-2-pyridinylamino)	C(O)OEt	C(O)OPh
C(O)O(4-nitrophenyl)	C(O)O(4-nitrophenyl)	H	C(O)OH
C(O)O(4-nitrophenyl)	C(O)(3-methyl-2-pyridinylamino)	H	C(O)OMe
C(O)O(2,4,6-trichlorophenyl)	C(O)O(2,4,6-trichlorophenyl)	H	C(O)OEt
C(O)O(2,4,6-trichlorophenyl)	C(O)(3-methyl-2-pyridinylamino)	H	C(O)OPh
		H	$C(O)OC(CH_3)_3$

R is 2-chloro-4-cyanophenyl

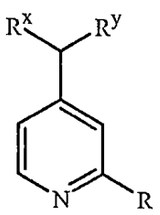
R^x	R^y	R^x	R^y
H	C(O)O(2,4,6-trichlorophenyl)	C(O)OH	C(O)OH
H	C(O)O(4-nitrophenyl)	C(O)OH	C(O)OMe
C(O)OH	C(O)O(4-nitrophenyl)	C(O)OH	C(O)OEt
C(O)OH	C(O)O(2,4,6-trichlorophenyl)	C(O)OH	C(O)OPh
C(O)OH	C(O)(3-methyl-2-pyridinylamino)	C(O)OH	$C(O)OC(CH_3)_3$
C(O)OMe	C(O)(3-methyl-2-pyridinylamino)	C(O)Cl	C(O)Cl
C(O)OEt	C(O)(3-methyl-2-pyridinylamino)	C(O)OMe	C(O)OMe
C(O)OPh	C(O)O(4-nitrophenyl)	C(O)OEt	C(O)OEt
C(O)OPh	C(O)O(2,4,6-trichlorophenyl)	C(O)OPh	C(O)OPh
C(O)OPh	C(O)(3-methyl-2-pyridinylamino)	$C(O)OC(CH_3)_3$	$C(O)OC(CH_3)_3$
$C(O)OC(CH_3)_3$	C(O)OPh	C(O)OMe	C(O)OPh
$C(O)OC(CH_3)_3$	C(O)(3-methyl-2-pyridinylamino)	C(O)OEt	C(O)OPh
C(O)O(4-nitrophenyl)	C(O)O(4-nitrophenyl)	H	C(O)OH
C(O)O(4-nitrophenyl)	C(O)(3-methyl-2-pyridinylamino)	H	C(O)OMe
C(O)O(2,4,6-trichlorophenyl)	C(O)O(2,4,6-trichlorophenyl)	H	C(O)OEt

R^x	R^y	R^x	R^y
C(O)O(2,4,6-trichlorophenyl)	C(O)(3-methyl-2-pyridinylamino)	H	C(O)OPh
		H	$C(O)OC(CH_3)_3$

R is 2-fluoro-4-cyanophenyl

R^x	R^y	R^x	R^y
H	C(O)O(2,4,6-trichlorophenyl)	C(O)OH	C(O)OH
H	C(O)O(4-nitrophenyl)	C(O)OH	C(O)OMe
C(O)OH	C(O)O(4-nitrophenyl)	C(O)OH	C(O)OEt
C(O)OH	C(O)O(2,4,6-trichlorophenyl)	C(O)OH	C(O)OPh
C(O)OH	C(O)(3-methyl-2-pyridinylamino)	C(O)OH	$C(O)OC(CH_3)_3$
C(O)OMe	C(O)(3-methyl-2-pyridinylamino)	C(O)Cl	C(O)Cl
C(O)OEt	C(O)(3-methyl-2-pyridinylamino)	C(O)OMe	C(O)OMe
C(O)OPh	C(O)O(4-nitrophenyl)	C(O)OEt	C(O)OEt
C(O)OPh	C(O)O(2,4,6-trichlorophenyl)	C(O)OPh	C(O)OPh
C(O)OPh	C(O)(3-methyl-2-pyridinylamino)	$C(O)OC(CH_3)_3$	$C(O)OC(CH_3)_3$
$C(O)OC(CH_3)_3$	C(O)OPh	C(O)OMe	C(O)OPh
$C(O)OC(CH_3)_3$	C(O)(3-methyl-2-pyridinylamino)	C(O)OEt	C(O)OPh
C(O)O(4-nitrophenyl)	C(O)O(4-nitrophenyl)	H	C(O)OH
C(O)O(4-nitrophenyl)	C(O)(3-methyl-2-pyridinylamino)	H	C(O)OMe
C(O)O(2,4,6-trichlorophenyl)	C(O)O(2,4,6-trichlorophenyl)	H	C(O)OEt
C(O)O(2,4,6-trichlorophenyl)	C(O)(3-methyl-2-pyridinylamino)	H	C(O)OPh
		H	$C(O)OC(CH_3)_3$

TABLE I-26



R is H

R^x	R^y	R^x	R^y
H	C(O)O(2,4,6-trichlorophenyl)	C(O)OH	C(O)OH
H	C(O)O(4-nitrophenyl)	C(O)OH	C(O)OMe
C(O)OH	C(O)O(4-nitrophenyl)	C(O)OH	C(O)OEt

R^x	R^y	R^x	R^y
C(O)OH	C(O)O(2,4,6-trichlorophenyl)	C(O)OH	C(O)OPh
C(O)OH	C(O)(3-methyl-2-pyridinylamino)	C(O)OH	$C(O)OC(CH_3)_3$
C(O)OMe	C(O)(3-methyl-2-pyridinylamino)	C(O)Cl	C(O)Cl
C(O)OEt	C(O)(3-methyl-2-pyridinylamino)	C(O)OMe	C(O)OMe
C(O)OPh	C(O)O(4-nitrophenyl)	C(O)OEt	C(O)OEt
C(O)OPh	C(O)O(2,4,6-trichlorophenyl)	C(O)OPh	C(O)OPh
C(O)OPh	C(O)(3-methyl-2-pyridinylamino)	$C(O)OC(CH_3)_3$	$C(O)OC(CH_3)_3$
$C(O)OC(CH_3)_3$	C(O)OPh	C(O)OMe	C(O)OPh
$C(O)OC(CH_3)_3$	C(O)(3-methyl-2-pyridinylamino)	C(O)OEt	C(O)OPh
C(O)O(4-nitrophenyl)	C(O)O(4-nitrophenyl)	H	C(O)OH
C(O)O(4-nitrophenyl)	C(O)(3-methyl-2-pyridinylamino)	H	C(O)OMe
C(O)O(2,4,6-trichlorophenyl)	C(O)O(2,4,6-trichlorophenyl)	H	C(O)OEt
C(O)O(2,4,6-trichlorophenyl)	C(O)(3-methyl-2-pyridinylamino)	H	C(O)OPh
		H	$C(O)OC(CH_3)_3$

R is CF_3

R^x	R^y	R^x	R^y
H	C(O)O(2,4,6-trichlorophenyl)	C(O)OH	C(O)OH
H	C(O)O(4-nitrophenyl)	C(O)OH	C(O)OMe
C(O)OH	C(O)O(4-nitrophenyl)	C(O)OH	C(O)OEt
C(O)OH	C(O)O(2,4,6-trichlorophenyl)	C(O)OH	C(O)OPh
C(O)OH	C(O)(3-methyl-2-pyridinylamino)	C(O)OH	$C(O)OC(CH_3)_3$
C(O)OMe	C(O)(3-methyl-2-pyridinylamino)	C(O)Cl	C(O)Cl
C(O)OEt	C(O)(3-methyl-2-pyridinylamino)	C(O)OMe	C(O)OMe
C(O)OPh	C(O)O(4-nitrophenyl)	C(O)OEt	C(O)OEt
C(O)OPh	C(O)O(2,4,6-trichlorophenyl)	C(O)OPh	C(O)OPh
C(O)OPh	C(O)(3-methyl-2-pyridinylamino)	$C(O)OC(CH_3)_3$	$C(O)OC(CH_3)_3$
$C(O)OC(CH_3)_3$	C(O)OPh	C(O)OMe	C(O)OPh
$C(O)OC(CH_3)_3$	C(O)(3-methyl-2-pyridinylamino)	C(O)OEt	C(O)OPh
C(O)O(4-nitrophenyl)	C(O)O(4-nitrophenyl)	H	C(O)OH
C(O)O(4-nitrophenyl)	C(O)(3-methyl-2-pyridinylamino)	H	C(O)OMe
C(O)O(2,4,6-trichlorophenyl)	C(O)O(2,4,6-trichlorophenyl)	H	C(O)OEt
C(O)O(2,4,6-trichlorophenyl)	C(O)(3-methyl-2-pyridinylamino)	H	C(O)OPh
		H	$C(O)OC(CH_3)_3$

5

R is F

R^x	R^y	R^x	R^y
H	C(O)O(2,4,6-trichlorophenyl)	C(O)OH	C(O)OH
H	C(O)O(4-nitrophenyl)	C(O)OH	C(O)OMe
C(O)OH	C(O)O(4-nitrophenyl)	C(O)OH	C(O)OEt
C(O)OH	C(O)O(2,4,6-trichlorophenyl)	C(O)OH	C(O)OPh
C(O)OH	C(O)(3-methyl-2-pyridinylamino)	C(O)OH	$C(O)OC(CH_3)_3$
C(O)OMe	C(O)(3-methyl-2-pyridinylamino)	C(O)Cl	C(O)Cl
C(O)OEt	C(O)(3-methyl-2-pyridinylamino)	C(O)OMe	C(O)OMe
C(O)OPh	C(O)O(4-nitrophenyl)	C(O)OEt	C(O)OEt
C(O)OPh	C(O)O(2,4,6-trichlorophenyl)	C(O)OPh	C(O)OPh
C(O)OPh	C(O)(3-methyl-2-pyridinylamino)	$C(O)OC(CH_3)_3$	$C(O)OC(CH_3)_3$
$C(O)OC(CH_3)_3$	C(O)OPh	C(O)OMe	C(O)OPh
$C(O)OC(CH_3)_3$	C(O)(3-methyl-2-pyridinylamino)	C(O)OEt	C(O)OPh
C(O)O(4-nitrophenyl)	C(O)O(4-nitrophenyl)	H	C(O)OH
C(O)O(4-nitrophenyl)	C(O)(3-methyl-2-pyridinylamino)	H	C(O)OMe
C(O)O(2,4,6-trichlorophenyl)	C(O)O(2,4,6-trichlorophenyl)	H	C(O)OEt
C(O)O(2,4,6-trichlorophenyl)	C(O)(3-methyl-2-pyridinylamino)	H	C(O)OPh
		H	$C(O)OC(CH_3)_3$

R is Cl

R^x	R^y	R^x	R^y
H	C(O)O(2,4,6-trichlorophenyl)	C(O)OH	C(O)OH
H	C(O)O(4-nitrophenyl)	C(O)OH	C(O)OMe
C(O)OH	C(O)O(4-nitrophenyl)	C(O)OH	C(O)OEt
C(O)OH	C(O)O(2,4,6-trichlorophenyl)	C(O)OH	C(O)OPh
C(O)OH	C(O)(3-methyl-2-pyridinylamino)	C(O)OH	$C(O)OC(CH_3)_3$
C(O)OMe	C(O)(3-methyl-2-pyridinylamino)	C(O)Cl	C(O)Cl
C(O)OEt	C(O)(3-methyl-2-pyridinylamino)	C(O)OMe	C(O)OMe
C(O)OPh	C(O)O(4-nitrophenyl)	C(O)OEt	C(O)OEt
C(O)OPh	C(O)O(2,4,6-trichlorophenyl)	C(O)OPh	C(O)OPh
C(O)OPh	C(O)(3-methyl-2-pyridinylamino)	$C(O)OC(CH_3)_3$	$C(O)OC(CH_3)_3$
$C(O)OC(CH_3)_3$	C(O)OPh	C(O)OMe	C(O)OPh
$C(O)OC(CH_3)_3$	C(O)(3-methyl-2-pyridinylamino)	C(O)OEt	C(O)OPh
C(O)O(4-nitrophenyl)	C(O)O(4-nitrophenyl)	H	C(O)OH
C(O)O(4-nitrophenyl)	C(O)(3-methyl-2-pyridinylamino)	H	C(O)OMe
C(O)O(2,4,6-trichlorophenyl)	C(O)O(2,4,6-trichlorophenyl)	H	C(O)OEt

R^x	R^y	R^x	R^y
C(O)O(2,4,6-trichlorophenyl)	C(O)(3-methyl-2-pyridinylamino)	H	C(O)OPh
		H	$C(O)OC(CH_3)_3$

R is Br

R^x	R^y	R^x	R^y
H	C(O)O(2,4,6-trichlorophenyl)	C(O)OH	C(O)OH
H	C(O)O(4-nitrophenyl)	C(O)OH	C(O)OMe
C(O)OH	C(O)O(4-nitrophenyl)	C(O)OH	C(O)OEt
C(O)OH	C(O)O(2,4,6-trichlorophenyl)	C(O)OH	C(O)OPh
C(O)OH	C(O)(3-methyl-2-pyridinylamino)	C(O)OH	$C(O)OC(CH_3)_3$
C(O)OMe	C(O)(3-methyl-2-pyridinylamino)	C(O)Cl	C(O)Cl
C(O)OEt	C(O)(3-methyl-2-pyridinylamino)	C(O)OMe	C(O)OMe
C(O)OPh	C(O)O(4-nitrophenyl)	C(O)OEt	C(O)OEt
C(O)OPh	C(O)O(2,4,6-trichlorophenyl)	C(O)OPh	C(O)OPh
C(O)OPh	C(O)(3-methyl-2-pyridinylamino)	$C(O)OC(CH_3)_3$	$C(O)OC(CH_3)_3$
$C(O)OC(CH_3)_3$	C(O)OPh	C(O)OMe	C(O)OPh
$C(O)OC(CH_3)_3$	C(O)(3-methyl-2-pyridinylamino)	C(O)OEt	C(O)OPh
C(O)O(4-nitrophenyl)	C(O)O(4-nitrophenyl)	H	C(O)OH
C(O)O(4-nitrophenyl)	C(O)(3-methyl-2-pyridinylamino)	H	C(O)OMe
C(O)O(2,4,6-trichlorophenyl)	C(O)O(2,4,6-trichlorophenyl)	H	C(O)OEt
C(O)O(2,4,6-trichlorophenyl)	C(O)(3-methyl-2-pyridinylamino)	H	C(O)OPh
		H	$C(O)OC(CH_3)_3$

R is I

R^x	R^y	R^x	R^y
H	C(O)O(2,4,6-trichlorophenyl)	C(O)OH	C(O)OH
H	C(O)O(4-nitrophenyl)	C(O)OH	C(O)OMe
C(O)OH	C(O)O(4-nitrophenyl)	C(O)OH	C(O)OEt
C(O)OH	C(O)O(2,4,6-trichlorophenyl)	C(O)OH	C(O)OPh
C(O)OH	C(O)(3-methyl-2-pyridinylamino)	C(O)OH	$C(O)OC(CH_3)_3$
C(O)OMe	C(O)(3-methyl-2-pyridinylamino)	C(O)Cl	C(O)Cl
C(O)OEt	C(O)(3-methyl-2-pyridinylamino)	C(O)OMe	C(O)OMe
C(O)OPh	C(O)O(4-nitrophenyl)	C(O)OEt	C(O)OEt
C(O)OPh	C(O)O(2,4,6-trichlorophenyl)	C(O)OPh	C(O)OPh
C(O)OPh	C(O)(3-methyl-2-pyridinylamino)	$C(O)OC(CH_3)_3$	$C(O)OC(CH_3)_3$
$C(O)OC(CH_3)_3$	C(O)OPh	C(O)OMe	C(O)OPh
$C(O)OC(CH_3)_3$	C(O)(3-methyl-2-pyridinylamino)	C(O)OEt	C(O)OPh

R^x	R^y
C(O)O(4-nitrophenyl)	C(O)O(4-nitrophenyl)
C(O)O(4-nitrophenyl)	C(O)(3-methyl-2-pyridinylamino)
C(O)O(2,4,6-trichlorophenyl)	C(O)O(2,4,6-trichlorophenyl)
C(O)O(2,4,6-trichlorophenyl)	C(O)(3-methyl-2-pyridinylamino)

R^x	R^y
H	C(O)OH
H	C(O)OMe
H	C(O)OEt
H	C(O)OPh
H	$C(O)OC(CH_3)_3$

R is NH_2

R^x	R^y
H	C(O)O(2,4,6-trichlorophenyl)
H	C(O)O(4-nitrophenyl)
C(O)OH	C(O)O(4-nitrophenyl)
C(O)OH	C(O)O(2,4,6-trichlorophenyl)
C(O)OH	C(O)(3-methyl-2-pyridinylamino)
C(O)OMe	C(O)(3-methyl-2-pyridinylamino)
C(O)OEt	C(O)(3-methyl-2-pyridinylamino)
C(O)OPh	C(O)O(4-nitrophenyl)
C(O)OPh	C(O)O(2,4,6-trichlorophenyl)
C(O)OPh	C(O)(3-methyl-2-pyridinylamino)
$C(O)OC(CH_3)_3$	C(O)OPh
$C(O)OC(CH_3)_3$	C(O)(3-methyl-2-pyridinylamino)
C(O)O(4-nitrophenyl)	C(O)O(4-nitrophenyl)
C(O)O(4-nitrophenyl)	C(O)(3-methyl-2-pyridinylamino)
C(O)O(2,4,6-trichlorophenyl)	C(O)O(2,4,6-trichlorophenyl)
C(O)O(2,4,6-trichlorophenyl)	C(O)(3-methyl-2-pyridinylamino)

R^x	R^y
C(O)OH	C(O)OH
C(O)OH	C(O)OMe
C(O)OH	C(O)OEt
C(O)OH	C(O)OPh
C(O)OH	$C(O)OC(CH_3)_3$
C(O)Cl	C(O)Cl
C(O)OMe	C(O)OMe
C(O)OEt	C(O)OEt
C(O)OPh	C(O)OPh
$C(O)OC(CH_3)_3$	$C(O)OC(CH_3)_3$
C(O)OMe	C(O)OPh
C(O)OEt	C(O)OPh
H	C(O)OH
H	C(O)OMe
H	C(O)OEt
H	C(O)OPh
H	$C(O)OC(CH_3)_3$

R is 2-chloro-4-(trifluoromethyl)phenyl

R^x	R^y
H	C(O)O(2,4,6-trichlorophenyl)
H	C(O)O(4-nitrophenyl)
C(O)OH	C(O)O(4-nitrophenyl)
C(O)OH	C(O)O(2,4,6-trichlorophenyl)
C(O)OH	C(O)(3-methyl-2-pyridinylamino)
C(O)OMe	C(O)(3-methyl-2-pyridinylamino)
C(O)OEt	C(O)(3-methyl-2-pyridinylamino)
C(O)OPh	C(O)O(4-nitrophenyl)
C(O)OPh	C(O)O(2,4,6-trichlorophenyl)

R^x	R^y
C(O)OH	C(O)OH
C(O)OH	C(O)OMe
C(O)OH	C(O)OEt
C(O)OH	C(O)OPh
C(O)OH	$C(O)OC(CH_3)_3$
C(O)Cl	C(O)Cl
C(O)OMe	C(O)OMe
C(O)OEt	C(O)OEt
C(O)OPh	C(O)OPh

R^x	R^y	R^x	R^y
C(O)OPh	C(O)(3-methyl-2-pyridinylamino)	$C(O)OC(CH_3)_3$	$C(O)OC(CH_3)_3$
$C(O)OC(CH_3)_3$	C(O)OPh	C(O)OMe	C(O)OPh
$C(O)OC(CH_3)_3$	C(O)(3-methyl-2-pyridinylamino)	C(O)OEt	C(O)OPh
C(O)O(4-nitrophenyl)	C(O)O(4-nitrophenyl)	H	C(O)OH
C(O)O(4-nitrophenyl)	C(O)(3-methyl-2-pyridinylamino)	H	C(O)OMe
C(O)O(2,4,6-trichlorophenyl)	C(O)O(2,4,6-trichlorophenyl)	H	C(O)OEt
C(O)O(2,4,6-trichlorophenyl)	C(O)(3-methyl-2-pyridinylamino)	H	C(O)OPh
		H	$C(O)OC(CH_3)_3$

R is 2-fluoro-5-(trifluoromethyl)phenyl

R^x	R^y	R^x	R^y
H	C(O)O(2,4,6-trichlorophenyl)	C(O)OH	C(O)OH
H	C(O)O(4-nitrophenyl)	C(O)OH	C(O)OMe
C(O)OH	C(O)O(4-nitrophenyl)	C(O)OH	C(O)OEt
C(O)OH	C(O)O(2,4,6-trichlorophenyl)	C(O)OH	C(O)OPh
C(O)OH	C(O)(3-methyl-2-pyridinylamino)	C(O)OH	$C(O)OC(CH_3)_3$
C(O)OMe	C(O)(3-methyl-2-pyridinylamino)	C(O)Cl	C(O)Cl
C(O)OEt	C(O)(3-methyl-2-pyridinylamino)	C(O)OMe	C(O)OMe
C(O)OPh	C(O)O(4-nitrophenyl)	C(O)OEt	C(O)OEt
C(O)OPh	C(O)O(2,4,6-trichlorophenyl)	C(O)OPh	C(O)OPh
C(O)OPh	C(O)(3-methyl-2-pyridinylamino)	$C(O)OC(CH_3)_3$	$C(O)OC(CH_3)_3$
$C(O)OC(CH_3)_3$	C(O)OPh	C(O)OMe	C(O)OPh
$C(O)OC(CH_3)_3$	C(O)(3-methyl-2-pyridinylamino)	C(O)OEt	C(O)OPh
C(O)O(4-nitrophenyl)	C(O)O(4-nitrophenyl)	H	C(O)OH
C(O)O(4-nitrophenyl)	C(O)(3-methyl-2-pyridinylamino)	H	C(O)OMe
C(O)O(2,4,6-trichlorophenyl)	C(O)O(2,4,6-trichlorophenyl)	H	C(O)OEt
C(O)O(2,4,6-trichlorophenyl)	C(O)(3-methyl-2-pyridinylamino)	H	C(O)OPh
		H	$C(O)OC(CH_3)_3$

R is 2-chloro-4-cyanophenyl

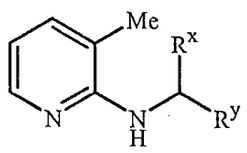
R^x	R^y	R^x	R^y
H	C(O)O(2,4,6-trichlorophenyl)	C(O)OH	C(O)OH
H	C(O)O(4-nitrophenyl)	C(O)OH	C(O)OMe
C(O)OH	C(O)O(4-nitrophenyl)	C(O)OH	C(O)OEt
C(O)OH	C(O)O(2,4,6-trichlorophenyl)	C(O)OH	C(O)OPh
C(O)OH	C(O)(3-methyl-2-pyridinylamino)	C(O)OH	$C(O)OC(CH_3)_3$
C(O)OMe	C(O)(3-methyl-2-pyridinylamino)	C(O)Cl	C(O)Cl

R^x	R^y	R^x	R^y
C(O)OEt	C(O)(3-methyl-2-pyridinylamino)	C(O)OMe	C(O)OMe
C(O)OPh	C(O)O(4-nitrophenyl)	C(O)OEt	C(O)OEt
C(O)OPh	C(O)O(2,4,6-trichlorophenyl)	C(O)OPh	C(O)OPh
C(O)OPh	C(O)(3-methyl-2-pyridinylamino)	$C(O)OC(CH_3)_3$	$C(O)OC(CH_3)_3$
$C(O)OC(CH_3)_3$	C(O)OPh	C(O)OMe	C(O)OPh
$C(O)OC(CH_3)_3$	C(O)(3-methyl-2-pyridinylamino)	C(O)OEt	C(O)OPh
C(O)O(4-nitrophenyl)	C(O)O(4-nitrophenyl)	H	C(O)OH
C(O)O(4-nitrophenyl)	C(O)(3-methyl-2-pyridinylamino)	H	C(O)OMe
C(O)O(2,4,6-trichlorophenyl)	C(O)O(2,4,6-trichlorophenyl)	H	C(O)OEt
C(O)O(2,4,6-trichlorophenyl)	C(O)(3-methyl-2-pyridinylamino)	H	C(O)OPh
		H	$C(O)OC(CH_3)_3$

R is 2-fluoro-4-cyanophenyl

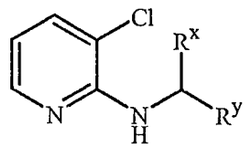
R^x	R^y	R^x	R^y
H	C(O)O(2,4,6-trichlorophenyl)	C(O)OH	C(O)OH
H	C(O)O(4-nitrophenyl)	C(O)OH	C(O)OMe
C(O)OH	C(O)O(4-nitrophenyl)	C(O)OH	C(O)OEt
C(O)OH	C(O)O(2,4,6-trichlorophenyl)	C(O)OH	C(O)OPh
C(O)OH	C(O)(3-methyl-2-pyridinylamino)	C(O)OH	$C(O)OC(CH_3)_3$
C(O)OMe	C(O)(3-methyl-2-pyridinylamino)	C(O)Cl	C(O)Cl
C(O)OEt	C(O)(3-methyl-2-pyridinylamino)	C(O)OMe	C(O)OMe
C(O)OPh	C(O)O(4-nitrophenyl)	C(O)OEt	C(O)OEt
C(O)OPh	C(O)O(2,4,6-trichlorophenyl)	C(O)OPh	C(O)OPh
C(O)OPh	C(O)(3-methyl-2-pyridinylamino)	$C(O)OC(CH_3)_3$	$C(O)OC(CH_3)_3$
$C(O)OC(CH_3)_3$	C(O)OPh	C(O)OMe	C(O)OPh
$C(O)OC(CH_3)_3$	C(O)(3-methyl-2-pyridinylamino)	C(O)OEt	C(O)OPh
C(O)O(4-nitrophenyl)	C(O)O(4-nitrophenyl)	H	C(O)OH
C(O)O(4-nitrophenyl)	C(O)(3-methyl-2-pyridinylamino)	H	C(O)OMe
C(O)O(2,4,6-trichlorophenyl)	C(O)O(2,4,6-trichlorophenyl)	H	C(O)OEt
C(O)O(2,4,6-trichlorophenyl)	C(O)(3-methyl-2-pyridinylamino)	H	C(O)OPh
		H	$C(O)OC(CH_3)_3$

TABLE I-27



R^x	R^y	R^x	R^y	R^x	R^y
H	CF_3	H	CH_2CHFCF_2Cl	H	2-chloro-5-thiazolyl
Me	CF_3	Me	CH_2CHFCF_2Cl	Me	2-chloro-5-thiazolyl
H	Et	H	cyclopropyl	H	1-methyl-4-pyrazolyl
Me	Et	Me	cyclopropyl	Me	1-methyl-4-pyrazolyl
H	3-pyridinyl	H	6-methyl-3-pyridinyl	H	5-pyrimidinyl
Me	3-pyridinyl	Me	6-methyl-3-pyridinyl	Me	5-pyrimidinyl
H	6-fluoro-3-pyridinyl	H	6-chloro-3-pyridinyl	H	2-bromo-5-thiazolyl
Me	6-fluoro-3-pyridinyl	Me	6-chloro-3-pyridinyl	Me	2-bromo-5-thiazolyl
H	6-bromo-3-pyridinyl	H	5-thiazolyl	H	3-methyl-5-isoxazolyl
Me	6-bromo-3-pyridinyl	Me	5-thiazolyl	Me	3-methyl-5-isoxazolyl
H	2-methyl-5-thiazolyl	H	2-fluoro-5-thiazolyl	H	2-methyl-5-pyrimidinyl
Me	2-methyl-5-thiazolyl	Me	2-fluoro-5-thiazolyl	Me	2-methyl-5-pyrimidinyl

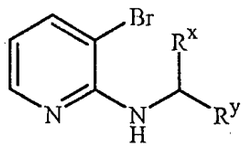
TABLE I-27a



R^x	R^y	R^x	R^y	R^x	R^y
H	CF_3	H	CH_2CHFCF_2Cl	H	2-chloro-5-thiazolyl
Me	CF_3	Me	CH_2CHFCF_2Cl	Me	2-chloro-5-thiazolyl
H	Et	H	cyclopropyl	H	1-methyl-4-pyrazolyl
Me	Et	Me	cyclopropyl	Me	1-methyl-4-pyrazolyl
H	3-pyridinyl	H	6-methyl-3-pyridinyl	H	5-pyrimidinyl
Me	3-pyridinyl	Me	6-methyl-3-pyridinyl	Me	5-pyrimidinyl
H	6-fluoro-3-pyridinyl	H	6-chloro-3-pyridinyl	H	2-bromo-5-thiazolyl
Me	6-fluoro-3-pyridinyl	Me	6-chloro-3-pyridinyl	Me	2-bromo-5-thiazolyl
H	6-bromo-3-pyridinyl	H	5-thiazolyl	H	3-methyl-5-isoxazolyl
Me	6-bromo-3-pyridinyl	Me	5-thiazolyl	Me	3-methyl-5-isoxazolyl

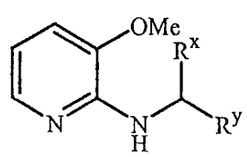
R^x	R^y	R^x	R^y	R^x	R^y
H	2-methyl-5-thiazolyl	H	2-fluoro-5-thiazolyl	H	2-methyl-5-pyrimidinyl
Me	2-methyl-5-thiazolyl	Me	2-fluoro-5-thiazolyl	Me	2-methyl-5-pyrimidinyl

TABLE I-27b



R^x	R^y	R^x	R^y	R^x	R^y
H	CF_3	H	CH_2CHFCF_2Cl	H	2-chloro-5-thiazolyl
Me	CF_3	Me	CH_2CHFCF_2Cl	Me	2-chloro-5-thiazolyl
H	Et	H	cyclopropyl	H	1-methyl-4-pyrazolyl
Me	Et	Me	cyclopropyl	Me	1-methyl-4-pyrazolyl
H	3-pyridinyl	H	6-methyl-3-pyridinyl	H	5-pyrimidinyl
Me	3-pyridinyl	Me	6-methyl-3-pyridinyl	Me	5-pyrimidinyl
H	6-fluoro-3-pyridinyl	H	6-chloro-3-pyridinyl	H	2-bromo-5-thiazolyl
Me	6-fluoro-3-pyridinyl	Me	6-chloro-3-pyridinyl	Me	2-bromo-5-thiazolyl
H	6-bromo-3-pyridinyl	H	5-thiazolyl	H	3-methyl-5-isoxazolyl
Me	6-bromo-3-pyridinyl	Me	5-thiazolyl	Me	3-methyl-5-isoxazolyl
H	2-methyl-5-thiazolyl	H	2-fluoro-5-thiazolyl	H	2-methyl-5-pyrimidinyl
Me	2-methyl-5-thiazolyl	Me	2-fluoro-5-thiazolyl	Me	2-methyl-5-pyrimidinyl

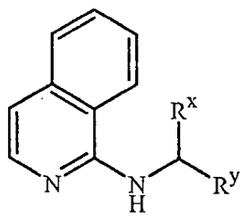
TABLE I-27c



R^x	R^y	R^x	R^y	R^x	R^y
H	CF_3	H	CH_2CHFCF_2Cl	H	2-chloro-5-thiazolyl
Me	CF_3	Me	CH_2CHFCF_2Cl	Me	2-chloro-5-thiazolyl
H	Et	H	cyclopropyl	H	1-methyl-4-pyrazolyl
Me	Et	Me	cyclopropyl	Me	1-methyl-4-pyrazolyl
H	3-pyridinyl	H	6-methyl-3-pyridinyl	H	5-pyrimidinyl
Me	3-pyridinyl	Me	6-methyl-3-pyridinyl	Me	5-pyrimidinyl
H	6-fluoro-3-pyridinyl	H	6-chloro-3-pyridinyl	H	2-bromo-5-thiazolyl

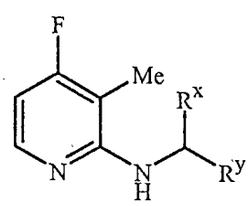
R^x	R^y	R^x	R^y	R^x	R^y
Me	6-fluoro-3-pyridinyl	Me	6-chloro-3-pyridinyl	Me	2-bromo-5-thiazolyl
H	6-bromo-3-pyridinyl	H	5-thiazolyl	H	3-methyl-5-isoxazolyl
Me	6-bromo-3-pyridinyl	Me	5-thiazolyl	Me	3-methyl-5-isoxazolyl
H	2-methyl-5-thiazolyl	H	2-fluoro-5-thiazolyl	H	2-methyl-5-pyrimidinyl
Me	2-methyl-5-thiazolyl	Me	2-fluoro-5-thiazolyl	Me	2-methyl-5-pyrimidinyl

TABLE I-27d



R^x	R^y	R^x	R^y	R^x	R^y
H	CF_3	H	CH_2CHFCF_2Cl	H	2-chloro-5-thiazolyl
Me	CF_3	Me	CH_2CHFCF_2Cl	Me	2-chloro-5-thiazolyl
H	Et	H	cyclopropyl	H	1-methyl-4-pyrazolyl
Me	Et	Me	cyclopropyl	Me	1-methyl-4-pyrazolyl
H	3-pyridinyl	H	6-methyl-3-pyridinyl	H	5-pyrimidinyl
Me	3-pyridinyl	Me	6-methyl-3-pyridinyl	Me	5-pyrimidinyl
H	6-fluoro-3-pyridinyl	H	6-chloro-3-pyridinyl	H	2-bromo-5-thiazolyl
Me	6-fluoro-3-pyridinyl	Me	6-chloro-3-pyridinyl	Me	2-bromo-5-thiazolyl
H	6-bromo-3-pyridinyl	H	5-thiazolyl	H	3-methyl-5-isoxazolyl
Me	6-bromo-3-pyridinyl	Me	5-thiazolyl	Me	3-methyl-5-isoxazolyl
H	2-methyl-5-thiazolyl	H	2-fluoro-5-thiazolyl	H	2-methyl-5-pyrimidinyl
Me	2-methyl-5-thiazolyl	Me	2-fluoro-5-thiazolyl	Me	2-methyl-5-pyrimidinyl

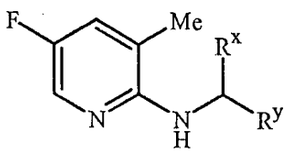
TABLE I-27e



R^x	R^y	R^x	R^y	R^x	R^y
H	CF_3	H	CH_2CHFCF_2Cl	H	2-chloro-5-thiazolyl

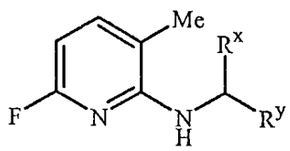
R^x	R^y	R^x	R^y	R^x	R^y
Me	CF_3	Me	CH_2CHFCF_2Cl	Me	2-chloro-5-thiazolyl
H	Et	H	cyclopropyl	H	1-methyl-4-pyrazolyl
Me	Et	Me	cyclopropyl	Me	1-methyl-4-pyrazolyl
H	3-pyridinyl	H	6-methyl-3-pyridinyl	H	5-pyrimidinyl
Me	3-pyridinyl	Me	6-methyl-3-pyridinyl	Me	5-pyrimidinyl
H	6-fluoro-3-pyridinyl	H	6-chloro-3-pyridinyl	H	2-bromo-5-thiazolyl
Me	6-fluoro-3-pyridinyl	Me	6-chloro-3-pyridinyl	Me	2-bromo-5-thiazolyl
H	6-bromo-3-pyridinyl	H	5-thiazolyl	H	3-methyl-5-isoxazolyl
Me	6-bromo-3-pyridinyl	Me	5-thiazolyl	Me	3-methyl-5-isoxazolyl
H	2-methyl-5-thiazolyl	H	2-fluoro-5-thiazolyl	H	2-methyl-5-pyrimidinyl
Me	2-methyl-5-thiazolyl	Me	2-fluoro-5-thiazolyl	Me	2-methyl-5-pyrimidinyl

TABLE I-27f



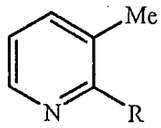
R^x	R^y	R^x	R^y	R^x	R^y
H	CF_3	H	CH_2CHFCF_2Cl	H	2-chloro-5-thiazolyl
Me	CF_3	Me	CH_2CHFCF_2Cl	Me	2-chloro-5-thiazolyl
H	Et	H	cyclopropyl	H	1-methyl-4-pyrazolyl
Me	Et	Me	cyclopropyl	Me	1-methyl-4-pyrazolyl
H	3-pyridinyl	H	6-methyl-3-pyridinyl	H	5-pyrimidinyl
Me	3-pyridinyl	Me	6-methyl-3-pyridinyl	Me	5-pyrimidinyl
H	6-fluoro-3-pyridinyl	H	6-chloro-3-pyridinyl	H	2-bromo-5-thiazolyl
Me	6-fluoro-3-pyridinyl	Me	6-chloro-3-pyridinyl	Me	2-bromo-5-thiazolyl
H	6-bromo-3-pyridinyl	H	5-thiazolyl	H	3-methyl-5-isoxazolyl
Me	6-bromo-3-pyridinyl	Me	5-thiazolyl	Me	3-methyl-5-isoxazolyl
H	2-methyl-5-thiazolyl	H	2-fluoro-5-thiazolyl	H	2-methyl-5-pyrimidinyl
Me	2-methyl-5-thiazolyl	Me	2-fluoro-5-thiazolyl	Me	2-methyl-5-pyrimidinyl

TABLE I-27g



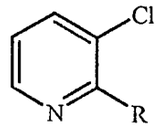
R^x	R^y	R^x	R^y	R^x	R^y
H	CF_3	H	CH_2CHFCF_2Cl	H	2-chloro-5-thiazolyl
Me	CF_3	Me	CH_2CHFCF_2Cl	Me	2-chloro-5-thiazolyl
H	Et	H	cyclopropyl	H	1-methyl-4-pyrazolyl
Me	Et	Me	cyclopropyl	Me	1-methyl-4-pyrazolyl
H	3-pyridinyl	H	6-methyl-3-pyridinyl	H	5-pyrimidinyl
Me	3-pyridinyl	Me	6-methyl-3-pyridinyl	Me	5-pyrimidinyl
H	6-fluoro-3-pyridinyl	H	6-chloro-3-pyridinyl	H	2-bromo-5-thiazolyl
Me	6-fluoro-3-pyridinyl	Me	6-chloro-3-pyridinyl	Me	2-bromo-5-thiazolyl
H	6-bromo-3-pyridinyl	H	5-thiazolyl	H	3-methyl-5-isoxazolyl
Me	6-bromo-3-pyridinyl	Me	5-thiazolyl	Me	3-methyl-5-isoxazolyl
H	2-methyl-5-thiazolyl	H	2-fluoro-5-thiazolyl	H	2-methyl-5-pyrimidinyl
Me	2-methyl-5-thiazolyl	Me	2-fluoro-5-thiazolyl	Me	2-methyl-5-pyrimidinyl

TABLE I-28



R	R	R	R	R	R
F	Cl	Br	I	NH_2	$NH(CO_2$-*t*-Bu)
NHCHO	$NHC(O)CH_3$	$NHCO_2CH_2Ph$	$NHCO_2CH_3$	$NHCO_2CH_2CH_3$	$NH(CO_2$-*i*-Pr)

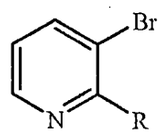
TABLE I-28a



R	R	R	R	R	R
F	Cl	Br	I	NH_2	$NH(CO_2$-*t*-Bu)

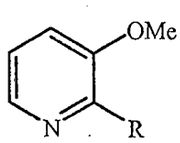
R	R	R	R	R	R
NHCHO	$NHC(O)CH_3$	$NHCO_2CH_2Ph$	$NHCO_2CH_3$	$NHCO_2CH_2CH_3$	$NH(CO_2$-*i*-Pr)

TABLE I-28b



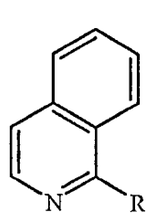
R	R	R	R	R	R
F	Cl	Br	I	NH_2	$NH(CO_2$-*t*-Bu)
NHCHO	$NHC(O)CH_3$	$NHCO_2CH_2Ph$	$NHCO_2CH_3$	$NHCO_2CH_2CH_3$	$NH(CO_2$-*i*-Pr)

TABLE I-28c



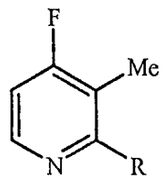
R	R	R	R	R	R
F	Cl	Br	I	NH_2	$NH(CO_2$-*t*-Bu)
NHCHO	$NHC(O)CH_3$	$NHCO_2CH_2Ph$	$NHCO_2CH_3$	$NHCO_2CH_2CH_3$	$NH(CO_2$-*i*-Pr)

TABLE I-28d



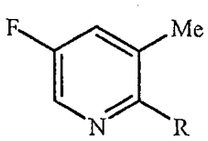
R	R	R	R	R	R
F	Cl	Br	I	NH_2	$NH(CO_2$-*t*-Bu)
NHCHO	$NHC(O)CH_3$	$NHCO_2CH_2Ph$	$NHCO_2CH_3$	$NHCO_2CH_2CH_3$	$NH(CO_2$-*i*-Pr)

TABLE I-28e



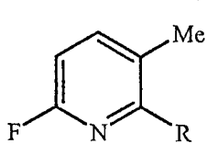
R	R	R	R	R	R
F	Cl	Br	I	NH_2	$NH(CO_2$-*t*-Bu)
NHCHO	$NHC(O)CH_3$	$NHCO_2CH_2Ph$	$NHCO_2CH_3$	$NHCO_2CH_2CH_3$	$NH(CO_2$-*i*-Pr)

TABLE I-28f



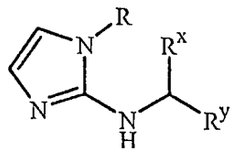
R	R	R	R	R	R
F	Cl	Br	I	NH_2	$NH(CO_2$-*t*-Bu)
NHCHO	$NHC(O)CH_3$	$NHCO_2CH_2Ph$	$NHCO_2CH_3$	$NHCO_2CH_2CH_3$	$NH(CO_2$-*i*-Pr)

TABLE I-28g



R	R	R	R	R	R
F	Cl	Br	I	NH_2	$NH(CO_2$-*t*-Bu)
NHCHO	$NHC(O)CH_3$	$NHCO_2CH_2Ph$	$NHCO_2CH_3$	$NHCO_2CH_2CH_3$	$NH(CO_2$-*i*-Pr)

TABLE I-29



R is Et

R^x	R^y	R^x	R^y
H	CF_3	H	CH_2CHFCF_2Cl
Me	CF_3	Me	CH_2CHFCF_2Cl
H	Et	H	cyclopropyl
Me	Et	Me	cyclopropyl
H	3-pyridinyl	H	6-methyl-3-pyridinyl
Me	3-pyridinyl	Me	6-methyl-3-pyridinyl
H	6-fluoro-3-pyridinyl	H	6-chloro-3-pyridinyl
Me	6-fluoro-3-pyridinyl	Me	6-chloro-3-pyridinyl
H	6-bromo-3-pyridinyl	H	5-thiazolyl
Me	6-bromo-3-pyridinyl	Me	5-thiazolyl
H	2-methyl-5-thiazolyl	H	2-fluoro-5-thiazolyl
Me	2-methyl-5-thiazolyl	Me	2-fluoro-5-thiazolyl
H	2-chloro-5-thiazolyl	H	2-bromo-5-thiazolyl
Me	2-chloro-5-thiazolyl	Me	2-bromo-5-thiazolyl
H	1-methyl-4-pyrazolyl	H	3-methyl-5-isoxazolyl
Me	1-methyl-4-pyrazolyl	Me	3-methyl-5-isoxazolyl
H	5-pyrimidinyl	H	2-methyl-5-pyrimidinyl
Me	5-pyrimidinyl	Me	2-methyl-5-pyrimidinyl

R is CH_2CHF_2

R^x	R^y	R^x	R^y
H	CF_3	H	CH_2CHFCF_2Cl
Me	CF_3	Me	CH_2CHFCF_2Cl
H	Et	H	cyclopropyl
Me	Et	Me	cyclopropyl
H	3-pyridinyl	H	6-methyl-3-pyridinyl
Me	3-pyridinyl	Me	6-methyl-3-pyridinyl
H	6-fluoro-3-pyridinyl	H	6-chloro-3-pyridinyl
Me	6-fluoro-3-pyridinyl	Me	6-chloro-3-pyridinyl

R^X	R^Y	R^X	R^Y
H	6-bromo-3-pyridinyl	H	5-thiazolyl
Me	6-bromo-3-pyridinyl	Me	5-thiazolyl
H	2-methyl-5-thiazolyl	H	2-fluoro-5-thiazolyl
Me	2-methyl-5-thiazolyl	Me	2-fluoro-5-thiazolyl
H	2-chloro-5-thiazolyl	H	2-bromo-5-thiazolyl
Me	2-chloro-5-thiazolyl	Me	2-bromo-5-thiazolyl
H	1-methyl-4-pyrazolyl	H	3-methyl-5-isoxazolyl
Me	1-methyl-4-pyrazolyl	Me	3-methyl-5-isoxazolyl
H	5-pyrimidinyl	H	2-methyl-5-pyrimidinyl
Me	5-pyrimidinyl	Me	2-methyl-5-pyrimidinyl

TABLE I-30

2-chloro-6-(trifluoromethyl)pyridine	4-chloro-2-(trifluoromethyl)pyridine
2-bromo-6-(trifluoromethyl)pyridine	4-bromo-2-(trifluoromethyl)pyridine
2-iodo-6-(trifluoromethyl)pyridine	4-iodo-2-(trifluoromethyl)pyridine
4-chloro-2-fluoropyridine	2,4-dichloropyridine
4-bromo-2-fluoropyridine	4-bromo-2-chloropyridine
2-fluoro-4-iodopyridine	2-chloro-4-iodopyridine
2-bromo-4-chloropyridine	
2,4-dibromopyridine	
2-bromo-4-iodopyridine	

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 Synthesis Examples are, therefore, to be construed as merely illustrative, and not limiting of the disclosure in any way whatsoever. Steps in the following Synthesis 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. Ambient or room temperature is defined as about 20–25 °C. 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. MPLC refers to medium pressure liquid chromatography on silica gel. ^{1}H NMR spectra are reported in ppm downfield from tetramethylsilane; "s" means singlet, "d" means doublet, "dd" means doublet of doublets, "ddd" means doublet of doublet of doublets, "t" means triplet, "m" means multiplet, and "br s" means broad singlet. For mass spectral data, the numerical value reported is the molecular weight of the parent molecular ion (M) formed by addition of H^+ (molecular weight of 1) to the molecule to give a M+1 peak observed by mass spectrometry using atmospheric pressure chemical ionization (AP^+).

SYNTHESIS EXAMPLE 1

Preparation of 1-[(2-chloro-5-thiazolyl)methyl]-2-hydroxy-4-oxo-9-phenyl-3-[3-(trifluoromethoxy)phenyl]-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt (compound number 68)

Step A: Preparation of 2-amino-3-phenylpyridine

A microwave vial was charged with 2-amino-3-bromopyridine (0.5 g, 2.9 mmol), phenylboronic acid (0.52 g, 4.3 mmol), sodium bicarbonate (0.31 g, 2.9 mmol), dichlorobis(triphenylphosphine)palladium(II) (0.1 g, 0.14 mmol), dioxane (5 mL) and water (1 mL). The vial was capped, and the reaction mixture was heated at 150 °C for 10 min. The reaction mixture was then cooled, quenched with water (10 mL), and extracted twice with ethyl acetate. The combined organic phases were dried ($MgSO_4$) and concentrated to leave a black oil. The crude product was purified by chromatography on silica gel using 10–40% ethyl acetate/hexanes as eluant to provide the title compound as a white solid (0.35 g). ^{1}H NMR ($CDCl_3$) δ 8.10 (d, 1H), 7.46 (m, 4H), 7.38 (m, 2H), 6.75 (m, 1H), 4.50–4.55 (br s, 2H).

Step B: Preparation of *N*-[(2-chloro-5-thiazolyl)methylene]-3-phenyl-2-pyridinamine

2-Amino-3-phenylpyridine (i.e. the product of Step A, 0.342 g, 2.01 mmol) was added to 2-chloro-1,3-thiazole-5-carbaldehyde (0.296 g, 2.01 mmol) in dichloromethane (10 mL) at room temperature. The suspension was stirred for 10 min and then concentrated to dryness under vacuum. The resulting residue was heated to 90 °C for 20 min on a rotory evaporator with a non-returning bump trap to facilitate water removal. The residual yellow solid was again dissolved in dichloromethane (10 mL) and heated to 90 °C on a rotory evaporator for an additional 20 min. At this time the yellow solid was checked by NMR to verify reaction completion. The title compound was obtained as a yellow solid and used in the next step without further purification.

^{1}H NMR ($CDCl_3$) δ 9.29 (s, 1H), 8.45 (m, 1H), 7.92 (s, 1H), 7.80 (dd, 1H), 7.50–7.35 (m, 5H), 7.30–7.25 (m, 1H).

Step C: Preparation of *N*-[(2-chloro-5-thiazolyl)methyl]-3-phenyl-2-pyridinamine

N-[(2-chloro-5-thiazolyl)methylene]-3-phenyl-2-pyridinamine (i.e. the product of Step B) was added portionwise to a stirred excess of sodium borohydride (0.379 g, 10.0 mmol) in methanol (8 mL). After addition was complete, the reaction mixture was allowed to stir for 5 min at ambient temperature. The excess reducing agent was quenched by adding glacial acetic acid until gas evolution ceased. Water (20 mL) was added, and the reaction mixture was concentrated to remove methanol. The resulting aqueous phase was extracted twice with ethyl acetate, and the combined organic phases were dried ($MgSO_4$) and concentrated to give the title compound as a yellow solid (0.659 g).

^{1}H NMR ($CDCl_3$) δ 8.2 (d, 1H), 7.5–7.3 (m, 7H), 6.8–6.7 (m, 1H), 5.0–4.9 (br s, 1H), 4.68 (d, 2H).

Step D: Preparation of 1-[(2-chloro-5-thiazolyl)methyl]-2-hydroxy-4-oxo-9-phenyl-3-[3-(trifluoromethoxy)phenyl]-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt

N-[(2-chloro-5-thiazolyl)methyl]-3-phenyl-2-pyridinamine (i.e. the product of Step C, 100 mg, 0.33 mmol) and 1,3-bis(2,4,6-trichlorophenyl)-2-phenylpropanedioate (246 mg, 0.398 mmol) were dissolved in toluene (10 mL) and heated at 85 °C overnight. The reaction mixture was then poured onto a silica gel column which was eluted with 50–100% ethyl acetate in hexanes to provide the title compound, a compound of the present invention, as a yellow solid (63 mg).

^{1}H NMR ($CDCl_3$) δ 9.60 (d, 1H), 8.05 (d, 1H), 7.85–7.75 (m, 2), 7.55 (m, 3H), 7.5–7.4 (m, 4H), 7.1 (dd, 1H), 6.84 (s, 1H), 5.1–5.25 (br s, 2H).

SYNTHESIS EXAMPLE 2

Preparation of 1-[(2-chloro-5-thiazolyl)methyl]-3-(2-fluorophenyl)-2-hydroxy-9-methyl-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt (compound number 30)

Step A: Preparation of *N*-[(2-chloro-5-thiazolyl)methyl]-3-methyl-2-pyridinamine

A mixture of 2-amino-3-methylpyridine (2.16 g, 20 mmol) and 2-chloro-5-(chloromethyl)thiazole (1.68 g, 10 mmol) in *N*-methylpyrrolidinone (10 mL) was heated at 180 °C in a microwave reactor for 10 min. The cooled reaction mixture was poured into a saturated aqueous sodium bicarbonate solution and extracted with ethyl acetate. The organic layer was separated, washed with saturated aqueous sodium bicarbonate solution, dried over Na_2SO_4, and concentrated under reduced pressure. The residue was purified by chromatography on silica gel eluted with 10% ethyl acetate in hexanes to provide the title compound as an oil (2.0 g).

^{1}H NMR ($CDCl_3$) δ 8.07 (d, 1H), 7.43 (s, 1H), 7.26 (d, 1H), 6.63 (dd, 1H), 4.77 (d, 2H), 4.54 (br s, 1H).

Step B: Preparation of 1,3-bis(2,4,6-trichlorophenyl) 2-(2-fluorophenyl)propanedioate

To a solution of 2-(2-fluorophenyl)propanedioic acid (0.60 g, 3 mmol, prepared by the method described in *Eur. J. Biochem.* **1992**, *210*, 475) in dichloromethane (5 mL) was added oxalyl chloride (0.65 mL, 7.5 mmol) and one drop of *N*,*N*-dimethylformamide. The reaction mixture was stirred for 1 h at room temperature. 2,4,6-Trichlorophenol (1.47 g, 7.5 mmol) was then added, and the reaction mixture was stirred at room temperature overnight. The reaction mixture was concentrated under reduced pressure, and the crude residue was triturated with a small amount of cold methanol to yield the title compound as a white solid (1.1 g).

^{1}H NMR ($CDCl_3$) δ 7.73 (m, 1H), 7.42 (m, 1H), 7.37 (s, 2H), 7.24 (m, 1H), 7.19 (t, 1H), 5.72 (s, 1H).

Step C: Preparation of 1-[(2-chloro-5-thiazolyl)methyl]-3-(2-fluorophenyl)-2-hydroxy-9-methyl-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt

A solution of *N*-[(2-chloro-5-thiazolyl)methyl]-3-methyl-2-pyridinamine (i.e. the product of Step A, 100 mg, 0.4 mmol) and 1,3-bis(2,4,6-trichlorophenyl) 2-(2-fluorophenyl)propanedioate (i.e. the product of Step B, 300 mg, 0.5 mmol) in toluene (1 mL) was heated at 70 °C for 1 h. The reaction mixture was then cooled and filtered, and the filtered solid was triturated with a small amount of diethyl ether to yield the title product, a compound of the present invention, as a yellow solid (60 mg).

^{1}H NMR ($CDCl_3$) δ 9.52 (d, 1H), 8.01 (dd, 1H), 7.58 (t, 1H), 7.37 (t, 1H), 7.1–7.35 (m, 4H), 5.62 (s, 2H), 2.84 (s, 3H).

SYNTHESIS EXAMPLE 2a

Alternative preparation of 1-[(2-chloro-5-thiazolyl)methyl]-3-(2-fluorophenyl)-2-hydroxy-9-methyl-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt (compound number 30)

Step A: Preparation of methyl α-cyano-2-fluorobenzeneacetate

Sodium methoxide (51.84 g) was added to dry toluene (815 mL) in a 1 L reactor under a nitrogen atmosphere. The reaction mixture was heated to 75 °C, and then a mixture of 2-fluorobenzeneacetonitrile (100 g) in dimethyl carbonate (131.64 g) was added at 70-74 °C over a period of 45 minutes. The reaction mixture was further maintained at this temperature (70-75 °C) for an additional 4.5 hours. The reaction mixture was then cooled to 25-30 °C and added to a mixture of HCl (110 g, 35.2 wt %) diluted with water (300 mL) at 10-15 °C using an addition funnel. The resulting layers were separated, and the aqueous layer was extracted with ethyl acetate (2 X 200 mL). The combined organic layers were washed with water (2 X 200 mL), dried over sodium sulfate, and concentrated under reduced pressure to provide the title compound as a brown oily liquid (138.8 g, 94.8% yield).

Step B: Preparation of 1,3-dimethyl 2-(2-fluorophenyl)propanedioate

Methyl α-cyano-2-fluorobenzeneacetate (135 g) was added to methanol (675 g) in a 1 L reactor under nitrogen atmosphere. The reaction mixture was cooled to 0 °C and thionyl chloride (240.47 g) was added slowly over a period of 45 minutes. The reaction mixture was heated to 45 °C for 19 hours, and the progress of the reaction was monitored by HPLC. The reaction mixture was then cooled to 30 °C, and concentrated under reduced pressure at 45-47 °C. The residue was dissolved in water (300 mL) and extracted with ethyl acetate (2 X 300 mL). The combined organic layers were washed with water (2 X 300 mL), dried over sodium sulfate, and concentrated under reduced pressure to dryness to give the title compound (152.2 g, 95.9% yield).

Step C: Preparation of 2-(2-fluorophenyl)propanedioic acid

Sodium hydroxide (117.11 g of a 50% aqueous solution) was added to water (160.5 g), and the solution was cooled to 10-15 °C. Tetramethylammonium chloride (4.88 g) was added, followed by the dropwise addition of 1,3-dimethyl 2-(2-fluorophenyl)propanedioate (150 g) over a period of 30 minutes at 10-15 °C. The temperature of the reaction was slowly raised to 30 °C after the addition and maintained at 27-32 °C. The reaction mass became a thick slurry, and water (25 g) was added to improve stirring. The progress of the reaction was monitored by HPLC. After stirring for 2 hours, the reaction mixture was added to a solution of HCl (231 g) and water (150 mL) over a period of 30 minutes at 5-10 °C. The pH of the mixture was adjusted to < 2, and the reaction mixture was stirred at 5-10 °C for half an hour. The reaction mixture was then filtered to isolate a solid product that was air dried, and then further dried under reduced pressure at 35 °C for 3 hours. The dried solid was slurried with petroleum ether, and the slurry was filtered to reisolated the solid. The solid was then dried under reduced pressure at 35 °C for 3 hours to give the title product (115.5 g , 93.97 %).

Step D: Preparation of 1,3-bis(4-nitrophenyl) 2-(2-fluorophenyl)propanedioate

To a 1 L flask was added toluene (500 mL), 2-(2-fluorophenyl)propanedioic acid (100 g, 0.479 moles) and *N*,*N*-dimethylformamide (6.92 g) at 25 °C under a nitrogen atmosphere. The reaction mixture was initially heated to 30 °C and thionyl chloride (127.53 g, 1.071 moles) was added dropwise over a period of 20 minutes at 25-30 °C. After the addition, the reaction mixture was heated to 48-50 °C and this temperature maintained for 3.5 hours. Toluene (300 mL) was then added to the reaction mixture in one portion, followed by the addition of solid 4-nitrophenol (148.09 g total, 1.064 moles) in ten portions over a period of 15 minutes. After the addition, the reaction mixture was maintained at 49-55 °C for 1.5 hours. The reaction mixture was then concentrated under reduced pressure at 40-45 °C to remove toluene. The resulting residue was triturated using cold methanol (300 mL, approximately 5 °C) to yield an off-white solid which was isolated by filtration, washed with cold methanol (2 X 150 mL, approximately 5 °C) and dried under reduced pressure at 45 °C to give the title compound (163.8 g, 71.6%).

Step E: Preparation of 1-[(2-chloro-5-thiazolyl)methyl]-3-(2-fluorophenyl)-2-hydroxy-9-methyl-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt

N-[(2-chloro-5-thiazolyl)methyl]-3-methyl-2-pyridinamine (i.e. the product of Example 1 Step A, 60.0 g, 0.247 mol), 1,3-bis(4-nitrophenyl) 2-(2-fluorophenyl)propanedioate (142 g, 0.297 mol), imidazole (6.74 g, 99 mmol), and ethyl acetate (300 mL) were added to a reactor, and the reaction mixture was heated to 72-77 °C for 2.0 hours. The reaction mixture was then cooled to 40 °C, and water (460 mL) was added. The resulting slurry was cooled to 10 °C and further maintained at that temperature for 15 minutes. The slurry was then filtered to isolate a wet solid which was washed with methanol (360 mL). The crude product was air dried, and then dried further under reduced pressure at 45-50 °C for 3 hours to provide the title compound, a compound of this invention, as a solid (93.7 g, 93.1% yield). ^{1}H NMR (dmso-d_6) δ 9.26 (d, 1H), 8.27 (d, 1H), 7.78 (s, 1H), 7.57-7.46 (m, 2H), 7.33-7.29 (m, 1H), 7.21-7.15 (m, 2H), 5.44 (s, 2H), 2.72 (s, 3H).

SYNTHESIS EXAMPLE 3

Preparation of 1-[(2-chloro-5-thiazolyl)methyl]-3-(2',3'-dichloro[1,1'-biphenyl]-3-yl)-2-hydroxy-9-methyl-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt (compound number 88)

Step A: Preparation of 2',3'-dichloro-[1,1'-biphenyl]-3-acetic acid ethyl ester

A mixture of 3-iodobenzeneacetic acid ethyl ester (0.87 g, 3 mmol, prepared by the method described in *J. Chem. Soc.* **1963**, 5437), 2,3-dichlorophenylboronic acid (0.85 g, 4.5

mmol), bis(triphenylphosphine)palladium dichloride (0.10 g, 0.15 mmol) and 2 N aqueous sodium bicarbonate solution (3 mL) in *p*-dioxane (6 mL) was heated at 160 °C in a microwave reactor for 5 min. The reaction mixture was cooled, poured into water, and extracted with ethyl acetate. The organic layer was separated, washed with brine, dried over Na_2SO_4, and concentrated under reduced pressure. The residue was purified by chromatography on silica gel eluted with ethyl acetate in hexanes to provide the title product (0.48 g).

^{1}H NMR ($CDCl_3$) δ 8.07 (d, 1H), 7.43 (s, 1H), 7.26 (d, 1H), 6.63 (dd, 1H), 4.77 (d, 2H), 4.54 (br s, 1H).

Step B: Preparation of 2-(2',3'-dichloro-[1,1'-biphenyl]-3-yl)propanedioic acid 1,3-diethyl ester

To a solution of 2',3'-dichloro-[1,1'-biphenyl]-3-acetic acid ethyl ester (i.e. the product of Step A, 0.45 g, 1.45 mmol) and diethyl carbonate (5 mL) was added sodium hydride (60% dispersion in oil, 0.23 g, 5.8 mmol). The reaction mixture was stirred overnight at room temperature, and then quenched with saturated aqueous ammonium chloride solution. The reaction mixture was then extracted with ethyl acetate, and the organic layer was dried over sodium sulfate and concentrated under reduced pressure to yield the title compound (0.50 g).

^{1}H NMR ($CDCl_3$) δ 7.35–7.5 (m, 5H), 7.25 (t, 2H), 5.30 (s, 1H), 4.20 (q, 4H), 1.26 (t, 6H).

Step C: Preparation of 2-(2',3'-dichloro[1,1'-biphenyl]-3-yl)propanedioic acid

2-(2',3'-Dichloro-[1,1'-biphenyl]-3-yl)propanedioic acid 1,3-diethyl ester (i.e. the product of Step B, 0.30 g, 0.79 mmol) was added to 2% aqueous sodium hydroxide (5 mL), and the reaction mixture was heated at 70 °C for 20 min. The reaction mixture was cooled, quenched with 6 N aqueous hydrochloric acid, and extracted with ethyl acetate. The organic layer was separated, washed with brine, dried over sodium sulfate, and concentrated under reduced pressure to yield the title compound (0.20 g).

^{1}H NMR ($CDCl_3$) δ 7.4–7.5 (m, 5H), 7.20–7.25 (m, 2H), 4.74 (s, 1H).

Step D: Preparation of 1-[(2-chloro-5-thiazolyl)methyl]-3-(2',3'-dichloro[1,1'-biphenyl]-3-yl)-2-hydroxy-9-methyl-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt

To a solution of 2-(2',3'-dichloro[1,1'-biphenyl]-3-yl)propanedioic acid (i.e. the product of Step C, 200 mg, 0.62 mmol) in dichloromethane (3 mL) was added one drop of *N,N*-dimethylformamide and oxalyl chloride (0.3 mL, 3.5 mmol). The reaction mixture was stirred at room temperature for 30 min, and concentrated under reduced pressure. The residue was redissolved in dichloromethane (3 mL), and this solution was added to an ice-cooled solution of *N*-[(2-chloro-5-thiazolyl)methyl]-3-methyl-2-pyridinamine (i.e. the product of Synthesis Example 2 Step A, 100 mg, 0.44 mmol) and triethylamine (0.3 mL, 2.2 mmol) in dichloromethane (2 mL). The reaction mixture was stirred with cooling for 15 min

and then concentrated in the presence of a small amount of Celite® diatomaceous filter aid. The crude residue was purified by MPLC to yield 88 mg of the title compound, a compound of the present invention.

^{1}H NMR ($CDCl_3$) δ 9.52 (d, 1H), 8.04 (dd, 1H), 7.76 (d, 1H), 7.2-7.45 (m, 6H), 5.95 (m, 1H), 5.34 (d, 1H), 5.30 (d, 1H), 5.01 (d, 2H).

SYNTHESIS EXAMPLE 4

Preparation of 1-[(2-chloro-5-thiazolyl)methyl]-2-hydroxy-4-oxo-3-phenyl-4*H*-pyrimido[2,1-*a*]isoquinolinium inner salt (compound number 99)

Step A: Preparation of *N*-[(2-chloro-5-thiazolyl)methyl]-1-isoquinolinamine

A mixture of 1-aminoisoquinoline (0.56 g, 3.9 mmol) and 2-chloro-5-(chloromethyl)thiazole (0.50 g, 3.0 mmol) in *N*-methylpyrrolidinone (3 mL) was heated to 220 °C in a microwave reactor for 10 min. The reaction mixture was cooled to room temperature, diluted with water (20 mL), and extracted with diethyl ether (5 x 20 mL). The combined organic extracts were concentrated under reduced pressure, and the resulting residue was purified by chromatography on silica gel eluted with 20–50% ethyl acetate/hexane to give the title compound as a pale yellow solid (0.09 g).

^{1}H NMR ($CDCl_3$) δ 8.07 (d, 1H), 7.70-7.75 (m, 2H), 7.62 (t, 1H), 7.50 (t, 1H), 7.05 (d, 1H), 5.60 (br s, 1H), 4.91 (d, 2H), 1.58 (br s, NH + H_2O).

Step B: Preparation of 1-[(2-chloro-5-thiazolyl)methyl]-2-hydroxy-4-oxo-3-phenyl-4*H*-pyrimido[2,1-*a*]isoquinolinium inner salt

To a solution of phenylmalonic acid (0.44 g, 2.4 mmol) in dichloromethane (10 mL) was added two drops of *N*,*N*-dimethylformamide and oxalyl chloride (1.1 mL, 12 mmol). The reaction mixture was stirred at room temperature for 30 min, concentrated under reduced pressure, and then redissolved in dichloromethane (2.4 mL). A portion of the resulting solution (0.4 mL, 0.4 mmol) was added to an ice-cooled solution of *N*-[(2-chloro-5-thiazolyl)methyl]-1-isoquinolinamine (i.e. the product of Step A, 50 mg, 0.18 mmol) and triethylamine (0.08 mL) in dichloromethane (2 mL). The reaction mixture was allowed to warm to room temperature and stirred overnight. The reaction mixture was then concentrated, and the crude residue was purified by MPLC (80–100% ethyl acetate/hexane as eluant) to yield 17.4 mg of the title compound, a compound of the present invention.

^{1}H NMR ($CDCl_3$) δ 9.26 (d, 1H), 8.65 (d, 1H), 7.97-8.02 (m, 2H), 7.84 (d, 2H), 7.78-7.82 (m, 1H), 7.68 (s, 1H), 7.60 (d, 1H), 7.42-7.48 (m, 3H), 5.64 (br s, 2H).

SYNTHESIS EXAMPLE 5

Preparation of 2-hydroxy-9-methyl-4-oxo-1-(5-pyrimidinylmethyl)-3-[3-(trifluoromethoxy)phenyl]-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt (compound number 43)

Step A: Preparation of *N*-(5-pyrimidinylmethyl)-3-methyl-2-pyridinamine

To a solution of 5-pyrimidinecarboxaldehyde (5.0 g, 46 mmol) in toluene (100 mL) was added 2-amino-3-picoline (5.0 g, 46 mmol). The reaction mixture was heated to 80 °C on a rotary evaporator while under reduced pressure. After 10 min an additional 200 mL of toluene was added. Continued heating under reduced pressure resulted in a white solid which was dissolved in methanol (200 mL). This solution was stirred vigorously under a nitrogen atmosphere, and granular sodium borohydride (10.0 g, 265 mmol) was added portionwise, resulting in vigorous bubbling. The reaction was allowed to stir for 1 h at room temperature after completion of the sodium borohydride addition. Acetic acid (1 mL) was then added, and the reaction mixture was stirred for 5 min, followed by the addition of water (100 mL). The volatiles were removed under reduced pressure, and the aqueous suspension was extracted with dichloromethane (2 x 100 mL). The organic layers were combined and dried over $MgSO_4$, filtered, and the solvent was removed under reduced pressure to yield an off-white solid. The crude solid was adsorbed onto Celite® and purified by column chromatography (gradient of 100% hexanes to 100% ethyl acetate over 30 minutes) to yield 8.848 g of a white solid.

^{1}H NMR ($CDCl_3$) δ 9.11 (s, 1H), 8.77 (s, 2H), 8.00 (d, 1H), 7.26 (s, 1H), 6.60 (dd, 1H), 4.73 (d, 2H), 4.59 (br s, NH), 2.12 (s, 3H).

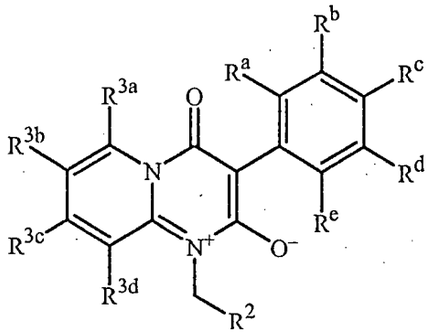
Step B: Preparation of 2-hydroxy-9-methyl-4-oxo-1-(5-pyrimidinylmethyl)-3-[3-(trifluoromethoxy)phenyl]-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt

To a solution of 1,3-bis(2,4,6-trichlorophenyl)-2-[3-(trifluoromethoxy)phenyl]-propanedioic acid ester (100 mg, 0.16 mmoles) in toluene (10 mL) was added *N*-(5-pyrimidinylmethyl)-3-methyl-2-pyridinamine (i.e. the product of Step A, 32 mg, 0.16 mmoles). The reaction mixture was heated to 80 °C for 18 h. Cooling of the reaction vessel to 0 °C resulted in the precipitation of a yellow solid which was isolated by filtration to provide 13.2 mg of the title compound, a compound of the present invention, as a yellow solid.

^{1}H NMR ($CD_3C(O)CD_3$) δ 9.46 (d, 1H), 9.05 (s, 1H), 8.83 (s, 2H) 8.27 (d, 1H), 8.04 (d, 1H), 8.02 (s, 1H), 7.57 (t, 1H), 7.38 (t, 1H), 7.07 (d, 1H), 5.66 (s, 2H), 2.69 (s, 3H).

By the procedures described herein together with methods known in the art, the following compounds of Tables 1 to 32 can be prepared. The following abbreviations are used in Tables 1 to 32 which follow: Me means methyl, Et means ethyl, Pr means propyl and Ph means phenyl.

Tables 1–15 pertain to the structure of Formula T-1 shown below.



T-1

TABLE 1

R^b, R^c, R^d, R^e, R^{3a}, R^{3b} and R^{3c} are H; R^{3d} is Me; R^2 is 2-chloro-5-thiazolyl

R^a	R^a	R^a	R^a
H	O-*i*-Pr	3-(CF_3)phenyl	2-fluoro-4-cyanophenyl
F	$OCH_2CH=CH_2$	3-fluorophenyl	2-fluoro-4-chlorophenyl
Cl	$OCH_2C\equiv CH$	3-cyanophenyl	2-methyl-4-chlorophenyl
Br	O-*c*-Pr	3-(OCF_3)phenyl	2-fluoro-4-(CF_3)phenyl
I	OCF_3	4-fluorophenyl	2,4-bis(CF_3)phenyl
Me	$OCHF_2$	4-chlorophenyl	2-fluoro-4-bromophenyl
Et	OCH_2CF_3	4-(CF_3)phenyl	2-chloro-4-fluorophenyl
Pr	SCF_3	4-cyanophenyl	2-(CF_3)-4-fluorophenyl
i-Pr	SCF_3	4-bromophenyl	2-methyl-4-(CF_3)phenyl
c-Pr	$SCHF_2$	6-chloro-3-pyridinyl	2-chloro-4-(CF_3)phenyl
t-Bu	$S(O)CF_3$	6-fluoro-3-pyridinyl	2-(CF_3)-4-chlorophenyl
CF_3	SO_2CF_3	6-(CF_3)-3-pyridinyl	2,5-difluorophenyl
CH_2F	CO_2Me	4,6-dichloro-3-pyridinyl	2-fluoro-5-(CF_3)phenyl
CHF_2	CO_2Et	2-fluoro-6-chloro-3-pyridinyl	2-fluoro-5-chlorophenyl
OMe	cyano	2,6-dichloro-3-pyridinyl	2,5-dichlorophenyl
OEt	C(O)NHMe	2-bromo-5-chloro-4-pyridinyl	2-fluoro-5-(OCF_3)phenyl
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorophenyl	2-chloro-5-(CF_3)phenyl
OPh	C(=NOMe)Me	3-chloro-5-fluorophenyl	*N*-Me-4-pyrazolyl
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-chlorophenyl	3-Me-5-isoxazolyl
$C\equiv CH$	2-fluorophenyl	2,4-dichlorophenyl	6-(6-Cl-3-pyridinyl)-3-pyridinyl
phenyl	3-chlorophenyl	2,4-difluorophenyl	

R^a, R^c, R^d, R^e, R^{3a}, R^{3b} and R^{3c} are H; R^{3d} is Me; R^2 is 2-chloro-5-thiazolyl

R^b	R^b	R^b	R^b
H	O-*i*-Pr	3-(CF_3)phenyl	2-fluoro-4-cyanophenyl
F	$OCH_2CH=CH_2$	3-fluorophenyl	2-fluoro-4-chlorophenyl
Cl	$OCH_2C\equiv CH$	3-cyanophenyl	2-methyl-4-chlorophenyl
Br	O-*c*-Pr	3-(OCF_3)phenyl	2-fluoro-4-(CF_3)phenyl
I	OCF_3	4-fluorophenyl	2,4-bis(CF_3)phenyl
Me	$OCHF_2$	4-chlorophenyl	2-fluoro-4-bromophenyl
Et	OCH_2CF_3	4-(CF_3)phenyl	2-chloro-4-fluorophenyl
Pr	SCF_3	4-cyanophenyl	2-(CF_3)-4-fluorophenyl
i-Pr	SCF_3	4-bromophenyl	2-methyl-4-(CF_3)phenyl
c-Pr	$SCHF_2$	6-chloro-3-pyridinyl	2-chloro-4-(CF_3)phenyl
t-Bu	$S(O)CF_3$	6-fluoro-3-pyridinyl	2-(CF_3)-4-chlorophenyl
CF_3	SO_2CF_3	6-(CF_3)-3-pyridinyl	2,5-difluorophenyl
CH_2F	CO_2Me	4,6-dichloro-3-pyridinyl	2-fluoro-5-(CF_3)phenyl
CHF_2	CO_2Et	2-fluoro-6-chloro-3-pyridinyl	2-fluoro-5-chlorophenyl
OMe	cyano	2,6-dichloro-3-pyridinyl	2,5-dichlorophenyl
OEt	C(O)NHMe	2-bromo-5-chloro-4-pyridinyl	2-fluoro-5-(OCF_3)phenyl
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorophenyl	2-chloro-5-(CF_3)phenyl
OPh	C(=NOMe)Me	3-chloro-5-fluorophenyl	*N*-Me-4-pyrazolyl
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-chlorophenyl	3-Me-5-isoxazolyl
$C\equiv CH$	2-fluorophenyl	2,4-dichlorophenyl	6-(6-Cl-3-pyridinyl)-3-pyridinyl
phenyl	3-chlorophenyl	2,4-difluorophenyl	

R^a, R^b, R^d, R^e, R^{3a}, R^{3b} and R^{3c} are H; R^{3d} is Me; R^2 is 2-chloro-5-thiazolyl

R^c	R^c	R^c	R^c
H	O-*i*-Pr	3-(CF_3)phenyl	2-fluoro-4-cyanophenyl
F	$OCH_2CH=CH_2$	3-fluorophenyl	2-fluoro-4-chlorophenyl
Cl	$OCH_2C\equiv CH$	3-cyanophenyl	2-methyl-4-chlorophenyl
Br	O-*c*-Pr	3-(OCF_3)phenyl	2-fluoro-4-(CF_3)phenyl
I	OCF_3	4-fluorophenyl	2,4-bis(CF_3)phenyl
Me	$OCHF_2$	4-chlorophenyl	2-fluoro-4-bromophenyl
Et	OCH_2CF_3	4-(CF_3)phenyl	2-chloro-4-fluorophenyl
Pr	SCF_3	4-cyanophenyl	2-(CF_3)-4-fluorophenyl
i-Pr	SCF_3	4-bromophenyl	2-methyl-4-(CF_3)phenyl
c-Pr	$SCHF_2$	6-chloro-3-pyridinyl	2-chloro-4-(CF_3)phenyl
t-Bu	$S(O)CF_3$	6-fluoro-3-pyridinyl	2-(CF_3)-4-chlorophenyl
CF_3	SO_2CF_3	6-(CF_3)-3-pyridinyl	2,5-difluorophenyl

CH_2F	CO_2Me	4,6-dichloro-3-pyridinyl	2-fluoro-5-(CF_3)phenyl
CHF_2	CO_2Et	2-fluoro-6-chloro-3-pyridinyl	2-fluoro-5-chlorophenyl
OMe	cyano	2,6-dichloro-3-pyridinyl	2,5-dichlorophenyl
OEt	C(O)NHMe	2-bromo-5-chloro-4-pyridinyl	2-fluoro-5-(OCF_3)phenyl
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorophenyl	2-chloro-5-(CF_3)phenyl
OPh	C(=NOMe)Me	3-chloro-5-fluorophenyl	*N*-Me-4-pyrazolyl
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-chlorophenyl	3-Me-5-isoxazolyl
C≡CH	2-fluorophenyl	2,4-dichlorophenyl	6-(6-Cl-3-pyridinyl)-3-pyridinyl
phenyl	3-chlorophenyl	2,4-difluorophenyl	

R^a is F; R^c, R^d, R^e, R^{3a}, R^{3b} and R^{3c} are H; R^{3d} is Me; R^2 is 2-chloro-5-thiazolyl

R^b	R^b	R^b	R^b
H	O-*i*-Pr	3-(CF_3)phenyl	2-fluoro-4-cyanophenyl
F	$OCH_2CH=CH_2$	3-fluorophenyl	2-fluoro-4-chlorophenyl
Cl	$OCH_2C≡CH$	3-cyanophenyl	2-methyl-4-chlorophenyl
Br	O-*c*-Pr	3-(OCF_3)phenyl	2-fluoro-4-(CF_3)phenyl
I	OCF_3	4-fluorophenyl	2,4-bis(CF_3)phenyl
Me	$OCHF_2$	4-chlorophenyl	2-fluoro-4-bromophenyl
Et	OCH_2CF_3	4-(CF_3)phenyl	2-chloro-4-fluorophenyl
Pr	SCF_3	4-cyanophenyl	2-(CF_3)-4-fluorophenyl
i-Pr	SCF_3	4-bromophenyl	2-methyl-4-(CF_3)phenyl
c-Pr	$SCHF_2$	6-chloro-3-pyridinyl	2-chloro-4-(CF_3)phenyl
t-Bu	$S(O)CF_3$	6-fluoro-3-pyridinyl	2-(CF_3)-4-chlorophenyl
CF_3	SO_2CF_3	6-(CF_3)-3-pyridinyl	2,5-difluorophenyl
CH_2F	CO_2Me	4,6-dichloro-3-pyridinyl	2-fluoro-5-(CF_3)phenyl
CHF_2	CO_2Et	2-fluoro-6-chloro-3-pyridinyl	2-fluoro-5-chlorophenyl
OMe	cyano	2,6-dichloro-3-pyridinyl	2,5-dichlorophenyl
OEt	C(O)NHMe	2-bromo-5-chloro-4-pyridinyl	2-fluoro-5-(OCF_3)phenyl
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorophenyl	2-chloro-5-(CF_3)phenyl
OPh	C(=NOMe)Me	3-chloro-5-fluorophenyl	*N*-Me-4-pyrazolyl
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-chlorophenyl	3-Me-5-isoxazolyl
C≡CH	2-fluorophenyl	2,4-dichlorophenyl	6-(6-Cl-3-pyridinyl)-3-pyridinyl
phenyl	3-chlorophenyl	2,4-difluorophenyl	

R^a is F; R^b, R^d, R^e, R^{3a}, R^{3b} and R^{3c} are H; R^{3d} is Me; R^2 is 2-chloro-5-thiazolyl

R^c	R^c	R^c	R^c
H	O-*i*-Pr	3-(CF_3)phenyl	2-fluoro-4-cyanophenyl
F	$OCH_2CH=CH_2$	3-fluorophenyl	2-fluoro-4-chlorophenyl

Cl	$OCH_2C{\equiv}CH$	3-cyanophenyl	2-methyl-4-chlorophenyl
Br	O-*c*-Pr	3-(OCF_3)phenyl	2-fluoro-4-(CF_3)phenyl
I	OCF_3	4-fluorophenyl	2,4-bis(CF_3)phenyl
Me	$OCHF_2$	4-chlorophenyl	2-fluoro-4-bromophenyl
Et	OCH_2CF_3	4-(CF_3)phenyl	2-chloro-4-fluorophenyl
Pr	SCF_3	4-cyanophenyl	2-(CF_3)-4-fluorophenyl
i-Pr	SCF_3	4-bromophenyl	2-methyl-4-(CF_3)phenyl
c-Pr	$SCHF_2$	6-chloro-3-pyridinyl	2-chloro-4-(CF_3)phenyl
t-Bu	$S(O)CF_3$	6-fluoro-3-pyridinyl	2-(CF_3)-4-chlorophenyl
CF_3	SO_2CF_3	6-(CF_3)-3-pyridinyl	2,5-difluorophenyl
CH_2F	CO_2Me	4,6-dichloro-3-pyridinyl	2-fluoro-5-(CF_3)phenyl
CHF_2	CO_2Et	2-fluoro-6-chloro-3-pyridinyl	2-fluoro-5-chlorophenyl
OMe	cyano	2,6-dichloro-3-pyridinyl	2,5-dichlorophenyl
OEt	C(O)NHMe	2-bromo-5-chloro-4-pyridinyl	2-fluoro-5-(OCF_3)phenyl
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorophenyl	2-chloro-5-(CF_3)phenyl
OPh	C(=NOMe)Me	3-chloro-5-fluorophenyl	*N*-Me-4-pyrazolyl
$CH{=}CH_2$	C(=NOEt)Me	3-fluoro-4-chlorophenyl	3-Me-5-isoxazolyl
$C{\equiv}CH$	2-fluorophenyl	2,4-dichlorophenyl	6-(6-Cl-3-pyridinyl)-3-pyridinyl
phenyl	3-chlorophenyl	2,4-difluorophenyl	

R^a is F; R^b, R^c, R^e, R^{3a}, R^{3b} and R^{3c} are H; R^{3d} is Me; R^2 is 2-chloro-5-thiazolyl

R^d	R^d	R^d	R^d
H	O-*i*-Pr	3-(CF_3)phenyl	2-fluoro-4-cyanophenyl
F	$OCH_2CH{=}CH_2$	3-fluorophenyl	2-fluoro-4-chlorophenyl
Cl	$OCH_2C{\equiv}CH$	3-cyanophenyl	2-methyl-4-chlorophenyl
Br	O-*c*-Pr	3-(OCF_3)phenyl	2-fluoro-4-(CF_3)phenyl
I	OCF_3	4-fluorophenyl	2,4-bis(CF_3)phenyl
Me	$OCHF_2$	4-chlorophenyl	2-fluoro-4-bromophenyl
Et	OCH_2CF_3	4-(CF_3)phenyl	2-chloro-4-fluorophenyl
Pr	SCF_3	4-cyanophenyl	2-(CF_3)-4-fluorophenyl
i-Pr	SCF_3	4-bromophenyl	2-methyl-4-(CF_3)phenyl
c-Pr	$SCHF_2$	6-chloro-3-pyridinyl	2-chloro-4-(CF_3)phenyl
t-Bu	$S(O)CF_3$	6-fluoro-3-pyridinyl	2-(CF_3)-4-chlorophenyl
CF_3	SO_2CF_3	6-(CF_3)-3-pyridinyl	2,5-difluorophenyl
CH_2F	CO_2Me	4,6-dichloro-3-pyridinyl	2-fluoro-5-(CF_3)phenyl
CHF_2	CO_2Et	2-fluoro-6-chloro-3-pyridinyl	2-fluoro-5-chlorophenyl
OMe	cyano	2,6-dichloro-3-pyridinyl	2,5-dichlorophenyl
OEt	C(O)NHMe	2-bromo-5-chloro-4-pyridinyl	2-fluoro-5-(OCF_3)phenyl

O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorophenyl	2-chloro-5-(CF_3)phenyl
OPh	C(=NOMe)Me	3-chloro-5-fluorophenyl	*N*-Me-4-pyrazolyl
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-chlorophenyl	3-Me-5-isoxazolyl
C≡CH	2-fluorophenyl	2,4-dichlorophenyl	6-(6-Cl-3-pyridinyl)-3-pyridinyl
phenyl	3-chlorophenyl	2,4-difluorophenyl	

R^a is F; R^b, R^c, R^d, R^{3a}, R^{3b} and R^{3c} are H; R^{3d} is Me; R^2 is 2-chloro-5-thiazolyl

R^e	R^e	R^e	R^e
H	O-*i*-Pr	3-(CF_3)phenyl	2-fluoro-4-cyanophenyl
F	$OCH_2CH=CH_2$	3-fluorophenyl	2-fluoro-4-chlorophenyl
Cl	$OCH_2C\equiv CH$	3-cyanophenyl	2-methyl-4-chlorophenyl
Br	O-*c*-Pr	3-(OCF_3)phenyl	2-fluoro-4-(CF_3)phenyl
I	OCF_3	4-fluorophenyl	2,4-bis(CF_3)phenyl
Me	$OCHF_2$	4-chlorophenyl	2-fluoro-4-bromophenyl
Et	OCH_2CF_3	4-(CF_3)phenyl	2-chloro-4-fluorophenyl
Pr	SCF_3	4-cyanophenyl	2-(CF_3)-4-fluorophenyl
i-Pr	SCF_3	4-bromophenyl	2-methyl-4-(CF_3)phenyl
c-Pr	$SCHF_2$	6-chloro-3-pyridinyl	2-chloro-4-(CF_3)phenyl
t-Bu	$S(O)CF_3$	6-fluoro-3-pyridinyl	2-(CF_3)-4-chlorophenyl
CF_3	SO_2CF_3	6-(CF_3)-3-pyridinyl	2,5-difluorophenyl
CH_2F	CO_2Me	4,6-dichloro-3-pyridinyl	2-fluoro-5-(CF_3)phenyl
CHF_2	CO_2Et	2-fluoro-6-chloro-3-pyridinyl	2-fluoro-5-chlorophenyl
OMe	cyano	2,6-dichloro-3-pyridinyl	2,5-dichlorophenyl
OEt	C(O)NHMe	2-bromo-5-chloro-4-pyridinyl	2-fluoro-5-(OCF_3)phenyl
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorophenyl	2-chloro-5-(CF_3)phenyl
OPh	C(=NOMe)Me	3-chloro-5-fluorophenyl	*N*-Me-4-pyrazolyl
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-chlorophenyl	3-Me-5-isoxazolyl
C≡CH	2-fluorophenyl	2,4-dichlorophenyl	6-(6-Cl-3-pyridinyl)-3-pyridinyl
phenyl	3-chlorophenyl	2,4-difluorophenyl	

R^a is Cl; R^c, R^d, R^e, R^{3a}, R^{3b} and R^{3c} are H; R^{3d} is Me; R^2 is 2-chloro-5-thiazolyl

R^b	R^b	R^b	R^b
H	O-*i*-Pr	3-(CF_3)phenyl	2-fluoro-4-cyanophenyl
F	$OCH_2CH=CH_2$	3-fluorophenyl	2-fluoro-4-chlorophenyl
Cl	$OCH_2C\equiv CH$	3-cyanophenyl	2-methyl-4-chlorophenyl
Br	O-*c*-Pr	3-(OCF_3)phenyl	2-fluoro-4-(CF_3)phenyl
I	OCF_3	4-fluorophenyl	2,4-bis(CF_3)phenyl
Me	$OCHF_2$	4-chlorophenyl	2-fluoro-4-bromophenyl

Et	OCH_2CF_3	4-(CF_3)phenyl	2-chloro-4-fluorophenyl
Pr	SCF_3	4-cyanophenyl	2-(CF_3)-4-fluorophenyl
i-Pr	SCF_3	4-bromophenyl	2-methyl-4-(CF_3)phenyl
c-Pr	$SCHF_2$	6-chloro-3-pyridinyl	2-chloro-4-(CF_3)phenyl
t-Bu	$S(O)CF_3$	6-fluoro-3-pyridinyl	2-(CF_3)-4-chlorophenyl
CF_3	SO_2CF_3	6-(CF_3)-3-pyridinyl	2,5-difluorophenyl
CH_2F	CO_2Me	4,6-dichloro-3-pyridinyl	2-fluoro-5-(CF_3)phenyl
CHF_2	CO_2Et	2-fluoro-6-chloro-3-pyridinyl	2-fluoro-5-chlorophenyl
OMe	cyano	2,6-dichloro-3-pyridinyl	2,5-dichlorophenyl
OEt	C(O)NHMe	2-bromo-5-chloro-4-pyridinyl	2-fluoro-5-(OCF_3)phenyl
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorophenyl	2-chloro-5-(CF_3)phenyl
OPh	C(=NOMe)Me	3-chloro-5-fluorophenyl	*N*-Me-4-pyrazolyl
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-chlorophenyl	3-Me-5-isoxazolyl
C≡CH	2-fluorophenyl	2,4-dichlorophenyl	6-(6-Cl-3-pyridinyl)-3-pyridinyl
phenyl	3-chlorophenyl	2,4-difluorophenyl	

R^a is Cl; R^b, R^d, R^e, R^{3a}, R^{3b} and R^{3c} are H; R^{3d} is Me; R^2 is 2-chloro-5-thiazolyl

R^c	R^c	R^c	R^c
H	O-*i*-Pr	3-(CF_3)phenyl	2-fluoro-4-cyanophenyl
F	$OCH_2CH=CH_2$	3-fluorophenyl	2-fluoro-4-chlorophenyl
Cl	$OCH_2C≡CH$	3-cyanophenyl	2-methyl-4-chlorophenyl
Br	O-*c*-Pr	3-(OCF_3)phenyl	2-fluoro-4-(CF_3)phenyl
I	OCF_3	4-fluorophenyl	2,4-bis(CF_3)phenyl
Me	$OCHF_2$	4-chlorophenyl	2-fluoro-4-bromophenyl
Et	OCH_2CF_3	4-(CF_3)phenyl	2-chloro-4-fluorophenyl
Pr	SCF_3	4-cyanophenyl	2-(CF_3)-4-fluorophenyl
i-Pr	SCF_3	4-bromophenyl	2-methyl-4-(CF_3)phenyl
c-Pr	$SCHF_2$	6-chloro-3-pyridinyl	2-chloro-4-(CF_3)phenyl
t-Bu	$S(O)CF_3$	6-fluoro-3-pyridinyl	2-(CF_3)-4-chlorophenyl
CF_3	SO_2CF_3	6-(CF_3)-3-pyridinyl	2,5-difluorophenyl
CH_2F	CO_2Me	4,6-dichloro-3-pyridinyl	2-fluoro-5-(CF_3)phenyl
CHF_2	CO_2Et	2-fluoro-6-chloro-3-pyridinyl	2-fluoro-5-chlorophenyl
OMe	cyano	2,6-dichloro-3-pyridinyl	2,5-dichlorophenyl
OEt	C(O)NHMe	2-bromo-5-chloro-4-pyridinyl	2-fluoro-5-(OCF_3)phenyl
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorophenyl	2-chloro-5-(CF_3)phenyl
OPh	C(=NOMe)Me	3-chloro-5-fluorophenyl	*N*-Me-4-pyrazolyl
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-chlorophenyl	3-Me-5-isoxazolyl

C≡CH	2-fluorophenyl	2,4-dichlorophenyl	6-(6-Cl-3-pyridinyl)-3-pyridinyl
phenyl	3-chlorophenyl	2,4-difluorophenyl	

R^a is Cl; R^b, R^c, R^e, R^{3a}, R^{3b} and R^{3c} are H; R^{3d} is Me; R^2 is 2-chloro-5-thiazolyl

R^d	R^d	R^d	R^d
H	O-*i*-Pr	3-(CF_3)phenyl	2-fluoro-4-cyanophenyl
F	$OCH_2CH=CH_2$	3-fluorophenyl	2-fluoro-4-chlorophenyl
Cl	$OCH_2C\equiv CH$	3-cyanophenyl	2-methyl-4-chlorophenyl
Br	O-*c*-Pr	3-(OCF_3)phenyl	2-fluoro-4-(CF_3)phenyl
I	OCF_3	4-fluorophenyl	2,4-bis(CF_3)phenyl
Me	$OCHF_2$	4-chlorophenyl	2-fluoro-4-bromophenyl
Et	OCH_2CF_3	4-(CF_3)phenyl	2-chloro-4-fluorophenyl
Pr	SCF_3	4-cyanophenyl	2-(CF_3)-4-fluorophenyl
i-Pr	SCF_3	4-bromophenyl	2-methyl-4-(CF_3)phenyl
c-Pr	$SCHF_2$	6-chloro-3-pyridinyl	2-chloro-4-(CF_3)phenyl
t-Bu	$S(O)CF_3$	6-fluoro-3-pyridinyl	2-(CF_3)-4-chlorophenyl
CF_3	SO_2CF_3	6-(CF_3)-3-pyridinyl	2,5-difluorophenyl
CH_2F	CO_2Me	4,6-dichloro-3-pyridinyl	2-fluoro-5-(CF_3)phenyl
CHF_2	CO_2Et	2-fluoro-6-chloro-3-pyridinyl	2-fluoro-5-chlorophenyl
OMe	cyano	2,6-dichloro-3-pyridinyl	2,5-dichlorophenyl
OEt	C(O)NHMe	2-bromo-5-chloro-4-pyridinyl	2-fluoro-5-(OCF_3)phenyl
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorophenyl	2-chloro-5-(CF_3)phenyl
OPh	C(=NOMe)Me	3-chloro-5-fluorophenyl	*N*-Me-4-pyrazolyl
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-chlorophenyl	3-Me-5-isoxazolyl
C≡CH	2-fluorophenyl	2,4-dichlorophenyl	6-(6-Cl-3-pyridinyl)-3-pyridinyl
phenyl	3-chlorophenyl	2,4-difluorophenyl	

R^a is Cl; R^b, R^c, R^d, R^{3a}, R^{3b} and R^{3c} are H; R^{3d} is Me; R^2 is 2-chloro-5-thiazolyl

R^e	R^e	R^e	R^e
H	O-*i*-Pr	3-(CF_3)phenyl	2-fluoro-4-cyanophenyl
F	$OCH_2CH=CH_2$	3-fluorophenyl	2-fluoro-4-chlorophenyl
Cl	$OCH_2C\equiv CH$	3-cyanophenyl	2-methyl-4-chlorophenyl
Br	O-*c*-Pr	3-(OCF_3)phenyl	2-fluoro-4-(CF_3)phenyl
I	OCF_3	4-fluorophenyl	2,4-bis(CF_3)phenyl
Me	$OCHF_2$	4-chlorophenyl	2-fluoro-4-bromophenyl
Et	OCH_2CF_3	4-(CF_3)phenyl	2-chloro-4-fluorophenyl
Pr	SCF_3	4-cyanophenyl	2-(CF_3)-4-fluorophenyl
i-Pr	SCF_3	4-bromophenyl	2-methyl-4-(CF_3)phenyl

c-Pr	$SCHF_2$	6-chloro-3-pyridinyl	2-chloro-4-(CF_3)phenyl
t-Bu	$S(O)CF_3$	6-fluoro-3-pyridinyl	2-(CF_3)-4-chlorophenyl
CF_3	SO_2CF_3	6-(CF_3)-3-pyridinyl	2,5-difluorophenyl
CH_2F	CO_2Me	4,6-dichloro-3-pyridinyl	2-fluoro-5-(CF_3)phenyl
CHF_2	CO_2Et	2-fluoro-6-chloro-3-pyridinyl	2-fluoro-5-chlorophenyl
OMe	cyano	2,6-dichloro-3-pyridinyl	2,5-dichlorophenyl
OEt	C(O)NHMe	2-bromo-5-chloro-4-pyridinyl	2-fluoro-5-(OCF_3)phenyl
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorophenyl	2-chloro-5-(CF_3)phenyl
OPh	C(=NOMe)Me	3-chloro-5-fluorophenyl	*N*-Me-4-pyrazolyl
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-chlorophenyl	3-Me-5-isoxazolyl
C≡CH	2-fluorophenyl	2,4-dichlorophenyl	6-(6-Cl-3-pyridinyl)-3-pyridinyl
phenyl	3-chlorophenyl	2,4-difluorophenyl	

R^a is OMe; R^c, R^d, R^e, R^{3a}, R^{3b} and R^{3c} are H; R^{3d} is Me; R^2 is 2-chloro-5-thiazolyl

R^b	R^b	R^b	R^b
H	O-*i*-Pr	3-(CF_3)phenyl	2-fluoro-4-cyanophenyl
F	$OCH_2CH=CH_2$	3-fluorophenyl	2-fluoro-4-chlorophenyl
Cl	$OCH_2C≡CH$	3-cyanophenyl	2-methyl-4-chlorophenyl
Br	O-*c*-Pr	3-(OCF_3)phenyl	2-fluoro-4-(CF_3)phenyl
I	OCF_3	4-fluorophenyl	2,4-bis(CF_3)phenyl
Me	$OCHF_2$	4-chlorophenyl	2-fluoro-4-bromophenyl
Et	OCH_2CF_3	4-(CF_3)phenyl	2-chloro-4-fluorophenyl
Pr	SCF_3	4-cyanophenyl	2-(CF_3)-4-fluorophenyl
i-Pr	SCF_3	4-bromophenyl	2-methyl-4-(CF_3)phenyl
c-Pr	$SCHF_2$	6-chloro-3-pyridinyl	2-chloro-4-(CF_3)phenyl
t-Bu	$S(O)CF_3$	6-fluoro-3-pyridinyl	2-(CF_3)-4-chlorophenyl
CF_3	SO_2CF_3	6-(CF_3)-3-pyridinyl	2,5-difluorophenyl
CH_2F	CO_2Me	4,6-dichloro-3-pyridinyl	2-fluoro-5-(CF_3)phenyl
CHF_2	CO_2Et	2-fluoro-6-chloro-3-pyridinyl	2-fluoro-5-chlorophenyl
OMe	cyano	2,6-dichloro-3-pyridinyl	2,5-dichlorophenyl
OEt	C(O)NHMe	2-bromo-5-chloro-4-pyridinyl	2-fluoro-5-(OCF_3)phenyl
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorophenyl	2-chloro-5-(CF_3)phenyl
OPh	C(=NOMe)Me	3-chloro-5-fluorophenyl	*N*-Me-4-pyrazolyl
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-chlorophenyl	3-Me-5-isoxazolyl
C≡CH	2-fluorophenyl	2,4-dichlorophenyl	6-(6-Cl-3-pyridinyl)-3-pyridinyl
phenyl	3-chlorophenyl	2,4-difluorophenyl	

R^a is OMe; R^b, R^d, R^e, R^{3a}, R^{3b} and R^{3c} are H; R^{3d} is Me; R^2 is 2-chloro-5-thiazolyl

R^c	R^c	R^c	R^c
H	O-*i*-Pr	3-(CF_3)phenyl	2-fluoro-4-cyanophenyl
F	$OCH_2CH{=}CH_2$	3-fluorophenyl	2-fluoro-4-chlorophenyl
Cl	$OCH_2C{\equiv}CH$	3-cyanophenyl	2-methyl-4-chlorophenyl
Br	O-*c*-Pr	3-(OCF_3)phenyl	2-fluoro-4-(CF_3)phenyl
I	OCF_3	4-fluorophenyl	2,4-bis(CF_3)phenyl
Me	$OCHF_2$	4-chlorophenyl	2-fluoro-4-bromophenyl
Et	OCH_2CF_3	4-(CF_3)phenyl	2-chloro-4-fluorophenyl
Pr	SCF_3	4-cyanophenyl	2-(CF_3)-4-fluorophenyl
i-Pr	SCF_3	4-bromophenyl	2-methyl-4-(CF_3)phenyl
c-Pr	$SCHF_2$	6-chloro-3-pyridinyl	2-chloro-4-(CF_3)phenyl
t-Bu	$S(O)CF_3$	6-fluoro-3-pyridinyl	2-(CF_3)-4-chlorophenyl
CF_3	SO_2CF_3	6-(CF_3)-3-pyridinyl	2,5-difluorophenyl
CH_2F	CO_2Me	4,6-dichloro-3-pyridinyl	2-fluoro-5-(CF_3)phenyl
CHF_2	CO_2Et	2-fluoro-6-chloro-3-pyridinyl	2-fluoro-5-chlorophenyl
OMe	cyano	2,6-dichloro-3-pyridinyl	2,5-dichlorophenyl
OEt	C(O)NHMe	2-bromo-5-chloro-4-pyridinyl	2-fluoro-5-(OCF_3)phenyl
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorophenyl	2-chloro-5-(CF_3)phenyl
OPh	C(=NOMe)Me	3-chloro-5-fluorophenyl	*N*-Me-4-pyrazolyl
$CH{=}CH_2$	C(=NOEt)Me	3-fluoro-4-chlorophenyl	3-Me-5-isoxazolyl
$C{\equiv}CH$	2-fluorophenyl	2,4-dichlorophenyl	6-(6-Cl-3-pyridinyl)-3-pyridinyl
phenyl	3-chlorophenyl	2,4-difluorophenyl	

R^a is OMe; R^b, R^c, R^e, R^{3a}, R^{3b} and R^{3c} are H; R^{3d} is Me; R^2 is 2-chloro-5-thiazolyl

R^d	R^d	R^d	R^d
H	O-*i*-Pr	3-(CF_3)phenyl	2-fluoro-4-cyanophenyl
F	$OCH_2CH{=}CH_2$	3-fluorophenyl	2-fluoro-4-chlorophenyl
Cl	$OCH_2C{\equiv}CH$	3-cyanophenyl	2-methyl-4-chlorophenyl
Br	O-*c*-Pr	3-(OCF_3)phenyl	2-fluoro-4-(CF_3)phenyl
I	OCF_3	4-fluorophenyl	2,4-bis(CF_3)phenyl
Me	$OCHF_2$	4-chlorophenyl	2-fluoro-4-bromophenyl
Et	OCH_2CF_3	4-(CF_3)phenyl	2-chloro-4-fluorophenyl
Pr	SCF_3	4-cyanophenyl	2-(CF_3)-4-fluorophenyl
i-Pr	SCF_3	4-bromophenyl	2-methyl-4-(CF_3)phenyl
c-Pr	$SCHF_2$	6-chloro-3-pyridinyl	2-chloro-4-(CF_3)phenyl
t-Bu	$S(O)CF_3$	6-fluoro-3-pyridinyl	2-(CF_3)-4-chlorophenyl
CF_3	SO_2CF_3	6-(CF_3)-3-pyridinyl	2,5-difluorophenyl

CH_2F	CO_2Me	4,6-dichloro-3-pyridinyl	2-fluoro-5-(CF_3)phenyl
CHF_2	CO_2Et	2-fluoro-6-chloro-3-pyridinyl	2-fluoro-5-chlorophenyl
OMe	cyano	2,6-dichloro-3-pyridinyl	2,5-dichlorophenyl
OEt	C(O)NHMe	2-bromo-5-chloro-4-pyridinyl	2-fluoro-5-(OCF_3)phenyl
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorophenyl	2-chloro-5-(CF_3)phenyl
OPh	C(=NOMe)Me	3-chloro-5-fluorophenyl	*N*-Me-4-pyrazolyl
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-chlorophenyl	3-Me-5-isoxazolyl
C≡CH	2-fluorophenyl	2,4-dichlorophenyl	6-(6-Cl-3-pyridinyl)-3-pyridinyl
phenyl	3-chlorophenyl	2,4-difluorophenyl	

R^a is OMe; R^b, R^c, R^d, R^{3a}, R^{3b} and R^{3c} are H; R^{3d} is Me; R^2 is 2-chloro-5-thiazolyl

R^e	R^e	R^e	R^e
H	O-*i*-Pr	3-(CF_3)phenyl	2-fluoro-4-cyanophenyl
F	$OCH_2CH=CH_2$	3-fluorophenyl	2-fluoro-4-chlorophenyl
Cl	$OCH_2C≡CH$	3-cyanophenyl	2-methyl-4-chlorophenyl
Br	O-*c*-Pr	3-(OCF_3)phenyl	2-fluoro-4-(CF_3)phenyl
I	OCF_3	4-fluorophenyl	2,4-bis(CF_3)phenyl
Me	$OCHF_2$	4-chlorophenyl	2-fluoro-4-bromophenyl
Et	OCH_2CF_3	4-(CF_3)phenyl	2-chloro-4-fluorophenyl
Pr	SCF_3	4-cyanophenyl	2-(CF_3)-4-fluorophenyl
i-Pr	SCF_3	4-bromophenyl	2-methyl-4-(CF_3)phenyl
c-Pr	$SCHF_2$	6-chloro-3-pyridinyl	2-chloro-4-(CF_3)phenyl
t-Bu	$S(O)CF_3$	6-fluoro-3-pyridinyl	2-(CF_3)-4-chlorophenyl
CF_3	SO_2CF_3	6-(CF_3)-3-pyridinyl	2,5-difluorophenyl
CH_2F	CO_2Me	4,6-dichloro-3-pyridinyl	2-fluoro-5-(CF_3)phenyl
CHF_2	CO_2Et	2-fluoro-6-chloro-3-pyridinyl	2-fluoro-5-chlorophenyl
OMe	cyano	2,6-dichloro-3-pyridinyl	2,5-dichlorophenyl
OEt	C(O)NHMe	2-bromo-5-chloro-4-pyridinyl	2-fluoro-5-(OCF_3)phenyl
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorophenyl	2-chloro-5-(CF_3)phenyl
OPh	C(=NOMe)Me	3-chloro-5-fluorophenyl	*N*-Me-4-pyrazolyl
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-chlorophenyl	3-Me-5-isoxazolyl
C≡CH	2-fluorophenyl	2,4-dichlorophenyl	6-(6-Cl-3-pyridinyl)-3-pyridinyl
phenyl	3-chlorophenyl	2,4-difluorophenyl	

R^a is Me; R^c, R^d, R^e, R^{3a}, R^{3b} and R^{3c} are H; R^{3d} is Me; R^2 is 2-chloro-5-thiazolyl

R^b	R^b	R^b	R^b
H	O-*i*-Pr	3-(CF_3)phenyl	2-fluoro-4-cyanophenyl
F	$OCH_2CH=CH_2$	3-fluorophenyl	2-fluoro-4-chlorophenyl

Cl	$OCH_2C{\equiv}CH$	3-cyanophenyl	2-methyl-4-chlorophenyl
Br	O-*c*-Pr	3-(OCF_3)phenyl	2-fluoro-4-(CF_3)phenyl
I	OCF_3	4-fluorophenyl	2,4-bis(CF_3)phenyl
Me	$OCHF_2$	4-chlorophenyl	2-fluoro-4-bromophenyl
Et	OCH_2CF_3	4-(CF_3)phenyl	2-chloro-4-fluorophenyl
Pr	SCF_3	4-cyanophenyl	2-(CF_3)-4-fluorophenyl
i-Pr	SCF_3	4-bromophenyl	2-methyl-4-(CF_3)phenyl
c-Pr	$SCHF_2$	6-chloro-3-pyridinyl	2-chloro-4-(CF_3)phenyl
t-Bu	$S(O)CF_3$	6-fluoro-3-pyridinyl	2-(CF_3)-4-chlorophenyl
CF_3	SO_2CF_3	6-(CF_3)-3-pyridinyl	2,5-difluorophenyl
CH_2F	CO_2Me	4,6-dichloro-3-pyridinyl	2-fluoro-5-(CF_3)phenyl
CHF_2	CO_2Et	2-fluoro-6-chloro-3-pyridinyl	2-fluoro-5-chlorophenyl
OMe	cyano	2,6-dichloro-3-pyridinyl	2,5-dichlorophenyl
OEt	C(O)NHMe	2-bromo-5-chloro-4-pyridinyl	2-fluoro-5-(OCF_3)phenyl
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorophenyl	2-chloro-5-(CF_3)phenyl
OPh	C(=NOMe)Me	3-chloro-5-fluorophenyl	*N*-Me-4-pyrazolyl
$CH{=}CH_2$	C(=NOEt)Me	3-fluoro-4-chlorophenyl	3-Me-5-isoxazolyl
$C{\equiv}CH$	2-fluorophenyl	2,4-dichlorophenyl	6-(6-Cl-3-pyridinyl)-3-pyridinyl
phenyl	3-chlorophenyl	2,4-difluorophenyl	

R^a is Me; R^b, R^d, R^e, R^{3a}, R^{3b} and R^{3c} are H; R^{3d} is Me; R^2 is 2-chloro-5-thiazolyl

R^c	R^c	R^c	R^c
H	O-*i*-Pr	3-(CF_3)phenyl	2-fluoro-4-cyanophenyl
F	$OCH_2CH{=}CH_2$	3-fluorophenyl	2-fluoro-4-chlorophenyl
Cl	$OCH_2C{\equiv}CH$	3-cyanophenyl	2-methyl-4-chlorophenyl
Br	O-*c*-Pr	3-(OCF_3)phenyl	2-fluoro-4-(CF_3)phenyl
I	OCF_3	4-fluorophenyl	2,4-bis(CF_3)phenyl
Me	$OCHF_2$	4-chlorophenyl	2-fluoro-4-bromophenyl
Et	OCH_2CF_3	4-(CF_3)phenyl	2-chloro-4-fluorophenyl
Pr	SCF_3	4-cyanophenyl	2-(CF_3)-4-fluorophenyl
i-Pr	SCF_3	4-bromophenyl	2-methyl-4-(CF_3)phenyl
c-Pr	$SCHF_2$	6-chloro-3-pyridinyl	2-chloro-4-(CF_3)phenyl
t-Bu	$S(O)CF_3$	6-fluoro-3-pyridinyl	2-(CF_3)-4-chlorophenyl
CF_3	SO_2CF_3	6-(CF_3)-3-pyridinyl	2,5-difluorophenyl
CH_2F	CO_2Me	4,6-dichloro-3-pyridinyl	2-fluoro-5-(CF_3)phenyl
CHF_2	CO_2Et	2-fluoro-6-chloro-3-pyridinyl	2-fluoro-5-chlorophenyl
OMe	cyano	2,6-dichloro-3-pyridinyl	2,5-dichlorophenyl
OEt	C(O)NHMe	2-bromo-5-chloro-4-pyridinyl	2-fluoro-5-(OCF_3)phenyl

O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorophenyl	2-chloro-5-(CF_3)phenyl
OPh	C(=NOMe)Me	3-chloro-5-fluorophenyl	*N*-Me-4-pyrazolyl
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-chlorophenyl	3-Me-5-isoxazolyl
C≡CH	2-fluorophenyl	2,4-dichlorophenyl	6-(6-Cl-3-pyridinyl)-3-pyridinyl
phenyl	3-chlorophenyl	2,4-difluorophenyl	

R^a is Me; R^b, R^c, R^e, R^{3a}, R^{3b} and R^{3c} are H; R^{3d} is Me; R^2 is 2-chloro-5-thiazolyl

R^d	R^d	R^d	R^d
H	O-*i*-Pr	3-(CF_3)phenyl	2-fluoro-4-cyanophenyl
F	$OCH_2CH=CH_2$	3-fluorophenyl	2-fluoro-4-chlorophenyl
Cl	$OCH_2C\equiv CH$	3-cyanophenyl	2-methyl-4-chlorophenyl
Br	O-*c*-Pr	3-(OCF_3)phenyl	2-fluoro-4-(CF_3)phenyl
I	OCF_3	4-fluorophenyl	2,4-bis(CF_3)phenyl
Me	$OCHF_2$	4-chlorophenyl	2-fluoro-4-bromophenyl
Et	OCH_2CF_3	4-(CF_3)phenyl	2-chloro-4-fluorophenyl
Pr	SCF_3	4-cyanophenyl	2-(CF_3)-4-fluorophenyl
i-Pr	SCF_3	4-bromophenyl	2-methyl-4-(CF_3)phenyl
c-Pr	$SCHF_2$	6-chloro-3-pyridinyl	2-chloro-4-(CF_3)phenyl
t-Bu	$S(O)CF_3$	6-fluoro-3-pyridinyl	2-(CF_3)-4-chlorophenyl
CF_3	SO_2CF_3	6-(CF_3)-3-pyridinyl	2,5-difluorophenyl
CH_2F	CO_2Me	4,6-dichloro-3-pyridinyl	2-fluoro-5-(CF_3)phenyl
CHF_2	CO_2Et	2-fluoro-6-chloro-3-pyridinyl	2-fluoro-5-chlorophenyl
OMe	cyano	2,6-dichloro-3-pyridinyl	2,5-dichlorophenyl
OEt	C(O)NHMe	2-bromo-5-chloro-4-pyridinyl	2-fluoro-5-(OCF_3)phenyl
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorophenyl	2-chloro-5-(CF_3)phenyl
OPh	C(=NOMe)Me	3-chloro-5-fluorophenyl	*N*-Me-4-pyrazolyl
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-chlorophenyl	3-Me-5-isoxazolyl
C≡CH	2-fluorophenyl	2,4-dichlorophenyl	6-(6-Cl-3-pyridinyl)-3-pyridinyl
phenyl	3-chlorophenyl	2,4-difluorophenyl	

R^a is Me; R^b, R^c, R^d, R^{3a}, R^{3b} and R^{3c} are H; R^{3d} is Me; R^2 is 2-chloro-5-thiazolyl

R^e	R^e	R^e	R^e
H	O-*i*-Pr	3-(CF_3)phenyl	2-fluoro-4-cyanophenyl
F	$OCH_2CH=CH_2$	3-fluorophenyl	2-fluoro-4-chlorophenyl
Cl	$OCH_2C\equiv CH$	3-cyanophenyl	2-methyl-4-chlorophenyl
Br	O-*c*-Pr	3-(OCF_3)phenyl	2-fluoro-4-(CF_3)phenyl
I	OCF_3	4-fluorophenyl	2,4-bis(CF_3)phenyl
Me	$OCHF_2$	4-chlorophenyl	2-fluoro-4-bromophenyl

Et	OCH_2CF_3	4-(CF_3)phenyl	2-chloro-4-fluorophenyl
Pr	SCF_3	4-cyanophenyl	2-(CF_3)-4-fluorophenyl
i-Pr	SCF_3	4-bromophenyl	2-methyl-4-(CF_3)phenyl
c-Pr	$SCHF_2$	6-chloro-3-pyridinyl	2-chloro-4-(CF_3)phenyl
t-Bu	$S(O)CF_3$	6-fluoro-3-pyridinyl	2-(CF_3)-4-chlorophenyl
CF_3	SO_2CF_3	6-(CF_3)-3-pyridinyl	2,5-difluorophenyl
CH_2F	CO_2Me	4,6-dichloro-3-pyridinyl	2-fluoro-5-(CF_3)phenyl
CHF_2	CO_2Et	2-fluoro-6-chloro-3-pyridinyl	2-fluoro-5-chlorophenyl
OMe	cyano	2,6-dichloro-3-pyridinyl	2,5-dichlorophenyl
OEt	C(O)NHMe	2-bromo-5-chloro-4-pyridinyl	2-fluoro-5-(OCF_3)phenyl
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorophenyl	2-chloro-5-(CF_3)phenyl
OPh	C(=NOMe)Me	3-chloro-5-fluorophenyl	*N*-Me-4-pyrazolyl
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-chlorophenyl	3-Me-5-isoxazolyl
C≡CH	2-fluorophenyl	2,4-dichlorophenyl	6-(6-Cl-3-pyridinyl)-3-pyridinyl
phenyl	3-chlorophenyl	2,4-difluorophenyl	

R^d is Cl; R^a, R^c, R^e, R^{3a}, R^{3b} and R^{3c} are H; R^{3d} is Me; R^2 is 2-chloro-5-thiazolyl

R^b	R^b	R^b	R^b
H	O-*i*-Pr	3-(CF_3)phenyl	2-fluoro-4-cyanophenyl
F	$OCH_2CH=CH_2$	3-fluorophenyl	2-fluoro-4-chlorophenyl
Cl	$OCH_2C≡CH$	3-cyanophenyl	2-methyl-4-chlorophenyl
Br	O-*c*-Pr	3-(OCF_3)phenyl	2-fluoro-4-(CF_3)phenyl
I	OCF_3	4-fluorophenyl	2,4-bis(CF_3)phenyl
Me	$OCHF_2$	4-chlorophenyl	2-fluoro-4-bromophenyl
Et	OCH_2CF_3	4-(CF_3)phenyl	2-chloro-4-fluorophenyl
Pr	SCF_3	4-cyanophenyl	2-(CF_3)-4-fluorophenyl
i-Pr	SCF_3	4-bromophenyl	2-methyl-4-(CF_3)phenyl
c-Pr	$SCHF_2$	6-chloro-3-pyridinyl	2-chloro-4-(CF_3)phenyl
t-Bu	$S(O)CF_3$	6-fluoro-3-pyridinyl	2-(CF_3)-4-chlorophenyl
CF_3	SO_2CF_3	6-(CF_3)-3-pyridinyl	2,5-difluorophenyl
CH_2F	CO_2Me	4,6-dichloro-3-pyridinyl	2-fluoro-5-(CF_3)phenyl
CHF_2	CO_2Et	2-fluoro-6-chloro-3-pyridinyl	2-fluoro-5-chlorophenyl
OMe	cyano	2,6-dichloro-3-pyridinyl	2,5-dichlorophenyl
OEt	C(O)NHMe	2-bromo-5-chloro-4-pyridinyl	2-fluoro-5-(OCF_3)phenyl
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorophenyl	2-chloro-5-(CF_3)phenyl
OPh	C(=NOMe)Me	3-chloro-5-fluorophenyl	*N*-Me-4-pyrazolyl
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-chlorophenyl	3-Me-5-isoxazolyl

C≡CH	2-fluorophenyl	2,4-dichlorophenyl	6-(6-Cl-3-pyridinyl)-3-pyridinyl
phenyl	3-chlorophenyl	2,4-difluorophenyl	

R^d is CF_3; R^a, R^c, R^e, R^{3a}, R^{3b} and R^{3c} are H; R^{3d} is Me; R^2 is 2-chloro-5-thiazolyl

R^b	R^b	R^b	R^b
H	O-*i*-Pr	3-(CF_3)phenyl	2-fluoro-4-cyanophenyl
F	$OCH_2CH=CH_2$	3-fluorophenyl	2-fluoro-4-chlorophenyl
Cl	$OCH_2C\equiv CH$	3-cyanophenyl	2-methyl-4-chlorophenyl
Br	O-*c*-Pr	3-(OCF_3)phenyl	2-fluoro-4-(CF_3)phenyl
I	OCF_3	4-fluorophenyl	2,4-bis(CF_3)phenyl
Me	$OCHF_2$	4-chlorophenyl	2-fluoro-4-bromophenyl
Et	OCH_2CF_3	4-(CF_3)phenyl	2-chloro-4-fluorophenyl
Pr	SCF_3	4-cyanophenyl	2-(CF_3)-4-fluorophenyl
i-Pr	SCF_3	4-bromophenyl	2-methyl-4-(CF_3)phenyl
c-Pr	$SCHF_2$	6-chloro-3-pyridinyl	2-chloro-4-(CF_3)phenyl
t-Bu	$S(O)CF_3$	6-fluoro-3-pyridinyl	2-(CF_3)-4-chlorophenyl
CF_3	SO_2CF_3	6-(CF_3)-3-pyridinyl	2,5-difluorophenyl
CH_2F	CO_2Me	4,6-dichloro-3-pyridinyl	2-fluoro-5-(CF_3)phenyl
CHF_2	CO_2Et	2-fluoro-6-chloro-3-pyridinyl	2-fluoro-5-chlorophenyl
OMe	cyano	2,6-dichloro-3-pyridinyl	2,5-dichlorophenyl
OEt	C(O)NHMe	2-bromo-5-chloro-4-pyridinyl	2-fluoro-5-(OCF_3)phenyl
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorophenyl	2-chloro-5-(CF_3)phenyl
OPh	C(=NOMe)Me	3-chloro-5-fluorophenyl	*N*-Me-4-pyrazolyl
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-chlorophenyl	3-Me-5-isoxazolyl
C≡CH	2-fluorophenyl	2,4-dichlorophenyl	6-(6-Cl-3-pyridinyl)-3-pyridinyl
phenyl	3-chlorophenyl	2,4-difluorophenyl	

R^b is Br; R^a, R^c, R^e, R^{3a}, R^{3b} and R^{3c} are H; R^{3d} is Me; R^2 is 2-chloro-5-thiazolyl

R^d	R^d	R^d	R^d
H	O-*i*-Pr	3-(CF_3)phenyl	2-fluoro-4-cyanophenyl
F	$OCH_2CH=CH_2$	3-fluorophenyl	2-fluoro-4-chlorophenyl
Cl	$OCH_2C\equiv CH$	3-cyanophenyl	2-methyl-4-chlorophenyl
Br	O-*c*-Pr	3-(OCF_3)phenyl	2-fluoro-4-(CF_3)phenyl
I	OCF_3	4-fluorophenyl	2,4-bis(CF_3)phenyl
Me	$OCHF_2$	4-chlorophenyl	2-fluoro-4-bromophenyl
Et	OCH_2CF_3	4-(CF_3)phenyl	2-chloro-4-fluorophenyl
Pr	SCF_3	4-cyanophenyl	2-(CF_3)-4-fluorophenyl
i-Pr	SCF_3	4-bromophenyl	2-methyl-4-(CF_3)phenyl

c-Pr	$SCHF_2$	6-chloro-3-pyridinyl	2-chloro-4-(CF_3)phenyl
t-Bu	$S(O)CF_3$	6-fluoro-3-pyridinyl	2-(CF_3)-4-chlorophenyl
CF_3	SO_2CF_3	6-(CF_3)-3-pyridinyl	2,5-difluorophenyl
CH_2F	CO_2Me	4,6-dichloro-3-pyridinyl	2-fluoro-5-(CF_3)phenyl
CHF_2	CO_2Et	2-fluoro-6-chloro-3-pyridinyl	2-fluoro-5-chlorophenyl
OMe	cyano	2,6-dichloro-3-pyridinyl	2,5-dichlorophenyl
OEt	C(O)NHMe	2-bromo-5-chloro-4-pyridinyl	2-fluoro-5-(OCF_3)phenyl
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorophenyl	2-chloro-5-(CF_3)phenyl
OPh	C(=NOMe)Me	3-chloro-5-fluorophenyl	*N*-Me-4-pyrazolyl
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-chlorophenyl	3-Me-5-isoxazolyl
C≡CH	2-fluorophenyl	2,4-dichlorophenyl	6-(6-Cl-3-pyridinyl)-3-pyridinyl
phenyl	3-chlorophenyl	2,4-difluorophenyl	

R^b is OCF_3; R^a, R^c, R^e, R^{3a}, R^{3b} and R^{3c} are H; R^{3d} is Me; R^2 is 2-chloro-5-thiazolyl

R^d	R^d	R^d	R^d
H	O-*i*-Pr	3-(CF_3)phenyl	2-fluoro-4-cyanophenyl
F	$OCH_2CH=CH_2$	3-fluorophenyl	2-fluoro-4-chlorophenyl
Cl	$OCH_2C≡CH$	3-cyanophenyl	2-methyl-4-chlorophenyl
Br	O-*c*-Pr	3-(OCF_3)phenyl	2-fluoro-4-(CF_3)phenyl
I	OCF_3	4-fluorophenyl	2,4-bis(CF_3)phenyl
Me	$OCHF_2$	4-chlorophenyl	2-fluoro-4-bromophenyl
Et	OCH_2CF_3	4-(CF_3)phenyl	2-chloro-4-fluorophenyl
Pr	SCF_3	4-cyanophenyl	2-(CF_3)-4-fluorophenyl
i-Pr	SCF_3	4-bromophenyl	2-methyl-4-(CF_3)phenyl
c-Pr	$SCHF_2$	6-chloro-3-pyridinyl	2-chloro-4-(CF_3)phenyl
t-Bu	$S(O)CF_3$	6-fluoro-3-pyridinyl	2-(CF_3)-4-chlorophenyl
CF_3	SO_2CF_3	6-(CF_3)-3-pyridinyl	2,5-difluorophenyl
CH_2F	CO_2Me	4,6-dichloro-3-pyridinyl	2-fluoro-5-(CF_3)phenyl
CHF_2	CO_2Et	2-fluoro-6-chloro-3-pyridinyl	2-fluoro-5-chlorophenyl
OMe	cyano	2,6-dichloro-3-pyridinyl	2,5-dichlorophenyl
OEt	C(O)NHMe	2-bromo-5-chloro-4-pyridinyl	2-fluoro-5-(OCF_3)phenyl
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorophenyl	2-chloro-5-(CF_3)phenyl
OPh	C(=NOMe)Me	3-chloro-5-fluorophenyl	*N*-Me-4-pyrazolyl
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-chlorophenyl	3-Me-5-isoxazolyl
C≡CH	2-fluorophenyl	2,4-dichlorophenyl	6-(6-Cl-3-pyridinyl)-3-pyridinyl
phenyl	3-chlorophenyl	2,4-difluorophenyl	

R^b is OMe; R^a, R^c, R^e, R^{3a}, R^{3b} and R^{3c} are H; R^{3d} is Me; R^2 is 2-chloro-5-thiazolyl

R^d	R^d	R^d	R^d
H	O-*i*-Pr	3-(CF_3)phenyl	2-fluoro-4-cyanophenyl
F	$OCH_2CH{=}CH_2$	3-fluorophenyl	2-fluoro-4-chlorophenyl
Cl	$OCH_2C{\equiv}CH$	3-cyanophenyl	2-methyl-4-chlorophenyl
Br	O-*c*-Pr	3-(OCF_3)phenyl	2-fluoro-4-(CF_3)phenyl
I	OCF_3	4-fluorophenyl	2,4-bis(CF_3)phenyl
Me	$OCHF_2$	4-chlorophenyl	2-fluoro-4-bromophenyl
Et	OCH_2CF_3	4-(CF_3)phenyl	2-chloro-4-fluorophenyl
Pr	SCF_3	4-cyanophenyl	2-(CF_3)-4-fluorophenyl
i-Pr	SCF_3	4-bromophenyl	2-methyl-4-(CF_3)phenyl
c-Pr	$SCHF_2$	6-chloro-3-pyridinyl	2-chloro-4-(CF_3)phenyl
t-Bu	$S(O)CF_3$	6-fluoro-3-pyridinyl	2-(CF_3)-4-chlorophenyl
CF_3	SO_2CF_3	6-(CF_3)-3-pyridinyl	2,5-difluorophenyl
CH_2F	CO_2Me	4,6-dichloro-3-pyridinyl	2-fluoro-5-(CF_3)phenyl
CHF_2	CO_2Et	2-fluoro-6-chloro-3-pyridinyl	2-fluoro-5-chlorophenyl
OMe	cyano	2,6-dichloro-3-pyridinyl	2,5-dichlorophenyl
OEt	C(O)NHMe	2-bromo-5-chloro-4-pyridinyl	2-fluoro-5-(OCF_3)phenyl
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorophenyl	2-chloro-5-(CF_3)phenyl
OPh	C(=NOMe)Me	3-chloro-5-fluorophenyl	*N*-Me-4-pyrazolyl
$CH{=}CH_2$	C(=NOEt)Me	3-fluoro-4-chlorophenyl	3-Me-5-isoxazolyl
$C{\equiv}CH$	2-fluorophenyl	2,4-dichlorophenyl	6-(6-Cl-3-pyridinyl)-3-pyridinyl
phenyl	3-chlorophenyl	2,4-difluorophenyl	

R^b is F; R^a, R^c, R^e, R^{3a}, R^{3b} and R^{3c} are H; R^{3d} is Me; R^2 is 2-chloro-5-thiazolyl

R^d	R^d	R^d	R^d
H	O-*i*-Pr	3-(CF_3)phenyl	2-fluoro-4-cyanophenyl
F	$OCH_2CH{=}CH_2$	3-fluorophenyl	2-fluoro-4-chlorophenyl
Cl	$OCH_2C{\equiv}CH$	3-cyanophenyl	2-methyl-4-chlorophenyl
Br	O-*c*-Pr	3-(OCF_3)phenyl	2-fluoro-4-(CF_3)phenyl
I	OCF_3	4-fluorophenyl	2,4-bis(CF_3)phenyl
Me	$OCHF_2$	4-chlorophenyl	2-fluoro-4-bromophenyl
Et	OCH_2CF_3	4-(CF_3)phenyl	2-chloro-4-fluorophenyl
Pr	SCF_3	4-cyanophenyl	2-(CF_3)-4-fluorophenyl
i-Pr	SCF_3	4-bromophenyl	2-methyl-4-(CF_3)phenyl
c-Pr	$SCHF_2$	6-chloro-3-pyridinyl	2-chloro-4-(CF_3)phenyl
t-Bu	$S(O)CF_3$	6-fluoro-3-pyridinyl	2-(CF_3)-4-chlorophenyl
CF_3	SO_2CF_3	6-(CF_3)-3-pyridinyl	2,5-difluorophenyl

CH_2F	CO_2Me	4,6-dichloro-3-pyridinyl	2-fluoro-5-(CF_3)phenyl
CHF_2	CO_2Et	2-fluoro-6-chloro-3-pyridinyl	2-fluoro-5-chlorophenyl
OMe	cyano	2,6-dichloro-3-pyridinyl	2,5-dichlorophenyl
OEt	C(O)NHMe	2-bromo-5-chloro-4-pyridinyl	2-fluoro-5-(OCF_3)phenyl
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorophenyl	2-chloro-5-(CF_3)phenyl
OPh	C(=NOMe)Me	3-chloro-5-fluorophenyl	*N*-Me-4-pyrazolyl
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-chlorophenyl	3-Me-5-isoxazolyl
C≡CH	2-fluorophenyl	2,4-dichlorophenyl	6-(6-Cl-3-pyridinyl)-3-pyridinyl
phenyl	3-chlorophenyl	2,4-difluorophenyl	

R^b is CN; R^a, R^c, R^e, R^{3a}, R^{3b} and R^{3c} are H; R^{3d} is Me; R^2 is 2-chloro-5-thiazolyl

R^d	R^d	R^d	R^d
H	O-*i*-Pr	3-(CF_3)phenyl	2-fluoro-4-cyanophenyl
F	$OCH_2CH=CH_2$	3-fluorophenyl	2-fluoro-4-chlorophenyl
Cl	$OCH_2C≡CH$	3-cyanophenyl	2-methyl-4-chlorophenyl
Br	O-*c*-Pr	3-(OCF_3)phenyl	2-fluoro-4-(CF_3)phenyl
I	OCF_3	4-fluorophenyl	2,4-bis(CF_3)phenyl
Me	$OCHF_2$	4-chlorophenyl	2-fluoro-4-bromophenyl
Et	OCH_2CF_3	4-(CF_3)phenyl	2-chloro-4-fluorophenyl
Pr	SCF_3	4-cyanophenyl	2-(CF_3)-4-fluorophenyl
i-Pr	SCF_3	4-bromophenyl	2-methyl-4-(CF_3)phenyl
c-Pr	$SCHF_2$	6-chloro-3-pyridinyl	2-chloro-4-(CF_3)phenyl
t-Bu	$S(O)CF_3$	6-fluoro-3-pyridinyl	2-(CF_3)-4-chlorophenyl
CF_3	SO_2CF_3	6-(CF_3)-3-pyridinyl	2,5-difluorophenyl
CH_2F	CO_2Me	4,6-dichloro-3-pyridinyl	2-fluoro-5-(CF_3)phenyl
CHF_2	CO_2Et	2-fluoro-6-chloro-3-pyridinyl	2-fluoro-5-chlorophenyl
OMe	cyano	2,6-dichloro-3-pyridinyl	2,5-dichlorophenyl
OEt	C(O)NHMe	2-bromo-5-chloro-4-pyridinyl	2-fluoro-5-(OCF_3)phenyl
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorophenyl	2-chloro-5-(CF_3)phenyl
OPh	C(=NOMe)Me	3-chloro-5-fluorophenyl	*N*-Me-4-pyrazolyl
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-chlorophenyl	3-Me-5-isoxazolyl
C≡CH	2-fluorophenyl	2,4-dichlorophenyl	6-(6-Cl-3-pyridinyl)-3-pyridinyl
phenyl	3-chlorophenyl	2,4-difluorophenyl	

R^b is Me; R^a, R^c, R^e, R^{3a}, R^{3b} and R^{3c} are H; R^{3d} is Me; R^2 is 2-chloro-5-thiazolyl

R^d	R^d	R^d	R^d
H	O-*i*-Pr	3-(CF_3)phenyl	2-fluoro-4-cyanophenyl
F	$OCH_2CH=CH_2$	3-fluorophenyl	2-fluoro-4-chlorophenyl

Cl	$OCH_2C{\equiv}CH$	3-cyanophenyl	2-methyl-4-chlorophenyl
Br	O-*c*-Pr	3-(OCF_3)phenyl	2-fluoro-4-(CF_3)phenyl
I	OCF_3	4-fluorophenyl	2,4-bis(CF_3)phenyl
Me	$OCHF_2$	4-chlorophenyl	2-fluoro-4-bromophenyl
Et	OCH_2CF_3	4-(CF_3)phenyl	2-chloro-4-fluorophenyl
Pr	SCF_3	4-cyanophenyl	2-(CF_3)-4-fluorophenyl
i-Pr	SCF_3	4-bromophenyl	2-methyl-4-(CF_3)phenyl
c-Pr	$SCHF_2$	6-chloro-3-pyridinyl	2-chloro-4-(CF_3)phenyl
t-Bu	$S(O)CF_3$	6-fluoro-3-pyridinyl	2-(CF_3)-4-chlorophenyl
CF_3	SO_2CF_3	6-(CF_3)-3-pyridinyl	2,5-difluorophenyl
CH_2F	CO_2Me	4,6-dichloro-3-pyridinyl	2-fluoro-5-(CF_3)phenyl
CHF_2	CO_2Et	2-fluoro-6-chloro-3-pyridinyl	2-fluoro-5-chlorophenyl
OMe	cyano	2,6-dichloro-3-pyridinyl	2,5-dichlorophenyl
OEt	C(O)NHMe	2-bromo-5-chloro-4-pyridinyl	2-fluoro-5-(OCF_3)phenyl
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorophenyl	2-chloro-5-(CF_3)phenyl
OPh	C(=NOMe)Me	3-chloro-5-fluorophenyl	*N*-Me-4-pyrazolyl
$CH{=}CH_2$	C(=NOEt)Me	3-fluoro-4-chlorophenyl	3-Me-5-isoxazolyl
$C{\equiv}CH$	2-fluorophenyl	2,4-dichlorophenyl	6-(6-Cl-3-pyridinyl)-3-pyridinyl
phenyl	3-chlorophenyl	2,4-difluorophenyl	

R^b is I; R^a, R^c, R^e, R^{3a}, R^{3b} and R^{3c} are H; R^{3d} is Me; R^2 is 2-chloro-5-thiazolyl

R^d	R^d	R^d	R^d
H	O-*i*-Pr	3-(CF_3)phenyl	2-fluoro-4-cyanophenyl
F	$OCH_2CH{=}CH_2$	3-fluorophenyl	2-fluoro-4-chlorophenyl
Cl	$OCH_2C{\equiv}CH$	3-cyanophenyl	2-methyl-4-chlorophenyl
Br	O-*c*-Pr	3-(OCF_3)phenyl	2-fluoro-4-(CF_3)phenyl
I	OCF_3	4-fluorophenyl	2,4-bis(CF_3)phenyl
Me	$OCHF_2$	4-chlorophenyl	2-fluoro-4-bromophenyl
Et	OCH_2CF_3	4-(CF_3)phenyl	2-chloro-4-fluorophenyl
Pr	SCF_3	4-cyanophenyl	2-(CF_3)-4-fluorophenyl
i-Pr	SCF_3	4-bromophenyl	2-methyl-4-(CF_3)phenyl
c-Pr	$SCHF_2$	6-chloro-3-pyridinyl	2-chloro-4-(CF_3)phenyl
t-Bu	$S(O)CF_3$	6-fluoro-3-pyridinyl	2-(CF_3)-4-chlorophenyl
CF_3	SO_2CF_3	6-(CF_3)-3-pyridinyl	2,5-difluorophenyl
CH_2F	CO_2Me	4,6-dichloro-3-pyridinyl	2-fluoro-5-(CF_3)phenyl
CHF_2	CO_2Et	2-fluoro-6-chloro-3-pyridinyl	2-fluoro-5-chlorophenyl
OMe	cyano	2,6-dichloro-3-pyridinyl	2,5-dichlorophenyl
OEt	C(O)NHMe	2-bromo-5-chloro-4-pyridinyl	2-fluoro-5-(OCF_3)phenyl

O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorophenyl	2-chloro-5-(CF_3)phenyl
OPh	C(=NOMe)Me	3-chloro-5-fluorophenyl	*N*-Me-4-pyrazolyl
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-chlorophenyl	3-Me-5-isoxazolyl
C≡CH	2-fluorophenyl	2,4-dichlorophenyl	6-(6-Cl-3-pyridinyl)-3-pyridinyl
phenyl	3-chlorophenyl	2,4-difluorophenyl	

R^a and R^b are F; R^c, R^e, R^{3a}, R^{3b} and R^{3c} are H; R^{3d} is Me; R^2 is 2-chloro-5-thiazolyl

R^d	R^d	R^d	R^d
H	O-*i*-Pr	3-(CF_3)phenyl	2-fluoro-4-cyanophenyl
F	$OCH_2CH=CH_2$	3-fluorophenyl	2-fluoro-4-chlorophenyl
Cl	$OCH_2C\equiv CH$	3-cyanophenyl	2-methyl-4-chlorophenyl
Br	O-*c*-Pr	3-(OCF_3)phenyl	2-fluoro-4-(CF_3)phenyl
I	OCF_3	4-fluorophenyl	2,4-bis(CF_3)phenyl
Me	$OCHF_2$	4-chlorophenyl	2-fluoro-4-bromophenyl
Et	OCH_2CF_3	4-(CF_3)phenyl	2-chloro-4-fluorophenyl
Pr	SCF_3	4-cyanophenyl	2-(CF_3)-4-fluorophenyl
i-Pr	SCF_3	4-bromophenyl	2-methyl-4-(CF_3)phenyl
c-Pr	$SCHF_2$	6-chloro-3-pyridinyl	2-chloro-4-(CF_3)phenyl
t-Bu	$S(O)CF_3$	6-fluoro-3-pyridinyl	2-(CF_3)-4-chlorophenyl
CF_3	SO_2CF_3	6-(CF_3)-3-pyridinyl	2,5-difluorophenyl
CH_2F	CO_2Me	4,6-dichloro-3-pyridinyl	2-fluoro-5-(CF_3)phenyl
CHF_2	CO_2Et	2-fluoro-6-chloro-3-pyridinyl	2-fluoro-5-chlorophenyl
OMe	cyano	2,6-dichloro-3-pyridinyl	2,5-dichlorophenyl
OEt	C(O)NHMe	2-bromo-5-chloro-4-pyridinyl	2-fluoro-5-(OCF_3)phenyl
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorophenyl	2-chloro-5-(CF_3)phenyl
OPh	C(=NOMe)Me	3-chloro-5-fluorophenyl	*N*-Me-4-pyrazolyl
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-chlorophenyl	3-Me-5-isoxazolyl
C≡CH	2-fluorophenyl	2,4-dichlorophenyl	6-(6-Cl-3-pyridinyl)-3-pyridinyl
phenyl	3-chlorophenyl	2,4-difluorophenyl	

R^a is F; R^b is Cl; R^c, R^e, R^{3a}, R^{3b} and R^{3c} are H; R^{3d} is Me; R^2 is 2-chloro-5-thiazolyl

R^d	R^d	R^d	R^d
H	O-*i*-Pr	3-(CF_3)phenyl	2-fluoro-4-cyanophenyl
F	$OCH_2CH=CH_2$	3-fluorophenyl	2-fluoro-4-chlorophenyl
Cl	$OCH_2C\equiv CH$	3-cyanophenyl	2-methyl-4-chlorophenyl
Br	O-*c*-Pr	3-(OCF_3)phenyl	2-fluoro-4-(CF_3)phenyl
I	OCF_3	4-fluorophenyl	2,4-bis(CF_3)phenyl
Me	$OCHF_2$	4-chlorophenyl	2-fluoro-4-bromophenyl

Et	OCH_2CF_3	4-(CF_3)phenyl	2-chloro-4-fluorophenyl
Pr	SCF_3	4-cyanophenyl	2-(CF_3)-4-fluorophenyl
i-Pr	SCF_3	4-bromophenyl	2-methyl-4-(CF_3)phenyl
c-Pr	$SCHF_2$	6-chloro-3-pyridinyl	2-chloro-4-(CF_3)phenyl
t-Bu	$S(O)CF_3$	6-fluoro-3-pyridinyl	2-(CF_3)-4-chlorophenyl
CF_3	SO_2CF_3	6-(CF_3)-3-pyridinyl	2,5-difluorophenyl
CH_2F	CO_2Me	4,6-dichloro-3-pyridinyl	2-fluoro-5-(CF_3)phenyl
CHF_2	CO_2Et	2-fluoro-6-chloro-3-pyridinyl	2-fluoro-5-chlorophenyl
OMe	cyano	2,6-dichloro-3-pyridinyl	2,5-dichlorophenyl
OEt	C(O)NHMe	2-bromo-5-chloro-4-pyridinyl	2-fluoro-5-(OCF_3)phenyl
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorophenyl	2-chloro-5-(CF_3)phenyl
OPh	C(=NOMe)Me	3-chloro-5-fluorophenyl	*N*-Me-4-pyrazolyl
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-chlorophenyl	3-Me-5-isoxazolyl
C≡CH	2-fluorophenyl	2,4-dichlorophenyl	6-(6-Cl-3-pyridinyl)-3-pyridinyl
phenyl	3-chlorophenyl	2,4-difluorophenyl	

R^a and R^e are F; R^c, R^d, R^{3a}, R^{3b} and R^{3c} are H; R^{3d} is Me; R^2 is 2-chloro-5-thiazolyl

R^b	R^b	R^b	R^b
H	O-*i*-Pr	3-(CF_3)phenyl	2-fluoro-4-cyanophenyl
F	$OCH_2CH=CH_2$	3-fluorophenyl	2-fluoro-4-chlorophenyl
Cl	$OCH_2C≡CH$	3-cyanophenyl	2-methyl-4-chlorophenyl
Br	O-*c*-Pr	3-(OCF_3)phenyl	2-fluoro-4-(CF_3)phenyl
I	OCF_3	4-fluorophenyl	2,4-bis(CF_3)phenyl
Me	$OCHF_2$	4-chlorophenyl	2-fluoro-4-bromophenyl
Et	OCH_2CF_3	4-(CF_3)phenyl	2-chloro-4-fluorophenyl
Pr	SCF_3	4-cyanophenyl	2-(CF_3)-4-fluorophenyl
i-Pr	SCF_3	4-bromophenyl	2-methyl-4-(CF_3)phenyl
c-Pr	$SCHF_2$	6-chloro-3-pyridinyl	2-chloro-4-(CF_3)phenyl
t-Bu	$S(O)CF_3$	6-fluoro-3-pyridinyl	2-(CF_3)-4-chlorophenyl
CF_3	SO_2CF_3	6-(CF_3)-3-pyridinyl	2,5-difluorophenyl
CH_2F	CO_2Me	4,6-dichloro-3-pyridinyl	2-fluoro-5-(CF_3)phenyl
CHF_2	CO_2Et	2-fluoro-6-chloro-3-pyridinyl	2-fluoro-5-chlorophenyl
OMe	cyano	2,6-dichloro-3-pyridinyl	2,5-dichlorophenyl
OEt	C(O)NHMe	2-bromo-5-chloro-4-pyridinyl	2-fluoro-5-(OCF_3)phenyl
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorophenyl	2-chloro-5-(CF_3)phenyl
OPh	C(=NOMe)Me	3-chloro-5-fluorophenyl	*N*-Me-4-pyrazolyl
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-chlorophenyl	3-Me-5-isoxazolyl

C≡CH	2-fluorophenyl	2,4-dichlorophenyl	6-(6-Cl-3-pyridinyl)-3-pyridinyl
phenyl	3-chlorophenyl	2,4-difluorophenyl	

R^c is OMe; R^a, R^b, R^e, R^{3a}, R^{3b} and R^{3c} are H; R^{3d} is Me; R^2 is 2-chloro-5-thiazolyl

R^d	R^d	R^d	R^d
H	O-*i*-Pr	3-(CF_3)phenyl	2-fluoro-4-cyanophenyl
F	$OCH_2CH=CH_2$	3-fluorophenyl	2-fluoro-4-chlorophenyl
Cl	$OCH_2C\equiv CH$	3-cyanophenyl	2-methyl-4-chlorophenyl
Br	O-*c*-Pr	3-(OCF_3)phenyl	2-fluoro-4-(CF_3)phenyl
I	OCF_3	4-fluorophenyl	2,4-bis(CF_3)phenyl
Me	$OCHF_2$	4-chlorophenyl	2-fluoro-4-bromophenyl
Et	OCH_2CF_3	4-(CF_3)phenyl	2-chloro-4-fluorophenyl
Pr	SCF_3	4-cyanophenyl	2-(CF_3)-4-fluorophenyl
i-Pr	SCF_3	4-bromophenyl	2-methyl-4-(CF_3)phenyl
c-Pr	$SCHF_2$	6-chloro-3-pyridinyl	2-chloro-4-(CF_3)phenyl
t-Bu	$S(O)CF_3$	6-fluoro-3-pyridinyl	2-(CF_3)-4-chlorophenyl
CF_3	SO_2CF_3	6-(CF_3)-3-pyridinyl	2,5-difluorophenyl
CH_2F	CO_2Me	4,6-dichloro-3-pyridinyl	2-fluoro-5-(CF_3)phenyl
CHF_2	CO_2Et	2-fluoro-6-chloro-3-pyridinyl	2-fluoro-5-chlorophenyl
OMe	cyano	2,6-dichloro-3-pyridinyl	2,5-dichlorophenyl
OEt	C(O)NHMe	2-bromo-5-chloro-4-pyridinyl	2-fluoro-5-(OCF_3)phenyl
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorophenyl	2-chloro-5-(CF_3)phenyl
OPh	C(=NOMe)Me	3-chloro-5-fluorophenyl	*N*-Me-4-pyrazolyl
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-chlorophenyl	3-Me-5-isoxazolyl
C≡CH	2-fluorophenyl	2,4-dichlorophenyl	6-(6-Cl-3-pyridinyl)-3-pyridinyl
phenyl	3-chlorophenyl	2,4-difluorophenyl	

R^c is Me; R^a, R^b, R^e, R^{3a}, R^{3b} and R^{3c} are H; R^{3d} is Me; R^2 is 2-chloro-5-thiazolyl

R^d	R^d	R^d	R^d
H	O-*i*-Pr	3-(CF_3)phenyl	2-fluoro-4-cyanophenyl
F	$OCH_2CH=CH_2$	3-fluorophenyl	2-fluoro-4-chlorophenyl
Cl	$OCH_2C\equiv CH$	3-cyanophenyl	2-methyl-4-chlorophenyl
Br	O-*c*-Pr	3-(OCF_3)phenyl	2-fluoro-4-(CF_3)phenyl
I	OCF_3	4-fluorophenyl	2,4-bis(CF_3)phenyl
Me	$OCHF_2$	4-chlorophenyl	2-fluoro-4-bromophenyl
Et	OCH_2CF_3	4-(CF_3)phenyl	2-chloro-4-fluorophenyl
Pr	SCF_3	4-cyanophenyl	2-(CF_3)-4-fluorophenyl
i-Pr	SCF_3	4-bromophenyl	2-methyl-4-(CF_3)phenyl

c-Pr	$SCHF_2$	6-chloro-3-pyridinyl	2-chloro-4-(CF_3)phenyl
t-Bu	$S(O)CF_3$	6-fluoro-3-pyridinyl	2-(CF_3)-4-chlorophenyl
CF_3	SO_2CF_3	6-(CF_3)-3-pyridinyl	2,5-difluorophenyl
CH_2F	CO_2Me	4,6-dichloro-3-pyridinyl	2-fluoro-5-(CF_3)phenyl
CHF_2	CO_2Et	2-fluoro-6-chloro-3-pyridinyl	2-fluoro-5-chlorophenyl
OMe	cyano	2,6-dichloro-3-pyridinyl	2,5-dichlorophenyl
OEt	C(O)NHMe	2-bromo-5-chloro-4-pyridinyl	2-fluoro-5-(OCF_3)phenyl
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorophenyl	2-chloro-5-(CF_3)phenyl
OPh	C(=NOMe)Me	3-chloro-5-fluorophenyl	*N*-Me-4-pyrazolyl
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-chlorophenyl	3-Me-5-isoxazolyl
C≡CH	2-fluorophenyl	2,4-dichlorophenyl	6-(6-Cl-3-pyridinyl)-3-pyridinyl
phenyl	3-chlorophenyl	2,4-difluorophenyl	

R^c is F; R^a, R^b, R^e, R^{3a}, R^{3b} and R^{3c} are H; R^{3d} is Me; R^2 is 2-chloro-5-thiazolyl

R^d	R^d	R^d	R^d
H	O-*i*-Pr	3-(CF_3)phenyl	2-fluoro-4-cyanophenyl
F	$OCH_2CH=CH_2$	3-fluorophenyl	2-fluoro-4-chlorophenyl
Cl	$OCH_2C≡CH$	3-cyanophenyl	2-methyl-4-chlorophenyl
Br	O-*c*-Pr	3-(OCF_3)phenyl	2-fluoro-4-(CF_3)phenyl
I	OCF_3	4-fluorophenyl	2,4-bis(CF_3)phenyl
Me	$OCHF_2$	4-chlorophenyl	2-fluoro-4-bromophenyl
Et	OCH_2CF_3	4-(CF_3)phenyl	2-chloro-4-fluorophenyl
Pr	SCF_3	4-cyanophenyl	2-(CF_3)-4-fluorophenyl
i-Pr	SCF_3	4-bromophenyl	2-methyl-4-(CF_3)phenyl
c-Pr	$SCHF_2$	6-chloro-3-pyridinyl	2-chloro-4-(CF_3)phenyl
t-Bu	$S(O)CF_3$	6-fluoro-3-pyridinyl	2-(CF_3)-4-chlorophenyl
CF_3	SO_2CF_3	6-(CF_3)-3-pyridinyl	2,5-difluorophenyl
CH_2F	CO_2Me	4,6-dichloro-3-pyridinyl	2-fluoro-5-(CF_3)phenyl
CHF_2	CO_2Et	2-fluoro-6-chloro-3-pyridinyl	2-fluoro-5-chlorophenyl
OMe	cyano	2,6-dichloro-3-pyridinyl	2,5-dichlorophenyl
OEt	C(O)NHMe	2-bromo-5-chloro-4-pyridinyl	2-fluoro-5-(OCF_3)phenyl
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorophenyl	2-chloro-5-(CF_3)phenyl
OPh	C(=NOMe)Me	3-chloro-5-fluorophenyl	*N*-Me-4-pyrazolyl
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-chlorophenyl	3-Me-5-isoxazolyl
C≡CH	2-fluorophenyl	2,4-dichlorophenyl	6-(6-Cl-3-pyridinyl)-3-pyridinyl
phenyl	3-chlorophenyl	2,4-difluorophenyl	

R^c is Cl; R^a, R^b, R^e, R^{3a}, R^{3b} and R^{3c} are H; R^{3d} is Me; R^2 is 2-chloro-5-thiazolyl

R^d	R^d	R^d	R^d
H	O-*i*-Pr	3-(CF_3)phenyl	2-fluoro-4-cyanophenyl
F	$OCH_2CH=CH_2$	3-fluorophenyl	2-fluoro-4-chlorophenyl
Cl	$OCH_2C\equiv CH$	3-cyanophenyl	2-methyl-4-chlorophenyl
Br	O-*c*-Pr	3-(OCF_3)phenyl	2-fluoro-4-(CF_3)phenyl
I	OCF_3	4-fluorophenyl	2,4-bis(CF_3)phenyl
Me	$OCHF_2$	4-chlorophenyl	2-fluoro-4-bromophenyl
Et	OCH_2CF_3	4-(CF_3)phenyl	2-chloro-4-fluorophenyl
Pr	SCF_3	4-cyanophenyl	2-(CF_3)-4-fluorophenyl
i-Pr	SCF_3	4-bromophenyl	2-methyl-4-(CF_3)phenyl
c-Pr	$SCHF_2$	6-chloro-3-pyridinyl	2-chloro-4-(CF_3)phenyl
t-Bu	$S(O)CF_3$	6-fluoro-3-pyridinyl	2-(CF_3)-4-chlorophenyl
CF_3	SO_2CF_3	6-(CF_3)-3-pyridinyl	2,5-difluorophenyl
CH_2F	CO_2Me	4,6-dichloro-3-pyridinyl	2-fluoro-5-(CF_3)phenyl
CHF_2	CO_2Et	2-fluoro-6-chloro-3-pyridinyl	2-fluoro-5-chlorophenyl
OMe	cyano	2,6-dichloro-3-pyridinyl	2,5-dichlorophenyl
OEt	C(O)NHMe	2-bromo-5-chloro-4-pyridinyl	2-fluoro-5-(OCF_3)phenyl
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorophenyl	2-chloro-5-(CF_3)phenyl
OPh	C(=NOMe)Me	3-chloro-5-fluorophenyl	*N*-Me-4-pyrazolyl
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-chlorophenyl	3-Me-5-isoxazolyl
C≡CH	2-fluorophenyl	2,4-dichlorophenyl	6-(6-Cl-3-pyridinyl)-3-pyridinyl
phenyl	3-chlorophenyl	2,4-difluorophenyl	

TABLE 2

Table 2 is identical to Table 1, except that R^{3d} is OMe. For example, the first compound in Table 2 is the compound of Formula T-1 wherein R^a, R^b, R^c, R^d, R^e, R^{3a}, R^{3b} and R^{3c} are H; R^{3d} is OMe; and R^2 is 2-chloro-5-thiazolyl.

TABLE 2a

Table 2a is identical to Table 1, except that R^{3d} is Et. For example, the first compound in Table 2a is the compound of Formula T-1 wherein R^a, R^b, R^c, R^d, R^e, R^{3a}, R^{3b} and R^{3c} are H; R^{3d} is Et; and R^2 is 2-chloro-5-thiazolyl.

TABLE 3

Table 3 is identical to Table 1, except that R^{3d} is Cl. For example, the first compound in Table 3 is the compound of Formula T-1 wherein R^a, R^b, R^c, R^d, R^e, R^{3a}, R^{3b} and R^{3c} are H; R^{3d} is Cl; and R^2 is 2-chloro-5-thiazolyl.

TABLE 4

Table 4 is identical to Table 1, except that R^{3c} is F. For example, the first compound in Table 4 is the compound of Formula T-1 wherein R^a, R^b, R^c, R^d, R^e, R^{3a} and R^{3b} are H; R^{3c} is F; R^{3d} is Me; and R^2 is 2-chloro-5-thiazolyl.

TABLE 5

Table 5 is identical to Table 1, except that R^{3b} is F. For example, the first compound in Table 5 is the compound of Formula T-1 wherein R^a, R^b, R^c, R^d, R^e, R^{3a} and R^{3c} are H; R^{3b} is F; R^{3d} is Me; and R^2 is 2-chloro-5-thiazolyl.

TABLE 6

Table 6 is identical to Table 1, except that R^{3a} is F. For example, the first compound in Table 6 is the compound of Formula T-1 wherein R^a, R^b, R^c, R^d, R^e, R^{3b} and R^{3c} are H; R^{3a} is F; R^{3d} is Me; and R^2 is 2-chloro-5-thiazolyl.

TABLE 7

Table 7 is identical to Table 1, except that R^{3d} is Br. For example, the first compound in Table 7 is the compound of Formula T-1 wherein R^a, R^b, R^c, R^d, R^e, R^{3a}, R^{3b} and R^{3c} are H; R^{3d} is Br; and R^2 is 2-chloro-5-thiazolyl.

TABLE 8

Table 8 is identical to Table 1, except that R^2 is 6-chloro-3-pyridinyl. For example, the first compound in Table 8 is the compound of Formula T-1 wherein R^a, R^b, R^c, R^d, R^e, R^{3a}, R^{3b} and R^{3c} are H; R^{3d} is Me; and R^2 is 6-chloro-3-pyridinyl.

TABLE 8a

Table 8a is identical to Table 1, except that R^2 is 6-bromo-3-pyridinyl. For example, the first compound in Table 8a is the compound of Formula T-1 wherein R^a, R^b, R^c, R^d, R^e, R^{3a}, R^{3b} and R^{3c} are H; R^{3d} is Me; and R^2 is 6-bromo-3-pyridinyl.

TABLE 8b

Table 8b is identical to Table 1, except that R^2 is 6-methyl-3-pyridinyl. For example, the first compound in Table 8b is the compound of Formula T-1 wherein R^a, R^b, R^c, R^d, R^e, R^{3a}, R^{3b} and R^{3c} are H; R^{3d} is Me; and R^2 is 6-methyl-3-pyridinyl.

TABLE 8c

Table 8c is identical to Table 1, except that R^2 is 3-pyridinyl. For example, the first compound in Table 8c is the compound of Formula T-1 wherein R^a, R^b, R^c, R^d, R^e, R^{3a}, R^{3b} and R^{3c} are H; R^{3d} is Me; and R^2 is 3-pyridinyl.

TABLE 9

Table 9 is identical to Table 1, except that R^2 is 5-thiazolyl. For example, the first compound in Table 9 is the compound of Formula T-1 wherein R^a, R^b, R^c, R^d, R^e, R^{3a}, R^{3b} and R^{3c} are H; R^{3d} is Me; and R^2 is 5-thiazolyl.

TABLE 10

Table 10 is identical to Table 1, except that R^2 is 2-methyl-5-thiazolyl. For example, the first compound in Table 10 is the compound of Formula T-1 wherein R^a, R^b, R^c, R^d, R^e, R^{3a}, R^{3b} and R^{3c} are H; R^{3d} is Me; and R^2 is 2-methyl-5-thiazolyl.

TABLE 10a

Table 10a is identical to Table 1, except that R^2 is 2-methyl-5-oxazolyl. For example, the first compound in Table 10a is the compound of Formula T-1 wherein R^a, R^b, R^c, R^d, R^e, R^{3a}, R^{3b} and R^{3c} are H; R^{3d} is Me; and R^2 is 2-methyl-5-oxazolyl.

TABLE 11

Table 11 is identical to Table 1, except that R^2 is 6-fluoro-3-pyridinyl. For example, the first compound in Table 11 is the compound of Formula T-1 wherein R^a, R^b, R^c, R^d, R^e, R^{3a}, R^{3b} and R^{3c} are H; R^{3d} is Me; and R^2 is 6-fluoro-3-pyridinyl.

TABLE 12

Table 12 is identical to Table 1, except that R^2 is 2-bromo-5-thiazolyl. For example, the first compound in Table 12 is the compound of Formula T-1 wherein R^a, R^b, R^c, R^d, R^e, R^{3a}, R^{3b} and R^{3c} are H; R^{3d} is Me; and R^2 is 2-bromo-5-thiazolyl.

TABLE 12a

Table 12a is identical to Table 1, except that R^2 is 2-fluoro-5-thiazolyl. For example, the first compound in Table 12a is the compound of Formula T-1 wherein R^a, R^b, R^c, R^d, R^e, R^{3a}, R^{3b} and R^{3c} are H; R^{3d} is Me; and R^2 is 2-fluoro-5-thiazolyl.

TABLE 13

Table 13 is identical to Table 1, except that R^2 is 4-pyrimidinyl. For example, the first compound in Table 13 is the compound of Formula T-1 wherein R^a, R^b, R^c, R^d, R^e, R^{3a}, R^{3b} and R^{3c} are H; R^{3d} is Me; and R^2 is 4-pyrimidinyl.

TABLE 13a

Table 13a is identical to Table 1, except that R^2 is 2-methyl-4-pyrimidinyl. For example, the first compound in Table 13a is the compound of Formula T-1 wherein R^a, R^b, R^c, R^d, R^e, R^{3a}, R^{3b} and R^{3c} are H; R^{3d} is Me; and R^2 is 2-methyl-4-pyrimidinyl.

TABLE 14

Table 14 is identical to Table 1, except that R^2 is *N*-methyl-4-pyrazolyl. For example, the first compound in Table 14 is the compound of Formula T-1 wherein R^a, R^b, R^c, R^d, R^e, R^{3a}, R^{3b} and R^{3c} are H; R^{3d} is Me; and R^2 is *N*-methyl-4-pyrazolyl.

TABLE 15

Table 15 is identical to Table 1, except that R^2 is CF_3. For example, the first compound in Table 15 is the compound of Formula T-1 wherein R^a, R^b, R^c, R^d, R^e, R^{3a}, R^{3b} and R^{3c} are H; R^{3d} is Me; and R^2 is CF_3.

TABLE 16

Table 16 is identical to Table 1, except that R^{3c} and R^{3d} are taken together to form a phenyl ring. For example, the first compound in Table 16 is the compound shown immediately below wherein R^a, R^b, R^c, R^d, R^e, R^{3a} and R^{3b} are H; and R^2 is 2-chloro-5-thiazolyl.

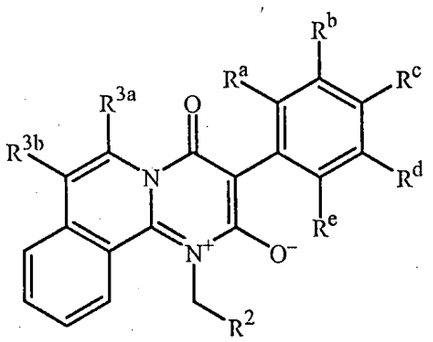
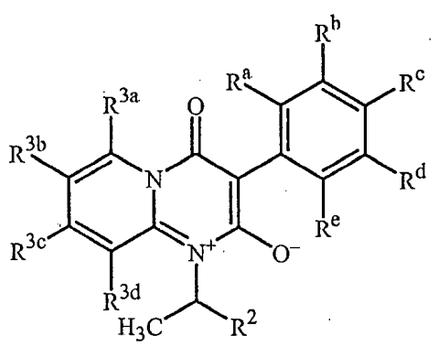


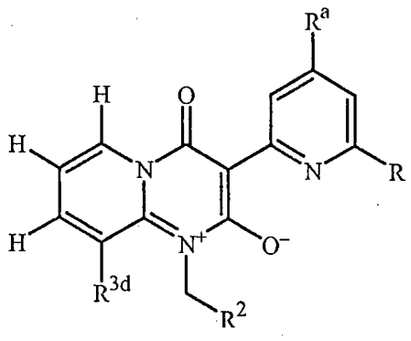
TABLE 17

Table 17 is identical to Table 1, except that the chemical structure under the Table 17 heading is replaced with the following structure:



For example, the first compound in Table 17 is the structure shown immediately above wherein R^a, R^b, R^c, R^d, R^e, R^{3a}, R^{3b} and R^{3c} are H; R^{3d} is Me; and R^2 is 2-chloro-5-thiazolyl.

TABLE 17a



R^2 is 2-chloro-5-thiazolyl; R^{3d} is Me

R^a	R	R^a	R
H	4-chloro-2-fluorophenyl	F	4-chloro-2-fluorophenyl
H	2-fluoro-4-(trifluoromethyl)phenyl	F	2-fluoro-4-(trifluoromethyl)phenyl
H	2-chloro-4-(trifluoromethyl)phenyl	F	2-chloro-4-(trifluoromethyl)phenyl
H	2-fluoro-5-(trifluoromethyl)phenyl	F	2-fluoro-5-(trifluoromethyl)phenyl
H	2-fluoro-5-(trifluoromethoxy)phenyl	F	2-fluoro-5-(trifluoromethoxy)phenyl
cyano	4-chloro-2-fluorophenyl	CH_3	4-chloro-2-fluorophenyl
cyano	2-fluoro-4-(trifluoromethyl)phenyl	CH_3	2-fluoro-4-(trifluoromethyl)phenyl
cyano	2-chloro-4-(trifluoromethyl)phenyl	CH_3	2-chloro-4-(trifluoromethyl)phenyl
cyano	2-fluoro-5-(trifluoromethyl)phenyl	CH_3	2-fluoro-5-(trifluoromethyl)phenyl
cyano	2-fluoro-5-(trifluoromethoxy)phenyl	CH_3	2-fluoro-5-(trifluoromethoxy)phenyl
OCH_3	4-chloro-2-fluorophenyl	OCH_3	2-chloro-4-(trifluoromethyl)phenyl
OCH_3	2-fluoro-4-(trifluoromethyl)phenyl	OCH_3	2-fluoro-5-(trifluoromethyl)phenyl
		OCH_3	2-fluoro-5-(trifluoromethoxy)phenyl

R^2 is 2-chloro-5-thiazolyl; R^{3d} is OMe

R^a	R	R^a	R
H	4-chloro-2-fluorophenyl	F	4-chloro-2-fluorophenyl
H	2-fluoro-4-(trifluoromethyl)phenyl	F	2-fluoro-4-(trifluoromethyl)phenyl
H	2-chloro-4-(trifluoromethyl)phenyl	F	2-chloro-4-(trifluoromethyl)phenyl
H	2-fluoro-5-(trifluoromethyl)phenyl	F	2-fluoro-5-(trifluoromethyl)phenyl
H	2-fluoro-5-(trifluoromethoxy)phenyl	F	2-fluoro-5-(trifluoromethoxy)phenyl
cyano	4-chloro-2-fluorophenyl	CH_3	4-chloro-2-fluorophenyl
cyano	2-fluoro-4-(trifluoromethyl)phenyl	CH_3	2-fluoro-4-(trifluoromethyl)phenyl
cyano	2-chloro-4-(trifluoromethyl)phenyl	CH_3	2-chloro-4-(trifluoromethyl)phenyl
cyano	2-fluoro-5-(trifluoromethyl)phenyl	CH_3	2-fluoro-5-(trifluoromethyl)phenyl
cyano	2-fluoro-5-(trifluoromethoxy)phenyl	CH_3	2-fluoro-5-(trifluoromethoxy)phenyl
OCH_3	4-chloro-2-fluorophenyl	OCH_3	2-chloro-4-(trifluoromethyl)phenyl

OCH_3	2-fluoro-4-(trifluoromethyl)phenyl	OCH_3	2-fluoro-5-(trifluoromethyl)phenyl
		OCH_3	2-fluoro-5-(trifluoromethoxy)phenyl

R^2 is 2-chloro-5-thiazolyl; R^{3d} is Cl

R^a	R	R^a	R
H	4-chloro-2-fluorophenyl	F	4-chloro-2-fluorophenyl
H	2-fluoro-4-(trifluoromethyl)phenyl	F	2-fluoro-4-(trifluoromethyl)phenyl
H	2-chloro-4-(trifluoromethyl)phenyl	F	2-chloro-4-(trifluoromethyl)phenyl
H	2-fluoro-5-(trifluoromethyl)phenyl	F	2-fluoro-5-(trifluoromethyl)phenyl
H	2-fluoro-5-(trifluoromethoxy)phenyl	F	2-fluoro-5-(trifluoromethoxy)phenyl
cyano	4-chloro-2-fluorophenyl	CH_3	4-chloro-2-fluorophenyl
cyano	2-fluoro-4-(trifluoromethyl)phenyl	CH_3	2-fluoro-4-(trifluoromethyl)phenyl
cyano	2-chloro-4-(trifluoromethyl)phenyl	CH_3	2-chloro-4-(trifluoromethyl)phenyl
cyano	2-fluoro-5-(trifluoromethyl)phenyl	CH_3	2-fluoro-5-(trifluoromethyl)phenyl
cyano	2-fluoro-5-(trifluoromethoxy)phenyl	CH_3	2-fluoro-5-(trifluoromethoxy)phenyl
OCH_3	4-chloro-2-fluorophenyl	OCH_3	2-chloro-4-(trifluoromethyl)phenyl
OCH_3	2-fluoro-4-(trifluoromethyl)phenyl	OCH_3	2-fluoro-5-(trifluoromethyl)phenyl
		OCH_3	2-fluoro-5-(trifluoromethoxy)phenyl

R^2 is 2-chloro-5-thiazolyl; R^{3d} is Br

R^a	R	R^a	R
H	4-chloro-2-fluorophenyl	F	4-chloro-2-fluorophenyl
H	2-fluoro-4-(trifluoromethyl)phenyl	F	2-fluoro-4-(trifluoromethyl)phenyl
H	2-chloro-4-(trifluoromethyl)phenyl	F	2-chloro-4-(trifluoromethyl)phenyl
H	2-fluoro-5-(trifluoromethyl)phenyl	F	2-fluoro-5-(trifluoromethyl)phenyl
H	2-fluoro-5-(trifluoromethoxy)phenyl	F	2-fluoro-5-(trifluoromethoxy)phenyl
cyano	4-chloro-2-fluorophenyl	CH_3	4-chloro-2-fluorophenyl
cyano	2-fluoro-4-(trifluoromethyl)phenyl	CH_3	2-fluoro-4-(trifluoromethyl)phenyl
cyano	2-chloro-4-(trifluoromethyl)phenyl	CH_3	2-chloro-4-(trifluoromethyl)phenyl
cyano	2-fluoro-5-(trifluoromethyl)phenyl	CH_3	2-fluoro-5-(trifluoromethyl)phenyl
cyano	2-fluoro-5-(trifluoromethoxy)phenyl	CH_3	2-fluoro-5-(trifluoromethoxy)phenyl
OCH_3	4-chloro-2-fluorophenyl	OCH_3	2-chloro-4-(trifluoromethyl)phenyl
OCH_3	2-fluoro-4-(trifluoromethyl)phenyl	OCH_3	2-fluoro-5-(trifluoromethyl)phenyl
		OCH_3	2-fluoro-5-(trifluoromethoxy)phenyl

R^2 is 2-bromo-5-thiazolyl; R^{3d} is Me

R^a	R	R^a	R
H	4-chloro-2-fluorophenyl	F	4-chloro-2-fluorophenyl

H	2-fluoro-4-(trifluoromethyl)phenyl	F	2-fluoro-4-(trifluoromethyl)phenyl
H	2-chloro-4-(trifluoromethyl)phenyl	F	2-chloro-4-(trifluoromethyl)phenyl
H	2-fluoro-5-(trifluoromethyl)phenyl	F	2-fluoro-5-(trifluoromethyl)phenyl
H	2-fluoro-5-(trifluoromethoxy)phenyl	F	2-fluoro-5-(trifluoromethoxy)phenyl
cyano	4-chloro-2-fluorophenyl	CH_3	4-chloro-2-fluorophenyl
cyano	2-fluoro-4-(trifluoromethyl)phenyl	CH_3	2-fluoro-4-(trifluoromethyl)phenyl
cyano	2-chloro-4-(trifluoromethyl)phenyl	CH_3	2-chloro-4-(trifluoromethyl)phenyl
cyano	2-fluoro-5-(trifluoromethyl)phenyl	CH_3	2-fluoro-5-(trifluoromethyl)phenyl
cyano	2-fluoro-5-(trifluoromethoxy)phenyl	CH_3	2-fluoro-5-(trifluoromethoxy)phenyl
OCH_3	4-chloro-2-fluorophenyl	OCH_3	2-chloro-4-(trifluoromethyl)phenyl
OCH_3	2-fluoro-4-(trifluoromethyl)phenyl	OCH_3	2-fluoro-5-(trifluoromethyl)phenyl
		OCH_3	2-fluoro-5-(trifluoromethoxy)phenyl

R^2 is 2-fluoro-5-thiazolyl; R^{3d} is Me

R^a	R	R^a	R
H	4-chloro-2-fluorophenyl	F	4-chloro-2-fluorophenyl
H	2-fluoro-4-(trifluoromethyl)phenyl	F	2-fluoro-4-(trifluoromethyl)phenyl
H	2-chloro-4-(trifluoromethyl)phenyl	F	2-chloro-4-(trifluoromethyl)phenyl
H	2-fluoro-5-(trifluoromethyl)phenyl	F	2-fluoro-5-(trifluoromethyl)phenyl
H	2-fluoro-5-(trifluoromethoxy)phenyl	F	2-fluoro-5-(trifluoromethoxy)phenyl
cyano	4-chloro-2-fluorophenyl	CH_3	4-chloro-2-fluorophenyl
cyano	2-fluoro-4-(trifluoromethyl)phenyl	CH_3	2-fluoro-4-(trifluoromethyl)phenyl
cyano	2-chloro-4-(trifluoromethyl)phenyl	CH_3	2-chloro-4-(trifluoromethyl)phenyl
cyano	2-fluoro-5-(trifluoromethyl)phenyl	CH_3	2-fluoro-5-(trifluoromethyl)phenyl
cyano	2-fluoro-5-(trifluoromethoxy)phenyl	CH_3	2-fluoro-5-(trifluoromethoxy)phenyl
OCH_3	4-chloro-2-fluorophenyl	OCH_3	2-chloro-4-(trifluoromethyl)phenyl
OCH_3	2-fluoro-4-(trifluoromethyl)phenyl	OCH_3	2-fluoro-5-(trifluoromethyl)phenyl
		OCH_3	2-fluoro-5-(trifluoromethoxy)phenyl

R^2 is 5-thiazolyl; R^{3d} is Me

R^a	R	R^a	R
H	4-chloro-2-fluorophenyl	F	4-chloro-2-fluorophenyl
H	2-fluoro-4-(trifluoromethyl)phenyl	F	2-fluoro-4-(trifluoromethyl)phenyl
H	2-chloro-4-(trifluoromethyl)phenyl	F	2-chloro-4-(trifluoromethyl)phenyl
H	2-fluoro-5-(trifluoromethyl)phenyl	F	2-fluoro-5-(trifluoromethyl)phenyl
H	2-fluoro-5-(trifluoromethoxy)phenyl	F	2-fluoro-5-(trifluoromethoxy)phenyl
cyano	4-chloro-2-fluorophenyl	CH_3	4-chloro-2-fluorophenyl
cyano	2-fluoro-4-(trifluoromethyl)phenyl	CH_3	2-fluoro-4-(trifluoromethyl)phenyl

cyano	2-chloro-4-(trifluoromethyl)phenyl	CH_3	2-chloro-4-(trifluoromethyl)phenyl
cyano	2-fluoro-5-(trifluoromethyl)phenyl	CH_3	2-fluoro-5-(trifluoromethyl)phenyl
cyano	2-fluoro-5-(trifluoromethoxy)phenyl	CH_3	2-fluoro-5-(trifluoromethoxy)phenyl
OCH_3	4-chloro-2-fluorophenyl	OCH_3	2-chloro-4-(trifluoromethyl)phenyl
OCH_3	2-fluoro-4-(trifluoromethyl)phenyl	OCH_3	2-fluoro-5-(trifluoromethyl)phenyl
		OCH_3	2-fluoro-5-(trifluoromethoxy)phenyl

R^2 is 2-methyl-5-thiazolyl; R^{3d} is Me

R^a	R	R^a	R
H	4-chloro-2-fluorophenyl	F	4-chloro-2-fluorophenyl
H	2-fluoro-4-(trifluoromethyl)phenyl	F	2-fluoro-4-(trifluoromethyl)phenyl
H	2-chloro-4-(trifluoromethyl)phenyl	F	2-chloro-4-(trifluoromethyl)phenyl
H	2-fluoro-5-(trifluoromethyl)phenyl	F	2-fluoro-5-(trifluoromethyl)phenyl
H	2-fluoro-5-(trifluoromethoxy)phenyl	F	2-fluoro-5-(trifluoromethoxy)phenyl
cyano	4-chloro-2-fluorophenyl	CH_3	4-chloro-2-fluorophenyl
cyano	2-fluoro-4-(trifluoromethyl)phenyl	CH_3	2-fluoro-4-(trifluoromethyl)phenyl
cyano	2-chloro-4-(trifluoromethyl)phenyl	CH_3	2-chloro-4-(trifluoromethyl)phenyl
cyano	2-fluoro-5-(trifluoromethyl)phenyl	CH_3	2-fluoro-5-(trifluoromethyl)phenyl
cyano	2-fluoro-5-(trifluoromethoxy)phenyl	CH_3	2-fluoro-5-(trifluoromethoxy)phenyl
OCH_3	4-chloro-2-fluorophenyl	OCH_3	2-chloro-4-(trifluoromethyl)phenyl
OCH_3	2-fluoro-4-(trifluoromethyl)phenyl	OCH_3	2-fluoro-5-(trifluoromethyl)phenyl
		OCH_3	2-fluoro-5-(trifluoromethoxy)phenyl

R^2 is 6-chloro-3-pyridinyl; R^{3d} is Me

R^a	R	R^a	R
H	4-chloro-2-fluorophenyl	F	4-chloro-2-fluorophenyl
H	2-fluoro-4-(trifluoromethyl)phenyl	F	2-fluoro-4-(trifluoromethyl)phenyl
H	2-chloro-4-(trifluoromethyl)phenyl	F	2-chloro-4-(trifluoromethyl)phenyl
H	2-fluoro-5-(trifluoromethyl)phenyl	F	2-fluoro-5-(trifluoromethyl)phenyl
H	2-fluoro-5-(trifluoromethoxy)phenyl	F	2-fluoro-5-(trifluoromethoxy)phenyl
cyano	4-chloro-2-fluorophenyl	CH_3	4-chloro-2-fluorophenyl
cyano	2-fluoro-4-(trifluoromethyl)phenyl	CH_3	2-fluoro-4-(trifluoromethyl)phenyl
cyano	2-chloro-4-(trifluoromethyl)phenyl	CH_3	2-chloro-4-(trifluoromethyl)phenyl
cyano	2-fluoro-5-(trifluoromethyl)phenyl	CH_3	2-fluoro-5-(trifluoromethyl)phenyl
cyano	2-fluoro-5-(trifluoromethoxy)phenyl	CH_3	2-fluoro-5-(trifluoromethoxy)phenyl
OCH_3	4-chloro-2-fluorophenyl	OCH_3	2-chloro-4-(trifluoromethyl)phenyl
OCH_3	2-fluoro-4-(trifluoromethyl)phenyl	OCH_3	2-fluoro-5-(trifluoromethyl)phenyl
		OCH_3	2-fluoro-5-(trifluoromethoxy)phenyl

R^2 is 6-fluoro-3-pyridinyl; R^{3d} is Me

R^a	R	R^a	R
H	4-chloro-2-fluorophenyl	F	4-chloro-2-fluorophenyl
H	2-fluoro-4-(trifluoromethyl)phenyl	F	2-fluoro-4-(trifluoromethyl)phenyl
H	2-chloro-4-(trifluoromethyl)phenyl	F	2-chloro-4-(trifluoromethyl)phenyl
H	2-fluoro-5-(trifluoromethyl)phenyl	F	2-fluoro-5-(trifluoromethyl)phenyl
H	2-fluoro-5-(trifluoromethoxy)phenyl	F	2-fluoro-5-(trifluoromethoxy)phenyl
cyano	4-chloro-2-fluorophenyl	CH_3	4-chloro-2-fluorophenyl
cyano	2-fluoro-4-(trifluoromethyl)phenyl	CH_3	2-fluoro-4-(trifluoromethyl)phenyl
cyano	2-chloro-4-(trifluoromethyl)phenyl	CH_3	2-chloro-4-(trifluoromethyl)phenyl
cyano	2-fluoro-5-(trifluoromethyl)phenyl	CH_3	2-fluoro-5-(trifluoromethyl)phenyl
cyano	2-fluoro-5-(trifluoromethoxy)phenyl	CH_3	2-fluoro-5-(trifluoromethoxy)phenyl
OCH_3	4-chloro-2-fluorophenyl	OCH_3	2-chloro-4-(trifluoromethyl)phenyl
OCH_3	2-fluoro-4-(trifluoromethyl)phenyl	OCH_3	2-fluoro-5-(trifluoromethyl)phenyl
		OCH_3	2-fluoro-5-(trifluoromethoxy)phenyl

R^2 is 6-bromo-3-pyridinyl; R^{3d} is Me

R^a	R	R^a	R
H	4-chloro-2-fluorophenyl	F	4-chloro-2-fluorophenyl
H	2-fluoro-4-(trifluoromethyl)phenyl	F	2-fluoro-4-(trifluoromethyl)phenyl
H	2-chloro-4-(trifluoromethyl)phenyl	F	2-chloro-4-(trifluoromethyl)phenyl
H	2-fluoro-5-(trifluoromethyl)phenyl	F	2-fluoro-5-(trifluoromethyl)phenyl
H	2-fluoro-5-(trifluoromethoxy)phenyl	F	2-fluoro-5-(trifluoromethoxy)phenyl
cyano	4-chloro-2-fluorophenyl	CH_3	4-chloro-2-fluorophenyl
cyano	2-fluoro-4-(trifluoromethyl)phenyl	CH_3	2-fluoro-4-(trifluoromethyl)phenyl
cyano	2-chloro-4-(trifluoromethyl)phenyl	CH_3	2-chloro-4-(trifluoromethyl)phenyl
cyano	2-fluoro-5-(trifluoromethyl)phenyl	CH_3	2-fluoro-5-(trifluoromethyl)phenyl
cyano	2-fluoro-5-(trifluoromethoxy)phenyl	CH_3	2-fluoro-5-(trifluoromethoxy)phenyl
OCH_3	4-chloro-2-fluorophenyl	OCH_3	2-chloro-4-(trifluoromethyl)phenyl
OCH_3	2-fluoro-4-(trifluoromethyl)phenyl	OCH_3	2-fluoro-5-(trifluoromethyl)phenyl
		OCH_3	2-fluoro-5-(trifluoromethoxy)phenyl

5

R^2 is 6-methyl-3-pyridinyl; R^{3d} is Me

R^a	R	R^a	R
H	4-chloro-2-fluorophenyl	F	4-chloro-2-fluorophenyl
H	2-fluoro-4-(trifluoromethyl)phenyl	F	2-fluoro-4-(trifluoromethyl)phenyl
H	2-chloro-4-(trifluoromethyl)phenyl	F	2-chloro-4-(trifluoromethyl)phenyl

H	2-fluoro-5-(trifluoromethyl)phenyl	F	2-fluoro-5-(trifluoromethyl)phenyl
H	2-fluoro-5-(trifluoromethoxy)phenyl	F	2-fluoro-5-(trifluoromethoxy)phenyl
cyano	4-chloro-2-fluorophenyl	CH_3	4-chloro-2-fluorophenyl
cyano	2-fluoro-4-(trifluoromethyl)phenyl	CH_3	2-fluoro-4-(trifluoromethyl)phenyl
cyano	2-chloro-4-(trifluoromethyl)phenyl	CH_3	2-chloro-4-(trifluoromethyl)phenyl
cyano	2-fluoro-5-(trifluoromethyl)phenyl	CH_3	2-fluoro-5-(trifluoromethyl)phenyl
cyano	2-fluoro-5-(trifluoromethoxy)phenyl	CH_3	2-fluoro-5-(trifluoromethoxy)phenyl
OCH_3	4-chloro-2-fluorophenyl	OCH_3	2-chloro-4-(trifluoromethyl)phenyl
OCH_3	2-fluoro-4-(trifluoromethyl)phenyl	OCH_3	2-fluoro-5-(trifluoromethyl)phenyl
		OCH_3	2-fluoro-5-(trifluoromethoxy)phenyl

R^2 is 3-pyridinyl; R^{3d} is Me

R^a	R	R^a	R
H	4-chloro-2-fluorophenyl	F	4-chloro-2-fluorophenyl
H	2-fluoro-4-(trifluoromethyl)phenyl	F	2-fluoro-4-(trifluoromethyl)phenyl
H	2-chloro-4-(trifluoromethyl)phenyl	F	2-chloro-4-(trifluoromethyl)phenyl
H	2-fluoro-5-(trifluoromethyl)phenyl	F	2-fluoro-5-(trifluoromethyl)phenyl
H	2-fluoro-5-(trifluoromethoxy)phenyl	F	2-fluoro-5-(trifluoromethoxy)phenyl
cyano	4-chloro-2-fluorophenyl	CH_3	4-chloro-2-fluorophenyl
cyano	2-fluoro-4-(trifluoromethyl)phenyl	CH_3	2-fluoro-4-(trifluoromethyl)phenyl
cyano	2-chloro-4-(trifluoromethyl)phenyl	CH_3	2-chloro-4-(trifluoromethyl)phenyl
cyano	2-fluoro-5-(trifluoromethyl)phenyl	CH_3	2-fluoro-5-(trifluoromethyl)phenyl
cyano	2-fluoro-5-(trifluoromethoxy)phenyl	CH_3	2-fluoro-5-(trifluoromethoxy)phenyl
OCH_3	4-chloro-2-fluorophenyl	OCH_3	2-chloro-4-(trifluoromethyl)phenyl
OCH_3	2-fluoro-4-(trifluoromethyl)phenyl	OCH_3	2-fluoro-5-(trifluoromethyl)phenyl
		OCH_3	2-fluoro-5-(trifluoromethoxy)phenyl

R^2 is 4-pyrimidinyl; R^{3d} is Me

R^a	R	R^a	R
H	4-chloro-2-fluorophenyl	F	4-chloro-2-fluorophenyl
H	2-fluoro-4-(trifluoromethyl)phenyl	F	2-fluoro-4-(trifluoromethyl)phenyl
H	2-chloro-4-(trifluoromethyl)phenyl	F	2-chloro-4-(trifluoromethyl)phenyl
H	2-fluoro-5-(trifluoromethyl)phenyl	F	2-fluoro-5-(trifluoromethyl)phenyl
H	2-fluoro-5-(trifluoromethoxy)phenyl	F	2-fluoro-5-(trifluoromethoxy)phenyl
cyano	4-chloro-2-fluorophenyl	CH_3	4-chloro-2-fluorophenyl
cyano	2-fluoro-4-(trifluoromethyl)phenyl	CH_3	2-fluoro-4-(trifluoromethyl)phenyl
cyano	2-chloro-4-(trifluoromethyl)phenyl	CH_3	2-chloro-4-(trifluoromethyl)phenyl
cyano	2-fluoro-5-(trifluoromethyl)phenyl	CH_3	2-fluoro-5-(trifluoromethyl)phenyl

cyano	2-fluoro-5-(trifluoromethoxy)phenyl	CH_3	2-fluoro-5-(trifluoromethoxy)phenyl
OCH_3	4-chloro-2-fluorophenyl	OCH_3	2-chloro-4-(trifluoromethyl)phenyl
OCH_3	2-fluoro-4-(trifluoromethyl)phenyl	OCH_3	2-fluoro-5-(trifluoromethyl)phenyl
		OCH_3	2-fluoro-5-(trifluoromethoxy)phenyl

R^2 is 2-methyl-4-pyrimidinyl; R^{3d} is Me

R^a	R	R^a	R
H	4-chloro-2-fluorophenyl	F	4-chloro-2-fluorophenyl
H	2-fluoro-4-(trifluoromethyl)phenyl	F	2-fluoro-4-(trifluoromethyl)phenyl
H	2-chloro-4-(trifluoromethyl)phenyl	F	2-chloro-4-(trifluoromethyl)phenyl
H	2-fluoro-5-(trifluoromethyl)phenyl	F	2-fluoro-5-(trifluoromethyl)phenyl
H	2-fluoro-5-(trifluoromethoxy)phenyl	F	2-fluoro-5-(trifluoromethoxy)phenyl
cyano	4-chloro-2-fluorophenyl	CH_3	4-chloro-2-fluorophenyl
cyano	2-fluoro-4-(trifluoromethyl)phenyl	CH_3	2-fluoro-4-(trifluoromethyl)phenyl
cyano	2-chloro-4-(trifluoromethyl)phenyl	CH_3	2-chloro-4-(trifluoromethyl)phenyl
cyano	2-fluoro-5-(trifluoromethyl)phenyl	CH_3	2-fluoro-5-(trifluoromethyl)phenyl
cyano	2-fluoro-5-(trifluoromethoxy)phenyl	CH_3	2-fluoro-5-(trifluoromethoxy)phenyl
OCH_3	4-chloro-2-fluorophenyl	OCH_3	2-chloro-4-(trifluoromethyl)phenyl
OCH_3	2-fluoro-4-(trifluoromethyl)phenyl	OCH_3	2-fluoro-5-(trifluoromethyl)phenyl
		OCH_3	2-fluoro-5-(trifluoromethoxy)phenyl

R^2 is *N*-methyl-4-pyrazolyl; R^{3d} is Me

R^a	R	R^a	R
H	4-chloro-2-fluorophenyl	F	4-chloro-2-fluorophenyl
H	2-fluoro-4-(trifluoromethyl)phenyl	F	2-fluoro-4-(trifluoromethyl)phenyl
H	2-chloro-4-(trifluoromethyl)phenyl	F	2-chloro-4-(trifluoromethyl)phenyl
H	2-fluoro-5-(trifluoromethyl)phenyl	F	2-fluoro-5-(trifluoromethyl)phenyl
H	2-fluoro-5-(trifluoromethoxy)phenyl	F	2-fluoro-5-(trifluoromethoxy)phenyl
cyano	4-chloro-2-fluorophenyl	CH_3	4-chloro-2-fluorophenyl
cyano	2-fluoro-4-(trifluoromethyl)phenyl	CH_3	2-fluoro-4-(trifluoromethyl)phenyl
cyano	2-chloro-4-(trifluoromethyl)phenyl	CH_3	2-chloro-4-(trifluoromethyl)phenyl
cyano	2-fluoro-5-(trifluoromethyl)phenyl	CH_3	2-fluoro-5-(trifluoromethyl)phenyl
cyano	2-fluoro-5-(trifluoromethoxy)phenyl	CH_3	2-fluoro-5-(trifluoromethoxy)phenyl
OCH_3	4-chloro-2-fluorophenyl	OCH_3	2-chloro-4-(trifluoromethyl)phenyl
OCH_3	2-fluoro-4-(trifluoromethyl)phenyl	OCH_3	2-fluoro-5-(trifluoromethyl)phenyl
		OCH_3	2-fluoro-5-(trifluoromethoxy)phenyl

5

R^2 is CF_3; R^{3d} is Me

R^a	R	R^a	R
H	4-chloro-2-fluorophenyl	F	4-chloro-2-fluorophenyl
H	2-fluoro-4-(trifluoromethyl)phenyl	F	2-fluoro-4-(trifluoromethyl)phenyl
H	2-chloro-4-(trifluoromethyl)phenyl	F	2-chloro-4-(trifluoromethyl)phenyl
H	2-fluoro-5-(trifluoromethyl)phenyl	F	2-fluoro-5-(trifluoromethyl)phenyl
H	2-fluoro-5-(trifluoromethoxy)phenyl	F	2-fluoro-5-(trifluoromethoxy)phenyl
cyano	4-chloro-2-fluorophenyl	CH_3	4-chloro-2-fluorophenyl
cyano	2-fluoro-4-(trifluoromethyl)phenyl	CH_3	2-fluoro-4-(trifluoromethyl)phenyl
cyano	2-chloro-4-(trifluoromethyl)phenyl	CH_3	2-chloro-4-(trifluoromethyl)phenyl
cyano	2-fluoro-5-(trifluoromethyl)phenyl	CH_3	2-fluoro-5-(trifluoromethyl)phenyl
cyano	2-fluoro-5-(trifluoromethoxy)phenyl	CH_3	2-fluoro-5-(trifluoromethoxy)phenyl
OCH_3	4-chloro-2-fluorophenyl	OCH_3	2-chloro-4-(trifluoromethyl)phenyl
OCH_3	2-fluoro-4-(trifluoromethyl)phenyl	OCH_3	2-fluoro-5-(trifluoromethyl)phenyl
		OCH_3	2-fluoro-5-(trifluoromethoxy)phenyl

R^2 is CH_2CF_3; R^{3d} is Me

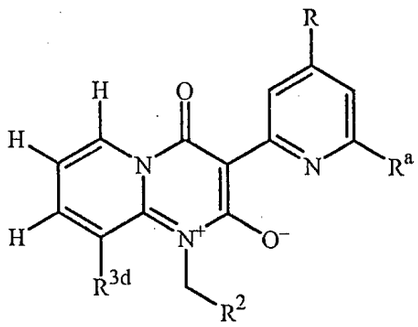
R^a	R	R^a	R
H	4-chloro-2-fluorophenyl	F	4-chloro-2-fluorophenyl
H	2-fluoro-4-(trifluoromethyl)phenyl	F	2-fluoro-4-(trifluoromethyl)phenyl
H	2-chloro-4-(trifluoromethyl)phenyl	F	2-chloro-4-(trifluoromethyl)phenyl
H	2-fluoro-5-(trifluoromethyl)phenyl	F	2-fluoro-5-(trifluoromethyl)phenyl
H	2-fluoro-5-(trifluoromethoxy)phenyl	F	2-fluoro-5-(trifluoromethoxy)phenyl
cyano	4-chloro-2-fluorophenyl	CH_3	4-chloro-2-fluorophenyl
cyano	2-fluoro-4-(trifluoromethyl)phenyl	CH_3	2-fluoro-4-(trifluoromethyl)phenyl
cyano	2-chloro-4-(trifluoromethyl)phenyl	CH_3	2-chloro-4-(trifluoromethyl)phenyl
cyano	2-fluoro-5-(trifluoromethyl)phenyl	CH_3	2-fluoro-5-(trifluoromethyl)phenyl
cyano	2-fluoro-5-(trifluoromethoxy)phenyl	CH_3	2-fluoro-5-(trifluoromethoxy)phenyl
OCH_3	4-chloro-2-fluorophenyl	OCH_3	2-chloro-4-(trifluoromethyl)phenyl
OCH_3	2-fluoro-4-(trifluoromethyl)phenyl	OCH_3	2-fluoro-5-(trifluoromethyl)phenyl
		OCH_3	2-fluoro-5-(trifluoromethoxy)phenyl

R^2 is $CH_2CFClCHF_2$; R^{3d} is Me

R^a	R	R^a	R
H	4-chloro-2-fluorophenyl	F	4-chloro-2-fluorophenyl
H	2-fluoro-4-(trifluoromethyl)phenyl	F	2-fluoro-4-(trifluoromethyl)phenyl
H	2-chloro-4-(trifluoromethyl)phenyl	F	2-chloro-4-(trifluoromethyl)phenyl
H	2-fluoro-5-(trifluoromethyl)phenyl	F	2-fluoro-5-(trifluoromethyl)phenyl

H	2-fluoro-5-(trifluoromethoxy)phenyl	F	2-fluoro-5-(trifluoromethoxy)phenyl
cyano	4-chloro-2-fluorophenyl	CH_3	4-chloro-2-fluorophenyl
cyano	2-fluoro-4-(trifluoromethyl)phenyl	CH_3	2-fluoro-4-(trifluoromethyl)phenyl
cyano	2-chloro-4-(trifluoromethyl)phenyl	CH_3	2-chloro-4-(trifluoromethyl)phenyl
cyano	2-fluoro-5-(trifluoromethyl)phenyl	CH_3	2-fluoro-5-(trifluoromethyl)phenyl
cyano	2-fluoro-5-(trifluoromethoxy)phenyl	CH_3	2-fluoro-5-(trifluoromethoxy)phenyl
OCH_3	4-chloro-2-fluorophenyl	OCH_3	2-chloro-4-(trifluoromethyl)phenyl
OCH_3	2-fluoro-4-(trifluoromethyl)phenyl	OCH_3	2-fluoro-5-(trifluoromethyl)phenyl
		OCH_3	2-fluoro-5-(trifluoromethoxy)phenyl

TABLE 17b



R^2 is 2-chloro-5-thiazolyl; R^{3d} is Me

R^a	R	R^a	R
H	4-chloro-2-fluorophenyl	F	4-chloro-2-fluorophenyl
H	2-fluoro-4-(trifluoromethyl)phenyl	F	2-fluoro-4-(trifluoromethyl)phenyl
H	2-chloro-4-(trifluoromethyl)phenyl	F	2-chloro-4-(trifluoromethyl)phenyl
H	2-fluoro-5-(trifluoromethyl)phenyl	F	2-fluoro-5-(trifluoromethyl)phenyl
H	2-fluoro-5-(trifluoromethoxy)phenyl	F	2-fluoro-5-(trifluoromethoxy)phenyl
cyano	4-chloro-2-fluorophenyl	CH_3	4-chloro-2-fluorophenyl
cyano	2-fluoro-4-(trifluoromethyl)phenyl	CH_3	2-fluoro-4-(trifluoromethyl)phenyl
cyano	2-chloro-4-(trifluoromethyl)phenyl	CH_3	2-chloro-4-(trifluoromethyl)phenyl
cyano	2-fluoro-5-(trifluoromethyl)phenyl	CH_3	2-fluoro-5-(trifluoromethyl)phenyl
cyano	2-fluoro-5-(trifluoromethoxy)phenyl	CH_3	2-fluoro-5-(trifluoromethoxy)phenyl
OCH_3	4-chloro-2-fluorophenyl	OCH_3	2-chloro-4-(trifluoromethyl)phenyl
OCH_3	2-fluoro-4-(trifluoromethyl)phenyl	OCH_3	2-fluoro-5-(trifluoromethyl)phenyl
		OCH_3	2-fluoro-5-(trifluoromethoxy)phenyl

5

R^2 is 2-chloro-5-thiazolyl; R^{3d} is OMe

R^a	R	R^a	R
H	4-chloro-2-fluorophenyl	F	4-chloro-2-fluorophenyl
H	2-fluoro-4-(trifluoromethyl)phenyl	F	2-fluoro-4-(trifluoromethyl)phenyl
H	2-chloro-4-(trifluoromethyl)phenyl	F	2-chloro-4-(trifluoromethyl)phenyl
H	2-fluoro-5-(trifluoromethyl)phenyl	F	2-fluoro-5-(trifluoromethyl)phenyl
H	2-fluoro-5-(trifluoromethoxy)phenyl	F	2-fluoro-5-(trifluoromethoxy)phenyl
cyano	4-chloro-2-fluorophenyl	CH_3	4-chloro-2-fluorophenyl
cyano	2-fluoro-4-(trifluoromethyl)phenyl	CH_3	2-fluoro-4-(trifluoromethyl)phenyl
cyano	2-chloro-4-(trifluoromethyl)phenyl	CH_3	2-chloro-4-(trifluoromethyl)phenyl
cyano	2-fluoro-5-(trifluoromethyl)phenyl	CH_3	2-fluoro-5-(trifluoromethyl)phenyl
cyano	2-fluoro-5-(trifluoromethoxy)phenyl	CH_3	2-fluoro-5-(trifluoromethoxy)phenyl
OCH_3	4-chloro-2-fluorophenyl	OCH_3	2-chloro-4-(trifluoromethyl)phenyl
OCH_3	2-fluoro-4-(trifluoromethyl)phenyl	OCH_3	2-fluoro-5-(trifluoromethyl)phenyl
		OCH_3	2-fluoro-5-(trifluoromethoxy)phenyl

R^2 is 2-chloro-5-thiazolyl; R^{3d} is Cl

R^a	R	R^a	R
H	4-chloro-2-fluorophenyl	F	4-chloro-2-fluorophenyl
H	2-fluoro-4-(trifluoromethyl)phenyl	F	2-fluoro-4-(trifluoromethyl)phenyl
H	2-chloro-4-(trifluoromethyl)phenyl	F	2-chloro-4-(trifluoromethyl)phenyl
H	2-fluoro-5-(trifluoromethyl)phenyl	F	2-fluoro-5-(trifluoromethyl)phenyl
H	2-fluoro-5-(trifluoromethoxy)phenyl	F	2-fluoro-5-(trifluoromethoxy)phenyl
cyano	4-chloro-2-fluorophenyl	CH_3	4-chloro-2-fluorophenyl
cyano	2-fluoro-4-(trifluoromethyl)phenyl	CH_3	2-fluoro-4-(trifluoromethyl)phenyl
cyano	2-chloro-4-(trifluoromethyl)phenyl	CH_3	2-chloro-4-(trifluoromethyl)phenyl
cyano	2-fluoro-5-(trifluoromethyl)phenyl	CH_3	2-fluoro-5-(trifluoromethyl)phenyl
cyano	2-fluoro-5-(trifluoromethoxy)phenyl	CH_3	2-fluoro-5-(trifluoromethoxy)phenyl
OCH_3	4-chloro-2-fluorophenyl	OCH_3	2-chloro-4-(trifluoromethyl)phenyl
OCH_3	2-fluoro-4-(trifluoromethyl)phenyl	OCH_3	2-fluoro-5-(trifluoromethyl)phenyl
		OCH_3	2-fluoro-5-(trifluoromethoxy)phenyl

R^2 is 2-chloro-5-thiazolyl; R^{3d} is Br

R^a	R	R^a	R
H	4-chloro-2-fluorophenyl	F	4-chloro-2-fluorophenyl
H	2-fluoro-4-(trifluoromethyl)phenyl	F	2-fluoro-4-(trifluoromethyl)phenyl
H	2-chloro-4-(trifluoromethyl)phenyl	F	2-chloro-4-(trifluoromethyl)phenyl
H	2-fluoro-5-(trifluoromethyl)phenyl	F	2-fluoro-5-(trifluoromethyl)phenyl

H	2-fluoro-5-(trifluoromethoxy)phenyl	F	2-fluoro-5-(trifluoromethoxy)phenyl
cyano	4-chloro-2-fluorophenyl	CH_3	4-chloro-2-fluorophenyl
cyano	2-fluoro-4-(trifluoromethyl)phenyl	CH_3	2-fluoro-4-(trifluoromethyl)phenyl
cyano	2-chloro-4-(trifluoromethyl)phenyl	CH_3	2-chloro-4-(trifluoromethyl)phenyl
cyano	2-fluoro-5-(trifluoromethyl)phenyl	CH_3	2-fluoro-5-(trifluoromethyl)phenyl
cyano	2-fluoro-5-(trifluoromethoxy)phenyl	CH_3	2-fluoro-5-(trifluoromethoxy)phenyl
OCH_3	4-chloro-2-fluorophenyl	OCH_3	2-chloro-4-(trifluoromethyl)phenyl
OCH_3	2-fluoro-4-(trifluoromethyl)phenyl	OCH_3	2-fluoro-5-(trifluoromethyl)phenyl
		OCH_3	2-fluoro-5-(trifluoromethoxy)phenyl

R^2 is 2-bromo-5-thiazolyl; R^{3d} is Me

R^a	R	R^a	R
H	4-chloro-2-fluorophenyl	F	4-chloro-2-fluorophenyl
H	2-fluoro-4-(trifluoromethyl)phenyl	F	2-fluoro-4-(trifluoromethyl)phenyl
H	2-chloro-4-(trifluoromethyl)phenyl	F	2-chloro-4-(trifluoromethyl)phenyl
H	2-fluoro-5-(trifluoromethyl)phenyl	F	2-fluoro-5-(trifluoromethyl)phenyl
H	2-fluoro-5-(trifluoromethoxy)phenyl	F	2-fluoro-5-(trifluoromethoxy)phenyl
cyano	4-chloro-2-fluorophenyl	CH_3	4-chloro-2-fluorophenyl
cyano	2-fluoro-4-(trifluoromethyl)phenyl	CH_3	2-fluoro-4-(trifluoromethyl)phenyl
cyano	2-chloro-4-(trifluoromethyl)phenyl	CH_3	2-chloro-4-(trifluoromethyl)phenyl
cyano	2-fluoro-5-(trifluoromethyl)phenyl	CH_3	2-fluoro-5-(trifluoromethyl)phenyl
cyano	2-fluoro-5-(trifluoromethoxy)phenyl	CH_3	2-fluoro-5-(trifluoromethoxy)phenyl
OCH_3	4-chloro-2-fluorophenyl	OCH_3	2-chloro-4-(trifluoromethyl)phenyl
OCH_3	2-fluoro-4-(trifluoromethyl)phenyl	OCH_3	2-fluoro-5-(trifluoromethyl)phenyl
		OCH_3	2-fluoro-5-(trifluoromethoxy)phenyl

R^2 is 2-fluoro-5-thiazolyl; R^{3d} is Me

R^a	R	R^a	R
H	4-chloro-2-fluorophenyl	F	4-chloro-2-fluorophenyl
H	2-fluoro-4-(trifluoromethyl)phenyl	F	2-fluoro-4-(trifluoromethyl)phenyl
H	2-chloro-4-(trifluoromethyl)phenyl	F	2-chloro-4-(trifluoromethyl)phenyl
H	2-fluoro-5-(trifluoromethyl)phenyl	F	2-fluoro-5-(trifluoromethyl)phenyl
H	2-fluoro-5-(trifluoromethoxy)phenyl	F	2-fluoro-5-(trifluoromethoxy)phenyl
cyano	4-chloro-2-fluorophenyl	CH_3	4-chloro-2-fluorophenyl
cyano	2-fluoro-4-(trifluoromethyl)phenyl	CH_3	2-fluoro-4-(trifluoromethyl)phenyl
cyano	2-chloro-4-(trifluoromethyl)phenyl	CH_3	2-chloro-4-(trifluoromethyl)phenyl
cyano	2-fluoro-5-(trifluoromethyl)phenyl	CH_3	2-fluoro-5-(trifluoromethyl)phenyl
cyano	2-fluoro-5-(trifluoromethoxy)phenyl	CH_3	2-fluoro-5-(trifluoromethoxy)phenyl

OCH_3	4-chloro-2-fluorophenyl	OCH_3	2-chloro-4-(trifluoromethyl)phenyl
OCH_3	2-fluoro-4-(trifluoromethyl)phenyl	OCH_3	2-fluoro-5-(trifluoromethyl)phenyl
		OCH_3	2-fluoro-5-(trifluoromethoxy)phenyl

R^2 is 5-thiazolyl; R^{3d} is Me

R^a	R	R^a	R
H	4-chloro-2-fluorophenyl	F	4-chloro-2-fluorophenyl
H	2-fluoro-4-(trifluoromethyl)phenyl	F	2-fluoro-4-(trifluoromethyl)phenyl
H	2-chloro-4-(trifluoromethyl)phenyl	F	2-chloro-4-(trifluoromethyl)phenyl
H	2-fluoro-5-(trifluoromethyl)phenyl	F	2-fluoro-5-(trifluoromethyl)phenyl
H	2-fluoro-5-(trifluoromethoxy)phenyl	F	2-fluoro-5-(trifluoromethoxy)phenyl
cyano	4-chloro-2-fluorophenyl	CH_3	4-chloro-2-fluorophenyl
cyano	2-fluoro-4-(trifluoromethyl)phenyl	CH_3	2-fluoro-4-(trifluoromethyl)phenyl
cyano	2-chloro-4-(trifluoromethyl)phenyl	CH_3	2-chloro-4-(trifluoromethyl)phenyl
cyano	2-fluoro-5-(trifluoromethyl)phenyl	CH_3	2-fluoro-5-(trifluoromethyl)phenyl
cyano	2-fluoro-5-(trifluoromethoxy)phenyl	CH_3	2-fluoro-5-(trifluoromethoxy)phenyl
OCH_3	4-chloro-2-fluorophenyl	OCH_3	2-chloro-4-(trifluoromethyl)phenyl
OCH_3	2-fluoro-4-(trifluoromethyl)phenyl	OCH_3	2-fluoro-5-(trifluoromethyl)phenyl
		OCH_3	2-fluoro-5-(trifluoromethoxy)phenyl

R^2 is 2-methyl-5-thiazolyl; R^{3d} is Me

R^a	R	R^a	R
H	4-chloro-2-fluorophenyl	F	4-chloro-2-fluorophenyl
H	2-fluoro-4-(trifluoromethyl)phenyl	F	2-fluoro-4-(trifluoromethyl)phenyl
H	2-chloro-4-(trifluoromethyl)phenyl	F	2-chloro-4-(trifluoromethyl)phenyl
H	2-fluoro-5-(trifluoromethyl)phenyl	F	2-fluoro-5-(trifluoromethyl)phenyl
H	2-fluoro-5-(trifluoromethoxy)phenyl	F	2-fluoro-5-(trifluoromethoxy)phenyl
cyano	4-chloro-2-fluorophenyl	CH_3	4-chloro-2-fluorophenyl
cyano	2-fluoro-4-(trifluoromethyl)phenyl	CH_3	2-fluoro-4-(trifluoromethyl)phenyl
cyano	2-chloro-4-(trifluoromethyl)phenyl	CH_3	2-chloro-4-(trifluoromethyl)phenyl
cyano	2-fluoro-5-(trifluoromethyl)phenyl	CH_3	2-fluoro-5-(trifluoromethyl)phenyl
cyano	2-fluoro-5-(trifluoromethoxy)phenyl	CH_3	2-fluoro-5-(trifluoromethoxy)phenyl
OCH_3	4-chloro-2-fluorophenyl	OCH_3	2-chloro-4-(trifluoromethyl)phenyl
OCH_3	2-fluoro-4-(trifluoromethyl)phenyl	OCH_3	2-fluoro-5-(trifluoromethyl)phenyl
		OCH_3	2-fluoro-5-(trifluoromethoxy)phenyl

5

R^2 is 6-chloro-3-pyridinyl; R^{3d} is Me

R^a	R	R^a	R
H	4-chloro-2-fluorophenyl	F	4-chloro-2-fluorophenyl
H	2-fluoro-4-(trifluoromethyl)phenyl	F	2-fluoro-4-(trifluoromethyl)phenyl
H	2-chloro-4-(trifluoromethyl)phenyl	F	2-chloro-4-(trifluoromethyl)phenyl
H	2-fluoro-5-(trifluoromethyl)phenyl	F	2-fluoro-5-(trifluoromethyl)phenyl
H	2-fluoro-5-(trifluoromethoxy)phenyl	F	2-fluoro-5-(trifluoromethoxy)phenyl
cyano	4-chloro-2-fluorophenyl	CH_3	4-chloro-2-fluorophenyl
cyano	2-fluoro-4-(trifluoromethyl)phenyl	CH_3	2-fluoro-4-(trifluoromethyl)phenyl
cyano	2-chloro-4-(trifluoromethyl)phenyl	CH_3	2-chloro-4-(trifluoromethyl)phenyl
cyano	2-fluoro-5-(trifluoromethyl)phenyl	CH_3	2-fluoro-5-(trifluoromethyl)phenyl
cyano	2-fluoro-5-(trifluoromethoxy)phenyl	CH_3	2-fluoro-5-(trifluoromethoxy)phenyl
OCH_3	4-chloro-2-fluorophenyl	OCH_3	2-chloro-4-(trifluoromethyl)phenyl
OCH_3	2-fluoro-4-(trifluoromethyl)phenyl	OCH_3	2-fluoro-5-(trifluoromethyl)phenyl
		OCH_3	2-fluoro-5-(trifluoromethoxy)phenyl

R^2 is 6-fluoro-3-pyridinyl; R^{3d} is Me

R^a	R	R^a	R
H	4-chloro-2-fluorophenyl	F	4-chloro-2-fluorophenyl
H	2-fluoro-4-(trifluoromethyl)phenyl	F	2-fluoro-4-(trifluoromethyl)phenyl
H	2-chloro-4-(trifluoromethyl)phenyl	F	2-chloro-4-(trifluoromethyl)phenyl
H	2-fluoro-5-(trifluoromethyl)phenyl	F	2-fluoro-5-(trifluoromethyl)phenyl
H	2-fluoro-5-(trifluoromethoxy)phenyl	F	2-fluoro-5-(trifluoromethoxy)phenyl
cyano	4-chloro-2-fluorophenyl	CH_3	4-chloro-2-fluorophenyl
cyano	2-fluoro-4-(trifluoromethyl)phenyl	CH_3	2-fluoro-4-(trifluoromethyl)phenyl
cyano	2-chloro-4-(trifluoromethyl)phenyl	CH_3	2-chloro-4-(trifluoromethyl)phenyl
cyano	2-fluoro-5-(trifluoromethyl)phenyl	CH_3	2-fluoro-5-(trifluoromethyl)phenyl
cyano	2-fluoro-5-(trifluoromethoxy)phenyl	CH_3	2-fluoro-5-(trifluoromethoxy)phenyl
OCH_3	4-chloro-2-fluorophenyl	OCH_3	2-chloro-4-(trifluoromethyl)phenyl
OCH_3	2-fluoro-4-(trifluoromethyl)phenyl	OCH_3	2-fluoro-5-(trifluoromethyl)phenyl
		OCH_3	2-fluoro-5-(trifluoromethoxy)phenyl

R^2 is 6-bromo-3-pyridinyl; R^{3d} is Me

R^a	R	R^a	R
H	4-chloro-2-fluorophenyl	F	4-chloro-2-fluorophenyl
H	2-fluoro-4-(trifluoromethyl)phenyl	F	2-fluoro-4-(trifluoromethyl)phenyl
H	2-chloro-4-(trifluoromethyl)phenyl	F	2-chloro-4-(trifluoromethyl)phenyl
H	2-fluoro-5-(trifluoromethyl)phenyl	F	2-fluoro-5-(trifluoromethyl)phenyl

H	2-fluoro-5-(trifluoromethoxy)phenyl	F	2-fluoro-5-(trifluoromethoxy)phenyl
cyano	4-chloro-2-fluorophenyl	CH_3	4-chloro-2-fluorophenyl
cyano	2-fluoro-4-(trifluoromethyl)phenyl	CH_3	2-fluoro-4-(trifluoromethyl)phenyl
cyano	2-chloro-4-(trifluoromethyl)phenyl	CH_3	2-chloro-4-(trifluoromethyl)phenyl
cyano	2-fluoro-5-(trifluoromethyl)phenyl	CH_3	2-fluoro-5-(trifluoromethyl)phenyl
cyano	2-fluoro-5-(trifluoromethoxy)phenyl	CH_3	2-fluoro-5-(trifluoromethoxy)phenyl
OCH_3	4-chloro-2-fluorophenyl	OCH_3	2-chloro-4-(trifluoromethyl)phenyl
OCH_3	2-fluoro-4-(trifluoromethyl)phenyl	OCH_3	2-fluoro-5-(trifluoromethyl)phenyl
		OCH_3	2-fluoro-5-(trifluoromethoxy)phenyl

R^2 is 6-methyl-3-pyridinyl; R^{3d} is Me

R^a	R	R^a	R
H	4-chloro-2-fluorophenyl	F	4-chloro-2-fluorophenyl
H	2-fluoro-4-(trifluoromethyl)phenyl	F	2-fluoro-4-(trifluoromethyl)phenyl
H	2-chloro-4-(trifluoromethyl)phenyl	F	2-chloro-4-(trifluoromethyl)phenyl
H	2-fluoro-5-(trifluoromethyl)phenyl	F	2-fluoro-5-(trifluoromethyl)phenyl
H	2-fluoro-5-(trifluoromethoxy)phenyl	F	2-fluoro-5-(trifluoromethoxy)phenyl
cyano	4-chloro-2-fluorophenyl	CH_3	4-chloro-2-fluorophenyl
cyano	2-fluoro-4-(trifluoromethyl)phenyl	CH_3	2-fluoro-4-(trifluoromethyl)phenyl
cyano	2-chloro-4-(trifluoromethyl)phenyl	CH_3	2-chloro-4-(trifluoromethyl)phenyl
cyano	2-fluoro-5-(trifluoromethyl)phenyl	CH_3	2-fluoro-5-(trifluoromethyl)phenyl
cyano	2-fluoro-5-(trifluoromethoxy)phenyl	CH_3	2-fluoro-5-(trifluoromethoxy)phenyl
OCH_3	4-chloro-2-fluorophenyl	OCH_3	2-chloro-4-(trifluoromethyl)phenyl
OCH_3	2-fluoro-4-(trifluoromethyl)phenyl	OCH_3	2-fluoro-5-(trifluoromethyl)phenyl
		OCH_3	2-fluoro-5-(trifluoromethoxy)phenyl

R^2 is 3-pyridinyl; R^{3d} is Me

R^a	R	R^a	R
H	4-chloro-2-fluorophenyl	F	4-chloro-2-fluorophenyl
H	2-fluoro-4-(trifluoromethyl)phenyl	F	2-fluoro-4-(trifluoromethyl)phenyl
H	2-chloro-4-(trifluoromethyl)phenyl	F	2-chloro-4-(trifluoromethyl)phenyl
H	2-fluoro-5-(trifluoromethyl)phenyl	F	2-fluoro-5-(trifluoromethyl)phenyl
H	2-fluoro-5-(trifluoromethoxy)phenyl	F	2-fluoro-5-(trifluoromethoxy)phenyl
cyano	4-chloro-2-fluorophenyl	CH_3	4-chloro-2-fluorophenyl
cyano	2-fluoro-4-(trifluoromethyl)phenyl	CH_3	2-fluoro-4-(trifluoromethyl)phenyl
cyano	2-chloro-4-(trifluoromethyl)phenyl	CH_3	2-chloro-4-(trifluoromethyl)phenyl
cyano	2-fluoro-5-(trifluoromethyl)phenyl	CH_3	2-fluoro-5-(trifluoromethyl)phenyl
cyano	2-fluoro-5-(trifluoromethoxy)phenyl	CH_3	2-fluoro-5-(trifluoromethoxy)phenyl

OCH_3	4-chloro-2-fluorophenyl	OCH_3	2-chloro-4-(trifluoromethyl)phenyl
OCH_3	2-fluoro-4-(trifluoromethyl)phenyl	OCH_3	2-fluoro-5-(trifluoromethyl)phenyl
		OCH_3	2-fluoro-5-(trifluoromethoxy)phenyl

R^2 is 4-pyrimidinyl; R^{3d} is Me

R^a	R	R^a	R
H	4-chloro-2-fluorophenyl	F	4-chloro-2-fluorophenyl
H	2-fluoro-4-(trifluoromethyl)phenyl	F	2-fluoro-4-(trifluoromethyl)phenyl
H	2-chloro-4-(trifluoromethyl)phenyl	F	2-chloro-4-(trifluoromethyl)phenyl
H	2-fluoro-5-(trifluoromethyl)phenyl	F	2-fluoro-5-(trifluoromethyl)phenyl
H	2-fluoro-5-(trifluoromethoxy)phenyl	F	2-fluoro-5-(trifluoromethoxy)phenyl
cyano	4-chloro-2-fluorophenyl	CH_3	4-chloro-2-fluorophenyl
cyano	2-fluoro-4-(trifluoromethyl)phenyl	CH_3	2-fluoro-4-(trifluoromethyl)phenyl
cyano	2-chloro-4-(trifluoromethyl)phenyl	CH_3	2-chloro-4-(trifluoromethyl)phenyl
cyano	2-fluoro-5-(trifluoromethyl)phenyl	CH_3	2-fluoro-5-(trifluoromethyl)phenyl
cyano	2-fluoro-5-(trifluoromethoxy)phenyl	CH_3	2-fluoro-5-(trifluoromethoxy)phenyl
OCH_3	4-chloro-2-fluorophenyl	OCH_3	2-chloro-4-(trifluoromethyl)phenyl
OCH_3	2-fluoro-4-(trifluoromethyl)phenyl	OCH_3	2-fluoro-5-(trifluoromethyl)phenyl
		OCH_3	2-fluoro-5-(trifluoromethoxy)phenyl

R^2 is 2-methyl-4-pyrimidinyl; R^{3d} is Me

R^a	R	R^a	R
H	4-chloro-2-fluorophenyl	F	4-chloro-2-fluorophenyl
H	2-fluoro-4-(trifluoromethyl)phenyl	F	2-fluoro-4-(trifluoromethyl)phenyl
H	2-chloro-4-(trifluoromethyl)phenyl	F	2-chloro-4-(trifluoromethyl)phenyl
H	2-fluoro-5-(trifluoromethyl)phenyl	F	2-fluoro-5-(trifluoromethyl)phenyl
H	2-fluoro-5-(trifluoromethoxy)phenyl	F	2-fluoro-5-(trifluoromethoxy)phenyl
cyano	4-chloro-2-fluorophenyl	CH_3	4-chloro-2-fluorophenyl
cyano	2-fluoro-4-(trifluoromethyl)phenyl	CH_3	2-fluoro-4-(trifluoromethyl)phenyl
cyano	2-chloro-4-(trifluoromethyl)phenyl	CH_3	2-chloro-4-(trifluoromethyl)phenyl
cyano	2-fluoro-5-(trifluoromethyl)phenyl	CH_3	2-fluoro-5-(trifluoromethyl)phenyl
cyano	2-fluoro-5-(trifluoromethoxy)phenyl	CH_3	2-fluoro-5-(trifluoromethoxy)phenyl
OCH_3	4-chloro-2-fluorophenyl	OCH_3	2-chloro-4-(trifluoromethyl)phenyl
OCH_3	2-fluoro-4-(trifluoromethyl)phenyl	OCH_3	2-fluoro-5-(trifluoromethyl)phenyl
		OCH_3	2-fluoro-5-(trifluoromethoxy)phenyl

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R^2 is *N*-methyl-4-pyrazolyl; R^{3d} is Me

R^a	R	R^a	R
H	4-chloro-2-fluorophenyl	F	4-chloro-2-fluorophenyl
H	2-fluoro-4-(trifluoromethyl)phenyl	F	2-fluoro-4-(trifluoromethyl)phenyl
H	2-chloro-4-(trifluoromethyl)phenyl	F	2-chloro-4-(trifluoromethyl)phenyl
H	2-fluoro-5-(trifluoromethyl)phenyl	F	2-fluoro-5-(trifluoromethyl)phenyl
H	2-fluoro-5-(trifluoromethoxy)phenyl	F	2-fluoro-5-(trifluoromethoxy)phenyl
cyano	4-chloro-2-fluorophenyl	CH_3	4-chloro-2-fluorophenyl
cyano	2-fluoro-4-(trifluoromethyl)phenyl	CH_3	2-fluoro-4-(trifluoromethyl)phenyl
cyano	2-chloro-4-(trifluoromethyl)phenyl	CH_3	2-chloro-4-(trifluoromethyl)phenyl
cyano	2-fluoro-5-(trifluoromethyl)phenyl	CH_3	2-fluoro-5-(trifluoromethyl)phenyl
cyano	2-fluoro-5-(trifluoromethoxy)phenyl	CH_3	2-fluoro-5-(trifluoromethoxy)phenyl
OCH_3	4-chloro-2-fluorophenyl	OCH_3	2-chloro-4-(trifluoromethyl)phenyl
OCH_3	2-fluoro-4-(trifluoromethyl)phenyl	OCH_3	2-fluoro-5-(trifluoromethyl)phenyl
		OCH_3	2-fluoro-5-(trifluoromethoxy)phenyl

R^2 is CF_3; R^{3d} is Me

R^a	R	R^a	R
H	4-chloro-2-fluorophenyl	F	4-chloro-2-fluorophenyl
H	2-fluoro-4-(trifluoromethyl)phenyl	F	2-fluoro-4-(trifluoromethyl)phenyl
H	2-chloro-4-(trifluoromethyl)phenyl	F	2-chloro-4-(trifluoromethyl)phenyl
H	2-fluoro-5-(trifluoromethyl)phenyl	F	2-fluoro-5-(trifluoromethyl)phenyl
H	2-fluoro-5-(trifluoromethoxy)phenyl	F	2-fluoro-5-(trifluoromethoxy)phenyl
cyano	4-chloro-2-fluorophenyl	CH_3	4-chloro-2-fluorophenyl
cyano	2-fluoro-4-(trifluoromethyl)phenyl	CH_3	2-fluoro-4-(trifluoromethyl)phenyl
cyano	2-chloro-4-(trifluoromethyl)phenyl	CH_3	2-chloro-4-(trifluoromethyl)phenyl
cyano	2-fluoro-5-(trifluoromethyl)phenyl	CH_3	2-fluoro-5-(trifluoromethyl)phenyl
cyano	2-fluoro-5-(trifluoromethoxy)phenyl	CH_3	2-fluoro-5-(trifluoromethoxy)phenyl
OCH_3	4-chloro-2-fluorophenyl	OCH_3	2-chloro-4-(trifluoromethyl)phenyl
OCH_3	2-fluoro-4-(trifluoromethyl)phenyl	OCH_3	2-fluoro-5-(trifluoromethyl)phenyl
		OCH_3	2-fluoro-5-(trifluoromethoxy)phenyl

R^2 is CH_2CF_3; R^{3d} is Me

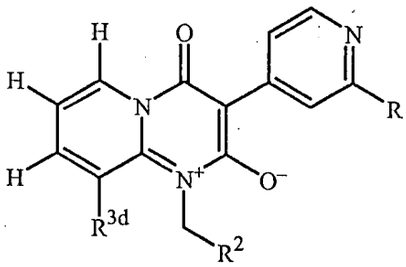
R^a	R	R^a	R
H	4-chloro-2-fluorophenyl	F	4-chloro-2-fluorophenyl
H	2-fluoro-4-(trifluoromethyl)phenyl	F	2-fluoro-4-(trifluoromethyl)phenyl
H	2-chloro-4-(trifluoromethyl)phenyl	F	2-chloro-4-(trifluoromethyl)phenyl
H	2-fluoro-5-(trifluoromethyl)phenyl	F	2-fluoro-5-(trifluoromethyl)phenyl

H	2-fluoro-5-(trifluoromethoxy)phenyl	F	2-fluoro-5-(trifluoromethoxy)phenyl
cyano	4-chloro-2-fluorophenyl	CH_3	4-chloro-2-fluorophenyl
cyano	2-fluoro-4-(trifluoromethyl)phenyl	CH_3	2-fluoro-4-(trifluoromethyl)phenyl
cyano	2-chloro-4-(trifluoromethyl)phenyl	CH_3	2-chloro-4-(trifluoromethyl)phenyl
cyano	2-fluoro-5-(trifluoromethyl)phenyl	CH_3	2-fluoro-5-(trifluoromethyl)phenyl
cyano	2-fluoro-5-(trifluoromethoxy)phenyl	CH_3	2-fluoro-5-(trifluoromethoxy)phenyl
OCH_3	4-chloro-2-fluorophenyl	OCH_3	2-chloro-4-(trifluoromethyl)phenyl
OCH_3	2-fluoro-4-(trifluoromethyl)phenyl	OCH_3	2-fluoro-5-(trifluoromethyl)phenyl
		OCH_3	2-fluoro-5-(trifluoromethoxy)phenyl

R^2 is $CH_2CFClCHF_2$; R^{3d} is Me

R^a	R	R^a	R
H	4-chloro-2-fluorophenyl	F	4-chloro-2-fluorophenyl
H	2-fluoro-4-(trifluoromethyl)phenyl	F	2-fluoro-4-(trifluoromethyl)phenyl
H	2-chloro-4-(trifluoromethyl)phenyl	F	2-chloro-4-(trifluoromethyl)phenyl
H	2-fluoro-5-(trifluoromethyl)phenyl	F	2-fluoro-5-(trifluoromethyl)phenyl
H	2-fluoro-5-(trifluoromethoxy)phenyl	F	2-fluoro-5-(trifluoromethoxy)phenyl
cyano	4-chloro-2-fluorophenyl	CH_3	4-chloro-2-fluorophenyl
cyano	2-fluoro-4-(trifluoromethyl)phenyl	CH_3	2-fluoro-4-(trifluoromethyl)phenyl
cyano	2-chloro-4-(trifluoromethyl)phenyl	CH_3	2-chloro-4-(trifluoromethyl)phenyl
cyano	2-fluoro-5-(trifluoromethyl)phenyl	CH_3	2-fluoro-5-(trifluoromethyl)phenyl
cyano	2-fluoro-5-(trifluoromethoxy)phenyl	CH_3	2-fluoro-5-(trifluoromethoxy)phenyl
OCH_3	4-chloro-2-fluorophenyl	OCH_3	2-chloro-4-(trifluoromethyl)phenyl
OCH_3	2-fluoro-4-(trifluoromethyl)phenyl	OCH_3	2-fluoro-5-(trifluoromethyl)phenyl
		OCH_3	2-fluoro-5-(trifluoromethoxy)phenyl

TABLE 17c



R^2 is 2-chloro-5-thiazolyl; R^{3d} is Me

R	R	R
4-chloro-2-fluorophenyl	2-chloro-4-(trifluoromethyl)phenyl	2-chloro-4-cyanophenyl
2-fluoro-4-(trifluoromethyl)phenyl	2-fluoro-5-(trifluoromethyl)phenyl	4-chloro-2-methylphenyl
4-cyano-2-fluorophenyl	2-fluoro-5-(trifluoromethoxy)phenyl	

R^2 is 2-chloro-5-thiazolyl; R^{3d} is OMe

R	R	R
4-chloro-2-fluorophenyl	2-chloro-4-(trifluoromethyl)phenyl	2-chloro-4-cyanophenyl
2-fluoro-4-(trifluoromethyl)phenyl	2-fluoro-5-(trifluoromethyl)phenyl	4-chloro-2-methylphenyl
4-cyano-2-fluorophenyl	2-fluoro-5-(trifluoromethoxy)phenyl	

R^2 is 2-chloro-5-thiazolyl; R^{3d} is Cl

R	R	R
4-chloro-2-fluorophenyl	2-chloro-4-(trifluoromethyl)phenyl	2-chloro-4-cyanophenyl
2-fluoro-4-(trifluoromethyl)phenyl	2-fluoro-5-(trifluoromethyl)phenyl	4-chloro-2-methylphenyl
4-cyano-2-fluorophenyl	2-fluoro-5-(trifluoromethoxy)phenyl	

R^2 is 2-chloro-5-thiazolyl; R^{3d} is Br

R	R	R
4-chloro-2-fluorophenyl	2-chloro-4-(trifluoromethyl)phenyl	2-chloro-4-cyanophenyl
2-fluoro-4-(trifluoromethyl)phenyl	2-fluoro-5-(trifluoromethyl)phenyl	4-chloro-2-methylphenyl
4-cyano-2-fluorophenyl	2-fluoro-5-(trifluoromethoxy)phenyl	

R^2 is 2-bromo-5-thiazolyl; R^{3d} is Me

R	R	R
4-chloro-2-fluorophenyl	2-chloro-4-(trifluoromethyl)phenyl	2-chloro-4-cyanophenyl
2-fluoro-4-(trifluoromethyl)phenyl	2-fluoro-5-(trifluoromethyl)phenyl	4-chloro-2-methylphenyl
4-cyano-2-fluorophenyl	2-fluoro-5-(trifluoromethoxy)phenyl	

R^2 is 2-fluoro-5-thiazolyl; R^{3d} is Me

R	R	R
4-chloro-2-fluorophenyl	2-chloro-4-(trifluoromethyl)phenyl	2-chloro-4-cyanophenyl
2-fluoro-4-(trifluoromethyl)phenyl	2-fluoro-5-(trifluoromethyl)phenyl	4-chloro-2-methylphenyl
4-cyano-2-fluorophenyl	2-fluoro-5-(trifluoromethoxy)phenyl	

R^2 is 5-thiazolyl; R^{3d} is Me

R	R	R
4-chloro-2-fluorophenyl	2-chloro-4-(trifluoromethyl)phenyl	2-chloro-4-cyanophenyl
2-fluoro-4-(trifluoromethyl)phenyl	2-fluoro-5-(trifluoromethyl)phenyl	4-chloro-2-methylphenyl
4-cyano-2-fluorophenyl	2-fluoro-5-(trifluoromethoxy)phenyl	

R^2 is 2-methyl-5-thiazolyl; R^{3d} is Me

R	R	R
4-chloro-2-fluorophenyl	2-chloro-4-(trifluoromethyl)phenyl	2-chloro-4-cyanophenyl
2-fluoro-4-(trifluoromethyl)phenyl	2-fluoro-5-(trifluoromethyl)phenyl	4-chloro-2-methylphenyl
4-cyano-2-fluorophenyl	2-fluoro-5-(trifluoromethoxy)phenyl	

R^2 is 6-chloro-3-pyridinyl; R^{3d} is Me

R	R	R
4-chloro-2-fluorophenyl	2-chloro-4-(trifluoromethyl)phenyl	2-chloro-4-cyanophenyl
2-fluoro-4-(trifluoromethyl)phenyl	2-fluoro-5-(trifluoromethyl)phenyl	4-chloro-2-methylphenyl
4-cyano-2-fluorophenyl	2-fluoro-5-(trifluoromethoxy)phenyl	

R^2 is 6-fluoro-3-pyridinyl; R^{3d} is Me

R	R	R
4-chloro-2-fluorophenyl	2-chloro-4-(trifluoromethyl)phenyl	2-chloro-4-cyanophenyl
2-fluoro-4-(trifluoromethyl)phenyl	2-fluoro-5-(trifluoromethyl)phenyl	4-chloro-2-methylphenyl
4-cyano-2-fluorophenyl	2-fluoro-5-(trifluoromethoxy)phenyl	

R^2 is 6-bromo-3-pyridinyl; R^{3d} is Me

R	R	R
4-chloro-2-fluorophenyl	2-chloro-4-(trifluoromethyl)phenyl	2-chloro-4-cyanophenyl
2-fluoro-4-(trifluoromethyl)phenyl	2-fluoro-5-(trifluoromethyl)phenyl	4-chloro-2-methylphenyl
4-cyano-2-fluorophenyl	2-fluoro-5-(trifluoromethoxy)phenyl	

R^2 is 6-methyl-3-pyridinyl; R^{3d} is Me

R	R	R
4-chloro-2-fluorophenyl	2-chloro-4-(trifluoromethyl)phenyl	2-chloro-4-cyanophenyl
2-fluoro-4-(trifluoromethyl)phenyl	2-fluoro-5-(trifluoromethyl)phenyl	4-chloro-2-methylphenyl
4-cyano-2-fluorophenyl	2-fluoro-5-(trifluoromethoxy)phenyl	

R^2 is 3-pyridinyl; R^{3d} is Me

R	R	R
4-chloro-2-fluorophenyl	2-chloro-4-(trifluoromethyl)phenyl	2-chloro-4-cyanophenyl
2-fluoro-4-(trifluoromethyl)phenyl	2-fluoro-5-(trifluoromethyl)phenyl	4-chloro-2-methylphenyl
4-cyano-2-fluorophenyl	2-fluoro-5-(trifluoromethoxy)phenyl	

R^2 is 4-pyrimidinyl; R^{3d} is Me

R	R	R
4-chloro-2-fluorophenyl	2-chloro-4-(trifluoromethyl)phenyl	2-chloro-4-cyanophenyl
2-fluoro-4-(trifluoromethyl)phenyl	2-fluoro-5-(trifluoromethyl)phenyl	4-chloro-2-methylphenyl
4-cyano-2-fluorophenyl	2-fluoro-5-(trifluoromethoxy)phenyl	

R^2 is 2-methyl-4-pyrimidinyl; R^{3d} is Me

R	R	R
4-chloro-2-fluorophenyl	2-chloro-4-(trifluoromethyl)phenyl	2-chloro-4-cyanophenyl
2-fluoro-4-(trifluoromethyl)phenyl	2-fluoro-5-(trifluoromethyl)phenyl	4-chloro-2-methylphenyl
4-cyano-2-fluorophenyl	2-fluoro-5-(trifluoromethoxy)phenyl	

R^2 is *N*-methyl-4-pyrazolyl; R^{3d} is Me

R	R	R
4-chloro-2-fluorophenyl	2-chloro-4-(trifluoromethyl)phenyl	2-chloro-4-cyanophenyl
2-fluoro-4-(trifluoromethyl)phenyl	2-fluoro-5-(trifluoromethyl)phenyl	4-chloro-2-methylphenyl
4-cyano-2-fluorophenyl	2-fluoro-5-(trifluoromethoxy)phenyl	

R^2 is CF_3; R^{3d} is Me

R	R	R
4-chloro-2-fluorophenyl	2-chloro-4-(trifluoromethyl)phenyl	2-chloro-4-cyanophenyl
2-fluoro-4-(trifluoromethyl)phenyl	2-fluoro-5-(trifluoromethyl)phenyl	4-chloro-2-methylphenyl
4-cyano-2-fluorophenyl	2-fluoro-5-(trifluoromethoxy)phenyl	

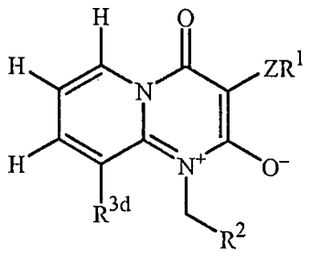
R^2 is CH_2CF_3; R^{3d} is Me

R	R	R
4-chloro-2-fluorophenyl	2-chloro-4-(trifluoromethyl)phenyl	2-chloro-4-cyanophenyl
2-fluoro-4-(trifluoromethyl)phenyl	2-fluoro-5-(trifluoromethyl)phenyl	4-chloro-2-methylphenyl
4-cyano-2-fluorophenyl	2-fluoro-5-(trifluoromethoxy)phenyl	

R^2 is $CH_2CFClCHF_2$; R^{3d} is Me

R	R	R
4-chloro-2-fluorophenyl	2-chloro-4-(trifluoromethyl)phenyl	2-chloro-4-cyanophenyl
2-fluoro-4-(trifluoromethyl)phenyl	2-fluoro-5-(trifluoromethyl)phenyl	4-chloro-2-methylphenyl
4-cyano-2-fluorophenyl	2-fluoro-5-(trifluoromethoxy)phenyl	

TABLE 18



R^2 is 2-chloro-5-thiazolyl; R^{3d} is Me

ZR^1	ZR^1	ZR^1	ZR^1
4-CF_3-2-pyridinyl	2-CN-4-pyridinyl	6-CF_3-2-pyridinyl	4-CN-2-pyridinyl
2-Cl-4-pyridinyl	2-CF_3-4-pyridinyl	6-OCF_3-2-pyridinyl	4-Cl-2-pyridinyl
2-F-4-pyridinyl	2-OCF_3-4-pyridinyl	4-OCF_3-2-pyridinyl	6-CH_3-2-pyridinyl
2-Br-4-pyridinyl	2-OCH_3-4-pyridinyl	4-CH_3-2-pyridinyl	6-CN-2-pyridinyl
	6-OCH_3-2-pyridinyl	4-OCH_3-2-pyridinyl	6-Cl-2-pyridinyl

R^2 is 2-chloro-5-thiazolyl; R^{3d} is OMe

ZR^1	ZR^1	ZR^1	ZR^1
4-CF_3-2-pyridinyl	2-CN-4-pyridinyl	6-CF_3-2-pyridinyl	4-CN-2-pyridinyl
2-Cl-4-pyridinyl	2-CF_3-4-pyridinyl	6-OCF_3-2-pyridinyl	4-Cl-2-pyridinyl
2-F-4-pyridinyl	2-OCF_3-4-pyridinyl	4-OCF_3-2-pyridinyl	6-CH_3-2-pyridinyl
2-Br-4-pyridinyl	2-OCH_3-4-pyridinyl	4-CH_3-2-pyridinyl	6-CN-2-pyridinyl
	6-OCH_3-2-pyridinyl	4-OCH_3-2-pyridinyl	6-Cl-2-pyridinyl

R^2 is 2-chloro-5-thiazolyl; R^{3d} is Cl

ZR^1	ZR^1	ZR^1	ZR^1
4-CF_3-2-pyridinyl	2-CN-4-pyridinyl	6-CF_3-2-pyridinyl	4-CN-2-pyridinyl
2-Cl-4-pyridinyl	2-CF_3-4-pyridinyl	6-OCF_3-2-pyridinyl	4-Cl-2-pyridinyl
2-F-4-pyridinyl	2-OCF_3-4-pyridinyl	4-OCF_3-2-pyridinyl	6-CH_3-2-pyridinyl
2-Br-4-pyridinyl	2-OCH_3-4-pyridinyl	4-CH_3-2-pyridinyl	6-CN-2-pyridinyl
	6-OCH_3-2-pyridinyl	4-OCH_3-2-pyridinyl	6-Cl-2-pyridinyl

R^2 is 2-chloro-5-thiazolyl; R^{3d} is Br

ZR^1	ZR^1	ZR^1	ZR^1
4-CF_3-2-pyridinyl	2-CN-4-pyridinyl	6-CF_3-2-pyridinyl	4-CN-2-pyridinyl
2-Cl-4-pyridinyl	2-CF_3-4-pyridinyl	6-OCF_3-2-pyridinyl	4-Cl-2-pyridinyl
2-F-4-pyridinyl	2-OCF_3-4-pyridinyl	4-OCF_3-2-pyridinyl	6-CH_3-2-pyridinyl
2-Br-4-pyridinyl	2-OCH_3-4-pyridinyl	4-CH_3-2-pyridinyl	6-CN-2-pyridinyl
	6-OCH_3-2-pyridinyl	4-OCH_3-2-pyridinyl	6-Cl-2-pyridinyl

R^2 is 2-bromo-5-thiazolyl; R^{3d} is Me

ZR^1	ZR^1	ZR^1	ZR^1
4-CF_3-2-pyridinyl	2-CN-4-pyridinyl	6-CF_3-2-pyridinyl	4-CN-2-pyridinyl
2-Cl-4-pyridinyl	2-CF_3-4-pyridinyl	6-OCF_3-2-pyridinyl	4-Cl-2-pyridinyl
2-F-4-pyridinyl	2-OCF_3-4-pyridinyl	4-OCF_3-2-pyridinyl	6-CH_3-2-pyridinyl
2-Br-4-pyridinyl	2-OCH_3-4-pyridinyl	4-CH_3-2-pyridinyl	6-CN-2-pyridinyl
	6-OCH_3-2-pyridinyl	4-OCH_3-2-pyridinyl	6-Cl-2-pyridinyl

R^2 is 2-fluoro-5-thiazolyl; R^{3d} is Me

ZR^1	ZR^1	ZR^1	ZR^1
4-CF_3-2-pyridinyl	2-CN-4-pyridinyl	6-CF_3-2-pyridinyl	4-CN-2-pyridinyl
2-Cl-4-pyridinyl	2-CF_3-4-pyridinyl	6-OCF_3-2-pyridinyl	4-Cl-2-pyridinyl
2-F-4-pyridinyl	2-OCF_3-4-pyridinyl	4-OCF_3-2-pyridinyl	6-CH_3-2-pyridinyl
2-Br-4-pyridinyl	2-OCH_3-4-pyridinyl	4-CH_3-2-pyridinyl	6-CN-2-pyridinyl
	6-OCH_3-2-pyridinyl	4-OCH_3-2-pyridinyl	6-Cl-2-pyridinyl

R^2 is 5-thiazolyl; R^{3d} is Me

ZR^1	ZR^1	ZR^1	ZR^1
4-CF_3-2-pyridinyl	2-CN-4-pyridinyl	6-CF_3-2-pyridinyl	4-CN-2-pyridinyl
2-Cl-4-pyridinyl	2-CF_3-4-pyridinyl	6-OCF_3-2-pyridinyl	4-Cl-2-pyridinyl
2-F-4-pyridinyl	2-OCF_3-4-pyridinyl	4-OCF_3-2-pyridinyl	6-CH_3-2-pyridinyl
2-Br-4-pyridinyl	2-OCH_3-4-pyridinyl	4-CH_3-2-pyridinyl	6-CN-2-pyridinyl
	6-OCH_3-2-pyridinyl	4-OCH_3-2-pyridinyl	6-Cl-2-pyridinyl

R^2 is 2-methyl-5-thiazolyl; R^{3d} is Me

ZR^1	ZR^1	ZR^1	ZR^1
4-CF_3-2-pyridinyl	2-CN-4-pyridinyl	6-CF_3-2-pyridinyl	4-CN-2-pyridinyl
2-Cl-4-pyridinyl	2-CF_3-4-pyridinyl	6-OCF_3-2-pyridinyl	4-Cl-2-pyridinyl
2-F-4-pyridinyl	2-OCF_3-4-pyridinyl	4-OCF_3-2-pyridinyl	6-CH_3-2-pyridinyl
2-Br-4-pyridinyl	2-OCH_3-4-pyridinyl	4-CH_3-2-pyridinyl	6-CN-2-pyridinyl
	6-OCH_3-2-pyridinyl	4-OCH_3-2-pyridinyl	6-Cl-2-pyridinyl

R^2 is 6-chloro-3-pyridinyl; R^{3d} is Me

ZR^1	ZR^1	ZR^1	ZR^1
4-CF_3-2-pyridinyl	2-CN-4-pyridinyl	6-CF_3-2-pyridinyl	4-CN-2-pyridinyl
2-Cl-4-pyridinyl	2-CF_3-4-pyridinyl	6-OCF_3-2-pyridinyl	4-Cl-2-pyridinyl
2-F-4-pyridinyl	2-OCF_3-4-pyridinyl	4-OCF_3-2-pyridinyl	6-CH_3-2-pyridinyl

2-Br-4-pyridinyl	2-OCH_3-4-pyridinyl	4-CH_3-2-pyridinyl	6-CN-2-pyridinyl
	6-OCH_3-2-pyridinyl	4-OCH_3-2-pyridinyl	6-Cl-2-pyridinyl

R^2 is 6-fluoro-3-pyridinyl; R^{3d} is Me

ZR^1	ZR^1	ZR^1	ZR^1
4-CF_3-2-pyridinyl	2-CN-4-pyridinyl	6-CF_3-2-pyridinyl	4-CN-2-pyridinyl
2-Cl-4-pyridinyl	2-CF_3-4-pyridinyl	6-OCF_3-2-pyridinyl	4-Cl-2-pyridinyl
2-F-4-pyridinyl	2-OCF_3-4-pyridinyl	4-OCF_3-2-pyridinyl	6-CH_3-2-pyridinyl
2-Br-4-pyridinyl	2-OCH_3-4-pyridinyl	4-CH_3-2-pyridinyl	6-CN-2-pyridinyl
	6-OCH_3-2-pyridinyl	4-OCH_3-2-pyridinyl	6-Cl-2-pyridinyl

R^2 is 6-bromo-3-pyridinyl; R^{3d} is Me

ZR^1	ZR^1	ZR^1	ZR^1
4-CF_3-2-pyridinyl	2-CN-4-pyridinyl	6-CF_3-2-pyridinyl	4-CN-2-pyridinyl
2-Cl-4-pyridinyl	2-CF_3-4-pyridinyl	6-OCF_3-2-pyridinyl	4-Cl-2-pyridinyl
2-F-4-pyridinyl	2-OCF_3-4-pyridinyl	4-OCF_3-2-pyridinyl	6-CH_3-2-pyridinyl
2-Br-4-pyridinyl	2-OCH_3-4-pyridinyl	4-CH_3-2-pyridinyl	6-CN-2-pyridinyl
	6-OCH_3-2-pyridinyl	4-OCH_3-2-pyridinyl	6-Cl-2-pyridinyl

R^2 is 6-methyl-3-pyridinyl; R^{3d} is Me

ZR^1	ZR^1	ZR^1	ZR^1
4-CF_3-2-pyridinyl	2-CN-4-pyridinyl	6-CF_3-2-pyridinyl	4-CN-2-pyridinyl
2-Cl-4-pyridinyl	2-CF_3-4-pyridinyl	6-OCF_3-2-pyridinyl	4-Cl-2-pyridinyl
2-F-4-pyridinyl	2-OCF_3-4-pyridinyl	4-OCF_3-2-pyridinyl	6-CH_3-2-pyridinyl
2-Br-4-pyridinyl	2-OCH_3-4-pyridinyl	4-CH_3-2-pyridinyl	6-CN-2-pyridinyl
	6-OCH_3-2-pyridinyl	4-OCH_3-2-pyridinyl	6-Cl-2-pyridinyl

R^2 is 3-pyridinyl; R^{3d} is Me

ZR^1	ZR^1	ZR^1	ZR^1
4-CF_3-2-pyridinyl	2-CN-4-pyridinyl	6-CF_3-2-pyridinyl	4-CN-2-pyridinyl
2-Cl-4-pyridinyl	2-CF_3-4-pyridinyl	6-OCF_3-2-pyridinyl	4-Cl-2-pyridinyl
2-F-4-pyridinyl	2-OCF_3-4-pyridinyl	4-OCF_3-2-pyridinyl	6-CH_3-2-pyridinyl
2-Br-4-pyridinyl	2-OCH_3-4-pyridinyl	4-CH_3-2-pyridinyl	6-CN-2-pyridinyl
	6-OCH_3-2-pyridinyl	4-OCH_3-2-pyridinyl	6-Cl-2-pyridinyl

R^2 is 4-pyrimidinyl; R^{3d} is Me

ZR^1	ZR^1	ZR^1	ZR^1
4-CF_3-2-pyridinyl	2-CN-4-pyridinyl	6-CF_3-2-pyridinyl	4-CN-2-pyridinyl

2-Cl-4-pyridinyl	2-CF_3-4-pyridinyl	6-OCF_3-2-pyridinyl	4-Cl-2-pyridinyl
2-F-4-pyridinyl	2-OCF_3-4-pyridinyl	4-OCF_3-2-pyridinyl	6-CH_3-2-pyridinyl
2-Br-4-pyridinyl	2-OCH_3-4-pyridinyl	4-CH_3-2-pyridinyl	6-CN-2-pyridinyl
	6-OCH_3-2-pyridinyl	4-OCH_3-2-pyridinyl	6-Cl-2-pyridinyl

R^2 is 2-methyl-4-pyrimidinyl; R^{3d} is Me

ZR^1	ZR^1	ZR^1	ZR^1
4-CF_3-2-pyridinyl	2-CN-4-pyridinyl	6-CF_3-2-pyridinyl	4-CN-2-pyridinyl
2-Cl-4-pyridinyl	2-CF_3-4-pyridinyl	6-OCF_3-2-pyridinyl	4-Cl-2-pyridinyl
2-F-4-pyridinyl	2-OCF_3-4-pyridinyl	4-OCF_3-2-pyridinyl	6-CH_3-2-pyridinyl
2-Br-4-pyridinyl	2-OCH_3-4-pyridinyl	4-CH_3-2-pyridinyl	6-CN-2-pyridinyl
	6-OCH_3-2-pyridinyl	4-OCH_3-2-pyridinyl	6-Cl-2-pyridinyl

R^2 is *N*-methyl-4-pyrazolyl; R^{3d} is Me

ZR^1	ZR^1	ZR^1	ZR^1
4-CF_3-2-pyridinyl	2-CN-4-pyridinyl	6-CF_3-2-pyridinyl	4-CN-2-pyridinyl
2-Cl-4-pyridinyl	2-CF_3-4-pyridinyl	6-OCF_3-2-pyridinyl	4-Cl-2-pyridinyl
2-F-4-pyridinyl	2-OCF_3-4-pyridinyl	4-OCF_3-2-pyridinyl	6-CH_3-2-pyridinyl
2-Br-4-pyridinyl	2-OCH_3-4-pyridinyl	4-CH_3-2-pyridinyl	6-CN-2-pyridinyl
	6-OCH_3-2-pyridinyl	4-OCH_3-2-pyridinyl	6-Cl-2-pyridinyl

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R^2 is CF_3; R^{3d} is Me

ZR^1	ZR^1	ZR^1	ZR^1
4-CF_3-2-pyridinyl	2-CN-4-pyridinyl	6-CF_3-2-pyridinyl	4-CN-2-pyridinyl
2-Cl-4-pyridinyl	2-CF_3-4-pyridinyl	6-OCF_3-2-pyridinyl	4-Cl-2-pyridinyl
2-F-4-pyridinyl	2-OCF_3-4-pyridinyl	4-OCF_3-2-pyridinyl	6-CH_3-2-pyridinyl
2-Br-4-pyridinyl	2-OCH_3-4-pyridinyl	4-CH_3-2-pyridinyl	6-CN-2-pyridinyl
	6-OCH_3-2-pyridinyl	4-OCH_3-2-pyridinyl	6-Cl-2-pyridinyl

R^2 is CH_2CF_3; R^{3d} is Me

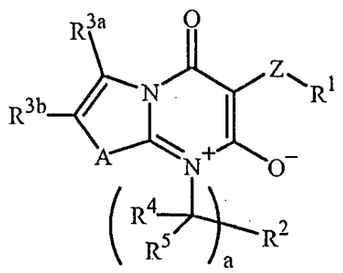
ZR^1	ZR^1	ZR^1	ZR^1
4-CF_3-2-pyridinyl	2-CN-4-pyridinyl	6-CF_3-2-pyridinyl	4-CN-2-pyridinyl
2-Cl-4-pyridinyl	2-CF_3-4-pyridinyl	6-OCF_3-2-pyridinyl	4-Cl-2-pyridinyl
2-F-4-pyridinyl	2-OCF_3-4-pyridinyl	4-OCF_3-2-pyridinyl	6-CH_3-2-pyridinyl
2-Br-4-pyridinyl	2-OCH_3-4-pyridinyl	4-CH_3-2-pyridinyl	6-CN-2-pyridinyl
	6-OCH_3-2-pyridinyl	4-OCH_3-2-pyridinyl	6-Cl-2-pyridinyl

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R^2 is $CH_2CFClCHF_2$; R^{3d} is Me

ZR^1	ZR^1	ZR^1	ZR^1
4-CF_3-2-pyridinyl	2-CN-4-pyridinyl	6-CF_3-2-pyridinyl	4-CN-2-pyridinyl
2-Cl-4-pyridinyl	2-CF_3-4-pyridinyl	6-OCF_3-2-pyridinyl	4-Cl-2-pyridinyl
2-F-4-pyridinyl	2-OCF_3-4-pyridinyl	4-OCF_3-2-pyridinyl	6-CH_3-2-pyridinyl
2-Br-4-pyridinyl	2-OCH_3-4-pyridinyl	4-CH_3-2-pyridinyl	6-CN-2-pyridinyl
	6-OCH_3-2-pyridinyl	4-OCH_3-2-pyridinyl	6-Cl-2-pyridinyl

TABLE 19



Z-R^1 is phenyl; R^{3b} is H; A is CH=CMe; R^4 and R^5 are H; a is 1; R^2 is 2-chloro-5-thiazolyl

R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}
H	CHO	Me	OMe	$CH=CH_2$	OPh	3-F-2-pyridinyl
Cl	C(O)Me	Et	OEt	C≡CH	NMe_2	4-Me-3-pyridinyl
Br	CO_2Et	*i*-Pr	OCF_3	CF_3	NHCHO	2-cyano-4-pyridinyl
cyano	$C(O)NMe_2$	*c*-Pr	SMe	Ph		

Z-R^1 is phenyl; R^{3a} is H; A is CH=CMe; R^4 and R^5 are H; a is 1; R^2 is 2-chloro-5-thiazolyl

R^{3b}	R^{3b}	R^{3b}	R^{3b}	R^{3b}	R^{3b}	R^{3b}
Cl	CHO	Me	OMe	$CH=CH_2$	OPh	3-F-2-pyridinyl
Br	C(O)Me	Et	OEt	C≡CH	NMe_2	4-Me-3-pyridinyl
cyano	CO_2Et	*i*-Pr	OCF_3	CF_3	NHCHO	2-cyano-4-pyridinyl
Ph	$C(O)NMe_2$	*c*-Pr	SMe			

Z-R^1 is phenyl; R^{3a} and R^{3b} are H; A is $C(R^{3c})$=CH; R^4 and R^5 are H; a is 1;
R^2 is 2-chloro-5-thiazolyl

R^{3c}	R^{3c}	R^{3c}	R^{3c}	R^{3c}	R^{3c}	R^{3c}
Cl	CHO	Me	OMe	$CH=CH_2$	OPh	3-F-2-pyridinyl
Br	C(O)Me	Et	OEt	C≡CH	NMe_2	4-Me-3-pyridinyl
cyano	CO_2Et	*i*-Pr	OCF_3	CF_3	NHCHO	2-cyano-4-pyridinyl
Ph	$C(O)NMe_2$	*c*-Pr	SMe			

Z-R^1 is phenyl; R^{3a} and R^{3b} are H; A is CH=C(R^{3d}); R^4 and R^5 are H; a is 1; R^2 is 2-chloro-5-thiazolyl

R^{3d}	R^{3d}	R^{3d}	R^{3d}	R^{3d}	R^{3d}
CHO	Me	OMe	$CH=CH_2$	OPh	3-F-2-pyridinyl
C(O)Me	Et	OEt	C≡CH	NMe_2	4-Me-3-pyridinyl
CO_2Et	*i*-Pr	OCF_3	CF_3	NHCHO	2-cyano-4-pyridinyl
$C(O)NMe_2$	*c*-Pr	SMe	cyano	Ph	

Z-R^1 is phenyl; R^{3b} is H; A is O; R^4 and R^5 are H; a is 1; R^2 is 2-chloro-5-thiazolyl

R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}
F	CHO	Me	OMe	$CH=CH_2$	OPh	3-F-2-pyridinyl
Cl	C(O)Me	Et	OEt	C≡CH	NMe_2	4-Me-3-pyridinyl
Br	CO_2Et	*i*-Pr	OCF_3	CF_3	NHCHO	2-cyano-4-pyridinyl
cyano	$C(O)NMe_2$	*c*-Pr	SMe	Ph		

Z-R^1 is phenyl; R^{3b} is H; A is S; R^4 and R^5 are H; a is 1; R^2 is 2-chloro-5-thiazolyl

R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}
F	CHO	Me	OMe	$CH=CH_2$	OPh	3-F-2-pyridinyl
Cl	C(O)Me	Et	OEt	C≡CH	NMe_2	4-Me-3-pyridinyl
Br	CO_2Et	*i*-Pr	OCF_3	CF_3	NHCHO	2-cyano-4-pyridinyl
cyano	$C(O)NMe_2$	*c*-Pr	SMe	Ph		

Z-R^1 is phenyl; R^{3b} is H; A is NMe; R^4 and R^5 are H; a is 1; R^2 is 2-chloro-5-thiazolyl

R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}
F	CHO	Me	OMe	$CH=CH_2$	OPh	3-F-2-pyridinyl
Cl	C(O)Me	Et	OEt	C≡CH	NMe_2	4-Me-3-pyridinyl
Br	CO_2Et	*i*-Pr	OCF_3	CF_3	NHCHO	2-cyano-4-pyridinyl
cyano	$C(O)NMe_2$	*c*-Pr	SMe	Ph		

Z-R^1 is phenyl; R^{3a} and R^{3b} are H; A is NR^{3e}; R^4 and R^5 are H; a is 1; R^2 is 2-chloro-5-thiazolyl

R^{3e}	R^{3e}	R^{3e}	R^{3e}	R^{3e}	R^{3e}	R^{3e}
cyano	C(O)Me	*i*-Pr	OMe	$CH=CH_2$	OPh	3-F-2-pyridinyl
NMe_2	CO_2Et	*c*-Pr	OEt	C≡CH	Ph	4-Me-3-pyridinyl
CHO	$C(O)NMe_2$	OCF_3	CF_3			2-cyano-4-pyridinyl

Z-R^1 is 2-fluorophenyl; R^{3b} is H; A is CH=CMe; R^4 and R^5 are H; a is 1; R^2 is 2-chloro-5-thiazolyl

R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}
H	CHO	Me	OMe	$CH=CH_2$	OPh	3-F-2-pyridinyl
Cl	C(O)Me	Et	OEt	C≡CH	NMe_2	4-Me-3-pyridinyl
Br	CO_2Et	*i*-Pr	OCF_3	CF_3	NHCHO	2-cyano-4-pyridinyl
cyano	$C(O)NMe_2$	*c*-Pr	SMe	Ph		

Z-R^1 is 2-fluorophenyl; R^{3a} is H; A is CH=CMe; R^4 and R^5 are H; a is 1; R^2 is 2-chloro-5-thiazolyl

R^{3b}	R^{3b}	R^{3b}	R^{3b}	R^{3b}	R^{3b}	R^{3b}
Cl	CHO	Me	OMe	$CH=CH_2$	OPh	3-F-2-pyridinyl
Br	C(O)Me	Et	OEt	C≡CH	NMe_2	4-Me-3-pyridinyl
cyano	CO_2Et	*i*-Pr	OCF_3	CF_3	NHCHO	2-cyano-4-pyridinyl
Ph	$C(O)NMe_2$	*c*-Pr	SMe			

Z-R^1 is 2-fluorophenyl; R^{3a} and R^{3b} are H; A is $C(R^{3c})$=CH; R^4 and R^5 are H; a is 1; R^2 is 2-chloro-5-thiazolyl

R^{3c}	R^{3c}	R^{3c}	R^{3c}	R^{3c}	R^{3c}	R^{3c}
Cl	CHO	Me	OMe	$CH=CH_2$	OPh	3-F-2-pyridinyl
Br	C(O)Me	Et	OEt	C≡CH	NMe_2	4-Me-3-pyridinyl
cyano	CO_2Et	*i*-Pr	OCF_3	CF_3	NHCHO	2-cyano-4-pyridinyl
Ph	$C(O)NMe_2$	*c*-Pr	SMe			

Z-R^1 is 2-fluorophenyl; R^{3a} and R^{3b} are H; A is CH=$C(R^{3d})$; R^4 and R^5 are H; a is 1; R^2 is 2-chloro-5-thiazolyl

R^{3d}	R^{3d}	R^{3d}	R^{3d}	R^{3d}	R^{3d}
CHO	Me	OMe	$CH=CH_2$	OPh	3-F-2-pyridinyl
C(O)Me	Et	OEt	C≡CH	NMe_2	4-Me-3-pyridinyl
CO_2Et	*i*-Pr	OCF_3	CF_3	NHCHO	2-cyano-4-pyridinyl
$C(O)NMe_2$	*c*-Pr	SMe	cyano	Ph	

Z-R^1 is 2-fluorophenyl; R^{3b} is H; A is O; R^4 and R^5 are H; a is 1; R^2 is 2-chloro-5-thiazolyl

R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}
F	CHO	Me	OMe	$CH=CH_2$	OPh	3-F-2-pyridinyl
Cl	C(O)Me	Et	OEt	C≡CH	NMe_2	4-Me-3-pyridinyl
Br	CO_2Et	*i*-Pr	OCF_3	CF_3	NHCHO	2-cyano-4-pyridinyl
cyano	$C(O)NMe_2$	*c*-Pr	SMe	Ph		

hoja en blanco

Z-R^1 is 2-fluorophenyl; R^{3b} is H; A is S; R^4 and R^5 are H; a is 1; R^2 is 2-chloro-5-thiazolyl

R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}
F	CHO	Me	OMe	$CH=CH_2$	OPh	3-F-2-pyridinyl
Cl	C(O)Me	Et	OEt	C≡CH	NMe_2	4-Me-3-pyridinyl
Br	CO_2Et	*i*-Pr	OCF_3	CF_3	NHCHO	2-cyano-4-pyridinyl
cyano	$C(O)NMe_2$	*c*-Pr	SMe	Ph		

Z-R^1 is 2-fluorophenyl; R^{3b} is H; A is NMe; R^4 and R^5 are H; a is 1; R^2 is 2-chloro-5-thiazolyl

R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}
F	CHO	Me	OMe	$CH=CH_2$	OPh	3-F-2-pyridinyl
Cl	C(O)Me	Et	OEt	C≡CH	NMe_2	4-Me-3-pyridinyl
Br	CO_2Et	*i*-Pr	OCF_3	CF_3	NHCHO	2-cyano-4-pyridinyl
cyano	$C(O)NMe_2$	*c*-Pr	SMe	Ph		

Z-R^1 is2-fluorophenyl; R^{3a} and R^{3b} are H; A is NR^{3e}; R^4 and R^5 are H; a is 1;
R^2 is 2-chloro-5-thiazolyl

R^{3e}	R^{3e}	R^{3e}	R^{3e}	R^{3e}	R^{3e}	R^{3e}
cyano	C(O)Me	*i*-Pr	OMe	$CH=CH_2$	OPh	3-F-2-pyridinyl
NMe_2	CO_2Et	*c*-Pr	OEt	C≡CH	Ph	4-Me-3-pyridinyl
CHO	$C(O)NMe_2$	OCF_3	CF_3			2-cyano-4-pyridinyl

Z-R^1 is 3,5-dichlorophenyl; R^{3b} is H; A is CH=CMe; R^4 and R^5 are H; a is 1;
R^2 is 2-chloro-5-thiazolyl

R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}
H	CHO	Me	OMe	$CH=CH_2$	OPh	3-F-2-pyridinyl
Cl	C(O)Me	Et	OEt	C≡CH	NMe_2	4-Me-3-pyridinyl
Br	CO_2Et	*i*-Pr	OCF_3	CF_3	NHCHO	2-cyano-4-pyridinyl
cyano	$C(O)NMe_2$	*c*-Pr	SMe	Ph		

Z-R^1 is 3,5-dichlorophenyl; R^{3a} is H; A is CH=CMe; R^4 and R^5 are H; a is 1;
R^2 is 2-chloro-5-thiazolyl

R^{3b}	R^{3b}	R^{3b}	R^{3b}	R^{3b}	R^{3b}	R^{3b}
Cl	CHO	Me	OMe	$CH=CH_2$	OPh	3-F-2-pyridinyl
Br	C(O)Me	Et	OEt	C≡CH	NMe_2	4-Me-3-pyridinyl
cyano	CO_2Et	*i*-Pr	OCF_3	CF_3	NHCHO	2-cyano-4-pyridinyl
Ph	$C(O)NMe_2$	*c*-Pr	SMe			

Z-R^1 is 3,5-dichlorophenyl; R^{3a} and R^{3b} are H; A is C(R^{3c})=CH; R^4 and R^5 are H; a is 1; R^2 is 2-chloro-5-thiazolyl

R^{3c}	R^{3c}	R^{3c}	R^{3c}	R^{3c}	R^{3c}	R^{3c}
Cl	CHO	Me	OMe	$CH=CH_2$	OPh	3-F-2-pyridinyl
Br	C(O)Me	Et	OEt	C≡CH	NMe_2	4-Me-3-pyridinyl
cyano	CO_2Et	*i*-Pr	OCF_3	CF_3	NHCHO	2-cyano-4-pyridinyl
Ph	$C(O)NMe_2$	*c*-Pr	SMe			

Z-R^1 is 3,5-dichlorophenyl; R^{3a} and R^{3b} are H; A is CH=C(R^{3d}); R^4 and R^5 are H; a is 1; R^2 is 2-chloro-5-thiazolyl

R^{3d}	R^{3d}	R^{3d}	R^{3d}	R^{3d}	R^{3d}
CHO	Me	OMe	$CH=CH_2$	OPh	3-F-2-pyridinyl
C(O)Me	Et	OEt	C≡CH	NMe_2	4-Me-3-pyridinyl
CO_2Et	*i*-Pr	OCF_3	CF_3	NHCHO	2-cyano-4-pyridinyl
$C(O)NMe_2$	*c*-Pr	SMe	cyano	Ph	

Z-R^1 is 3,5-dichlorophenyl; R^{3b} is H; A is O; R^4 and R^5 are H; a is 1; R^2 is 2-chloro-5-thiazolyl

R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}
F	CHO	Me	OMe	$CH=CH_2$	OPh	3-F-2-pyridinyl
Cl	C(O)Me	Et	OEt	C≡CH	NMe_2	4-Me-3-pyridinyl
Br	CO_2Et	*i*-Pr	OCF_3	CF_3	NHCHO	2-cyano-4-pyridinyl
cyano	$C(O)NMe_2$	*c*-Pr	SMe	Ph		

Z-R^1 is 3,5-dichlorophenyl; R^{3b} is H; A is S; R^4 and R^5 are H; a is 1; R^2 is 2-chloro-5-thiazolyl

R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}
F	CHO	Me	OMe	$CH=CH_2$	OPh	3-F-2-pyridinyl
Cl	C(O)Me	Et	OEt	C≡CH	NMe_2	4-Me-3-pyridinyl
Br	CO_2Et	*i*-Pr	OCF_3	CF_3	NHCHO	2-cyano-4-pyridinyl
cyano	$C(O)NMe_2$	*c*-Pr	SMe	Ph		

Z-R^1 is 3,5-dichlorophenyl; R^{3b} is H; A is NMe; R^4 and R^5 are H; a is 1; R^2 is 2-chloro-5-thiazolyl

R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}
F	CHO	Me	OMe	$CH=CH_2$	OPh	3-F-2-pyridinyl
Cl	C(O)Me	Et	OEt	C≡CH	NMe_2	4-Me-3-pyridinyl
Br	CO_2Et	*i*-Pr	OCF_3	CF_3	NHCHO	2-cyano-4-pyridinyl
cyano	$C(O)NMe_2$	*c*-Pr	SMe	Ph		

Z-R^1 is 3,5-dichlorophenyl; R^{3a} and R^{3b} are H; A is NR^{3e}; R^4 and R^5 are H; a is 1;
R^2 is 2-chloro-5-thiazolyl

R^{3e}	R^{3e}	R^{3e}	R^{3e}	R^{3e}	R^{3e}	R^{3e}
cyano	C(O)Me	*i*-Pr	OMe	$CH=CH_2$	OPh	3-F-2-pyridinyl
NMe_2	CO_2Et	*c*-Pr	OEt	C≡CH	Ph	4-Me-3-pyridinyl
CHO	$C(O)NMe_2$	OCF_3	CF_3			2-cyano-4-pyridinyl

Z-R^1 is 3-(trifluoromethyl)phenyl; R^{3b} is H; A is CH=CMe; R^4 and R^5 are H; a is 1;
R^2 is 2-chloro-5-thiazolyl

R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}
H	CHO	Me	OMe	$CH=CH_2$	OPh	3-F-2-pyridinyl
Cl	C(O)Me	Et	OEt	C≡CH	NMe_2	4-Me-3-pyridinyl
Br	CO_2Et	*i*-Pr	OCF_3	CF_3	NHCHO	2-cyano-4-pyridinyl
cyano	$C(O)NMe_2$	*c*-Pr	SMe	Ph		

Z-R^1 is 3-(trifluoromethyl)phenyl; R^{3a} is H; A is CH=CMe; R^4 and R^5 are H; a is 1;
R^2 is 2-chloro-5-thiazolyl

R^{3b}	R^{3b}	R^{3b}	R^{3b}	R^{3b}	R^{3b}	R^{3b}
Cl	CHO	Me	OMe	$CH=CH_2$	OPh	3-F-2-pyridinyl
Br	C(O)Me	Et	OEt	C≡CH	NMe_2	4-Me-3-pyridinyl
cyano	CO_2Et	*i*-Pr	OCF_3	CF_3	NHCHO	2-cyano-4-pyridinyl
Ph	$C(O)NMe_2$	*c*-Pr	SMe			

Z-R^1 is 3-(trifluoromethyl)phenyl; R^{3a} and R^{3b} are H; A is $C(R^{3c})=CH$; R^4 and R^5 are H; a is 1;
R^2 is 2-chloro-5-thiazolyl

R^{3c}	R^{3c}	R^{3c}	R^{3c}	R^{3c}	R^{3c}	R^{3c}
Cl	CHO	Me	OMe	$CH=CH_2$	OPh	3-F-2-pyridinyl
Br	C(O)Me	Et	OEt	C≡CH	NMe_2	4-Me-3-pyridinyl
cyano	CO_2Et	*i*-Pr	OCF_3	CF_3	NHCHO	2-cyano-4-pyridinyl
Ph	$C(O)NMe_2$	*c*-Pr	SMe			

Z-R^1 is 3-(trifluoromethyl)phenyl; R^{3a} and R^{3b} are H; A is $CH=C(R^{3d})$; R^4 and R^5 are H; a is 1;
R^2 is 2-chloro-5-thiazolyl

R^{3d}	R^{3d}	R^{3d}	R^{3d}	R^{3d}	R^{3d}
CHO	Me	OMe	$CH=CH_2$	OPh	3-F-2-pyridinyl
C(O)Me	Et	OEt	C≡CH	NMe_2	4-Me-3-pyridinyl

CO_2Et	*i*-Pr	OCF_3	CF_3	NHCHO	2-cyano-4-pyridinyl
$C(O)NMe_2$	*c*-Pr	SMe	cyano	Ph	

Z-R^1 is 3-(trifluoromethyl)phenyl; R^{3b} is H; A is O; R^4 and R^5 are H; a is 1;
R^2 is 2-chloro-5-thiazolyl

R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}
F	CHO	Me	OMe	$CH=CH_2$	OPh	3-F-2-pyridinyl
Cl	C(O)Me	Et	OEt	C≡CH	NMe_2	4-Me-3-pyridinyl
Br	CO_2Et	*i*-Pr	OCF_3	CF_3	NHCHO	2-cyano-4-pyridinyl
cyano	$C(O)NMe_2$	*c*-Pr	SMe	Ph		

Z-R^1 is 3-(trifluoromethyl)phenyl; R^{3b} is H; A is S; R^4 and R^5 are H; a is 1;
R^2 is 2-chloro-5-thiazolyl

R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}
F	CHO	Me	OMe	$CH=CH_2$	OPh	3-F-2-pyridinyl
Cl	C(O)Me	Et	OEt	C≡CH	NMe_2	4-Me-3-pyridinyl
Br	CO_2Et	*i*-Pr	OCF_3	CF_3	NHCHO	2-cyano-4-pyridinyl
cyano	$C(O)NMe_2$	*c*-Pr	SMe	Ph		

Z-R^1 is 3-(trifluoromethyl)phenyl; R^{3b} is H; A is NMe; R^4 and R^5 are H; a is 1;
R^2 is 2-chloro-5-thiazolyl

R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}
F	CHO	Me	OMe	$CH=CH_2$	OPh	3-F-2-pyridinyl
Cl	C(O)Me	Et	OEt	C≡CH	NMe_2	4-Me-3-pyridinyl
Br	CO_2Et	*i*-Pr	OCF_3	CF_3	NHCHO	2-cyano-4-pyridinyl
cyano	$C(O)NMe_2$	*c*-Pr	SMe	Ph		

Z-R^1 is 3-(trifluoromethyl)phenyl; R^{3a} and R^{3b} are H; A is NR^{3e}; R^4 and R^5 are H; a is 1;
R^2 is 2-chloro-5-thiazolyl

R^{3e}	R^{3e}	R^{3e}	R^{3e}	R^{3e}	R^{3e}	R^{3e}
cyano	C(O)Me	*i*-Pr	OMe	$CH=CH_2$	OPh	3-F-2-pyridinyl
NMe_2	CO_2Et	*c*-Pr	OEt	C≡CH	Ph	4-Me-3-pyridinyl
CHO	$C(O)NMe_2$	OCF_3	CF_3			2-cyano-4-pyridinyl

Z-R^1 is 3-(2-chloro-4-(trifluoromethyl)phenyl)phenyl; R^{3b} is H; A is CH=CMe; R^4 and R^5 are H; a is 1; R^2 is 2-chloro-5-thiazolyl

R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}
H	CHO	Me	OMe	$CH=CH_2$	OPh	3-F-2-pyridinyl
Cl	C(O)Me	Et	OEt	C≡CH	NMe_2	4-Me-3-pyridinyl
Br	CO_2Et	*i*-Pr	OCF_3	CF_3	NHCHO	2-cyano-4-pyridinyl
cyano	$C(O)NMe_2$	*c*-Pr	SMe	Ph		

Z-R^1 is 3-(2-chloro-4-(trifluoromethyl)phenyl)phenyl; R^{3a} is H; A is CH=CMe; R^4 and R^5 are H; a is 1; R^2 is 2-chloro-5-thiazolyl

R^{3b}	R^{3b}	R^{3b}	R^{3b}	R^{3b}	R^{3b}	R^{3b}
Cl	CHO	Me	OMe	$CH=CH_2$	OPh	3-F-2-pyridinyl
Br	C(O)Me	Et	OEt	C≡CH	NMe_2	4-Me-3-pyridinyl
cyano	CO_2Et	*i*-Pr	OCF_3	CF_3	NHCHO	2-cyano-4-pyridinyl
Ph	$C(O)NMe_2$	*c*-Pr	SMe			

Z-R^1 is 3-(2-chloro-4-(trifluoromethyl)phenyl)phenyl; R^{3a} and R^{3b} are H; A is $C(R^{3c})$=CH; R^4 and R^5 are H; a is 1; R^2 is 2-chloro-5-thiazolyl

R^{3c}	R^{3c}	R^{3c}	R^{3c}	R^{3c}	R^{3c}	R^{3c}
Cl	CHO	Me	OMe	$CH=CH_2$	OPh	3-F-2-pyridinyl
Br	C(O)Me	Et	OEt	C≡CH	NMe_2	4-Me-3-pyridinyl
cyano	CO_2Et	*i*-Pr	OCF_3	CF_3	NHCHO	2-cyano-4-pyridinyl
Ph	$C(O)NMe_2$	*c*-Pr	SMe			

Z-R^1 is 3-(2-chloro-4-(trifluoromethyl)phenyl)phenyl; R^{3a} and R^{3b} are H; A is CH=$C(R^{3d})$; R^4 and R^5 are H; a is 1; R^2 is 2-chloro-5-thiazolyl

R^{3d}	R^{3d}	R^{3d}	R^{3d}	R^{3d}	R^{3d}
CHO	Me	OMe	$CH=CH_2$	OPh	3-F-2-pyridinyl
C(O)Me	Et	OEt	C≡CH	NMe_2	4-Me-3-pyridinyl
CO_2Et	*i*-Pr	OCF_3	CF_3	NHCHO	2-cyano-4-pyridinyl
$C(O)NMe_2$	*c*-Pr	SMe	cyano	Ph	

Z-R^1 is 3-(2-chloro-4-(trifluoromethyl)phenyl)phenyl; R^{3b} is H; A is O; R^4 and R^5 are H; a is 1; R^2 is 2-chloro-5-thiazolyl

R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}
F	CHO	Me	OMe	$CH=CH_2$	OPh	3-F-2-pyridinyl
Cl	C(O)Me	Et	OEt	C≡CH	NMe_2	4-Me-3-pyridinyl

Br	CO_2Et	*i*-Pr	OCF_3	CF_3	NHCHO	2-cyano-4-pyridinyl
cyano	$C(O)NMe_2$	*c*-Pr	SMe	Ph		

Z-R^1 is 3-(2-chloro-4-(trifluoromethyl)phenyl)phenyl; R^{3b} is H; A is S; R^4 and R^5 are H; a is 1; R^2 is 2-chloro-5-thiazolyl

R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}
F	CHO	Me	OMe	$CH=CH_2$	OPh	3-F-2-pyridinyl
Cl	C(O)Me	Et	OEt	C≡CH	NMe_2	4-Me-3-pyridinyl
Br	CO_2Et	*i*-Pr	OCF_3	CF_3	NHCHO	2-cyano-4-pyridinyl
cyano	$C(O)NMe_2$	*c*-Pr	SMe	Ph		

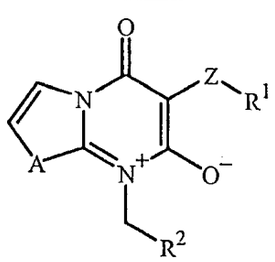
Z-R^1 is 3-(2-chloro-4-(trifluoromethyl)phenyl)phenyl; R^{3b} is H; A is NMe; R^4 and R^5 are H; a is 1; R^2 is 2-chloro-5-thiazolyl

R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}
F	CHO	Me	OMe	$CH=CH_2$	OPh	3-F-2-pyridinyl
Cl	C(O)Me	Et	OEt	C≡CH	NMe_2	4-Me-3-pyridinyl
Br	CO_2Et	*i*-Pr	OCF_3	CF_3	NHCHO	2-cyano-4-pyridinyl
cyano	$C(O)NMe_2$	*c*-Pr	SMe	Ph		

Z-R^1 is 3-(2-chloro-4-(trifluoromethyl)phenyl)phenyl; R^{3a} and R^{3b} are H; A is NR^{3e}; R^4 and R^5 are H; a is 1; R^2 is 2-chloro-5-thiazolyl

R^{3e}	R^{3e}	R^{3e}	R^{3e}	R^{3e}	R^{3e}	R^{3e}
cyano	C(O)Me	*i*-Pr	OMe	$CH=CH_2$	OPh	3-F-2-pyridinyl
NMe_2	CO_2Et	*c*-Pr	OEt	C≡CH	Ph	4-Me-3-pyridinyl
CHO	$C(O)NMe_2$	OCF_3	CF_3			2-cyano-4-pyridinyl

TABLE 20



A is NCH_2CHF_2; R^2 is 2-chloro-5-thiazolyl

ZR^1	ZR^1	ZR^1	ZR^1	ZR^1
Ph	3-MeO-Ph	3-CF_3-Ph	3-CF_3O-Ph	3-Cl, 5-CF_3-Ph

2-F-Ph	$C(O)CF_3$	3-CO_2Et-Ph	3-$C(O)NMe_2$-Ph	3-Me-Ph
3-CN-Ph	C(O)Ph	CO_2Et	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph

A is NCH_2CHF_2; R^2 is 2-methyl-5-thiazolyl

ZR^1	ZR^1	ZR^1	ZR^1	ZR^1
Ph	3-MeO-Ph	3-CF_3-Ph	3-CF_3O-Ph	3-Cl, 5-CF_3-Ph
2-F-Ph	$C(O)CF_3$	3-CO_2Et-Ph	3-$C(O)NMe_2$-Ph	3-Me-Ph
3-CN-Ph	C(O)Ph	CO_2Et	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph

A is NCH_2CHF_2; R^2 is 5-thiazolyl

ZR^1	ZR^1	ZR^1	ZR^1	ZR^1
Ph	3-MeO-Ph	3-CF_3-Ph	3-CF_3O-Ph	3-Cl, 5-CF_3-Ph
2-F-Ph	$C(O)CF_3$	3-CO_2Et-Ph	3-$C(O)NMe_2$-Ph	3-Me-Ph
3-CN-Ph	C(O)Ph	CO_2Et	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph

A is NCH_2CHF_2; R^2 is CF_3

ZR^1	ZR^1	ZR^1	ZR^1	ZR^1
Ph	3-MeO-Ph	3-CF_3-Ph	3-CF_3O-Ph	3-Cl, 5-CF_3-Ph
2-F-Ph	$C(O)CF_3$	3-CO_2Et-Ph	3-$C(O)NMe_2$-Ph	3-Me-Ph
3-CN-Ph	C(O)Ph	CO_2Et	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph

A is NCH_2CHF_2; R^2 is 6-chloro-3-pyridinyl

ZR^1	ZR^1	ZR^1	ZR^1	ZR^1
Ph	3-MeO-Ph	3-CF_3-Ph	3-CF_3O-Ph	3-Cl, 5-CF_3-Ph
2-F-Ph	$C(O)CF_3$	3-CO_2Et-Ph	3-$C(O)NMe_2$-Ph	3-Me-Ph
3-CN-Ph	C(O)Ph	CO_2Et	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph

A is NCH_2CHF_2; R^2 is 6-fluoro-3-pyridinyl

ZR^1	ZR^1	ZR^1	ZR^1	ZR^1
Ph	3-MeO-Ph	3-CF_3-Ph	3-CF_3O-Ph	3-Cl, 5-CF_3-Ph
2-F-Ph	$C(O)CF_3$	3-CO_2Et-Ph	3-$C(O)NMe_2$-Ph	3-Me-Ph
3-CN-Ph	C(O)Ph	CO_2Et	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph

A is NCH_2CHF_2; R^2 is 1-methyl-4-pyrazolyl

ZR^1	ZR^1	ZR^1	ZR^1	ZR^1
Ph	3-MeO-Ph	3-CF_3-Ph	3-CF_3O-Ph	3-Cl, 5-CF_3-Ph
2-F-Ph	$C(O)CF_3$	3-CO_2Et-Ph	3-$C(O)NMe_2$-Ph	3-Me-Ph
3-CN-Ph	C(O)Ph	CO_2Et	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph

A is NEt; R^2 is 2-chloro-5-thiazolyl

ZR^1	ZR^1	ZR^1	ZR^1	ZR^1
Ph	3-MeO-Ph	3-CF_3-Ph	3-CF_3O-Ph	3-Cl, 5-CF_3-Ph
2-F-Ph	$C(O)CF_3$	3-CO_2Et-Ph	3-$C(O)NMe_2$-Ph	3-Me-Ph
3-CN-Ph	C(O)Ph	CO_2Et	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph

A is NEt; R^2 is 2-methyl-5-thiazolyl

ZR^1	ZR^1	ZR^1	ZR^1	ZR^1
Ph	3-MeO-Ph	3-CF_3-Ph	3-CF_3O-Ph	3-Cl, 5-CF_3-Ph
2-F-Ph	$C(O)CF_3$	3-CO_2Et-Ph	3-$C(O)NMe_2$-Ph	3-Me-Ph
3-CN-Ph	C(O)Ph	CO_2Et	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph

A is NEt; R^2 is 5-thiazolyl

ZR^1	ZR^1	ZR^1	ZR^1	ZR^1
Ph	3-MeO-Ph	3-CF_3-Ph	3-CF_3O-Ph	3-Cl, 5-CF_3-Ph
2-F-Ph	$C(O)CF_3$	3-CO_2Et-Ph	3-$C(O)NMe_2$-Ph	3-Me-Ph
3-CN-Ph	C(O)Ph	CO_2Et	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph

A is NEt; R^2 is CF_3

ZR^1	ZR^1	ZR^1	ZR^1	ZR^1
Ph	3-MeO-Ph	3-CF_3-Ph	3-CF_3O-Ph	3-Cl, 5-CF_3-Ph
2-F-Ph	$C(O)CF_3$	3-CO_2Et-Ph	3-$C(O)NMe_2$-Ph	3-Me-Ph
3-CN-Ph	C(O)Ph	CO_2Et	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph

A is NEt; R^2 is 6-chloro-3-pyridinyl

ZR^1	ZR^1	ZR^1	ZR^1	ZR^1
Ph	3-MeO-Ph	3-CF_3-Ph	3-CF_3O-Ph	3-Cl, 5-CF_3-Ph
2-F-Ph	$C(O)CF_3$	3-CO_2Et-Ph	3-$C(O)NMe_2$-Ph	3-Me-Ph
3-CN-Ph	C(O)Ph	CO_2Et	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph

A is NEt; R^2 is 6-fluoro-3-pyridinyl

ZR^1	ZR^1	ZR^1	ZR^1	ZR^1
Ph	3-MeO-Ph	3-CF_3-Ph	3-CF_3O-Ph	3-Cl, 5-CF_3-Ph
2-F-Ph	$C(O)CF_3$	3-CO_2Et-Ph	3-$C(O)NMe_2$-Ph	3-Me-Ph
3-CN-Ph	C(O)Ph	CO_2Et	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph

A is NEt; R^2 is 1-methyl-4-pyrazolyl

ZR^1	ZR^1	ZR^1	ZR^1	ZR^1
Ph	3-MeO-Ph	3-CF_3-Ph	3-CF_3O-Ph	3-Cl, 5-CF_3-Ph
2-F-Ph	C(O)CF_3	3-CO_2Et-Ph	3-C(O)NMe_2-Ph	3-Me-Ph
3-CN-Ph	C(O)Ph	CO_2Et	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph

A is NCH_2CF_3; R^2 is H

ZR^1	ZR^1	ZR^1	ZR^1	ZR^1
Ph	3-MeO-Ph	3-CF_3-Ph	3-CF_3O-Ph	3-Cl, 5-CF_3-Ph
2-F-Ph	C(O)CF_3	3-CO_2Et-Ph	3-C(O)NMe_2-Ph	3-Me-Ph
3-CN-Ph	C(O)Ph	CO_2Et	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph

A is NCH_2(6-chloro-3-pyridinyl); R^2 is H

ZR^1	ZR^1	ZR^1	ZR^1	ZR^1
Ph	3-MeO-Ph	3-CF_3-Ph	3-CF_3O-Ph	3-Cl, 5-CF_3-Ph
2-F-Ph	C(O)CF_3	3-CO_2Et-Ph	3-C(O)NMe_2-Ph	3-Me-Ph
3-CN-Ph	C(O)Ph	CO_2Et	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph

A is NCH_2(6-fluoro-3-pyridinyl); R^2 is H

ZR^1	ZR^1	ZR^1	ZR^1	ZR^1
Ph	3-MeO-Ph	3-CF_3-Ph	3-CF_3O-Ph	3-Cl, 5-CF_3-Ph
2-F-Ph	C(O)CF_3	3-CO_2Et-Ph	3-C(O)NMe_2-Ph	3-Me-Ph
3-CN-Ph	C(O)Ph	CO_2Et	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph

A is NCH_2(2-chloro-5-thiazolyl); R^2 is H

ZR^1	ZR^1	ZR^1	ZR^1	ZR^1
Ph	3-MeO-Ph	3-CF_3-Ph	3-CF_3O-Ph	3-Cl, 5-CF_3-Ph
2-F-Ph	C(O)CF_3	3-CO_2Et-Ph	3-C(O)NMe_2-Ph	3-Me-Ph
3-CN-Ph	C(O)Ph	CO_2Et	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph

A is NCH_2CF_3; R^2 is Me

ZR^1	ZR^1	ZR^1	ZR^1	ZR^1
Ph	3-MeO-Ph	3-CF_3-Ph	3-CF_3O-Ph	3-Cl, 5-CF_3-Ph
2-F-Ph	C(O)CF_3	3-CO_2Et-Ph	3-C(O)NMe_2-Ph	3-Me-Ph
3-CN-Ph	C(O)Ph	CO_2Et	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph

A is NCH_2(6-chloro-3-pyridinyl); R^2 is Me

ZR^1	ZR^1	ZR^1	ZR^1	ZR^1
Ph	3-MeO-Ph	3-CF_3-Ph	3-CF_3O-Ph	3-Cl, 5-CF_3-Ph
2-F-Ph	C(O)CF_3	3-CO_2Et-Ph	3-C(O)NMe_2-Ph	3-Me-Ph
3-CN-Ph	C(O)Ph	CO_2Et	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph

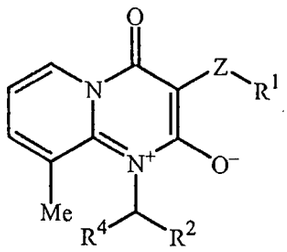
A is NCH_2(6-fluoro-3-pyridinyl); R^2 is Me

ZR^1	ZR^1	ZR^1	ZR^1	ZR^1
Ph	3-MeO-Ph	3-CF_3-Ph	3-CF_3O-Ph	3-Cl, 5-CF_3-Ph
2-F-Ph	C(O)CF_3	3-CO_2Et-Ph	3-C(O)NMe_2-Ph	3-Me-Ph
3-CN-Ph	C(O)Ph	CO_2Et	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph

A is NCH_2(2-chloro-5-thiazolyl); R^2 is Me

ZR^1	ZR^1	ZR^1	ZR^1	ZR^1
Ph	3-MeO-Ph	3-CF_3-Ph	3-CF_3O-Ph	3-Cl, 5-CF_3-Ph
2-F-Ph	C(O)CF_3	3-CO_2Et-Ph	3-C(O)NMe_2-Ph	3-Me-Ph
3-CN-Ph	C(O)Ph	CO_2Et	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph

TABLE 21



R^4 is F; R^2 is 2-chloro-5-thiazolyl

ZR^1	ZR^1	ZR^1	ZR^1	ZR^1
Ph	3-MeO-Ph	3-CF_3-Ph	3-CF_3O-Ph	3-Cl, 5-CF_3-Ph
2-F-Ph	C(O)CF_3	3-CO_2Et-Ph	3-C(O)NMe_2-Ph	3-Me-Ph
3-CN-Ph	C(O)Ph	CO_2Et	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph

R^4 is F; R^2 is 2-methyl-5-thiazolyl

ZR^1	ZR^1	ZR^1	ZR^1	ZR^1
Ph	3-MeO-Ph	3-CF_3-Ph	3-CF_3O-Ph	3-Cl, 5-CF_3-Ph
2-F-Ph	C(O)CF_3	3-CO_2Et-Ph	3-C(O)NMe_2-Ph	3-Me-Ph
3-CN-Ph	C(O)Ph	CO_2Et	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph

R^4 is F; R^2 is 5-thiazolyl

ZR^1	ZR^1	ZR^1	ZR^1	ZR^1
Ph	3-MeO-Ph	3-CF_3-Ph	3-CF_3O-Ph	3-Cl, 5-CF_3-Ph
2-F-Ph	C(O)CF_3	3-CO_2Et-Ph	3-C(O)NMe_2-Ph	3-Me-Ph
3-CN-Ph	C(O)Ph	CO_2Et	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph

R^4 is F; R^2 is CF_3

ZR^1	ZR^1	ZR^1	ZR^1	ZR^1
Ph	3-MeO-Ph	3-CF_3-Ph	3-CF_3O-Ph	3-Cl, 5-CF_3-Ph
2-F-Ph	C(O)CF_3	3-CO_2Et-Ph	3-C(O)NMe_2-Ph	3-Me-Ph
3-CN-Ph	C(O)Ph	CO_2Et	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph

R^4 is F; R^2 is 6-chloro-3-pyridinyl

ZR^1	ZR^1	ZR^1	ZR^1	ZR^1
Ph	3-MeO-Ph	3-CF_3-Ph	3-CF_3O-Ph	3-Cl, 5-CF_3-Ph
2-F-Ph	C(O)CF_3	3-CO_2Et-Ph	3-C(O)NMe_2-Ph	3-Me-Ph
3-CN-Ph	C(O)Ph	CO_2Et	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph

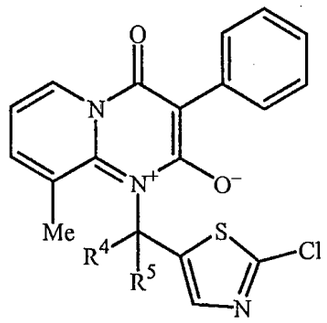
R^4 is F; R^2 is 6-fluoro-3-pyridinyl

ZR^1	ZR^1	ZR^1	ZR^1	ZR^1
Ph	3-MeO-Ph	3-CF_3-Ph	3-CF_3O-Ph	3-Cl, 5-CF_3-Ph
2-F-Ph	C(O)CF_3	3-CO_2Et-Ph	3-C(O)NMe_2-Ph	3-Me-Ph
3-CN-Ph	C(O)Ph	CO_2Et	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph

R^4 is F; R^2 is 1-methyl-4-pyrazolyl

ZR^1	ZR^1	ZR^1	ZR^1	ZR^1
Ph	3-MeO-Ph	3-CF_3-Ph	3-CF_3O-Ph	3-Cl, 5-CF_3-Ph
2-F-Ph	C(O)CF_3	3-CO_2Et-Ph	3-C(O)NMe_2-Ph	3-Me-Ph
3-CN-Ph	C(O)Ph	CO_2Et	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph

TABLE 22



R^5 is H

R^4	R^4	R^4	R^4	R^4	R^4	R^4
Cl	CHO	Et	OMe	$CH=CH_2$	OPh	3-F-2-pyridinyl
Br	C(O)Me	*i*-Pr	OEt	C≡CH	NMe_2	4-Me-3-pyridinyl
cyano	CO_2Et	*c*-Pr	OCF_3	SMe	NHCHO	2-cyano-4-pyridinyl
Ph	$C(O)NMe_2$	CF_3				

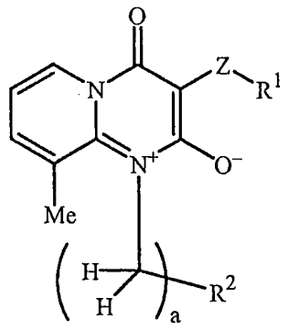
R^5 is Me

R^4	R^4	R^4	R^4	R^4	R^4	R^4
Cl	CHO	Et	OMe	$CH=CH_2$	OPh	3-F-2-pyridinyl
Br	C(O)Me	*i*-Pr	OEt	C≡CH	NMe_2	4-Me-3-pyridinyl
cyano	CO_2Et	*c*-Pr	OCF_3	SMe	NHCHO	2-cyano-4-pyridinyl
Ph	$C(O)NMe_2$	CF_3				

R^5 is *c*-Pr

R^4	R^4	R^4	R^4	R^4	R^4	R^4
Cl	CHO	Et	OMe	$CH=CH_2$	OPh	3-F-2-pyridinyl
Br	C(O)Me	*i*-Pr	OEt	C≡CH	NMe_2	4-Me-3-pyridinyl
cyano	CO_2Et	*c*-Pr	OCF_3	SMe	NHCHO	2-cyano-4-pyridinyl
Ph	$C(O)NMe_2$	CF_3				

TABLE 23



a is 2; R^2 is 2-chloro-5-thiazolyl

ZR^1	ZR^1	ZR^1	ZR^1	ZR^1
Ph	3-MeO-Ph	3-CF_3-Ph	3-CF_3O-Ph	3-Cl, 5-CF_3-Ph
2-F-Ph	C(O)CF_3	3-CO_2Et-Ph	3-C(O)NMe_2-Ph	3-Me-Ph
3-CN-Ph	C(O)Ph	CO_2Et	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph

a is 2; R^2 is 2-methyl-5-thiazolyl

ZR^1	ZR^1	ZR^1	ZR^1	ZR^1
Ph	3-MeO-Ph	3-CF_3-Ph	3-CF_3O-Ph	3-Cl, 5-CF_3-Ph
2-F-Ph	C(O)CF_3	3-CO_2Et-Ph	3-C(O)NMe_2-Ph	3-Me-Ph
3-CN-Ph	C(O)Ph	CO_2Et	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph

a is 2; R^2 is 5-thiazolyl

ZR^1	ZR^1	ZR^1	ZR^1	ZR^1
Ph	3-MeO-Ph	3-CF_3-Ph	3-CF_3O-Ph	3-Cl, 5-CF_3-Ph
2-F-Ph	C(O)CF_3	3-CO_2Et-Ph	3-C(O)NMe_2-Ph	3-Me-Ph
3-CN-Ph	C(O)Ph	CO_2Et	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph

a is 2; R^2 is 2-fluoro-5-thiazolyl

ZR^1	ZR^1	ZR^1	ZR^1	ZR^1
Ph	3-MeO-Ph	3-CF_3-Ph	3-CF_3O-Ph	3-Cl, 5-CF_3-Ph
2-F-Ph	C(O)CF_3	3-CO_2Et-Ph	3-C(O)NMe_2-Ph	3-Me-Ph
3-CN-Ph	C(O)Ph	CO_2Et	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph

a is 2; R^2 is 5-pyrimidinyl

ZR^1	ZR^1	ZR^1	ZR^1	ZR^1
Ph	3-MeO-Ph	3-CF_3-Ph	3-CF_3O-Ph	3-Cl, 5-CF_3-Ph
2-F-Ph	C(O)CF_3	3-CO_2Et-Ph	3-C(O)NMe_2-Ph	3-Me-Ph
3-CN-Ph	C(O)Ph	CO_2Et	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph

a is 2; R^2 is 2-methyl-5-pyrimidinyl

ZR^1	ZR^1	ZR^1	ZR^1	ZR^1
Ph	3-MeO-Ph	3-CF_3-Ph	3-CF_3O-Ph	3-Cl, 5-CF_3-Ph
2-F-Ph	$C(O)CF_3$	3-CO_2Et-Ph	3-$C(O)NMe_2$-Ph	3-Me-Ph
3-CN-Ph	C(O)Ph	CO_2Et	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph

a is 2; R^2 is CF_3

ZR^1	ZR^1	ZR^1	ZR^1	ZR^1
Ph	3-MeO-Ph	3-CF_3-Ph	3-CF_3O-Ph	3-Cl, 5-CF_3-Ph
2-F-Ph	$C(O)CF_3$	3-CO_2Et-Ph	3-$C(O)NMe_2$-Ph	3-Me-Ph
3-CN-Ph	C(O)Ph	CO_2Et	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph

a is 2; R^2 is 6-chloro-3-pyridinyl

ZR^1	ZR^1	ZR^1	ZR^1	ZR^1
Ph	3-MeO-Ph	3-CF_3-Ph	3-CF_3O-Ph	3-Cl, 5-CF_3-Ph
2-F-Ph	$C(O)CF_3$	3-CO_2Et-Ph	3-$C(O)NMe_2$-Ph	3-Me-Ph
3-CN-Ph	C(O)Ph	CO_2Et	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph

a is 2; R^2 is 6-fluoro-3-pyridinyl

ZR^1	ZR^1	ZR^1	ZR^1	ZR^1
Ph	3-MeO-Ph	3-CF_3-Ph	3-CF_3O-Ph	3-Cl, 5-CF_3-Ph
2-F-Ph	$C(O)CF_3$	3-CO_2Et-Ph	3-$C(O)NMe_2$-Ph	3-Me-Ph
3-CN-Ph	C(O)Ph	CO_2Et	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph

a is 2; R^2 is 1-methyl-4-pyrazolyl

ZR^1	ZR^1	ZR^1	ZR^1	ZR^1
Ph	3-MeO-Ph	3-CF_3-Ph	3-CF_3O-Ph	3-Cl, 5-CF_3-Ph
2-F-Ph	$C(O)CF_3$	3-CO_2Et-Ph	3-$C(O)NMe_2$-Ph	3-Me-Ph
3-CN-Ph	C(O)Ph	CO_2Et	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph

a is 3; R^2 is 2-chloro-5-thiazolyl

ZR^1	ZR^1	ZR^1	ZR^1	ZR^1
Ph	3-MeO-Ph	3-CF_3-Ph	3-CF_3O-Ph	3-Cl, 5-CF_3-Ph
2-F-Ph	$C(O)CF_3$	3-CO_2Et-Ph	3-$C(O)NMe_2$-Ph	3-Me-Ph
3-CN-Ph	C(O)Ph	CO_2Et	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph

a is 3; R^2 is 2-methyl-5-thiazolyl

ZR^1	ZR^1	ZR^1	ZR^1	ZR^1
Ph	3-MeO-Ph	3-CF_3-Ph	3-CF_3O-Ph	3-Cl, 5-CF_3-Ph
2-F-Ph	$C(O)CF_3$	3-CO_2Et-Ph	3-$C(O)NMe_2$-Ph	3-Me-Ph
3-CN-Ph	C(O)Ph	CO_2Et	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph

a is 3; R^2 is 5-thiazolyl

ZR^1	ZR^1	ZR^1	ZR^1	ZR^1
Ph	3-MeO-Ph	3-CF_3-Ph	3-CF_3O-Ph	3-Cl, 5-CF_3-Ph
2-F-Ph	$C(O)CF_3$	3-CO_2Et-Ph	3-$C(O)NMe_2$-Ph	3-Me-Ph
3-CN-Ph	C(O)Ph	CO_2Et	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph

a is 3; R^2 is CF_3

ZR^1	ZR^1	ZR^1	ZR^1	ZR^1
Ph	3-MeO-Ph	3-CF_3-Ph	3-CF_3O-Ph	3-Cl, 5-CF_3-Ph
2-F-Ph	$C(O)CF_3$	3-CO_2Et-Ph	3-$C(O)NMe_2$-Ph	3-Me-Ph
3-CN-Ph	C(O)Ph	CO_2Et	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph

a is 3; R^2 is 6-chloro-3-pyridinyl

ZR^1	ZR^1	ZR^1	ZR^1	ZR^1
Ph	3-MeO-Ph	3-CF_3-Ph	3-CF_3O-Ph	3-Cl, 5-CF_3-Ph
2-F-Ph	$C(O)CF_3$	3-CO_2Et-Ph	3-$C(O)NMe_2$-Ph	3-Me-Ph
3-CN-Ph	C(O)Ph	CO_2Et	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph

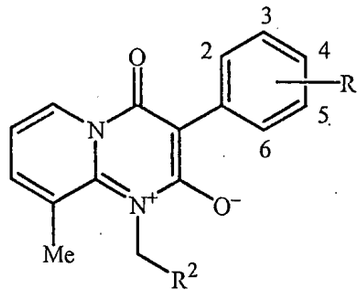
a is 3; R^2 is 6-fluoro-3-pyridinyl

ZR^1	ZR^1	ZR^1	ZR^1	ZR^1
Ph	3-MeO-Ph	3-CF_3-Ph	3-CF_3O-Ph	3-Cl, 5-CF_3-Ph
2-F-Ph	$C(O)CF_3$	3-CO_2Et-Ph	3-$C(O)NMe_2$-Ph	3-Me-Ph
3-CN-Ph	C(O)Ph	CO_2Et	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph

a is 3; R^2 is 1-methyl-4-pyrazolyl

ZR^1	ZR^1	ZR^1	ZR^1	ZR^1
Ph	3-MeO-Ph	3-CF_3-Ph	3-CF_3O-Ph	3-Cl, 5-CF_3-Ph
2-F-Ph	$C(O)CF_3$	3-CO_2Et-Ph	3-$C(O)NMe_2$-Ph	3-Me-Ph
3-CN-Ph	C(O)Ph	CO_2Et	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph

TABLE 24



R is 2-F

R^2	R^2	R^2	R^2	R^2	R^2	R^2
cyano	C(O)Me	Et	CH_2OMe	$CH=CH_2$	OPh	3-F-2-pyridinyl
CHO	CO_2Et	*i*-Pr	CH_2OEt	C≡CH	CH_2NMe_2	4-Me-3-pyridinyl
Ph	$C(O)NMe_2$	*c*-Pr	CH_2OCF_3	CH_2SMe	CH_2NHCHO	2-cyano-4-pyridinyl

R is 3-Me

R^2	R^2	R^2	R^2	R^2	R^2	R^2
cyano	C(O)Me	Et	CH_2OMe	$CH=CH_2$	OPh	3-F-2-pyridinyl
CHO	CO_2Et	*i*-Pr	CH_2OEt	C≡CH	CH_2NMe_2	4-Me-3-pyridinyl
Ph	$C(O)NMe_2$	*c*-Pr	CH_2OCF_3	CH_2SMe	CH_2NHCHO	2-cyano-4-pyridinyl

R is 3-CN

R^2	R^2	R^2	R^2	R^2	R^2	R^2
cyano	C(O)Me	Et	CH_2OMe	$CH=CH_2$	OPh	3-F-2-pyridinyl
CHO	CO_2Et	*i*-Pr	CH_2OEt	C≡CH	CH_2NMe_2	4-Me-3-pyridinyl
Ph	$C(O)NMe_2$	*c*-Pr	CH_2OCF_3	CH_2SMe	CH_2NHCHO	2-cyano-4-pyridinyl

R is 3-OMe

R^2	R^2	R^2	R^2	R^2	R^2	R^2
cyano	C(O)Me	Et	CH_2OMe	$CH=CH_2$	OPh	3-F-2-pyridinyl
CHO	CO_2Et	*i*-Pr	CH_2OEt	C≡CH	CH_2NMe_2	4-Me-3-pyridinyl
Ph	$C(O)NMe_2$	*c*-Pr	CH_2OCF_3	CH_2SMe	CH_2NHCHO	2-cyano-4-pyridinyl

R is 3-CF_3

R^2	R^2	R^2	R^2	R^2	R^2	R^2
cyano	C(O)Me	Et	CH_2OMe	$CH=CH_2$	OPh	3-F-2-pyridinyl
CHO	CO_2Et	*i*-Pr	CH_2OEt	C≡CH	CH_2NMe_2	4-Me-3-pyridinyl
Ph	$C(O)NMe_2$	*c*-Pr	CH_2OCF_3	CH_2SMe	CH_2NHCHO	2-cyano-4-pyridinyl

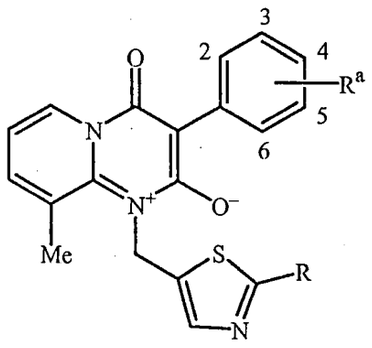
R is 3-Cl, 5-Cl

R^2	R^2	R^2	R^2	R^2	R^2	R^2
cyano	C(O)Me	Et	CH_2OMe	$CH{=}CH_2$	OPh	3-F-2-pyridinyl
CHO	CO_2Et	*i*-Pr	CH_2OEt	C≡CH	CH_2NMe_2	4-Me-3-pyridinyl
Ph	$C(O)NMe_2$	*c*-Pr	CH_2OCF_3	CH_2SMe	CH_2NHCHO	2-cyano-4-pyridinyl

R is 3-(2-chloro-4-(trifluoromethyl)phenyl)

R^2	R^2	R^2	R^2	R^2	R^2	R^2
cyano	C(O)Me	Et	CH_2OMe	$CH{=}CH_2$	OPh	3-F-2-pyridinyl
CHO	CO_2Et	*i*-Pr	CH_2OEt	C≡CH	CH_2NMe_2	4-Me-3-pyridinyl
Ph	$C(O)NMe_2$	*c*-Pr	CH_2OCF_3	CH_2SMe	CH_2NHCHO	2-cyano-4-pyridinyl

TABLE 25



R^a is 2-F

R	R	R	R	R	R	R
cyano	C(O)Me	Et	OMe	$CH{=}CH_2$	OPh	3-F-2-pyridinyl
CHO	CO_2Et	*i*-Pr	OEt	C≡CH	NMe_2	4-Me-3-pyridinyl
Ph	$C(O)NMe_2$	*c*-Pr	OCF_3	SMe	NHCHO	2-cyano-4-pyridinyl
CF_3						

R^a is 3-Me

R	R	R	R	R	R	R
cyano	C(O)Me	Et	OMe	$CH{=}CH_2$	OPh	3-F-2-pyridinyl
CHO	CO_2Et	*i*-Pr	OEt	C≡CH	NMe_2	4-Me-3-pyridinyl
Ph	$C(O)NMe_2$	*c*-Pr	OCF_3	SMe	NHCHO	2-cyano-4-pyridinyl
CF_3						

R^a is 3-CN

R	R	R	R	R	R	R
cyano	C(O)Me	Et	OMe	$CH=CH_2$	OPh	3-F-2-pyridinyl
CHO	CO_2Et	*i*-Pr	OEt	C≡CH	NMe_2	4-Me-3-pyridinyl
Ph	$C(O)NMe_2$	*c*-Pr	OCF_3	SMe	NHCHO	2-cyano-4-pyridinyl
CF_3						

R^a is 3-OMe

R	R	R	R	R	R	R
cyano	C(O)Me	Et	OMe	$CH=CH_2$	OPh	3-F-2-pyridinyl
CHO	CO_2Et	*i*-Pr	OEt	C≡CH	NMe_2	4-Me-3-pyridinyl
Ph	$C(O)NMe_2$	*c*-Pr	OCF_3	SMe	NHCHO	2-cyano-4-pyridinyl
CF_3						

R^a is 3-CF_3

R	R	R	R	R	R	R
cyano	C(O)Me	Et	OMe	$CH=CH_2$	OPh	3-F-2-pyridinyl
CHO	CO_2Et	*i*-Pr	OEt	C≡CH	NMe_2	4-Me-3-pyridinyl
Ph	$C(O)NMe_2$	*c*-Pr	OCF_3	SMe	NHCHO	2-cyano-4-pyridinyl
CF_3						

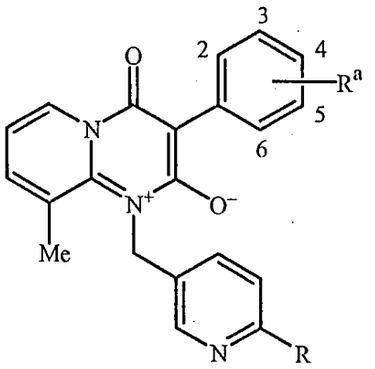
R^a is 3-Cl, 5-Cl

R	R	R	R	R	R	R
cyano	C(O)Me	Et	OMe	$CH=CH_2$	OPh	3-F-2-pyridinyl
CHO	CO_2Et	*i*-Pr	OEt	C≡CH	NMe_2	4-Me-3-pyridinyl
Ph	$C(O)NMe_2$	*c*-Pr	OCF_3	SMe	NHCHO	2-cyano-4-pyridinyl
CF_3						

R^a is 3-(2-chloro-4-(trifluoromethyl)phenyl)

R	R	R	R	R	R	R
cyano	C(O)Me	Et	OMe	$CH=CH_2$	OPh	3-F-2-pyridinyl
CHO	CO_2Et	*i*-Pr	OEt	C≡CH	NMe_2	4-Me-3-pyridinyl
Ph	$C(O)NMe_2$	*c*-Pr	OCF_3	SMe	NHCHO	2-cyano-4-pyridinyl
CF_3						

TABLE 26



R^a is 2-F

R	R	R	R	R	R	R
cyano	C(O)Me	Et	OMe	$CH=CH_2$	OPh	3-F-2-pyridinyl
CHO	CO_2Et	*i*-Pr	OEt	C≡CH	NMe_2	4-Me-3-pyridinyl
Ph	$C(O)NMe_2$	*c*-Pr	OCF_3	SMe	NHCHO	2-cyano-4-pyridinyl
CF_3						

R^a is 3-Me

R	R	R	R	R	R	R
cyano	C(O)Me	Et	OMe	$CH=CH_2$	OPh	3-F-2-pyridinyl
CHO	CO_2Et	*i*-Pr	OEt	C≡CH	NMe_2	4-Me-3-pyridinyl
Ph	$C(O)NMe_2$	*c*-Pr	OCF_3	SMe	NHCHO	2-cyano-4-pyridinyl
CF_3						

R^a is 3-CN

R	R	R	R	R	R	R
cyano	C(O)Me	Et	OMe	$CH=CH_2$	OPh	3-F-2-pyridinyl
CHO	CO_2Et	*i*-Pr	OEt	C≡CH	NMe_2	4-Me-3-pyridinyl
Ph	$C(O)NMe_2$	*c*-Pr	OCF_3	SMe	NHCHO	2-cyano-4-pyridinyl
CF_3						

R^a is 3-OMe

R	R	R	R	R	R	R
cyano	C(O)Me	Et	OMe	$CH=CH_2$	OPh	3-F-2-pyridinyl
CHO	CO_2Et	*i*-Pr	OEt	C≡CH	NMe_2	4-Me-3-pyridinyl
Ph	$C(O)NMe_2$	*c*-Pr	OCF_3	SMe	NHCHO	2-cyano-4-pyridinyl
CF_3						

R^a is 3-CF_3

R	R	R	R	R	R	R
cyano	C(O)Me	Et	OMe	$CH=CH_2$	OPh	3-F-2-pyridinyl
CHO	CO_2Et	*i*-Pr	OEt	C≡CH	NMe_2	4-Me-3-pyridinyl
Ph	$C(O)NMe_2$	*c*-Pr	OCF_3	SMe	NHCHO	2-cyano-4-pyridinyl
CF_3						

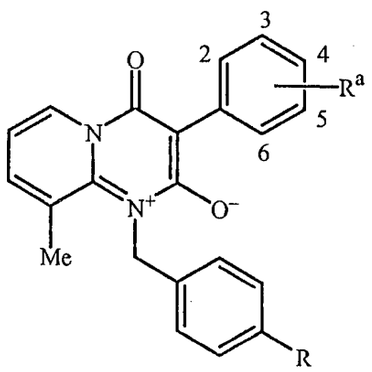
R^a is 3-Cl, 5-Cl

R	R	R	R	R	R	R
cyano	C(O)Me	Et	OMe	$CH=CH_2$	OPh	3-F-2-pyridinyl
CHO	CO_2Et	*i*-Pr	OEt	C≡CH	NMe_2	4-Me-3-pyridinyl
Ph	$C(O)NMe_2$	*c*-Pr	OCF_3	SMe	NHCHO	2-cyano-4-pyridinyl
CF_3						

R^a is 3-(2-chloro-4-(trifluoromethyl)phenyl)

R	R	R	R	R	R	R
cyano	C(O)Me	Et	OMe	$CH=CH_2$	OPh	3-F-2-pyridinyl
CHO	CO_2Et	*i*-Pr	OEt	C≡CH	NMe_2	4-Me-3-pyridinyl
Ph	$C(O)NMe_2$	*c*-Pr	OCF_3	SMe	NHCHO	2-cyano-4-pyridinyl
CF_3						

TABLE 27



R^a is 2-F

R	R	R	R	R	R	R
cyano	C(O)Me	Et	OMe	$CH=CH_2$	OPh	3-F-2-pyridinyl
CHO	CO_2Et	*i*-Pr	OEt	C≡CH	NMe_2	4-Me-3-pyridinyl
Ph	$C(O)NMe_2$	*c*-Pr	OCF_3	SMe	NHCHO	2-cyano-4-pyridinyl
CF_3	Me	Cl	Br			

R^a is 3-Me

R	R	R	R	R	R	R
cyano	C(O)Me	Et	OMe	$CH=CH_2$	OPh	3-F-2-pyridinyl
CHO	CO_2Et	*i*-Pr	OEt	C≡CH	NMe_2	4-Me-3-pyridinyl
Ph	$C(O)NMe_2$	*c*-Pr	OCF_3	SMe	NHCHO	2-cyano-4-pyridinyl
CF_3	Me	Cl	Br			

R^a is 3-CN

R	R	R	R	R	R	R
cyano	C(O)Me	Et	OMe	$CH=CH_2$	OPh	3-F-2-pyridinyl
CHO	CO_2Et	*i*-Pr	OEt	C≡CH	NMe_2	4-Me-3-pyridinyl
Ph	$C(O)NMe_2$	*c*-Pr	OCF_3	SMe	NHCHO	2-cyano-4-pyridinyl
CF_3	Me	Cl	Br			

R^a is 3-OMe

R	R	R	R	R	R	R
cyano	C(O)Me	Et	OMe	$CH=CH_2$	OPh	3-F-2-pyridinyl
CHO	CO_2Et	*i*-Pr	OEt	C≡CH	NMe_2	4-Me-3-pyridinyl
Ph	$C(O)NMe_2$	*c*-Pr	OCF_3	SMe	NHCHO	2-cyano-4-pyridinyl
CF_3	Me	Cl	Br			

R^a is 3-CF_3

R	R	R	R	R	R	R
cyano	C(O)Me	Et	OMe	$CH=CH_2$	OPh	3-F-2-pyridinyl
CHO	CO_2Et	*i*-Pr	OEt	C≡CH	NMe_2	4-Me-3-pyridinyl
Ph	$C(O)NMe_2$	*c*-Pr	OCF_3	SMe	NHCHO	2-cyano-4-pyridinyl
CF_3	Me	Cl	Br			

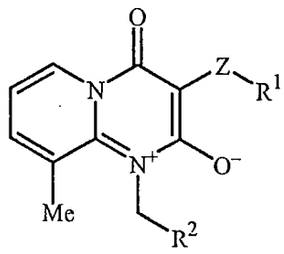
R^a is 3-Cl, 5-Cl

R	R	R	R	R	R	R
cyano	C(O)Me	Et	OMe	$CH=CH_2$	OPh	3-F-2-pyridinyl
CHO	CO_2Et	*i*-Pr	OEt	C≡CH	NMe_2	4-Me-3-pyridinyl
Ph	$C(O)NMe_2$	*c*-Pr	OCF_3	SMe	NHCHO	2-cyano-4-pyridinyl
CF_3	Me	Cl	Br			

R^a is 3-(2-chloro-4-(trifluoromethyl)phenyl)

R	R	R	R	R	R	R
cyano	C(O)Me	Et	OMe	$CH=CH_2$	OPh	3-F-2-pyridinyl
CHO	CO_2Et	*i*-Pr	OEt	C≡CH	NMe_2	4-Me-3-pyridinyl
Ph	$C(O)NMe_2$	*c*-Pr	OCF_3	SMe	NHCHO	2-cyano-4-pyridinyl
CF_3	Me	Cl	Br			

TABLE 28



R^2 is 2-chloro-5-thiazolyl

ZR^1	ZR^1	ZR^1	ZR^1	ZR^1	ZR^1
Cl	Br	I	cyano	4-CF_3-2-thiazolyl	2-CF_3-5-thiazolyl
F	$C(O)NMe_2$	NMe_2	NHCHO	5-CF_3-2-thiazolyl	4-CF_3-5-thiazolyl
CF_3	*c*-Pr-2-(*c*-Pr)	Et	*i*-Pr	2-CF_3-4-thiazolyl	1-Me-5-CF_3-2-imidazolyl
Me	$CH=CH_2$	C≡CH	2-thienyl	5-CF_3-4-thiazolyl	1-Me-4-CF_3-2-imidazolyl
c-Pr	$C(O)CF_3$	C(O)Ph	3-thienyl	C(O)(2-F-Ph)	C(O)(3,5-diCl-Ph)
C(O)Me	CHO	CO_2Et		C(O)(3-CF_3-Ph)	C(O)(3-(2-Cl-4-CF_3-Ph)Ph)

R^2 is 6-chloro-3-pyridinyl

ZR^1	ZR^1	ZR^1	ZR^1	ZR^1	ZR^1
Cl	Br	I	cyano	4-CF_3-2-thiazolyl	2-CF_3-5-thiazolyl
F	$C(O)NMe_2$	NMe_2	NHCHO	5-CF_3-2-thiazolyl	4-CF_3-5-thiazolyl
CF_3	*c*-Pr-2-(*c*-Pr)	Et	*i*-Pr	2-CF_3-4-thiazolyl	1-Me-5-CF_3-2-imidazolyl
Me	$CH=CH_2$	C≡CH	2-thienyl	5-CF_3-4-thiazolyl	1-Me-4-CF_3-2-imidazolyl
c-Pr	$C(O)CF_3$	C(O)Ph	3-thienyl	C(O)(2-F-Ph)	C(O)(3,5-diCl-Ph)
C(O)Me	CHO	CO_2Et		C(O)(3-CF_3-Ph)	C(O)(3-(2-Cl-4-CF_3-Ph)Ph)

R^2 is 6-fluoro-3-pyridinyl

ZR^1	ZR^1	ZR^1	ZR^1	ZR^1	ZR^1
Cl	Br	I	cyano	4-CF_3-2-thiazolyl	2-CF_3-5-thiazolyl
F	$C(O)NMe_2$	NMe_2	NHCHO	5-CF_3-2-thiazolyl	4-CF_3-5-thiazolyl
CF_3	*c*-Pr-2-(*c*-Pr)	Et	*i*-Pr	2-CF_3-4-thiazolyl	1-Me-5-CF_3-2-imidazolyl
Me	$CH=CH_2$	C≡CH	2-thienyl	5-CF_3-4-thiazolyl	1-Me-4-CF_3-2-imidazolyl

c-Pr	$C(O)CF_3$	C(O)Ph	3-thienyl	C(O)(2-F-Ph)	C(O)(3,5-diCl-Ph)
C(O)Me	CHO	CO_2Et		$C(O)(3\text{-}CF_3\text{-Ph})$	$C(O)(3\text{-}(2\text{-Cl-}4\text{-}CF_3\text{-Ph})Ph)$

R^2 is 5-pyrimidinyl

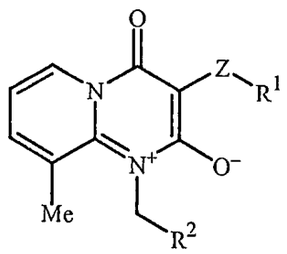
ZR^1	ZR^1	ZR^1	ZR^1	ZR^1	ZR^1
Cl	Br	I	cyano	$4\text{-}CF_3\text{-2-thiazolyl}$	$2\text{-}CF_3\text{-5-thiazolyl}$
F	$C(O)NMe_2$	NMe_2	NHCHO	$5\text{-}CF_3\text{-2-thiazolyl}$	$4\text{-}CF_3\text{-5-thiazolyl}$
CF_3	*c*-Pr-2-(*c*-Pr)	Et	*i*-Pr	$2\text{-}CF_3\text{-4-thiazolyl}$	$1\text{-Me-5-}CF_3\text{-2-imidazolyl}$
Me	$CH=CH_2$	C≡CH	2-thienyl	$5\text{-}CF_3\text{-4-thiazolyl}$	$1\text{-Me-4-}CF_3\text{-2-imidazolyl}$
c-Pr	$C(O)CF_3$	C(O)Ph	3-thienyl	C(O)(2-F-Ph)	C(O)(3,5-diCl-Ph)
C(O)Me	CHO	CO_2Et		$C(O)(3\text{-}CF_3\text{-Ph})$	$C(O)(3\text{-}(2\text{-Cl-}4\text{-}CF_3\text{-Ph})Ph)$

R^2 is 2-methyl-5-pyrimidinyl

ZR^1	ZR^1	ZR^1	ZR^1	ZR^1	ZR^1
Cl	Br	I	cyano	$4\text{-}CF_3\text{-2-thiazolyl}$	$2\text{-}CF_3\text{-5-thiazolyl}$
F	$C(O)NMe_2$	NMe_2	NHCHO	$5\text{-}CF_3\text{-2-thiazolyl}$	$4\text{-}CF_3\text{-5-thiazolyl}$
CF_3	*c*-Pr-2-(*c*-Pr)	Et	*i*-Pr	$2\text{-}CF_3\text{-4-thiazolyl}$	$1\text{-Me-5-}CF_3\text{-2-imidazolyl}$
Me	$CH=CH_2$	C≡CH	2-thienyl	$5\text{-}CF_3\text{-4-thiazolyl}$	$1\text{-Me-4-}CF_3\text{-2-imidazolyl}$
c-Pr	$C(O)CF_3$	C(O)Ph	3-thienyl	C(O)(2-F-Ph)	C(O)(3,5-diCl-Ph)
C(O)Me	CHO	CO_2Et		$C(O)(3\text{-}CF_3\text{-Ph})$	$C(O)(3\text{-}(2\text{-Cl-}4\text{-}CF_3\text{-Ph})Ph)$

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TABLE 29



Z is a direct bond; R^2 is 2-chloro-5-thiazolyl

R^1	R^1	R^1	R^1
CH=CH(Ph)	CH=CH(2-F-Ph)	CH=CH(3-F-Ph)	CH=CH(4-F-Ph)
CH=CH(2-Me-Ph)	CH=CH(3-Me-Ph)	CH=CH(4-Me-Ph)	$CH=CH(2\text{-}CF_3\text{-Ph})$
$CH=CH(3\text{-}CF_3O\text{-Ph})$	$CH=CH(4\text{-}CF_3O\text{-Ph})$	CH=CH(Me)	CH=CH(Et)
CH=CH(*c*-Pr-2-(*c*-Pr))	CH=CH(C(O)Me)	$CH=CH(CO_2Et)$	$CH=CH(C(O)NMe_2)$
CH=CH(2-MeO-Ph)	$CH=CH(3\text{-}CF_3\text{-Ph})$	CH=CH(*i*-Pr)	CH=CH(4-MeO-Ph)
CH=CH(3-MeO-Ph)	$CH=CH(4\text{-}CF_3\text{-Ph})$	CH=CH(*c*-Pr)	$CH=CH(2\text{-}CF_3O\text{-Ph})$
$CH=CH(CF_3)$	CH=CH(3,5-diCl-Ph)		$CH=CH(3\text{-}(2\text{-Cl-}4\text{-}CF_3\text{-Ph})Ph)$

Z is a direct bond; R^2 is 6-chloro-3-pyridinyl

R^1	R^1	R^1	R^1
CH=CH(Ph)	CH=CH(2-F-Ph)	CH=CH(3-F-Ph)	CH=CH(4-F-Ph)
CH=CH(2-Me-Ph)	CH=CH(3-Me-Ph)	CH=CH(4-Me-Ph)	CH=CH(2-CF_3-Ph)
CH=CH(3-CF_3O-Ph)	CH=CH(4-CF_3O-Ph)	CH=CH(Me)	CH=CH(Et)
CH=CH(*c*-Pr-2-(*c*-Pr))	CH=CH(C(O)Me)	CH=CH(CO_2Et)	CH=CH(C(O)NMe_2)
CH=CH(2-MeO-Ph)	CH=CH(3-CF_3-Ph)	CH=CH(*i*-Pr)	CH=CH(4-MeO-Ph)
CH=CH(3-MeO-Ph)	CH=CH(4-CF_3-Ph)	CH=CH(*c*-Pr)	CH=CH(2-CF_3O-Ph)
CH=CH(CF_3)	CH=CH(3,5-diCl-Ph)		CH=CH(3-(2-Cl-4-CF_3-Ph)Ph)

Z is a direct bond; R^2 is 6-fluoro-3-pyridinyl

R^1	R^1	R^1	R^1
CH=CH(Ph)	CH=CH(2-F-Ph)	CH=CH(3-F-Ph)	CH=CH(4-F-Ph)
CH=CH(2-Me-Ph)	CH=CH(3-Me-Ph)	CH=CH(4-Me-Ph)	CH=CH(2-CF_3-Ph)
CH=CH(3-CF_3O-Ph)	CH=CH(4-CF_3O-Ph)	CH=CH(Me)	CH=CH(Et)
CH=CH(*c*-Pr-2-(*c*-Pr))	CH=CH(C(O)Me)	CH=CH(CO_2Et)	CH=CH(C(O)NMe_2)
CH=CH(2-MeO-Ph)	CH=CH(3-CF_3-Ph)	CH=CH(*i*-Pr)	CH=CH(4-MeO-Ph)
CH=CH(3-MeO-Ph)	CH=CH(4-CF_3-Ph)	CH=CH(*c*-Pr)	CH=CH(2-CF_3O-Ph)
CH=CH(CF_3)	CH=CH(3,5-diCl-Ph)		CH=CH(3-(2-Cl-4-CF_3-Ph)Ph)

Z is a direct bond; R^2 is 5-pyrimidinyl

R^1	R^1	R^1	R^1
CH=CH(Ph)	CH=CH(2-F-Ph)	CH=CH(3-F-Ph)	CH=CH(4-F-Ph)
CH=CH(2-Me-Ph)	CH=CH(3-Me-Ph)	CH=CH(4-Me-Ph)	CH=CH(2-CF_3-Ph)
CH=CH(3-CF_3O-Ph)	CH=CH(4-CF_3O-Ph)	CH=CH(Me)	CH=CH(Et)
CH=CH(*c*-Pr-2-(*c*-Pr))	CH=CH(C(O)Me)	CH=CH(CO_2Et)	CH=CH(C(O)NMe_2)
CH=CH(2-MeO-Ph)	CH=CH(3-CF_3-Ph)	CH=CH(*i*-Pr)	CH=CH(4-MeO-Ph)
CH=CH(3-MeO-Ph)	CH=CH(4-CF_3-Ph)	CH=CH(*c*-Pr)	CH=CH(2-CF_3O-Ph)
CH=CH(CF_3)	CH=CH(3,5-diCl-Ph)		CH=CH(3-(2-Cl-4-CF_3-Ph)Ph)

Z is a direct bond; R^2 is 2-methyl-5-pyrimidinyl

R^1	R^1	R^1	R^1
CH=CH(Ph)	CH=CH(2-F-Ph)	CH=CH(3-F-Ph)	CH=CH(4-F-Ph)
CH=CH(2-Me-Ph)	CH=CH(3-Me-Ph)	CH=CH(4-Me-Ph)	CH=CH(2-CF_3-Ph)
CH=CH(3-CF_3O-Ph)	CH=CH(4-CF_3O-Ph)	CH=CH(Me)	CH=CH(Et)
CH=CH(*c*-Pr-2-(*c*-Pr))	CH=CH(C(O)Me)	CH=CH(CO_2Et)	CH=CH(C(O)NMe_2)
CH=CH(2-MeO-Ph)	CH=CH(3-CF_3-Ph)	CH=CH(*i*-Pr)	CH=CH(4-MeO-Ph)

CH=CH(3-MeO-Ph)	CH=CH(4-CF_3-Ph)	CH=CH(*c*-Pr)	CH=CH(2-CF_3O-Ph)
CH=CH(CF_3)	CH=CH(3,5-diCl-Ph)		CH=CH(3-(2-Cl-4-CF_3-Ph)Ph)

Z is a direct bond; R^2 is 2-chloro-5-thiazolyl

R^1	R^1	R^1	R^1
C≡CPh	C≡C(2-F-Ph)	C≡C(3-F-Ph)	C≡C(4-F-Ph)
C≡C(2-Me-Ph)	C≡C(3-Me-Ph)	C≡C(4-Me-Ph)	C≡C(2-CF_3-Ph)
C≡C(3-CF_3O-Ph)	C≡C(4-CF_3O-Ph)	C≡CMe	C≡CEt
C≡C(*c*-Pr-2-(*c*-Pr))	C≡CC(O)Me	C≡CCO_2Et	C≡CC(O)NMe_2
C≡C(2-MeO-Ph)	C≡C(3-CF_3-Ph)	C≡C(*i*-Pr)	C≡C(3-MeO-Ph)
C≡C(4-CF_3-Ph)	C≡C(*c*-Pr)	C≡C(4-MeO-Ph)	C≡C(2-CF_3O-Ph)
C≡CCF_3		C≡C(3,5-diCl-Ph)	C≡C(3-(2-Cl-4-CF_3-Ph)Ph)

Z is a direct bond; R^2 is 6-chloro-3-pyridinyl

R^1	R^1	R^1	R^1
C≡CPh	C≡C(2-F-Ph)	C≡C(3-F-Ph)	C≡C(4-F-Ph)
C≡C(2-Me-Ph)	C≡C(3-Me-Ph)	C≡C(4-Me-Ph)	C≡C(2-CF_3-Ph)
C≡C(3-CF_3O-Ph)	C≡C(4-CF_3O-Ph)	C≡CMe	C≡CEt
C≡C(*c*-Pr-2-(*c*-Pr))	C≡CC(O)Me	C≡CCO_2Et	C≡CC(O)NMe_2
C≡C(2-MeO-Ph)	C≡C(3-CF_3-Ph)	C≡C(*i*-Pr)	C≡C(3-MeO-Ph)
C≡C(4-CF_3-Ph)	C≡C(*c*-Pr)	C≡C(4-MeO-Ph)	C≡C(2-CF_3O-Ph)
C≡CCF_3		C≡C(3,5-diCl-Ph)	C≡C(3-(2-Cl-4-CF_3-Ph)Ph)

Z is a direct bond; R^2 is 6-fluoro-3-pyridinyl

R^1	R^1	R^1	R^1
C≡CPh	C≡C(2-F-Ph)	C≡C(3-F-Ph)	C≡C(4-F-Ph)
C≡C(2-Me-Ph)	C≡C(3-Me-Ph)	C≡C(4-Me-Ph)	C≡C(2-CF_3-Ph)
C≡C(3-CF_3O-Ph)	C≡C(4-CF_3O-Ph)	C≡CMe	C≡CEt
C≡C(*c*-Pr-2-(*c*-Pr))	C≡CC(O)Me	C≡CCO_2Et	C≡CC(O)NMe_2
C≡C(2-MeO-Ph)	C≡C(3-CF_3-Ph)	C≡C(*i*-Pr)	C≡C(3-MeO-Ph)
C≡C(4-CF_3-Ph)	C≡C(*c*-Pr)	C≡C(4-MeO-Ph)	C≡C(2-CF_3O-Ph)
C≡CCF_3		C≡C(3,5-diCl-Ph)	C≡C(3-(2-Cl-4-CF_3-Ph)Ph)

Z is a direct bond; R^2 is 5-pyrimidinyl

R^1	R^1	R^1	R^1
C≡CPh	C≡C(2-F-Ph)	C≡C(3-F-Ph)	C≡C(4-F-Ph)
C≡C(2-Me-Ph)	C≡C(3-Me-Ph)	C≡C(4-Me-Ph)	C≡C(2-CF_3-Ph)
C≡C(3-CF_3O-Ph)	C≡C(4-CF_3O-Ph)	C≡CMe	C≡CEt

C≡C(*c*-Pr-2-(*c*-Pr))	C≡CC(O)Me	C≡CCO_2Et	C≡CC(O)NMe_2
C≡C(2-MeO-Ph)	C≡C(3-CF_3-Ph)	C≡C(*i*-Pr)	C≡C(3-MeO-Ph)
C≡C(4-CF_3-Ph)	C≡C(*c*-Pr)	C≡C(4-MeO-Ph)	C≡C(2-CF_3O-Ph)
C≡CCF_3		C≡C(3,5-diCl-Ph)	C≡C(3-(2-Cl-4-CF_3-Ph)Ph)

Z is a direct bond; R^2 is 2-methyl-5-pyrimidinyl

R^1	R^1	R^1	R^1
C≡CPh	C≡C(2-F-Ph)	C≡C(3-F-Ph)	C≡C(4-F-Ph)
C≡C(2-Me-Ph)	C≡C(3-Me-Ph)	C≡C(4-Me-Ph)	C≡C(2-CF_3-Ph)
C≡C(3-CF_3O-Ph)	C≡C(4-CF_3O-Ph)	C≡CMe	C≡CEt
C≡C(*c*-Pr-2-(*c*-Pr))	C≡CC(O)Me	C≡CCO_2Et	C≡CC(O)NMe_2
C≡C(2-MeO-Ph)	C≡C(3-CF_3-Ph)	C≡C(*i*-Pr)	C≡C(3-MeO-Ph)
C≡C(4-CF_3-Ph)	C≡C(*c*-Pr)	C≡C(4-MeO-Ph)	C≡C(2-CF_3O-Ph)
C≡CCF_3		C≡C(3,5-diCl-Ph)	C≡C(3-(2-Cl-4-CF_3-Ph)Ph)

Z is O; R^2 is 2-chloro-5-thiazolyl

R^1	R^1	R^1	R^1	R^1	R^1
Ph	2-F-Ph	3-F-Ph	4-F-Ph	2-MeO-Ph	4-MeO-Ph
2-Me-Ph	3-Me-Ph	4-Me-Ph	2-CF_3-Ph	3-CF_3-Ph	2-CF_3O-Ph
3-CF_3O-Ph	4-CF_3O-Ph	Me	Et	*i*-Pr	CF_3
c-Pr-2-(*c*-Pr)	C(O)Me	CO_2Et	C(O)NMe_2	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph
c-Pr	3-MeO-Ph	4-CF_3-Ph			

Z is O; R^2 is 6-chloro-3-pyridinyl

R^1	R^1	R^1	R^1	R^1	R^1
Ph	2-F-Ph	3-F-Ph	4-F-Ph	2-MeO-Ph	4-MeO-Ph
2-Me-Ph	3-Me-Ph	4-Me-Ph	2-CF_3-Ph	3-CF_3-Ph	2-CF_3O-Ph
3-CF_3O-Ph	4-CF_3O-Ph	Me	Et	*i*-Pr	CF_3
c-Pr-2-(*c*-Pr)	C(O)Me	CO_2Et	C(O)NMe_2	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph
c-Pr	3-MeO-Ph	4-CF_3-Ph			

Z is O; R^2 is 6-fluoro-3-pyridinyl

R^1	R^1	R^1	R^1	R^1	R^1
Ph	2-F-Ph	3-F-Ph	4-F-Ph	2-MeO-Ph	4-MeO-Ph
2-Me-Ph	3-Me-Ph	4-Me-Ph	2-CF_3-Ph	3-CF_3-Ph	2-CF_3O-Ph
3-CF_3O-Ph	4-CF_3O-Ph	Me	Et	*i*-Pr	CF_3
c-Pr-2-(*c*-Pr)	C(O)Me	CO_2Et	C(O)NMe_2	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph
c-Pr	3-MeO-Ph	4-CF_3-Ph			

Z is O; R^2 is 5-pyrimidinyl

R^1	R^1	R^1	R^1	R^1	R^1
Ph	2-F-Ph	3-F-Ph	4-F-Ph	2-MeO-Ph	4-MeO-Ph
2-Me-Ph	3-Me-Ph	4-Me-Ph	2-CF_3-Ph	3-CF_3-Ph	2-CF_3O-Ph
3-CF_3O-Ph	4-CF_3O-Ph	Me	Et	*i*-Pr	CF_3
c-Pr-2-(*c*-Pr)	C(O)Me	CO_2Et	$C(O)NMe_2$	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph
c-Pr	3-MeO-Ph	4-CF_3-Ph			

Z is O; R^2 is 2-methyl-5-pyrimidinyl

R^1	R^1	R^1	R^1	R^1	R^1
Ph	2-F-Ph	3-F-Ph	4-F-Ph	2-MeO-Ph	4-MeO-Ph
2-Me-Ph	3-Me-Ph	4-Me-Ph	2-CF_3-Ph	3-CF_3-Ph	2-CF_3O-Ph
3-CF_3O-Ph	4-CF_3O-Ph	Me	Et	*i*-Pr	CF_3
c-Pr-2-(*c*-Pr)	C(O)Me	CO_2Et	$C(O)NMe_2$	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph
c-Pr	3-MeO-Ph	4-CF_3-Ph			

Z is NMe; R^2 is 2-chloro-5-thiazolyl

R^1	R^1	R^1	R^1	R^1	R^1
Ph	2-F-Ph	3-F-Ph	4-F-Ph	2-MeO-Ph	4-MeO-Ph
2-Me-Ph	3-Me-Ph	4-Me-Ph	2-CF_3-Ph	3-CF_3-Ph	2-CF_3O-Ph
3-CF_3O-Ph	4-CF_3O-Ph	Me	Et	*i*-Pr	CF_3
c-Pr-2-(*c*-Pr)	C(O)Me	CO_2Et	$C(O)NMe_2$	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph
c-Pr	3-MeO-Ph	4-CF_3-Ph			

Z is NMe; R^2 is 6-chloro-3-pyridinyl

R^1	R^1	R^1	R^1	R^1	R^1
Ph	2-F-Ph	3-F-Ph	4-F-Ph	2-MeO-Ph	4-MeO-Ph
2-Me-Ph	3-Me-Ph	4-Me-Ph	2-CF_3-Ph	3-CF_3-Ph	2-CF_3O-Ph
3-CF_3O-Ph	4-CF_3O-Ph	Me	Et	*i*-Pr	CF_3
c-Pr-2-(*c*-Pr)	C(O)Me	CO_2Et	$C(O)NMe_2$	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph
c-Pr	3-MeO-Ph	4-CF_3-Ph			

Z is NMe; R^2 is 6-fluoro-3-pyridinyl

R^1	R^1	R^1	R^1	R^1	R^1
Ph	2-F-Ph	3-F-Ph	4-F-Ph	2-MeO-Ph	4-MeO-Ph
2-Me-Ph	3-Me-Ph	4-Me-Ph	2-CF_3-Ph	3-CF_3-Ph	2-CF_3O-Ph
3-CF_3O-Ph	4-CF_3O-Ph	Me	Et	*i*-Pr	CF_3

c-Pr-2-(*c*-Pr)	C(O)Me	CO_2Et	$C(O)NMe_2$	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph
c-Pr	3-MeO-Ph	4-CF_3-Ph			

Z is NMe; R^2 is 5-pyrimidinyl

R^1	R^1	R^1	R^1	R^1	R^1
Ph	2-F-Ph	3-F-Ph	4-F-Ph	2-MeO-Ph	4-MeO-Ph
2-Me-Ph	3-Me-Ph	4-Me-Ph	2-CF_3-Ph	3-CF_3-Ph	2-CF_3O-Ph
3-CF_3O-Ph	4-CF_3O-Ph	Me	Et	*i*-Pr	CF_3
c-Pr-2-(*c*-Pr)	C(O)Me	CO_2Et	$C(O)NMe_2$	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph
c-Pr	3-MeO-Ph	4-CF_3-Ph			

Z is NMe; R^2 is 2-methyl-5-pyrimidinyl

R^1	R^1	R^1	R^1	R^1	R^1
Ph	2-F-Ph	3-F-Ph	4-F-Ph	2-MeO-Ph	4-MeO-Ph
2-Me-Ph	3-Me-Ph	4-Me-Ph	2-CF_3-Ph	3-CF_3-Ph	2-CF_3O-Ph
3-CF_3O-Ph	4-CF_3O-Ph	Me	Et	*i*-Pr	CF_3
c-Pr-2-(*c*-Pr)	C(O)Me	CO_2Et	$C(O)NMe_2$	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph
c-Pr	3-MeO-Ph	4-CF_3-Ph			

Z is C(O); R^2 is 2-chloro-5-thiazolyl

R^1	R^1	R^1	R^1	R^1	R^1
Ph	2-F-Ph	3-F-Ph	4-F-Ph	2-MeO-Ph	4-MeO-Ph
2-Me-Ph	3-Me-Ph	4-Me-Ph	2-CF_3-Ph	3-CF_3-Ph	2-CF_3O-Ph
3-CF_3O-Ph	4-CF_3O-Ph	Me	Et	*i*-Pr	CF_3
c-Pr-2-(*c*-Pr)	C(O)Me	CO_2Et	$C(O)NMe_2$	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph
c-Pr	3-MeO-Ph	4-CF_3-Ph			

Z is C(O); R^2 is 6-chloro-3-pyridinyl

R^1	R^1	R^1	R^1	R^1	R^1
Ph	2-F-Ph	3-F-Ph	4-F-Ph	2-MeO-Ph	4-MeO-Ph
2-Me-Ph	3-Me-Ph	4-Me-Ph	2-CF_3-Ph	3-CF_3-Ph	2-CF_3O-Ph
3-CF_3O-Ph	4-CF_3O-Ph	Me	Et	*i*-Pr	CF_3
c-Pr-2-(*c*-Pr)	C(O)Me	CO_2Et	$C(O)NMe_2$	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph
c-Pr	3-MeO-Ph	4-CF_3-Ph			

Z is C(O); R^2 is 6-fluoro-3-pyridinyl

R^1	R^1	R^1	R^1	R^1	R^1
Ph	2-F-Ph	3-F-Ph	4-F-Ph	2-MeO-Ph	4-MeO-Ph

2-Me-Ph	3-Me-Ph	4-Me-Ph	2-CF_3-Ph	3-CF_3-Ph	2-CF_3O-Ph
3-CF_3O-Ph	4-CF_3O-Ph	Me	Et	*i*-Pr	CF_3
c-Pr-2-(*c*-Pr)	C(O)Me	CO_2Et	$C(O)NMe_2$	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph
c-Pr	3-MeO-Ph	4-CF_3-Ph			

Z is C(O); R^2 is 5-pyrimidinyl

R^1	R^1	R^1	R^1	R^1	R^1
Ph	2-F-Ph	3-F-Ph	4-F-Ph	2-MeO-Ph	4-MeO-Ph
2-Me-Ph	3-Me-Ph	4-Me-Ph	2-CF_3-Ph	3-CF_3-Ph	2-CF_3O-Ph
3-CF_3O-Ph	4-CF_3O-Ph	Me	Et	*i*-Pr	CF_3
c-Pr-2-(*c*-Pr)	C(O)Me	CO_2Et	$C(O)NMe_2$	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph
c-Pr	3-MeO-Ph	4-CF_3-Ph			

Z is C(O); R^2 is 2-methyl-5-pyrimidinyl

R^1	R^1	R^1	R^1	R^1	R^1
Ph	2-F-Ph	3-F-Ph	4-F-Ph	2-MeO-Ph	4-MeO-Ph
2-Me-Ph	3-Me-Ph	4-Me-Ph	2-CF_3-Ph	3-CF_3-Ph	2-CF_3O-Ph
3-CF_3O-Ph	4-CF_3O-Ph	Me	Et	*i*-Pr	CF_3
c-Pr-2-(*c*-Pr)	C(O)Me	CO_2Et	$C(O)NMe_2$	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph
c-Pr	3-MeO-Ph	4-CF_3-Ph			

Z is C(O)NMe; R^2 is 2-chloro-5-thiazolyl

R^1	R^1	R^1	R^1	R^1	R^1
Ph	2-F-Ph	3-F-Ph	4-F-Ph	2-MeO-Ph	4-MeO-Ph
2-Me-Ph	3-Me-Ph	4-Me-Ph	2-CF_3-Ph	3-CF_3-Ph	2-CF_3O-Ph
3-CF_3O-Ph	4-CF_3O-Ph	Me	Et	*i*-Pr	CF_3
c-Pr-2-(*c*-Pr)	C(O)Me	CO_2Et	$C(O)NMe_2$	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph
c-Pr	3-MeO-Ph	4-CF_3-Ph			

Z is C(O)NMe; R^2 is 6-chloro-3-pyridinyl

R^1	R^1	R^1	R^1	R^1	R^1
Ph	2-F-Ph	3-F-Ph	4-F-Ph	2-MeO-Ph	4-MeO-Ph
2-Me-Ph	3-Me-Ph	4-Me-Ph	2-CF_3-Ph	3-CF_3-Ph	2-CF_3O-Ph
3-CF_3O-Ph	4-CF_3O-Ph	Me	Et	*i*-Pr	CF_3
c-Pr-2-(*c*-Pr)	C(O)Me	CO_2Et	$C(O)NMe_2$	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph
c-Pr	3-MeO-Ph	4-CF_3-Ph			

Z is C(O)NMe; R^2 is 6-fluoro-3-pyridinyl

R^1	R^1	R^1	R^1	R^1	R^1
Ph	2-F-Ph	3-F-Ph	4-F-Ph	2-MeO-Ph	4-MeO-Ph
2-Me-Ph	3-Me-Ph	4-Me-Ph	2-CF_3-Ph	3-CF_3-Ph	2-CF_3O-Ph
3-CF_3O-Ph	4-CF_3O-Ph	Me	Et	*i*-Pr	CF_3
c-Pr-2-(*c*-Pr)	C(O)Me	CO_2Et	$C(O)NMe_2$	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph
c-Pr	3-MeO-Ph	4-CF_3-Ph			

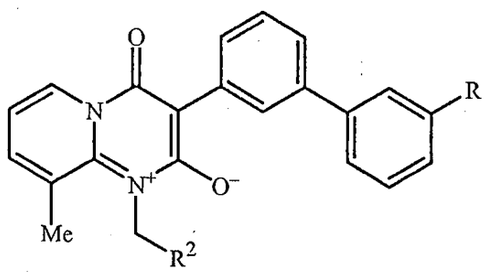
Z is C(O)NMe; R^2 is 5-pyrimidinyl

R^1	R^1	R^1	R^1	R^1	R^1
Ph	2-F-Ph	3-F-Ph	4-F-Ph	2-MeO-Ph	4-MeO-Ph
2-Me-Ph	3-Me-Ph	4-Me-Ph	2-CF_3-Ph	3-CF_3-Ph	2-CF_3O-Ph
3-CF_3O-Ph	4-CF_3O-Ph	Me	Et	*i*-Pr	CF_3
c-Pr-2-(*c*-Pr)	C(O)Me	CO_2Et	$C(O)NMe_2$	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph
c-Pr	3-MeO-Ph	4-CF_3-Ph			

Z is C(O)NMe; R^2 is 2-methyl-5-pyrimidinyl

R^1	R^1	R^1	R^1	R^1	R^1
Ph	2-F-Ph	3-F-Ph	4-F-Ph	2-MeO-Ph	4-MeO-Ph
2-Me-Ph	3-Me-Ph	4-Me-Ph	2-CF_3-Ph	3-CF_3-Ph	2-CF_3O-Ph
3-CF_3O-Ph	4-CF_3O-Ph	Me	Et	*i*-Pr	CF_3
c-Pr-2-(*c*-Pr)	C(O)Me	CO_2Et	$C(O)NMe_2$	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph
c-Pr	3-MeO-Ph	4-CF_3-Ph			

TABLE 30



R^2 is 2-chloro-5-thiazolyl

R	R	R	R	R	R
Br	CH_2F	O-*i*-Pr	SCF_3	C(O)NHMe	6-Cl-3-pyridinyl
I	CHF_2	$OCH_2CH{=}CH_2$	$SCHF_2$	$C(O)NMe_2$	6-F-3-pyridinyl
Me	OMe	$OCH_2C{\equiv}CH$	$S(O)CF_3$	C(=NOMe)Me	*N*-Me-4-pyrazolyl
Et	OEt	O-*c*-Pr	SO_2CF_3	$CH{=}CH_2$	3-Me-5-isoxazolyl

c-Pr	O-*n*-Pr	$OCHF_2$	CO_2Me	C≡CH	6-(6-Cl-3-pyridinyl)-3-pyridinyl
Ph	OPh	SCF_3	CO_2Et	3-ClPh	4-CF_3-2-thiazolyl
					1-Me-4-CF_3-2-imidazolyl

R^2 is 6-chloro-3-pyridinyl

R	R	R	R	R	R
Br	CH_2F	O-*i*-Pr	SCF_3	C(O)NHMe	6-Cl-3-pyridinyl
I	CHF_2	$OCH_2CH=CH_2$	$SCHF_2$	$C(O)NMe_2$	6-F-3-pyridinyl
Me	OMe	$OCH_2C\equiv CH$	$S(O)CF_3$	C(=NOMe)Me	*N*-Me-4-pyrazolyl
Et	OEt	O-*c*-Pr	SO_2CF_3	$CH=CH_2$	3-Me-5-isoxazolyl
c-Pr	O-*n*-Pr	$OCHF_2$	CO_2Me	C≡CH	6-(6-Cl-3-pyridinyl)-3-pyridinyl
Ph	OPh	SCF_3	CO_2Et	3-ClPh	4-CF_3-2-thiazolyl
					1-Me-4-CF_3-2-imidazolyl

R^2 is 6-fluoro-3-pyridinyl

R	R	R	R	R	R
Br	CH_2F	O-*i*-Pr	SCF_3	C(O)NHMe	6-Cl-3-pyridinyl
I	CHF_2	$OCH_2CH=CH_2$	$SCHF_2$	$C(O)NMe_2$	6-F-3-pyridinyl
Me	OMe	$OCH_2C\equiv CH$	$S(O)CF_3$	C(=NOMe)Me	*N*-Me-4-pyrazolyl
Et	OEt	O-*c*-Pr	SO_2CF_3	$CH=CH_2$	3-Me-5-isoxazolyl
c-Pr	O-*n*-Pr	$OCHF_2$	CO_2Me	C≡CH	6-(6-Cl-3-pyridinyl)-3-pyridinyl
Ph	OPh	SCF_3	CO_2Et	3-ClPh	4-CF_3-2-thiazolyl
					1-Me-4-CF_3-2-imidazolyl

R^2 is 5-pyrimidinyl

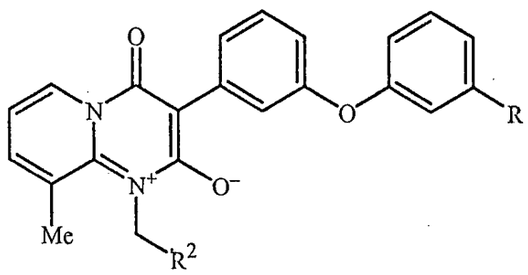
R	R	R	R	R	R
Br	CH_2F	O-*i*-Pr	SCF_3	C(O)NHMe	6-Cl-3-pyridinyl
I	CHF_2	$OCH_2CH=CH_2$	$SCHF_2$	$C(O)NMe_2$	6-F-3-pyridinyl
Me	OMe	$OCH_2C\equiv CH$	$S(O)CF_3$	C(=NOMe)Me	*N*-Me-4-pyrazolyl
Et	OEt	O-*c*-Pr	SO_2CF_3	$CH=CH_2$	3-Me-5-isoxazolyl
c-Pr	O-*n*-Pr	$OCHF_2$	CO_2Me	C≡CH	6-(6-Cl-3-pyridinyl)-3-pyridinyl
Ph	OPh	SCF_3	CO_2Et	3-ClPh	4-CF_3-2-thiazolyl
					1-Me-4-CF_3-2-imidazolyl

R^2 is 2-methyl-5-pyrimidinyl

R	R	R	R	R	R
Br	CH_2F	O-*i*-Pr	SCF_3	C(O)NHMe	6-Cl-3-pyridinyl
I	CHF_2	$OCH_2CH=CH_2$	$SCHF_2$	$C(O)NMe_2$	6-F-3-pyridinyl

Me	OMe	$OCH_2C{\equiv}CH$	$S(O)CF_3$	C(=NOMe)Me	*N*-Me-4-pyrazolyl
Et	OEt	O-*c*-Pr	SO_2CF_3	$CH{=}CH_2$	3-Me-5-isoxazolyl
c-Pr	O-*n*-Pr	$OCHF_2$	CO_2Me	$C{\equiv}CH$	6-(6-Cl-3-pyridinyl)-3-pyridinyl
Ph	OPh	SCF_3	CO_2Et	3-ClPh	4-CF_3-2-thiazolyl
					1-Me-4-CF_3-2-imidazolyl

TABLE 31



R^2 is 2-chloro-5-thiazolyl

R	R	R	R	R	R
H	*c*-Pr	O-*i*-Pr	SCF_3	C(O)NHMe	3-ClPh
F	CF_3	$OCH_2CH{=}CH_2$	$SCHF_2$	$C(O)NMe_2$	6-Cl-3-pyridinyl
Cl	CH_2F	$OCH_2C{\equiv}CH$	$S(O)CF_3$	C(=NOMe)Me	6-F-3-pyridinyl
Br	CHF_2	O-*c*-Pr	SO_2CF_3	$CH{=}CH_2$	*N*-Me-4-pyrazolyl
I	OMe	OCF_3	CO_2Me	$C{\equiv}CH$	3-Me-5-isoxazolyl
Me	OEt	$OCHF_2$	CO_2Et	Ph	6-(6-Cl-3-pyridinyl)-3-pyridinyl
Et	O-*n*-Pr	SCF_3	cyano	OPh	4-CF_3-2-thiazolyl
					1-Me-4-CF_3-2-imidazolyl

R^2 is 6-chloro-3-pyridinyl

R	R	R	R	R	R
H	*c*-Pr	O-*i*-Pr	SCF_3	C(O)NHMe	3-ClPh
F	CF_3	$OCH_2CH{=}CH_2$	$SCHF_2$	$C(O)NMe_2$	6-Cl-3-pyridinyl
Cl	CH_2F	$OCH_2C{\equiv}CH$	$S(O)CF_3$	C(=NOMe)Me	6-F-3-pyridinyl
Br	CHF_2	O-*c*-Pr	SO_2CF_3	$CH{=}CH_2$	*N*-Me-4-pyrazolyl
I	OMe	OCF_3	CO_2Me	$C{\equiv}CH$	3-Me-5-isoxazolyl
Me	OEt	$OCHF_2$	CO_2Et	Ph	6-(6-Cl-3-pyridinyl)-3-pyridinyl
Et	O-*n*-Pr	SCF_3	cyano	OPh	4-CF_3-2-thiazolyl
					1-Me-4-CF_3-2-imidazolyl

R^2 is 6-fluoro-3-pyridinyl

R	R	R	R	R	R
H	*c*-Pr	O-*i*-Pr	SCF_3	C(O)NHMe	3-ClPh
F	CF_3	$OCH_2CH{=}CH_2$	$SCHF_2$	$C(O)NMe_2$	6-Cl-3-pyridinyl
Cl	CH_2F	$OCH_2C{\equiv}CH$	$S(O)CF_3$	C(=NOMe)Me	6-F-3-pyridinyl
Br	CHF_2	O-*c*-Pr	SO_2CF_3	$CH{=}CH_2$	*N*-Me-4-pyrazolyl
I	OMe	OCF_3	CO_2Me	C≡CH	3-Me-5-isoxazolyl
Me	OEt	$OCHF_2$	CO_2Et	Ph	6-(6-Cl-3-pyridinyl)-3-pyridinyl
Et	O-*n*-Pr	SCF_3	cyano	OPh	4-CF_3-2-thiazolyl
					1-Me-4-CF_3-2-imidazolyl

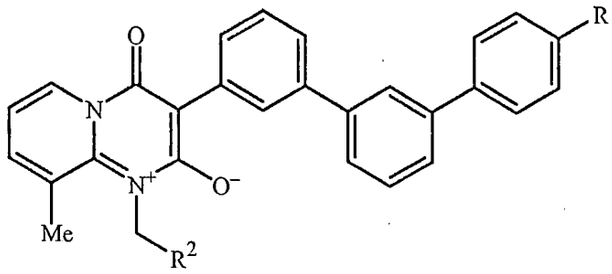
R^2 is 5-pyrimidinyl

R	R	R	R	R	R
H	*c*-Pr	O-*i*-Pr	SCF_3	C(O)NHMe	3-ClPh
F	CF_3	$OCH_2CH{=}CH_2$	$SCHF_2$	$C(O)NMe_2$	6-Cl-3-pyridinyl
Cl	CH_2F	$OCH_2C{\equiv}CH$	$S(O)CF_3$	C(=NOMe)Me	6-F-3-pyridinyl
Br	CHF_2	O-*c*-Pr	SO_2CF_3	$CH{=}CH_2$	*N*-Me-4-pyrazolyl
I	OMe	OCF_3	CO_2Me	C≡CH	3-Me-5-isoxazolyl
Me	OEt	$OCHF_2$	CO_2Et	Ph	6-(6-Cl-3-pyridinyl)-3-pyridinyl
Et	O-*n*-Pr	SCF_3	cyano	OPh	4-CF_3-2-thiazolyl
					1-Me-4-CF_3-2-imidazolyl

R^2 is 2-methyl-5-pyrimidinyl

R	R	R	R	R	R
H	*c*-Pr	O-*i*-Pr	SCF_3	C(O)NHMe	3-ClPh
F	CF_3	$OCH_2CH{=}CH_2$	$SCHF_2$	$C(O)NMe_2$	6-Cl-3-pyridinyl
Cl	CH_2F	$OCH_2C{\equiv}CH$	$S(O)CF_3$	C(=NOMe)Me	6-F-3-pyridinyl
Br	CHF_2	O-*c*-Pr	SO_2CF_3	$CH{=}CH_2$	*N*-Me-4-pyrazolyl
I	OMe	OCF_3	CO_2Me	C≡CH	3-Me-5-isoxazolyl
Me	OEt	$OCHF_2$	CO_2Et	Ph	6-(6-Cl-3-pyridinyl)-3-pyridinyl
Et	O-*n*-Pr	SCF_3	cyano	OPh	4-CF_3-2-thiazolyl
					1-Me-4-CF_3-2-imidazolyl

TABLE 32



R^2 is 2-chloro-5-thiazolyl

R	R	R	R	R	R
H	*c*-Pr	O-*i*-Pr	SCF_3	C(O)NHMe	3-ClPh
F	CF_3	$OCH_2CH{=}CH_2$	$SCHF_2$	$C(O)NMe_2$	6-Cl-3-pyridinyl
Cl	CH_2F	$OCH_2C{\equiv}CH$	$S(O)CF_3$	C(=NOMe)Me	6-F-3-pyridinyl
Br	CHF_2	O-*c*-Pr	SO_2CF_3	$CH{=}CH_2$	*N*-Me-4-pyrazolyl
I	OMe	OCF_3	CO_2Me	C≡CH	3-Me-5-isoxazolyl
Me	OEt	$OCHF_2$	CO_2Et	Ph	6-(6-Cl-3-pyridinyl)-3-pyridinyl
Et	O-*n*-Pr	SCF_3	cyano	OPh	4-CF_3-2-thiazolyl
					1-Me-4-CF_3-2-imidazolyl

R^2 is 6-chloro-3-pyridinyl

R	R	R	R	R	R
H	*c*-Pr	O-*i*-Pr	SCF_3	C(O)NHMe	3-ClPh
F	CF_3	$OCH_2CH{=}CH_2$	$SCHF_2$	$C(O)NMe_2$	6-Cl-3-pyridinyl
Cl	CH_2F	$OCH_2C{\equiv}CH$	$S(O)CF_3$	C(=NOMe)Me	6-F-3-pyridinyl
Br	CHF_2	O-*c*-Pr	SO_2CF_3	$CH{=}CH_2$	*N*-Me-4-pyrazolyl
I	OMe	OCF_3	CO_2Me	C≡CH	3-Me-5-isoxazolyl
Me	OEt	$OCHF_2$	CO_2Et	Ph	6-(6-Cl-3-pyridinyl)-3-pyridinyl
Et	O-*n*-Pr	SCF_3	cyano	OPh	4-CF_3-2-thiazolyl
					1-Me-4-CF_3-2-imidazolyl

R^2 is 6-fluoro-3-pyridinyl

R	R	R	R	R	R
H	*c*-Pr	O-*i*-Pr	SCF_3	C(O)NHMe	3-ClPh
F	CF_3	$OCH_2CH{=}CH_2$	$SCHF_2$	$C(O)NMe_2$	6-Cl-3-pyridinyl
Cl	CH_2F	$OCH_2C{\equiv}CH$	$S(O)CF_3$	C(=NOMe)Me	6-F-3-pyridinyl
Br	CHF_2	O-*c*-Pr	SO_2CF_3	$CH{=}CH_2$	*N*-Me-4-pyrazolyl
I	OMe	OCF_3	CO_2Me	C≡CH	3-Me-5-isoxazolyl
Me	OEt	$OCHF_2$	CO_2Et	Ph	6-(6-Cl-3-pyridinyl)-3-pyridinyl

Et	O-*n*-Pr	SCF_3	cyano	OPh	4-CF_3-2-thiazolyl 1-Me-4-CF_3-2-imidazolyl

R^2 is 5-pyrimidinyl

R	R	R	R	R	R
H	*c*-Pr	O-*i*-Pr	SCF_3	C(O)NHMe	3-ClPh
F	CF_3	$OCH_2CH{=}CH_2$	$SCHF_2$	$C(O)NMe_2$	6-Cl-3-pyridinyl
Cl	CH_2F	$OCH_2C{\equiv}CH$	$S(O)CF_3$	C(=NOMe)Me	6-F-3-pyridinyl
Br	CHF_2	O-*c*-Pr	SO_2CF_3	$CH{=}CH_2$	*N*-Me-4-pyrazolyl
I	OMe	OCF_3	CO_2Me	C≡CH	3-Me-5-isoxazolyl
Me	OEt	$OCHF_2$	CO_2Et	Ph	6-(6-Cl-3-pyridinyl)-3-pyridinyl
Et	O-*n*-Pr	SCF_3	cyano	OPh	4-CF_3-2-thiazolyl 1-Me-4-CF_3-2-imidazolyl

R^2 is 2-methyl-5-pyrimidinyl

R	R	R	R	R	R
H	*c*-Pr	O-*i*-Pr	SCF_3	C(O)NHMe	3-ClPh
F	CF_3	$OCH_2CH{=}CH_2$	$SCHF_2$	$C(O)NMe_2$	6-Cl-3-pyridinyl
Cl	CH_2F	$OCH_2C{\equiv}CH$	$S(O)CF_3$	C(=NOMe)Me	6-F-3-pyridinyl
Br	CHF_2	O-*c*-Pr	SO_2CF_3	$CH{=}CH_2$	*N*-Me-4-pyrazolyl
I	OMe	OCF_3	CO_2Me	C≡CH	3-Me-5-isoxazolyl
Me	OEt	$OCHF_2$	CO_2Et	Ph	6-(6-Cl-3-pyridinyl)-3-pyridinyl
Et	O-*n*-Pr	SCF_3	cyano	OPh	4-CF_3-2-thiazolyl 1-Me-4-CF_3-2-imidazolyl

A compound of this invention will generally be used as an invertebrate pest control 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, prills, 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 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 seeds of crops and other desirable vegetation 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	0.001–95	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 can 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. When added to a liquid, surfactants (also known as "surface-active agents") generally modify, most often reduce, the surface tension of the liquid. Depending on the nature of the hydrophilic and lipophilic groups in a surfactant molecule, surfactants can be useful as wetting agents, dispersants, emulsifiers or defoaming agents.

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 are 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 can also contain formulation auxiliaries and additives, known to those skilled in the art as formulation aids (some of which can be considered to also function as solid diluents, liquid diluents or surfactants). Such formulation auxiliaries and additives can control: pH (buffers), foaming during processing (antifoams such polyorganosiloxanes), sedimentation of active ingredients (suspending agents), viscosity (thixotropic thickeners), in-container microbial growth (antimicrobials), product freezing (antifreezes), color (dyes/pigment dispersions), 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.

The compound of Formula **1** 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 (such as with 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 formulations are prepared in conventional ways. Compound numbers refer to compounds in Index Tables A–E. 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. Percentages are by weight except where otherwise indicated.

Example A

High Strength Concentrate

compound 30	98.5%
silica aerogel	0.5%
synthetic amorphous fine silica	1.0%

Example B

Wettable Powder

compound 50	65.0%
dodecylphenol polyethylene glycol ether	2.0%
sodium ligninsulfonate	4.0%
sodium silicoaluminate	6.0%
montmorillonite (calcined)	23.0%

Example C

Granule

compound 113	10.0%

attapulgite granules (low volatile matter, 0.71/0.30 mm; U.S.S. No. 25–50 sieves)	90.0%

Example D

Extruded Pellet

compound 191	25.0%
anhydrous sodium sulfate	10.0%
crude calcium ligninsulfonate	5.0%
sodium alkylnaphthalenesulfonate	1.0%
calcium/magnesium bentonite	59.0%

Example E

Emulsifiable Concentrate

compound 231	10.0%
polyoxyethylene sorbitol hexoleate	20.0%
C_6–C_{10} fatty acid methyl ester	70.0%

Example F

Microemulsion

compound 254	5.0%
polyvinylpyrrolidone-vinyl acetate copolymer	30.0%
alkylpolyglycoside	30.0%
glyceryl monooleate	15.0%
water	20.0%

Example G

Seed Treatment

compound 289	20.00%
polyvinylpyrrolidone-vinyl acetate copolymer	5.00%
montan acid wax	5.00%
calcium ligninsulfonate	1.00%
polyoxyethylene/polyoxypropylene block copolymers	1.00%
stearyl alcohol (POE 20)	2.00%
polyorganosilane	0.20%
colorant red dye	0.05%
water	65.75%

Example H

Fertilizer Stick

compound 352	2.50%
pyrrolidone-styrene copolymer	4.80%

tristyrylphenyl 16-ethoxylate	2.30%
talc	0.80%
corn starch	5.00%
slow-release fertilizer	36.00%
kaolin	38.00%
water	10.60%

Example I

Suspension Concentrate

compound 30	35%
butyl polyoxyethylene/polypropylene block copolymer	4.0%
stearic acid/polyethylene glycol copolymer	1.0%
styrene acrylic polymer	1.0%
xanthan gum	0.1%
propylene glycol	5.0%
silicone based defoamer	0.1%
1,2-benzisothiazolin-3-one	0.1%
water	53.7%

Example J

Emulsion in Water

compound 50	10.0%
butyl polyoxyethylene/polypropylene block copolymer	4.0%
stearic acid/polyethylene glycol copolymer	1.0%
styrene acrylic polymer	1.0%
xanthan gum	0.1%
propylene glycol	5.0%
silicone based defoamer	0.1%
1,2-benzisothiazolin-3-one	0.1%
aromatic petroleum based hydrocarbon	20.0
water	58.7%

Example K

Oil Dispersion

compound 30	25%
polyoxyethylene sorbitol hexaoleate	15%
organically modified bentonite clay	2.5%
fatty acid methyl ester	57.5%

Example L

Suspoemulsion

compound 50	10.0%
imidacloprid	5.0%
butyl polyoxyethylene/polypropylene block copolymer	4.0%
stearic acid/polyethylene glycol copolymer	1.0%
styrene acrylic polymer	1.0%
xanthan gum	0.1%
propylene glycol	5.0%
silicone based defoamer	0.1%
1,2-benzisothiazolin-3-one	0.1%
aromatic petroleum based hydrocarbon	20.0%
water	53.7%

Compounds of this invention exhibit activity against a wide spectrum of invertebrate pests. These pests include invertebrates inhabiting a variety of environments such as, for example, plant foliage, roots, soil, harvested crops or other foodstuffs, building structures or animal integuments. These pests include, for example, invertebrates feeding on foliage (including leaves, stems, flowers and fruits), seeds, wood, textile fibers or animal blood or tissues, and thereby causing injury or damage to, for example, growing or stored agronomic crops, forests, greenhouse crops, ornamentals, nursery crops, stored foodstuffs or fiber products, or houses or other structures or their contents, or being harmful to animal health or public health. Those skilled in the art will appreciate that not all compounds are equally effective against all growth stages of all pests.

These present compounds and compositions are thus useful agronomically for protecting field crops from phytophagous invertebrate pests, and also nonagronomically for protecting other horticultural crops and plants from phytophagous invertebrate pests. This utility includes protecting crops and other plants (i.e. both agronomic and nonagronomic) that contain genetic material introduced by genetic engineering (i.e. transgenic) or modified by mutagenesis to provide advantageous traits. Examples of such traits include tolerance to herbicides, resistance to phytophagous pests (e.g., insects, mites, aphids, spiders, nematodes, snails, plant-pathogenic fungi, bacteria and viruses), improved plant growth, increased tolerance of adverse growing conditions such as high or low temperatures, low or high soil moisture, and high salinity, increased flowering or fruiting, greater harvest yields, more rapid maturation, higher quality and/or nutritional value of the harvested product, or improved storage or process properties of the harvested products. Transgenic plants can be modified to express multiple traits. Examples of plants containing traits provided by genetic engineering or mutagenesis include varieties of corn, cotton, soybean and potato expressing an insecticidal *Bacillus thuringiensis* toxin such as YIELD GARD®, KNOCKOUT®,

STARLINK®, BOLLGARD®, NuCOTN® and NEWLEAF®, and herbicide-tolerant varieties of corn, cotton, soybean and rapeseed such as ROUNDUP READY®, LIBERTY LINK®, IMI®, STS® and CLEARFIELD®, as well as crops expressing *N*-acetyltransferase (GAT) to provide resistance to glyphosate herbicide, or crops containing the HRA gene providing resistance to herbicides inhibiting acetolactate synthase (ALS). The present compounds and compositions may interact synergistically with traits introduced by genetic engineering or modified by mutagenesis, thus enhancing phenotypic expression or effectiveness of the traits or increasing the invertebrate pest control effectiveness of the present compounds and compositions. In particular, the present compounds and compositions may interact synergistically with the phenotypic expression of proteins or other natural products toxic to invertebrate pests to provide greater-than-additive control of these pests.

Compositions of this invention can also optionally comprise plant nutrients, e.g., a fertilizer composition comprising at least one plant nutrient selected from nitrogen, phosphorus, potassium, sulfur, calcium, magnesium, iron, copper, boron, manganese, zinc, and molybdenum. Of note are compositions comprising at least one fertilizer composition comprising at least one plant nutrient selected from nitrogen, phosphorus, potassium, sulfur, calcium and magnesium. Compositions of the present invention which further comprise at least one plant nutrient can be in the form of liquids or solids. Of note are solid formulations in the form of granules, small sticks or tablets. Solid formulations comprising a fertilizer composition can be prepared by mixing the compound or composition of the present invention with the fertilizer composition together with formulating ingredients and then preparing the formulation by methods such as granulation or extrusion. Alternatively solid formulations can be prepared by spraying a solution or suspension of a compound or composition of the present invention in a volatile solvent onto a previous prepared fertilizer composition in the form of dimensionally stable mixtures, e.g., granules, small sticks or tablets, and then evaporating the solvent.

Examples of agronomic or nonagronomic invertebrate pests include eggs, larvae and adults of the order Lepidoptera, such as armyworms, cutworms, loopers, and heliothines in the family Noctuidae (e.g., pink stem borer (*Sesamia inferens* Walker), corn stalk borer (*Sesamia nonagrioides* Lefebvre), southern armyworm (*Spodoptera eridania* Cramer), fall armyworm (*Spodoptera fugiperda* J. E. Smith), beet armyworm (*Spodoptera exigua* Hübner), cotton leafworm (*Spodoptera littoralis* Boisduval), yellowstriped armyworm (*Spodoptera ornithogalli* Guenée), black cutworm (*Agrotis ipsilon* Hufnagel), velvetbean caterpillar (*Anticarsia gemmatalis* Hübner), green fruitworm (*Lithophane antennata* Walker), cabbage armyworm (*Barathra brassicae* Linnaeus), soybean looper (*Pseudoplusia includens* Walker), cabbage looper (*Trichoplusia ni* Hübner), tobacco budworm (*Heliothis virescens* Fabricius)); borers, casebearers, webworms, coneworms, cabbageworms and skeletonizers from the family Pyralidae (e.g., European corn borer (*Ostrinia nubilalis*

Hübner), navel orangeworm (*Amyelois transitella* Walker), corn root webworm (*Crambus caliginosellus* Clemens), sod webworms (Pyralidae: *Crambinae*) such as sod worm (*Herpetogramma licarsisalis* Walker), sugarcane stem borer (*Chilo infuscatellus* Snellen), tomato small borer (*Neoleucinodes elegantalis* Guenée), green leafroller (*Cnaphalocerus medinalis*), grape leaffolder (*Desmia funeralis* Hübner), melon worm (*Diaphania nitidalis* Stoll), cabbage center grub (*Helluala hydralis* Guenée), yellow stem borer (*Scirpophaga incertulas* Walker), early shoot borer (*Scirpophaga infuscatellus* Snellen), white stem borer (*Scirpophaga innotata* Walker), top shoot borer (*Scirpophaga nivella* Fabricius), dark-headed rice borer (*Chilo polychrysus* Meyrick), cabbage cluster caterpillar (*Crocidolomia binotalis* English)); leafrollers, budworms, seed worms, and fruit worms in the family Tortricidae (e.g., codling moth (*Cydia pomonella* Linnaeus), grape berry moth (*Endopiza viteana* Clemens), oriental fruit moth (*Grapholita molesta* Busck), citrus false codling moth (*Cryptophlebia leucotreta* Meyrick), citrus borer (*Ecdytolopha aurantiana* Lima), redbanded leafroller (*Argyrotaenia velutinana* Walker), obliquebanded leafroller (*Choristoneura rosaceana* Harris), light brown apple moth (*Epiphyas postvittana* Walker), European grape berry moth (*Eupoecilia ambiguella* Hübner), apple bud moth (*Pandemis pyrusana* Kearfott), omnivorous leafroller (*Platynota stultana* Walsingham), barred fruit-tree tortrix (*Pandemis cerasana* Hübner), apple brown tortrix (*Pandemis heparana* Denis & Schiffermüller)); and many other economically important lepidoptera (e.g., diamondback moth (*Plutella xylostella* Linnaeus), pink bollworm (*Pectinophora gossypiella* Saunders), gypsy moth (*Lymantria dispar* Linnaeus), peach fruit borer (*Carposina niponensis* Walsingham), peach twig borer (*Anarsia lineatella* Zeller), potato tuberworm (*Phthorimaea operculella* Zeller), spotted teniform leafminer (*Lithocolletis blancardella* Fabricius), Asiatic apple leafminer (*Lithocolletis ringoniella* Matsumura), rice leaffolder (*Lerodea eufala* Edwards), apple leafminer (*Leucoptera scitella* Zeller)); eggs, nymphs and adults of the order Blattodea including cockroaches from the families Blattellidae and Blattidae (e.g., oriental cockroach (*Blatta orientalis* Linnaeus), Asian cockroach (*Blatella asahinai* Mizukubo), German cockroach (*Blattella germanica* Linnaeus), brownbanded cockroach (*Supella longipalpa* Fabricius), American cockroach (*Periplaneta americana* Linnaeus), brown cockroach (*Periplaneta brunnea* Burmeister), Madeira cockroach (*Leucophaea maderae* Fabricius)), smoky brown cockroach (*Periplaneta fuliginosa* Service), Australian Cockroach (*Periplaneta australasiae* Fabr.), lobster cockroach (*Nauphoeta cinerea* Olivier) and smooth cockroach (*Symploce pallens* Stephens)); eggs, foliar feeding, fruit feeding, root feeding, seed feeding and vesicular tissue feeding larvae and adults of the order Coleoptera including weevils from the families Anthribidae, Bruchidae, and Curculionidae (e.g., boll weevil (*Anthonomus grandis* Boheman), rice water weevil (*Lissorhoptrus oryzophilus* Kuschel), granary weevil (*Sitophilus granarius* Linnaeus), rice weevil (*Sitophilus oryzae* Linnaeus)), annual bluegrass weevil (*Listronotus maculicollis* Dietz), bluegrass billbug (*Sphenophorus*

parvulus Gyllenhal), hunting billbug (*Sphenophorus venatus vestitus*), Denver billbug (*Sphenophorus cicatristriatus* Fahraeus)); flea beetles, cucumber beetles, rootworms, leaf beetles, potato beetles, and leafminers in the family Chrysomelidae (e.g., Colorado potato beetle (*Leptinotarsa decemlineata* Say), western corn rootworm (*Diabrotica virgifera virgifera* LeConte)); chafers and other beetles from the family Scarabaeidae (e.g., Japanese beetle (*Popillia japonica* Newman), oriental beetle (*Anomala orientalis* Waterhouse, *Exomala orientalis* (Waterhouse) Baraud), northern masked chafer (*Cyclocephala borealis* Arrow), southern masked chafer (*Cyclocephala immaculata* Olivier or *C. lurida* Bland), dung beetle and white grub (Aphodius spp.), black turfgrass ataenius (*Ataenius spretulus* Haldeman), green June beetle (*Cotinis nitida* Linnaeus), Asiatic garden beetle (*Maladera castanea* Arrow), May/June beetles (*Phyllophaga* spp.) and European chafer (*Rhizotrogus majalis* Razoumowsky)); carpet beetles from the family Dermestidae; wireworms from the family Elateridae; bark beetles from the family Scolytidae and flour beetles from the family Tenebrionidae.

In addition, agronomic and nonagronomic pests include: eggs, adults and larvae of the order Dermaptera including earwigs from the family Forficulidae (e.g., European earwig (*Forficula auricularia* Linnaeus), black earwig (*Chelisoches morio* Fabricius)); eggs, immatures, adults and nymphs of the orders Hemiptera and Homoptera such as, plant bugs from the family Miridae, cicadas from the family Cicadidae, leafhoppers (e.g. *Empoasca* spp.) from the family Cicadellidae, bed bugs (e.g., *Cimex lectularius* Linnaeus) from the family Cimicidae, planthoppers from the families Fulgoroidae and Delphacidae, treehoppers from the family Membracidae, psyllids from the family Psyllidae, whiteflies from the family Aleyrodidae, aphids from the family Aphididae, phylloxera from the family Phylloxeridae, mealybugs from the family Pseudococcidae, scales from the families Coccidae, Diaspididae and Margarodidae, lace bugs from the family Tingidae, stink bugs from the family Pentatomidae, chinch bugs (e.g., hairy chinch bug (*Blissus leucopterus hirtus* Montandon) and southern chinch bug (*Blissus insularis* Barber)) and other seed bugs from the family Lygaeidae, spittlebugs from the family Cercopidae squash bugs from the family Coreidae, and red bugs and cotton stainers from the family Pyrrhocoridae.

Agronomic and nonagronomic pests also include: eggs, larvae, nymphs and adults of the order Acari (mites) such as spider mites and red mites in the family Tetranychidae (e.g., European red mite (*Panonychus ulmi* Koch), two spotted spider mite (*Tetranychus urticae* Koch), McDaniel mite (*Tetranychus mcdanieli* McGregor)); flat mites in the family Tenuipalpidae (e.g., citrus flat mite (*Brevipalpus lewisi* McGregor)); rust and bud mites in the family Eriophyidae and other foliar feeding mites and mites important in human and animal health, i.e. dust mites in the family Epidermoptidae, follicle mites in the family Demodicidae, grain mites in the family Glycyphagidae; ticks in the family Ixodidae, commonly known as hard ticks (e.g., deer tick (*Ixodes scapularis* Say), Australian paralysis

tick (*Ixodes holocyclus* Neumann), American dog tick (*Dermacentor variabilis* Say), lone star tick (*Amblyomma americanum* Linnaeus)) and ticks in the family Argasidae, commonly known as soft ticks (e.g., relapsing fever tick (*Ornithodoros turicata*), common fowl tick (*Argas radiatus*)); scab and itch mites in the families Psoroptidae, Pyemotidae, and Sarcoptidae; eggs, adults and immatures of the order Orthoptera including grasshoppers, locusts and crickets (e.g., migratory grasshoppers (e.g., *Melanoplus sanguinipes* Fabricius, *M. differentialis* Thomas), American grasshoppers (e.g., *Schistocerca americana* Drury), desert locust (*Schistocerca gregaria* Forskal), migratory locust (*Locusta migratoria* Linnaeus), bush locust (*Zonocerus* spp.), house cricket (*Acheta domesticus* Linnaeus), mole crickets (e.g., tawny mole cricket (*Scapteriscus vicinus* Scudder) and southern mole cricket (*Scapteriscus borellii* Giglio-Tos)); eggs, adults and immatures of the order Diptera including leafminers (e.g., *Liriomyza* spp. such as serpentine vegetable leafminer (*Liriomyza sativae* Blanchard)), midges, fruit flies (Tephritidae), frit flies (e.g., *Oscinella frit* Linnaeus), soil maggots, house flies (e.g., *Musca domestica* Linnaeus), lesser house flies (e.g., *Fannia canicularis* Linnaeus, *F. femoralis* Stein), stable flies (e.g., *Stomoxys calcitrans* Linnaeus), face flies, horn flies, blow flies (e.g., *Chrysomya* spp., *Phormia* spp.), and other muscoid fly pests, horse flies (e.g., *Tabanus* spp.), bot flies (e.g., *Gastrophilus* spp., *Oestrus* spp.), cattle grubs (e.g., *Hypoderma* spp.), deer flies (e.g., *Chrysops* spp.), keds (e.g., *Melophagus ovinus* Linnaeus) and other Brachycera, mosquitoes (e.g., *Aedes* spp., *Anopheles* spp., *Culex* spp.), black flies (e.g., *Prosimulium* spp., *Simulium* spp.), biting midges, sand flies, sciarids, and other Nematocera; eggs, adults and immatures of the order Thysanoptera including onion thrips (*Thrips tabaci* Lindeman), flower thrips (*Frankliniella* spp.), and other foliar feeding thrips; insect pests of the order Hymenoptera including ants of the Family Formicidae including the Florida carpenter ant (*Camponotus floridanus* Buckley), red carpenter ant (*Camponotus ferrugineus* Fabricius), black carpenter ant (*Camponotus pennsylvanicus* De Geer), white-footed ant (*Technomyrmex albipes* fr. Smith), big headed ants (*Pheidole* sp.), ghost ant (*Tapinoma melanocephalum* Fabricius); Pharaoh ant (*Monomorium pharaonis* Linnaeus), little fire ant (*Wasmannia auropunctata* Roger), fire ant (*Solenopsis geminata* Fabricius), red imported fire ant (*Solenopsis invicta* Buren), Argentine ant (*Iridomyrmex humilis* Mayr), crazy ant (*Paratrechina longicornis* Latreille), pavement ant (*Tetramorium caespitum* Linnaeus), cornfield ant (*Lasius alienus* Förster) and odorous house ant (*Tapinoma sessile* Say). Other Hymenoptera including bees (including carpenter bees), hornets, yellow jackets, wasps, and sawflies (*Neodiprion* spp.; *Cephus* spp.); insect pests of the order Isoptera including termites in the Termitidae (e.g., *Macrotermes* sp., *Odontotermes obesus* Rambur), Kalotermitidae (e.g., *Cryptotermes* sp.), and Rhinotermitidae (e.g., *Reticulitermes* sp., *Coptotermes* sp., *Heterotermes tenuis* Hagen) families, the eastern subterranean termite (*Reticulitermes flavipes* Kollar), western subterranean termite (*Reticulitermes hesperus* Banks), Formosan subterranean termite (*Coptotermes formosanus*

Shiraki), West Indian drywood termite (*Incisitermes immigrans* Snyder), powder post termite (*Cryptotermes brevis* Walker), drywood termite (*Incisitermes snyderi* Light), southeastern subterranean termite (*Reticulitermes virginicus* Banks), western drywood termite (*Incisitermes minor* Hagen), arboreal termites such as *Nasutitermes* sp. and other termites of economic importance; insect pests of the order Thysanura such as silverfish (*Lepisma saccharina* Linnaeus) and firebrat (*Thermobia domestica* Packard); insect pests of the order Mallophaga and including the head louse (*Pediculus humanus capitis* De Geer), body louse (*Pediculus humanus* Linnaeus), chicken body louse (*Menacanthus stramineus* Nitszch), dog biting louse (*Trichodectes canis* De Geer), fluff louse (*Goniocotes gallinae* De Geer), sheep body louse (*Bovicola ovis* Schrank), short-nosed cattle louse (*Haematopinus eurysternus* Nitzsch), long-nosed cattle louse (*Linognathus vituli* Linnaeus) and other sucking and chewing parasitic lice that attack man and animals; insect pests of the order Siphonoptera including the oriental rat flea (*Xenopsylla cheopis* Rothschild), cat flea (*Ctenocephalides felis* Bouche), dog flea (*Ctenocephalides canis* Curtis), hen flea (*Ceratophyllus gallinae* Schrank), sticktight flea (*Echidnophaga gallinacea* Westwood), human flea (*Pulex irritans* Linnaeus) and other fleas afflicting mammals and birds. Additional arthropod pests covered include: spiders in the order Araneae such as the brown recluse spider (*Loxosceles reclusa* Gertsch & Mulaik) and the black widow spider (*Latrodectus mactans* Fabricius), and centipedes in the order Scutigeromorpha such as the house centipede (*Scutigera coleoptrata* Linnaeus).

Examples of invertebrate pests of stored grain include larger grain borer (*Prostephanus truncatus*), lesser grain borer (*Rhyzopertha dominica*), rice weevil (*Stiophilus oryzae*), maize weevil (*Stiophilus zeamais*), cowpea weevil (*Callosobruchus maculatus*), red flour beetle (*Tribolium castaneum*), granary weevil (*Stiophilus granarius*), Indian meal moth (*Plodia interpunctella*), Mediterranean flour beetle (*Ephestia kuhniella*) and flat or rusty grain beetle (*Cryptolestis ferrugineus*).

Compounds of the invention show particularly high activity against pests in the order Lepidoptera (e.g., *Alabama argillacea* Hübner (cotton leaf worm), *Archips argyrospila* Walker (fruit tree leaf roller), *A. rosana* Linnaeus (European leaf roller) and other *Archips* species, *Chilo suppressalis* Walker (rice stem borer), *Cnaphalocrosis medinalis* Guenée (rice leaf roller), *Crambus caliginosellus* Clemens (corn root webworm), *Crambus teterrellus* Zincken (bluegrass webworm), *Cydia pomonella* Linnaeus (codling moth), *Earias insulana* Boisduval (spiny bollworm), *Earias vittella* Fabricius (spotted bollworm), *Helicoverpa armigera* Hübner (American bollworm), *Helicoverpa zea* Boddie (corn earworm), *Heliothis virescens* Fabricius (tobacco budworm), *Herpetogramma licarsisalis* Walker (sod webworm), *Lobesia botrana* Denis & Schiffermüller (grape berry moth), *Pectinophora gossypiella* Saunders (pink bollworm), *Phyllocnistis citrella* Stainton (citrus leafminer), *Pieris brassicae* Linnaeus (large white butterfly), *Pieris rapae* Linnaeus (small white

butterfly), *Plutella xylostella* Linnaeus (diamondback moth), *Spodoptera exigua* Hübner (beet armyworm), *Spodoptera litura* Fabricius (tobacco cutworm, cluster caterpillar), *Spodoptera frugiperda* J. E. Smith (fall armyworm), *Trichoplusia ni* Hübner (cabbage looper) and *Tuta absoluta* Meyrick (tomato leafminer)).

Compounds of the invention also have significant activity on members from the order Homoptera including: *Acyrthosiphon pisum* Harris (pea aphid), *Aphis craccivora* Koch (cowpea aphid), *Aphis fabae* Scopoli (black bean aphid), *Aphis gossypii* Glover (cotton aphid, melon aphid), *Aphis pomi* De Geer (apple aphid), *Aphis spiraecola* Patch (spirea aphid), *Aulacorthum solani* Kaltenbach (foxglove aphid), *Chaetosiphon fragaefolii* Cockerell (strawberry aphid), *Diuraphis noxia* Kurdjumov/Mordvilko (Russian wheat aphid), *Dysaphis plantaginea* Paaserini (rosy apple aphid), *Eriosoma lanigerum* Hausmann (woolly apple aphid), *Hyalopterus pruni* Geoffroy (mealy plum aphid), *Lipaphis erysimi* Kaltenbach (turnip aphid), *Metopolophium dirrhodum* Walker (cereal aphid), *Macrosiphum euphorbiae* Thomas (potato aphid), *Myzus persicae* Sulzer (peach-potato aphid, green peach aphid), *Nasonovia ribisnigri* Mosley (lettuce aphid), *Pemphigus* spp. (root aphids and gall aphids), *Rhopalosiphum maidis* Fitch (corn leaf aphid), *Rhopalosiphum padi* Linnaeus (bird cherry-oat aphid), *Schizaphis graminum* Rondani (greenbug), *Sitobion avenae* Fabricius (English grain aphid), *Therioaphis maculata* Buckton (spotted alfalfa aphid), *Toxoptera aurantii* Boyer de Fonscolombe (black citrus aphid), and *Toxoptera citricida* Kirkaldy (brown citrus aphid); *Adelges* spp. (adelgids); *Phylloxera devastatrix* Pergande (pecan phylloxera); *Bemisia tabaci* Gennadius (tobacco whitefly, sweetpotato whitefly), *Bemisia argentifolii* Bellows & Perring (silverleaf whitefly), *Dialeurodes citri* Ashmead (citrus whitefly) and *Trialeurodes vaporariorum* Westwood (greenhouse whitefly); *Empoasca fabae* Harris (potato leafhopper), *Laodelphax striatellus* Fallen (smaller brown planthopper), *Macrolestes quadrilineatus* Forbes (aster leafhopper), *Nephotettix cinticeps* Uhler (green leafhopper), *Nephotettix nigropictus* Stål (rice leafhopper), *Nilaparvata lugens* Stål (brown planthopper), *Peregrinus maidis* Ashmead (corn planthopper), *Sogatella furcifera* Horvath (white-backed planthopper), *Sogatodes orizicola* Muir (rice delphacid), *Typhlocyba pomaria* McAtee white apple leafhopper, *Erythroneoura* spp. (grape leafhoppers); *Magicidada septendecim* Linnaeus (periodical cicada); *Icerya purchasi* Maskell (cottony cushion scale), *Quadraspidiotus perniciosus* Comstock (San Jose scale); *Planococcus citri* Risso (citrus mealybug); *Pseudococcus* spp. (other mealybug complex); *Cacopsylla pyricola* Foerster (pear psylla), *Trioza diospyri* Ashmead (persimmon psylla).

Compounds of this invention may also have activity on members from the order Hemiptera including: *Acrosternum hilare* Say (green stink bug), *Anasa tristis* De Geer (squash bug), *Blissus leucopterus leucopterus* Say (chinch bug), *Cimex lectularius* Linnaeus (bed bug) *Corythuca gossypii* Fabricius (cotton lace bug), *Cyrtopeltis modesta* Distant (tomato bug), *Dysdercus suturellus* Herrich-Schäffer (cotton stainer), *Euchistus servus* Say

(brown stink bug), *Euchistus variolarius* Palisot de Beauvois (one-spotted stink bug), *Graptosthetus* spp. (complex of seed bugs), *Leptoglossus corculus* Say (leaf-footed pine seed bug), *Lygus lineolaris* Palisot de Beauvois (tarnished plant bug), *Nezara viridula* Linnaeus (southern green stink bug), *Oebalus pugnax* Fabricius (rice stink bug), *Oncopeltus fasciatus* Dallas (large milkweed bug), *Pseudatomoscelis seriatus* Reuter (cotton fleahopper). Other insect orders controlled by compounds of the invention include Thysanoptera (e.g., *Frankliniella occidentalis* Pergande (western flower thrips), *Scirthothrips citri* Moulton (citrus thrips), *Sericothrips variabilis* Beach (soybean thrips), and *Thrips tabaci* Lindeman (onion thrips); and the order Coleoptera (e.g., *Leptinotarsa decemlineata* Say (Colorado potato beetle), *Epilachna varivestis* Mulsant (Mexican bean beetle) and wireworms of the genera *Agriotes*, *Athous* or *Limonius*).

Compounds of the present invention also have activity on members of the Classes Nematoda, Cestoda, Trematoda, and Acanthocephala including economically important members of the orders Strongylida, Ascaridida, Oxyurida, Rhabditida, Spirurida, and Enoplida such as but not limited to economically important agricultural pests (i.e. root knot nematodes in the genus *Meloidogyne*, lesion nematodes in the genus *Pratylenchus*, stubby root nematodes in the genus *Trichodorus*, etc.) and animal and human health pests (i.e. all economically important flukes, tapeworms, and roundworms, such as *Strongylus vulgaris* in horses, *Toxocara canis* in dogs, *Haemonchus contortus* in sheep, *Dirofilaria immitis* Leidy in dogs, *Anoplocephala perfoliata* in horses, *Fasciola hepatica* Linnaeus in ruminants, etc.).

Note that some contemporary classification systems place Homoptera as a suborder within the order Hemiptera.

Of note is use of compounds of this invention for controlling potato leafhopper (*Empoasca fabae*). Of note is use of compounds of this invention for controlling corn planthopper (*Peregrinus maidis*). Of note is use of compounds of this invention for controlling cotton melon aphid (*Aphis gossypii*). Of note is use of compounds of this invention for controlling green peach aphid (*Myzus persicae*). Of note is use of compounds of this invention for controlling diamondback moth (*Plutella xylostella*). Of note is use of compounds of this invention for controlling fall armyworm (*Spodoptera frugiperda*).

Of note is use of compounds of this invention for controlling southern green stink bug (*Nezara viridula*), western tarnished plant bug (*Lygus hesperus*), rice water weevil (*Lissorhoptrus oryzophilus*), rice brown planthopper (*Nilaparvata lugens*), rice green leafhopper (*Nephotettix virescens*) and striped rice borer (*Chilo suppressalis*).

Compounds of this invention can also be mixed with one or more other biologically active compounds or agents including insecticides, fungicides, nematocides, bactericides, acaricides, herbicides, herbicide safeners, growth regulators such as insect molting inhibitors and rooting stimulants, chemosterilants, semiochemicals, repellents, attractants, pheromones, feeding stimulants, other biologically active compounds or entomopathogenic bacteria, virus

or fungi to form a multi-component pesticide giving an even broader spectrum of agronomic and nonagronomic utility. Thus the present invention also pertains to a composition comprising a biologically effective amount of a compound of Formula 1, an *N*-oxide, or salt thereof, at least one additional component selected from the group consisting of surfactants, solid diluents and liquid diluents, and at least one additional biologically active compound or agent. For mixtures of the present invention, the other biologically active compounds or agents can be formulated together with the present compounds, including the compounds of Formula 1, to form a premix, or the other biologically active compounds or agents can be formulated separately from the present compounds, including the compounds of Formula 1, and the two formulations combined together before application (e.g., in a spray tank) or, alternatively, applied in succession.

Examples of such biologically active compounds or agents with which compounds of this invention can be formulated are insecticides such as abamectin, acephate, acequinocyl, acetamiprid, acrinathrin, amidoflumet, amitraz, avermectin, azadirachtin, azinphos-methyl, bensultap, bifenthrin, bifenazate, bistrifluron, borate, buprofezin, cadusafos, carbaryl, carbofuran, cartap, carzol, chlorantraniliprole, chlorfenapyr, chlorfluazuron, chlorpyrifos, chlorpyrifos-methyl, chromafenozide, clofentezin, clothianidin, cyantraniliprole, cyflumetofen, cyfluthrin, beta-cyfluthrin, cyhalothrin, gamma-cyhalothrin, lambda-cyhalothrin, cypermethrin, alpha-cypermethrin, zeta-cypermethrin, cyromazine, deltamethrin, diafenthiuron, diazinon, dieldrin, diflubenzuron, dimefluthrin, dimehypo, dimethoate, dinotefuran, diofenolan, emamectin, endosulfan, esfenvalerate, ethiprole, etofenprox, etoxazole, fenbutatin oxide, fenothiocarb, fenoxycarb, fenpropathrin, fenvalerate, fipronil, flonicamid, flubendiamide, flucythrinate, flufenerim, flufenoxuron, fluvalinate, tau-fluvalinate, fonophos, formetanate, fosthiazate, halofenozide, hexaflumuron, hexythiazox, hydramethylnon, imidacloprid, indoxacarb, insecticidal soaps, isofenphos, lufenuron, malathion, meperfluthrin, metaflumizone, metaldehyde, methamidophos, methidathion, methiodicarb, methomyl, methoprene, methoxychlor, metofluthrin, monocrotophos, methoxyfenozide, nitenpyram, nithiazine, novaluron, noviflumuron, oxamyl, parathion, parathion-methyl, permethrin, phorate, phosalone, phosmet, phosphamidon, pirimicarb, profenofos, profluthrin, propargite, protrifenbute, pymetrozine, pyrafluprole, pyrethrin, pyridaben, pyridalyl, pyrifluquinazon, pyriprole, pyriproxyfen, rotenone, ryanodine, spinetoram, spinosad, spirodiclofen, spiromesifen, spirotetramat, sulprofos, sulfoxaflor, tebufenozide, tebufenpyrad, teflubenzuron, tefluthrin, terbufos, tetrachlorvinphos, tetramethrin, tetramethylfluthrin, thiacloprid, thiamethoxam, thiodicarb, thiosultap-sodium, tolfenpyrad, tralomethrin, triazamate, trichlorfon, triflumuron, *Bacillus thuringiensis* delta-endotoxins, entomopathogenic bacteria, entomopathogenic viruses and entomopathogenic fungi.

Of note are insecticides such as abamectin, acetamiprid, acrinathrin, amitraz, avermectin, azadirachtin, bensultap, bifenthrin, buprofezin, cadusafos, carbaryl, cartap, chlorantraniliprole, chlorfenapyr, chlorpyrifos, clothianidin, cyantraniliprole, cyfluthrin, beta-cyfluthrin, cyhalothrin, gamma-cyhalothrin, lambda-cyhalothrin, cypermethrin, alpha-cypermethrin, zeta-cypermethrin, cyromazine, deltamethrin, dieldrin, dinotefuran, diofenolan, emamectin, endosulfan, esfenvalerate, ethiprole, etofenprox, etoxazole, fenothiocarb, fenoxycarb, fenvalerate, fipronil, flonicamid, flubendiamide, flufenoxuron, fluvalinate, formetanate, fosthiazate, hexaflumuron, hydramethylnon, imidacloprid, indoxacarb, lufenuron, metaflumizone, methiodicarb, methomyl, methoprene, methoxyfenozide, nitenpyram, nithiazine, novaluron, oxamyl, pymetrozine, pyrethrin, pyridaben, pyridalyl, pyriproxyfen, ryanodine, spinetoram, spinosad, spirodiclofen, spiromesifen, spirotetramat, tebufenozide, tetramethrin, thiacloprid, thiamethoxam, thiodicarb, thiosultap-sodium, tralomethrin, triazamate, triflumuron, *Bacillus thuringiensis* delta-endotoxins, all strains of *Bacillus thuringiensis* and all strains of *Nucleo polyhydrosis* viruses.

One embodiment of biological agents for mixing with compounds of this invention include entomopathogenic bacteria such as *Bacillus thuringiensis,* and the encapsulated delta-endotoxins of *Bacillus thuringiensis* such as MVP® and MVPII® bioinsecticides prepared by the CellCap® process (CellCap®, MVP® and MVPII® are trademarks of Mycogen Corporation, Indianapolis, Indiana, USA); entomopathogenic fungi such as green muscardine fungus; and entomopathogenic (both naturally occurring and genetically modified) viruses including baculovirus, nucleopolyhedro virus (NPV) such as *Helicoverpa zea* nucleopolyhedrovirus (HzNPV), *Anagrapha falcifera* nucleopolyhedrovirus (AfNPV); and granulosis virus (GV) such as *Cydia pomonella* granulosis virus (CpGV).

Of particular note is such a combination where the other invertebrate pest control active ingredient belongs to a different chemical class or has a different site of action than the compound of Formula 1. In certain instances, a combination with at least one other invertebrate pest control active ingredient having a similar spectrum of control but a different site of action will be particularly advantageous for resistance management. Thus, a composition of the present invention can further comprise a biologically effective amount of at least one additional invertebrate pest control active ingredient having a similar spectrum of control but belonging to a different chemical class or having a different site of action. These additional biologically active compounds or agents include, but are not limited to, sodium channel modulators such as bifenthrin, cypermethrin, cyhalothrin, lambda-cyhalothrin, cyfluthrin, beta-cyfluthrin, deltamethrin, dimefluthrin, esfenvalerate, fenvalerate, indoxacarb, metofluthrin, profluthrin, pyrethrin and tralomethrin; cholinesterase inhibitors such as chlorpyrifos, methomyl, oxamyl, thiodicarb and triazamate; neonicotinoids such as acetamiprid, clothianidin, dinotefuran, imidacloprid, nitenpyram, nithiazine,

thiacloprid and thiamethoxam; insecticidal macrocyclic lactones such as spinetoram, spinosad, abamectin, avermectin and emamectin; GABA (γ–aminobutyric acid)-gated chloride channel antagonists such as avermectin or blockers such as ethiprole and fipronil; chitin synthesis inhibitors such as buprofezin, cyromazine, flufenoxuron, hexaflumuron, lufenuron, novaluron, noviflumuron and triflumuron; juvenile hormone mimics such as diofenolan, fenoxycarb, methoprene and pyriproxyfen; octopamine receptor ligands such as amitraz; molting inhibitors and ecdysone agonists such as azadirachtin, methoxyfenozide and tebufenozide; ryanodine receptor ligands such as ryanodine, anthranilic diamides such as chlorantraniliprole, cyantraniliprole and flubendiamide; nereistoxin analogs such as cartap; mitochondrial electron transport inhibitors such as chlorfenapyr, hydramethylnon and pyridaben; lipid biosynthesis inhibitors such as spirodiclofen and spiromesifen; cyclodiene insecticides such as dieldrin or endosulfan; pyrethroids; carbamates; insecticidal ureas; and biological agents including nucleopolyhedro viruses (NPV), members of *Bacillus thuringiensis*, encapsulated delta-endotoxins of *Bacillus thuringiensis*, and other naturally occurring or genetically modified insecticidal viruses.

Further examples of biologically active compounds or agents with which compounds of this invention can be formulated are: fungicides such as 1-[4-[4-[5-(2,6-difluorophenyl)-4,5-dihydro-3-isoxazolyl]-2-thiazolyl]-1-piperidinyl]-2-[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]ethanone, 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, fluxapyroxad, folpet, fosetyl-aluminum, fthalide, fuberidazole, furalaxyl, furametpyr, hexaconazole, hymexazole, guazatine, imazalil, imibenconazole, iminoctadine, iodicarb, ipconazole, iprobenfos, iprodione, iprovalicarb, isoconazole, isoprothiolane, isotianil, kasugamycin, kresoxim-methyl, mancozeb, mandipropamid, maneb, mapanipyrin, mefenoxam, mepronil, metalaxyl, metconazole, methasulfocarb, metiram, metominostrobin/fenominostrobin, mepanipyrim, metrafenone, miconazole, myclobutanil,

neo-asozin (ferric methanearsonate), nuarimol, octhilinone, ofurace, orysastrobin, oxadixyl, oxolinic acid, oxpoconazole, oxycarboxin, paclobutrazol, penconazole, pencycuron, penflufen, penthiopyrad, perfurazoate, phosphonic acid, phthalide, picobenzamid, picoxystrobin, polyoxin, probenazole, prochloraz, procymidone, propamocarb, propamocarb-hydrochloride, propiconazole, propineb, proquinazid, prothioconazole, pyraclostrobin, pyrametostrobin, pyraoxystrobin, pryazophos, pyrifenox, pyrimethanil, pyrifenox, pyriofenone, pyrolnitrine, pyroquilon, quinconazole, quinoxyfen, quintozene, silthiofam, simeconazole, spiroxamine, streptomycin, sulfur, tebuconazole, tebufloquin, techrazene, tecloftalam, tecnazene, tetraconazole, thiabendazole, thifluzamide, thiophanate, thiophanate-methyl, thiram, tiadinil, tolclofos-methyl, tolyfluanid, triadimefon, triadimenol, triarimol, triazoxide, tridemorph, trimorphamide, tricyclazole, trifloxystrobin, triforine, triticonazole, uniconazole, validamycin, valifenalate, vinclozolin, zineb, ziram, and zoxamide; nematocides such as aldicarb, imicyafos, oxamyl 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.

Of note are fungicides and compositions comprising fungicides such as 1-[4-[4-[5-(2,6-difluorophenyl)-4,5-dihydro-3-isoxazolyl]-2-thiazolyl]-1-piperidinyl]-2-[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]ethanone, azoxystrobin, copper hydroxide, cymoxanil, cyproconazole, difenoconazole, famoxadone, fenoxanil, ferimzone, flusilazole, flutolanil, fthalide, furametpyr, hexaconazole, isoprothiolane, isotianil, kasugamycin, mancozeb, metominostrobin, orysastrobin, pencycuron, penthiopyrad, picoxystrobin, probenazole, propiconazole, proquinazid, pyroquilon, simeconazole, tiadinil, tricyclazole, trifloxystrobin and validamycin.

In certain instances, combinations of a compound of this invention with other biologically active (particularly invertebrate pest control) compounds or agents (i.e. active ingredients) can result in a greater-than-additive (i.e. synergistic) effect. Reducing the quantity of active ingredients released in the environment while ensuring effective pest control is always desirable. When synergism of invertebrate pest control active ingredients occurs at application rates giving agronomically satisfactory levels of invertebrate pest control, such combinations can be advantageous for reducing crop production cost and decreasing environmental load.

Compounds of this invention and compositions thereof can be applied to plants genetically transformed to express proteins toxic to invertebrate pests (such as *Bacillus thuringiensis* delta-endotoxins). Such an application may provide a broader spectrum of plant protection and be advantageous for resistance management. The effect of the exogenously applied invertebrate pest control compounds of this invention may be synergistic with the expressed toxin proteins.

General references for these agricultural protectants (i.e. insecticides, fungicides, nematocides, acaricides, herbicides and biological agents) include *The Pesticide Manual, 13th Edition*, C. D. S. Tomlin, Ed., British Crop Protection Council, Farnham, Surrey, U.K., 2003 and *The BioPesticide Manual, 2nd Edition*, L. G. Copping, Ed., British Crop Protection Council, Farnham, Surrey, U.K., 2001.

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**, an *N*-oxide, or salt thereof, is typically between about 1:3000 and about 3000:1. Of note are weight ratios between about 1:300 and about 300:1 (for example ratios between about 1:30 and about 30:1). One skilled in the art can easily determine through simple experimentation the biologically effective amounts of active ingredients necessary for the desired spectrum of biological activity. It will be evident that including these additional components can expand the spectrum of invertebrate pests controlled beyond the spectrum controlled by the compound of Formula **1** alone.

Table A lists specific combinations of a compound of Formula **1** with other invertebrate pest control agents illustrative of the mixtures, compositions and methods of the present invention. The first column of Table A lists the specific invertebrate pest control agents (e.g., "Abamectin" in the first line). The second column of Table A lists the mode of action (if known) or chemical class of the invertebrate pest control agents. The third column of Table A lists embodiment(s) of ranges of weight ratios for rates at which a compound of Formula **1** can be applied relative to an invertebrate pest control agent (e.g., "50:1 to 1:50" of a compound of Formula **1** relative to abamectin by weight). Thus, for example, the first line of Table A specifically discloses the combination of a compound of Formula **1** with abamectin can be applied in a weight ratio between 50:1 to 1:50. The remaining lines of Table A are to be construed similarly. Of further note Table A lists specific combinations of a compound of Formula **1** with other invertebrate pest control agents illustrative of the mixtures, compositions and methods of the present invention and includes additional embodiments of weight ratio ranges for application rates.

Table A

Invertebrate Pest Control Agent	Mode of Action or Chemical Class	Typical Weight Ratio
Abamectin	macrocyclic lactones	50:1 to 1:50
Acetamiprid	neonicotinoids	150:1 to 1:200
Amitraz	octopamine receptor ligands	200:1 to 1:100
Avermectin	macrocyclic lactones	50:1 to 1:50
Azadirachtin	ecdysone agonists	100:1 to 1:120
Beta-cyfluthrin	sodium channel modulators	150:1 to 1:200
Bifenthrin	sodium channel modulators	100:1 to 1:10

Invertebrate Pest Control Agent	Mode of Action or Chemical Class	Typical Weight Ratio
Buprofezin	chitin synthesis inhibitors	500:1 to 1:50
Cartap	nereistoxin analogs	100:1 to 1:200
Chlorantraniliprole	ryanodine receptor ligands	100:1 to 1:120
Chlorfenapyr	mitochondrial electron transport inhibitors	300:1 to 1:200
Chlorpyrifos	cholinesterase inhibitors	500:1 to 1:200
Clothianidin	neonicotinoids	100:1 to 1:400
Cyantraniliprole	ryanodine receptor ligands	100:1 to 1:120
Cyfluthrin	sodium channel modulators	150:1 to 1:200
Cyhalothrin	sodium channel modulators	150:1 to 1:200
Cypermethrin	sodium channel modulators	150:1 to 1:200
Cyromazine	chitin synthesis inhibitors	400:1 to 1:50
Deltamethrin	sodium channel modulators	50:1 to 1:400
Dieldrin	cyclodiene insecticides	200:1 to 1:100
Dinotefuran	neonicotinoids	150:1 to 1:200
Diofenolan	molting inhibitor	150:1 to 1:200
Emamectin	macrocyclic lactones	50:1 to 1:10
Endosulfan	cyclodiene insecticides	200:1 to 1:100
Esfenvalerate	sodium channel modulators	100:1 to 1:400
Ethiprole	GABA-regulated chloride channel blockers	200:1 to 1:100
Fenothiocarb		150:1 to 1:200
Fenoxycarb	juvenile hormone mimics	500:1 to 1:100
Fenvalerate	sodium channel modulators	150:1 to 1:200
Fipronil	GABA-regulated chloride channel blockers	150:1 to 1:100
Flonicamid		200:1 to 1:100
Flubendiamide	ryanodine receptor ligands	100:1 to 1:120
Flufenoxuron	chitin synthesis inhibitors	200:1 to 1:100
Hexaflumuron	chitin synthesis inhibitors	300:1 to 1:50
Hydramethylnon	mitochondrial electron transport inhibitors	150:1 to 1:250
Imidacloprid	neonicotinoids	1000:1 to 1:1000
Indoxacarb	sodium channel modulators	200:1 to 1:50
Lambda-cyhalothrin	sodium channel modulators	50:1 to 1:250
Lufenuron	chitin synthesis inhibitors	500:1 to 1:250
Metaflumizone		200:1 to 1:200

Invertebrate Pest Control Agent	Mode of Action or Chemical Class	Typical Weight Ratio
Methomyl	cholinesterase inhibitors	500:1 to 1:100
Methoprene	juvenile hormone mimics	500:1 to 1:100
Methoxyfenozide	ecdysone agonists	50:1 to 1:50
Nitenpyram	neonicotinoids	150:1 to 1:200
Nithiazine	neonicotinoids	150:1 to 1:200
Novaluron	chitin synthesis inhibitors	500:1 to 1:150
Oxamyl	cholinesterase inhibitors	200:1 to 1:200
Pymetrozine		200:1 to 1:100
Pyrethrin	sodium channel modulators	100:1 to 1:10
Pyridaben	mitochondrial electron transport inhibitors	200:1 to 1:100
Pyridalyl		200:1 to 1:100
Pyriproxyfen	juvenile hormone mimics	500:1 to 1:100
Ryanodine	ryanodine receptor ligands	100:1 to 1:120
Spinetoram	macrocyclic lactones	150:1 to 1:100
Spinosad	macrocyclic lactones	500:1 to 1:10
Spirodiclofen	lipid biosynthesis inhibitors	200:1 to 1:200
Spiromesifen	lipid biosynthesis inhibitors	200:1 to 1:200
Tebufenozide	ecdysone agonists	500:1 to 1:250
Thiacloprid	neonicotinoids	100:1 to 1:200
Thiamethoxam	neonicotinoids	1250:1 to 1:1000
Thiodicarb	cholinesterase inhibitors	500:1 to 1:400
Thiosultap-sodium		150:1 to 1:100
Tralomethrin	sodium channel modulators	150:1 to 1:200
Triazamate	cholinesterase inhibitors	250:1 to 1:100
Triflumuron	chitin synthesis inhibitors	200:1 to 1:100
Bacillus thuringiensis	biological agents	50:1 to 1:10
Bacillus thuringiensis delta-endotoxin	biological agents	50:1 to 1:10
NPV (e.g., Gemstar)	biological agents	50:1 to 1:10

Of note is the composition of the present invention wherein the at least one additional biologically active compound or agent is selected from the Invertebrate Pest Control Agents listed in Table A above.

The weight ratios of a compound, including a compound of Formula **1**, an *N*-oxide, or salt thereof, to the additional invertebrate pest control agent typically are between 1000:1

and 1:1000, with one embodiment being between 500:1 and 1:500, another embodiment being between 250:1 and 1:200 and another embodiment being between 100:1 and 1:50.

Listed below in Tables B1 to B81 are embodiments of specific compositions comprising a compound of Formula 1 (compound numbers (Cmpd. No.) refer to compounds in Index Tables A–E) and an additional invertebrate pest control agent.

Table B1

Mixture No.	Cmpd. No.	and	Invertebrate Pest Control Agent	Mixture No.	Cmpd. No.	and	Invertebrate Pest Control Agent
B1-1	1	and	Abamectin	B1-36	1	and	Imidacloprid
B1-2	1	and	Acetamiprid	B1-37	1	and	Indoxacarb
B1-3	1	and	Amitraz	B1-38	1	and	Lambda-cyhalothrin
B1-4	1	and	Avermectin	B1-39	1	and	Lufenuron
B1-5	1	and	Azadirachtin	B1-40	1	and	Metaflumizone
B1-5a	1	and	Bensultap	B1-41	1	and	Methomyl
B1-6	1	and	Beta-cyfluthrin	B1-42	1	and	Methoprene
B1-7	1	and	Bifenthrin	B1-43	1	and	Methoxyfenozide
B1-8	1	and	Buprofezin	B1-44	1	and	Nitenpyram
B1-9	1	and	Cartap	B1-45	1	and	Nithiazine
B1-10	1	and	Chlorantraniliprole	B1-46	1	and	Novaluron
B1-11	1	and	Chlorfenapyr	B1-47	1	and	Oxamyl
B1-12	1	and	Chlorpyrifos	B1-48	1	and	Phosmet
B1-13	1	and	Clothianidin	B1-49	1	and	Pymetrozine
B1-14	1	and	Cyantraniliprole	B1-50	1	and	Pyrethrin
B1-15	1	and	Cyfluthrin	B1-51	1	and	Pyridaben
B1-16	1	and	Cyhalothrin	B1-52	1	and	Pyridalyl
B1-17	1	and	Cypermethrin	B1-53	1	and	Pyriproxyfen
B1-18	1	and	Cyromazine	B1-54	1	and	Ryanodine
B1-19	1	and	Deltamethrin	B1-55	1	and	Spinetoram
B1-20	1	and	Dieldrin	B1-56	1	and	Spinosad
B1-21	1	and	Dinotefuran	B1-57	1	and	Spirodiclofen
B1-22	1	and	Diofenolan	B1-58	1	and	Spiromesifen
B1-23	1	and	Emamectin	B1-59	1	and	Spirotetramat
B1-24	1	and	Endosulfan	B1-60	1	and	Tebufenozide
B1-25	1	and	Esfenvalerate	B1-61	1	and	Thiacloprid
B1-26	1	and	Ethiprole	B1-62	1	and	Thiamethoxam
B1-27	1	and	Fenothiocarb	B1-63	1	and	Thiodicarb
B1-28	1	and	Fenoxycarb	B1-64	1	and	Thiosultap-sodium
B1-29	1	and	Fenvalerate	B1-65	1	and	Tolfenpyrad
B1-30	1	and	Fipronil	B1-66	1	and	Tralomethrin

Mixture No.	Cmpd. No.	and	Invertebrate Pest Control Agent
B1-31	1	and	Flonicamid
B1-32	1	and	Flubendiamide
B1-33	1	and	Flufenoxuron
B1-34	1	and	Hexaflumuron
B1-35	1	and	Hydramethylnon

Mixture No.	Cmpd. No.	and	Invertebrate Pest Control Agent
B1-67	1	and	Triazamate
B1-68	1	and	Triflumuron
B1-69	1	and	*Bacillus thuringiensis*
B1-70	1	and	*Bacillus thuringiensis* delta-endotoxin
B1-71	1	and	NPV (e.g., Gemstar)

Table B2

Table B2 is identical to Table B1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 18. For example, the first mixture in Table B2 is designated B2-1 and is a mixture of compound 18 and the additional invertebrate pest control agent abamectin.

Table B3

Table B3 is identical to Table B1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 19. For example, the first mixture in Table B3 is designated B3-1 and is a mixture of compound 19 and the additional invertebrate pest control agent abamectin.

Table B4

Table B4 is identical to Table B1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 20. For example, the first mixture in Table B4 is designated B4-1 and is a mixture of compound 20 and the additional invertebrate pest control agent abamectin.

Table B5

Table B5 is identical to Table B1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 21. For example, the first mixture in Table B5 is designated B5-1 and is a mixture of compound 21 and the additional invertebrate pest control agent abamectin.

Table B6

Table B6 is identical to Table B1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 23. For example, the first mixture in Table B6 is designated B6-1 and is a mixture of compound 23 and the additional invertebrate pest control agent abamectin.

Table B7

Table B7 is identical to Table B1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 26. For example, the first

mixture in Table B7 is designated B7-1 and is a mixture of compound 26 and the additional invertebrate pest control agent abamectin.

Table B8

Table B8 is identical to Table B1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 29. For example, the first mixture in Table B8 is designated B8-1 and is a mixture of compound 29 and the additional invertebrate pest control agent abamectin.

Table B9

Table B9 is identical to Table B1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 30. For example, the first mixture in Table B9 is designated B9-1 and is a mixture of compound 30 and the additional invertebrate pest control agent abamectin.

Table B10

Table B10 is identical to Table B1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 33. For example, the first mixture in Table B10 is designated B10-1 and is a mixture of compound 33 and the additional invertebrate pest control agent abamectin.

Table B11

Table B11 is identical to Table B1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 36. For example, the first mixture in Table B11 is designated B11-1 and is a mixture of compound 36 and the additional invertebrate pest control agent abamectin.

Table B12

Table B12 is identical to Table B1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 37. For example, the first mixture in Table B12 is designated B12-1 and is a mixture of compound 37 and the additional invertebrate pest control agent abamectin.

Table B13

Table B13 is identical to Table B1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 40. For example, the first mixture in Table B13 is designated B13-1 and is a mixture of compound 40 and the additional invertebrate pest control agent abamectin.

Table B14

Table B14 is identical to Table B1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 44. For example, the first

mixture in Table B14 is designated B14-1 and is a mixture of compound 44 and the additional invertebrate pest control agent abamectin.

Table B15

Table B15 is identical to Table B1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 47. For example, the first mixture in Table B15 is designated B15-1 and is a mixture of compound 47 and the additional invertebrate pest control agent abamectin.

Table B16

Table B16 is identical to Table B1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 49. For example, the first mixture in Table B16 is designated B16-1 and is a mixture of compound 49 and the additional invertebrate pest control agent abamectin.

Table B17

Table B17 is identical to Table B1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 50. For example, the first mixture in Table B17 is designated B17-1 and is a mixture of compound 50 and the additional invertebrate pest control agent abamectin.

Table B18

Table B18 is identical to Table B1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 51. For example, the first mixture in Table B18 is designated B18-1 and is a mixture of compound 51 and the additional invertebrate pest control agent abamectin.

Table B19

Table B19 is identical to Table B1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 52. For example, the first mixture in Table B19 is designated B2-1 and is a mixture of compound 52 and the additional invertebrate pest control agent abamectin.

Table B20

Table B20 is identical to Table B1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 53. For example, the first mixture in Table B20 is designated B20-1 and is a mixture of compound 53 and the additional invertebrate pest control agent abamectin.

Table B21

Table B21 is identical to Table B1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 56. For example, the first

mixture in Table B21 is designated B21-1 and is a mixture of compound 56 and the additional invertebrate pest control agent abamectin.

Table B22

Table B22 is identical to Table B1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 57. For example, the first mixture in Table B22 is designated B22-1 and is a mixture of compound 57 and the additional invertebrate pest control agent abamectin.

Table B23

Table B23 is identical to Table B1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 58. For example, the first mixture in Table B23 is designated B23-1 and is a mixture of compound 58 and the additional invertebrate pest control agent abamectin.

Table B24

Table B24 is identical to Table B1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 59. For example, the first mixture in Table B24 is designated B24-1 and is a mixture of compound 59 and the additional invertebrate pest control agent abamectin.

Table B25

Table B25 is identical to Table B1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 60. For example, the first mixture in Table B25 is designated B25-1 and is a mixture of compound 60 and the additional invertebrate pest control agent abamectin.

Table B26

Table B26 is identical to Table B1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 62. For example, the first mixture in Table B26 is designated B26-1 and is a mixture of compound 62 and the additional invertebrate pest control agent abamectin.

Table B27

Table B27 is identical to Table B1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 63. For example, the first mixture in Table B27 is designated B27-1 and is a mixture of compound 63 and the additional invertebrate pest control agent abamectin.

Table B28

Table B28 is identical to Table B1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 65. For example, the first

mixture in Table B28 is designated B28-1 and is a mixture of compound 65 and the additional invertebrate pest control agent abamectin.

Table B29

Table B29 is identical to Table B1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 71. For example, the first mixture in Table B29 is designated B29-1 and is a mixture of compound 71 and the additional invertebrate pest control agent abamectin.

Table B30

Table B30 is identical to Table B1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 74. For example, the first mixture in Table B30 is designated B30-1 and is a mixture of compound 74 and the additional invertebrate pest control agent abamectin.

Table B31

Table B31 is identical to Table B1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 77. For example, the first mixture in Table B31 is designated B31-1 and is a mixture of compound 77 and the additional invertebrate pest control agent abamectin.

Table B32

Table B32 is identical to Table B1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 79. For example, the first mixture in Table B32 is designated B32-1 and is a mixture of compound 79 and the additional invertebrate pest control agent abamectin.

Table B33

Table B33 is identical to Table B1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 80. For example, the first mixture in Table B33 is designated B33-1 and is a mixture of compound 80 and the additional invertebrate pest control agent abamectin.

Table B34

Table B34 is identical to Table B1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 81. For example, the first mixture in Table B34 is designated B34-1 and is a mixture of compound 81 and the additional invertebrate pest control agent abamectin.

Table B35

Table B35 is identical to Table B1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 82. For example, the first

mixture in Table B35 is designated B35-1 and is a mixture of compound 82 and the additional invertebrate pest control agent abamectin.

Table B36

Table B36 is identical to Table B1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 83. For example, the first mixture in Table B36 is designated B36-1 and is a mixture of compound 83 and the additional invertebrate pest control agent abamectin.

Table B37

Table B37 is identical to Table B1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 84. For example, the first mixture in Table B37 is designated B37-1 and is a mixture of compound 84 and the additional invertebrate pest control agent abamectin.

Table B38

Table B38 is identical to Table B1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 85. For example, the first mixture in Table B38 is designated B38-1 and is a mixture of compound 85 and the additional invertebrate pest control agent abamectin.

Table B39

Table B39 is identical to Table B1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 86. For example, the first mixture in Table B39 is designated B39-1 and is a mixture of compound 86 and the additional invertebrate pest control agent abamectin.

Table B40

Table B40 is identical to Table B1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 87. For example, the first mixture in Table B40 is designated B40-1 and is a mixture of compound 87 and the additional invertebrate pest control agent abamectin.

Table B41

Table B41 is identical to Table B1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 89. For example, the first mixture in Table B41 is designated B41-1 and is a mixture of compound 89 and the additional invertebrate pest control agent abamectin.

Table B42

Table B42 is identical to Table B1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 99. For example, the first

mixture in Table B42 is designated B42-1 and is a mixture of compound 99 and the additional invertebrate pest control agent abamectin.

Table B43

Table B43 is identical to Table B1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 102. For example, the first mixture in Table B43 is designated B43-1 and is a mixture of compound 102 and the additional invertebrate pest control agent abamectin.

Table B44

Table B44 is identical to Table B1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 113. For example, the first mixture in Table B44 is designated B44-1 and is a mixture of compound 113 and the additional invertebrate pest control agent abamectin.

Table B45

Table B45 is identical to Table B1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 118. For example, the first mixture in Table B45 is designated B45-1 and is a mixture of compound 118 and the additional invertebrate pest control agent abamectin.

Table B46

Table B46 is identical to Table B1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 125. For example, the first mixture in Table B46 is designated B46-1 and is a mixture of compound 125 and the additional invertebrate pest control agent abamectin.

Table B47

Table B47 is identical to Table B1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 137. For example, the first mixture in Table B47 is designated B47-1 and is a mixture of compound 137 and the additional invertebrate pest control agent abamectin.

Table B48

Table B43 is identical to Table B1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 183. For example, the first mixture in Table B48 is designated B48-1 and is a mixture of compound 183 and the additional invertebrate pest control agent abamectin.

Table B49

Table B49 is identical to Table B1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 190. For example, the

first mixture in Table B49 is designated B49-1 and is a mixture of compound 190 and the additional invertebrate pest control agent abamectin.

Table B50

Table B50 is identical to Table B1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 191. For example, the first mixture in Table B50 is designated B50-1 and is a mixture of compound 191 and the additional invertebrate pest control agent abamectin.

Table B51

Table B51 is identical to Table B1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 196. For example, the first mixture in Table B51 is designated B51-1 and is a mixture of compound 196 and the additional invertebrate pest control agent abamectin.

Table B52

Table B52 is identical to Table B1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 229. For example, the first mixture in Table B52 is designated B52-1 and is a mixture of compound 229 and the additional invertebrate pest control agent abamectin.

Table B53

Table B53 is identical to Table B1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 231. For example, the first mixture in Table B53 is designated B53-1 and is a mixture of compound 231 and the additional invertebrate pest control agent abamectin.

Table B54

Table B54 is identical to Table B1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 254. For example, the first mixture in Table B54 is designated B54-1 and is a mixture of compound 254 and the additional invertebrate pest control agent abamectin.

Table B55

Table B55 is identical to Table B1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 257. For example, the first mixture in Table B55 is designated B55-1 and is a mixture of compound 257 and the additional invertebrate pest control agent abamectin.

Table B56

Table B56 is identical to Table B1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 272. For example, the

first mixture in Table B56 is designated B56-1 and is a mixture of compound 272 and the additional invertebrate pest control agent abamectin.

Table B57

Table B57 is identical to Table B1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 289. For example, the first mixture in Table B57 is designated B57-1 and is a mixture of compound 289 and the additional invertebrate pest control agent abamectin.

Table B58

Table B58 is identical to Table B1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 296. For example, the first mixture in Table B58 is designated B58-1 and is a mixture of compound 296 and the additional invertebrate pest control agent abamectin.

Table B59

Table B59 is identical to Table B1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 299. For example, the first mixture in Table B59 is designated B59-1 and is a mixture of compound 299 and the additional invertebrate pest control agent abamectin.

Table B60

Table B60 is identical to Table B1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 307. For example, the first mixture in Table B60 is designated B60-1 and is a mixture of compound 307 and the additional invertebrate pest control agent abamectin.

Table B61

Table B61 is identical to Table B1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 308. For example, the first mixture in Table B61 is designated B61-1 and is a mixture of compound 308 and the additional invertebrate pest control agent abamectin.

Table B62

Table B62 is identical to Table B1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 315. For example, the first mixture in Table B62 is designated B62-1 and is a mixture of compound 315 and the additional invertebrate pest control agent abamectin.

Table B63

Table B63 is identical to Table B1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 343. For example, the

first mixture in Table B63 is designated B63-1 and is a mixture of compound 343 and the additional invertebrate pest control agent abamectin.

Table B64

Table B64 is identical to Table B1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 344. For example, the first mixture in Table B64 is designated B64-1 and is a mixture of compound 344 and the additional invertebrate pest control agent abamectin.

Table B65

Table B65 is identical to Table B1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 352. For example, the first mixture in Table B65 is designated B65-1 and is a mixture of compound 352 and the additional invertebrate pest control agent abamectin.

Table B66

Table B66 is identical to Table B1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 363. For example, the first mixture in Table B66 is designated B66-1 and is a mixture of compound 363 and the additional invertebrate pest control agent abamectin.

Table B67

Table B67 is identical to Table B1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 364. For example, the first mixture in Table B67 is designated B67-1 and is a mixture of compound 364 and the additional invertebrate pest control agent abamectin.

Table B68

Table B68 is identical to Table B1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 368. For example, the first mixture in Table B68 is designated B68-1 and is a mixture of compound 368 and the additional invertebrate pest control agent abamectin.

Table B69

Table B69 is identical to Table B1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 381. For example, the first mixture in Table B69 is designated B69-1 and is a mixture of compound 381 and the additional invertebrate pest control agent abamectin.

Table B70

Table B70 is identical to Table B1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 385. For example, the

first mixture in Table B70 is designated B70-1 and is a mixture of compound 385 and the additional invertebrate pest control agent abamectin.

Table B71

Table B71 is identical to Table B1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 421. For example, the first mixture in Table B71 is designated B71-1 and is a mixture of compound 421 and the additional invertebrate pest control agent abamectin.

Table B72

Table B72 is identical to Table B1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 433. For example, the first mixture in Table B72 is designated B72-1 and is a mixture of compound 433 and the additional invertebrate pest control agent abamectin.

Table B73

Table B73 is identical to Table B1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 435. For example, the first mixture in Table B73 is designated B73-1 and is a mixture of compound 435 and the additional invertebrate pest control agent abamectin.

Table B74

Table B74 is identical to Table B1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 448. For example, the first mixture in Table B74 is designated B74-1 and is a mixture of compound 448 and the additional invertebrate pest control agent abamectin.

Table B75

Table B75 is identical to Table B1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 449. For example, the first mixture in Table B75 is designated B75-1 and is a mixture of compound 449 and the additional invertebrate pest control agent abamectin.

Table B76

Table B76 is identical to Table B1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 450. For example, the first mixture in Table B76 is designated B76-1 and is a mixture of compound 450 and the additional invertebrate pest control agent abamectin.

Table B77

Table B77 is identical to Table B1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 451. For example, the

first mixture in Table B77 is designated B77-1 and is a mixture of compound 451 and the additional invertebrate pest control agent abamectin.

Table B78

Table B78 is identical to Table B1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 462. For example, the first mixture in Table B78 is designated B78-1 and is a mixture of compound 462 and the additional invertebrate pest control agent abamectin.

Table B79

Table B79 is identical to Table B1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 482. For example, the first mixture in Table B79 is designated B79-1 and is a mixture of compound 482 and the additional invertebrate pest control agent abamectin.

Table B80

Table B80 is identical to Table B1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 490. For example, the first mixture in Table B80 is designated B80-1 and is a mixture of compound 490 and the additional invertebrate pest control agent abamectin.

Table B81

Table B81 is identical to Table B1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 493. For example, the first mixture in Table B81 is designated B81-1 and is a mixture of compound 493 and the additional invertebrate pest control agent abamectin.

The specific mixtures listed in Tables B1 to B81 typically combine a compound of Formula 1 with the other invertebrate pest agent in the ratios specified in Table A.

Listed below in Tables C1 to C81 are embodiments of specific compositions comprising a compound of Formula 1 (compound numbers (Cmpd. No.) refer to compounds in Index Tables A–E) and an additional fungicide.

Table C1

Mixture No.	Cmpd. No.	and	Fungicide	Mixture No.	Cmpd. No.	and	Fungicide
C1-1	1	and	Probenazole	C1-17	1	and	Difenoconazole
C1-2	1	and	Tiadinil	C1-18	1	and	Cyproconazole
C1-3	1	and	Isotianil	C1-19	1	and	Propiconazole
C1-4	1	and	Pyroquilon	C1-20	1	and	Fenoxanil

Mixture No.	Cmpd. No.	and	Fungicide
C1-5	1	and	Metominostrobin
C1-6	1	and	Flutolanil
C1-7	1	and	Validamycin
C1-8	1	and	Furametpyr
C1-9	1	and	Pencycuron
C1-10	1	and	Simeconazole
C1-11	1	and	Orysastrobin
C1-12	1	and	Trifloxystrobin
C1-13	1	and	Isoprothiolane
C1-14	1	and	Azoxystrobin
C1-15	1	and	Tricyclazole
C1-16	1	and	Hexaconazole

Mixture No.	Cmpd. No.	and	Fungicide
C1-21	1	and	Ferimzone
C1-22	1	and	Fthalide
C1-23	1	and	Kasugamycin
C1-24	1	and	Picoxystrobin
C1-25	1	and	Penthiopyrad
C1-26	1	and	Famoxadone
C1-27	1	and	Cymoxanil
C1-28	1	and	Proquinazid
C1-29	1	and	Flusilazole
C1-30	1	and	Mancozeb
C1-31	1	and	Copper hydroxide
C1-32	1	and	(a)

(a) 1-[4-[4-[5-(2,6-difluorophenyl)-4,5-dihydro-3-isoxazolyl]-2-thiazolyl]-1-piperidinyl]-2-[5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]ethanone

Table C2

Table C2 is identical to Table C1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 18. For example, the first mixture in Table C2 is designated C2-1 and is a mixture of compound 18 and the additional fungicide probenazole.

Table C3

Table C3 is identical to Table C1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 19. For example, the first mixture in Table C3 is designated C3-1 and is a mixture of compound 19 and the additional fungicide probenazole.

Table C4

Table C4 is identical to Table C1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 20. For example, the first mixture in Table C4 is designated C4-1 and is a mixture of compound 20 and the additional fungicide probenazole.

Table C5

Table C5 is identical to Table C1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 21. For example, the first mixture in Table C5 is designated C5-1 and is a mixture of compound 21 and the additional fungicide probenazole.

Table C6

Table C6 is identical to Table C1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 23. For example, the first mixture in Table C6 is designated C6-1 and is a mixture of compound 23 and the additional fungicide probenazole.

Table C7

Table C7 is identical to Table C1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 26. For example, the first mixture in Table C7 is designated C7-1 and is a mixture of compound 26 and the additional fungicide probenazole.

Table C8

Table C8 is identical to Table C1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 29. For example, the first mixture in Table C8 is designated C8-1 and is a mixture of compound 29 and the additional fungicide probenazole.

Table C9

Table C9 is identical to Table C1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 30. For example, the first mixture in Table C9 is designated C9-1 and is a mixture of compound 30 and the additional fungicide probenazole.

Table C10

Table C10 is identical to Table C1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 33. For example, the first mixture in Table C10 is designated C10-1 and is a mixture of compound 33 and the additional fungicide probenazole.

Table C11

Table C11 is identical to Table C1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 36. For example, the first mixture in Table C11 is designated C11-1 and is a mixture of compound 36 and the additional fungicide probenazole.

Table C12

Table C12 is identical to Table C1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 37. For example, the first mixture in Table C12 is designated C12-1 and is a mixture of compound 37 and the additional fungicide probenazole.

Table C13

Table C13 is identical to Table C1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 40. For example, the first mixture in Table C13 is designated C13-1 and is a mixture of compound 40 and the additional fungicide probenazole.

Table C14

Table C14 is identical to Table C1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 44. For example, the first mixture in Table C14 is designated C14-1 and is a mixture of compound 44 and the additional fungicide probenazole.

Table C15

Table C15 is identical to Table C1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 47. For example, the first mixture in Table C15 is designated C15-1 and is a mixture of compound 47 and the additional fungicide probenazole.

Table C16

Table C16 is identical to Table C1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 49. For example, the first mixture in Table C16 is designated C16-1 and is a mixture of compound 49 and the additional fungicide probenazole.

Table C17

Table C17 is identical to Table C1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 50. For example, the first mixture in Table C17 is designated C17-1 and is a mixture of compound 50 and the additional fungicide probenazole.

Table C18

Table C18 is identical to Table C1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 51. For example, the first mixture in Table C18 is designated C18-1 and is a mixture of compound 51 and the additional fungicide probenazole.

Table C19

Table C19 is identical to Table C1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 52. For example, the first mixture in Table C19 is designated C19-1 and is a mixture of compound 52 and the additional fungicide probenazole.

Table C20

Table C20 is identical to Table C1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 53. For example, the first mixture in Table C20 is designated C20-1 and is a mixture of compound 53 and the additional fungicide probenazole.

Table C21

Table C21 is identical to Table C1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 56. For example, the first mixture in Table C21 is designated C21-1 and is a mixture of compound 56 and the additional fungicide probenazole.

Table C22

Table C22 is identical to Table C1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 57. For example, the first mixture in Table C22 is designated C22-1 and is a mixture of compound 57 and the additional fungicide probenazole.

Table C23

Table C23 is identical to Table C1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 58. For example, the first mixture in Table C23 is designated C23-1 and is a mixture of compound 58 and the additional fungicide probenazole.

Table C24

Table C24 is identical to Table C1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 59. For example, the first mixture in Table C24 is designated C24-1 and is a mixture of compound 59 and the additional fungicide probenazole.

Table C25

Table C25 is identical to Table C1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 60. For example, the first mixture in Table C25 is designated C25-1 and is a mixture of compound 60 and the additional fungicide probenazole.

Table C26

Table C26 is identical to Table C1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 62. For example, the first mixture in Table C26 is designated C26-1 and is a mixture of compound 62 and the additional fungicide probenazole.

Table C27

Table C27 is identical to Table C1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 63. For example, the first mixture in Table C27 is designated C27-1 and is a mixture of compound 63 and the additional fungicide probenazole.

Table C28

Table C28 is identical to Table C1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 65. For example, the first mixture in Table C28 is designated C28-1 and is a mixture of compound 65 and the additional fungicide probenazole.

Table C29

Table C29 is identical to Table C1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 71. For example, the first mixture in Table C29 is designated C29-1 and is a mixture of compound 71 and the additional fungicide probenazole.

Table C30

Table C30 is identical to Table C1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 74. For example, the first mixture in Table C30 is designated C30-1 and is a mixture of compound 74 and the additional fungicide probenazole.

Table C31

Table C31 is identical to Table C1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 77. For example, the first mixture in Table C31 is designated C31-1 and is a mixture of compound 77 and the additional fungicide probenazole.

Table C32

Table C32 is identical to Table C1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 79. For example, the first mixture in Table C32 is designated C32-1 and is a mixture of compound 79 and the additional fungicide probenazole.

Table C33

Table C33 is identical to Table C1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 80. For example, the first mixture in Table C33 is designated C33-1 and is a mixture of compound 80 and the additional fungicide probenazole.

Table C34

Table C34 is identical to Table C1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 81. For example, the first mixture in Table C34 is designated C34-1 and is a mixture of compound 81 and the additional fungicide probenazole.

Table C35

Table C35 is identical to Table C1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 82. For example, the first mixture in Table C35 is designated C35-1 and is a mixture of compound 82 and the additional fungicide probenazole.

Table C36

Table C36 is identical to Table C1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 83. For example, the first mixture in Table C36 is designated C36-1 and is a mixture of compound 83 and the additional fungicide probenazole.

Table C37

Table C37 is identical to Table C1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 84. For example, the first mixture in Table C37 is designated C37-1 and is a mixture of compound 84 and the additional fungicide probenazole.

Table C38

Table C38 is identical to Table C1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 85. For example, the first mixture in Table C38 is designated C38-1 and is a mixture of compound 85 and the additional fungicide probenazole.

Table C39

Table C39 is identical to Table C1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 86. For example, the first mixture in Table C39 is designated C39-1 and is a mixture of compound 86 and the additional fungicide probenazole.

Table C40

Table C40 is identical to Table C1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 87. For example, the first mixture in Table C40 is designated C40-1 and is a mixture of compound 87 and the additional fungicide probenazole.

Table C41

Table C41 is identical to Table C1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 89. For example, the first mixture in Table C41 is designated C41-1 and is a mixture of compound 89 and the additional fungicide probenazole.

Table C42

Table C42 is identical to Table C1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 99. For example, the first mixture in Table C42 is designated C42-1 and is a mixture of compound 99 and the additional fungicide probenazole.

Table C43

Table C43 is identical to Table C1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 102. For example, the first mixture in Table C43 is designated C43-1 and is a mixture of compound 102 and the additional fungicide probenazole.

Table C44

Table C44 is identical to Table C1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 113. For example, the first mixture in Table C44 is designated C44-1 and is a mixture of compound 113 and the additional fungicide probenazole.

Table C45

Table C45 is identical to Table C1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 118. For example, the first mixture in Table C45 is designated C45-1 and is a mixture of compound 118 and the additional fungicide probenazole.

Table C46

Table C46 is identical to Table C1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 125. For example, the first mixture in Table C46 is designated C46-1 and is a mixture of compound 125 and the additional fungicide probenazole.

Table C47

Table C47 is identical to Table C1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 137. For example, the first mixture in Table C47 is designated C47-1 and is a mixture of compound 137 and the additional fungicide probenazole.

Table C48

Table C43 is identical to Table C1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 183. For example, the first mixture in Table C48 is designated C48-1 and is a mixture of compound 183 and the additional fungicide probenazole.

Table C49

Table C49 is identical to Table C1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 190. For example, the first mixture in Table C49 is designated C49-1 and is a mixture of compound 190 and the additional fungicide probenazole.

Table C50

Table C50 is identical to Table C1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 191. For example, the first mixture in Table C50 is designated C50-1 and is a mixture of compound 191 and the additional fungicide probenazole.

Table C51

Table C51 is identical to Table C1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 196. For example, the first mixture in Table C51 is designated C51-1 and is a mixture of compound 196 and the additional fungicide probenazole.

Table C52

Table C52 is identical to Table C1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 229. For example, the first mixture in Table C52 is designated C52-1 and is a mixture of compound 229 and the additional fungicide probenazole.

Table C53

Table C53 is identical to Table C1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 231. For example, the first mixture in Table C53 is designated C53-1 and is a mixture of compound 231 and the additional fungicide probenazole.

Table C54

Table C54 is identical to Table C1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 254. For example, the first mixture in Table C54 is designated C54-1 and is a mixture of compound 254 and the additional fungicide probenazole.

Table C55

Table C55 is identical to Table C1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 257. For example, the first mixture in Table C55 is designated C55-1 and is a mixture of compound 257 and the additional fungicide probenazole.

Table C56

Table C56 is identical to Table C1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 272. For example, the first mixture in Table C56 is designated C56-1 and is a mixture of compound 272 and the additional fungicide probenazole.

Table C57

Table C57 is identical to Table C1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 289. For example, the first mixture in Table C57 is designated C57-1 and is a mixture of compound 289 and the additional fungicide probenazole.

Table C58

Table C58 is identical to Table C1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 296. For example, the first mixture in Table C58 is designated C58-1 and is a mixture of compound 296 and the additional fungicide probenazole.

Table C59

Table C59 is identical to Table C1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 299. For example, the first mixture in Table C59 is designated C59-1 and is a mixture of compound 299 and the additional fungicide probenazole.

Table C60

Table C60 is identical to Table C1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 307. For example, the first mixture in Table C60 is designated C60-1 and is a mixture of compound 307 and the additional fungicide probenazole.

Table C61

Table C61 is identical to Table C1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 308. For example, the first mixture in Table C61 is designated C61-1 and is a mixture of compound 308 and the additional fungicide probenazole.

Table C62

Table C62 is identical to Table C1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 315. For example, the first mixture in Table C62 is designated C62-1 and is a mixture of compound 315 and the additional fungicide probenazole.

Table C63

Table C63 is identical to Table C1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 343. For example, the first mixture in Table C63 is designated C63-1 and is a mixture of compound 343 and the additional fungicide probenazole.

Table C64

Table C64 is identical to Table C1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 344. For example, the first mixture in Table C64 is designated C64-1 and is a mixture of compound 344 and the additional fungicide probenazole.

Table C65

Table C65 is identical to Table C1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 352. For example, the first mixture in Table C65 is designated C65-1 and is a mixture of compound 352 and the additional fungicide probenazole.

Table C66

Table C66 is identical to Table C1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 363. For example, the first mixture in Table C66 is designated C66-1 and is a mixture of compound 363 and the additional fungicide probenazole.

Table C67

Table C67 is identical to Table C1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 364. For example, the first mixture in Table C67 is designated C67-1 and is a mixture of compound 364 and the additional fungicide probenazole.

Table C68

Table C68 is identical to Table C1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 368. For example, the first mixture in Table C68 is designated C68-1 and is a mixture of compound 368 and the additional fungicide probenazole.

Table C69

Table C69 is identical to Table C1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 381. For example, the first mixture in Table C69 is designated C69-1 and is a mixture of compound 381 and the additional fungicide probenazole.

Table C70

Table C70 is identical to Table C1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 385. For example, the first mixture in Table C70 is designated C70-1 and is a mixture of compound 385 and the additional fungicide probenazole.

Table C71

Table C71 is identical to Table C1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 421. For example, the first mixture in Table C71 is designated C71-1 and is a mixture of compound 421 and the additional fungicide probenazole.

Table C72

Table C72 is identical to Table C1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 433. For example, the first mixture in Table C72 is designated C72-1 and is a mixture of compound 433 and the additional fungicide probenazole.

Table C73

Table C73 is identical to Table C1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 435. For example, the first mixture in Table C73 is designated C73-1 and is a mixture of compound 435 and the additional fungicide probenazole.

Table C74

Table C74 is identical to Table C1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 448. For example, the first mixture in Table C74 is designated C74-1 and is a mixture of compound 448 and the additional fungicide probenazole.

Table C75

Table C75 is identical to Table C1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 449. For example, the first mixture in Table C75 is designated C75-1 and is a mixture of compound 449 and the additional fungicide probenazole.

Table C76

Table C76 is identical to Table C1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 450. For example, the first mixture in Table C76 is designated C76-1 and is a mixture of compound 450 and the additional fungicide probenazole.

Table C77

Table C77 is identical to Table C1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 451. For example, the first mixture in Table C77 is designated C77-1 and is a mixture of compound 451 and the additional fungicide probenazole.

Table C78

Table C78 is identical to Table C1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 462. For example, the first mixture in Table C78 is designated C78-1 and is a mixture of compound 462 and the additional fungicide probenazole.

Table C79

Table C79 is identical to Table C1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 482. For example, the first mixture in Table C79 is designated C79-1 and is a mixture of compound 482 and the additional fungicide probenazole.

Table C80

Table C80 is identical to Table C1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 490. For example, the first mixture in Table C80 is designated C80-1 and is a mixture of compound 490 and the additional fungicide probenazole.

Table C81

Table C81 is identical to Table C1, except that each reference to compound 1 in the column headed "Cmpd. No." is replaced by a reference to compound 493. For example, the first mixture in Table C81 is designated C81-1 and is a mixture of compound 493 and the additional fungicide probenazole.

Invertebrate pests are controlled in agronomic and nonagronomic applications by applying one or more compounds of this invention, typically in the form of a composition, in a biologically effective amount, to the environment of the pests, including the agronomic and/or nonagronomic locus of infestation, to the area to be protected, or directly on the pests to be controlled.

Thus the present invention comprises a method for controlling an invertebrate pest in agronomic and/or nonagronomic applications, comprising contacting the invertebrate pest or its environment with a biologically effective amount of one or more of the compounds of the invention, or with a composition comprising at least one such compound or a composition comprising at least one such compound and a biologically effective amount of at least one additional biologically active compound or agent. Examples of suitable compositions comprising a compound of the invention and a biologically effective amount of at least one additional biologically active compound or agent include granular compositions wherein the additional active compound is present on the same granule as the compound of the invention or on granules separate from those of the compound of the invention.

Embodiments of the method of this invention include contacting the environment. Of note is the method wherein the environment is a plant. Also of note is the method wherein the environment is an animal. Also of note is the method wherein the environment is a seed.

To achieve contact with a compound or composition of the invention to protect a field crop from invertebrate pests, the compound or composition is typically applied to the seed of the crop before planting, to the foliage (e.g., leaves, stems, flowers, fruits) of crop plants, or to the soil or other growth medium before or after the crop is planted.

One embodiment of a method of contact is by spraying. Alternatively, a granular composition comprising a compound of the invention can be applied to the plant foliage or the soil. Compounds of this invention can also be effectively delivered through plant uptake by contacting the plant with a composition comprising a compound of this invention applied as a soil drench of a liquid formulation, a granular formulation to the soil, a nursery box treatment or a dip of transplants. Of note is a composition of the present invention in the form of a soil drench liquid formulation. Also of note is a method for controlling an invertebrate pest comprising contacting the invertebrate pest or its environment with a biologically effective amount of a compound of the present invention or with a composition comprising a biologically effective amount of a compound of the present invention. Of further note is this method wherein the environment is soil and the composition is applied to the soil as a soil drench formulation. Of further note is that compounds of this invention are also effective by localized application to the locus of infestation. Other methods of contact include application of a compound or a composition of the invention by direct and residual sprays, aerial sprays, gels, seed coatings, microencapsulations, systemic uptake, baits, ear tags, boluses, foggers, fumigants, aerosols, dusts and many others. One embodiment of a method of contact is a dimensionally stable fertilizer granule, stick or tablet comprising a compound or composition of the invention. The compounds of this invention can also be impregnated into materials for fabricating invertebrate control devices (e.g., insect netting).

Compounds of this invention are also useful in seed treatments for protecting seeds from invertebrate pests. In the context of the present disclosure and claims, treating a seed

means contacting the seed with a biologically effective amount of a compound of this invention, which is typically formulated as a composition of the invention. This seed treatment protects the seed from invertebrate soil pests and generally can also protect roots and other plant parts in contact with the soil of the seedling developing from the germinating seed. The seed treatment may also provide protection of foliage by translocation of the compound of this invention or a second active ingredient within the developing plant. Seed treatments can be applied to all types of seeds, including those from which plants genetically transformed to express specialized traits will germinate. Representative examples include those expressing proteins toxic to invertebrate pests, such as *Bacillus thuringiensis* toxin or those expressing herbicide resistance such as glyphosate acetyltransferase, which provides resistance to glyphosate.

One method of seed treatment is by spraying or dusting the seed with a compound of the invention (i.e. as a formulated composition) before sowing the seeds. Compositions formulated for seed treatment generally comprise a film former or adhesive agent. Therefore typically a seed coating composition of the present invention comprises a biologically effective amount of a compound of Formula **1**, an *N*-oxide, or salt thereof, and a film former or adhesive agent. Seed can be coated by spraying a flowable suspension concentrate directly into a tumbling bed of seeds and then drying the seeds. Alternatively, other formulation types such as wetted powders, solutions, suspoemulsions, emulsifiable concentrates and emulsions in water can be sprayed on the seed. This process is particularly useful for applying film coatings on seeds. Various coating machines and processes are available to one skilled in the art. Suitable processes include those listed in P. Kosters et al., *Seed Treatment: Progress and Prospects*, 1994 BCPC Mongraph No. 57, and references listed therein.

The treated seed typically comprises a compound of the present invention in an amount from about 0.1 g to 1 kg per 100 kg of seed (i.e. from about 0.0001 to 1% by weight of the seed before treatment). A flowable suspension formulated for seed treatment typically comprises from about 0.5 to about 70% of the active ingredient, from about 0.5 to about 30% of a film-forming adhesive, from about 0.5 to about 20% of a dispersing agent, from 0 to about 5% of a thickener, from 0 to about 5% of a pigment and/or dye, from 0 to about 2% of an antifoaming agent, from 0 to about 1% of a preservative, and from 0 to about 75% of a volatile liquid diluent.

The compounds of this invention can be incorporated into a bait composition that is consumed by an invertebrate pest or used within a device such as a trap, bait station, and the like. Such a bait composition can be in the form of granules which comprise (a) active ingredients, namely a biologically effective amount of a compound of Formula **1** an *N*-oxide, or salt thereof; (b) one or more food materials; optionally (c) an attractant, and optionally (d) one or more humectants. Of note are granules or bait compositions which comprise between

about 0.001-5% active ingredients, about 40-99% food material and/or attractant; and optionally about 0.05-10% humectants, which are effective in controlling soil invertebrate pests at very low application rates, particularly at doses of active ingredient that are lethal by ingestion rather than by direct contact. Some food materials can function both as a food source and an attractant. Food materials include carbohydrates, proteins and lipids. Examples of food materials are vegetable flour, sugar, starches, animal fat, vegetable oil, yeast extracts and milk solids. Examples of attractants are odorants and flavorants, such as fruit or plant extracts, perfume, or other animal or plant component, pheromones or other agents known to attract a target invertebrate pest. Examples of humectants, i.e. moisture retaining agents, are glycols and other polyols, glycerine and sorbitol. Of note is a bait composition (and a method utilizing such a bait composition) used to control at least one invertebrate pest selected from the group consisting of ants, termites and cockroaches. A device for controlling an invertebrate pest can comprise the present bait composition and a housing adapted to receive the bait composition, wherein the housing has at least one opening sized to permit the invertebrate pest to pass through the opening so the invertebrate pest can gain access to the bait composition from a location outside the housing, and wherein the housing is further adapted to be placed in or near a locus of potential or known activity for the invertebrate pest.

The compounds of this invention can be applied without other adjuvants, but most often application will be of a formulation comprising one or more active ingredients with suitable carriers, diluents, and surfactants and possibly in combination with a food depending on the contemplated end use. One method of application involves spraying a water dispersion or refined oil solution of a compound of the present invention. Combinations with spray oils, spray oil concentrations, spreader stickers, adjuvants, other solvents, and synergists such as piperonyl butoxide often enhance compound efficacy. For nonagronomic uses such sprays can be applied from spray containers such as a can, a bottle or other container, either by means of a pump or by releasing it from a pressurized container, e.g., a pressurized aerosol spray can. Such spray compositions can take various forms, for example, sprays, mists, foams, fumes or fog. Such spray compositions thus can further comprise propellants, foaming agents, etc. as needed for application. Of note is a spray composition comprising a biologically effective amount of a compound or a composition of the present invention and a carrier. One embodiment of such a spray composition comprises a biologically effective amount of a compound or a composition of the present invention and a propellant. Representative propellants include, but are not limited to, methane, ethane, propane, butane, isobutane, butene, pentane, isopentane, neopentane, pentene, hydrofluorocarbons, chlorofluorocarbons, dimethyl ether, and mixtures of the foregoing. Of note is a spray composition (and a method utilizing such a spray composition dispensed from a spray container) used to control at least one invertebrate pest selected from the group

consisting of mosquitoes, black flies, stable flies, deer flies, horse flies, wasps, yellow jackets, hornets, ticks, spiders, ants, gnats, and the like, including individually or in combinations.

Nonagronomic uses refer to invertebrate pest control in the areas other than fields of crop plants. Nonagronomic uses of the present compounds and compositions include control of invertebrate pests in stored grains, beans and other foodstuffs, and in textiles such as clothing and carpets. Nonagronomic uses of the present compounds and compositions also include invertebrate pest control in ornamental plants, forests, in yards, along roadsides and railroad rights of way, and on turf such as lawns, golf courses and pastures. Nonagronomic uses of the present compounds and compositions also include invertebrate pest control in houses and other buildings which may be occupied by humans and/or companion, farm, ranch, zoo or other animals. Nonagronomic uses of the present compounds and compositions also include the control of pests such as termites that can damage wood or other structural materials used in buildings.

Nonagronomic uses of the present compounds and compositions also include protecting human and animal health by controlling invertebrate pests that are parasitic or transmit infectious diseases. The controlling of animal parasites includes controlling external parasites that are parasitic to the surface of the body of the host animal (e.g., shoulders, armpits, abdomen, inner part of the thighs) and internal parasites that are parasitic to the inside of the body of the host animal (e.g., stomach, intestine, lung, veins, under the skin, lymphatic tissue). External parasitic or disease transmitting pests include, for example, chiggers, ticks, lice, mosquitoes, flies, mites and fleas. Internal parasites include heartworms, hookworms and helminths. Compounds and compositions of the present invention are particularly suitable for combating external parasitic or disease transmitting pests. Compounds and compositions of the present invention are suitable for systemic and/or non-systemic control of infestation or infection by parasites on animals.

Compounds and compositions of the present invention are suitable for combating parasites that infest animal subjects including those in the wild, livestock and agricultural working animals such as cattle, sheep, goats, horses, pigs, donkeys, camels, bison, buffalos, rabbits, hens, turkeys, ducks, geese and bees (e.g., raised for meat, milk, butter, eggs, fur, leather, feathers and/or wool). By combating parasites, fatalities and performance reduction (in terms of meat, milk, wool, skins, eggs, honey, etc.) are reduced, so that applying a composition comprising a compound of the present invention allows more economic and simple husbandry of animals.

Compounds and compositions of the present invention are especially suitable for combating parasites that infest companion animals and pets (e.g., dogs, cats, pet birds and aquarium fish), research and experimental animals (e.g., hamsters, guinea pigs, rats and mice), as well as animals raised for/in zoos, wild habitats and/or circuses.

In an embodiment of this invention, the animal is preferably a vertebrate, and more preferably a mammal, avian or fish. In a particular embodiment, the animal subject is a mammal (including great apes, such as humans). Other mammalian subjects include primates (e.g., monkeys), bovine (e.g., cattle or dairy cows), porcine (e.g., hogs or pigs), ovine (e.g., goats or sheep), equine (e.g., horses), canine (e.g., dogs), feline (e.g., house cats), camels, deer, donkeys, bison, buffalos, antelopes, rabbits, and rodents (e.g., guinea pigs, squirrels, rats, mice, gerbils, and hamsters). Avians include Anatidae (swans, ducks and geese), Columbidae (e.g., doves and pigeons), Phasianidae (e.g., partridges, grouse and turkeys), Thesienidae (e.g., domestic chickens), Psittacines (e.g., parakeets, macaws, and parrots), game birds, and ratites (e.g., ostriches).

Birds treated or protected by the inventive compounds can be associated with either commercial or noncommercial aviculture. These include Anatidae, such as swans, geese, and ducks, Columbidae, such as doves and domestic pigeons, Phasianidae, such as partridge, grouse and turkeys, Thesienidae, such as domestic chickens, and Psittacines, such as parakeets, macaws, and parrots raised for the pet or collector market, among others.

For purposes of the present invention, the term "fish" shall be understood to include without limitation, the Teleosti grouping of fish, i.e., teleosts. Both the Salmoniformes order (which includes the Salmonidae family) and the Perciformes order (which includes the Centrarchidae family) are contained within the Teleosti grouping. Examples of potential fish recipients include the Salmonidae, Serranidae, Sparidae, Cichlidae, and Centrarchidae, among others.

Other animals are also contemplated to benefit from the inventive methods, including marsupials (such as kangaroos), reptiles (such as farmed turtles), and other economically important domestic animals for which the inventive methods are safe and effective in treating or preventing parasite infection or infestation.

Examples of invertebrate parasitic pests controlled by administering a parasiticidally effective amount of a compound of this invention to an animal to be protected include ectoparasites (arthropods, acarines, etc) and endoparasites (helminths, e.g., nematodes, trematodes, cestodes, acanthocephalans, etc.).

The disease or group of diseases described generally as helminthiasis is due to infection of an animal host with parasitic worms known as helminths. The term 'helminths' is meant to include nematodes, trematodes, cestodes and acanthocephalans. Helminthiasis is a prevalent and serious economic problem with domesticated animals such as swine, sheep, horses, cattle, goats, dogs, cats and poultry.

Among the Helminths, the group of worms described as nematodes causes widespread and at times serious infection in various species of animals. Nematodes that are contemplated to be treated by the compounds of this invention and by the inventive methods include, without limitation, the following genera: *Acanthocheilonema*, *Aelurostrongylus*,

Ancylostoma, *Angiostrongylus*, *Ascaridia*, *Ascaris*, *Brugia*, *Bunostomum*, *Capillaria*, *Chabertia*, *Cooperia*, *Crenosoma*, *Dictyocaulus*, *Dioctophyme*, *Dipetalonema*, *Diphyllobothrium*, *Dirofilaria*, *Dracunculus*, *Enterobius*, *Filaroides*, *Haemonchus*, *Heterakis*, *Lagochilascaris*, *Loa*, *Mansonella*, *Muellerius*, *Necator*, *Nematodirus*, *Oesophagostomum*, *Ostertagia*, *Oxyuris*, *Parafilaria*, *Parascaris*, *Physaloptera*, *Protostrongylus*, *Setaria*, *Spirocerca*, *Stephanofilaria*, *Strongyloides*, *Strongylus*, *Thelazia*, *Toxascaris*, *Toxocara*, *Trichinella*, *Trichonema*, *Trichostrongylus*, *Trichuris*, *Uncinaria* and *Wuchereria*.

Of the above, the most common genera of nematodes infecting the animals referred to above are *Haemonchus*, *Trichostrongylus*, *Ostertagia*, *Nematodirus*, *Cooperia*, *Ascaris*, *Bunostomum*, *Oesophagostomum*, *Chabertia*, *Trichuris*, *Strongylus*, *Trichonema*, *Dictyocaulus*, *Capillaria*, *Heterakis*, *Toxocara*, *Ascaridia*, *Oxyuris*, *Ancylostoma*, *Uncinaria*, *Toxascaris* and *Parascaris*. Certain of these, such as *Nematodirus*, *Cooperia* and *Oesophagostomum* attack primarily the intestinal tract while others, such as *Haemonchus* and *Ostertagia*, are more prevalent in the stomach while others such as *Dictyocaulus* are found in the lungs. Still other parasites may be located in other tissues such as the heart and blood vessels, subcutaneous and lymphatic tissue and the like.

Trematodes that are contemplated to be treated by the compounds of this invention and by the inventive methods include, without limitation, the following genera: *Alaria*, *Fasciola*, *Nanophyetus*, *Opisthorchis*, *Paragonimus* and *Schistosoma*.

Cestodes that are contemplated to be treated by the compounds of this invention and by the inventive methods include, without limitation, the following genera: *Diphyllobothrium*, *Diplydium*, *Spirometra* and *Taenia*.

The most common genera of parasites of the gastrointestinal tract of humans are *Ancylostoma*, *Necator*, *Ascaris*, *Strongyloides*, *Trichinella*, *Capillaria*, *Trichuris* and *Enterobius*. Other medically important genera of parasites which are found in the blood or other tissues and organs outside the gastrointestinal tract are the filarial worms such as *Wuchereria*, *Brugia*, *Onchocerca* and *Loa*, as well as *Dracunculus* and extra intestinal stages of the intestinal worms *Strongyloides* and *Trichinella*.

Numerous other Helminth genera and species are known to the art, and are also contemplated to be treated by the compounds of the invention. These are enumerated in great detail in *Textbook of Veterinary Clinical Parasitology*, *Volume 1*, *Helminths*, E. J. L. Soulsby, F. A. Davis Co., Philadelphia, Pa.; *Helminths, Arthropods and Protozoa*, (*6th Edition of Monnig's Veterinary Helminthology and Entomology*), E. J. L. Soulsby, The Williams and Wilkins Co., Baltimore, Md.

It is also contemplated that the inventive compounds are effective against a number of ectoparasites of animals, e.g., arthropod ectoparasites of mammals and birds although it is also recognized that some arthropods can be endoparasites as well.

Thus, insect and acarine pests include, e.g., biting insects, such as flies and mosquitoes, mites, ticks, lice, fleas, true bugs, parasitic maggots, and the like.

Adult flies include, e.g., the horn fly or *Haematobia irritans*, the horse fly or *Tabanus* spp., the stable fly or *Stomoxys calcitrans*, the black fly or *Simulium* spp., the deer fly or *Chrysops* spp., the louse fly or *Melophagus ovinus*, the tsetse fly or *Glossina* spp. Parasitic fly maggots include, e.g., the bot fly (*Oestrus ovis* and *Cuterebra* spp.), the blow fly or *Phaenicia* spp., the screwworm or *Cochliomyia hominivorax*, the cattle grub or *Hypoderma* spp., the fleeceworm and the *Gastrophilus* of horses. Mosquitoes include, for example, *Culex* spp., *Anopheles* spp., and *Aedes* spp.

Mites include Mesostigmata spp. e.g., mesostigmatids such as the chicken mite, *Dermanyssus gallinae*; itch or scab mites such as Sarcoptidae spp. for example, *Sarcoptes scabiei*; mange mites such as Psoroptidae spp. including *Chorioptes bovis* and *Psoroptes ovis*; chiggers e.g., Trombiculidae spp. for example the North American chigger, *Trombicula alfreddugesi*.

Ticks include, e.g., soft-bodied ticks including Argasidae spp. for example *Argas* spp. and *Ornithodoros* spp.; hard-bodied ticks including Ixodidae spp., for example *Rhipicephalus sanguineus*, *Dermacentor variabilis*, *Dermacentor andersoni*, *Amblyomma americanum*, *Ixodes scapularis* and *Boophilus* spp.

Lice include, e.g., sucking lice, e.g., *Menopon* spp. and *Bovicola* spp.; biting lice, e.g., *Haematopinus* spp., *Linognathus* spp. and *Solenopotes* spp.

Fleas include, e.g., *Ctenocephalides* spp., such as dog flea (*Ctenocephalides canis*) and cat flea (*Ctenocephalides felis*); *Xenopsylla* spp. such as oriental rat flea (*Xenopsylla cheopis*); and *Pulex* spp. such as human flea (*Pulex irritans*).

True bugs include, e.g., *Cimicidae* or e.g., the common bed bug (*Cimex lectularius*); Triatominae spp. including triatomid bugs also known as kissing bugs; for example *Rhodnius prolixus* and *Triatoma* spp.

Generally, flies, fleas, lice, mosquitoes, gnats, mites, ticks and helminths cause tremendous losses to the livestock and companion animal sectors. Arthropod parasites also are a nuisance to humans and can vector disease-causing organisms in humans and animals.

Numerous other arthropod pests and ectoparasites are known to the art, and are also contemplated to be treated by the compounds of the invention. These are enumerated in great detail in *Medical and Veterinary Entomology*, D. S. Kettle, John Wiley & Sons, New York and Toronto; *Control of Arthropod Pests of Livestock: A Review of Technology*, R. O. Drummand, J. E. George, and S. E. Kunz, CRC Press, Boca Raton, Fla.

The compounds and compositions of this invention may also be effective against a number of protozoa endoparasites of animals, such as those summarized by Table 1, as follows.

Table 1			
Exemplary Parasitic Protozoa and Associated Human Diseases			
Phylum	**Subphylum**	**Representative Genera**	**Human Disease or Disorder**
Sarcomastigophora (with flagella, pseudopodia, or both)	Mastigophora (Flagella)	*Leishmania*	Visceral, cutaneous and mucocutaneous Infection
		Trypansoma	Sleeping sickness
			Chagas' disease
		Giardia	Diarrhea
		Trichomonas	Vaginitis
	Sarcodina (pseudopodia)	*Entamoeba*	Dysentery, liver Abscess
		Dientamoeba	Colitis
		Naegleria and Acanthamoeba	Central nervous system and corneal ulcers
		Babesia	Babesiesis
Apicomplexa (apical complex)		*Plasmodium*	Malaria
		Isospora	Diarrhea
		Sarcocystis	Diarrhea
		Cryptosporidum	Diarrhea
		Toxoplasma	Toxoplasmosis
		Eimeria	Chicken coccidiosis
Microspora		*Enterocytozoon*	Diarrhea
Ciliaphora (with cilia)		*Balantidium*	Dysentery
Unclassified		*Pneumocystis*	Pneumonia

In particular, the compounds of this invention are effective against ectoparasites including fleas such as *Ctenocephalides felis* (cat flea) and *Ctenocephalides canis* (dog flea).

The compounds of this invention may also be effective against other ectoparasites including flies such as *Haematobia (Lyperosia) irritans* (horn fly), *Stomoxys calcitrans* (stable fly), *Simulium* spp. (blackfly), *Glossina* spp. (tsetse flies), *Hydrotaea irritans* (head fly), *Musca autumnalis* (face fly), *Musca domestica* (house fly), *Morellia simplex* (sweat fly), *Tabanus* spp. (horse fly), *Hypoderma bovis*, *Hypoderma lineatum*, *Lucilia sericata*,

Lucilia cuprina (green blowfly), *Calliphora* spp. (blowfly), *Protophormia* spp., *Oestrus ovis* (nasal botfly), *Culicoides* spp. (midges), *Hippobosca equine*, *Gastrophilus instestinalis*, *Gastrophilus haemorrhoidalis* and *Gastrophilus naslis*; lice such as *Bovicola (Damalinia) bovis*, *Bovicola equi*, *Haematopinus asini*, *Felicola subrostratus*, *Heterodoxus spiniger*, *Lignonathus setosus* and *Trichodectes canis*; keds such as *Melophagus ovinus*; mites such as *Psoroptes* spp., *Sarcoptes scabei*, *Chorioptes bovis*, *Demodex equi*, *Cheyletiella* spp., *Notoedres cati*, *Trombicula* spp. and *Otodectes cyanotis* (ear mites); and ticks such as *Ixodes* spp., *Boophilus* spp., *Rhipicephalus* spp., *Amblyomma* spp., *Dermacentor* spp., *Hyalomma* spp. and *Haemaphysalis* spp.

Biologically active compounds or agents useful in the compositions of the present invention include the organophosphate pesticides. This class of pesticides has very broad activity as insecticides and, in certain instances, anthelminitic activity. Organophosphate pesticides include, e.g., dicrotophos, terbufos, dimethoate, diazinon, disulfoton, trichlorfon, azinphos-methyl, chlorpyrifos, malathion, oxydemeton-methyl, methamidophos, acephate, ethyl parathion, methyl parathion, mevinphos, phorate, carbofenthion and phosalone. It is also contemplated to include combinations of the inventive methods and compounds with carbamate type pesticides, including, e.g., carbaryl, carbofuran, aldicarb, molinate, methomyl, carbofuran, etc., as well as combinations with the organochlorine type pesticides. It is further contemplated to include combinations with biological pesticides, including repellents, the pyrethrins (as well as synthetic variations thereof, e.g., allethrin, resmethrin, permethrin, tralomethrin), and nicotine, that is often employed as an acaricide. Other contemplated combinations are with miscellaneous pesticides including: bacillus thuringensis, chlorobenzilate, formamidines (e.g., amitraz), copper compounds (e.g., copper hydroxide and cupric oxychloride sulfate), cyfluthrin, cypermethrin, dicofol, endosulfan, esenfenvalerate, fenvalerate, lambda-cyhalothrin, methoxychlor and sulfur.

Of note are additional biologically active compounds or agents selected from art-known anthelmintics, such as, for example, avermectins (e.g., ivermectin, moxidectin, milbemycin), benzimidazoles (e.g., albendazole, triclabendazole), salicylanilides (e.g., closantel, oxyclozanide), substituted phenols (e.g., nitroxynil), pyrimidines (e.g., pyrantel), imidazothiazoles (e.g., levamisole) and praziquantel.

Other biologically active compounds or agents useful in the compositions of the present invention can be selected from Insect Growth Regulators (IGRs) and Juvenile Hormone Analogues (JHAs) such as diflubenzuron, triflumuron, fluazuron, cyromazine, methoprene, etc., thereby providing both initial and sustained control of parasites (at all stages of insect development, including eggs) on the animal subject, as well as within the environment of the animal subject.

Of note are biologically active compounds or agents useful in the compositions of the present invention selected from the antiparasitic class of avermectin compounds. As stated

above, the avermectin family of compounds is a series of very potent antiparasitic agents known to be useful against a broad spectrum of endoparasites and ectoparasites in mammals.

A notable compound for use within the scope of the present invention is ivermectin. Ivermectin is a semi-synthetic derivative of avermectin and is generally produced as a mixture of at least 80% 22,23-dihydroavermectin B_{1a} and less than 20% 22,23-dihydroavermectin B_{1b}. Ivermectin is disclosed in U.S. 4,199,569.

Abamectin is an avermectin that is disclosed as Avermectin B_{1a}/B_{1b} in U.S. 4,310,519. Abamectin contains at least 80% of avermectin B_{1a} and not more than 20% of avermectin B_{1b}.

Another notable avermectin is Doramectin, also known as 25-cyclohexyl-avermectin B_1. The structure and preparation of Doramectin is disclosed in U.S. 5,089,480.

Another notable avermectin is Moxidectin. Moxidectin, also known as LL-F28249 alpha, is known from U.S. 4,916,154.

Another notable avermectin is Selamectin. Selamectin is 25-cyclohexyl-25-de(1-methylpropyl)-5-deoxy-22,23-dihydro-5-(hydroxyimino)-avermectin B_1 monosaccharide.

Milbemycin, or B41, is a substance which is isolated from the fermentation broth of a Milbemycin producing strain of Streptomyces. The microorganism, the fermentation conditions and the isolation procedures are more fully described in U.S. 3,950,360 and U.S. 3,984,564.

Emamectin (4"-deoxy-4"-epi-methylaminoavermectin B_1), which can be prepared as described in U.S. 5,288,710 or U.S. 5,399,717, is a mixture of two homologues, 4"-deoxy-4"-epi-methylaminoavermectin B_{1a} and 4"-deoxy-4"-epi-methylaminoavermectin B_{1b}. Preferably, a salt of Emamectin is used. Non-limiting examples of salts of Emamectin which can be used in the present invention include the salts described in U.S. 5,288,710, e.g., salts derived from benzoic acid, substituted benzoic acid, benzenesulfonic acid, citric acid, phosphoric acid, tartaric acid, maleic acid, and the like. Most preferably, the Emamectin salt used in the present invention is Emamectin benzoate.

Eprinomectin is chemically known as 4"-epi-acetylamino-4"-deoxy-avermectin B_1. Eprinomectin was specifically developed to be used in all cattle classes and age groups. It was the first avermectin to show broad-spectrum activity against both endo- and ecto-parasites while also leaving minimal residues in meat and milk. It has the additional advantage of being highly potent when delivered topically.

The composition of the present invention optionally comprises combinations of one or more of the following antiparasite compounds: imidazo[1,2-b]pyridazine compounds as described by U.S. application Ser. No. 11/019,597, filed on Dec. 22, 2004, and published on Aug. 18, 2005 as US 2005-0182059A1; 1-(4-mono and di-halomethylsulphonylphenyl)-2-acylamino-3-fluoropropanol compounds, as described by U.S. application Ser. No. 11/018,156, filed on Dec. 21, 2004, now US Patent 7,361,689; trifluoromethanesulfonanilide

oxime ether derivatives, as described by U.S. application Ser. No. 11/231,423, filed on Sep. 21, 2005, now US Patent 7,312,248; and *n*-[(phenyloxy)phenyl]-1,1,1-trifluoromethanesulfonamide and *n*-[(phenylsulfanyl)phenyl]-1,1,1-trifluoromethanesulfonamide derivatives, as described by U.S. Provisional Application Ser. No. 60/688,898, filed on Jun. 9, 2005, and published as US 2006-0281695A1 on Dec. 14, 2006.

The compositions of the present invention can also further comprise a flukicide. Suitable flukicides include, for example, triclabendazole, fenbendazole, albendazole, Clorsulon and oxibendazole. It will be appreciated that the above combinations can further include combinations of antibiotic, antiparasitic and anti-fluke active compounds.

In addition to the above combinations, it is also contemplated to provide combinations of the inventive methods and compounds, as described herein, with other animal health remedies such as trace elements, anti-inflammatories, anti-infectives, hormones, dermatological preparations, including antiseptics and disinfectants, and immunobiologicals such as vaccines and antisera for the prevention of disease.

For example, such antinfectives include one or more antibiotics that are optionally co-administered during treatment using the inventive compounds or methods, e.g., in a combined composition and/or in separate dosage forms. Art-known antibiotics suitable for this purpose include, for example, those listed herein below.

One useful antibiotic is Florfenicol, also known as D-(threo)-1-(4-methylsulfonylphenyl)-2-dichloroacetamido-3-fluoro-1-propanol. Another notable antibiotic compound is D-(threo)-1-(4-methylsulfonyphenyl)-2-difluoroacetamido-3-fluoro-1-propanol. Another useful antibiotic is Thiamphenicol. Processes for the manufacture of these antibiotic compounds, and intermediates useful in such processes, are described in U.S. 4,311,857; U.S. 4,582,918; U.S. 4,973,750; U.S. 4,876,352; U.S. 5,227,494; U.S. 4,743,700; U.S. 5,567,844; U.S. 5,105,009; U.S. 5,382,673; U.S. 5,352,832; and U.S. 5,663,361. Other florfenicol analogs and/or prodrugs have been disclosed and such analogs also can be used in the compositions and methods of the present invention (see e.g., U.S. Patent Application Publication No: 2004/0082553, now US Patent 7,041,670, and U.S. patent application Ser. No. 11/016,794, now US Patent 7,153,842).

Another useful antibiotic compound is Tilmicosin. Tilmicosin is a macrolide antibiotic that is chemically defined as 20-dihydro-20-deoxy-20-(*cis*-3,5-dimethylpiperidin-1-yl)-desmycosin and which is reportedly disclosed in U.S. 4,820,695.

Another useful antibiotic for use in the present invention is tulathromycin. Tulathromycin is also identified as (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R) 13-[(2,6-dideoxy-3-*C*-methyl-3-*O*-methyl-4-*C*-[(propylamino)methyl]-alpha-L-ribo-hexopyranosyl]-oxy]-2-ethyl-3,4,10-trihydroxy-3,5,8,10,12,14-hexamethyl-11-[[3,4,6-trideoxy-3-(dimethyl-amino)-beta-D-xylo-hexopyranosyl]oxy]-1-oxa-6-azacyclopentadecan-15-one.

Tulathromycin can be prepared in accordance with the procedures set forth in U.S. Patent Publication No. 2003/0064939 A1.

Further antibiotics for use in the present invention include the cephalosporins such as, for example, ceftiofur, cefquinome, etc. The concentration of the cephalosporin in the formulation of the present invention optionally varies between about 1 mg/mL to 500 mg/mL.

Another useful antibiotic includes the fluoroquinolones, such as, for example, enrofloxacin, danofloxacin, difloxacin, orbifloxacin and marbofloxacin. Enrofloxacin is typically administered in a concentration of about 100 mg/mL. Danofloxacin is typically administered at a concentration of about 180 mg/mL.

Other useful macrolide antibiotics include compounds from the class of ketolides, or, more specifically, the azalides. Such compounds are described in, for example, U.S. 6,514,945, U.S. 6,472,371, U.S. 6,270,768, U.S. 6,437,151, U.S. 6,271,255, U.S. 6,239,112, U.S. 5,958,888, U.S. 6,339,063 and U.S. 6,054,434.

Other useful antibiotics include the tetracyclines, particularly chlortetracycline and oxytetracycline. Other antibiotics may include β-lactams such as penicillins, e.g., penicillin, ampicillin, amoxicillin, or a combination of amoxicillin with clavulanic acid or other beta lactamase inhibitors.

Nonagronomic applications in the veterinary sector are by conventional means such as by enteral administration in the form of, for example, tablets, capsules, drinks, drenching preparations, granulates, pastes, boli, feed-through procedures, or suppositories; or by parenteral administration, such as by injection (including intramuscular, subcutaneous, intravenous, intraperitoneal) or implants; by nasal administration; by topical administration, for example, in the form of immersion or dipping, spraying, washing, coating with powder, or application to a small area of the animal, and through articles such as neck collars, ear tags, tail bands, limb bands or halters which comprise compounds or compositions of the present invention.

Any of the compounds of the present invention, or a suitable combination of such compounds, may be administered directly to the animal subject and/or indirectly by applying it to the local environment in which the animal dwells (such as bedding, enclosures, or the like). Direct administration includes contacting the skin, fur or feathers of a subject animal with the compounds, or by feeding or injecting the compounds into the animal.

The compounds of the present invention may be administered in a controlled release form, e.g., in a subcutaneous slow release formulation, or in the form of a controlled release device affixed to an animal such as a fleacollar. Collars for the controlled release of an insecticide agent for long term protection against flea infestation in a companion animal are art-known, and are described, for example, by U.S. 3,852,416, U.S. 4,224,901, U.S. 5,555,848 and U.S. 5,184,573.

Typically a parasiticidal composition according to the present invention comprises a mixture of a compound of Formula 1, an *N*-oxide, or salt thereof, with one or more pharmaceutically or veterinarily acceptable carriers comprising excipients and auxiliaries selected with regard to the intended route of administration (e.g., oral, topical or parenteral administration such as injection) and in accordance with standard practice. In addition, a suitable carrier is selected on the basis of compatibility with the one or more active ingredients in the composition, including such considerations as stability relative to pH and moisture content. Therefore of note is a composition for protecting an animal from an invertebrate parasitic pest comprising a parasitically effective amount of a compound of the invention and at least one carrier.

For parenteral administration including intravenous, intramuscular and subcutaneous injection, a compound of the present invention can be formulated in suspension, solution or emulsion in oily or aqueous vehicles, and may contain adjuncts such as suspending, stabilizing and/or dispersing agents. The compounds of the present invention may also be formulated for bolus injection or continuous infusion. Pharmaceutical compositions for injection include aqueous solutions of water-soluble forms of active ingredients (e.g., a salt of an active compound), preferably in physiologically compatible buffers containing other excipients or auxiliaries as are known in the art of pharmaceutical formulation. Additionally, suspensions of the active compounds may be prepared in a lipophilic vehicle. Suitable lipophilic vehicles include fatty oils such as sesame oil, synthetic fatty acid esters such as ethyl oleate and triglycerides, or materials such as liposomes. Aqueous injection suspensions may contain substances that increase the viscosity of the suspension, such as sodium carboxymethyl cellulose, sorbitol, or dextran. Formulations for injection may be presented in unit dosage form, e.g., in ampoules or in multi-dose containers. Alternatively, the active ingredient may be in powder form for constitution with a suitable vehicle, e.g., sterile, pyrogen-free water, before use.

In addition to the formulations described supra, the compounds of the present invention may also be formulated as a depot preparation. Such long acting formulations may be administered by implantation (for example, subcutaneously or intramuscularly) or by intramuscular or subcutaneous injection. The compounds of the present invention may be formulated for this route of administration with suitable polymeric or hydrophobic materials (for instance, in an emulsion with a pharmacologically acceptable oil), with ion exchange resins, or as a sparingly soluble derivative such as, without limitation, a sparingly soluble salt.

For administration by inhalation, the compounds of the present invention can be delivered in the form of an aerosol spray using a pressurized pack or a nebulizer and a suitable propellant, e.g., without limitation, dichlorodifluoromethane, trichlorofluoromethane, dichlorotetrafluoroethane or carbon dioxide. In the case of a

pressurized aerosol, the dosage unit may be controlled by providing a valve to deliver a metered amount. Capsules and cartridges of, for example, gelatin for use in an inhaler or insufflator may be formulated containing a powder mix of the compound and a suitable powder base such as lactose or starch.

Compounds of the present invention have been discovered to have favorable pharmacokinetic and pharmacodynamic properties providing systemic availability from oral administration and ingestion. Therefore after ingestion by the animal to be protected, parasiticidally effective concentrations of compounds of the invention in the bloodstream protect the treated animal from blood-sucking pests such as fleas, ticks and lice. Therefore of note is a composition for protecting an animal from an invertebrate parasite pest in a form for oral administration (i.e. comprising, in addition to a parasiticidally effective amount of a compound of the invention, one or more carriers selected from binders and fillers suitable for oral administration and feed concentrate carriers).

For oral administration in the form of solutions (the most readily available form for absorption), emulsions, suspensions, pastes, gels, capsules, tablets, boluses, powders, granules, rumen-retention and feed/water/lick blocks, a compound of the present invention can be formulated with binders/fillers known in the art to be suitable for oral administration compositions, such as sugars and sugar derivatives (e.g., lactose, sucrose, mannitol, sorbitol), starch (e.g., maize starch, wheat starch, rice starch, potato starch), cellulose and derivatives (e.g., methylcellulose, carboxymethylcellulose, ethylhydroxycellulose), protein derivatives (e.g., zein, gelatin), and synthetic polymers (e.g., polyvinyl alcohol, polyvinylpyrrolidone). If desired, lubricants (e.g., magnesium stearate), disintegrating agents (e.g., cross-linked polyvinylpyrrolidinone, agar, alginic acid) and dyes or pigments can be added. Pastes and gels often also contain adhesives (e.g., acacia, alginic acid, bentonite, cellulose, xanthan gum, colloidal magnesium aluminum silicate) to aid in keeping the composition in contact with the oral cavity and not being easily ejected.

If the parasiticidal compositions are in the form of feed concentrates, the carrier is typically selected from high-performance feed, feed cereals or protein concentrates. Such feed concentrate-containing compositions can, in addition to the parasiticidal active ingredients, comprise additives promoting animal health or growth, improving quality of meat from animals for slaughter or otherwise useful to animal husbandry. These additives can include, for example, vitamins, antibiotics, chemotherapeutics, bacteriostats, fungistats, coccidiostats and hormones.

The compounds of Formula **1** may also be formulated in rectal compositions such as suppositories or retention enemas, using, e.g., conventional suppository bases such as cocoa butter or other glycerides.

Formulations for topical administration are typically in the form of a powder, cream, suspension, spray, emulsion, foam, paste, aerosol, ointment, salve or gel. More typically a

topical formulation is a water-soluble solution, which can be in the form of a concentrate that is diluted before use. Parasiticidal compositions suitable for topical administration typically comprise a compound of the present invention and one or more topically suitable carriers. In applications of a parasiticidal composition topically to the exterior of an animal as a line or spot (i.e. "spot-on" treatment), the active ingredient migrates over the surface of the animal to cover most or all of its external surface area. As a result, the treated animal is particularly protected from invertebrate pests that feed off the epidermis of the animal such as ticks, fleas and lice. Therefore formulations for topical localized administration often comprise at least one organic solvent to facilitate transport of the active ingredient over the skin and/or penetration into the epidermis of the animal. Carriers in such formulations include propylene glycol, paraffins, aromatics, esters such as isopropyl myristate, glycol ethers, alcohols such as ethanol, *n*-propanol, 2-octyl dodecanol or oleyl alcohol; solutions in esters of monocarboxylic acids, such as isopropyl myristate, isopropyl palmitate, lauric acid oxalic ester, oleic acid oleyl ester, oleic acid decyl ester, hexyl laurate, oleyl oleate, decyl oleate, caproic acid esters of saturated fatty alcohols of chain length C_{12}-C_{18}; solutions of esters of dicarboxylic acids, such as dibutyl phthalate, diisopropyl isophthalate, adipic acid diisopropyl ester, di-*n*-butyl adipate or solutions of esters of aliphatic acids, e.g., glycols. It may be advantageous for a crystallization inhibitor or a dispersant known from the pharmaceutical or cosmetic industry also to be present.

A pour-on formulation may also be prepared for control of parasites in an animal of agricultural worth. The pour-on formulations of this invention can be in the form of a liquid, powder, emulsion, foam, paste, aerosol, ointment, salve or gel. Typically, the pour-on formulation is liquid. These pour-on formulations can be effectively applied to sheep, cattle, goats, other ruminants, camelids, pigs and horses. The pour-on formulation is typically applied by pouring in one or several lines or in a spot-on the dorsal midline (back) or shoulder of an animal. More typically, the formulation is applied by pouring it along the back of the animal, following the spine. The formulation can also be applied to the animal by other conventional methods, including wiping an impregnated material over at least a small area of the animal, or applying it using a commercially available applicator, by means of a syringe, by spraying or by using a spray race. The pour-on formulations include a carrier and can also include one or more additional ingredients. Examples of suitable additional ingredients are stabilizers such as antioxidants, spreading agents, preservatives, adhesion promoters, active solubilisers such as oleic acid, viscosity modifiers, UV blockers or absorbers, and colourants. Surface active agents, including anionic, cationic, non-ionic and ampholytic surface active agents, can also be included in these formulations.

The formulations of this invention typically include an antioxidant, such as BHT (butylated hydroxytoluene). The antioxidant is generally present in amounts of at 0.1-5% (wt/vol). Some of the formulations require a solubilizer, such as oleic acid, to dissolve the

active agent, particularly if spinosad is used. Common spreading agents used in these pour-on formulations are: IPM, IPP, caprylic/capric acid esters of saturated C_{12}–C_{18} fatty alcohols, oleic acid, oleyl ester, ethyl oleate, triglycerides, silicone oils and DPM. The pour-on formulations of this invention are prepared according to known techniques. Where the pour-on is a solution, the parasiticide/insecticide is mixed with the carrier or vehicle, using heat and stirring where required. Auxiliary or additional ingredients can be added to the mixture of active agent and carrier, or they can be mixed with the active agent prior to the addition of the carrier. If the pour-on is an emulsion or suspension, these formulations are similarly prepared using known techniques.

Other delivery systems for relatively hydrophobic pharmaceutical compounds may be employed. Liposomes and emulsions are well-known examples of delivery vehicles or carriers for hydrophobic drugs. In addition, organic solvents such as dimethylsulfoxide may be used, if needed.

For agronomic applications, the rate of application required for effective control (i.e. "biologically effective amount") will depend on such factors as the species of invertebrate to be controlled, the pest's life cycle, life stage, its size, location, time of year, host crop or animal, feeding behavior, mating behavior, ambient moisture, temperature, and the like. Under normal circumstances, application rates of about 0.01 to 2 kg of active ingredients per hectare are sufficient to control pests in agronomic ecosystems, but as little as 0.0001 kg/hectare may be sufficient or as much as 8 kg/hectare may be required. For nonagronomic applications, effective use rates will range from about 1.0 to 50 mg/square meter but as little as 0.1 mg/square meter may be sufficient or as much as 150 mg/square meter may be required. One skilled in the art can easily determine the biologically effective amount necessary for the desired level of invertebrate pest control.

In general for veterinary use, a compound of Formula **1**, an *N*-oxide, or salt thereof, is administered in a parasiticidally effective amount to an animal to be protected from invertebrate parasite pests. A parasiticidally effective amount is the amount of active ingredient needed to achieve an observable effect diminishing the occurrence or activity of the target invertebrate parasite pest. One skilled in the art will appreciate that the parasitically effective dose can vary for the various compounds and compositions of the present invention, the desired parasitical effect and duration, the target invertebrate pest species, the animal to be protected, the mode of application and the like, and the amount needed to achieve a particular result can be determined through simple experimentation.

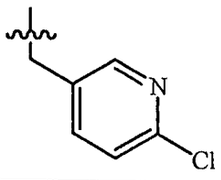
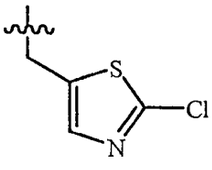
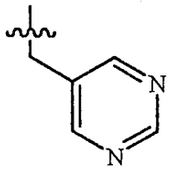
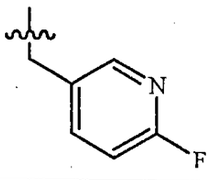
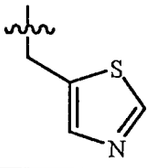
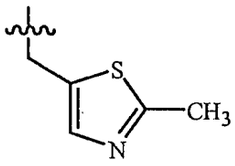
For oral administration to homeothermic animals, the daily dosage of a compound of the present invention typically ranges from about 0.01 mg/kg to about 100 mg/kg, more typically from about 0.5 mg/kg to about 100 mg/kg, of animal body weight. For topical (e.g., dermal) administration, dips and sprays typically contain from about 0.5 ppm to about

5000 ppm, more typically from about 1 ppm to about 3000 ppm, of a compound of the present invention.

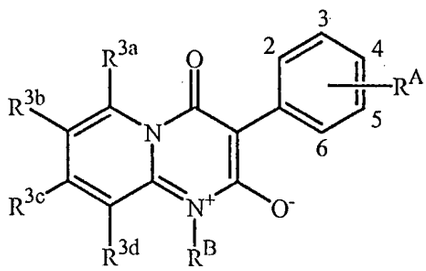
Representative compounds of this invention prepared by the methods described herein are shown in Index Tables A–E. See Index Table F for ^{1}H NMR data. For mass spectral data (AP$^+$ (M+1)), the numerical value reported is the molecular weight of the parent molecular ion (M) formed by addition of H^+ (molecular weight of 1) to the molecule to give a M+1 peak observed by mass spectrometry using atmospheric pressure chemical ionization (AP$^+$). The alternate molecular ion peaks (e.g., M+2 or M+4) that occur with compounds containing multiple halogens are not reported. The variable "R^A" in Index Tables A–C represents one or a combination of substituents as listed in Index Tables A–C.

The following abbreviations are used in the Index Tables which follow: Cmpd means Compound, Me is methyl, Et is ethyl, *i*-Pr is isopropyl, *n*-Bu is *normal*-butyl, *t*-Bu is *tertiary*-butyl, Ph is phenyl, CHO is formyl, Ac is acetyl (i.e. C(O)CH_3) and SO_2Me is methyl sulfonyl.

Fragments X-1 through X-6 shown below are referred to in the Index Tables. The wavy line denotes the attachment point of the fragment to the remainder of the molecule.

			
X-1	X-2	X-3	X-4
			
X-5	X-6		

INDEX TABLE A

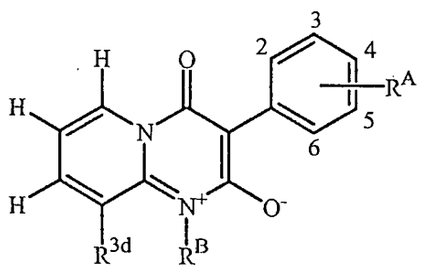


Cmpd	R^A	R^B	R^{3a}	R^{3b}	R^{3c}	R^{3d}	AP+ (M+1)
1	3-OCF_3	X-2	H	H	H	Br	532
2	H	Pr	H	CH_3	H	H	295
3	H	Pr	H	H	CH_3	H	295
4	H	Pr	CH_3	H	H	H	295
5	H	Pr	H	cyano	H	H	306
6	H	Pr	Cl	H	H	H	315
8	H	Pr	H	H	H	Cl	315
9	H	X-1	F	H	H	H	382
10	4-F	X-1	F	H	H	H	400
11	3-OCF_3	X-1	F	H	H	H	466
12	2-F, 4-F	X-1	F	H	H	H	418
13	3-OCF_3	X-1	H	F	H	F	*
14	2-F, 4-F	X-1	H	F	H	F	*
15	4-F	X-1	H	F	H	F	*
16	H	CH_2CF_3	H	H	H	OH	*
17	4-F	CH_2CF_3	H	H	H	OH	355
18	3-CF_3	X-2	H	H	H	Br	516
19	3-Br	X-2	H	H	H	Br	558
20	3-Cl, 5-CF_3	X-2	H	H	H	Br	550
21	2-F, 3-Cl, 5-CF_3	X-2	H	H	H	Br	600
22	3-OCF_3	X-2	H	H	H	cyano	479
23	3-OCF_3	X-2	H	H	H	Cl	488
24	3-OCF_3	X-2	H	H	OCH_3	H	484
25	3-OCF_3	X-2	H	OCH_3	H	H	484

Cmpd	R^A	R^B	R^{3a}	R^{3b}	R^{3c}	R^{3d}	AP+ (M+1)
26	3-OCF_3	X-2	H	H	H	CH_3	468
27	3-OCF_3	X-2	H	H	Br	H	532
28	3-CF_3	X-2	H	H	Br	H	516
29	3-CF_3	X-2	H	H	H	CH_3	452
30	2-F	X-2	H	H	H	CH_3	402
31	2-F	X-2	H	H	Br	H	466
32	2-F	X-2	H	H	OCH_3	H	418
33	3-Cl, 5-OCF_3	X-2	H	H	H	Br	566
34	H	X-2	H	H	CH_3	H	384
35	H	X-2	H	H	Cl	H	404
54	3-OCF_3	X-2	CH_3	H	H	H	468
55	2-F	X-2	CH_3	H	H	H	402
61	3-OCF_3	X-2	H	Br	H	CH_3	546
63	2-F, 3-CF_3	X-2	H	Br	H	CH_3	470
430	2-F	X-2	H	I	H	H	514

* See Index Table F for ^1H NMR data.

INDEX TABLE B



Cmpd	R^A	R^B	R^{3d}	m.p. (°C)	AP+ (M+1)
36	3-Cl, 5-OCF_3	X-2	CH_3		502
37	2-F, 6-F	X-2	CH_3		420
38	2-F	X-1	CH_3		396
39	3-OCF_3	X-1	CH_3		462
40	3-SCF_3	X-2	CH_3		484
41	2-F	X-2	OCH_3		418

Cmpd	R^A	R^B	R^{3d}	m.p. (°C)	AP+ (M+1)
42	H	X-3	CH_3		*
43	3-OCF_3	X-3	CH_3		*
44	2-F	X-3	CH_3		*
45	3-CF_3	X-3	CH_3		*
46	2-F, 6-F	X-3	CH_3		*
47	H	X-2	CH_3		383
48	2-OCH_3, 5-OCH_3	X-2	CH_3		443
49	2-F, 5-CF_3	X-2	CH_3		470
50	4-F	X-2	CH_3		402
51	3-OCF_3	X-2	OCH_3		484
52	2-F, 5-CF_3	X-2	OCH_3		486
53	2-OCH_3, 5-OCF_3	X-2	CH_3		498
56	2-OCH_3	X-2	CH_3		414
57	2-F, 3-Cl, 5-CF_3	X-2	CH_3		504
58	4-SCF_3	X-2	CH_3		484
59	2-F, 4-F	X-2	CH_3		420
60	2-F, 3-Cl	X-2	CH_3		436
62	3-OCH_3	X-2	CH_3		414
64	3-SCF_3	X-4	CH_3		462
65	3-I	X-2	CH_3		510
66	2-F, 3-CF_3	X-2	OCH_3		486
67	3-I	X-2	CH_3		476
68	3-OCF_3	X-2	Ph		530
69	3-OCH_3	X-2	Ph		476
70	3-OCF_3	X-5	CH_3		434
71	3-OCF_3	X-6	CH_3		448
72	3-OCF_3	X-4	CH_3		446
73	3-CF_3	X-5	CH_3		418
74	3-CF_3	X-6	CH_3		432
75	3-CF_3	X-4	CH_3		430
76	2-F	X-5	CH_3		368
77	2-F	X-6	CH_3		382
78	2-F	X-4	CH_3		380
79	3-Br, 5-CF_3	X-2	CH_3		530
80	3-Br, 5-OCF_3	X-2	CH_3		546
81	3-Cl, 5-CF_3	X-2	CH_3		486

Cmpd	R^A	R^B	R^{3d}	m.p. (°C)	AP+ (M+1)
82	3-F, 5-CF_3	X-2	CH_3		470
83	3-I, 5-OCH_3	X-2	CH_3		540
84	3-Br	X-2	CH_3		462
101	3-(C≡CH)	X-2	CH_3		408
102	3-(CH=CH_2)	X-2	CH_3		410
103	2-Cl, 5-CF_3	X-2	CH_3		486
104	2-F, 3-OCH_3	X-2	CH_3		432
105	4-Cl	X-2	CH_3		418
106	3,4-(-OCH_2O-)	X-2	CH_3		428
107	3-CF_3	CH_2CF_3	CH_3		403
108	2-OCH_3, 3-F, 5-F	X-2	CH_3		450
109	2-OCH_3, 3-OCH_3,	X-2	CH_3		444
110	3-F, 4-F, 5-F	X-2	CH_3		438
111	2-F, 4-OCH_3	X-2	CH_3		432
112	3-OCH_3, 4-F	X-2	CH_3		432
113	3-Cl, 5-Cl	X-2	CH_3		452
114	3-OCH_3, 4-OCH_3	X-2	CH_3		444
115	4-OCH_3	X-2	CH_3		414
116	2-OCH_3, 4-OCH_3	X-2	CH_3		444
117	3-F, 4-OCH_3	X-2	CH_3		432
118	3-Cl	X-2	CH_3		418
119	3-F, 4-F	X-2	CH_3		420
120	3-CF_3, 4-F	X-2	CH_3		470
121	2-OCH_3, 5-CF_3	X-2	CH_3		482
122	3-CH_3	X-2	CH_3		398
123	3-CH_2CH_3	X-2	CH_3		412
124	2-OCH_3, 5-F	X-2	CH_3		432
125	2-F, 3-F	X-2	CH_3		420
126	2-OCH_3, 5-Br	X-2	CH_3		492
127	2-F, 5-Cl	X-2	CH_3		436
128	3-OCF_3, 4-Cl	X-2	CH_3		502
129	2-OCH_3, 5-Cl	X-2	CH_3		448
130	2-Cl, 5-Cl	X-2	CH_3		452
131	3-Cl, 5-CF_3	X-2	OCH_3		502
132	3-OCH_3, 5-OCH_3	X-2	CH_3		444
133	3-Cl, 5-CF_3	X-6	CH_3		466

Cmpd	R^A	R^B	R^{3d}	m.p. (°C)	AP+ (M+1)
134	2-Cl, 3-Cl	X-2	CH_3		452
135	3-OCH_2CH_3	X-2	CH_3		428
136	3-OCF_3	X-2	CH_2CH_3		482
152	2-OCH_2CH_3	X-2	CH_3		428
153	H	X-2	$CH{=}CH_2$		396
154	3-CF_3	X-2	$CH{=}CH_2$		464
155	2-F	X-2	$CH{=}CH_2$		414
156	3-CF_3	CH_2(1-methyl-4-imidazolyl)	CH_3		415
157	2-F, 5-Br	X-2	CH_3		480
158	3-F, 4-Cl	X-2	CH_3		436
159	3-F	X-2	CH_3		402
160	3,5-diF	X-2	CH_3		420
161	2-F, 4-Cl	X-2	CH_3		436
162	3,4-diCl	X-2	CH_3		452
163	3-Br, 5-F	X-2	CH_3		480
164	3-CH_3, 4-Cl	X-2	CH_3		432
165	2,5-diF	X-2	CH_3		420
166	2-Cl, 4-F	X-2	CH_3		436
167	3-CH_3, 5-CF_3	X-2	CH_3		466
168	3-CH_3, 5-Cl	X-2	CH_3		462
169	2-CH_3, 4-Br	X-2	CH_3		476
170	3-Br, 4-F	X-2	CH_3		480
173	3-OCF_3, 4-F	X-2	CH_3		486
179	2-F	X-2	CH_2CH_3		416
180	3-OCH_2CH_3, 5-OCH_2CH_3	X-2	CH_3		440
181	2-CH_3, 5-Cl	X-2	CH_3		432
182	2-OCH_3, 5-CH_3	X-2	CH_3		428
183	2-F, 5-CH_3	X-2	CH_3		416
185	H	X-2	Cl		404
186	2-F	X-2	Cl		421
187	3-$C(CH_3)_3$	X-2	CH_3		440
188	3-Cl, 5-CF_3	X-2	CH_2CH_3		500
192	3-(4-chlorophenyl)	X-2	CH_2CH_3		508
196	2-F, 3-CH_3	X-2	CH_3		416
197	2-OCH_3, 3-CH_3	X-2	CH_3		428

Cmpd	R^A	R^B	R^{3d}	m.p. (°C)	AP+ (M+1)
198	3-Br	X-2	CH_2CH_3		476
202	3-(4-chlorophenyl)	X-2	OCH_3		510
203	3-$CH_2CH_2CH_3$	X-2	CH_3		426
204	2-CH_3	X-2	CH_3		398
205	4-CH_3	X-2	CH_3		398
206	2-Cl	X-2	CH_3		*
212	2-F	X-2	I		514
213	2-F	X-2	CH_2F		420
216	H	X-2	CH_2F		402
217	3-CF_3	X-2	CH_2F		470
218	H	X-2	I		*
223	4-F	X-2	OCH_3		418
224	2-nitro	X-2	CH_3		*
225	2-nitro, 5-CF_3	X-2	CH_3		*
226	H	X-2	$CH_2OC(O)CH_3$		*
227	3-CH_3, 5-CH_3	X-2	CH_3		411
228	3-Cl, 4-F	X-2	CH_3		436
234	3-cyano, 4-F	X-2	CH_3		428
235	3-Br, 5-CH_3	X-2	CH_3		476
236	4-cyano	X-2	CH_3		409
237	4-nitro	X-2	CH_3		*
240	3-cyano, 5-CF_3	X-2	CH_3		477
241	3-$CH(CH_3)_2$	X-2	CH_3		426
243	3-$Si(CH_2CH_3)_3$	X-2	CH_3		498
244	3-$Si(CH_3)_3$	X-2	CH_3		456
249	3-$OSi(CH(CH_3)_2)_3$	X-2	CH_3		556
257	3-Br, 5-Cl	X-2	CH_3		496
265	3-CH_3, 5-$Si(CH_3)_3$	X-2	CH_3		470
266	3-OCH_3, 5-$C(O)OCH_3$	X-2	CH_3		472
268	3-cyano, 5-OCH_3	X-2	CH_3		539
296	3-Br, 5-cyano	X-2	CH_3		487
297	3-F, 5-cyano	X-2	CH_3		427
298	3-Cl, 5-cyano	X-2	CH_3		443
304	3-cyano, 5-CH_3	X-2	CH_3		423
310	2-I	X-2	CH_3		510
311	3-cyano	X-2	CH_3		409

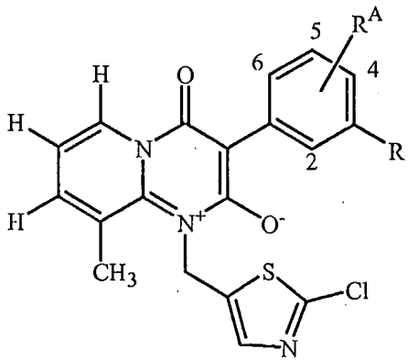
Cmpd	R^A	R^B	R^{3d}	m.p. (°C)	AP+ (M+1)
312	2-cyano	X-2	CH_3		409
324	2-F, 5-cyano	X-2	CH_3		427
335	3,5-diCl, 4-F	X-2	CH_3		*
339	3-$C(O)OCH_3$	X-2	CH_3		442
342	2-Cl, 5-Br	X-2	CH_3		496
348	H	2-methyl-1-imidazolyl	CH_3		*
349	H	4-methyl-1-imidazolyl	CH_3		*
350	H	2,4-dimethyl-1-imidazolyl	CH_3		361
351	3-Cl, 5-$C(O)OCH_3$	X-2	CH_3		476
353	3-Br, 4-CH_3	X-2	CH_3		475
354	3-(2-chloro-4-(trifluoromethyl)phenyl)	X-2	OCH_3		578
355	3-(2-fluoro-4-(trifluoromethyl)phenyl)	X-2	OCH_3		562
370	H	1-imidazolyl			333
373	3-$C(O)CH_3$	X-2	CH_3		426
380	3-CF_3, 5-$C(O)OCH_3$	X-2	CH_3		510
382	3-cyclopropyl	X-2	CH_3		424
389	3,5-diCl	$CH_2CH_2CH_2OCH_3$	CH_3		393
390	H	$CH_2CH_2CH_2OCH_3$	CH_3	107-109	
392	2-F	$CH_2CH_2CH_2OCH_3$		109-110	
395	3-Cl, 5-F	X-2	CH_3		436
396	4-$C(O)OCH_3$	X-2	CH_3		442
397	4-$C(O)CH_3$	X-2	CH_3		426
403	3-F, 5-CF_3	X-2	OCH_3		486
404	3-Cl, 5-OCH_3	X-2	CH_3		448
405	3-CH_3, 4-F	X-2	CH_3		416
406	H	3-methyl-5-isoxazolyl	CH_3	109-111	
413	4-(2-chloro-4-(trifluoromethyl)phenyl)	X-2	CH_3		562
414	4-I	X-2	CH_3		510
415	4-(2-chloro-4-fluorophenyl)	X-2	CH_3		512
416	4-(3-chloro-5-(trifluoromethyl)phenyl)	X-2	CH_3		562
417	2-F	CH_2(3-tetrahydrofuranyl)	CH_3		354

Cmpd	R^A	R^B	R^{3d}	m.p. (°C)	AP+ (M+1)
420	4-(3-chloro-4-(trifluoromethyl)phenyl)	X-2	CH_3		564
423	2-(2-chloro-4-(trifluoromethyl)phenyl)	X-2	CH_3		562
424	3-F, 5-OCH_3	X-2	CH_3		432
425	3-Cl, 5-I	X-2	CH_3		544
426	3-OCH_3, 5-CF_3	X-2	CH_3		482
428	2-(2-chloro-4-fluorophenyl)	X-2	CH_3		512
436	H	CH_2(3-tetrahydrofuranyl)	CH_3	208-211	
439	3-($C(CH_3)$=$NOCH_3$)	X-2	CH_3		455
442	3-Cl, 5-F	X-2	OCH_3		452
445	3-(2-chloro-4-(trifluoromethyl)phenyl)	$CH_2CH_2CH_2OCH_3$	CH_3	144-146	
446	3,5-diCl	CH_2(3-tetrahydrofuranyl)	CH_3	>300	
452	2-OCH_3, 5-CN	X-2	CH_3		439
453	3-($C(CH_3)$=$NOCH_2CH_3$)	X-2	CH_3		469
458	3-thienyl	X-2	CH_3		466
459	3-OCF_3	CH_2(3-tetrahydrofuranyl)	CH_3		420
460	3-OCF_3	$CH_2CH_2CH_2OCH_3$	CH_3		408
463	3-(2,4-dimethylphenyl)	X-2	CH_3		504
469	2-F	CH_2(3-methyl-5-isoxazolyl)	CH_3	162-164	
470	3-(2-chloro-4-(trifluoromethyl)phenyl)	CH_2(3-methyl-5-isoxazolyl)	CH_3	181-182	
471	3,5-diCl	CH_2(3-methyl-5-isoxazolyl)	CH_3	184-186	
472	H	CH_2CF_3	CH_3	138-140	
473	2-F	CH_2CF_3	CH_3	188-190	
475	H	CH_2(5-thiazolyl)	CH_3	174-176	
476	3,5-diCl	CH_2(5-thiazolyl)	CH_3	200-201	
477	3-(2-chloro-4-(trifluoromethyl)phenyl)	CH_2(5-thiazolyl)	CH_3	107-109	
478	H	CH_2(2-methyl-5-thiazolyl)	CH_3	164-166	
479	3-(2-chloro-4-(trifluoromethyl)phenyl)	CH_2(2-methyl-5-thiazolyl)	CH_3	199-200	
480	3-(2-chloro-4-(trifluoromethyl)phenyl), 4-F	X-2	OCH_3		596

Cmpd	R^A	R^B	R^{3d}	m.p. (°C)	AP+ (M+1)
481	3-Cl, 5-(4-chloro-2-fluorophenyl)	X-2	OCH_3		562
486	3-Cl, 4-Br	X-2	CH_3		498
487	3-CF_3, 4-Br	X-2	CH_3		531
488	2-F, 3-Cl, 4-Br	X-2	CH_3		*
494	H	CH_2(2-chloro-4-(trifluoromethyl)-5-thiazolyl)	CH_3		452
495	3-CH_3, 5-OCH_3	X-2	CH_3		429
496	3-F, 5-I	X-2	CH_3		528
497	3-CH_3, 5-I	X-2	CH_3		524
498	3-CH_3, 5-F	X-2	CH_3		416

* See Index Table F for ^{1}H NMR data.

INDEX TABLE C



Cmpd	R^A	R	m.p. (°C)	AP+ (M+1)
85	H	3-(trifluoromethoxy)phenyl		544
86	H	2,5-difluorophenyl		496
87	5-OCF_3	6-chloro-3-pyridinyl		579
88	H	2,3-dichlorophenyl		528
89	H	2,4-dichlorophenyl		528
90	H	5-cyano-2-ethoxyphenyl		515
137	H	4-(trifluoromethyl)phenyl		528
138	H	5-chloro-2-fluorophenyl		512
139	H	2,5-dichlorophenyl		528

Cmpd	R^A	R	m.p. (°C)	AP+ (M+1)
140	H	4-chlorophenyl		494
150	H	3-chloro-4-(trifluoromethyl)phenyl		562
151	H	2-chloro-5-fluorophenyl		512
174	5-OCH_3	4-chlorophenyl		524
175	H	-O-(4-fluorophenyl)		494
176	H	-O-(2-fluorophenyl)		494
177	H	-O-(3-fluorophenyl)		494
178	5-F	4-chlorophenyl		512
184	H	-O-(phenyl)		476
189	H	2-chloro-4-fluorophenyl		510
190	H	4-chloro-2-fluorophenyl		512
191	H	2-chloro-4-(trifluoromethyl)phenyl		562
193	H	4-bromophenyl		538
194	H	4-methylphenyl		474
195	H	4-fluorophenyl		478
199	H	2-methyl-4-chlorophenyl		508
200	H	3-chlorophenyl		494
201	H	3-chloro-4-fluorophenyl		512
207	H	4-chloro-3-fluorophenyl		512
208	4-F	4-chlorophenyl		512
209	4-F	4-chloro-2-fluorophenyl		530
210	6-OCH_3	4-chlorophenyl		523
211	6-OCH_3	4-chloro-2-fluorophenyl		542
214	6-F	4-chloro-2-fluorophenyl		530
215	6-F	4-chlorophenyl		512
219	H	-O-(2,5-dichlorophenyl)		544
220	H	-O-(4-chlorophenyl)		510
221	H	-O-(4-methoxyphenyl)		506
222	H	-O-(4-cyanophenyl)		501
229	H	2-fluoro-4-(trifluoromethyl)phenyl		546
230	H	4-bromo-2-fluorophenyl		558
231	H	2-fluoro-5-(trifluoromethoxy)phenyl		562
232	H	4-chloro-2-methoxyphenyl		524
233	H	5-cyano-2-fluorophenyl		504
238	4-OCH_3	4-chloro-2-fluorophenyl		542
239	4-OCH_3	4-chlorophenyl		524

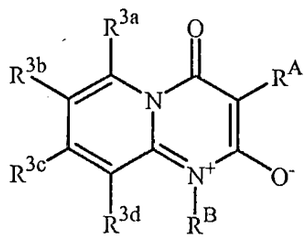
Cmpd	R^A	R	m.p. (°C)	AP+ (M+1)
246	5-CH_3	6-(trifluoromethyl)-3-pyridinyl		543
247	H	3-cyano-4-fluorophenyl		503
248	H	2,4-difluorophenyl		496
250	6-OCH_3	2-chloro-4-fluorophenyl		542
251	4-F	2-chloro-4-fluorophenyl		530
252	H	-O-(4-bromophenyl)		554
253	H	-O-(2-chloro-4-fluorophenyl)		528
255	H	2-methylphenyl		474
256	5-Cl	4-chlorophenyl		528
258	H	-C(O)(4-chlorophenyl)		523
259	H	-CH_2(4-chlorophenyl)		509
262	5-Cl	2,4-dichlorophenyl		562
263	5-F	4-(trifluoromethyl)phenyl		546
264	5-Cl	4-(trifluoromethyl)phenyl		562
269	H	phenyl		460
270	6-F	4-fluorophenyl		496
271	H	3,5-difluorophenyl		496
272	H	2-methyl-4-(trifluoromethyl)phenyl		542
273	5-Cl	6-(trifluoromethyl)-3-pyridinyl		563
276	5-CF_3	4-chloro-2-methylphenyl		576
277	H	3-chloro-5-(trifluoromethyl)phenyl		564
278	4-CH_3	2-chloro-4-(trifluoromethyl)phenyl		576
279	5-CF_3	6-chloro-3-pyridinyl		563
285	H	4-chloro-2-(trifluoromethyl)phenyl		567
286	5-CF_3	4-chlorophenyl		567
287	H	-S-(4-(trifluoromethyl)phenyl)		561
289	4-F	2-chloro-4-(trifluoromethyl)phenyl		580
290	4-F	6-(trifluoromethyl)-3-pyridinyl		547
291	4-F	2,4-dichlorophenyl		546
299	6-F	6-(trifluoromethyl)-3-pyridinyl		547
300	6-F	4-(trifluoromethyl)phenyl		546
301	6-Cl	4-(trifluoromethyl)phenyl		562
302	6-Cl	6-(trifluoromethyl)-3-pyridinyl		563
305	4-Cl	4-(trifluoromethyl)phenyl		562
306	4-Cl	6-(trifluoromethyl)-3-pyridinyl		563
307	H	2,6-dichloro-3-pyridinyl		529

Cmpd	R^A	R	m.p. (°C)	AP+ (M+1)
308	5-Cl	2,6-dichloro-3-pyridinyl		563
315	H	4,6-dichlorophenyl-3-pyridinyl		531
316	4-CH_3	4-(trifluoromethyl)phenyl		542
317	4-CH_3	6-(trifluoromethyl)-3-pyridinyl		543
318	6-CH_3	6-(trifluoromethyl)-3-pyridinyl		543
319	6-CH_3	2-chloro-4-(trifluoromethyl)phenyl		576
320	6-CH_3	4-(trifluoromethyl)phenyl		542
321	4-OCH_3	2-chloro-4-(trifluoromethyl)phenyl		592
322	6-OCH_3	4-(trifluoromethyl)phenyl		558
323	6-OCH_3	2-chloro-4-(trifluoromethyl)phenyl		592
325	H	4-cyanophenyl		485
326	H	4-chloro-2-cyanophenyl		519
327	H	4-carbomethoxy-2-chlorophenyl		535
328	6-Cl	2-methyl-4-(trifluoromethyl)phenyl		576
329	4-OCH_3	4-(trifluoromethyl)phenyl		558
330	4-F	3-chloro-5-(trifluoromethyl)phenyl		580
336	4-OCH_3	2-fluoro-4-(trifluoromethyl)phenyl		576
337	H	3-bromo-5-fluorophenyl		556
338	4-CN	2-chloro-4-(trifluoromethyl)phenyl		587
343	6-F	2-chloro-4-(trifluoromethyl)phenyl		580
344	4-Cl	2-chloro-4-(trifluoromethyl)phenyl		596
345	4-OCH_3	6-(trifluoromethyl)-3-pyridinyl		559
346	4-CH_3	4-chloro-2-fluorophenyl		526
347	H	3-chloro-5-fluorophenyl		512
352	5-CH_3	2-chloro-4-(trifluoromethyl)phenyl		576
362	H	4-(methylthio)phenyl		506
363	4-F	2-fluoro-4-(trifluoromethyl)phenyl		564
364	4-F	2-methyl-4-(trifluoromethyl)phenyl		560
365	4-F	4-chloro-2-methylphenyl		526
366	H	2,4-dimethylphenyl		488
367	H	2-chloro-5-(trifluoromethyl)phenyl		562
368	4-F	4-chloro-2-(trifluoromethyl)phenyl		580
369	4-Cl	4-chloro-2-fluorophenyl		546
374	4-F	2-fluoro-5-(trifluoromethoxy)phenyl		580
375	H	2,4-bis(trifluoromethyl)phenyl		596
376	4-OCH_3	4-chloro-2-(trifluoromethyl)phenyl		592

Cmpd	R^A	R	m.p. (°C)	AP+ (M+1)
377	4-OCH_3	2-methyl-4-(trifluoromethyl)phenyl		572
378	4-OCH_3	4-chloro-2-methylphenyl		538
381	5-CH_3	4-chloro-2-fluorophenyl		526
384	H	6-chloro-2-fluoro-3-pyridinyl		513
385	4-CH_3	2-chloro-4-(trifluoromethyl)phenyl		560
386	4-OCH_3	4-chloro-3-fluorophenyl		542
387	4-F	4-chloro-3-fluorophenyl		530
388	4-F	3-chloro-4-(trifluoromethyl)phenyl		580
398	4-OCH_3	2-fluoro-5-(trifluoromethoxy)phenyl		592
399	4-F	2,6-dichloro-3-pyridinyl		547
400	H	3-chloro-4-(trifluoromethyl)phenyl		562
401	5-Cl	2-chloro-4-(trifluoromethyl)phenyl		596
402	5-Cl	4-chloro-2-fluorophenyl		546
407	5-Cl	2-methyl-4-(trifluoromethyl)phenyl		576
408	5-Cl	2-fluoro-5-(trifluoromethoxy)phenyl		596
409	4-CH_3	2-fluoro-5-(trifluoromethoxy)phenyl		576
410	5-Cl	2-fluoro-4-(trifluoromethyl)phenyl		580
411	4-CH_3	4-chloro-2-(trifluoromethyl)phenyl		576
412	5-CF_3	2-chloro-4-(trifluoromethyl)phenyl		630
421	6-F	2-fluoro-4-(trifluoromethyl)phenyl		564
422	6-OCH_3	2-fluoro-4-(trifluoromethyl)phenyl		576
427	4-F	2-chloro-5-(trifluoromethyl)phenyl		580
429	4-CH_3	2-chloro-5-(trifluoromethyl)phenyl		576
431	4-OCH_3	2-chloro-5-(trifluoromethyl)phenyl		592
432	6-F	2-methyl-4-(trifluoromethyl)phenyl		560
433	5-F	2-chloro-4-(trifluoromethyl)phenyl		580
434	5-F	2-chloro-5-(trifluoromethyl)phenyl		580
435	5-F	2-methyl-4-(trifluoromethyl)phenyl		560
437	H	4-chloro-3-cyanophenyl		519
438	H	4-cyano-2-fluorophenyl		503
440	4-CH_3	2-methyl-4-(trifluoromethyl)phenyl		556
441	5-F	4-chloro-2-fluorophenyl		530
443	4-F	2,4-bis(trifluoromethyl)phenyl		614
448	4-OCH_3	2-fluoro-5-(trifluoromethyl)phenyl		576
449	H	2-fluoro-5-(trifluoromethyl)phenyl		546
450	4-F	2-fluoro-5-(trifluoromethyl)phenyl		564

Cmpd	R^A	R	m.p. (°C)	AP+ (M+1)
451	5-OCH_3	2-chloro-4-(trifluoromethyl)phenyl		592
457	H	4-fluoro-2-(trifluoromethyl)phenyl		546
462	5-CN	2-chloro-4-(trifluoromethyl)phenyl		587
464	H	2-ethoxy-6-(trifluoromethyl)-3-pyridinyl		572
467	H	2-chloro-6-(trifluoromethyl)-3-pyridinyl		563
468	5-Cl	2-fluoro-5-(trifluoromethyl)phenyl		566
474	H	2-chloro-4-(trifluoromethyl)phenyl	154-155	
482	4-Cl	2-methyl-4-(trifluoromethyl)phenyl		576
483	4-CH_3	2-fluoro-5-(trifluoromethyl)phenyl		560
484	4-CH_3	2,4-bis(trifluoromethyl)phenyl		610
485	5-F	2-fluoro-4-(trifluoromethyl)phenyl		564
489	4-OCH_3	2,4-bis(trifluoromethyl)phenyl		676
490	5-OCH_3	2-fluoro-4-(trifluoromethyl)phenyl		576
491	5-OCH_3	4-chloro-2-fluorophenyl		542
493	5-CN	4-chloro-2-fluorophenyl		537
499	5-Cl	4,6-dichloro-3-pyridinyl		563
500	5-F	2-fluoro-5-(trifluoromethyl)phenyl		564
501	4-F	4-fluoro-2-(trifluoromethyl)phenyl		564

INDEX TABLE D



5

R^B is X-2; R^{3a}, R^{3b} and R^{3c} are H; R^{3d} is CH_3

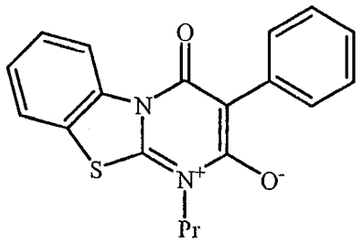
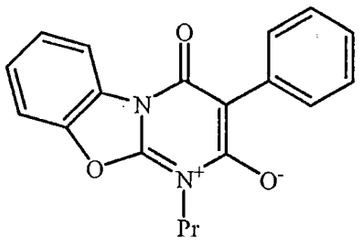
Cmpd	R^A	m.p. (°C)	AP+ (M+1)
242	SCF_3		*
245	$CH_2CH_2CH_2CH_3$		364
254	2-(4-(trifluoromethyl)phenyl)-4-pyridinyl		529

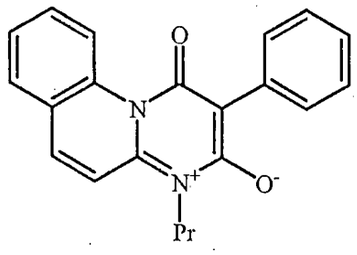
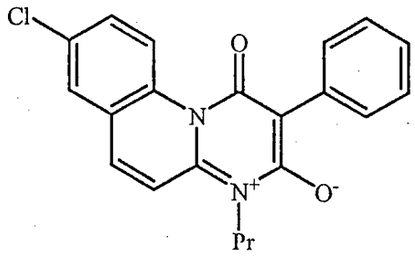
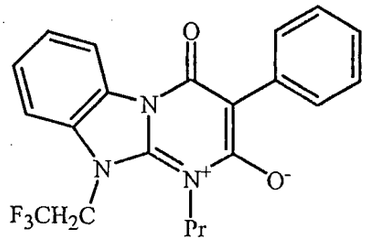
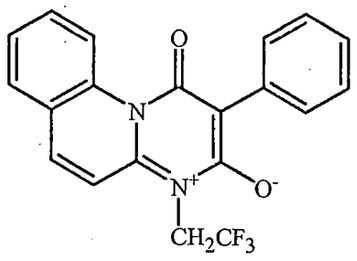
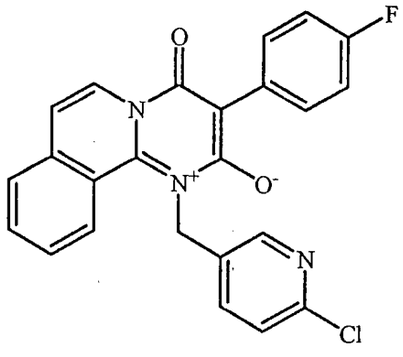
Cmpd	R^A	m.p. (°C)	AP+ (M+1)
260	2-(4-chlorophenoxy)-4-pyrimidinyl		*
261	2-bromo-4-pyridinyl		463
267	2-(4-(trifluoromethyl)phenyl)-4-pyrimidinyl		530
274	2-chloro-6-(trifluoromethyl)-4-pyridinyl		486
275	CH_3		*
280	$CH(CH_3)_2$		*
281	$CH_2C_6H_5$		*
282	CH_2CH_3		*
283	$CH(CH_3)CH_2CH_2CH_3$		378
284	$C(CH_3)_3$		364
288	2,6-dichloro-4-pyridinyl		455
292	$CH_2CH(CH_3)_2$		*
293	$CH_2CH_2CH_2CH_2CH_3$		*
294	$CH_2CH_2CH_3$		*
295	$CH_2CH=CH_2$		*
303	$CH_2CH=CHC_6H_5$		424
309	1-naphthalenyl	162-165	
313	8-methyl-1-naphthalenyl	252-253	
314	2-naphthalenyl	211-214	
331	5-bromo-3-pyridinyl		*
341	$CH=CHCH_2CH_3$		362
358	$CH_2C\equiv CH$		*
359	6-chloro-3-pyridinyl		*
360	2-(2-chloro-4-(trifluoromethyl)phenyl)-4-pyridinyl		563
361	$CH_2C\equiv CCH_3$		*
371	H		308
379	6-methyl-3-pyridinyl		399
383	*cis*-$CH_2CH=CHCH_3$		*
393	5-methyl-3-pyridinyl		399
394	5-ethyl-3-pyridinyl		413
418	6-fluoro-3-pyridinyl		403

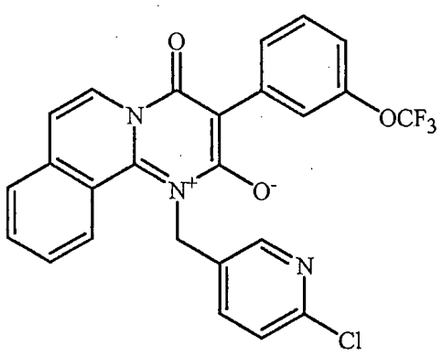
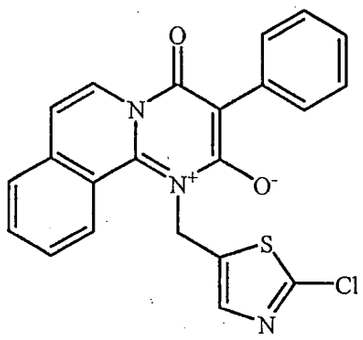
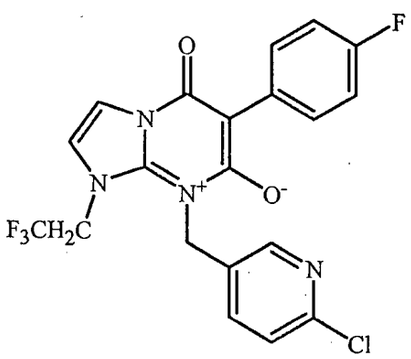
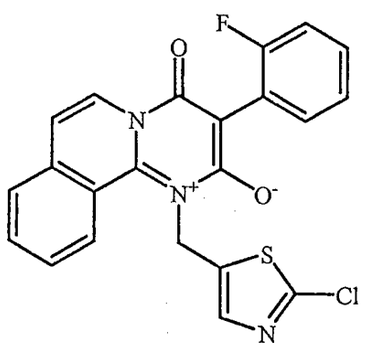
Cmpd	R^A	m.p. (°C)	AP+ (M+1)
419	2-chloro-3-pyridinyl		419
444	3-cyano-2-pyridinyl		410
447	6-(4-(trifluoromethyl)phenyl)-3-pyridinyl		529
454	2-thienyl		390
455	3-thienyl		390
456	1-(2,6-dichloro-4-(trifluoromethyl)phenyl-4-pyrazolyl)		586
461	2-(2-chloro-4-(trifluoromethyl)phenyl)-3-pyridinyl		563
465	4-bromo-2-thienyl		468
492	4-(2-chloro-4-(trifluoromethyl)phenyl)-2-thienyl		568

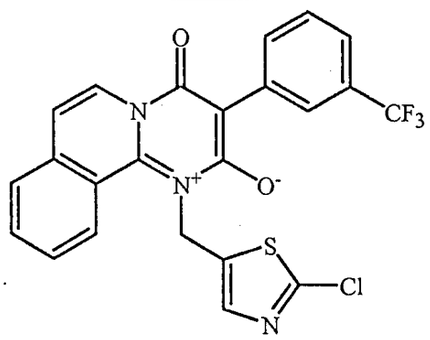
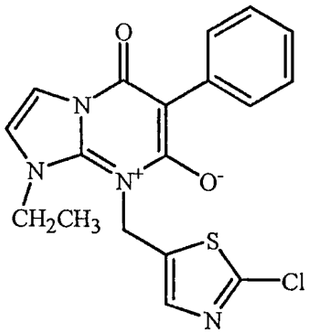
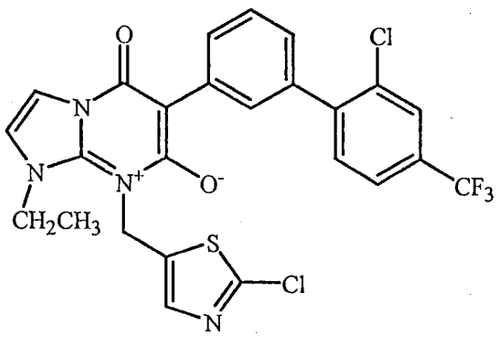
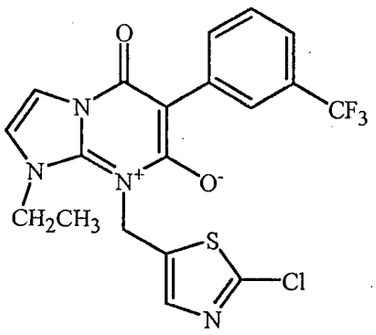
* See Index Table F for ^{1}H NMR data.

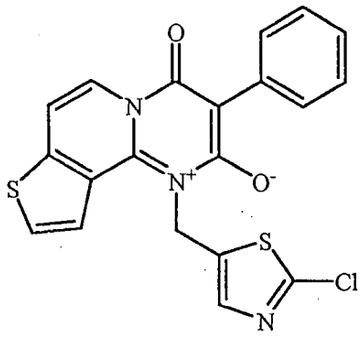
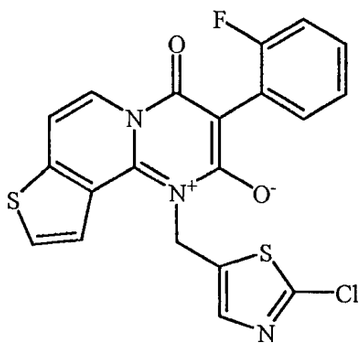
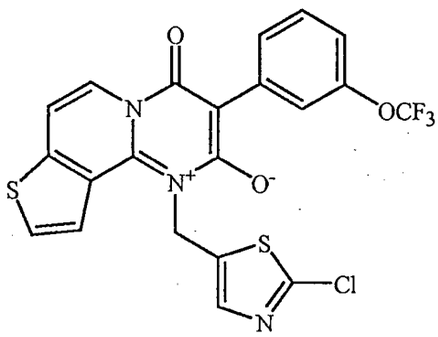
INDEX TABLE E

Cmpd	Structure	m.p. (°C)	AP+ (M+1)
91			337
92			321

Cmpd	Structure	m.p. (°C)	AP+ (M+1)
93			331
94			365
95			402
96			*
97			432

Cmpd	Structure	m.p. (°C)	AP+ (M+1)
98			*
99			420
100			452
171			438

Cmpd	Structure	m.p. (°C)	AP+ (M+1)
172			487
333			387
334			565
340			455

Cmpd	Structure	m.p. (°C)	AP+ (M+1)
356		224-226	
357		235-236	
391			510

* See Index Table F for ^{1}H NMR data.

INDEX TABLE F

Cmpd No.	^{1}H NMR Data [a, b]
13	δ 9.47 (s, 1H), 8.39 (s, 1H), 7.80-7.85 (m, 2H), 7.74 (s, 1H), 7.65 (s, 1H), 7.43 (t, 1H), 7.32 (d, 1H), 7.14 (d, 1H), 5.75 (br s, 2H).
14	δ 9.43 (s, 1H), 8.39 (s, 1H), 7.76-7.85 (m, 2H), 7.74 (s, 1H), 7.65 (s, 1H), 7.43 (t, 1H), 7.32 (d, 1H), 7.14 (d, 1H), 5.75 (br s, 2H).
15	δ 9.47 (s, 1H), 8.39 (s, 1H), 7.73-7.83 (m, 3H), 7.64 (dd, 1H), 7.30 (d, 1H), 7.11 (t, 2H), 5.75 (br s, 2H).
16	δ (CD_3OD) 9.85 (D, 1H), 7.56 (d, 2H), 7.49 (d, 1H), 7.32-7.38 (m, 3H), 7.22 (t, 1H), 6.2 (br s, 2H).

42	δ (acetone-d_6) 9.46 (d, 1H), 9.04 (s, 1H), 8.81 (s, 2H), 8.23 (d, 1H), 7.86 (d, 2H), 7.53 (t, 1H), 7.26 (t, 2H), 7.11 (t, 1H), 5.65 (s, 2H), 2.68 (s, 3H).
43	δ (acetone-d_6) 9.47 (d, 1H), 9.05 (s, 1H), 8.83 (s, 2H), 8.27 (d, 1H), 8.02-8.04 (m, 2H), 7.57 (t, 1H), 7.38 (t, 1H), 7.06 (d, 1H), 5.66 (s, 2H), 2.69 (s, 3H).
44	δ (acetone-d_6) 9.41 (d, 1H), 9.04 (s, 1H), 8.79 (s, 2H), 8.24 (d, 1H), 7.53 (m, 2H), 7.26 (m, 1H), 7.04-7.12 (m, 2H), 5.65 (s, 2H), 2.68 (s, 3H).
45	δ (acetone-d_6) 9.46 (d, 1H), 9.05 (s, 1H), 8.83 (s, 2H), 8.37 (s, 1H), 8.26 (d, 1H), 8.24 (d, 1H), 7.58 (t, 1H), 7.43-7.51 (m, 2H), 5.67 (s, 2H), 2.69 (s, 3H).
46	δ (acetone-d_6) 9.39 (d, 1H), 9.04 (s, 1H), 8.79 (s, 2H), 8.28 (d, 1H), 7.56 (t, 1H), 7.34 (t, 1H), 6.96 (t, 2H), 5.67 (s, 2H), 2.72 (s, 3H).
96	δ 9.42 (d, 1H), 8.3 (d, 1H), 7.73-7.9 (m, 4H), 7.68 (t, 1H), 7.39-7.48 (m, 3H), 7.26 (m, 1H).
98	δ 9.31 (d, 1H), 8.43 (d, 1H), 8.22 (s, 1H), 7.97 (dd, 2H), 7.80-7.85 (m, 2H), 7.72 (dd, 1H), 7.61 (2s, 2H), 7.38 (dd, 2H), 7.07 (d, 1H), 5.72 (br s, 2H).
206	δ (DMSO-d_6) 9.27 (d, 1H), 8.29 (d, 1H), 7.76 (s, 1H), 7.56 (t, 1H), 7.48 (dd, 1H), 7.41 (dd, 1H), 7.31-7.36 (m, 2H), 5.46 (s, 2H) 2.73 (s, 3H).
218	δ 9.59 (d,1H), 9.04 (d,1H), 7.84 (d,2H), 7.62 (s, 1H), 7.40 (dd,1H), 7.30 (dd, 2H), 7.15 (dd, 1H), 6.07 (s, 2H).
224	δ (acetone-d_6) 8.96 (d, 1H), 8.00 (s, 1H), 7.69-8.00 (m, 4H), 7.26 (t, 1H), 6.91 (t, 1H), 5.61 (s, 2H), 2.55 (s, 3H).
225	δ (acetone-d_6) 9.41 (d, 1H), 8.39 (d, 1H), 8.28 (s, 1H), 8.12 (d, 1H), 7.82 (d, 1H), 7.71 (s, 1H), 7.65 (t, 1H), 5.65 (s, 2H) 2.93 (s, 3H).
226	δ 9.65 (d,1H), 8.40 (d,1H), 7.77 (d, 2H), 7.58 (s,1H), 7.40 (dd,3H), 7.25 (dd,1H), 5.62 (s,2H), 5.39 (s, 2H), 2.24 (s, 3H).
237	δ (acetone-d_6) 9.44 (d, 1H), 8.34-8.37 (m, 2H), 8.14-8.21 (m, 3H), 7.74 (s, 1H), 7.62 (t, 1H), 5.66 (s, 2H), 2.91 (s, 3H).
242	δ (acetone-d_6) 9.31 (d, 1H), 8.40 (d, 1H), 7.67 (s, 1H), 7.61 t, 1H), 5.58 (s, 2H), 2.81 (s, 3H).
260	δ (DMSO-d_6) 9.24 (d, 1H), 8.53 (d, 1H), 8.40 (t, 1H), 8.16 (d, 1H), 7.99 (s, 1H), 7.57 (t, 2H), 7.46 (d, 2H), 7.37 (d, 2H), 5.59 (s, 2H).
275	δ 9.48 (d,1H), 7.94 (d, 1H), 7.42 (s,1H), 7.33 (dd,1H), 5.60 (s, 2H), 2.80 (s, 3H), 2.14 (s, 3H).
280	δ 9.45 (d, 1H), 7.90 (d,1H), 7.41 (s, 1H), 7.30 (dd,1H), 5.56 (s, 2H), 3.42 (m, 1H), 2.78 (s, 3H), 1.34 (d, 6H).
281	δ 9.45 (d, 1H), 7.90 (d, 1H), 7.49 (d, 2H), 7.39 (s, 1H), 7.24 (dd, 1 H), 7.22 (dd, 2H), 7.14 (dd, 1 H), 5.58 (s, 2H), 3.96 (s, 2H), 2.76 (s, 3H).
282	δ 9.48 (d, 1H), 7.92 (d, 1H), 7.42 (s, 1H) , 7.29 (dd, 1H), 5.59 (s, 2H), 2.8 (s, 3H), 2.67 (q, 2H), 1.18 (t, 3H).
292	δ 9.46 (d, 1H), 7.92 (d, 1H), 7.40 (s, 1H), 7.31 (dd, 1H), 5.60 (s,2H), 2.80 (s, 3H),2.50 (d,2H), 2.04 (m,1H) 0.96 (d, 6H).

293	δ 9.48 (d, 1H), 7.93 (d, 1H), 7.42 (s, 1H), 7.34 (dd, 1H), 5.58 (s, 2H), 2.80 (s, 2H), 2.64 (d, 2H), 1.60 (m, 2H), 1.39 (m, 2H), 0.90 (t, 3H).
294	δ 9.48 (d, 1H), 7.93 (d, 1H), 7.42 (s, 1H) 7.31 (dd, 1H), 5.58 (s, 2H), 2.80 (s, 3H), 2.62 (m, 2H), 1.62 (m, 2H), 1.00 (t, 3H).
295	δ 9.48(d, 1H), 7.94 (d, 1H), 7.41 (s, 1H), 7.32 (dd, 1H), 6.02 (m,1H), 5.60 (s, 2H), 5.21 (d 1H), 5.03 (d, 1H), 3.40 (d, 2H), 2.80 (s, 3H).
331	δ (acetone-d_6) 9.47 (d, 1H), 9.21 (s, 1H), 8.60 (s, 1H), 8.42 (s, 1H), 8.38 (d, 1H), 7.73 (s, 1H), 7.60 (t, 1H), 5.63 (s, 2H), 2.91 (s, 3H).
335	δ (acetone-d_6) 9.46 (d, 1H), 7.99 (d, 1H), 7.82 (s, 1H), 7.37 (t, 1H), 7.23 (s, 2H), 5.56 (s, 2H) 2.73 (s, 3H).
348	δ (DMSO-d_6) 9.33 (d, 1H), 8.32 (d, 1H), 7.63 (d, 2H), 7.54 (s, 1H), 7.28 (t, 2H), 7.14 (t, 1H), 7.00 (s, 1H), 6.70 (s, 1H), 6.24 (s, 2H), 2.61 (s, 3H), 2.26 (s, 3H).
349	δ (DMSO-d_6) 9.32 (d, 1H), 8.30 (d, 1H), 7.71-7.65 (m, 2H), 7.60 (t, 2H), 7.30 (t, 2H), 7.16 (t, 1H), 6.86 (s, 1H), 6.28 (s, 2H), 2.61 (s, 3H), 2.02 (s, 3H).
358	δ 9.48 (d, 1H), 7.97 (d, 1H), 7.42 (s, 1H), 7.36 (dd, 1H), 5.60 (s, 2H), 3.57 (s, 2H), 2.80 (s, 3H), 1.97 (s, 1H).
359	δ (DMSO-d_6) 9.37 (d, 1H), 8.84 (s, 1H), 8.32-8.27 (m, 2H), 7.78 (s, 1H), 7.59 (t, 1H), 7.49 (d, 1H), 5.44 (s, 2H), 2.70 (s, 3H).
361	δ 9.47 (d, 1H), 7.96 (d, 1H), 7.42 (s, 1H), 7.35 (dd, 1H), 5.59 (s, 2H), 3.50 (q, 2H), 2.80 (s, 3H), 1.77 (t, 3H).
383	δ 9.46 (d, 1H), 7.92 (d, 1H), 7.40 (s, 1H), 7.31 (dd, 1H), 5.60 (m, 4H), 3.40 (d, 2H), 2.80 (s, 3H), 1.83 (d, 3H).
488	δ (methanol-d_4) 9.37 (d, 1H), 8.29 (d, 1H), 7.63 (s, 1H), 7.57- 7.56 (m, 2H), 7.54- 7.50 (m, 1H), 5.60 (s, 2H), 2.81 (s, 3H).

[a] ^{1}H NMR data are in ppm downfield from tetramethylsilane. $CDCl_3$ solution unless indicated otherwise; "acetone-d_6" is $CD_3C(=O)CD_3$. Couplings are designated by (s)-singlet, (d)-doublet, (t)-triplet, (m)-multiplet, (dd)-doublet of doublets, (br s)-broad singlet.

[b] ^{1}H NMR spectra of compounds wherein R^2 is CH_2CF_3 often do not show peaks corresponding to the $C\underline{H}_2CF_3$ protons.

The following Tests demonstrate the control efficacy of compounds of this invention on specific pests. "Control efficacy" represents inhibition of invertebrate pest development (including mortality) that causes significantly reduced feeding. The pest control protection afforded by the compounds is not limited, however, to these species. Compound numbers refer to compounds in Index Tables A–E.

BIOLOGICAL EXAMPLES OF THE INVENTION

TEST A

For evaluating control of diamondback moth (*Plutella xylostella*) the test unit consisted of a small open container with a 12–14-day-old radish plant inside. This was pre-infested with ~50 neonate larvae that were dispensed into the test unit via corn cob grits using a bazooka inoculator. The larvae moved onto the test plant after being dispensed into the test unit.

Test compounds were formulated using a solution containing 10% acetone, 90% water and 300 ppm X-77® Spreader Lo-Foam Formula non-ionic surfactant containing alkylarylpolyoxyethylene, free fatty acids, glycols and isopropanol (Loveland Industries, Inc. Greeley, Colorado, USA). The formulated compounds were applied in 1 mL of liquid through a SUJ2 atomizer nozzle with 1/8 JJ custom body (Spraying Systems Co., Wheaton, Illinois, USA) positioned 1.27 cm (0.5 inches) above the top of each test unit. Test compounds were sprayed at 50 ppm and/or 10 ppm, and replicated three times. After spraying of the formulated test compound, each test unit was allowed to dry for 1 h and then a black, screened cap was placed on top. The test units were held for 6 days in a growth chamber at 25 °C and 70% relative humidity. Plant feeding damage was then visually assessed based on foliage consumed.

Of the compounds of Formula **1** tested at 50 ppm, the following provided very good to excellent levels of control efficacy (40% or less feeding damage and/or 100% mortality): 1, 18, 19, 20, 21, 23, 26, 28, 29, 30, 33, 36, 37, 39, 40, 41, 43, 47, 48, 49, 50, 51, 52, 53, 54, 56, 57, 58, 59, 60, 62, 63, 65, 66, 67, 70, 71, 72, 73, 74, 75, 77, 79, 80, 81, 82, 83, 84, 85, 86, 87, 88, 89, 90, 99, 101, 102, 103, 104, 105, 106, 107, 108, 110, 111, 112, 113, 114, 115, 116, 117, 118, 119, 120, 121, 122, 123, 124, 125, 126, 127, 128, 129, 130, 131, 132, 133, 134, 135, 136, 137, 138, 139, 140, 150, 151, 152, 153, 154, 155, 156, 157, 158, 159, 160, 161, 162, 163, 164, 165, 166, 167, 168, 169, 170, 172, 173, 174, 175, 176, 177, 178, 179, 180, 181, 182, 183, 184, 186, 187, 188, 189, 190, 191, 192, 193, 194, 195, 196, 198, 199, 200, 201, 202, 203, 204, 205, 206, 207, 208, 209, 210, 211, 212, 214, 215, 217, 218, 219, 220, 221, 222, 223, 225, 227, 228, 229, 230, 231, 232, 235, 236, 237, 238, 239, 240, 241, 242, 244, 245, 246, 248, 250, 251, 252, 253, 254, 255, 256, 257, 258, 259, 261, 262, 263, 264, 265, 266, 267, 268, 269, 270, 271, 272, 273, 274, 275, 276, 277, 278, 279, 280, 281, 282, 283, 285, 286, 287, 288, 289, 290, 291, 292, 293, 294, 295, 296, 297, 298, 299, 300, 301, 302, 304, 305, 306, 307, 308, 309, 310, 311, 312, 314, 315, 316, 317, 318, 319 and 334.

Of the compounds of Formula **1** tested at 10 ppm, the following provided very good to excellent levels of control efficacy (40% or less feeding damage and/or 100% mortality): 1, 18, 20, 21, 23, 26, 29, 30, 33, 36, 37, 39, 40, 41, 47, 48, 49, 50, 51, 52, 53, 54, 56, 57, 58, 59, 60, 62, 63, 65, 66, 70, 71, 72, 73, 74, 79, 80, 81, 82, 83, 84, 85, 86, 89, 90, 99, 101, 102, 103, 104, 105, 106, 110, 111, 112, 113, 114, 115, 117, 118, 119, 120, 121, 122, 123, 124, 125,

126, 127, 128, 129, 130, 131, 132, 133, 134, 135, 136, 137, 138, 139, 140, 150, 151, 152, 154, 156, 157, 158, 159, 160, 161, 162, 163, 164, 165, 166, 167, 168, 169, 170, 171, 173, 175, 176, 177, 178, 179, 180, 181, 182, 183, 184, 185, 186, 187, 188, 189, 190, 191, 193, 194, 195, 196, 198, 199, 200, 201, 203, 205, 206, 207, 208, 209, 210, 211, 212, 214, 215, 216, 217, 218, 219, 220, 221, 223, 225, 227, 228, 229, 230, 231, 235, 236, 237, 238, 239, 240, 241, 242, 244, 245, 246, 248, 250, 251, 252, 253, 254, 255, 256, 257, 259, 261, 262, 263, 265, 266, 267, 269, 270, 271, 272, 273, 274, 276, 277, 278, 280, 281, 285, 286, 287, 288, 289, 290, 291, 292, 294, 295, 296, 297, 298, 299, 300, 301, 302, 304, 305, 306, 307, 308, 309, 310, 311, 312, 314, 315, 316, 317, 318, 319, 321, 322, 323, 324, 325, 326, 327, 328, 329, 330, 331, 332, 334, 335, 336, 337, 338, 339, 340, 341, 342, 343, 344, 345, 346, 347, 351, 352, 353, 354, 355, 356, 357, 359, 360, 363, 364, 365, 366, 367, 368, 369, 373, 374, 375, 376, 377, 378, 379, 380, 381, 382, 383, 384, 385, 386, 387, 388, 395, 397, 398, 399, 400, 401, 402, 403, 404, 405, 407, 408, 409, 411, 412, 414, 415, 416, 419, 421, 422, 423, 424, 425, 426, 427, 429, 431, 432, 433, 434, 435, 437, 438, 439, 440, 441, 442, 443, 445, 448, 449, 450, 451, 452, 453, 454, 455, 457, 459, 462, 464, 465, 466, 467, 468, 469, 470, 474, 475, 476, 477, 478, 479, 481, 482, 483, 484, 485, 486, 487, 488, 489, 490, 491, 492, 493, 495, 496, 497, 498, 499, 500 and 501.

TEST B

For evaluating control of fall armyworm (*Spodoptera frugiperda*) the test unit consisted of a small open container with a 4–5-day-old maize (corn) plant inside. This was pre-infested (using a core sampler) with 10–15 1-day-old larvae on a piece of insect diet.

Test compounds were formulated and sprayed at 50 ppm and/or 10 ppm as described for Test A. The applications were replicated three times. After spraying, the test units were maintained in a growth chamber at 25 °C and 70% relative humidity and then visually rated as described for Test A.

Of the compounds of Formula **1** tested at 50 ppm, the following provided very good to excellent levels of control efficacy (40% or less feeding damage and/or 100% mortality): 1, 18, 19, 20, 21, 23, 26, 29, 30, 33, 36, 37, 40, 47, 49, 50, 51, 52, 53, 54, 56, 57, 58, 59, 60, 62, 63, 65, 66, 71, 74, 79, 80, 81, 82, 83, 84, 85, 86, 87, 89, 90, 101, 102, 103, 104, 105, 106, 110, 111, 112, 113, 115, 117, 118, 119, 120, 121, 122, 123, 124, 125, 126, 127, 128, 129, 130, 131, 132, 133, 134, 135, 136, 137, 138, 139, 140, 150, 151, 152, 154, 157, 158, 159, 160, 161, 162, 163, 164, 165, 166, 167, 168, 170, 171, 172, 173, 174, 175, 176, 177, 178, 179, 180, 181, 182, 183, 184, 187, 188, 189, 190, 191, 192, 193, 194, 195, 196, 198, 199, 200, 201, 202, 203, 205, 207, 208, 209, 210, 211, 214, 215, 219, 220, 221, 223, 227, 228, 229, 230, 231, 232, 235, 236, 238, 239, 240, 241, 244, 245, 246, 248, 250, 251, 252, 253, 254, 255, 256, 257, 259, 261, 262, 263, 264, 265, 266, 267, 269, 270, 271, 272, 273, 274, 276, 277, 278, 279, 281, 285, 286, 288, 289, 290, 291, 292, 294, 296, 297, 299, 300, 301, 304, 305, 306, 307, 308, 309, 310, 311, 313, 314, 315, 316, 317, 318, 319 and 334.

Of the compounds of Formula 1 tested at 10 ppm, the following provided very good to excellent levels of control efficacy (40% or less feeding damage and/or 100% mortality): 1, 18, 20, 23, 26, 29, 30, 33, 36, 40, 47, 49, 50, 51, 52, 53, 57, 58, 59, 60, 62, 63, 65, 66, 71, 79, 80, 81, 82, 83, 84, 85, 86, 89, 90, 101, 102, 103, 105, 113, 115, 118, 120, 121, 122, 123, 125, 126, 127, 128, 131, 132, 133, 134, 135, 136, 137, 138, 139, 140, 150, 151, 152, 154, 157, 158, 159, 160, 161, 162, 163, 165, 167, 168, 170, 173, 174, 175, 176, 177, 178, 180, 183, 184, 187, 189, 190, 191, 193, 194, 195, 196, 198, 199, 200, 202, 203, 207, 208, 209, 210, 211, 214, 215, 219, 220, 227, 228, 229, 230, 231, 232, 235, 238, 239, 240, 241, 244, 245, 246, 248, 250, 251, 252, 253, 254, 255, 256, 257, 261, 262, 263, 264, 265, 266, 269, 270, 271, 272, 276, 277, 278, 285, 286, 288, 289, 290, 291, 296, 297, 299, 300, 301, 305, 307, 308, 309, 313, 314, 315, 316, 317, 321, 322, 323, 325, 326, 327, 329, 330, 332, 334, 335, 336, 337, 338, 341, 343, 344, 345, 346, 347, 351, 352, 353, 354, 355, 359, 363, 364, 365, 366, 367, 368, 369, 374, 375, 376, 377, 378, 380, 381, 382, 384, 385, 386, 387, 388, 391, 395, 398, 399, 401, 402, 403, 404, 405, 407, 408, 409, 410, 411, 412, 413, 414, 415, 421, 422, 424, 425, 426, 427, 429, 431, 432, 433, 434, 435, 437, 438, 439, 440, 441, 442, 443, 448, 449, 450, 451, 453, 454, 455, 457, 458, 462, 464, 465, 466, 467, 468, 479, 480, 481, 482, 483, 484, 485, 486, 487, 489, 490, 491, 492, 493, 495, 496, 497, 498, 499, 500 and 501.

TEST C

For evaluating control of green peach aphid (*Myzus persicae*) through contact and/or systemic means, the test unit consisted of a small open container with a 12–15-day-old radish plant inside. This was pre-infested by placing on a leaf of the test plant 30–40 aphids on a piece of leaf excised from a culture plant (cut-leaf method). The aphids moved onto the test plant as the leaf piece desiccated. After pre-infestation, the soil of the test unit was covered with a layer of sand.

Test compounds were formulated and sprayed at 50 ppm and/or 10 ppm as described for Test A. The applications were replicated three times. After spraying of the formulated test compound, each test unit was allowed to dry for 1 h and then a black, screened cap was placed on top. The test units were held for 6 days in a growth chamber at 19–21 °C and 50–70% relative humidity. Each test unit was then visually assessed for insect mortality.

Of the compounds of Formula 1 tested at 50 ppm, the following resulted in at least 80% mortality: 29, 30, 32, 36, 40, 45, 47, 48, 50, 53, 56, 59, 60, 62, 71, 73, 74, 79, 80, 81, 82, 83, 85, 89, 102, 103, 104, 105, 111, 112, 113, 114, 115, 117, 118, 119, 120, 121, 122, 123, 125, 131, 132, 133, 135, 156, 159, 160, 167, 168, 173, 180, 182, 183, 191, 196, 199, 203, 205, 209, 211, 227, 228, 238, 239, 240, 241, 245, 257, 261, 265, 266, 270, 272, 275, 278, 280, 282, 285, 288, 289, 291, 294, 295, 296, 299, 304, 308, 311, 312, 324, 330, 331, 333, 337, 340, 343, 357, 358, 359, 360, 361, 364, 367, 368, 369, 372, 373, 374, 376, 378, 382, 383, 393, 395, 399, 403, 404, 405, 409, 411, 412, 414, 419, 421, 424, 425, 432, 435, 438, 439, 441, 443, 453, 457, 478, 495, 498 and 499.

Of the compounds of Formula **1** tested at 10 ppm, the following resulted in at least 80% mortality: 29, 30, 36, 47, 50, 53, 59, 62, 74, 79, 80, 81, 83, 113, 115, 118, 120, 121, 122, 123, 125, 132, 133, 135, 159, 160, 168, 180, 182, 183, 227, 240, 241, 257, 266, 285, 288, 289, 294, 295, 296, 299, 304, 311, 331, 333, 359, 374, 382, 395, 405, 424, 438, 439, 453, 495 and 498.

TEST D

For evaluating control of cotton melon aphid (*Aphis gossypii*) through contact and/or systemic means, the test unit consisted of a small open container with a 6–7-day-old cotton plant inside. This was pre-infested with 30–40 insects on a piece of leaf according to the cut-leaf method described for Test C, and the soil of the test unit was covered with a layer of sand.

Test compounds were formulated and sprayed at 50 ppm and/or 10 ppm as described for Test C. The applications were replicated three times. After spraying, the test units were maintained in a growth chamber and then visually rated as described for Test C.

Of the compounds of Formula **1** tested at 50 ppm, the following resulted in at least 80% mortality: 30, 36, 40, 48, 50, 53, 60, 62, 73, 74, 79, 80, 81, 82, 83, 102, 111, 115, 118, 121, 122, 123, 124, 125, 126, 129, 131, 133, 135, 159, 168, 180, 182, 183, 196, 199, 227, 235, 240, 257, 266, 275, 296, 304, 331, 333, 339, 340, 351, 373, 395, 405, 424, 425, 439, 441, 478, 495 and 498.

Of the compounds of Formula **1** tested at 10 ppm, the following resulted in at least 80% mortality: 53, 60, 62, 79, 83, 121, 122, 123, 168, 180, 182, 227, 240, 266, 296, 340, 373, 424, 495 and 498.

TEST E

For evaluating control of corn planthopper (*Peregrinus maidis*) through contact and/or systemic means, the test unit consisted of a small open container with a 3–4-day-old maize plant (spike) inside. White sand was added to the top of the soil prior to application. Test compounds were formulated and sprayed at 50 ppm and/or 10 ppm, and replicated three times as described for Test A. After spraying, the test units were allowed to dry for 1 h before they were post-infested with ~15-20 nymphs (18 to 21 day old) by sprinkling them onto the sand with a salt shaker. A black, screened cap was placed on the top of each test unit, and the test units were held for 6 days in a growth chamber at 22–24 °C and 50–70% relative humidity. Each test unit was then visually assessed for insect mortality.

Of the compounds of Formula **1** tested at 50 ppm the following provided very good to excellent levels of control efficacy (80% or more mortality): 1, 21, 26, 29, 30, 36, 40, 44, 47, 48, 49, 50, 51, 52, 53, 56, 57, 59, 60, 62, 63, 65, 70, 71, 73, 74, 77, 79, 80, 81, 82, 83, 84, 85, 101, 102, 103, 105, 111, 112, 113, 114, 115, 116, 117, 118, 119, 120, 121, 122, 123, 124, 125, 126, 127, 128, 129, 130, 131, 132, 133, 134, 135, 152, 157, 158, 159, 160, 165, 167,

168, 170, 173, 180, 181, 182, 183, 189, 191, 196, 199, 203, 205, 206, 209, 212, 227, 228, 231, 235, 240, 242, 246, 254, 257, 261, 262, 272, 275, 277, 282, 288, 289, 291, 294, 295, 296, 297, 298, 299, 300, 304, 309, 311, 312, 322, 324, 330, 331, 333, 337, 339, 340, 342, 343, 344, 347, 349, 352, 355, 358, 359, 360, 361, 363, 364, 365, 368, 369, 372, 373, 374, 377, 378, 379, 382, 384, 387, 393, 394, 395, 397, 399, 404, 405, 409, 414, 419, 421, 422, 424, 425, 432, 435, 439, 443, 450, 452, 453, 454, 455, 462, 464, 467, 475, 478, 482, 495, 496, 497, 498, 499 and 501.

Of the compounds of Formula **1** tested at 10 ppm the following provided very good to excellent levels of control efficacy (80% or more mortality): 26, 29, 30, 36, 37, 47, 48, 49, 50, 53, 56, 57, 59, 60, 62, 63, 74, 79, 80, 81, 82, 84, 102, 103, 105, 112, 113, 114, 115, 118, 119, 120, 121, 122, 123, 124, 125, 126, 127, 128, 129, 130, 132, 133, 135, 152, 157, 158, 159, 160, 165, 167, 168, 170, 173, 180, 182, 183, 189, 196, 227, 235, 240, 246, 257, 275, 282, 288, 289, 295, 296, 299, 300, 304, 309, 311, 324, 331, 333, 339, 340, 342, 358, 359, 364, 373, 374, 382, 393, 395, 397, 405, 419, 424, 452, 453, 455, 467, 478, 495, 496, 498 and 499.

TEST F

For evaluating control of potato leafhopper (*Empoasca fabae*) through contact and/or systemic means, the test unit consisted of a small open container with a 5–6-day-old Soleil bean plant (primary leaves emerged) inside. White sand was added to the top of the soil and one of the primary leaves was excised prior to application.

Test compounds were formulated and sprayed at 50 ppm and/or 10 ppm, and the tests were replicated three times as described for Test A. After spraying, the test units were allowed to dry for 1 h before they were post-infested with 5 potato leafhoppers (18–21-day-old adults). A black, screened cap was placed on the top of each test unit, and the test units were held for 6 days in a growth chamber at 24 °C and 70% relative humidity. Each test unit was then visually assessed for insect mortality.

Of the compounds of Formula **1** tested at 50 ppm the following provided very good to excellent levels of control efficacy (80% or more mortality): 1, 20, 21, 23, 26, 29, 30, 36, 37, 40, 41, 42, 43, 44, 45, 47, 48, 49, 50, 51, 52, 53, 56, 57, 58, 59, 60, 62, 63, 65, 66, 71, 74, 75, 77, 79, 80, 81, 82, 83, 84, 85, 89, 104, 105, 106, 107, 110, 111, 112, 113, 114, 115, 116, 117, 118, 119, 120, 121, 122, 123, 124, 125, 126, 127, 128, 129, 130, 131, 132, 134, 135, 136, 139, 140, 150, 152, 153, 155, 156, 157, 158, 159, 160, 161, 164, 165, 166, 167, 168, 169, 170, 173, 179, 180, 181, 182, 183, 186, 188, 189, 191, 193, 196, 199, 204, 205, 206, 207, 209, 210, 211, 212, 214, 215, 218, 225, 226, 227, 228, 230, 231, 235, 236, 238, 239, 240, 242, 245, 246, 250, 251, 256, 257, 261, 262, 272, 275, 276, 277, 278, 280, 282, 285, 286, 288, 289, 291, 292, 294, 295, 296, 299, 300, 301, 302, 304, 305, 306, 308, 309, 310, 311, 312, 318, 321, 322, 323, 324, 325, 327, 328, 330, 333, 336, 337, 338, 340, 342, 343, 344, 352, 354, 355, 356, 357, 358, 359, 360, 361, 363, 364, 367, 368, 369, 372, 373, 374, 375,

376, 377, 378, 382, 384, 385, 387, 388, 403, 404, 405, 407, 412, 414, 419, 421, 424, 425, 427, 432, 435, 438, 439, 440, 441, 443, 450, 457, 464, 467, 478, 482, 495, 498 and 499.

Of the compounds of Formula **1** tested at 10 ppm the following provided very good to excellent levels of control efficacy (80% or more mortality): 26, 29, 30, 36, 37, 40, 47, 48, 49, 50, 51, 52, 53, 56, 57, 59, 60, 62, 63, 65, 66, 71, 75, 79, 80, 81, 82, 83, 84, 88, 89, 102, 104, 105, 106, 111, 113, 114, 115, 116, 118, 119, 120, 121, 122, 123, 124, 125, 126, 127, 129, 130, 131, 132, 135, 152, 157, 158, 159, 160, 161, 164, 165, 166, 168, 169, 170, 173, 180, 182, 183, 189, 191, 196, 205, 206, 210, 211, 212, 214, 218, 227, 228, 231, 236, 239, 240, 245, 246, 256, 257, 262, 272, 277, 282, 285, 286, 289, 291, 295, 299, 300, 302, 309, 310, 312, 322, 323, 324, 330, 333, 342, 343, 344, 352, 354, 357, 359, 360, 364, 367, 368, 374, 375, 382, 405, 424, 434, 438, 440, 443, 478, 498 and 499.

TEST G

For evaluating control of Western Flower Thrips (*Frankliniella occidentalis*) through contact and/or systemic means, the test unit consisted of a small open container with a 5–7-day-old Soleil bean plant inside.

Test compounds were formulated and sprayed at 250, 50 and/or 10 ppm, and the tests were replicated three times as described for Test A. After spraying, the test units were allowed to dry for 1 hour, and then 22–27 adult thrips were added to the unit. A black, screened cap was placed on the top of each test unit, and the test units were held for 7 days at 25 °C and 45–55% relative humidity.

Of the compounds of Formula **1** tested at 250 ppm, the following provided very good to excellent levels of control efficacy (30% or less plant damage and/or 100% mortality): 50, 56, 89, 121, 139, 343, 344 and 346.

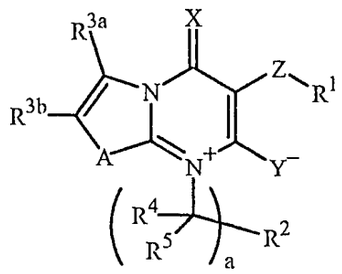
Of the compounds of Formula **1** tested at 50 ppm, the following provided very good to excellent levels of control efficacy (30% or less plant damage and/or 100% mortality): 191, 199, 230, 272, 285, 289, 300, 321, 322, 343, 344, 346, 352, 360, 364, 365, 368, 369, 377, 378, 407, 409, 411, 421, 432, 435, 438, 440 and 443.

Of the compounds of Formula **1** tested at 10 ppm, the following provided very good to excellent levels of control efficacy (30% or less plant damage and/or 100% mortality): 272, 289, 343, 344, 346, 364 and 369.

CLAIMS

What is claimed is:

1. A compound of Formula **1**, an *N*-oxide, or salt thereof,



1

wherein

X is O or S;

Y is O or S;

A is O, S, NR^{3e} or $C(R^{3c})=C(R^{3d})$, provided that the $C(R^{3c})=C(R^{3d})$ moiety is oriented so the carbon atom bonded to R^{3d} is connected directly to the pyrimidinium ring of Formula **1**;

Z is a direct bond, O, $S(O)_n$, NR^6, $C(R^7)_2O$, $OC(R^7)_2$, $C(=X^1)$, $C(=X^1)E$, $EC(=X^1)$, $C(=NOR^8)$ or $C(=NN(R^6)_2)$;

X^1 is O, S or NR^9;

E is O, S or NR^{9a};

R^1 is H, halogen, cyano, CHO, C(=O)OH, $C(=O)NH_2$ or $C(=S)NH_2$; or C_1–C_8 alkyl, C_2–C_8 alkenyl, C_2–C_8 alkynyl, C_3–C_{10} cycloalkyl, C_4–C_{10} alkylcycloalkyl, C_4–C_{10} cycloalkylalkyl, C_6–C_{14} cycloalkylcycloalkyl, C_5–C_{10} alkylcycloalkylalkyl or C_3–C_6 cycloalkenyl, each unsubstituted or substituted with at least one substituent independently selected from the group consisting of halogen, cyano, nitro, CHO, C(=O)OH, $C(=O)NH_2$, $C(=O)R^{10}$, $C(=O)OR^{11}$, $C(=O)NR^{12}R^{13}$, $C(=O)NR^{21}NR^{22}R^{23}$, OR^{11}, $S(O)_nR^{10}$, $SO_2NR^{12}R^{13}$, $S(=O)(=NR^{21})R^{22}$, $Si(R^{10})_3$ and Z^1Q^t; or a 3- to 10-membered ring or a 7- to 11-membered ring system, each ring or ring system containing ring members selected from carbon atoms and up to 4 heteroatoms independently selected from up to 2 O, up to 2 S, and up to 4 N, wherein up to 3 carbon atom ring members are independently selected from C(=O) and C(=S) and the sulfur atom ring members are independently selected from $S(=O)_u(=NR^{24})_z$, each ring or ring system

optionally substituted with up to 5 substituents independently selected from R^{14}; provided that when R^1 is halogen, then Z is a direct bond, S(=O), $S(=O)_2$, $OC(R^7)_2$, $C(=X^1)$, $EC(=X^1)$, $C(=NOR^8)$ or $C(=NN(R^6)_2)$;

R^2 is H, halogen, cyano, hydroxy, amino, nitro, OCN, SCN, CHO, C(=O)OH, $C(=O)NH_2$, $C(=S)NH_2$, SO_2NH_2, $C(=O)R^{18}$, $C(=O)OR^{18}$, NHR^{18}, $NR^{18}R^{19}$, $C(=O)NR^{21}R^{19}$, $C(=S)NR^{21}R^{19}$, $SO_2NR^{21}R^{19}$, $OC(=O)R^{21}$, $OC(=O)OR^{18}$, $OC(=O)NR^{21}R^{19}$, $N(R^{21})C(=O)R^{21}$, $N(R^{21})C(=O)OR^{19}$, $N(R^{21})C(=O)NR^{21}R^{22}$, OSO_2R^{18}, $OSO_2NR^{21}R^{22}$, $NR^{21}SO_2R^{18}$, $NR^{21}SO_2NR^{21}R^{22}$ or $Si(R^{18}R^{19}R^{20})$; or C_1–C_8 alkyl, C_2–C_8 alkenyl, C_2–C_8 alkynyl, C_3–C_{10} cycloalkyl, C_4–C_{10} alkylcycloalkyl, C_4–C_{10} cycloalkylalkyl, C_6–C_{14} cycloalkylcycloalkyl, C_5–C_{10} alkylcycloalkylalkyl, C_3–C_8 cycloalkenyl, C_1–C_8 alkoxy, C_3–C_8 cycloalkoxy, C_4–C_{10} cycloalkylalkoxy, C_2–C_8 alkenyloxy, C_2–C_8 alkynyloxy, C_1–C_8 alkylthio, C_1–C_8 alkylsulfinyl, C_1–C_8 alkylsulfonyl, C_3–C_8 cycloalkylthio, C_3–C_8 cycloalkylsulfinyl, C_3–C_8 cycloalkylsulfonyl, C_4–C_{10} cycloalkylalkylthio, C_4–C_{10} cycloalkylalkylsulfinyl, C_4–C_{10} cycloalkylalkylsulfonyl, C_2–C_8 alkenylthio, C_2–C_8 alkenylsulfinyl, C_2–C_8 alkenylsulfonyl, C_2–C_8 alkynylthio, C_2–C_8 alkynylsulfinyl or C_2–C_8 alkynylsulfonyl, each unsubstituted or substituted with at least one substituent independently selected from the group consisting of halogen, cyano, nitro, CHO, C(=O)OH, $C(=O)NH_2$, $C(=O)R^{10}$, $C(=O)OR^{11}$, $C(=O)NR^{12}R^{13}$, OR^{11}, $S(O)_nR^{10}$, $SO_2NR^{12}R^{13}$ and $Si(R^{10})_3$; or a 3- to 10-membered ring or a 7- to 11-membered ring system, each ring or ring system containing ring members selected from carbon atoms and up to 4 heteroatoms independently selected from up to 2 O, up to 2 S, and up to 4 N, wherein up to 3 carbon atom ring members are independently selected from C(=O) and C(=S) and the sulfur atom ring members are independently selected from $S(=O)_u(=NR^{24})_z$, each ring or ring system optionally substituted with up to 5 substituents independently selected from R^{15};

R^{3a}, R^{3b}, R^{3c} and R^{3d} are independently H, halogen, cyano, hydroxy, amino, nitro, SF_5, OCN, SCN, CHO, C(=O)OH, $C(=O)NH_2$, $C(=S)NH_2$, SO_2NH_2, $C(=O)R^{18}$, $C(=O)OR^{18}$, NHR^{18}, $NR^{18}R^{19}$, $C(=O)NR^{21}R^{19}$, $C(=S)NR^{21}R^{19}$, $SO_2NR^{21}R^{19}$, $OC(=O)R^{21}$, $OC(=O)OR^{18}$, $OC(=O)NR^{21}R^{19}$, $N(R^{21})C(=O)R^{21}$, $N(R^{21})C(=O)OR^{19}$, $N(R^{21})C(=O)NR^{21}R^{22}$, OSO_2R^{18}, $OSO_2NR^{21}R^{22}$, $NR^{21}SO_2R^{18}$, $NR^{21}SO_2NR^{21}R^{22}$, $Si(R^{18}R^{19}R^{20})$ or Z^1Q^t; or C_1–C_8 alkyl, C_2–C_8 alkenyl, C_2–C_8 alkynyl, C_3–C_{10} cycloalkyl, C_4–C_{10} alkylcycloalkyl, C_4–C_{10} cycloalkylalkyl, C_6–C_{14} cycloalkylcycloalkyl, C_5–C_{10} alkylcycloalkylalkyl, C_3–

C_8 cycloalkenyl, C_1–C_8 alkoxy, C_3–C_8 cycloalkoxy, C_4–C_{10} cycloalkylalkoxy, C_2–C_8 alkenyloxy, C_2–C_8 alkynyloxy, C_1–C_8 alkylthio, C_1–C_8 alkylsulfinyl, C_1–C_8 alkylsulfonyl, C_3–C_8 cycloalkylthio, C_3–C_8 cycloalkylsulfinyl, C_3–C_8 cycloalkylsulfonyl, C_4–C_{10} cycloalkylalkylthio, C_4–C_{10} cycloalkylalkylsulfinyl, C_4–C_{10} cycloalkylalkylsulfonyl, C_2–C_8 alkenylthio, C_2–C_8 alkenylsulfinyl, C_2–C_8 alkenylsulfonyl, C_2–C_8 alkynylthio, C_2–C_8 alkynylsulfinyl or C_2–C_8 alkynylsulfonyl, each unsubstituted or substituted with at least one substituent independently selected from R^{17}; or R^{3a} and R^{3b}, or R^{3b} and R^{3c}, or R^{3c} and R^{3d} are taken together with the adjacent carbon atoms to which they are attached to form a 5- to 7-membered carbocyclic or heterocyclic ring, each ring containing ring members selected from carbon atoms and up to 3 heteroatoms independently selected from up to 2 O, up to 2 S, and up to 3 N, wherein up to 2 carbon atom ring members are independently selected from C(=O) and C(=S) and the sulfur atom ring members are independently selected from $S(=O)_n$, each ring optionally substituted with up to 3 substituents independently selected from the group consisting of halogen, cyano, hydroxy, amino, nitro, C(=O)OH, $C(=O)NH_2$, SO_2NH_2, C_1–C_4 alkyl, C_1–C_4 haloalkyl, C_2–C_4 alkenyl, C_2–C_4 haloalkenyl, C_2–C_4 alkynyl, C_2–C_4 haloalkynyl, C_3–C_7 cycloalkyl, C_3–C_7 halocycloalkyl, C_4–C_8 alkylcycloalkyl, C_4–C_8 haloalkylcycloalkyl, C_4–C_8 cycloalkylalkyl, C_4–C_8 halocycloalkylalkyl, C_1–C_6 alkoxy, C_1–C_6 haloalkoxy, C_2–C_6 alkoxycarbonyl, C_2–C_6 haloalkoxycarbonyl, C_2–C_6 alkylcarbonyl and C_2–C_6 haloalkylcarbonyl;

R^{3e} is H, hydroxy, amino, CHO, $C(=O)NH_2$, $C(=S)NH_2$, SO_2NH_2, $C(=O)R^{18}$, $C(=O)OR^{18}$, NHR^{18}, $NR^{18}R^{19}$, $C(=O)NR^{21}R^{19}$, $C(=S)NR^{21}R^{19}$, $SO_2NR^{21}R^{19}$, $OC(=O)R^{21}$, $OC(=O)OR^{18}$, $OC(=O)NR^{21}R^{19}$, $N(R^{21})C(=O)R^{21}$, $N(R^{21})C(=O)OR^{19}$, $N(R^{21})C(=O)NR^{21}R^{22}$, OSO_2R^{18}, $OSO_2NR^{21}R^{22}$, $NR^{21}SO_2R^{18}$, $NR^{21}SO_2NR^{21}R^{22}$, $Si(R^{18}R^{19}R^{20})$ or Z^1Q^t; or C_1–C_8 alkyl, C_2–C_8 alkenyl, C_2–C_8 alkynyl, C_3–C_{10} cycloalkyl, C_4–C_{10} alkylcycloalkyl, C_4–C_{10} cycloalkylalkyl, C_6–C_{14} cycloalkylcycloalkyl, C_5–C_{10} alkylcycloalkylalkyl, C_3–C_8 cycloalkenyl, C_1–C_8 alkoxy, C_3–C_8 cycloalkoxy, C_4–C_{10} cycloalkylalkoxy, C_2–C_8 alkenyloxy, C_2–C_8 alkynyloxy, C_1–C_8 alkylsulfinyl, C_1–C_8 alkylsulfonyl, C_3–C_8 cycloalkylsulfinyl, C_3–C_8 cycloalkylsulfonyl, C_4–C_{10} cycloalkylalkylsulfinyl, C_4–C_{10} cycloalkylalkylsulfonyl, C_2–C_8 alkenylsulfinyl, C_2–C_8 alkenylsulfonyl, C_2–C_8 alkynylsulfinyl or C_2–C_8 alkynylsulfonyl, each unsubstituted or substituted with at least one substituent independently selected from R^{17}; or R^{3e} and R^{3b} are taken together with the adjacent carbon atoms to

which they are attached to form a 5- to 7-membered carbocyclic or heterocyclic ring, each ring containing ring members selected from carbon atoms and up to 3 heteroatoms independently selected from up to 2 O, up to 2 S, and up to 3 N, wherein up to 2 carbon atom ring members are independently selected from C(=O) and C(=S) and the sulfur atom ring members are independently selected from $S(=O)_n$, each ring optionally substituted with up to 3 substituents independently selected from the group consisting of halogen, cyano, hydroxy, amino, nitro, C(=O)OH, $C(=O)NH_2$, SO_2NH_2, C_1–C_4 alkyl, C_1–C_4 haloalkyl, C_2–C_4 alkenyl, C_2–C_4 haloalkenyl, C_2–C_4 alkynyl, C_2–C_4 haloalkynyl, C_3–C_7 cycloalkyl, C_3–C_7 halocycloalkyl, C_4–C_8 alkylcycloalkyl, C_4–C_8 haloalkylcycloalkyl, C_4–C_8 cycloalkylalkyl, C_4–C_8 halocycloalkylalkyl, C_1–C_6 alkoxy, C_1–C_6 haloalkoxy, C_2–C_6 alkoxycarbonyl, C_2–C_6 haloalkoxycarbonyl, C_2–C_6 alkylcarbonyl and C_2–C_6 haloalkylcarbonyl;

each R^4 and R^5 is independently H, halogen, cyano, hydroxy, amino, nitro, OCN, SCN, CHO, C(=O)OH, $C(=O)NH_2$, $C(=S)NH_2$ or SO_2NH_2; or C_1–C_6 alkyl, C_2–C_6 alkenyl, C_2–C_6 alkynyl, C_3–C_8 cycloalkyl, C_4–C_8 alkylcycloalkyl, C_4–C_8 cycloalkylalkyl, C_6–C_{12} cycloalkylcycloalkyl, C_5–C_8 alkylcycloalkylalkyl, C_3–C_6 cycloalkenyl, C_1–C_6 alkoxy, C_3–C_6 cycloalkoxy, C_4–C_8 cycloalkylalkoxy, C_2–C_6 alkenyloxy or C_2–C_6 alkynyloxy, each unsubstituted or substituted with at least one substituent independently selected from the group consisting of halogen, cyano, nitro, CHO, C(=O)OH, $C(=O)NH_2$, $C(=O)R^{10}$, $C(=O)OR^{11}$, $C(=O)NR^{12}R^{13}$, OR^{11}, $S(O)_nR^{10}$, $SO_2NR^{12}R^{13}$ and $Si(R^{10})_3$; or

R^4 and R^5 are taken together with the carbon atom to which they are attached to form a 3- to 7-membered ring containing ring members selected from carbon atoms and up to 2 heteroatoms independently selected from one O, one S, and up to 2 N, wherein up to 2 carbon atom ring members are independently selected from C(=O) and C(=S) and the sulfur atom ring member is selected from S, S(O) or $S(O)_2$, said ring optionally substituted with up to 4 substituents independently selected from the group consisting of halogen, cyano and C_1–C_4 alkyl;

each R^6 is independently H; or C_1–C_6 alkyl, C_2–C_6 alkenyl, C_2–C_6 alkynyl, C_3–C_8 cycloalkyl, C_4–C_8 alkylcycloalkyl, C_4–C_8 cycloalkylalkyl, C_6–C_{10} cycloalkylcycloalkyl, C_5–C_{10} alkylcycloalkylalkyl, C_3–C_6 cycloalkenyl, C_2–C_6 alkylcarbonyl or C_2–C_6 alkoxycarbonyl, each unsubstituted or substituted with at least one substituent independently selected from the group consisting of halogen, cyano, nitro, CHO, C(=O)OH, $C(=O)NH_2$, $C(=O)R^{10}$, $C(=O)OR^{11}$, $C(=O)NR^{12}R^{13}$, OR^{11}, $S(O)_nR^{10}$, $SO_2NR^{12}R^{13}$ and $Si(R^{10})_3$; or

two R^6 substituents are taken together with the nitrogen atom to which they are attached to form a 3- to 7-membered ring containing ring members selected from carbon atoms and up to 2 heteroatoms independently selected from one O, one S, and up to 2 N, wherein up to 2 carbon atom ring members are independently selected from C(=O) and C(=S) and the sulfur atom ring member is selected from S, S(O) or $S(O)_2$, said ring optionally substituted with up to 4 substituents independently selected from the group consisting of halogen, cyano and C_1–C_4 alkyl;

each R^7 and R^8 is independently H; or C_1–C_6 alkyl, C_2–C_6 alkenyl, C_2–C_6 alkynyl, C_3–C_8 cycloalkyl, C_4–C_8 alkylcycloalkyl, C_4–C_8 cycloalkylalkyl, C_6–C_{10} cycloalkylcycloalkyl, C_5–C_{10} alkylcycloalkylalkyl, C_3–C_6 cycloalkenyl, C_2–C_6 alkylcarbonyl or C_2–C_6 alkoxycarbonyl, each unsubstituted or substituted with at least one substituent independently selected from the group consisting of halogen, cyano, nitro, CHO, C(=O)OH, $C(=O)NH_2$, $C(=O)R^{10}$, $C(=O)OR^{11}$, $C(=O)NR^{12}R^{13}$, OR^{11}, $S(O)_nR^{10}$, $SO_2NR^{12}R^{13}$ and $Si(R^{10})_3$;

each R^9 is independently C_1–C_6 alkyl, C_2–C_6 alkenyl, C_2–C_6 alkynyl, C_3–C_8 cycloalkyl, C_4–C_8 alkylcycloalkyl, C_4–C_8 cycloalkylalkyl, C_6–C_{10} cycloalkylcycloalkyl, C_5–C_{10} alkylcycloalkylalkyl, C_3–C_6 cycloalkenyl, C_2–C_6 alkylcarbonyl or C_2–C_6 alkoxycarbonyl, each unsubstituted or substituted with at least one substituent independently selected from the group consisting of halogen, cyano, nitro, CHO, C(=O)OH, $C(=O)NH_2$, $C(=O)R^{10}$, $C(=O)OR^{11}$, $C(=O)NR^{12}R^{13}$, OR^{11}, $S(O)_nR^{10}$, $SO_2NR^{12}R^{13}$ and $Si(R^{10})_3$;

each R^{9a} is independently H; or C_1–C_6 alkyl, C_2–C_6 alkenyl, C_2–C_6 alkynyl, C_3–C_8 cycloalkyl, C_4–C_8 alkylcycloalkyl, C_4–C_8 cycloalkylalkyl, C_6–C_{10} cycloalkylcycloalkyl, C_5–C_{10} alkylcycloalkylalkyl, C_3–C_6 cycloalkenyl, C_2–C_6 alkylcarbonyl or C_2–C_6 alkoxycarbonyl, each unsubstituted or substituted with at least one substituent independently selected from the group consisting of halogen, cyano, nitro, CHO, C(=O)OH, $C(=O)NH_2$, $C(=O)R^{10}$, $C(=O)OR^{11}$, $C(=O)NR^{12}R^{13}$, OR^{11}, $S(O)_nR^{10}$, $SO_2NR^{12}R^{13}$ and $Si(R^{10})_3$;

each R^{10} and R^{11} is independently C_1–C_6 alkyl, C_2–C_6 alkenyl, C_2–C_6 alkynyl, C_3–C_8 cycloalkyl, C_4–C_8 alkylcycloalkyl, C_4–C_8 cycloalkylalkyl, C_6–C_{10} cycloalkylcycloalkyl, C_5–C_{10} alkylcycloalkylalkyl or C_3–C_6 cycloalkenyl, each unsubstituted or substituted with at least one substituent independently selected from the group consisting of halogen, cyano, nitro, CHO, C(=O)OH, $C(=O)NH_2$, 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_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 and C_3–C_6 trialkylsilyl; or phenyl or a 5- or 6-membered heteroaromatic ring, each unsubstituted or substituted with at least one substituent independently selected from the group consisting of C_1–C_6 alkyl, C_1–C_6 haloalkyl, C_2–C_6 alkenyl, C_2–C_6 alkynyl, C_3–C_8 cycloalkyl, C_4–C_8 alkylcycloalkyl, C_4–C_8 cycloalkylalkyl, C_6–C_{10} cycloalkylcycloalkyl, C_5–C_{10} alkylcycloalkylalkyl, C_3–C_6 cycloalkenyl, halogen, cyano, nitro, CHO, C(=O)OH, $C(=O)NH_2$, 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_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 and C_3–C_6 trialkylsilyl;

each R^{12} and R^{13} is independently C_1–C_6 alkyl, C_2–C_6 alkenyl, C_2–C_6 alkynyl, C_3–C_8 cycloalkyl, C_4–C_8 alkylcycloalkyl, C_4–C_8 cycloalkylalkyl, C_6–C_{10} cycloalkylcycloalkyl, C_5–C_{10} alkylcycloalkylalkyl or C_3–C_6 cycloalkenyl, each unsubstituted or substituted with at least one substituent independently selected from the group consisting of halogen, cyano, nitro, CHO, C(=O)OH, $C(=O)NH_2$, 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_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 and C_3–C_6 trialkylsilyl; or phenyl or a 5- or 6-membered heteroaromatic ring, each unsubstituted or substituted with at least one substituent independently selected from the group consisting of C_1–C_6 alkyl, C_1–C_6 haloalkyl, C_2–C_6 alkenyl, C_2–C_6 alkynyl, C_3–C_8 cycloalkyl, C_4–C_8 alkylcycloalkyl, C_4–C_8 cycloalkylalkyl, C_6–C_{10} cycloalkylcycloalkyl, C_5–C_{10} alkylcycloalkylalkyl, C_3–C_6 cycloalkenyl, halogen, cyano, nitro, CHO, C(=O)OH, $C(=O)NH_2$, 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_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 and C_3–C_6 trialkylsilyl; or

R^{12} and R^{13} are taken together with the nitrogen atom to which they are attached to form a 3- to 7-membered ring containing ring members selected from carbon atoms and up to 2 heteroatoms independently selected from one O, one S, and up to 2 N, wherein up to 2 carbon atom ring members are independently selected from C(=O) and C(=S) and the sulfur atom ring member is selected from S, S(O) or $S(O)_2$, said ring optionally substituted with up to 4 substituents independently selected from the group consisting of halogen, cyano and C_1–C_4 alkyl;

each R^{14} is independently halogen, cyano, hydroxy, amino, nitro, SF_5, OCN, SCN, CHO, C(=O)OH, $C(=O)NH_2$, $C(=S)NH_2$, SO_2NH_2, $C(=O)R^{18}$, $C(=O)OR^{18}$, NHR^{18}, $NR^{18}R^{19}$, $C(=O)NR^{21}R^{19}$, $C(=O)NR^{21}NR^{22}R^{23}$, $C(=S)NR^{21}R^{19}$, $SO_2NR^{21}R^{19}$, $OC(=O)R^{21}$, $OC(=O)OR^{18}$, $OC(=O)NR^{21}R^{19}$, $N(R^{21})C(=O)R^{21}$, $N(R^{21})C(=O)OR^{19}$, $N(R^{21})C(=O)NR^{21}R^{22}$, OSO_2R^{18}, $OSO_2NR^{21}R^{22}$, $NR^{21}SO_2R^{18}$, $NR^{21}SO_2NR^{21}R^{22}$, $Si(R^{18}R^{19}R^{20})$, $C(=NR^{21})R^{22}$, $C(=NOR^{21})R^{22}$, $C(=NNR^{21}R^{22})R^{23}$, $C(=NN(C(=O)R^{19})R^{21})R^{22}$, $C(=NN(C(=O)OR^{19})R^{21})R^{22}$, $ON=CR^{21}R^{22}$, $ONR^{21}R^{22}$, $S(=O)(=NR^{21})R^{22}$, $SO_2NR^{21}C(=O)NR^{22}R^{23}$, $P(=X^2)R^{18}R^{19}$, $OP(=X^2)R^{18}R^{19}$, $OP(=X^2)(OR^{18})R^{19}$, $OP(=X^2)(OR^{18})OR^{19}$, $N=CR^{21}R^{22}$, $NR^{21}N=CR^{22}R^{23}$, $NR^{21}NR^{22}R^{23}$, $NR^{21}C(=X^2)NR^{22}R^{23}$, $NR^{21}C(=NR^{21})NR^{22}R^{23}$, $NR^{21}NR^{21}C(=X^2)NR^{22}R^{23}$, $NR^{21}NR^{21}SO_2NR^{22}R^{23}$, Z^1Q^t or $Z^1Q^iZ^1Q^t$; or C_1–C_8 alkyl, C_2–C_8 alkenyl, C_2–C_8 alkynyl, C_3–C_{10} cycloalkyl, C_4–C_{10} alkylcycloalkyl, C_4–C_{10} cycloalkylalkyl, C_6–C_{14} cycloalkylcycloalkyl, C_5–C_{10} alkylcycloalkylalkyl, C_3–C_8 cycloalkenyl, C_1–C_8 alkoxy, C_3–C_8 cycloalkoxy, C_4–C_{10} cycloalkylalkoxy, C_2–C_8 alkenyloxy, C_2–C_8 alkynyloxy, C_1–C_8 alkylthio, C_1–C_8 alkylsulfinyl, C_1–C_8 alkylsulfonyl, C_3–C_8 cycloalkylthio, C_3–C_8 cycloalkylsulfinyl, C_3–C_8 cycloalkylsulfonyl, C_4–C_{10} cycloalkylalkylthio, C_4–C_{10} cycloalkylalkylsulfinyl, C_4–C_{10} cycloalkylalkylsulfonyl, C_2–C_8 alkenylthio, C_2–C_8 alkenylsulfinyl, C_2–C_8 alkenylsulfonyl, C_2–C_8 alkynylthio, C_2–C_8 alkynylsulfinyl or C_2–C_8 alkynylsulfonyl, each unsubstituted or substituted with at least one substituent independently selected from R^{17}; or

two R^{14} substituents on adjacent ring atoms are taken together with the adjacent ring atoms to form a 5- to 7-membered carbocyclic or heterocyclic ring, each ring containing ring members selected from carbon atoms and up to 3 heteroatoms independently selected from up to 2 O, up to 2 S, and up to 3 N, wherein up to 2

carbon atom ring members are independently selected from C(=O) and C(=S) and the sulfur atom ring members are independently selected from $S(=O)_n$, each ring optionally substituted with up to 3 substituents independently selected from the group consisting of halogen, cyano, hydroxy, amino, nitro, C(=O)OH, $C(=O)NH_2$, SO_2NH_2, C_1–C_4 alkyl, C_1–C_4 haloalkyl, C_2–C_4 alkenyl, C_2–C_4 haloalkenyl, C_2–C_4 alkynyl, C_2–C_4 haloalkynyl, C_3–C_7 cycloalkyl, C_3–C_7 halocycloalkyl, C_4–C_8 alkylcycloalkyl, C_4–C_8 haloalkylcycloalkyl, C_4–C_8 cycloalkylalkyl, C_4–C_8 halocycloalkylalkyl, C_1–C_6 alkoxy, C_1–C_6 haloalkoxy, C_2–C_6 alkoxycarbonyl, C_2–C_6 haloalkoxycarbonyl, C_2–C_6 alkylcarbonyl and C_2–C_6 haloalkylcarbonyl;

each X^2 is independently O or S;

each Z^1 is independently a direct bond, O, $S(O)_n$, NR^6, $C(R^7)_2$, $C(R^7)=C(R^7)$, C≡C, $C(R^7)_2O$, $OC(R^7)_2$, $C(=X^1)$, $C(=X^1)E$, $EC(=X^1)$, $C(=NOR^8)$ or $C(=NN(R^6)_2)$;

each Q^i is independently a 3- to 10-membered ring or a 7- to 11-membered ring system, each ring or ring system containing ring members selected from carbon atoms and up to 4 heteroatoms independently selected from up to 2 O, up to 2 S, and up to 4 N, wherein up to 3 carbon atom ring members are independently selected from C(=O) and C(=S) and the sulfur atom ring members are independently selected from $S(=O)_u(=NR^{24})_z$, each ring or ring system optionally substituted with up to 4 substituents independently selected from the group consisting of halogen, cyano, nitro, CHO, C(=O)OH, $C(=O)NH_2$, $C(=O)R^{10}$, $C(=O)OR^{11}$, $C(=O)NR^{12}R^{13}$, OR^{11}, $S(O)_nR^{10}$, $SO_2NR^{12}R^{13}$, $Si(R^{10})_3$ and R^{16};

each Q^t is independently a 3- to 10-membered ring or a 7- to 11-membered ring system, each ring or ring system containing ring members selected from carbon atoms and up to 4 heteroatoms independently selected from up to 2 O, up to 2 S, and up to 4 N, wherein up to 3 carbon atom ring members are independently selected from C(=O) and C(=S) and the sulfur atom ring members are independently selected from $S(=O)_u(=NR^{24})_z$, each ring or ring system optionally substituted with up to 5 substituents independently selected from the group consisting of halogen, cyano, nitro, CHO, C(=O)OH, $C(=O)NH_2$, $C(=O)R^{10}$, $C(=O)OR^{11}$, $C(=O)NR^{12}R^{13}$, $C(=O)NR^{21}NR^{22}R^{23}$, OR^{11}, $S(O)_nR^{10}$, $SO_2NR^{12}R^{13}$, $S(=O)(=NR^{21})R^{22}$, $Si(R^{10})_3$ and R^{16};

each R^{15} is independently halogen, cyano, hydroxy, amino, nitro, SF_5, OCN, SCN, CHO, C(=O)OH, $C(=O)NH_2$, $C(=S)NH_2$, SO_2NH_2, $C(=O)R^{18}$, $C(=O)OR^{18}$, NHR^{18}, $NR^{18}R^{19}$, $C(=O)NR^{21}R^{19}$, $C(=O)NR^{21}NR^{22}R^{23}$, $C(=S)NR^{21}R^{19}$,

$SO_2NR^{21}R^{19}$, $S(=O)(=NR^{21})R^{22}$, $OC(=O)R^{21}$, $OC(=O)OR^{18}$, $OC(=O)NR^{21}R^{19}$, $N(R^{21})C(=O)R^{21}$, $N(R^{21})C(=O)OR^{19}$, $N(R^{21})C(=O)NR^{21}R^{22}$, OSO_2R^{18}, $OSO_2NR^{21}R^{22}$, $NR^{21}SO_2R^{18}$, $NR^{21}SO_2NR^{21}R^{22}$, $Si(R^{18}R^{19}R^{20})$ or Z^1Q^t; or C_1–C_8 alkyl, C_2–C_8 alkenyl, C_2–C_8 alkynyl, C_3–C_{10} cycloalkyl, C_4–C_{10} alkylcycloalkyl, C_4–C_{10} cycloalkylalkyl, C_6–C_{14} cycloalkylcycloalkyl, C_5–C_{10} alkylcycloalkylalkyl, C_3–C_8 cycloalkenyl, C_1–C_8 alkoxy, C_3–C_8 cycloalkoxy, C_4–C_{10} cycloalkylalkoxy, C_2–C_8 alkenyloxy, C_2–C_8 alkynyloxy, C_1–C_8 alkylthio, C_1–C_8 alkylsulfinyl, C_1–C_8 alkylsulfonyl, C_3–C_8 cycloalkylthio, C_3–C_8 cycloalkylsulfinyl, C_3–C_8 cycloalkylsulfonyl, C_4–C_{10} cycloalkylalkylthio, C_4–C_{10} cycloalkylalkylsulfinyl, C_4–C_{10} cycloalkylalkylsulfonyl, C_2–C_8 alkenylthio, C_2–C_8 alkenylsulfinyl, C_2–C_8 alkenylsulfonyl, C_2–C_8 alkynylthio, C_2–C_8 alkynylsulfinyl or C_2–C_8 alkynylsulfonyl, each unsubstituted or substituted with at least one substituent independently selected from R^{17}; or

two R^{15} substituents on adjacent ring atoms are taken together with the adjacent ring atoms to form a 5- to 7-membered carbocyclic or heterocyclic ring, each ring containing ring members selected from carbon atoms and up to 3 heteroatoms independently selected from up to 2 O, up to 2 S, and up to 3 N, wherein up to 2 carbon atom ring members are independently selected from C(=O) and C(=S) and the sulfur atom ring members are independently selected from $S(=O)_n$, each ring optionally substituted with up to 3 substituents independently selected from the group consisting of halogen, cyano, hydroxy, amino, nitro, C(=O)OH, $C(=O)NH_2$, SO_2NH_2, C_1–C_4 alkyl, C_1–C_4 haloalkyl, C_2–C_4 alkenyl, C_2–C_4 haloalkenyl, C_2–C_4 alkynyl, C_2–C_4 haloalkynyl, C_3–C_7 cycloalkyl, C_3–C_7 halocycloalkyl, C_4–C_8 alkylcycloalkyl, C_4–C_8 haloalkylcycloalkyl, C_4–C_8 cycloalkylalkyl, C_4–C_8 halocycloalkylalkyl, C_1–C_6 alkoxy, C_1–C_6 haloalkoxy, C_2–C_6 alkoxycarbonyl, C_2–C_6 haloalkoxycarbonyl, C_2–C_6 alkylcarbonyl and C_2–C_6 haloalkylcarbonyl;

each R^{16} is independently C_1–C_6 alkyl, C_2–C_6 alkenyl, C_2–C_6 alkynyl, C_3–C_8 cycloalkyl, C_4–C_8 alkylcycloalkyl, C_4–C_8 cycloalkylalkyl, C_6–C_{10} cycloalkylcycloalkyl, C_5–C_{10} alkylcycloalkylalkyl or C_3–C_6 cycloalkenyl, each unsubstituted or substituted with at least one substituent independently selected from the group consisting of halogen, cyano, nitro, CHO, C(=O)OH, $C(=O)NH_2$, 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_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 and C_3–C_6 trialkylsilyl; or phenyl or a 5- or 6-membered heteroaromatic ring, each unsubstituted or substituted with at least one substituent independently selected from the group consisting of C_1–C_6 alkyl, C_2–C_6 alkenyl, C_2–C_6 alkynyl, C_3–C_8 cycloalkyl, C_4–C_8 alkylcycloalkyl, C_4–C_8 cycloalkylalkyl, C_6–C_{10} cycloalkylcycloalkyl, C_5–C_{10} alkylcycloalkylalkyl, C_3–C_6 cycloalkenyl, halogen, cyano, nitro, CHO, C(=O)OH, $C(=O)NH_2$, 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_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 and C_3–C_6 trialkylsilyl;

each R^{17} is independently halogen, cyano, nitro, OH, CHO, C(=O)OH, $C(=O)NH_2$, $C(=O)R^{10}$, $C(=O)OR^{11}$, $C(=O)NR^{12}R^{13}$, OR^{11}, $S(O)_nR^{10}$, $SO_2NR^{12}R^{13}$, $Si(R^{10})_3$ or Z^1Q^t;

each R^{18}, R^{19} and R^{20} is independently Q^t; or C_1–C_6 alkyl, C_2–C_6 alkenyl, C_2–C_6 alkynyl, C_3–C_8 cycloalkyl, C_4–C_8 alkylcycloalkyl, C_4–C_8 cycloalkylalkyl, C_6–C_{10} cycloalkylcycloalkyl, C_5–C_{10} alkylcycloalkylalkyl or C_3–C_6 cycloalkenyl, each unsubstituted or substituted with at least one substituent independently selected from R^{17};

each R^{21} is independently Q^t or H; or C_1–C_6 alkyl, C_2–C_6 alkenyl, C_2–C_6 alkynyl, C_3–C_8 cycloalkyl, C_4–C_8 alkylcycloalkyl, C_4–C_8 cycloalkylalkyl, C_6–C_{10} cycloalkylcycloalkyl, C_5–C_{10} alkylcycloalkylalkyl or C_3–C_6 cycloalkenyl, each unsubstituted or substituted with at least one substituent independently selected from R^{17};

each R^{22} and R^{23} is independently Q^t or H; or C_1–C_6 alkyl, C_2–C_6 alkenyl, C_2–C_6 alkynyl, C_3–C_8 cycloalkyl, C_4–C_8 alkylcycloalkyl, C_4–C_8 cycloalkylalkyl, C_6–C_{10} cycloalkylcycloalkyl, C_5–C_{10} alkylcycloalkylalkyl or C_3–C_6 cycloalkenyl, each unsubstituted or substituted with at least one substituent independently selected from R^{17}; or

R^{22} and R^{23} are taken together with the nitrogen atom to which they are attached to form a 3- to 7-membered ring containing ring members selected from carbon atoms and up to 2 heteroatoms independently selected from one O, one S, and up to 2 N, wherein up to 2 carbon atom ring members are independently selected

from C(=O) and C(=S) and the sulfur atom ring member is selected from S, S(O) or $S(O)_2$, said ring optionally substituted with up to 4 substituents independently selected from the group consisting of halogen, cyano and C_1–C_4 alkyl;

each R^{24} is independently H, cyano, OCN, SCN, CHO, $C(=O)OH$, $C(=O)NH_2$, $C(=S)NH_2$, SO_2NH_2, $C(=O)R^{18}$, $C(=O)OR^{18}$, NHR^{18}, $NR^{18}R^{19}$, $C(=O)NR^{21}R^{19}$, $C(=S)NR^{21}R^{19}$, $SO_2NR^{21}R^{19}$, $OC(=O)R^{21}$, $OC(=O)OR^{18}$, $OC(=O)NR^{21}R^{19}$, $N(R^{21})C(=O)R^{21}$, $N(R^{21})C(=O)OR^{19}$, $N(R^{21})C(=O)NR^{21}R^{22}$, OSO_2R^{18}, $OSO_2NR^{21}R^{22}$, $NR^{21}SO_2R^{18}$, $NR^{21}SO_2NR^{21}R^{22}$, $Si(R^{18}R^{19}R^{20})$ or Z^1Q^t; or C_1–C_8 alkyl, C_2–C_8 alkenyl, C_2–C_8 alkynyl, C_3–C_{10} cycloalkyl, C_4–C_{10} alkylcycloalkyl, C_4–C_{10} cycloalkylalkyl, C_6–C_{14} cycloalkylcycloalkyl, C_5–C_{10} alkylcycloalkylalkyl, C_3–C_8 cycloalkenyl, C_1–C_8 alkoxy, C_3–C_8 cycloalkoxy, C_4–C_{10} cycloalkylalkoxy, C_2–C_8 alkenyloxy, C_2–C_8 alkynyloxy, C_1–C_8 alkylthio, C_1–C_8 alkylsulfinyl, C_1–C_8 alkylsulfonyl, C_3–C_8 cycloalkylthio, C_3–C_8 cycloalkylsulfinyl, C_3–C_8 cycloalkylsulfonyl, C_4–C_{10} cycloalkylalkylthio, C_4–C_{10} cycloalkylalkylsulfinyl, C_4–C_{10} cycloalkylalkylsulfonyl, C_2–C_8 alkenylthio, C_2–C_8 alkenylsulfinyl, C_2–C_8 alkenylsulfonyl, C_2–C_8 alkynylthio, C_2–C_8 alkynylsulfinyl or C_2–C_8 alkynylsulfonyl, each unsubstituted or substituted with at least one substituent independently selected from R^{17};

a is 1, 2 or 3;

each n is independently 0, 1 or 2; and

u and z in each instance of $S(=O)_u(=NR^{24})_z$ are independently 0, 1 or 2, provided that the sum of u and z in each instance of $S(=O)_u(=NR^{24})_z$ is 0, 1 or 2;

provided that when A is O, S, NCH_3 or $C(R^{3c})=C(R^{3d})$, R^{3c} is H or F, and R^{3d} is H, F, CF_2H or CF_3, then at least one of R^{3a} or R^{3b} is other than H.

2. A compound of Claim 1 wherein

X is O;

Y is O;

Z is a direct bond, $C(=X^1)$ or $C(=X^1)E$;

X^1 is O;

E is O;

A is $C(R^{3c})=C(R^{3d})$ or NR^{3e};

R^1 is C_1–C_8 alkyl optionally substituted with halogen; or a 3- to 10-membered ring or a 7- to 11-membered ring system, each ring or ring system containing ring members selected from carbon atoms and up to 4 heteroatoms independently selected from up to 2 O, up to 2 S, and up to 4 N, wherein up to 3 carbon atom

ring members are independently selected from C(=O) and C(=S) and the sulfur atom ring members are independently selected from $S(=O)_u(=NR^{24})_z$, each ring or ring system optionally substituted with up to 5 substituents independently selected from R^{14};

R^2 is $C(=O)OR^{18}$; or C_1–C_8 alkyl optionally substituted with halogen; or a 3- to 10-membered ring or a 7- to 11-membered ring system, each ring or ring system containing ring members selected from carbon atoms and up to 4 heteroatoms independently selected from up to 2 O, up to 2 S, and up to 4 N, wherein up to 3 carbon atom ring members are independently selected from C(=O) and C(=S) and the sulfur atom ring members are independently selected from $S(=O)_u(=NR^{24})_z$, each ring or ring system optionally substituted with up to 5 substituents independently selected from R^{15};

R^{3a}, R^{3b}, R^{3c} are independently H or halogen;

R^{3d} is halogen, C_1–C_8 alkyl, C_1–C_8 alkoxy, C_1–C_8 haloalkyl or C_1–C_8 haloalkoxy; or

R^{3c} and R^{3d} are taken together to form a 5- to 7-membered carbocyclic or heterocyclic ring, each ring containing ring members selected from carbon atoms and up to 3 heteroatoms independently selected from up to 2 O, up to 2 S, and up to 3 N, wherein up to 2 carbon atom ring members are independently selected from C(=O) and C(=S) and the sulfur atom ring members are independently selected from $S(=O)_n$, each ring optionally substituted with up to 3 substituents independently selected from the group consisting of halogen, cyano, hydroxy, amino, nitro, C(=O)OH, $C(=O)NH_2$, SO_2NH_2, C_1–C_4 alkyl, C_1–C_4 haloalkyl, C_2–C_4 alkenyl, C_2–C_4 haloalkenyl, C_2–C_4 alkynyl, C_2–C_4 haloalkynyl, C_3–C_7 cycloalkyl, C_3–C_7 halocycloalkyl, C_4–C_8 alkylcycloalkyl, C_4–C_8 haloalkylcycloalkyl, C_4–C_8 cycloalkylalkyl, C_4–C_8 halocycloalkylalkyl, C_1–C_6 alkoxy, C_1–C_6 haloalkoxy, C_2–C_6 alkoxycarbonyl, C_2–C_6 haloalkoxycarbonyl, C_2–C_6 alkylcarbonyl and C_2–C_6 haloalkylcarbonyl;

R^{3e} is C_1–C_4 alkyl;

R^4 and R^5 are H; and

a is 1.

3. A compound of Claim 2 wherein

R^1 is phenyl or a 5- or 6-membered heteroaromatic ring, each optionally substituted with up to 3 substituents independently selected from R^{14};

R^{14} is halogen, cyano, $C(=O)OR^{18}$, $C(=O)NR^{21}R^{19}$, $C(=NOR^{21})R^{22}$ or Z^1Q^t; or C_1–C_8 alkyl, C_1–C_8 alkoxy or C_1–C_8 alkylthio, each optionally substituted with halogen;

R^{21} is C_1–C_4 alkyl;
R^{22} is C_1–C_4 alkyl;
Z is a direct bond;
each Z^1 is independently a direct bond or O; and
each Q^t is independently phenyl or a 5- or 6-membered heteroaromatic ring, each optionally substituted with up to 5 substituents independently selected from the group consisting of halogen, cyano, nitro, CHO, C(=O)OH, $C(=O)NH_2$, $C(=O)R^{10}$, $C(=O)OR^{11}$, $C(=O)NR^{12}R^{13}$, $C(=O)NR^{21}NR^{22}R^{23}$, OR^{11}, $S(O)_nR^{10}$, $SO_2NR^{12}R^{13}$, $S(=O)(=NR^{21})R^{22}$, $Si(R^{10})_3$ and R^{16}.

4. A compound of Claim 3 wherein
R^2 is pyridinyl, pyrimidinyl, oxazolyl or thiazolyl, each optionally substituted with up to 3 substituents independently selected from the group consisting of halogen and C_1–C_4 alkyl.

5. A compound of Claim 4 wherein
R^1 is phenyl or pyridinyl, each optionally substituted with up to 3 substituents independently selected from R^{14}; and
each Q^t is independently phenyl, pyridinyl or pyrimidinyl, each optionally substituted with up to 5 substituents independently selected from the group consisting of halogen, cyano, C_1–C_4 alkyl, C_1–C_4 haloalkyl, C_1–C_4 alkoxy and C_1–C_4 haloalkoxy.

6. A compound of Claim 5 wherein
A is $C(R^{3c})=C(R^{3d})$;
R^{3a}, R^{3b} and R^{3c} are H; and
R^{3d} is halogen, C_1–C_4 alkyl or C_1–C_4 alkoxy.

7. A compound of Claim 1 that is selected from the group consisting of:

9-bromo-1-[(2-chloro-5-thiazolyl)methyl]-2-hydroxy-4-oxo-3-[3-(trifluoromethoxy)phenyl]-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
9-bromo-1-[(2-chloro-5-thiazolyl)methyl]-2-hydroxy-4-oxo-3-[3-(trifluoromethyl)phenyl]-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
9-bromo-3-(3-bromophenyl)-1-[(2-chloro-5-thiazolyl)methyl]-2-hydroxy-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
9-bromo-1-[(2-chloro-5-thiazolyl)methyl]-3-[3-chloro-5-

(trifluoromethyl)phenyl]-2-hydroxy-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
9-bromo-3-[3-chloro-2-fluoro-5-(trifluoromethyl)phenyl]-1-[(2-chloro-5-thiazolyl)methyl]-2-hydroxy-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
9-chloro-1-[(2-chloro-5-thiazolyl)methyl]-2-hydroxy-4-oxo-3-[3-(trifluoromethoxy)phenyl]-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
1-[(2-chloro-5-thiazolyl)methyl]-2-hydroxy-9-methyl-4-oxo-3-[3-(trifluoromethoxy)phenyl]-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
1-[(2-chloro-5-thiazolyl)methyl]-2-hydroxy-9-methyl-4-oxo-3-[3-(trifluoromethyl)phenyl]-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
1-[(2-chloro-5-thiazolyl)methyl]-3-(2-fluorophenyl)-2-hydroxy-9-methyl-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
9-bromo-1-[(2-chloro-5-thiazolyl)methyl]-3-[3-chloro-5-(trifluoromethoxy)phenyl]-2-hydroxy-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
1-[(2-chloro-5-thiazolyl)methyl]-3-[3-chloro-5-(trifluoromethoxy)phenyl]-2-hydroxy-9-methyl-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
1-[(2-chloro-5-thiazolyl)methyl]-3-(2,6-difluorophenyl)-2-hydroxy-9-methyl-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
1-[(2-chloro-5-thiazolyl)methyl]-2-hydroxy-9-methyl-4-oxo-3-[3-[(trifluoromethyl)thio]phenyl]-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
3-(2-fluorophenyl)-2-hydroxy-9-methyl-4-oxo-1-(5-pyrimidinylmethyl)-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
1-[(2-chloro-5-thiazolyl)methyl]-2-hydroxy-9-methyl-4-oxo-3-phenyl-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
1-[(2-chloro-5-thiazolyl)methyl]-3-[2-fluoro-5-(trifluoromethyl)phenyl]-2-hydroxy-9-methyl-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
1-[(2-chloro-5-thiazolyl)methyl]-3-(4-fluorophenyl)-2-hydroxy-9-methyl-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
1-[(2-chloro-5-thiazolyl)methyl]-2-hydroxy-9-methoxy-4-oxo-3-[3-(trifluoromethoxy)phenyl]-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
1-[(2-chloro-5-thiazolyl)methyl]-3-[2-fluoro-5-(trifluoromethyl)phenyl]-2-hydroxy-9-methoxy-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
1-[(2-chloro-5-thiazolyl)methyl]-2-hydroxy-3-[2-methoxy-5-(trifluoromethoxy)phenyl]-9-methyl-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;

1-[(2-chloro-5-thiazolyl)methyl]-2-hydroxy-3-(2-methoxyphenyl)-9-methyl-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
3-[3-chloro-2-fluoro-5-(trifluoromethyl)phenyl]-1-[(2-chloro-5-thiazolyl)methyl]-2-hydroxy-9-methyl-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
1-[(2-chloro-5-thiazolyl)methyl]-2-hydroxy-9-methyl-4-oxo-3-[4-[(trifluoromethyl)thio]phenyl]-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
1-[(2-chloro-5-thiazolyl)methyl]-3-(2,4-difluorophenyl)-2-hydroxy-9-methyl-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
3-(3-chloro-2-fluorophenyl)-1-[(2-chloro-5-thiazolyl)methyl]-2-hydroxy-9-methyl-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
1-[(2-chloro-5-thiazolyl)methyl]-2-hydroxy-3-(3-methoxyphenyl)-9-methyl-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
7-bromo-1-[(2-chloro-5-thiazolyl)methyl]-3-[2-fluoro-3-(trifluoromethyl)phenyl]-2-hydroxy-9-methyl-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
1-[(2-chloro-5-thiazolyl)methyl]-2-hydroxy-3-(3-iodophenyl)-9-methyl-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
2-hydroxy-9-methyl-1-[(2-methyl-5-thiazolyl)methyl]-4-oxo-3-[3-(trifluoromethoxy)phenyl]-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
2-hydroxy-9-methyl-1-[(2-methyl-5-thiazolyl)methyl]-4-oxo-3-[3-(trifluoromethyl)phenyl]-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
3-(2-fluorophenyl)-2-hydroxy-9-methyl-1-[(2-methyl-5-thiazolyl)methyl]-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
3-[3-bromo-5-(trifluoromethyl)phenyl]-1-[(2-chloro-5-thiazolyl)methyl]-2-hydroxy-9-methyl-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
3-[3-bromo-5-(trifluoromethoxy)phenyl]-1-[(2-chloro-5-thiazolyl)methyl]-2-hydroxy-9-methyl-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
1-[(2-chloro-5-thiazolyl)methyl]-3-[3-chloro-5-(trifluoromethyl)phenyl]-2-hydroxy-9-methyl-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
1-[(2-chloro-5-thiazolyl)methyl]-3-[3-fluoro-5-(trifluoromethyl)phenyl]-2-hydroxy-9-methyl-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
1-[(2-chloro-5-thiazolyl)methyl]-2-hydroxy-3-(3-iodo-5-methoxyphenyl)-9-methyl-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
3-(3-bromophenyl)-1-[(2-chloro-5-thiazolyl)methyl]-2-hydroxy-9-methyl-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
1-[(2-chloro-5-thiazolyl)methyl]-2-hydroxy-9-methyl-4-oxo-3-[3'-(trifluoromethoxy)[1,1'-biphenyl]-3-yl]-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;

1-[(2-chloro-5-thiazolyl)methyl]-3-(2',5'-difluoro[1,1'-biphenyl]-3-yl)-2-hydroxy-9-methyl-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
3-[3-(6-chloro-3-pyridinyl)-5-(trifluoromethoxy)phenyl]-1-[(2-chloro-5-thiazolyl)methyl]-2-hydroxy-9-methyl-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
1-[(2-chloro-5-thiazolyl)methyl]-3-(2',4'-dichloro[1,1'-biphenyl]-3-yl)-2-hydroxy-9-methyl-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
1-[(2-chloro-5-thiazolyl)methyl]-2-hydroxy-4-oxo-3-phenyl-4*H*-pyrimido[2,1-*a*]isoquinolinium inner salt; and
1-[(2-chloro-5-thiazolyl)methyl]-3-(3-ethenylphenyl)-2-hydroxy-9-methyl-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt.

8. A composition comprising a compound of Claim 1 and at least one additional component selected from the group consisting of surfactants, solid diluents and liquid diluents.

9. The composition of Claim 8 further comprising at least one additional biologically active compound or agent.

10. The composition of Claim 9 wherein the at least one additional biologically active compound or agent is selected from the group consisting of abamectin, acephate, acequinocyl, acetamiprid, acrinathrin, amidoflumet, amitraz, avermectin, azadirachtin, azinphos-methyl, bensultap, bifenthrin, bifenazate, bistrifluron, borate, buprofezin, cadusafos, carbaryl, carbofuran, cartap, carzol, chlorantraniliprole, chlorfenapyr, chlorfluazuron, chlorpyrifos, chlorpyrifos-methyl, chromafenozide, clofentezin, clothianidin, cyantraniliprole, cyflumetofen, cyfluthrin, beta-cyfluthrin, cyhalothrin, gamma-cyhalothrin, lambda-cyhalothrin, cypermethrin, alpha-cypermethrin, zeta-cypermethrin, cyromazine, deltamethrin, diafenthiuron, diazinon, dieldrin, diflubenzuron, dimefluthrin, dimehypo, dimethoate, dinotefuran, diofenolan, emamectin, endosulfan, esfenvalerate, ethiprole, etofenprox, etoxazole, fenbutatin oxide, fenothiocarb, fenoxycarb, fenpropathrin, fenvalerate, fipronil, flonicamid, flubendiamide, flucythrinate, flufenerim, flufenoxuron, fluvalinate, tau-fluvalinate, fonophos, formetanate, fosthiazate, halofenozide, hexaflumuron, hexythiazox, hydramethylnon, imidacloprid, indoxacarb, insecticidal soaps, isofenphos, lufenuron, malathion, metaflumizone, metaldehyde, methamidophos, methidathion, methiodicarb, methomyl, methoprene, methoxychlor, metofluthrin, monocrotophos, methoxyfenozide, nitenpyram, nithiazine, novaluron, noviflumuron, oxamyl, parathion, parathion-methyl, permethrin, phorate, phosalone, phosmet, phosphamidon, pirimicarb,

profenofos, profluthrin, propargite, protrifenbute, pymetrozine, pyrafluprole, pyrethrin, pyridaben, pyridalyl, pyrifluquinazon, pyriprole, pyriproxyfen, rotenone, ryanodine, spinetoram, spinosad, spirodiclofen, spiromesifen, spirotetramat, sulprofos, sulfoxaflor, tebufenozide, tebufenpyrad, teflubenzuron, tefluthrin, terbufos, tetrachlorvinphos, tetramethrin, thiacloprid, thiamethoxam, thiodicarb, thiosultap-sodium, tolfenpyrad, tralomethrin, triazamate, trichlorfon, triflumuron, *Bacillus thuringiensis* delta-endotoxins, entomopathogenic bacteria, entomopathogenic viruses and entomopathogenic fungi.

11. A composition for protecting an animal from an invertebrate parasitic pest comprising a parasiticidally effective amount of a compound of Claim 1 and at least one carrier.

12. A method for controlling an invertebrate pest comprising contacting the invertebrate pest or its environment with a biologically effective amount of a compound of Claim 1.

13. A treated seed comprising a compound of Claim 1 in an amount of from about 0.0001 to 1 % by weight of the seed before treatment.

TRADUCCIÓN

PESTICIDAS MESOIONICOS

CAMPO DE LA INVENCIÓN

La presente invención se refiere a ciertos compuestos de pirimidinio, sus *N*-óxidos, sales y composiciones de estos adecuadas para usos agronómicos, no agronómicos y para la salud del animal, métodos de uso para el control de plagas invertebradas, tales como artrópodos, en ambientes agronómicos y no agronómicos y para el tratamiento de infecciones parásitas en animales o infestaciones en el ambiente general.

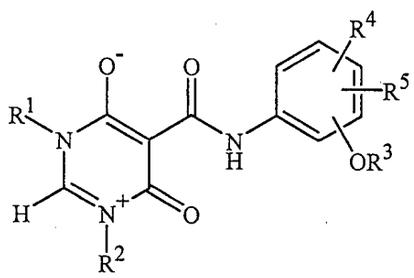
ANTECEDENTES DE LA INVENCIÓN

El control de plagas de artrópodos es de vital importancia para obtener cultivos altamente eficientes. Los daños causados por artrópodos a cultivos agronómicos en crecimiento o almacenados pueden causar una disminución significativa en la productividad y, de ese modo, ocasionar mayores costos para el consumidor. También es importante controlar las plagas invertebradas en la silvicultura, cultivos de invernaderos, plantas ornamentales, cultivos de viveros, productos de fibra y alimentos almacenados, animales de cría, casas de familia, céspedes, productos de madera, y en la salud pública. Existe un gran número de productos que están comercialmente disponibles para estos propósitos, pero persiste la necesidad de contar con nuevos compuestos que sean

más eficaces, de menor costo y toxicidad, más seguros para el medioambiente o que tengan distintos sitios de acción.

El control de los parásitos en los animales es esencial para la salud de estos, particularmente, en las áreas de producción de alimentos y animales de compañía. La resistencia cada vez mayor de los parásitos a varios parasiticidas comerciales actuales pone en peligro la eficacia de los métodos de tratamiento y control parasitario existentes. Por ello, es imprescindible descubrir formas más efectivas para controlar los parásitos en los animales.

La solicitud de patente de los Estados Unidos núm. 5.151.427 describe compuestos mesoiónicos de pirimidinio de la Fórmula **i** como antihelmínticos



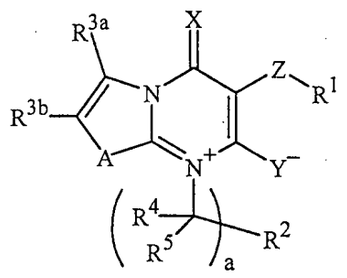
i

en *donde, entre otros,* R^1 y R^2 son independientemente alquilo de C_1-C_6, R^3 es un anillo heteroaromático de 6 miembros, y R^4 y R^5 son independientemente hidrógeno o alquilo de C_1-C_4.

Los compuestos de pirimidinio de la presente invención no se describen en esta publicación.

BREVE DESCRIPCIÓN DE LA INVENCIÓN

La presente invención está dirigida a compuestos de la Fórmula **1** (que incluyen todos los estereoisómeros), *N*-óxidos y sales de estos y a composiciones que los contienen y a su uso para controlar plagas invertebradas:



1

en donde

X es O o S;

Y es O o S;

A es O, S, NR^{3e} o $C(R^{3c})=C(R^{3d})$, siempre que la porción $C(R^{3c})=C(R^{3d})$ esté orientada de modo que el átomo de carbono unido a R^{3d} se conecte directamente al anillo de pirimidinio de la Fórmula **1**;

Z es un enlace directo, O, $S(O)_n$, NR^6, $C(R^7)_2O$, $OC(R^7)_2$, $C(=X^1)$, $C(=X^1)E$, $EC(=X^1)$, $C(=NOR^8)$ o $C(=NN(R^6)_2)$;

X^1 es O, S o NR^9;

E es O, S o NR^{9a};

R^1 es H, halógeno, ciano, CHO, C(=O)OH, $C(=O)NH_2$ o $C(=S)NH_2$; o alquilo de C_1-C_8, alquenilo de C_2-C_8, alquinilo de C_2-C_8, cicloalquilo de C_3-C_{10}, alquilcicloalquilo de C_4-C_{10}, cicloalquilalquilo de C_4-C_{10}, cicloalquilcicloalquilo de C_6-C_{14}, alquilcicloalquilalquilo de C_5-C_{10} o cicloalquenilo de C_3-C_6, cada uno de ellos está sin sustituir o sustituido con al menos un sustituyente seleccionado independientemente del grupo que consiste en halógeno, ciano, nitro, CHO, C(=O)OH, $C(=O)NH_2$, $C(=O)R^{10}$, $C(=O)OR^{11}$, $C(=O)NR^{12}R^{13}$, $C(=O)NR^{21}NR^{22}R^{23}$, OR^{11}, $S(O)_nR^{10}$, $SO_2NR^{12}R^{13}$, $S(=O)(=NR^{21})R^{22}$, $Si(R^{10})_3$ y Z^1Q^t; o un anillo de 3 a 10 miembros o sistema anular de 7 a 11 miembros, cada anillo o sistema anular contiene miembros de anillo seleccionados de átomos de carbono y hasta 4 heteroátomos seleccionados independientemente de hasta 2 O, hasta 2 S y hasta 4 N, en donde hasta 3 miembros de anillo de átomos de carbono se seleccionan independientemente de C(=O) y C(=S) y los miembros de anillo de átomos de azufre se seleccionan independientemente de $S(=O)_u(=NR^{24})_z$, cada anillo o sistema anular está sustituido opcionalmente con hasta 5 sustituyentes seleccionados independientemente de R^{14}; siempre que cuando R^1 es halógeno, entonces Z es un

enlace directo, $S(=O)$, $S(=O)_2$, $OC(R^7)_2$, $C(=X^1)$, $EC(=X^1)$, $C(=NOR^8)$ o $C(=NN(R^6)_2)$;

R^2 es H, halógeno, ciano, hidroxi, amino, nitro, OCN, SCN, CHO, $C(=O)OH$, $C(=O)NH_2$, $C(=S)NH_2$, SO_2NH_2, $C(=O)R^{18}$, $C(=O)OR^{18}$, NHR^{18}, $NR^{18}R^{19}$, $C(=O)NR^{21}R^{19}$, $C(=S)NR^{21}R^{19}$, $SO_2NR^{21}R^{19}$, $OC(=O)R^{21}$, $OC(=O)OR^{18}$, $OC(=O)NR^{21}R^{19}$, $N(R^{21})C(=O)R^{21}$, $N(R^{21})C(=O)OR^{19}$, $N(R^{21})C(=O)NR^{21}R^{22}$, OSO_2R^{18}, $OSO_2NR^{21}R^{22}$, $NR^{21}SO_2R^{18}$, $NR^{21}SO_2NR^{21}R^{22}$ o $Si(R^{18}R^{19}R^{20})$; o alquilo de C_1-C_8, alquenilo de C_2-C_8, alquinilo de C_2-C_8, cicloalquilo de C_3-C_{10}, alquilcicloalquilo de C_4-C_{10}, cicloalquilalquilo de C_4-C_{10}, cicloalquilcicloalquilo de C_6-C_{14}, alquilcicloalquilalquilo de C_5-C_{10}, cicloalquenilo de C_3-C_8, alcoxi de C_1-C_8, cicloalcoxi de C_3-C_8, cicloalquilalcoxi de C_4-C_{10}, alqueniloxi de C_2-C_8, alquiniloxi de C_2-C_8, alquiltio de C_1-C_8, alquilsulfinilo de C_1-C_8, alquilsulfonilo de C_1-C_8, cicloalquiltio de C_3-C_8, cicloalquilsulfinilo de C_3-C_8, cicloalquilsulfonilo de C_3-C_8, cicloalquilalquiltio de C_4-C_{10}, cicloalquilalquilsulfinilo de C_4-C_{10}, cicloalquilalquilsulfonilo de C_4-C_{10}, alqueniltio de C_2-C_8, alquenilsulfinilo de C_2-C_8, alquenilsulfonilo de C_2-C_8, alquiniltio de C_2-C_8, alquinilsulfinilo de C_2-C_8 o alquinilsulfonilo de C_2-C_8, cada uno de ellos está sin sustituir o sustituido con al menos un sustituyente seleccionado independientemente del grupo que consiste en

halógeno, ciano, nitro, CHO, C(=O)OH, $C(=O)NH_2$, $C(=O)R^{10}$, $C(=O)OR^{11}$, $C(=O)NR^{12}R^{13}$, OR^{11}, $S(O)_nR^{10}$, $SO_2NR^{12}R^{13}$ y $Si(R^{10})_3$; o un anillo de 3 a 10 miembros o sistema anular de 7 a 11 miembros, cada anillo o sistema anular contiene miembros de anillo seleccionados de átomos de carbono y hasta 4 heteroátomos seleccionados independientemente de hasta 2 O, hasta 2 S y hasta 4 N, en donde hasta 3 miembros de anillo de átomos de carbono se seleccionan independientemente de C(=O) y C(=S) y los miembros de anillo de átomos de azufre se seleccionan independientemente de $S(=O)_u(=NR^{24})_z$, cada anillo o sistema anular está sustituido opcionalmente con hasta 5 sustituyentes seleccionados independientemente de R^{15};

R^{3a}, R^{3b}, R^{3c} y R^{3d} son independientemente H, halógeno, ciano, hidroxi, amino, nitro, SF_5, OCN, SCN, CHO, C(=O)OH, $C(=O)NH_2$, $C(=S)NH_2$, SO_2NH_2, $C(=O)R^{18}$, $C(=O)OR^{18}$, NHR^{18}, $NR^{18}R^{19}$, $C(=O)NR^{21}R^{19}$, $C(=S)NR^{21}R^{19}$, $SO_2NR^{21}R^{19}$, $OC(=O)R^{21}$, $OC(=O)OR^{18}$, $OC(=O)NR^{21}R^{19}$, $N(R^{21})C(=O)R^{21}$, $N(R^{21})C(=O)OR^{19}$, $N(R^{21})C(=O)NR^{21}R^{22}$, OSO_2R^{18}, $OSO_2NR^{21}R^{22}$, $NR^{21}SO_2R^{18}$, $NR^{21}SO_2NR^{21}R^{22}$, $Si(R^{18}R^{19}R^{20})$ o Z^1Q^t; o alquilo de C_1-C_8, alquenilo de C_2-C_8, alquinilo de C_2-C_8, cicloalquilo de C_3-C_{10}, alquilcicloalquilo de C_4-C_{10}, cicloalquilalquilo de C_4-C_{10}, cicloalquilcicloalquilo de C_6-C_{14}, alquilcicloalquilalquilo de C_5-C_{10}, cicloalquenilo de C_3-C_8, alcoxi de C_1-C_8, cicloalcoxi de C_3-C_8,

cicloalquilalcoxi de C_4-C_{10}, alqueniloxi de C_2-C_8, alquiniloxi de C_2-C_8, alquiltio de C_1-C_8, alquilsulfinilo de C_1-C_8, alquilsulfonilo de C_1-C_8, cicloalquiltio de C_3-C_8, cicloalquilsulfinilo de C_3-C_8, cicloalquilsulfonilo de C_3-C_8, cicloalquilalquiltio de C_4-C_{10}, cicloalquilalquilsulfinilo de C_4-C_{10}, cicloalquilalquilsulfonilo de C_4-C_{10}, alqueniltio de C_2-C_8, alquenilsulfinilo de C_2-C_8, alquenilsulfonilo de C_2-C_8, alquiniltio de C_2-C_8, alquinilsulfinilo de C_2-C_8 o alquinilsulfonilo de C_2-C_8, cada uno de ellos está sin sustituir o sustituido con al menos un sustituyente seleccionado independientemente de R^{17}; o R^{3a} y R^{3b}, o R^{3b} y R^{3c}, o R^{3c} y R^{3d} se combinan con los átomos de carbono adyacentes a los cuales están unidos para formar un anillo heterocíclico o carbocíclico de 5 a 7 miembros, cada anillo contiene miembros de anillo seleccionados de átomos de carbono y hasta 3 heteroátomos seleccionados independientemente de hasta 2 O, hasta 2 S y hasta 3 N, en donde hasta 2 miembros de anillo de átomos de carbono se seleccionan independientemente de C(=O) y C(=S) y los miembros de anillo de átomos de azufre se seleccionan independientemente de $S(=O)_n$, cada anillo está sustituido opcionalmente con hasta 3 sustituyentes seleccionados independientemente del grupo que consiste en halógeno, ciano, hidroxi, amino, nitro, C(=O)OH, $C(=O)NH_2$, SO_2NH_2,

alquilo de C_1-C_4, haloalquilo de C_1-C_4, alquenilo de C_2-C_4, haloalquenilo de C_2-C_4, alquinilo de C_2-C_4, haloalquinilo de C_2-C_4, cicloalquilo de C_3-C_7, halocicloalquilo de C_3-C_7, alquilcicloalquilo de C_4-C_8, haloalquilcicloalquilo de C_4-C_8, cicloalquilalquilo de C_4-C_8, halocicloalquilalquilo de C_4-C_8, alcoxi de C_1-C_6, haloalcoxi de C_1-C_6, alcoxicarbonilo de C_2-C_6, haloalcoxicarbonilo de C_2-C_6, alquilcarbonilo de C_2-C_6 y haloalquilcarbonilo de C_2-C_6;

R^{3e} es H, hidroxi, amino, CHO, $C(=O)NH_2$, $C(=S)NH_2$, SO_2NH_2, $C(=O)R^{18}$, $C(=O)OR^{18}$, NHR^{18}, $NR^{18}R^{19}$, $C(=O)NR^{21}R^{19}$, $C(=S)NR^{21}R^{19}$, $SO_2NR^{21}R^{19}$, $OC(=O)R^{21}$, $OC(=O)OR^{18}$, $OC(=O)NR^{21}R^{19}$, $N(R^{21})C(=O)R^{21}$, $N(R^{21})C(=O)OR^{19}$, $N(R^{21})C(=O)NR^{21}R^{22}$, OSO_2R^{18}, $OSO_2NR^{21}R^{22}$, $NR^{21}SO_2R^{18}$, $NR^{21}SO_2NR^{21}R^{22}$, $Si(R^{18}R^{19}R^{20})$ o Z^1Q^t; o alquilo de C_1-C_8, alquenilo de C_2-C_8, alquinilo de C_2-C_8, cicloalquilo de C_3-C_{10}, alquilcicloalquilo de C_4-C_{10}, cicloalquilalquilo de C_4-C_{10}, cicloalquilcicloalquilo de C_6-C_{14}, alquilcicloalquilalquilo de C_5-C_{10}, cicloalquenilo de C_3-C_8, alcoxi de C_1-C_8, cicloalcoxi de C_3-C_8, cicloalquilalcoxi de C_4-C_{10}, alqueniloxi de C_2-C_8, alquiniloxi de C_2-C_8, alquilsulfinilo de C_1-C_8, alquilsulfonilo de C_1-C_8, cicloalquilsulfinilo de C_3-C_8, cicloalquilsulfonilo de C_3-C_8, cicloalquilalquilsulfinilo de C_4-C_{10}, cicloalquilalquilsulfonilo de C_4-C_{10}, alquenilsulfinilo de C_2-C_8, alquenilsulfonilo de C_2-C_8, alquinilsulfinilo de C_2-C_8 o alquinilsulfonilo de C_2-C_8,

cada uno de ellos está sin sustituir o sustituido con al menos un sustituyente seleccionado independientemente de R^{17}; o R^{3e} y R^{3b} se combinan con los átomos de carbono adyacentes a los cuales están unidos para formar un anillo heterocíclico o carbocíclico de 5 a 7 miembros, cada anillo contiene miembros de anillo seleccionados de átomos de carbono y hasta 3 heteroátomos seleccionados independientemente de hasta 2 O, hasta 2 S y hasta 3 N, en donde hasta 2 miembros de anillo de átomos de carbono se seleccionan independientemente de C(=O) y C(=S) y los miembros de anillo de átomos de azufre se seleccionan independientemente de $S(=O)_n$, cada anillo está sustituido opcionalmente con hasta 3 sustituyentes seleccionados independientemente del grupo que consiste en halógeno, ciano, hidroxi, amino, nitro, C(=O)OH, $C(=O)NH_2$, SO_2NH_2, alquilo de C_1-C_4, haloalquilo de C_1-C_4, alquenilo de C_2-C_4, haloalquenilo de C_2-C_4, alquinilo de C_2-C_4, haloalquinilo de C_2-C_4, cicloalquilo de C_3-C_7, halocicloalquilo de C_3-C_7, alquilcicloalquilo de C_4-C_8, haloalquilcicloalquilo de C_4-C_8, cicloalquilalquilo de C_4-C_8, halocicloalquilalquilo de C_4-C_8, alcoxi de C_1-C_6, haloalcoxi de C_1-C_6, alcoxicarbonilo de C_2-C_6, haloalcoxicarbonilo de C_2-C_6, alquilcarbonilo de C_2-C_6 y haloalquilcarbonilo de C_2-C_6; cada R^4 y R^5 es independientemente H, halógeno, ciano, hidroxi, amino, nitro, OCN, SCN, CHO, C(=O)OH, $C(=O)NH_2$,

$C(=S)NH_2$ o SO_2NH_2; o alquilo de C_1-C_6, alquenilo de C_2-C_6, alquinilo de C_2-C_6, cicloalquilo de C_3-C_8, alquilcicloalquilo de C_4-C_8, cicloalquilalquilo de C_4-C_8, cicloalquilcicloalquilo de C_6-C_{12}, alquilcicloalquilalquilo de C_5-C_8, cicloalquenilo de C_3-C_6, alcoxi de C_1-C_6, cicloalcoxi de C_3-C_6, cicloalquilalcoxi de C_4-C_8, alqueniloxi de C_2-C_6 o alquiniloxi de C_2-C_6, cada uno está sin sustituir o sustituido con al menos un sustituyente seleccionado independientemente del grupo que consiste en halógeno, ciano, nitro, CHO, $C(=O)OH$, $C(=O)NH_2$, $C(=O)R^{10}$, $C(=O)OR^{11}$, $C(=O)NR^{12}R^{13}$, OR^{11}, $S(O)_nR^{10}$, $SO_2NR^{12}R^{13}$ y $Si(R^{10})_3$; o

R^4 y R^5 se combinan con el átomo de carbono al cual están unidos para formar un anillo de 3 a 7 miembros que contiene miembros de anillo seleccionados de átomos de carbono y hasta 2 heteroátomos seleccionados independientemente de un O, un S y hasta 2 N, en donde hasta 2 miembros de anillo de átomos de carbono se seleccionan independientemente de $C(=O)$ y $C(=S)$ y el miembro de anillo de átomos de azufre se selecciona de S, $S(O)$ o $S(O)_2$, ese anillo está sustituido opcionalmente con hasta 4 sustituyentes seleccionados independientemente del grupo que consiste en halógeno, ciano y alquilo de C_1-C_4;

cada R^6 es independientemente H; o alquilo de C_1-C_6, alquenilo de C_2-C_6, alquinilo de C_2-C_6, cicloalquilo de C_3-

C_8, alquilcicloalquilo de C_4-C_8, cicloalquilalquilo de C_4-C_8, cicloalquilcicloalquilo de C_6-C_{10}, alquilcicloalquilalquilo de C_5-C_{10}, cicloalquenilo de C_3-C_6, alquilcarbonilo de C_2-C_6 o alcoxicarbonilo de C_2-C_6, cada uno de ellos está sin sustituir o sustituido con al menos un sustituyente seleccionado independientemente del grupo que consiste en halógeno, ciano, nitro, CHO, $C(=O)OH$, $C(=O)NH_2$, $C(=O)R^{10}$, $C(=O)OR^{11}$, $C(=O)NR^{12}R^{13}$, OR^{11}, $S(O)_nR^{10}$, $SO_2NR^{12}R^{13}$ y $Si(R^{10})_3$; o

dos sustituyentes R^6 se combinan con el átomo de nitrógeno al cual están unidos para formar un anillo de 3 a 7 miembros que contiene miembros de anillo seleccionados de átomos de carbono y hasta 2 heteroátomos seleccionados independientemente de un O, un S y hasta 2 N, en donde hasta 2 miembros de anillo de átomos de carbono se seleccionan independientemente de C(=O) y C(=S) y el miembro de anillo de átomos de azufre se selecciona de S, S(O) o $S(O)_2$, ese anillo está sustituido opcionalmente con hasta 4 sustituyentes seleccionados independientemente del grupo que consiste en halógeno, ciano y alquilo de C_1-C_4;

cada R^7 y R^8 es independientemente H; o alquilo de C_1-C_6, alquenilo de C_2-C_6, alquinilo de C_2-C_6, cicloalquilo de C_3-C_8, alquilcicloalquilo de C_4-C_8, cicloalquilalquilo de C_4-C_8, cicloalquilcicloalquilo de C_6-C_{10}, alquilcicloalquilalquilo de C_5-C_{10}, cicloalquenilo de C_3-

C_6, alquilcarbonilo de C_2-C_6 o alcoxicarbonilo de C_2-C_6, cada uno de ellos está sin sustituir o sustituido con al menos un sustituyente seleccionado independientemente del grupo que consiste en halógeno, ciano, nitro, CHO, $C(=O)OH$, $C(=O)NH_2$, $C(=O)R^{10}$, $C(=O)OR^{11}$, $C(=O)NR^{12}R^{13}$, OR^{11}, $S(O)_nR^{10}$, $SO_2NR^{12}R^{13}$ y $Si(R^{10})_3$;

cada R^9 es independientemente alquilo de C_1-C_6, alquenilo de C_2-C_6, alquinilo de C_2-C_6, cicloalquilo de C_3-C_8, alquilcicloalquilo de C_4-C_8, cicloalquilalquilo de C_4-C_8, cicloalquilcicloalquilo de C_6-C_{10}, alquilcicloalquilalquilo de C_5-C_{10}, cicloalquenilo de C_3-C_6, alquilcarbonilo de C_2-C_6 o alcoxicarbonilo de C_2-C_6, cada uno de ellos está sin sustituir o sustituido con al menos un sustituyente seleccionado independientemente del grupo que consiste en halógeno, ciano, nitro, CHO, $C(=O)OH$, $C(=O)NH_2$, $C(=O)R^{10}$, $C(=O)OR^{11}$, $C(=O)NR^{12}R^{13}$, OR^{11}, $S(O)_nR^{10}$, $SO_2NR^{12}R^{13}$ y $Si(R^{10})_3$;

cada R^{9a} es independientemente H; o alquilo de C_1-C_6, alquenilo de C_2-C_6, alquinilo de C_2-C_6, cicloalquilo de C_3-C_8, alquilcicloalquilo de C_4-C_8, cicloalquilalquilo de C_4-C_8, cicloalquilcicloalquilo de C_6-C_{10}, alquilcicloalquilalquilo de C_5-C_{10}, cicloalquenilo de C_3-C_6, alquilcarbonilo de C_2-C_6 o alcoxicarbonilo de C_2-C_6, cada uno de ellos está sin sustituir o sustituido con al menos un sustituyente seleccionado independientemente del grupo que consiste en halógeno, ciano, nitro, CHO,

$C(=O)OH$, $C(=O)NH_2$, $C(=O)R^{10}$, $C(=O)OR^{11}$, $C(=O)NR^{12}R^{13}$, OR^{11}, $S(O)_nR^{10}$, $SO_2NR^{12}R^{13}$ y $Si(R^{10})_3$;

cada R^{10} y R^{11} es independientemente alquilo de C_1-C_6, alquenilo de C_2-C_6, alquinilo de C_2-C_6, cicloalquilo de C_3-C_8, alquilcicloalquilo de C_4-C_8, cicloalquilalquilo de C_4-C_8, cicloalquilcicloalquilo de C_6-C_{10}, alquilcicloalquilalquilo de C_5-C_{10} o cicloalquenilo de C_3-C_6, cada uno de ellos está sin sustituir o sustituido con al menos un sustituyente seleccionado independientemente del grupo que consiste en halógeno, ciano, nitro, CHO, $C(=O)OH$, $C(=O)NH_2$, alcoxi de C_1-C_4, haloalcoxi de C_1-C_4, alquiltio de C_1-C_4, alquilsulfinilo de C_1-C_4, alquilsulfonilo de C_1-C_4, haloalquiltio de C_1-C_4, haloalquilsulfinilo de C_1-C_4, haloalquilsulfonilo de C_1-C_4, alquilamino de C_1-C_4, dialquilamino de C_2-C_8, cicloalquilamino de C_3-C_6, alcoxialquilo de C_2-C_4, alquilcarbonilo de C_2-C_4, alcoxicarbonilo de C_2-C_6, alquilcarboniloxi de C_2-C_6, alquilcarboniltio de C_2-C_6, alquilaminocarbonilo de C_2-C_6, dialquilaminocarbonilo de C_3-C_8 y trialquilsililo de C_3-C_6; o un fenilo o un anillo heteroaromático de 5 o 6 miembros, cada uno de ellos está sin sustituir o sustituido con al menos un sustituyente seleccionado independientemente del grupo que consiste en alquilo de C_1-C_6, haloalquilo de C_1-C_6, alquenilo de C_2-C_6, alquinilo de C_2-C_6, cicloalquilo de C_3-C_8,

alquilcicloalquilo de C_4-C_8, cicloalquilalquilo de C_4-C_8, cicloalquilcicloalquilo de C_6-C_{10}, alquilcicloalquilalquilo de C_5-C_{10}, cicloalquenilo de C_3-C_6, halógeno, ciano, nitro, CHO, C(=O)OH, $C(=O)NH_2$, alcoxi de C_1-C_4, haloalcoxi de C_1-C_4, alquiltio de C_1-C_4, alquilsulfinilo de C_1-C_4, alquilsulfonilo de C_1-C_4, haloalquiltio de C_1-C_4, haloalquilsulfinilo de C_1-C_4, haloalquilsulfonilo de C_1-C_4, alquilamino de C_1-C_4, dialquilamino de C_2-C_8, cicloalquilamino de C_3-C_6, alcoxialquilo de C_2-C_4, alquilcarbonilo de C_2-C_4, alcoxicarbonilo de C_2-C_6, alquilcarboniloxi de C_2-C_6, alquilcarboniltio de C_2-C_6, alquilaminocarbonilo de C_2-C_6, dialquilaminocarbonilo de C_3-C_8 y trialquilsililo de C_3-C_6;

cada R^{12} y R^{13} es independientemente alquilo de C_1-C_6, alquenilo de C_2-C_6, alquinilo de C_2-C_6, cicloalquilo de C_3-C_8, alquilcicloalquilo de C_4-C_8, cicloalquilalquilo de C_4-C_8, cicloalquilcicloalquilo de C_6-C_{10}, alquilcicloalquilalquilo de C_5-C_{10} o cicloalquenilo de C_3-C_6, cada uno de ellos está sin sustituir o sustituido con al menos un sustituyente seleccionado independientemente del grupo que consiste en halógeno, ciano, nitro, CHO, C(=O)OH, $C(=O)NH_2$, alcoxi de C_1-C_4, haloalcoxi de C_1-C_4, alquiltio de C_1-C_4, alquilsulfinilo de C_1-C_4, alquilsulfonilo de C_1-C_4, haloalquiltio de C_1-C_4, haloalquilsulfinilo de C_1-C_4, haloalquilsulfonilo de C_1-C_4,

alquilamino de C_1-C_4, dialquilamino de C_2-C_8, cicloalquilamino de C_3-C_6, alcoxialquilo de C_2-C_4, alquilcarbonilo de C_2-C_4, alcoxicarbonilo de C_2-C_6, alquilcarboniloxi de C_2-C_6, alquilcarboniltio de C_2-C_6, alquilaminocarbonilo de C_2-C_6, dialquilaminocarbonilo de C_3-C_8 y trialquilsililo de C_3-C_6; o un fenilo o un anillo heteroaromático de 5 o 6 miembros, cada uno de ellos está sin sustituir o sustituido con al menos un sustituyente seleccionado independientemente del grupo que consiste en alquilo de C_1-C_6, haloalquilo de C_1-C_6, alquenilo de C_2-C_6, alquinilo de C_2-C_6, cicloalquilo de C_3-C_8, alquilcicloalquilo de C_4-C_8, cicloalquilalquilo de C_4-C_8, cicloalquilcicloalquilo de C_6-C_{10}, alquilcicloalquilalquilo de C_5-C_{10}, cicloalquenilo de C_3-C_6, halógeno, ciano, nitro, CHO, C(=O)OH, $C(=O)NH_2$, alcoxi de C_1-C_4, haloalcoxi de C_1-C_4, alquiltio de C_1-C_4, alquilsulfinilo de C_1-C_4, alquilsulfonilo de C_1-C_4, haloalquiltio de C_1-C_4, haloalquilsulfinilo de C_1-C_4, haloalquilsulfonilo de C_1-C_4, alquilamino de C_1-C_4, dialquilamino de C_2-C_8, cicloalquilamino de C_3-C_6, alcoxialquilo de C_2-C_4, alquilcarbonilo de C_2-C_4, alcoxicarbonilo de C_2-C_6, alquilcarboniloxi de C_2-C_6, alquilcarboniltio de C_2-C_6, alquilaminocarbonilo de C_2-C_6, dialquilaminocarbonilo de C_3-C_8 y trialquilsililo de C_3-C_6;

R^{12} y R^{13} se combinan con el átomo de nitrógeno al cual están unidos para formar un anillo de 3 a 7 miembros que contiene miembros de anillo seleccionados de átomos de carbono y hasta 2 heteroátomos seleccionados independientemente de un O, un S y hasta 2 N, en donde hasta 2 miembros de anillo de átomos de carbono se seleccionan independientemente de C(=O) y C(=S) y el miembro de anillo de átomos de azufre se selecciona de S, S(O) o $S(O)_2$, ese anillo está sustituido opcionalmente con hasta 4 sustituyentes seleccionados independientemente del grupo que consiste en halógeno, ciano y alquilo de C_1-C_4; cada R^{14} es independientemente halógeno, ciano, hidroxi, amino, nitro, SF_5, OCN, SCN, CHO, $C(=O)OH$, $C(=O)NH_2$, $C(=S)NH_2$, SO_2NH_2, $C(=O)R^{18}$, $C(=O)OR^{18}$, NHR^{18}, $NR^{18}R^{19}$, $C(=O)NR^{21}R^{19}$, $C(=O)NR^{21}NR^{22}R^{23}$, $C(=S)NR^{21}R^{19}$, $SO_2NR^{21}R^{19}$, $OC(=O)R^{21}$, $OC(=O)OR^{18}$, $OC(=O)NR^{21}R^{19}$, $N(R^{21})C(=O)R^{21}$, $N(R^{21})C(=O)OR^{19}$, $N(R^{21})C(=O)NR^{21}R^{22}$, OSO_2R^{18}, $OSO_2NR^{21}R^{22}$, $NR^{21}SO_2R^{18}$, $NR^{21}SO_2NR^{21}R^{22}$, $Si(R^{18}R^{19}R^{20})$, $C(=NR^{21})R^{22}$, $C(=NOR^{21})R^{22}$, $C(=NNR^{21}R^{22})R^{23}$, $C(=NN(C(=O)R^{19})R^{21})R^{22}$, $C(=NN(C(=O)OR^{19})R^{21})R^{22}$, $ON=CR^{21}R^{22}$, $ONR^{21}R^{22}$, $S(=O)(=NR^{21})R^{22}$, $SO_2NR^{21}C(=O)NR^{22}R^{23}$, $P(=X^2)R^{18}R^{19}$, $OP(=X^2)R^{18}R^{19}$, $OP(=X^2)(OR^{18})R^{19}$, $OP(=X^2)(OR^{18})OR^{19}$, $N=CR^{21}R^{22}$, $NR^{21}N=CR^{22}R^{23}$, $NR^{21}NR^{22}R^{23}$, $NR^{21}C(=X^2)NR^{22}R^{23}$, $NR^{21}C(=NR^{21})NR^{22}R^{23}$, $NR^{21}NR^{21}C(=X^2)NR^{22}R^{23}$, $NR^{21}NR^{21}SO_2NR^{22}R^{23}$, Z^1Q^t o $Z^1Q^iZ^1Q^t$; o alquilo de C_1-C_8, alquenilo de C_2-C_8, alquinilo de C_2-C_8,

cicloalquilo de C_3-C_{10}, alquilcicloalquilo de C_4-C_{10}, cicloalquilalquilo de C_4-C_{10}, cicloalquilcicloalquilo de C_6-C_{14}, alquilcicloalquilalquilo de C_5-C_{10}, cicloalquenilo de C_3-C_8, alcoxi de C_1-C_8, cicloalcoxi de C_3-C_8, cicloalquilalcoxi de C_4-C_{10}, alqueniloxi de C_2-C_8, alquiniloxi de C_2-C_8, alquiltio de C_1-C_8, alquilsulfinilo de C_1-C_8, alquilsulfonilo de C_1-C_8, cicloalquiltio de C_3-C_8, cicloalquilsulfinilo de C_3-C_8, cicloalquilsulfonilo de C_3-C_8, cicloalquilalquiltio de C_4-C_{10}, cicloalquilalquilsulfinilo de C_4-C_{10}, cicloalquilalquilsulfonilo de C_4-C_{10}, alqueniltio de C_2-C_8, alquenilsulfinilo de C_2-C_8, alquenilsulfonilo de C_2-C_8, alquiniltio de C_2-C_8, alquinilsulfinilo de C_2-C_8 o alquinilsulfonilo de C_2-C_8, cada uno de ellos está sin sustituir o sustituido con al menos un sustituyente seleccionado independientemente de R^{17}; o

dos sustituyentes R^{14} en átomos de anillos adyacentes se combinan con los átomos de anillos adyacentes para formar un anillo heterocíclico o carbocíclico de 5 a 7 miembros, cada anillo contiene miembros de anillo seleccionados de átomos de carbono y hasta 3 heteroátomos seleccionados independientemente de hasta 2 O, hasta 2 S y hasta 3 N, en donde hasta 2 miembros de anillo de átomos de carbono se seleccionan independientemente de C(=O) y C(=S) y los miembros de anillo de átomos de azufre se seleccionan

independientemente de $S(=O)_n$, cada anillo está sustituido opcionalmente con hasta 3 sustituyentes seleccionados independientemente del grupo que consiste en halógeno, ciano, hidroxi, amino, nitro, $C(=O)OH$, $C(=O)NH_2$, SO_2NH_2, alquilo de C_1-C_4, haloalquilo de C_1-C_4, alquenilo de C_2-C_4, haloalquenilo de C_2-C_4, alquinilo de C_2-C_4, haloalquinilo de C_2-C_4, cicloalquilo de C_3-C_7, halocicloalquilo de C_3-C_7, alquilcicloalquilo de C_4-C_8, haloalquilcicloalquilo de C_4-C_8, cicloalquilalquilo de C_4-C_8, halocicloalquilalquilo de C_4-C_8, alcoxi de C_1-C_6, haloalcoxi de C_1-C_6, alcoxicarbonilo de C_2-C_6, haloalcoxicarbonilo de C_2-C_6, alquilcarbonilo de C_2-C_6 y haloalquilcarbonilo de C_2-C_6;

cada X^2 es independientemente O o S;

cada Z^1 es independientemente un enlace directo, O, $S(O)_n$, NR^6, $C(R^7)_2$, $C(R^7)=C(R^7)$, $C\equiv C$, $C(R^7)_2O$, $OC(R^7)_2$, $C(=X^1)$, $C(=X^1)E$, $EC(=X^1)$, $C(=NOR^8)$ o $C(=NN(R^6)_2)$;

cada Q^i es independientemente un anillo de 3 a 10 miembros o sistema anular de 7 a 11 miembros, cada anillo o sistema anular contiene miembros de anillo seleccionados de átomos de carbono y hasta 4 heteroátomos seleccionados independientemente de hasta 2 O, hasta 2 S y hasta 4 N, en donde hasta 3 miembros de anillo de átomos de carbono se seleccionan independientemente de C(=O) y C(=S) y los miembros de anillo de átomos de azufre se seleccionan

independientemente de $S(=O)_u(=NR^{24})_z$, cada anillo o sistema anular está sustituido opcionalmente con hasta 4 sustituyentes seleccionados independientemente del grupo que consiste en halógeno, ciano, nitro, CHO, $C(=O)OH$, $C(=O)NH_2$, $C(=O)R^{10}$, $C(=O)OR^{11}$, $C(=O)NR^{12}R^{13}$, OR^{11}, $S(O)_nR^{10}$, $SO_2NR^{12}R^{13}$, $Si(R^{10})_3$ y R^{16};

cada Q^t es independientemente un anillo de 3 a 10 miembros o sistema anular de 7 a 11 miembros, cada anillo o sistema anular contiene miembros de anillo seleccionados de átomos de carbono y hasta 4 heteroátomos seleccionados independientemente de hasta 2 O, hasta 2 S y hasta 4 N, en donde hasta 3 miembros de anillo de átomos de carbono se seleccionan independientemente de C(=O) y C(=S) y los miembros de anillo de átomos de azufre se seleccionan independientemente de $S(=O)_u(=NR^{24})_z$, cada anillo o sistema anular está sustituido opcionalmente con hasta 5 sustituyentes seleccionados independientemente del grupo que consiste en halógeno, ciano, nitro, CHO, $C(=O)OH$, $C(=O)NH_2$, $C(=O)R^{10}$, $C(=O)OR^{11}$, $C(=O)NR^{12}R^{13}$, $C(=O)NR^{21}NR^{22}R^{23}$, OR^{11}, $S(O)_nR^{10}$, $SO_2NR^{12}R^{13}$, $S(=O)(=NR^{21})R^{22}$, $Si(R^{10})_3$ y R^{16};

cada R^{15} es independientemente halógeno, ciano, hidroxi, amino, nitro, SF_5, OCN, SCN, CHO, $C(=O)OH$, $C(=O)NH_2$, $C(=S)NH_2$, SO_2NH_2, $C(=O)R^{18}$, $C(=O)OR^{18}$, NHR^{18}, $NR^{18}R^{19}$, $C(=O)NR^{21}R^{19}$, $C(=O)NR^{21}NR^{22}R^{23}$, $C(=S)NR^{21}R^{19}$, $SO_2NR^{21}R^{19}$, $S(=O)(=NR^{21})R^{22}$, $OC(=O)R^{21}$, $OC(=O)OR^{18}$, $OC(=O)NR^{21}R^{19}$,

$N(R^{21})C(=O)R^{21}$, $N(R^{21})C(=O)OR^{19}$, $N(R^{21})C(=O)NR^{21}R^{22}$, OSO_2R^{18}, $OSO_2NR^{21}R^{22}$, $NR^{21}SO_2R^{18}$, $NR^{21}SO_2NR^{21}R^{22}$, $Si(R^{18}R^{19}R^{20})$ o Z^1Q^t; o alquilo de C_1-C_8, alquenilo de C_2-C_8, alquinilo de C_2-C_8, cicloalquilo de C_3-C_{10}, alquilcicloalquilo de C_4-C_{10}, cicloalquilalquilo de C_4-C_{10}, cicloalquilcicloalquilo de C_6-C_{14}, alquilcicloalquilalquilo de C_5-C_{10}, cicloalquenilo de C_3-C_8, alcoxi de C_1-C_8, cicloalcoxi de C_3-C_8, cicloalquilalcoxi de C_4-C_{10}, alqueniloxi de C_2-C_8, alquiniloxi de C_2-C_8, alquiltio de C_1-C_8, alquilsulfinilo de C_1-C_8, alquilsulfonilo de C_1-C_8, cicloalquiltio de C_3-C_8, cicloalquilsulfinilo de C_3-C_8, cicloalquilsulfonilo de C_3-C_8, cicloalquilalquiltio de C_4-C_{10}, cicloalquilalquilsulfinilo de C_4-C_{10}, cicloalquilalquilsulfonilo de C_4-C_{10}, alqueniltio de C_2-C_8, alquenilsulfinilo de C_2-C_8, alquenilsulfonilo de C_2-C_8, alquiniltio de C_2-C_8, alquinilsulfinilo de C_2-C_8 o alquinilsulfonilo de C_2-C_8, cada uno de ellos está sin sustituir o sustituido con al menos un sustituyente seleccionado independientemente de R^{17}; o

dos sustituyentes R^{15} en átomos de anillos adyacentes se combinan con los átomos de anillos adyacentes para formar un anillo heterocíclico o carbocíclico de 5 a 7 miembros, cada anillo contiene miembros de anillo seleccionados de átomos de carbono y hasta 3 heteroátomos seleccionados independientemente de hasta 2 O, hasta 2 S y hasta 3 N,

en donde hasta 2 miembros de anillo de átomos de carbono se seleccionan independientemente de C(=O) y C(=S) y los miembros de anillo de átomos de azufre se seleccionan independientemente de $S(=O)_n$, cada anillo está sustituido opcionalmente con hasta 3 sustituyentes seleccionados independientemente del grupo que consiste en halógeno, ciano, hidroxi, amino, nitro, C(=O)OH, $C(=O)NH_2$, SO_2NH_2, alquilo de C_1-C_4, haloalquilo de C_1-C_4, alquenilo de C_2-C_4, haloalquenilo de C_2-C_4, alquinilo de C_2-C_4, haloalquinilo de C_2-C_4, cicloalquilo de C_3-C_7, halocicloalquilo de C_3-C_7, alquilcicloalquilo de C_4-C_8, haloalquilcicloalquilo de C_4-C_8, cicloalquilalquilo de C_4-C_8, halocicloalquilalquilo de C_4-C_8, alcoxi de C_1-C_6, haloalcoxi de C_1-C_6, alcoxicarbonilo de C_2-C_6, haloalcoxicarbonilo de C_2-C_6, alquilcarbonilo de C_2-C_6 y haloalquilcarbonilo de C_2-C_6; cada R^{16} es independientemente alquilo de C_1-C_6, alquenilo de C_2-C_6, alquinilo de C_2-C_6, cicloalquilo de C_3-C_8, alquilcicloalquilo de C_4-C_8, cicloalquilalquilo de C_4-C_8, cicloalquilcicloalquilo de C_6-C_{10}, alquilcicloalquilalquilo de C_5-C_{10} o cicloalquenilo de C_3-C_6, cada uno de ellos está sin sustituir o sustituido con al menos un sustituyente seleccionado independientemente del grupo que consiste en halógeno, ciano, nitro, CHO, C(=O)OH, $C(=O)NH_2$, alcoxi de C_1-C_4, haloalcoxi de C_1-C_4, alquiltio de C_1-C_4, alquilsulfinilo de C_1-C_4, alquilsulfonilo de C_1-C_4,

haloalquiltio de C_1-C_4, haloalquilsulfinilo de C_1-C_4, haloalquilsulfonilo de C_1-C_4, alquilamino de C_1-C_4, dialquilamino de C_2-C_8, cicloalquilamino de C_3-C_6, alcoxialquilo de C_2-C_4, alquilcarbonilo de C_2-C_4, alcoxicarbonilo de C_2-C_6, alquilcarboniloxi de C_2-C_6, alquilcarboniltio de C_2-C_6, alquilaminocarbonilo de C_2-C_6, dialquilaminocarbonilo de C_3-C_8 y trialquilsililo de C_3-C_6; o fenilo o un anillo heteroaromático de 5 o 6 miembros, cada uno de ellos está sin sustituido o sustituido con al menos un sustituyente seleccionado independientemente del grupo que consiste en alquilo de C_1-C_6, alquenilo de C_2-C_6, alquinilo de C_2-C_6, cicloalquilo de C_3-C_8, alquilcicloalquilo de C_4-C_8, cicloalquilalquilo de C_4-C_8, cicloalquilcicloalquilo de C_6-C_{10}, alquilcicloalquilalquilo de C_5-C_{10}, cicloalquenilo de C_3-C_6, halógeno, ciano, nitro, CHO, $C(=O)OH$, $C(=O)NH_2$, alcoxi de C_1-C_4, haloalcoxi de C_1-C_4, alquiltio de C_1-C_4, alquilsulfinilo de C_1-C_4, alquilsulfonilo de C_1-C_4, haloalquiltio de C_1-C_4, haloalquilsulfinilo de C_1-C_4, haloalquilsulfonilo de C_1-C_4, alquilamino de C_1-C_4, dialquilamino de C_2-C_8, cicloalquilamino de C_3-C_6, alcoxialquilo de C_2-C_4, alquilcarbonilo de C_2-C_4, alcoxicarbonilo de C_2-C_6, alquilcarboniloxi de C_2-C_6, alquilcarboniltio de C_2-C_6, alquilaminocarbonilo de C_2-C_6, dialquilaminocarbonilo de C_3-C_8 y trialquilsililo de C_3-C_6;

cada R^{17} es independientemente halógeno, ciano, nitro, OH, CHO, $C(=O)OH$, $C(=O)NH_2$, $C(=O)R^{10}$, $C(=O)OR^{11}$, $C(=O)NR^{12}R^{13}$, OR^{11}, $S(O)_nR^{10}$, $SO_2NR^{12}R^{13}$, $Si(R^{10})_3$ o Z^1Q^t;

cada R^{18}, R^{19} y R^{20} es independientemente Q^t; o alquilo de C_1-C_6, alquenilo de C_2-C_6, alquinilo de C_2-C_6, cicloalquilo de C_3-C_8, alquilcicloalquilo de C_4-C_8, cicloalquilalquilo de C_4-C_8, cicloalquilcicloalquilo de C_6-C_{10}, alquilcicloalquilalquilo de C_5-C_{10} o cicloalquenilo de C_3-C_6, cada uno de ellos está sin sustituir o sustituido con al menos un sustituyente seleccionado independientemente de R^{17};

cada R^{21} es independientemente Q^t o H; o alquilo de C_1-C_6, alquenilo de C_2-C_6, alquinilo de C_2-C_6, cicloalquilo de C_3-C_8, alquilcicloalquilo de C_4-C_8, cicloalquilalquilo de C_4-C_8, cicloalquilcicloalquilo de C_6-C_{10}, alquilcicloalquilalquilo de C_5-C_{10} o cicloalquenilo de C_3-C_6, cada uno de ellos está sin sustituir o sustituido con al menos un sustituyente seleccionado independientemente de R^{17};

cada R^{22} y R^{23} es independientemente Q^t o H; o alquilo de C_1-C_6, alquenilo de C_2-C_6, alquinilo de C_2-C_6, cicloalquilo de C_3-C_8, alquilcicloalquilo de C_4-C_8, cicloalquilalquilo de C_4-C_8, cicloalquilcicloalquilo de C_6-C_{10}, alquilcicloalquilalquilo de C_5-C_{10} o cicloalquenilo de C_3-C_6, cada uno de ellos está sin

sustituir o sustituido con al menos un sustituyente seleccionado independientemente de R^{17}; o

R^{22} y R^{23} se combinan con el átomo de nitrógeno al cual están unidos para formar un anillo de 3 a 7 miembros que contiene miembros de anillo seleccionados de átomos de carbono y hasta 2 heteroátomos seleccionados independientemente de un O, un S y hasta 2 N, en donde hasta 2 miembros de anillo de átomos de carbono se seleccionan independientemente de C(=O) y C(=S) y el miembro de anillo de átomos de azufre se selecciona de S, S(O) o $S(O)_2$, ese anillo está sustituido opcionalmente con hasta 4 sustituyentes seleccionados independientemente del grupo que consiste en halógeno, ciano y alquilo de C_1-C_4;

cada R^{24} es independientemente H, ciano, OCN, SCN, CHO, C(=O)OH, $C(=O)NH_2$, $C(=S)NH_2$, SO_2NH_2, $C(=O)R^{18}$, $C(=O)OR^{18}$, NHR^{18}, $NR^{18}R^{19}$, $C(=O)NR^{21}R^{19}$, $C(=S)NR^{21}R^{19}$, $SO_2NR^{21}R^{19}$, $OC(=O)R^{21}$, $OC(=O)OR^{18}$, $OC(=O)NR^{21}R^{19}$, $N(R^{21})C(=O)R^{21}$, $N(R^{21})C(=O)OR^{19}$, $N(R^{21})C(=O)NR^{21}R^{22}$, OSO_2R^{18}, $OSO_2NR^{21}R^{22}$, $NR^{21}SO_2R^{18}$, $NR^{21}SO_2NR^{21}R^{22}$, $Si(R^{18}R^{19}R^{20})$ o Z^1Q^t; o alquilo de C_1-C_8, alquenilo de C_2-C_8, alquinilo de C_2-C_8, cicloalquilo de C_3-C_{10}, alquilcicloalquilo de C_4-C_{10}, cicloalquilalquilo de C_4-C_{10}, cicloalquilcicloalquilo de C_6-C_{14}, alquilcicloalquilalquilo de C_5-C_{10}, cicloalquenilo de C_3-C_8, alcoxi de C_1-C_8, cicloalcoxi de C_3-C_8, cicloalquilalcoxi de C_4-C_{10}, alqueniloxi de C_2-C_8,

alquiniloxi de C_2-C_8, alquiltio de C_1-C_8, alquilsulfinilo de C_1-C_8, alquilsulfonilo de C_1-C_8, cicloalquiltio de C_3-C_8, cicloalquilsulfinilo de C_3-C_8, cicloalquilsulfonilo de C_3-C_8, cicloalquilalquiltio de C_4-C_{10}, cicloalquilalquilsulfinilo de C_4-C_{10}, cicloalquilalquilsulfonilo de C_4-C_{10}, alqueniltio de C_2-C_8, alquenilsulfinilo de C_2-C_8, alquenilsulfonilo de C_2-C_8, alquiniltio de C_2-C_8, alquinilsulfinilo de C_2-C_8 o alquinilsulfonilo de C_2-C_8, cada uno de ellos está sin sustituir o sustituido con al menos un sustituyente seleccionado independientemente de R^{17};

a es 1, 2 o 3;

cada n es independientemente 0, 1 o 2; y

u y z en cada instancia de $S(=O)_u(=NR^{24})_z$ son independientemente 0, 1 o 2, siempre que la suma de u y z en cada instancia de $S(=O)_u(=NR^{24})_z$ sea 0, 1 o 2.

La presente invención está dirigida, además, a los compuestos de la Fórmula **1** (que incluyen todos los estereoisómeros), *N*-óxidos y sales de esos y a las composiciones que los contienen y a su uso para controlar plagas invertebradas como se describió anteriormente y, además, en la presente invención, siempre que cuando A es O, S, NCH_3 o $C(R^{3c})=C(R^{3d})$, R^{3c} es H o F y R^{3d} es H, F, CF_2H o CF_3, entonces al menos uno de R^{3a} o R^{3b} es distinto de H.

La presente invención proporciona, además, una composición que comprende un compuesto de la Fórmula **1**, un *N*-óxido o una sal de estos, y al menos un componente adicional seleccionado del grupo que consiste en surfactantes, diluyentes sólidos y diluyentes líquidos. En una modalidad, la presente invención proporciona, además, una composición para controlar una plaga invertebrada; la composición comprende un compuesto de la Fórmula **1**, un *N*-óxido o una sal de estos, y al menos un componente adicional seleccionado del grupo que consiste en surfactantes, diluyentes sólidos y diluyentes líquidos; esa composición comprende, además, al menos un agente o compuesto adicional biológicamente activo.

La presente invención proporciona un método para controlar una plaga invertebrada; el método comprende la etapa de poner la plaga invertebrada o su ambiente en contacto con una cantidad biológicamente eficaz de un compuesto de la Fórmula **1**, un *N*-óxido o una sal de estos, (por ejemplo, como una composición descrita en la presente invención). La presente invención se refiere, además, al método en el cual la plaga invertebrada o su ambiente se pone en contacto con una composición que comprende una cantidad biológicamente eficaz de un compuesto de la Fórmula **1**, un *N*-óxido o una sal de estos, y al menos un componente adicional seleccionado del grupo que consiste en surfactantes, diluyentes sólidos y diluyentes líquidos; esa composición también comprende,

opcionalmente, una cantidad biológicamente eficaz de al menos un agente o compuesto adicional biológicamente activo.

Esta invención proporciona, además, un método para controlar una plaga de invertebrados, el método comprende poner en contacto la plaga de invertebrados o su hábitat con una cantidad biológicamente eficaz de una de las composiciones antes mencionadas, en donde el hábitat es una planta.

Esta invención proporciona, además, un método para controlar una plaga de invertebrados que comprende poner en contacto la plaga de invertebrados o su hábitat con una cantidad biológicamente eficaz de una de las composiciones antes mencionadas, en donde el hábitat es un animal.

Esta invención proporciona, además, un método para controlar una plaga de invertebrados, el método comprende poner en contacto la plaga de invertebrados o su hábitat con una cantidad biológicamente eficaz de una de las composiciones antes mencionadas, en donde el hábitat es una semilla.

La presente invención proporciona, además, un método para proteger una semilla de una plaga invertebrada; el método comprende poner la semilla en contacto con una cantidad biológicamente eficaz de un compuesto de la Fórmula **1**, un *N*-óxido o una sal de estos (por ejemplo, como una composición descrita en la presente invención). Esta invención también se refiere a la semilla tratada.

La presente invención también proporciona una composición para proteger a un animal de una plaga parasitaria invertebrada; el método comprende una cantidad eficaz como parasiticida de un compuesto de la Fórmula **1**, un *N*-óxido o una sal de estos, y al menos un vehículo.

La presente invención también proporciona un método para tratar, prevenir, inhibir y/o eliminar ecto y/o endoparásitos; el método comprende administrar a un animal y/o sobre el animal, una cantidad eficaz como parasiticida de un compuesto de la Fórmula **1**, un *N*-óxido o una sal de estos (por ejemplo, como una composición descrita en la presente). La presente invención se refiere, además, al método en el cual una cantidad eficaz como parasiticida de un compuesto de la Fórmula **1**, un *N*-óxido o una sal de estos, (por ejemplo, como una composición descrita en la presente) se administra a un ambiente (por ejemplo, un establo o una manta) en la cual reside el animal.

DETALLES DE LA INVENCIÓN

Como se usa en la presente descripción, los términos "comprende", "que comprende", "incluye", "que incluye", "tiene", "que tiene", "contiene", "que contiene", "caracterizado por" o cualquier variación de estos, pretenden cubrir una inclusión no exclusiva, sometida a cualquier limitación explícitamente indicada. Por ejemplo, una composición, una mezcla, un proceso o método que comprenda una lista de elementos no se limita necesariamente solo a esos elementos, sino que puede incluir otros que no estén expresamente enumerados o sean inherentes a tal composición, mezcla, proceso o método.

La frase de transición "que consiste en" excluye cualquier elemento, etapa o ingrediente no especificado. Si aparece en la reivindicación, esa frase cierra la reivindicación para incluir materiales diferentes a los que se mencionaron excepto por las impurezas asociadas a ellos. Cuando la frase "que consiste en" aparece en una cláusula del cuerpo de una reivindicación, en lugar de inmediatamente a continuación del preámbulo, ésta limita solamente el elemento que se expone en esa cláusula; otros elementos no se excluyen de la reivindicación en su conjunto.

La frase de transición "que consiste esencialmente de" se usa para definir una composición o método que incluye materiales, etapas, características, componentes o elementos,

en adición a aquellos descritos literalmente, siempre y cuando estos materiales, etapas, características, componentes o elementos adicionales no afecten materialmente la(s) característica(s) básica(s) y novedosa(s) de la invención reivindicada. El término "consistente esencialmente de" ocupa un punto medio entre "que comprende" y "que consiste en".

Cuando los solicitantes han definido una invención o una porción de ésta con un término abierto tal como "que comprende", se debe entender fácilmente que (a menos que se indique de cualquier otra forma) la descripción debe interpretarse como que también describe una invención por medio del uso de los términos "que consiste esencialmente de" o "que consiste en".

Además, a menos que se especifique expresamente lo contrario, la disyunción se relaciona con un "o" incluyente y no con un "o" excluyente. Por ejemplo, una condición A o B se cumple mediante cualquiera de lo descrito a continuación: A es verdadero (o actual) y B es falso (o no actual), A es falso (o no actual) y B es verdadero (o actual), y tanto A como B son verdaderos (o actuales).

Además, los artículos indefinidos "un(a)" y "unos(as)" que preceden a un elemento o componente de la invención están previstos para ser no restrictivos con respecto a la cantidad de instancias (es decir, ocurrencias) del elemento

o componente. Por consiguiente, "un(a)" o "unos(as)" deben interpretarse para incluir uno o al menos uno, y la forma singular de la palabra del elemento o componente incluye, además, el plural, a menos que el número obviamente indique que es singular.

Como se usa en la presente descripción, el término "plaga invertebrada" incluye artrópodos, gastrópodos y nematodos de importancia económica como plagas. El término "artrópodo" incluye insectos, ácaros, arañas, escorpiones, centípedos, milípedos, cochinillas de humedad y sínfilos. El término "gastrópodo" incluye caracoles, babosas y otros estilomatóforos. El término "nematodo" se refiere a un organismo vivo del Philum Nematoda. El término "helmintos" incluye gusanos redondos, gusanos del corazón, nematodos fitófagos (Nematoda), tremátodos (Tematoda), Acanthocephala y tenias (Cestoda).

En el contexto de esta descripción "control de plagas de invertebrados" significa la inhibición del desarrollo de plagas de invertebrados (que incluye mortalidad, reducción de la alimentación y/o alteración del apareamiento), y las expresiones relacionadas se definen análogamente.

El término "agronómico" se refiere a cultivos de campo, tales como los de alimentos y fibras, e incluye el cultivo de maíz, frijoles de soya y otras leguminosas, arroz,

cereales (por ejemplo, trigo, avena, cebada, centeno, arroz y maíz), verduras de hoja (por ejemplo, lechuga, repollo y otros cultivos de coles), verduras que provienen de frutos (por ejemplo, tomates, pimientos, berenjenas, crucíferas y cucurbitáceas), papas, camotes, uvas, algodón, frutos de los árboles (por ejemplo, frutas de pepita, con hueso y cítricos), frutos pequeños (bayas, cerezas) y otros cultivos especializados (por ejemplo, canola, girasol y olivo).

El término "no agronómico" se refiere a cultivos distintos a los cultivos extensivos, tales como cultivos hortícolas (por ejemplo, plantas de invernadero, de viveros u ornamentales no cultivadas en un campo), estructuras residenciales, agrícolas, comerciales e industriales, céspedes (por ejemplo, finca de césped, pastura, campo de golf, pasto, campo de deportes, etc.), productos de madera, productos almacenados, agrosilvicultura y manejo de vegetación, y aplicaciones para la salud pública (es decir, de los seres humanos) y de los animales (por ejemplo, animales domesticados, tales como mascotas, animales de cría y aves, animales no domesticados, tales como fauna).

Las aplicaciones no agronómicas incluyen proteger a un animal contra una plaga de invertebrados parasíticos al administrar una cantidad eficaz como parasiticida (es decir, biológicamente eficaz) de un compuesto de la invención, típicamente, en la forma de una composición formulada para uso

veterinario, al animal que se protegerá. Como se hace referencia en la descripción y reivindicaciones de la presente, los términos "parasiticida" y "eficaz como parasiticida" se refieren a los efectos que pueden observarse en una plaga parasitaria invertebrada para proporcionar a un animal protección frente a la plaga. Los efectos parasiticidas se relacionan, típicamente, con la disminución de la aparición o actividad de la plaga parasítica invertebrada objetivo. Dichos efectos en la plaga incluyen necrosis, muerte, crecimiento retardado, movilidad disminuida o capacidad reducida para permanecer sobre o en el animal huésped, alimentación reducida e inhibición de la reproducción. Estos efectos en las plagas parasitarias invertebradas permiten controlar (que incluye prevenir, reducir o eliminar) la infección o infestación parasitaria del animal.

En las menciones anteriores, el término "alquilo", usado solo o en palabras compuestas tales como "haloalquilo" incluye alquilo de cadena recta o ramificada, tal como metilo, etilo, *n*-propilo, *i*-propilo o los distintos isómeros butilo, pentilo o hexilo. "Alquenilo" incluye alquenos de cadena recta o ramificada tales como etenilo, 1-propenilo, 2-propenilo y los distintos isómeros butenilo, pentenilo y hexenilo. "Alquenilo" incluye, además, 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 distintos isómeros butinilo, pentinilo y hexinilo. "Alquinilo" puede incluir, además, porciones que comprenden múltiples enlaces triples tales como 2,5-hexadiinilo.

"Cicloalquilo" incluye, por ejemplo, ciclopropilo, ciclobutilo, ciclopentilo y ciclohexilo. El término "cicloalquilalquilo" designa una sustitución cicloalquilo en una entidad alquilo. Los ejemplos de "cicloalquilalquilo" incluyen ciclopropilmetilo, ciclopentiletilo y otras porciones cicloalquilo unidas a grupos alquilo de cadena recta o ramificada. "Cicloalquenilo" incluye grupos tales como ciclopentenilo y ciclohexenilo además de grupos con más de un enlace doble tal como 1,3- y 1,4-ciclohexadienilo. El término "cicloalcoxi" designa cicloalquilo unido a y enlazado a través de un átomo de oxígeno tal como ciclopentiloxi y ciclohexiloxi. "Alquilcicloalquilalquilo" designa un grupo alquilo sustituido con alquilcicloalquilo. Los ejemplos de "alquilcicloalquilalquilo" incluyen 1-, 2-, 3- o 4-metil o -etil ciclohexilmetilo. El término "cicloalquilcicloalquilo" designa una sustitución de cicloalquilo en otro anillo de cicloalquilo, en donde cada anillo de cicloalquilo tiene independientemente de 3 a 7 miembros de anillo de átomos de carbono. Los ejemplos de cicloalquilcicloalquilo incluyen ciclopropilciclopropilo (tal como 1,1'-biciclopropil-1-ilo,

1,1'-bicicloprópil-2-ilo), ciclohexilciclopentilo (tal como 4-ciclopentilciclohexilo) y ciclohexilciclohexilo (tal como 1,1'-bicicloheXil-1-ilo), y los distintos isómeros *cis*- y *trans*-cicloalquilcicloalquilo (tales como (1*R*,2*S*)-1,1'-bicicloprópil-2-ilo y (1*R*,2*R*)-1,1'-bicicloprópil-2-ilo). "Cicloalquilamino" designa un radical NH sustituido con cicloalquilo. Los ejemplos de "cicloalquilamino" incluyen ciclopropilamino y ciclohexilamino. El término "cicloalquilaminoalquilo" designa una sustitución cicloalquilamino en un grupo alquilo. Los ejemplos de "cicloalquilaminoalquilo" incluyen ciclopropilaminometilo, ciclopentilaminoetilo y otras porciones cicloalquilamino unidas a grupos alquilo de cadena recta o ramificada.

El término "halógeno", ya sea solo o en palabras compuestas, tales como "haloalquilo", o cuando se usa en descripciones tales como "alquilo sustituido con halógeno" incluye flúor, cloro, bromo o yodo. Además, cuando se usa en palabras compuestas tales como "haloalquilo", o cuando se usa en descripciones tales como "alquilo sustituido con halógeno", el alquilo puede estar parcial o totalmente sustituido con átomos de halógeno que pueden ser iguales o diferentes. Los ejemplos de "haloalquilo" o "alquilo sustituido con halógeno" incluyen CF_3, CH_2Cl, CH_2CF_3 y CCl_2CF_3. Los términos "haloalquenilo", "haloalquinilo" "haloalcoxi", "haloalquiltio",

"haloalquilamino", "haloalquilsulfinilo", "haloalquilsulfonilo", "halocicloalquilo" y similares se definen en forma 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 "haloalquilamino" incluyen $CF_3(CH_3)CHNH$, $(CF_3)_2CHNH$ y CH_2ClCH_2NH. 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 "halocicloalquilo" incluyen 2-clorociclopropilo, 2-fluorociclobutilo, 3-bromociclopentilo y 4-clorociclohexilo. El término "halodialquilo", solo o en palabras compuestas tales como "halodialquilamino" significa que al menos uno de los dos grupos alquilo está sustituido con al menos un átomo de halógeno e independientemente cada grupo alquilo halogenado puede estar parcial o totalmente sustituido con átomos de halógeno que pueden ser los mismos o diferentes. Los ejemplos de "halodialquilamino" incluyen $(BrCH_2CH_2)_2N$ y $BrCH_2CH_2(ClCH_2CH_2)N$.

"Alcoxi" incluye, por ejemplo, metoxi, etoxi, *n*-propoxi, isopropoxi y los distintos isómeros butoxi, pentoxi y

hexiloxi. "Alcoxialquilo" designa una sustitución alcoxi en alquilo. Los ejemplos de "alcoxialquilo" incluyen CH_2OCH_3, $CH_2CH_2OCH_3$, $CH_2OCH_2CH_3$, $CH_2OCH_2CH_2CH_2CH_3$ y $CH_2CH_2OCH_2CH_3$. "Alqueniloxi" incluye alquenilo de cadena recta o ramificada unido a y enlazado a través de un átomo de oxígeno. 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 alquiniloxi de cadena recta o ramificada. Los ejemplos de "alquiniloxi" incluyen $HC\equiv CCH_2O$, $CH_3C\equiv CCH_2O$ y $CH_3C\equiv CCH_2CH_2O$.

El término "alquiltio" incluye porciones alquiltio de cadena recta o ramificada tales como metiltio, etiltio y los distintos isómeros 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 distintos isómeros 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 distintos isómeros butilsulfonilo, pentilsulfonilo y hexilsulfonilo. Las abreviaturas químicas S(O) y S(=O), tal como se usan en la presente invención, representan una porción sulfinilo. Las abreviaturas químicas SO_2, $S(O)_2$ y

$S(=O)_2$, tal como se usan en la presente invención, representan una porción sulfonilo.

"Alquilamino" designa un radical NH sustituido con alquilo de cadena recta o ramificada. Los ejemplos de "alquilamino" incluyen $NHCH_2CH_3$, $NHCH_2CH_2CH_3$, y $NHCH_2CH(CH_3)_2$. "Dialquilamino" designa un radical N sustituido independientemente con dos grupos alquilo de cadena recta o ramificada. Los ejemplos de "dialquilamino" incluyen $N(CH_3)_2$, $N(CH_3CH_2CH_2)_2$ y $N(CH_3)CH_2CH_3$. "Halodialquilamino" designa una porción alquilo de cadena recta o ramificada y una porción haloalquilo de cadena recta o ramificada unida a un radical N o dos porciones haloalquilo de cadena recta o ramificada independientes unidas a un radical N, en donde "haloalquilo" es tal como se definió anteriormente. Los ejemplos de "halodialquilamino" incluyen $N(CH_2CH_3)(CH_2CH_2Cl)$ y $N(CF_2CF_3)_2$.

"Alquilcarbonilo" designa una porción alquilo de cadena lineal o ramificada unida a una porción C(O). Las abreviaturas químicas C(O) y C(=O), como se usan en la presente invención, representan una porción carbonilo. 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 "haloalquilcarbonilo" incluyen $C(O)CF_3$, $C(O)CCl_3$, $C(O)CH_2CF_3$ y $C(O)CF_2CF_3$.

"Alcoxicarbonilo" designa una porción alquilo de cadena lineal o ramificada unida a una porción CO_2. Las

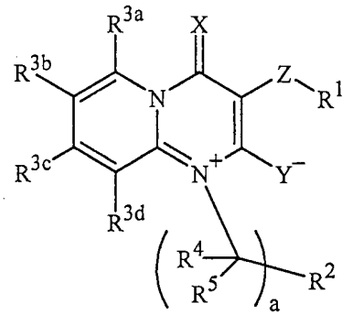
abreviaturas químicas CO_2, C(O)O y C(=O)O, tal como se usan en la presente invención, representan una porción oxicarbonilo. Los ejemplos de "alcoxicarbonilo" incluyen $C(O)OCH_3$, $C(O)OCH_2CH_3$, $C(O)OCH_2CH_2CH_3$ y $C(O)OCH(CH_3)_2$.

"Alquilaminocarbonilo" designa una porción alquilo de cadena lineal o ramificada unida a una porción C(O)NH. Las abreviaturas químicas C(O)NH, y C(O)N, tal como se usan en la presente invención, representan una porción amida (es decir, un grupo aminocarbonilo). Los ejemplos de "alquilaminocarbonilo" incluyen $C(O)NHCH_3$, $C(O)NHCH_2CH_2CH_3$ y $C(O)NHCH(CH_3)_2$. "Dialquilaminocarbonilo" designa dos porciones alquilo independientes de cadena lineal o ramificada unidas a una porción C(O)N. Los ejemplos de "dialquilaminocarbonilo" incluyen $C(O)N(CH_3)_2$ y $C(O)N(CH_3)(CH_2CH_3)$.

"Trialquilsililo" incluye 3 radicales alquilo de cadena recta y/o ramificada unidos a y enlazados a través de un átomo de silicio, tal como trimetilsililo, trietilsililo y *terc*-butildimetilsililo.

"CHO" significa formilo, "OCN" significa -O-C≡N y "SCN" significa -S-C≡N.

Cuando A es $C(R^{3c})=C(R^{3d})$, la porción $C(R^{3c})=C(R^{3d})$ está orientada de modo que el átomo de carbono unido a R^{3d} se conecte directamente al anillo de pirimidinio de la Fórmula **1**, tal como se muestra más adelante.



La cantidad total de átomos de carbono en un grupo sustituyente se indica con el prefijo "C_i-C_j", en donde i y j son números del 1 al 14. Por ejemplo, alquilo de C_1-C_4 designa metilo a butilo; alcoxialquilo de C_2 designa CH_2OCH_3; alcoxialquilo de C_3 designa, por ejemplo, $CH_3CH(OCH_3)$, $CH_2CH_2OCH_3$ o $CH_2OCH_2CH_3$; y alcoxialquilo de C_4 designa los diversos isómeros de un grupo alquilo sustituido con un grupo alcoxi que contiene un total de cuatro átomos de carbono; los ejemplos incluyen $CH_2OCH_2CH_2CH_3$ y $CH_2CH_2OCH_2CH_3$.

Se reconoce que cuando un grupo contiene un sustituyente que puede ser hidrógeno, por ejemplo, R^{3a}, y cuando ese sustituyente se toma como hidrógeno, ese grupo equivale a un grupo no sustituido. Cuando un grupo variable se muestra unido opcionalmente a una posición, por ejemplo $(R^v)_r$ en U-36 e la Exhibición 1, en donde r puede ser 0, entonces el hidrógeno puede estar en la posición aun cuando no se mencione en la definición del grupo variable. Cuando

se dice que una o más posiciones en un grupo "no están sustituidas" o son "no sustituidas", entonces los átomos de hidrógeno se unen para ocupar cualquier valencia libre.

A menos que se indique de cualquier otra forma, un "anillo" o "sistema anular" como un componente de la Fórmula **1** es carbocíclico o heterocíclico. El término "sistema anular" designa dos o más anillo conectados. El término "sistema anular bicíclico" designa un sistema anular que consiste en dos anillos que comparten dos o más átomos comunes.

Un anillo o un sistema anular bicíclico pueden ser parte de un sistema anular extendido que contiene más de dos anillos, en donde los sustituyentes en el anillo o sistema anular bicíclico se combinan para formar los anillos adicionales que pueden estar en relaciones bicíclicas con otros anillos en el sistema anular extendido.

El término "miembro anular" se refiere a un átomo (por ejemplo, C, O, N o S) u otra porción (por ejemplo, C(=O), C(=S) o $S(=O)_u(=NR^{24})_z$) que forman la cadena principal de un anillo o sistema anular. El término "aromático" indica que cada átomo del anillo está prácticamente en el mismo plano y tiene un orbital *p* perpendicular al plano del anillo y que (4n + 2) π electrones, en donde n es un entero positivo se asocian con el anillo o sistema anular para cumplir con la regla de Hückel.

"Parcialmente saturado" y "parcialmente insaturado" con referencia a un anillo o sistema anular significa que el anillo o sistema anular contiene al menos un enlace doble, pero el anillo o sistema anular no es aromático. Un sistema anular es aromático si al menos uno de los anillos que lo componen es aromático.

El término "anillo carbocíclico" designa un anillo, en donde los átomos que forman la cadena principal se seleccionan solo del carbono. A menos que se indique de cualquier otra forma, un anillo carbocíclico puede ser un anillo saturado, parcialmente insaturado o totalmente insaturado. Cuando un anillo carbocíclico totalmente insaturado cumple la regla de Hückel, entonces ese anillo se denomina, además, "anillo aromático". "Anillo carbocíclico saturado" se refiere a un anillo que tiene una cadena principal que consiste en átomos de carbono unidos entre sí por enlaces simples; a menos que se especifique de cualquier otra forma, las valencias de carbono restantes son ocupadas por átomos de hidrógeno.

Los términos "anillo heterocíclico" o "heterociclo" designan un anillo, en donde al menos uno de los átomos que forman la cadena principal del anillo es distinto a carbono. A menos que se indique de cualquier otra forma, un anillo heterocíclico puede ser un anillo saturado, parcialmente insaturado o totalmente insaturado. "Anillo heterocíclico

saturado" se refiere a un anillo heterocíclico que contiene solamente enlaces simples entre miembros de anillo. "Anillo heterocíclico parcialmente saturado" se refiere a un anillo heterocíclico que contiene al menos un enlace doble, pero que no es aromático. El término "anillo heteroaromático" designa un anillo aromático totalmente insaturado en el cual al menos un átomo que forma la cadena principal del anillo no es carbono. Típicamente, un anillo heteroaromático contiene no más de 4 hidrógenos, no más de 4 nitrógenos, no más de 1 oxígeno y no más de 1 azufre. A menos que se indique de cualquier otra forma, los anillos heteroaromáticos pueden unirse a través de cualquier carbono o nitrógeno disponible mediante el reemplazo de un hidrógeno en ese carbono o nitrógeno. El término "sistema anular bicíclico heteroaromático" designa un sistema anular que consiste en dos anillos fundidos, en donde al menos uno de los dos anillos es un anillo heteroaromático tal como se definió anteriormente.

Cuando un radical (por ejemplo, un anillo de 3 a 10 miembros en la definición de R^1) está sustituido opcionalmente con los sustituyentes listados con el número de sustituyentes indicados (por ejemplo, "hasta 5"), entonces el radical puede ser no sustituido o sustituido con un número de sustituyentes que llegan hasta el número más alto mencionado

(por ejemplo, "5"), y los sustituyentes unidos se seleccionan independientemente de los sustituyentes listados.

Cuando un sustituyente (por ejemplo, R^1) es un anillo o sistema anular puede unirse al resto de la Fórmula **1** a través de cualquier miembro de anillo disponible, a menos que se describa de cualquier otra forma.

Como se mencionó anteriormente, R^1 es, *entre otros*, un anillo de 3 a 10 miembros o un sistema anular de 7 a 11 miembros, cada anillo o sistema anular contiene miembros de anillo seleccionados de átomos de carbono y hasta 4 heteroátomos seleccionados independientemente de hasta 2 O, hasta 2 S y hasta 4 N, en donde hasta 3 miembros de anillo de átomos de carbono se seleccionan independientemente de C(=O) y C(=S) y los miembros de anillo de átomos de azufre se seleccionan independientemente de $S(=O)_u(=NR^{24})_z$, cada anillo o sistema anular está sustituido opcionalmente con hasta 5 sustituyentes seleccionados independientemente de R^{14}. En esta definición, los miembros de anillo seleccionados de hasta 2 O, hasta 2 S y hasta 4 N son óptimos, porque el número de miembros de anillo heteroátomo puede ser cero. Cuando no hay miembros de anillo heteroátomo presentes, el anillo o sistema anular es carbocíclico. Si al menos un miembro de anillo heteroátomo está presente, el anillo o sistema anular es heterocíclico. La definición de $S(=O)_u(=NR^{24})_z$ permite hasta 2 miembros de anillo de azufre que pueden ser porciones de

azufre oxidado (por ejemplo, S(=O) o $S(=O)_2$) o átomos de azufre sin oxidar (es decir, cuando u y z son ambos cero). Los miembros de anillo de los átomos de nitrógeno podrían estar oxidados como *N*-óxidos porque los compuestos relacionados con la Fórmula **1** incluyen, además, derivados de *N*-óxido. Los miembros del anillo de hasta 3 átomos de carbono seleccionados de C(=O) y C(=S) están de forma adicional a los hasta 4 heteroátomos seleccionados de hasta 2 O, hasta 2 S y hasta 4 N átomos. Dado que los sustituyentes R^{14} son opcionales, puede haber de 0 a 5 sustituyentes presentes, limitados solamente por el número de puntos de unión disponibles.

El término "no sustituido" en relación con un grupo, tal como un anillo o sistema anular, significa que el grupo no tiene sustituyentes además de su o sus uniones al resto de la Fórmula **1**. El término "sustituido opcionalmente" significa que la cantidad de sustituyentes puede ser cero. A menos que se indique de cualquier otra forma, los grupos opcionalmente sustituidos pueden estar sustituidos con tantos sustituyentes opcionales como se puedan adaptar mediante el reemplazo de un átomo de hidrógeno con un sustituyente no hidrógeno en cualquier átomo de carbono o nitrógeno disponible.Usualmente, el número de sustituyentes opcionales (cuando están presentes) se encuentra en el intervalo de 1 a 3.

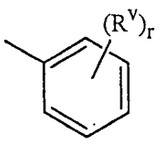
La cantidad de sustituyentes opcionales puede estar restringida por una limitación expresa Por ejemplo, la frase "está sustituido opcionalmente con hasta 5 sustituyentes seleccionados independientemente de R^{15}" significa que pueden estar presentes 0, 1, 2, 3, 4 o 5 sustituyentes (si el número de puntos de conexión potenciales lo permite). Cuando un intervalo especificado para la cantidad de sustituyentes excede la cantidad de posiciones disponibles para los sustituyentes en un anillo, el extremo mayor real del intervalo se reconoce como la cantidad de posiciones disponibles.

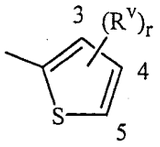
Cuando el número de sustituyentes opcionales no está restringido por una limitación expresa (por ejemplo, las frases "está sustituido opcionalmente con halógeno" o "está sin sustituir o sustituido con al menos un sustituyente seleccionado independientemente de"), se entiende que indican que la cantidad de sustituyentes opcionales puede variar de 0 hasta el número de posiciones disponibles. Una persona con experiencia en la técnica apreciará que mientras algunos sustituyentes tales como halógeno pueden estar presentes en cada posición disponible (por ejemplo, el sustituyente C_2F_5 es un grupo alquilo de C_2 sustituido con el número máximo de 5 átomos de fluor), los factores prácticos tales como el costo y la accesibilidad sintética pueden limitar el número de ocurrencias de otros sustituyentes. Estas limitaciones son

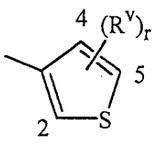
parte del conocimiento general que los expertos en la técnica tienen sobre la síntesis. Destacan las modalidades, en donde en ausencia de un límite expreso del número de sustituyentes opcionales, el número de sustituyentes opcionales es hasta 3 (es decir, 0, 1, 2 o 3) si tienen cabida en función del número de posiciones disponibles.

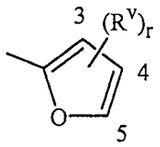
Como se mencionó anteriormente, los sustituyentes tales como R^1 pueden ser (entre otros) un anillo heteroaromático de 5 o 6 miembros, sustituido opcionalmente con uno o más sustituyentes seleccionados de un grupo de sustituyentes tal como se definió en la Breve descripción de la invención. Los ejemplos de un anillo heteroaromático de 5 o 6 miembros sustituido opcionalmente con uno o más sustituyentes incluyen los anillos U-2 a U-61 ilustrados en la Exhibición 1, en donde R^v es cualquier sustituyente tal como se definió en la Breve descripción de la invención (por ejemplo, para R^1) y r es un entero de 0 a 5, limitado por el número de posiciones disponibles en cada grupo U. Dado que U-29, U-30, U-36, U-37, U-38, U-39, U-40, U-41, U-42 y U-43 tienen solamente una posición disponible, en estos grupos U r está limitado a los enteros 0 o 1, y r es 0 significa que el grupo U no está sustituido y que un hidrógeno está presente en la posición indicada por $(R^v)_r$.

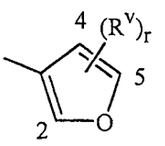
Exhibición 1

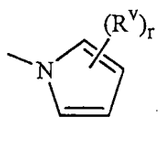

U-1 ,

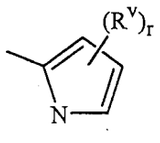

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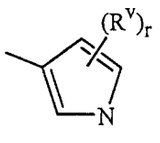

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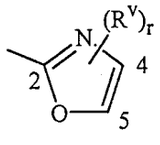

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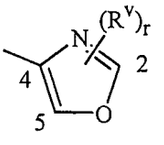

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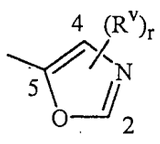

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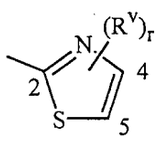

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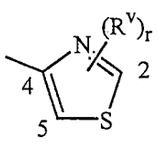

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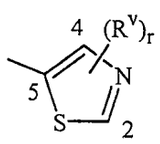

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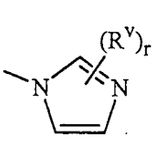

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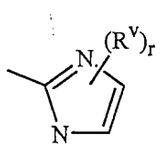

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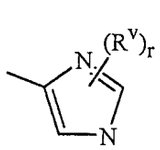

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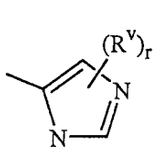

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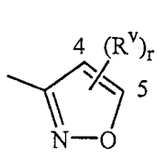

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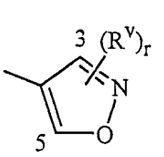

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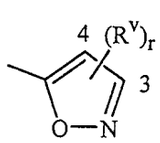

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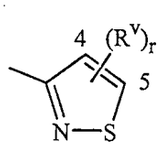

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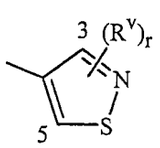

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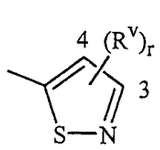

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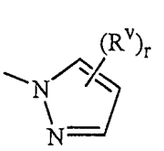

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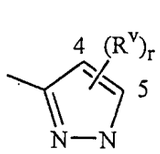

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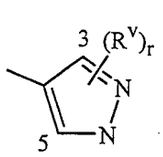

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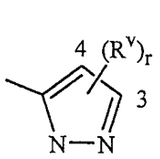

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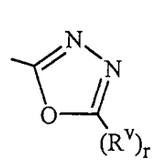

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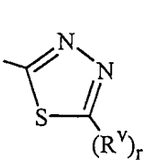

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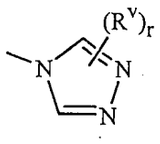

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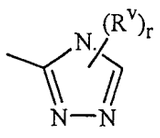

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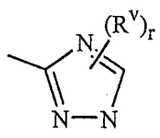

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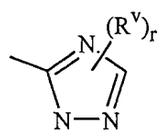

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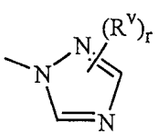

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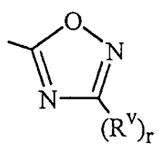

U-31 ,

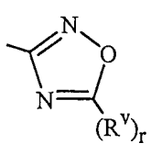

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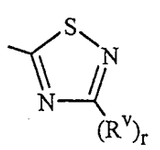

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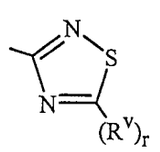

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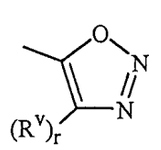

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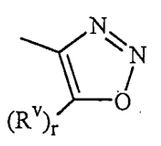

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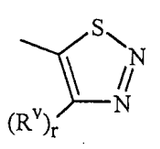

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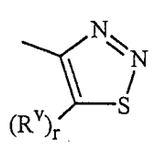

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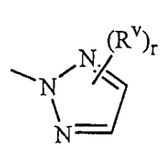

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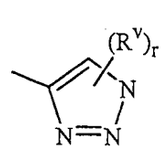

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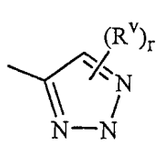

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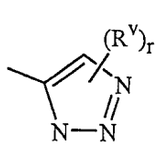

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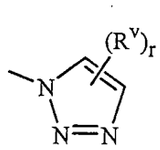

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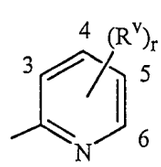

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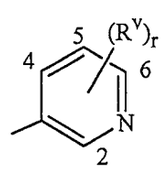

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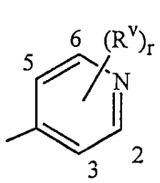

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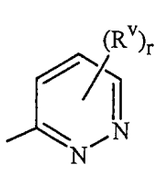

U-47 ,


U-48 ,

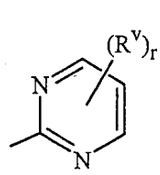

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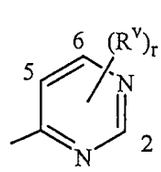

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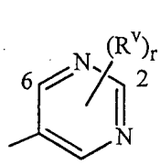

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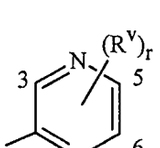

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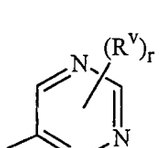

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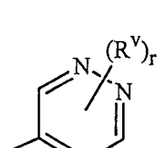

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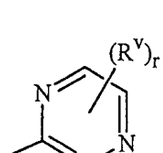

U-55 ,


U-56 ,

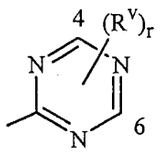

U-57 ,


U-58 ,


U-59 ,


U-60 y

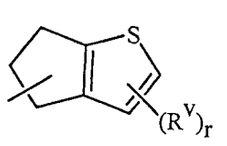
25



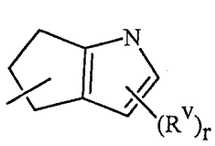
U-61

Como se mencionó anteriormente, los sustituyentes tales como R^1 pueden ser (entre otros) un sistema anular bicíclico heteroaromático de 8, 9 o 10 miembros sustituido opcionalmente con hasta 5 sustituyentes seleccionados de un grupo de sustituyentes tal como se definió en la Breve descripción de la invención. Los ejemplos de un sistema anular bicíclico heteroaromático de 8, 9 o 10 miembros sustituido opcionalmente con hasta 5 sustituyentes incluyen los sistemas anulares H-1 a H-23 ilustrados en la Exhibición 2, en donde R^v es cualquier sustituyente tal como se definió en la Breve descripción de la invención (por ejemplo, para R^1) y r es un entero de 0 a 5, limitado por el número de posiciones disponibles en cada grupo H.

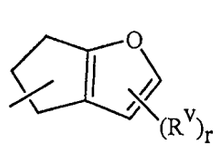
Exhibición 2



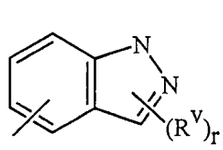
H-1



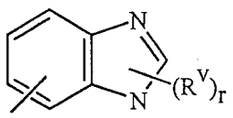
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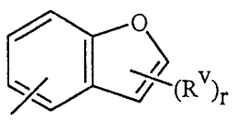


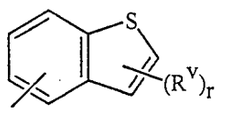
H-3

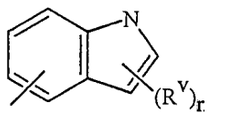


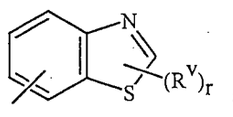
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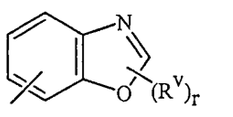

H-5

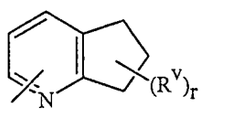

H-6

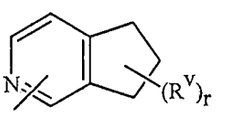

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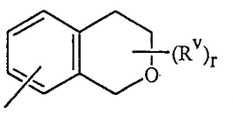

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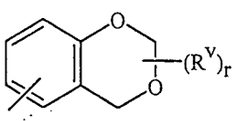

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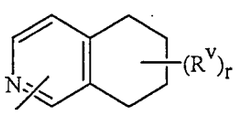

H-10

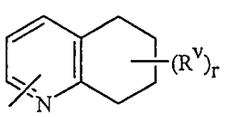

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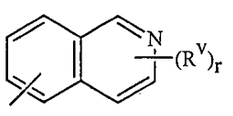

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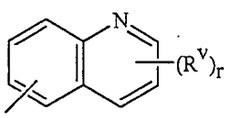

H-13

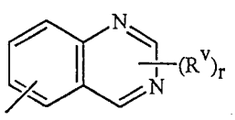

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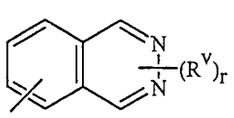

H-15

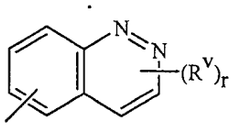

H-16

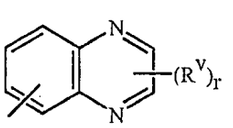

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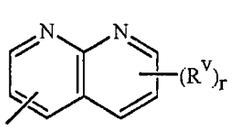

H-18


H-19


H-20


H-21


H-22


H-23

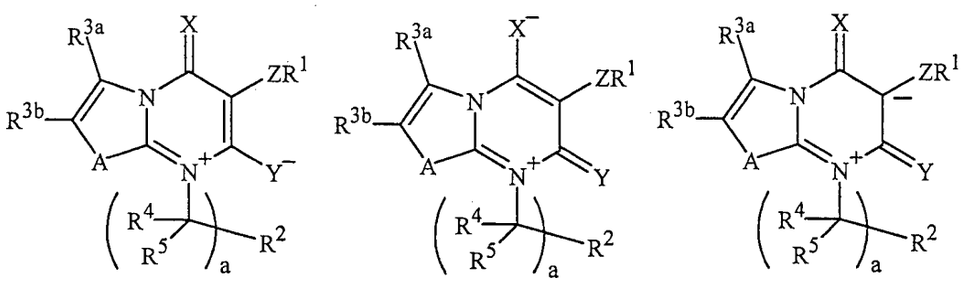
Si bien los grupos R^v se muestran en las estructuras U-1 a U-61 y H-1 a H-23 debe mencionarse que no es necesario que estén presentes dado que son sustituyentes opcionales. Los átomos de nitrógeno que requieren sustitución para completar su valencia están sustituidos con H o R^v. Se destaca que cuando el punto de unión entre $(R^v)_r$ y el grupo U o H se ilustra como un punto flotante, $(R^v)_r$ puede unirse a cualquier átomo de carbono o átomo de nitrógeno disponible

del grupo U o H. Se destaca que cuando el punto de unión en el grupo U o H se ilustra como un punto flotante, el grupo U o H se puede unir al resto de la Fórmula **1** a través de cualquier carbono o nitrógeno disponible del grupo U o H por medio del reemplazo de un átomo de hidrógeno.

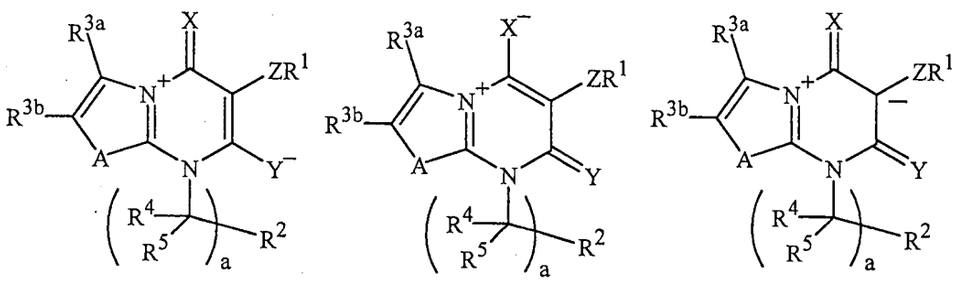
En la técnica se conoce una gran variedad de métodos sintéticos para la preparación de anillos y sistemas anulares heterocíclicos aromáticos y no aromáticos; para un análisis detallado, véase la serie de ocho volúmenes de *Comprehensive Heterocyclic Chemistry*, A. R. Katritzky y C. W. Rees editores en jefe, Pergamon Press, Oxford, 1984 y la serie de doce volúmenes de *Comprehensive Heterocyclic Chemistry* II, A. R. Katritzky, C. W. Rees y E. F. V. Scriven, editores en jefe, Pergamon Press, Oxford, 1996,

Los compuestos de la Fórmula **1** son sales internas. Las "sales internas", también conocidas en la técnica como "zwitteriones", son moléculas eléctricamente neutras pero que portan cargas formales positivas y negativas en átomos diferentes en cada estructura de enlace de valencia de acuerdo con la teoría de enlace de valencia. Además, la estructura molecular de los compuestos de la Fórmula **1** puede ser representada por estructuras de enlace de valencia seis mostradas debajo, en donde cada una coloca las cargas formales positivas y negativas sobre diferentes átomos. Debido a esta

resonancia, los compuestos de la Fórmula **1** también se describen como "mesoiónicos". Aunque en aras de simplicidad, la estructura molecular de la Fórmula **1** se representa aquí como una estructura de enlace de valencia simple, esta estructura de enlace de valencia particular se entiende que es representativa de todas las estructuras de enlace de valencia seis relevantes para la unión en las moléculas de los compuestos de la Fórmula **1**. Por lo tanto la referencia a la Fórmula **1** en la presente descripción se relaciona con las estructuras de los seis enlaces de valencias aplicables y otras estructuras (por ejemplo, teoría orbital molecular) a menos que se especifique de cualquier otra forma.



1



Los compuestos de la presente invención pueden estar en la forma de uno o más estereoisómeros. Los diversos estereoisómeros incluyen isómeros enantiómeros, diastereómeros, atropisómeros y geométricos. Una persona con experiencia en la técnica comprenderá que un estereoisómero puede ser más activo o puede exhibir efectos beneficiosos cuando está enriquecido con respecto al (a los) otro(s) estereoisómero(s) o cuando está separado del (de los) otro(s) estereoisómero(s). Además, el experimentado en la técnica sabrá cómo separar, enriquecer y/o preparar selectivamente esos estereoisómeros. Los compuestos de la presente invención pueden estar presentes como una mezcla de estereoisómeros, como estereoisómeros individuales o como una forma ópticamente activa.

Los compuestos de la presente invención pueden existir como uno o más isómeros conformacionales debido a la rotación de enlace restringida causada por el impedimento estérico. Por ejemplo, un compuesto de la Fórmula **1**, en donde Z es un enlace directo y R^1 es fenilo sustituido en la posición orto con un grupo alquilo que requiere esterificación (por ejemplo, isopropilo) puede existir como dos rotámeros debido a la rotación restringida alrededor del enlace del anillo de pirimidinio R^1. Esta invención comprende mezclas de isómeros conformacionales. Además, esta invención incluye compuestos que están enriquecidos en un conformador respecto de otros.

Los compuestos seleccionados de la Fórmula **1** (que incluyen todos los estereoisómeros, *N*-óxidos y sales de estos) existen, típicamente, en más de una forma, y así, la Fórmula **1** incluye todas las formas cristalinas y no cristalinas de los compuestos que representa la Fórmula **1**. Las formas no cristalinas incluyen modalidades sólidas tales como ceras y gomas, así como también modalidades líquidas como soluciones y fusiones. Las formas cristalinas incluyen modalidades que representan, prácticamente, un tipo de cristal único y modalidades que representan un mezcla de polimorfos (es decir, diferentes tipos cristalinos). El término "polimorfo" se refiere a una forma cristalina específica de un compuesto químico que puede cristalizarse en diferentes formas cristalinas, y estas formas poseen diferentes arreglos y/o configuraciones de las moléculas en la red cristalina. Aunque los polimorfos pueden tener la misma composición química, también pueden diferir en su composición debido a la presencia o ausencia de agua u otras moléculas co-cristalizadas, las cuales pueden estar débilmente o fuertemente enlazadas en la red. Los polimorfos pueden diferir en las propiedades químicas, físicas y biológicas según la forma del cristal, la densidad, la dureza, el color, la estabilidad química, la temperatura de fusión, la higroscopicidad, la suspensibilidad, la velocidad de disolución y la disponibilidad biológica. Una persona con

experiencia en la técnica apreciará que un polimorfo de un compuesto representado por la Fórmula **1** puede exhibir efectos beneficiosos (por ejemplo, factibilidad para la preparación de formulaciones útiles, rendimiento biológico mejorado) en relación a otro polimorfo o una mezcla de polimorfos del mismo compuesto representado por la Fórmula **1**. La preparación y aislamiento de un polimorfo específico de un compuesto representado por la Fórmula **1** puede obtenerse por métodos conocidos para aquellos con experiencia en la técnica, que incluyen, por ejemplo, la cristalización mediante la selección de solventes y temperaturas.

Una persona con experiencia en la técnica comprenderá que no todos los heterociclos que contienen nitrógeno pueden formar *N*-óxidos, ya que el nitrógeno requiere un par aislado disponible para oxidación con el óxido; una persona con experiencia en la técnica reconocerá los heterociclos que contienen nitrógeno que pueden formar *N*-óxidos. Una persona con experiencia en la técnica también reconocerá que las aminas terciarias pueden formar *N*-óxidos. Los métodos de síntesis para preparar *N*-óxidos de heterociclos y aminas terciarias son del conocimiento de una persona con experiencia en la técnica e incluyen la oxidación de heterociclos y aminas terciarias con peroxiácidos tales como ácido peracético y 3-cloroperbenzoico (MCPBA), peróxido de hidrógeno, hidroperóxidos de alquilo, tales como

hidroperóxido de *t*-butilo, perborato de sodio y dioxiranos tales como dimetildioxirano. Estos métodos para la preparación de *N*-óxidos han sido descritos y analizados extensivamente en la literatura. Véase, por ejemplo: T. L. Gilchrist en *Comprehensive Organic Synthesis*, vol. 7, págs. 748750, S. V. Ley, Ed., Pergamon Press; M. Tisler y B. Stanovnik en "*Comprehensive Heterocyclic Chemistry*", vol. 3, págs. 18-20, A. J. Boulton y A. McKillop, Eds., Pergamon Press; M. R. Grimmett y B. R. T. Keene en "*Advances in Heterocyclic Chemistry*", vol. 43, págs. 149-161, A. R. Katritzky, Ed., Academic Press; M. Tisler y B. Stanovnik en "*Advances in Heterocyclic Chemistry*", vol. 9, págs. 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*", vol. 22, págs. 390-392, A. R. Katritzky y A. J. Boulton, Eds., Academic Press.

Un experimentado en la técnica reconocerá que a causa del medio y en condiciones fisiológicas, las sales de los compuestos químicos están en equilibrio con sus correspondientes formas no salinas y las sales comparten la utilidad biológica de las formas no salinas. Así, una amplia variedad de sales de los compuestos de la Fórmula **1** son útiles para el control de las plagas de invertebrados y parásitos animales (es decir, son adecuados para su uso en la salud

animal). Las sales de los compuestos de la Fórmula **1** incluyen sales ácidas de adición con ácidos orgánicos e inorgánicos, tales como ácidos bromhídrico, clorhídrico, nítrico, fosfórico, sulfúrico, acético, butírico, fumárico, láctico, maleico, malónico, oxálico, propiónico, salicílico, tartárico, 4-toluenosulfónico o valérico. Cuando un compuesto de la Fórmula **1** contiene una porción acídica, tal como un ácido carboxílico o fenol, las sales incluyen, además, aquellas formadas con bases orgánicas o inorgánicas, tales como piridina, trietilamina o amoníaco o amidas, hidruros, hidróxidos o carbonatos de sodio, potasio, litio, calcio, magnesio o bario. Por consiguiente, la presente invención comprende compuestos seleccionados de la Fórmula **1**, *N*-óxidos y sales de estos.

Las modalidades de la presente invención, tal como se describen en la Breve descripción de la invención, incluyen las que se describen a continuación. En las siguientes modalidades la Fórmula **1** incluye los estereoisómeros, *N*-óxidos, y sales de estos y, la referencia a "un compuesto de la Fórmula **1**" incluye las definiciones de los sustituyentes que se especifican en la Breve descripción de la invención a menos que se defina en las modalidades.

En las siguientes modalidades la mención de la palabra "independientemente" antes de más de una variable definida significa que la definición puede aplicarse a cada variable

independientemente de las otras variables. Por ejemplo, una modalidad que menciona "independientemente R^{3a}, R^{3b} cuando se consideran individualmente, y R^{3c} cuando se considera individualmente son H o halógeno" equivale a tres modalidades que dicen: "R^{3a} es H o halógeno", "R^{3b} cuando se considera individualmente es H o halógeno" y "R^{3c} cuando se considera individualmente es H o halógeno", cada uno de los cuales puede usarse independientemente como base para enmendar o añadir reivindicaciones.

Modalidad 1. Un compuesto de la Fórmula **1**, en donde X es O.

Modalidad 2. Un compuesto de la Fórmula **1**, en donde X es S.

Modalidad 3. Un compuesto de la Fórmula **1** o de las Modalidades 1 o 2, en donde Y es O.

Modalidad 4. Un compuesto de la Fórmula **1** o de las Modalidades 1 o 2, en donde Y es S.

Modalidad 5. Un compuesto de la Fórmula **1** o de cualquiera de las Modalidades 1-4, en donde A es S, NR^{3e} o $C(R^{3c})=C(R^{3d})$.

Modalidad 5a. Un compuesto de la Modalidad 5, en donde A es S o $C(R^{3c})=C(R^{3d})$.

Modalidad 5b. Un compuesto de la Modalidad 5a, en donde A es $C(R^{3c})=C(R^{3d})$.

Modalidad 5c. Un compuesto de la Modalidad 5a, en donde A es S.

Modalidad 5d. Un compuesto de la Modalidad 5, en donde A es $C(R^{3c})=C(R^{3d})$ o NR^{3e};

Modalidad 5e. Un compuesto de la Modalidad 5d, en donde A es NR^{3e}.

Modalidad 6. Un compuesto de la Fórmula **1** o de cualquiera de las Modalidades 1-5b, en donde independientemente R^{3a} cuando se considera individualmente (es decir, cuando R^{3a} no se combina con R^{3b} para formar un anillo), R^{3b} cuando se considera individualmente (es decir, cuando R^{3b} no se combina con R^{3a}, R^{3c} o R^{3e} para formar un anillo), R^{3c} cuando se considera individualmente (es decir, cuando R^{3c} no se combina con R^{3b} o R^{3d} para formar un anillo) y R^{3d} cuando se considera individualmente (es decir, cuando R^{3d} no se combina con R^{3c} para formar un anillo) son H, halógeno, ciano, hidroxi, amino, nitro, SF_5, OCN, SCN, CHO, $C(=O)OH$, $C(=O)NH_2$, $C(=S)NH_2$, SO_2NH_2, $C(=O)R^{18}$, $C(=O)OR^{18}$, NHR^{18}, $NR^{18}R^{19}$, $C(=O)NR^{21}R^{19}$, $C(=S)NR^{21}R^{19}$, $SO_2NR^{21}R^{19}$, $OC(=O)R^{21}$, $OC(=O)OR^{18}$, $OC(=O)NR^{21}R^{19}$, $N(R^{21})C(=O)R^{21}$, $N(R^{21})C(=O)OR^{19}$, $N(R^{21})C(=O)NR^{21}R^{22}$, OSO_2R^{18}, $OSO_2NR^{21}R^{22}$, $NR^{21}SO_2R^{18}$, $NR^{21}SO_2NR^{21}R^{22}$, $Si(R^{18}R^{19}R^{20})$ o Z^1Q^t; o alquilo de C_1-C_8, alquenilo de C_2-C_8, alquinilo de C_2-C_8, cicloalquilo de C_3-C_{10}, alquilcicloalquilo de C_4-C_{10}, cicloalquilalquilo de C_4-C_{10}, cicloalquilcicloalquilo de

C_6-C_{14}, alquilcicloalquilalquilo de C_5-C_{10}, cicloalquenilo de C_3-C_8, alcoxi de C_1-C_8, cicloalcoxi de C_3-C_8, cicloalquilalcoxi de C_4-C_{10}, alqueniloxi de C_2-C_8, alquiniloxi de C_2-C_8, alquiltio de C_1-C_8, alquilsulfinilo de C_1-C_8, alquilsulfonilo de C_1-C_8, cicloalquiltio de C_3-C_8, cicloalquilsulfinilo de C_3-C_8, cicloalquilsulfonilo de C_3-C_8, cicloalquilalquiltio de C_4-C_{10}, cicloalquilalquilsulfinilo de C_4-C_{10}, cicloalquilalquilsulfonilo de C_4-C_{10}, alqueniltio de C_2-C_8, alquenilsulfinilo de C_2-C_8, alquenilsulfonilo de C_2-C_8, alquiniltio de C_2-C_8, alquinilsulfinilo de C_2-C_8 o alquinilsulfonilo de C_2-C_8, cada uno de ellos está sin sustituir o sustituido con al menos un sustituyente seleccionado independientemente de R^{17}.

Modalidad 6a. Un compuesto de la Modalidad 6, en donde independientemente R^{3a} cuando se considera individualmente, R^{3b} cuando se considera individualmente, R^{3c} cuando se considera individualmente y R^{3d} cuando se considera individualmente, son H, halógeno, ciano, OCN, SCN, CHO, SO_2NH_2, $C(=O)R^{18}$, $C(=O)OR^{18}$, $C(=O)NR^{21}R^{19}$, $C(=S)NR^{21}R^{19}$, $SO_2NR^{21}R^{19}$, $OC(=O)R^{21}$, $OC(=O)OR^{18}$, $OC(=O)NR^{21}R^{19}$ o Z^1Q^t; o alquilo de C_1-C_8, alquenilo de C_2-C_8, alquinilo de C_2-C_8, cicloalquilo de C_3-C_{10}, alquilcicloalquilo de C_4-C_{10}, cicloalquilalquilo de C_4-C_{10}, cicloalquilcicloalquilo de C_6-C_{14}, alquilcicloalquilalquilo de C_5-C_{10},

cicloalquenilo de C_3-C_8, alcoxi de C_1-C_8, cicloalcoxi de C_3-C_8, cicloalquilalcoxi de C_4-C_{10}, alqueniloxi de C_2-C_8, alquiniloxi de C_2-C_8, alquiltio de C_1-C_8, cicloalquiltio de C_3-C_8, cicloalquilalquiltio de C_4-C_{10}, cicloalquilalquilsulfinilo de C_4-C_{10}, cicloalquilalquilsulfonilo de C_4-C_{10}, alqueniltio de C_2-C_8 o alquiniltio de C_2-C_8, cada uno de ellos está sin sustituir o sustituido con al menos un sustituyente seleccionado independientemente de R^{17}.

Modalidad 6b. Un compuesto de la Modalidad 6a, en donde independientemente R^{3a} cuando se considera individualmente, R^{3b} cuando se considera individualmente, R^{3c} cuando se considera individualmente y R^{3d} cuando se considera individualmente, son H o halógeno; o alquilo de C_1-C_8, alquenilo de C_2-C_8, alquinilo de C_2-C_8, cicloalquilo de C_3-C_{10}, alcoxi de C_1-C_8, cicloalcoxi de C_3-C_8, alqueniloxi de C_2-C_8 o alquiniloxi de C_2-C_8, cada uno de ellos está sin sustituir o sustituido con al menos un sustituyente seleccionado independientemente de R^{17}.

Modalidad 6c. Un compuesto de la Modalidad 6b, en donde independientemente R^{3a} cuando se considera individualmente, R^{3b} cuando se considera individualmente, R^{3c} cuando se considera individualmente y R^{3d} cuando se considera individualmente, son H o halógeno; o alquilo

de C_1-C_8, cicloalquilo de C_3-C_{10} o alcoxi de C_1-C_8, cada uno de ellos está sustituido opcionalmente con halógeno.

Modalidad 6d. Un compuesto de la Modalidad 6c, en donde independientemente R^{3a} cuando se considera individualmente, R^{3b} cuando se considera individualmente y R^{3c} cuando se considera individualmente son H o halógeno.

Modalidad 6e. Un compuesto de la Modalidad 6d, en donde independientemente R^{3a} cuando se considera individualmente, R^{3b} cuando se considera individualmente y R^{3c} cuando se considera individualmente son H.

Modalidad 7. Un compuesto de la Fórmula **1** o de cualquiera de las Modalidades 1-6e, en donde R^{3d} cuando se considera individualmente es H, halógeno, alquilo de C_1-C_8 o alcoxi de C_1-C_8.

Modalidad 7a. Un compuesto de la Modalidad 7, en donde R^{3d} cuando se considera individualmente es halógeno, alquilo de C_1-C_8 o alcoxi de C_1-C_8.

Modalidad 7a1. Un compuesto de la Fórmula **1** o de cualquiera de las Modalidades 1-6e, en donde R^{3d} cuando se considera individualmente es halógeno, alquilo de C_1-C_8, alcoxi de C_1-C_8, haloalquilo de C_1-C_8 o haloalcoxi de C_1-C_8.

Modalidad 7b. Un compuesto de la Modalidad 7a, en donde R^{3d} cuando se considera individualmente es halógeno, alquilo de C_1-C_4 o alcoxi de C_1-C_4.

Modalidad 7c. Un compuesto de la Modalidad 7b, en donde R^{3d} cuando se considera individualmente es F, Cl, Br, CH_3 o OCH_3.

Modalidad 7d. Un compuesto de la Modalidad 7c, en donde R^{3d} cuando se considera individualmente es CH_3.

Modalidad 7e. Un compuesto de la Modalidad 7, en donde R^{3d} cuando se considera individualmente es H, halógeno, alquilo de C_1-C_4 o alcoxi de C_1-C_4.

Modalidad 7f. Un compuesto de la Modalidad 7e, en donde R^{3d} cuando se considera individualmente es H o halógeno.

Modalidad 7g. Un compuesto de la Modalidad 7e, en donde R^{3d} cuando se considera individualmente es H, F, Cl, Br, CH_3 o OCH_3.

Modalidad 8. Un compuesto de la Fórmula **1** o de cualquiera de las Modalidades 1-7g, en donde R^{3c} y R^{3d} se consideran individualmente.

Modalidad 9. Un compuesto de la Fórmula **1** o de cualquiera de las Modalidades 1-7g, en donde cuando R^{3c} y R^{3d} se combinan con los átomos de carbono adyacentes a los cuales están unidos para formar un anillo de 5 o 6 miembros (que se entiende está sustituido opcionalmente con los sustituyentes descritos en la Breve descripción de la invención).

Modalidad 9a. Un compuesto de la Modalidad 9, en donde el anillo de 5 o 6 miembros formado es aromático.

Modalidad 9b. Un compuesto de la Modalidad 9a, en donde el anillo de 5 o 6 miembros formado es un anillo de 6 miembros y es carbocíclico (es decir, un anillo de benceno fundido sustituido opcionalmente como se describe en la Modalidad 9).

Modalidad 9d. Un compuesto de la Modalidad 9, en donde el anillo de 5 o 6 miembros formado está parcialmente insaturado (y es carbocíclico o heterocíclico).

Modalidad 9e. Un compuesto de la Fórmula 1 o de cualquiera de las Modalidades 1-7g y 9-9d, en donde R^{3c} y R^{3d} se combinan con los átomos de carbono a los cuales están unidos para formar un anillo (que está sustituido opcionalmente como se describe en la Modalidad 9).

Modalidad 10. Un compuesto de la Fórmula **1** o de cualquiera de las Modalidades 1-9d, en donde R^{3e} cuando se considera individualmente (es decir, cuando R^{3e} no se combina con R^{3b} para formar un anillo) es H, hidroxi, amino, CHO, $C(=O)NH_2$, $C(=S)NH_2$, SO_2NH_2, $C(=O)R^{18}$, $C(=O)OR^{18}$, NHR^{18}, $NR^{18}R^{19}$, $C(=O)NR^{21}R^{19}$, $C(=S)NR^{21}R^{19}$, $SO_2NR^{21}R^{19}$, $OC(=O)R^{21}$, $OC(=O)OR^{18}$, $OC(=O)NR^{21}R^{19}$, $N(R^{21})C(=O)R^{21}$, $N(R^{21})C(=O)OR^{19}$, $N(R^{21})C(=O)NR^{21}R^{22}$, OSO_2R^{18}, $OSO_2NR^{21}R^{22}$, $NR^{21}SO_2R^{18}$, $NR^{21}SO_2NR^{21}R^{22}$, $Si(R^{18}R^{19}R^{20})$ o Z^1Q^t; o alquilo de C_1-C_8, alquenilo de C_2-C_8, alquinilo de C_2-C_8, cicloalquilo de C_3-C_{10}, alquilcicloalquilo de C_4-C_{10}, cicloalquilalquilo de C_4-C_{10}, cicloalquilcicloalquilo de

C_6-C_{14}, alquilcicloalquilalquilo de C_5-C_{10}, cicloalquenilo de C_3-C_8, alcoxi de C_1-C_8, cicloalcoxi de C_3-C_8, cicloalquilalcoxi de C_4-C_{10}, alqueniloxi de C_2-C_8 o alquiniloxi de C_2-C_8, cada uno de ellos está sin sustituir o sustituido con al menos un sustituyente seleccionado independientemente de R^{17}.

Modalidad 10a. Un compuesto de la Modalidad 10, en donde R^{3e} cuando se considera individualmente es H, CHO, $C(=O)R^{18}$, $C(=O)OR^{18}$, $C(=O)NR^{21}R^{19}$, $C(=S)NR^{21}R^{19}$, $SO_2NR^{21}R^{19}$, alquilo de C_1-C_8, cicloalquilo de C_3-C_8 o alcoxi de C_1-C_8.

Modalidad 10b. Un compuesto de la Modalidad 10a, en donde R^{3e} cuando se considera individualmente es H, alquilo de C_1-C_4, cicloalquilo de C_3-C_4 o alcoxi de C_1-C_4.

Modalidad 10c. Un compuesto de la Modalidad 10b, en donde R^{3e} cuando se considera individualmente es H o alquilo de C_1-C_4.

Modalidad 10d. Un compuesto de la Modalidad 10c, en donde R^{3e} cuando se considera individualmente es alquilo de C_1-C_4.

Modalidad 10e. Un compuesto de la Modalidad 10d, en donde R^{3e} cuando se considera individualmente es CH_3.

Modalidad 10f. Un compuesto de la Modalidad 10c, en donde R^{3e} cuando se considera individualmente es H o CH_3.

Modalidad 10g. Un compuesto de la Modalidad 10f, en donde R^{3e} cuando se considera individualmente es H.

Modalidad 11. Un compuesto de la Fórmula **1** o de cualquiera de las Modalidades 1-10, en donde las instancias de R^{17} en la definición de R^{3e} se seleccionan independientemente de R^{17a}; R^{17a} es halógeno, OR^{11} o $Z^{1a}Q^t$; y Z^{1a} es un enlace directo.

Modalidad 12. Un compuesto de la Fórmula **1** o de cualquiera de las Modalidades 1-11, en donde R^{3b} y R^{3e} se consideran individualmente (es decir, R^{3b} y R^{3e} no se combinan para formar un anillo).

Modalidad 13. Un compuesto de la Fórmula **1** o de cualquiera de las Modalidades 1-11, en donde cuando R^{3e} y R^{3b} se combinan con los átomos de carbono adyacentes a los cuales están unidos para formar un anillo de 5 o 6 miembros (que se entiende está sustituido opcionalmente con los sustituyentes descritos en la Breve descripción de la invención).

Modalidad 14. Un compuesto de la Modalidad 13, en donde el anillo de 5 o 6 miembros formado es un anillo de piridina fundido (que está sustituido opcionalmente como se describe en la Modalidad 13).

Modalidad 15. Un compuesto de la Fórmula **1** o de cualquiera de las Modalidades 1-14, en donde Z es un enlace directo, O, NR^6, $C(=X^1)$, $C(=X^1)E$, $EC(=X^1)$, $C(=NOR^8)$ o $C(=NN(R^6)_2)$.

Modalidad 15a. Un compuesto de la Modalidad 15, en donde Z es un enlace directo, $C(=X^1)$, $C(=X^1)E$, $EC(=X^1)$, $C(=NOR^8)$ o $C(=NN(R^6)_2)$.

Modalidad 15b. Un compuesto de la Modalidad 15a, en donde Z es un enlace directo, $C(=X^1)$ o $C(=X^1)E$.

Modalidad 15c. Un compuesto de la Modalidad 15b, en donde Z es $C(=X^1)E$.

Modalidad 15d. Un compuesto de la Modalidad 15b, en donde Z es $C(=X^1)$.

Modalidad 15e. Un compuesto de la Modalidad 15b, en donde Z es un enlace directo.

Modalidad 16. Un compuesto de la Fórmula **1** o de cualquiera de las Modalidades 1-15d, en donde X^1 es O o S.

Modalidad 16a. Un compuesto de la Fórmula **1** o de cualquiera de las Modalidades 1-15d, en donde X^1 es NR^9.

Modalidad 16b. Un compuesto de la Modalidad 16, en donde X^1 es O.

Modalidad 16c. Un compuesto de la Modalidad 16, en donde X^1 es S.

Modalidad 17. Un compuesto de la Fórmula **1** o de cualquiera de las Modalidades 1-15c, en donde E es O.

Modalidad 17a. Un compuesto de la Fórmula **1** o de cualquiera de las Modalidades 1-15c, en donde E es S.

Modalidad 17b. Un compuesto de la Fórmula **1** o de cualquiera de las Modalidades 1-15c, en donde E es NR^{9a}.

Modalidad 18. Un compuesto de la Fórmula **1** o de cualquiera de las Modalidades 1-15d y 16a, en donde cada R^9 es independientemente alquilo de C_1-C_6, alquilcarbonilo de C_2-C_6 o alcoxicarbonilo de C_2-C_6.

Modalidad 18a. Un compuesto de la Modalidad 18, en donde cada R^9 es independientemente CH_3, $C(=O)CH_3$ o $C(=O)OCH_3$.

Modalidad 19. Un compuesto de la Fórmula **1** o de cualquiera de las Modalidades 1-15c, 16-16c y 17b, en donde cada R^{9a} es independientemente H, alquilo de C_1-C_6, alquilcarbonilo de C_2-C_6 o alcoxicarbonilo de C_2-C_6.

Modalidad 19a. Un compuesto de la Modalidad 19, en donde cada R^{9a} es independientemente H, CH_3, $C(=O)CH_3$ o $C(=O)OCH_3$.

Modalidad 20. Un compuesto de la Fórmula **1** o de cualquiera de las Modalidades 1-19a, en donde R^1 es H, halógeno, ciano, CHO, $C(=O)OH$, $C(=O)NH_2$ o $C(=S)NH_2$; o alquilo de C_1-C_8, alquenilo de C_2-C_8, alquinilo de C_2-C_8, cicloalquilo de C_3-C_{10}, alquilcicloalquilo de C_4-C_{10}, cicloalquilalquilo de C_4-C_{10}, cicloalquilcicloalquilo de C_6-C_{14}, alquilcicloalquilalquilo de C_5-C_{10} o cicloalquenilo de C_3-C_6, cada uno de ellos está sin sustituir o sustituido con al menos un sustituyente seleccionado independientemente del grupo que consiste en halógeno, ciano, nitro, CHO, $C(=O)OH$, $C(=O)NH_2$, $C(=O)R^{10}$, $C(=O)OR^{11}$, $C(=O)NR^{12}R^{13}$, OR^{11}, $S(O)_nR^{10}$, $SO_2NR^{12}R^{13}$,

$Si(R^{10})_3$ y Z^1Q^t; o un anillo de 3 a 10 miembros o un sistema anular de 7 a 11 miembros, cada anillo o sistema anular contiene miembros de anillo seleccionados de átomos de carbono y hasta 4 heteroátomos seleccionados independientemente de hasta 2 O, hasta 2 S y hasta 4 N, en donde hasta 3 miembros de anillo de átomos de carbono se seleccionan independientemente de C(=O) y C(=S) y los miembros de anillo de átomos de azufre se seleccionan independientemente de $S(=O)_u(=NR^{24})_z$, cada anillo o sistema anular está sustituido opcionalmente con hasta 5 sustituyentes seleccionados independientemente de R^{14}.

Modalidad 20a. Un compuesto de la Modalidad 20, en donde R^1 es H, halógeno, ciano o CHO; o alquilo de C_1-C_8, alquenilo de C_2-C_8, alquinilo de C_2-C_8 o cicloalquenilo de C_3-C_6, cada uno de ellos está sin sustituir o sustituido con al menos un sustituyente seleccionado independientemente del grupo que consiste en halógeno, ciano, nitro, CHO, C(=O)OH, $C(=O)NH_2$, $C(=O)R^{10}$, $C(=O)OR^{11}$, $C(=O)NR^{12}R^{13}$, OR^{11}, $S(O)_nR^{10}$, $SO_2NR^{12}R^{13}$, $Si(R^{10})_3$ y Z^1Q^t; o un anillo de 3 a 10 miembros o sistema anular de 7 a 11 miembros, cada anillo o sistema anular contiene miembros de anillo seleccionados de átomos de carbono y hasta 4 heteroátomos seleccionados independientemente de hasta 2 O, hasta 2 S y hasta 4 N, en donde hasta 3 miembros de anillo de átomos de carbono se seleccionan

independientemente de C(=O) y C(=S) y los miembros de anillo de átomos de azufre se seleccionan independientemente de $S(=O)_u(=NR^{24})_z$, cada anillo o sistema anular está sustituido opcionalmente con hasta 5 sustituyentes seleccionados independientemente de R^{14}.

Modalidad 20b. Un compuesto de la Modalidad 20a, en donde R^1 es H, halógeno o CHO; o alquilo de C_1-C_8 no sustituido o sustituido con al menos un sustituyente seleccionado independientemente del grupo que consiste en halógeno y Z^1Q^t; o alquenilo de C_2-C_8 o alquinilo de C_2-C_8, cada uno de ellos está sin sustituir o sustituido con al menos un sustituyente seleccionado independientemente del grupo que consiste en halógeno, ciano, nitro, CHO, C(=O)OH, $C(=O)NH_2$, $C(=O)R^{10}$, $C(=O)OR^{11}$, $C(=O)NR^{12}R^{13}$, OR^{11}, $S(O)_nR^{10}$, $SO_2NR^{12}R^{13}$, $Si(R^{10})_3$ y Z^1Q^t; o un anillo de 3 a 10 miembros o sistema anular de 7 a 11 miembros, cada anillo o sistema anular contiene miembros de anillo seleccionados de átomos de carbono y hasta 4 heteroátomos seleccionados independientemente de hasta 2 O, hasta 2 S y hasta 4 N, en donde hasta 3 miembros de anillo de átomos de carbono se seleccionan independientemente de C(=O) y C(=S) y los miembros de anillo de átomos de azufre se seleccionan independientemente de $S(=O)_u(=NR^{24})_z$, cada anillo o sistema anular está sustituido opcionalmente con hasta 5 sustituyentes seleccionados independientemente de R^{14}.

Modalidad 20c. Un compuesto de la Fórmula **1** o de cualquiera de las Modalidades 1-20b, en donde las instancias de Z^1Q^t en la definición de R^1 se seleccionan independientemente de $Z^{1b}Q^{tb}$; en donde Z^{1b} es un enlace directo; y Q^{tb} es un anillo heterocíclico o carbocíclico de 5 o 6 miembros no sustituido o sustituido con al menos un sustituyente seleccionado independientemente del grupo que consiste en halógeno, alquilo de C_1-C_4, haloalquilo de C_1-C_4, alcoxi de C_1-C_4, haloalcoxi de C_1-C_4, alquiltio de C_1-C_4, haloalquiltio de C_1-C_4, alquilsulfinilo de C_1-C_4 y haloalquilsulfinilo de C_1-C_4.

Modalidad 21. Un compuesto de la Modalidad 20b, en donde R^1 es alquilo de C_1-C_8 sustituido opcionalmente con halógeno; o un anillo de 3 a 10 miembros o un sistema anular de 7 a 11 miembros, cada anillo o sistema anular contiene miembros de anillo seleccionados de átomos de carbono y hasta 4 heteroátomos seleccionados independientemente de hasta 2 O, hasta 2 S y hasta 4 N, en donde hasta 3 miembros de anillo de átomos de carbono se seleccionan independientemente de C(=O) y C(=S) y los miembros de anillo de átomos de azufre se seleccionan independientemente de $S(=O)_u(=NR^{24})_z$, cada anillo o sistema anular está sustituido opcionalmente con hasta 5 sustituyentes seleccionados independientemente de R^{14}.

Modalidad 21a. Un compuesto de la Modalidad 21, en donde R^1 es un anillo de 3 a 10 miembros o un sistema anular de 7 a 11 miembros, cada anillo o sistema anular contiene miembros de anillo seleccionados de átomos de carbono y hasta 4 heteroátomos seleccionados independientemente de hasta 2 O, hasta 2 S y hasta 4 N, en donde hasta 3 miembros de anillo de átomos de carbono se seleccionan independientemente de C(=O) y C(=S) y los miembros de anillo de átomos de azufre se seleccionan independientemente de $S(=O)_u(=NR^{24})_z$, cada anillo o sistema anular está sustituido opcionalmente con hasta 5 sustituyentes seleccionados independientemente de R^{14}.

Modalidad 21b. Un compuesto de la Fórmula **1** o de cualquiera de las Modalidades 1-21a, en donde el anillo o sistema anular de R^1 es parcialmente insaturado o aromático (que incluye heteroaromático).

Modalidad 21c. Un compuesto de la Modalidad 21b, en donde el anillo o sistema anular de R^1 es aromático (que incluye heteroaromático).

Modalidad 21d. Un compuesto de la Fórmula **1** o de cualquiera de las Modalidades 1-21c, en donde el sistema anular de R^1 es bicíclico.

Modalidad 22. Un compuesto de la Fórmula **1** o de cualquiera de las Modalidades 1-21c, en donde R^1 es un anillo de 3 a 10 miembros que contiene miembros de anillo

seleccionados de átomos de carbono y hasta 4 heteroátomos seleccionados independientemente de hasta 2 O, hasta 2 S y hasta 4 N, en donde hasta 3 miembros de anillo de átomos de carbono se seleccionan independientemente de C(=O) y C(=S) y los miembros de anillo de átomos de azufre se seleccionan independientemente de $S(=O)_u(=NR^{24})_z$, y está sustituido opcionalmente con hasta 5 sustituyentes seleccionados independientemente de R^{14}.

Modalidad 22a. Un compuesto de la Modalidad 22, en donde el anillo de R^1 tiene 5 o 6 miembros.

Modalidad 22b. Un compuesto de la Modalidad 22 o 22a, en donde el anillo de R^1 es carbocíclico.

Modalidad 22c. Un compuesto de la Modalidad 22 o 22a, en donde el anillo de R^1 es heterocíclico.

Modalidad 22d. Un compuesto de la Modalidad 22a, en donde el anillo es fenilo sustituido opcionalmente con hasta 5 sustituyentes seleccionados independientemente de R^{14}; un anillo heterocíclico de 5 miembros está sustituido opcionalmente con hasta 3 sustituyentes seleccionados independientemente de R^{14}; o un anillo heterocíclico de 6 miembros está sustituido opcionalmente con hasta 5 sustituyentes seleccionados independientemente de R^{14}.

Modalidad 22e. Un compuesto de la Modalidad 22d, en donde R^1 es fenilo sustituido opcionalmente con hasta 5 sustituyentes seleccionados independientemente de R^{14}; o

piridinilo sustituido opcionalmente con hasta 4 sustituyentes seleccionados independientemente de R^{14}.

Modalidad 22f. Un compuesto de la Modalidad 22e, en donde R^1 es fenilo sustituido opcionalmente con hasta 3 sustituyentes seleccionados independientemente de R^{14}; o piridinilo sustituido opcionalmente con hasta 2 sustituyentes seleccionados independientemente de R^{14}.

Modalidad 22g. Un compuesto de la Modalidad 22f, en donde R^1 es fenilo sustituido opcionalmente con hasta 3 sustituyentes seleccionados independientemente de R^{14}.

Modalidad 22h. Un compuesto de la Modalidad 22f, en donde R^1 es piridinilo sustituido opcionalmente con hasta 2 sustituyentes seleccionados independientemente de R^{14}.

Modalidad 22i. Un compuesto de la Fórmula 1 o de cualquiera de las Modalidades 1-22h, en donde

R^{14} cuando se considera individualmente (es decir, cuando no se combina con otra instancia de R^{14} en un átomo de anillo adyacente para formar un anillo) es halógeno, ciano, SF_5, CHO, $C(=O)R^{18}$, $C(=O)OR^{18}$, $C(=O)NR^{21}R^{19}$, Z^1Q^t o $Z^1Q^iZ^1Q^t$; o alquilo de C_1-C_8, alquenilo de C_2-C_8, alquinilo de C_2-C_8, cicloalquilo de C_3-C_{10}, alquilcicloalquilo de C_4-C_{10}, cicloalquilalquilo de C_4-C_{10}, alcoxi de C_1-C_8, cicloalcoxi de C_3-C_8, cicloalquilalcoxi de C_4-C_{10}, alqueniloxi de C_2-C_8, alquiniloxi de C_2-C_8, alquiltio de C_1-C_8,

alquilsulfinilo de C_1-C_8, alquilsulfonilo de C_1-C_8, cicloalquiltio de C_3-C_8, cicloalquilalquiltio de C_4-C_{10}, alqueniltio de C_2-C_8, alquiniltio de C_2-C_8, cada uno de ellos está sin sustituir o sustituido con al menos un sustituyente seleccionado independientemente de R^{17a}; y R^{17a} es halógeno, OR^{11} o Z^1Q^t.

Modalidad 22j. Un compuesto de la Modalidad 22i, en donde R^{14} cuando se considera individualmente es halógeno o Z^1Q^t; o alquilo de C_1-C_8, alcoxi de C_1-C_8 o alquiltio de C_1-C_8, cada uno de ellos sustituido opcionalmente con halógeno.

Modalidad 22k. Un compuesto de la Fórmula **1** o de cualquiera de las Modalidades 1-22j, en donde cada instancia de R^{14} se considera individualmente (es decir, no se combina con otra instancia de R^{14} en un átomo de anillo adyacente para formar un anillo).

Modalidad 22m. Un compuesto de la Fórmula **1** o de cualquiera de las Modalidades 1-22d, en donde R^1 es fenilo o un anillo heteroaromático de 6 miembros, cada uno de ellos sustituido opcionalmente con hasta 3 sustituyentes seleccionados independientemente de R^{14}.

Modalidad 22m1. Un compuesto de la Fórmula **1** o de cualquiera de las Modalidades 1-22d, en donde R^1 es fenilo o un anillo heteroaromático de 5 o 6 miembros, cada uno de ellos sustituido opcionalmente con hasta 3 sustituyentes seleccionados independientemente de R^{14}.

Modalidad 22n. Un compuesto de la Modalidad 22m, en donde R^1 es fenilo o piridinilo, cada uno de ellos sustituido opcionalmente con hasta 3 sustituyentes seleccionados independientemente de R^{14}.

Modalidad 22o. Un compuesto de la Modalidads 22m o 22n, en donde R^{14} es halógeno, ciano, $C(=NOR^{21})R^{22}$ o Z^1Q^t; o alquilo de C_1-C_8, alcoxi de C_1-C_8 o alquiltio de C_1-C_8, cada uno de ellos sustituido opcionalmente con halógeno.

Modalidad 22o1. Un compuesto de las Modalidades 22m, 22m1 o 22n, en donde R^{14} es halógeno, ciano, $C(=O)OR^{18}$, $C(=O)NR^{21}R^{19}$, $C(=NOR^{21})R^{22}$ o Z^1Q^t; o alquilo de C_1-C_8, alcoxi de C_1-C_8 o alquiltio de C_1-C_8, cada uno de ellos sustituido opcionalmente con halógeno.

Modalidad 22p. Un compuesto de la modalidad 22 o, en donde R^{21} es alquilo de C_1-C_4.

Modalidad 22q. Un compuesto de la modalidad 22o, en donde R^{22} es alquilo de C_1-C_4.

Modalidad 22r. Un compuesto de la Modalidad 22o, en donde un R^{14} es Z^1Q^t; Z^1 es un enlace directo; y Q^t es fenilo, piridinilo o pirimidinilo, cada uno de ellos sustituido opcionalmente con hasta 5 sustituyentes seleccionados independientemente del grupo que consiste en halógeno, ciano, alquilo de C_1-C_4, haloalquilo de C_1-C_4, alcoxi de C_1-C_4 y haloalcoxi de C_1-C_4.

Modalidad 23. Un compuesto de la Fórmula **1** o de cualquiera de las Modalidades 1-22r, en donde R^2 es H, halógeno, ciano, CHO, $C(=O)R^{18}$, $C(=O)OR^{18}$, $C(=O)NR^{21}R^{19}$, $C(=S)NR^{21}R^{19}$ o $SO_2NR^{21}R^{19}$; o alquilo de C_1-C_8, alquenilo de C_2-C_8, alquinilo de C_2-C_8, cicloalquilo de C_3-C_{10}, alquilcicloalquilo de C_4-C_{10}, cicloalquilalquilo de C_4-C_{10}, cicloalquilcicloalquilo de C_6-C_{14}, alquilcicloalquilalquilo de C_5-C_{10}, cicloalquenilo de C_3-C_8, alcoxi de C_1-C_8, cicloalcoxi de C_3-C_8, cicloalquilalcoxi de C_4-C_{10}, alqueniloxi de C_2-C_8, alquiniloxi de C_2-C_8, alquiltio de C_1-C_8, alquilsulfinilo de C_1-C_8, alquilsulfonilo de C_1-C_8, cicloalquiltio de C_3-C_8, cicloalquilsulfinilo de C_3-C_8, cicloalquilsulfonilo de C_3-C_8, cicloalquilalquiltio de C_4-C_{10}, cicloalquilalquilsulfinilo de C_4-C_{10}, cicloalquilalquilsulfonilo de C_4-C_{10}, alqueniltio de C_2-C_8, alquenilsulfinilo de C_2-C_8, alquenilsulfonilo de C_2-C_8, alquiniltio de C_2-C_8, alquinilsulfinilo de C_2-C_8 o alquinilsulfonilo de C_2-C_8, cada uno de ellos está sin sustituir o sustituido con al menos un sustituyente seleccionado independientemente del grupo que consiste en halógeno, ciano, nitro, CHO, $C(=O)OH$, $C(=O)NH_2$, $C(=O)R^{10}$, $C(=O)OR^{11}$, $C(=O)NR^{12}R^{13}$, OR^{11}, $S(O)_nR^{10}$, $SO_2NR^{12}R^{13}$ and $Si(R^{10})_3$; o un anillo de 3 a 10 miembros o sistema anular de 7 a 11 miembros, cada anillo o sistema anular contiene miembros de anillo seleccionados de átomos de carbono y

hasta 4 heteroátomos seleccionados independientemente de hasta 2 O, hasta 2 S y hasta 4 N, en donde hasta 3 miembros de anillo de átomos de carbono se seleccionan independientemente de C(=O) y C(=S) y los miembros de anillo de átomos de azufre se seleccionan independientemente de $S(=O)_u(=NR^{24})_z$, cada anillo o sistema anular está sustituido opcionalmente con hasta 5 sustituyentes seleccionados independientemente de R^{15}.

Modalidad 23a. Un compuesto de la Modalidad 23, en donde R^2 es H, halógeno, ciano, CHO, $C(=O)R^{18}$ o $C(=O)OR^{18}$; o alquilo de C_1-C_8, alquenilo de C_2-C_8, alquinilo de C_2-C_8, cicloalquilo de C_3-C_{10}, alquilcicloalquilo de C_4-C_{10}, cicloalquilalquilo de C_4-C_{10}, cicloalquilcicloalquilo de C_6-C_{14}, alquilcicloalquilalquilo de C_5-C_{10}, cicloalquenilo de C_3-C_8, alcoxi de C_1-C_8, cicloalcoxi de C_3-C_8, cicloalquilalcoxi de C_4-C_{10}, alqueniloxi de C_2-C_8 o alquiniloxi de C_2-C_8, cada uno de ellos está sin sustituir o sustituido con al menos un sustituyente seleccionado independientemente del grupo que consiste en halógeno, ciano, nitro, CHO, C(=O)OH, $C(=O)NH_2$, $C(=O)R^{10}$, $C(=O)OR^{11}$, $C(=O)NR^{12}R^{13}$, OR^{11}, $S(O)_nR^{10}$, $SO_2NR^{12}R^{13}$ y $Si(R^{10})_3$; o un anillo de 3 a 10 miembros o sistema anular de 7 a 11 miembros, cada anillo o sistema anular contiene miembros de anillo seleccionados de átomos de carbono y hasta 4 heteroátomos seleccionados

independientemente de hasta 2 O, hasta 2 S y hasta 4 N, en donde hasta 3 miembros de anillo de átomos de carbono se seleccionan independientemente de C(=O) y C(=S) y los miembros de anillo de átomos de azufre se seleccionan independientemente de $S(=O)_u(=NR^{24})_z$, cada anillo o sistema anular está sustituido opcionalmente con hasta 5 sustituyentes seleccionados independientemente de R^{15}.

Modalidad 23b. Un compuesto de la Modalidad 23a, en donde R^2 es H.

Modalidad 23c. Un compuesto de la Modalidad 23a, en donde R^2 es halógeno.

Modalidad 23d. Un compuesto de la Modalidad 23a, en donde R^2 es ciano, CHO, $C(=O)R^{18}$ o $C(=O)OR^{18}$; o alquilo de C_1-C_8, alquenilo de C_2-C_8, alquinilo de C_2-C_8, cicloalquilo de C_3-C_{10}, alquilcicloalquilo de C_4-C_{10}, cicloalquilalquilo de C_4-C_{10}, alcoxi de C_1-C_8, cicloalcoxi de C_3-C_8 o cicloalquilalcoxi de C_4-C_{10}, cada uno de ellos está sin sustituir o sustituido con al menos un sustituyente seleccionado independientemente del grupo que consiste en halógeno, ciano, nitro, CHO, C(=O)OH, $C(=O)NH_2$, $C(=O)R^{10}$, $C(=O)OR^{11}$, $C(=O)NR^{12}R^{13}$, OR^{11}, $S(O)_nR^{10}$, $SO_2NR^{12}R^{13}$ y $Si(R^{10})_3$; o un anillo de 3 a 10 miembros o sistema anular de 7 a 11 miembros, cada anillo o sistema anular contiene miembros de anillo seleccionados de átomos de carbono y hasta 4 heteroátomos seleccionados

independientemente de hasta 2 O, hasta 2 S y hasta 4 N, en donde hasta 3 miembros de anillo de átomos de carbono se seleccionan independientemente de C(=O) y C(=S) y los miembros de anillo de átomos de azufre se seleccionan independientemente de $S(=O)_u(=NR^{24})_z$, cada anillo o sistema anular está sustituido opcionalmente con hasta 5 sustituyentes seleccionados independientemente de R^{15}.

Modalidad 23e. Un compuesto de la Modalidad 23d, en donde R^2 es $C(=O)OR^{18}$; o alquilo de C_1-C_8 sustituido opcionalmente con halógeno; o un anillo de 3 a 10 miembros o un sistema anular de 7 a 11 miembros, cada anillo o sistema anular contiene miembros de anillo seleccionados de átomos de carbono y hasta 4 heteroátomos seleccionados independientemente de hasta 2 O, hasta 2 S y hasta 4 N, en donde hasta 3 miembros de anillo de átomos de carbono se seleccionan independientemente de C(=O) y C(=S) y los miembros de anillo de átomos de azufre se seleccionan independientemente de $S(=O)_u(=NR^{24})_z$, cada anillo o sistema anular está sustituido opcionalmente con hasta 5 sustituyentes seleccionados independientemente de R^{15}.

Modalidad 23f. Un compuesto de la Fórmula **1** o de cualquiera de las Modalidades 1-23e, en donde las instancias de R^{18} en la definición de R^2 se seleccionan independientemente de R^{18a}; y R^{18a} es alquilo de C_1-C_6,

alquenilo de C_2-C_6, alquinilo de C_2-C_6, cicloalquilo de C_3-C_8, alquilcicloalquilo de C_4-C_8, cicloalquilalquilo de C_4-C_8, cicloalquilcicloalquilo de C_6-C_{10}, alquilcicloalquilalquilo de C_5-C_{10} o cicloalquenilo de C_3-C_6, cada uno de ellos está sin sustituir o sustituido con al menos un sustituyente seleccionado independientemente de R^{17a};

R^{17a} es halógeno, OR^{11} o $Z^{1c}Q^t$; y Z^{1c} es un enlace directo.

Modalidad 24. Un compuesto de la Modalidad 23e, en donde R^2 es un anillo de 3 a 10 miembros o un sistema anular de 7 a 11 miembros, cada anillo o sistema anular contiene miembros de anillo seleccionados de átomos de carbono y hasta 4 heteroátomos seleccionados independientemente de hasta 2 O, hasta 2 S y hasta 4 N, en donde hasta 3 miembros de anillo de átomos de carbono se seleccionan independientemente de C(=O) y C(=S) y los miembros de anillo de átomos de azufre se seleccionan independientemente de $S(=O)_u(=NR^{24})_z$, cada anillo o sistema anular está sustituido opcionalmente con hasta 5 sustituyentes seleccionados independientemente de R^{15}.

Modalidad 24a. Un compuesto de la Modalidad 24, en donde R^2 es un anillo de 3 a 10 miembros que contiene miembros de anillo seleccionados de átomos de carbono y hasta 4 heteroátomos seleccionados independientemente de hasta 2 O, hasta 2 S y hasta 4 N, en donde hasta 3

miembros de anillo de átomos de carbono se seleccionan independientemente de C(=O) y C(=S) y los miembros de anillo de átomos de azufre se seleccionan independientemente de $S(=O)_u(=NR^{24})_z$, y está sustituido opcionalmente con hasta 5 sustituyentes seleccionados independientemente de R^{15}.

Modalidad 24b. Un compuesto de la Modalidad 24a, en donde el anillo de R^2 tiene 5 o 6 miembros.

Modalidad 24c. Un compuesto de la Modalidad 24b, en donde el anillo de R^2 tiene 5 miembros y está sustituido opcionalmente con hasta 3 sustituyentes seleccionados independientemente de R^{15}.

Modalidad 24d. Un compuesto de la Modalidad 24b, en donde el anillo de R^2 tiene 6 miembros.

Modalidad 24e. Un compuesto de la Fórmula **1** o de cualquiera de las Modalidades 1-24d, en donde el anillo o sistema anular de R^2 es parcialmente insaturado o aromático (que incluye heteroaromático).

Modalidad 24f. Un compuesto de la Modalidad 24e, en donde el anillo o sistema anular de R^2 es aromático (que incluye heteroaromático).

Modalidad 24h. Un compuesto de la Fórmula **1** o de cualquiera de las Modalidades 1-24f, en donde el anillo o sistema anular de R^2 es carbocíclico.

Modalidad 24i. Un compuesto de la Fórmula **1** o de cualquiera de las Modalidades 1-24f, en donde el anillo o sistema anular de R^2 es heterocíclico.

Modalidad 25. Un compuesto de la Modalidad 24i, en donde R^2 es piridinilo, pirimidinilo o tiazolilo, cada uno de ellos está sustituido opcionalmente con hasta 3 sustituyentes seleccionados independientemente del grupo que consiste en halógeno, ciano, SF_5, CHO, $C(=O)R^{18}$, $C(=O)OR^{18}$, $C(=O)NR^{21}R^{19}$ y Z^1Q^t, y alquilo de C_1-C_8, alquenilo de C_2-C_8, alquinilo de C_2-C_8, cicloalquilo de C_3-C_{10}, alquilcicloalquilo de C_4-C_{10}, cicloalquilalquilo de C_4-C_{10}, alcoxi de C_1-C_8, cicloalcoxi de C_3-C_8, cicloalquilalcoxi de C_4-C_{10}, alqueniloxi de C_2-C_8, alquiniloxi de C_2-C_8, alquiltio de C_1-C_8, alquilsulfinilo de C_1-C_8, alquilsulfonilo de C_1-C_8, cicloalquiltio de C_3-C_8, cicloalquilalquiltio de C_4-C_{10}, alqueniltio de C_2-C_8 y alquiniltio de C_2-C_8, cada uno de ellos está sin sustituir o sustituido con al menos un sustituyente seleccionado independientemente del grupo que consiste en halógeno, OR^{11} y Z^1Q^t.

Modalidad 25a. Un compuesto de la Modalidad 24i, en donde R^2 es piridinilo, pirimidinilo, oxazolilo o tiazolilo, cada uno de ellos está sustituido opcionalmente con hasta 3 sustituyentes seleccionados independientemente del grupo que consiste en halógeno y alquilo de C_1-C_4.

Modalidad 25b. Un compuesto de la Modalidad 25, en donde R^2 es piridinilo, pirimidinilo o tiazolilo, cada uno de ellos está sustituido opcionalmente con hasta 3 sustituyentes seleccionados independientemente del grupo que consiste en halógeno y alquilo de C_1-C_4.

Modalidad 25c. Un compuesto de la Modalidad 25b, en donde R^2 es piridinilo sustituido opcionalmente con al menos un sustituyente seleccionado independientemente del grupo que consiste en halógeno y alquilo de C_1-C_4.

Modalidad 25d. Un compuesto de la Modalidad 25c, en donde R^2 es piridinilo sustituido opcionalmente con halógeno.

Modalidad 25e. Un compuesto de la Modalidad 25d, en donde R^2 es piridinilo sustituido opcionalmente con Cl.

Modalidad 25f. Un compuesto de la Modalidad 25e, en donde R^2 es 6-cloro-3-piridinilo.

Modalidad 26. Un compuesto de la Modalidad 25b, en donde R^2 es tiazolilo sustituido opcionalmente con al menos un sustituyente seleccionado independientemente del grupo que consiste en halógeno o alquilo de C_1-C_4.

Modalidad 26a. Un compuesto de la Modalidad 26, en donde R^2 es tiazolilo sustituido opcionalmente con halógeno.

Modalidad 26b. Un compuesto de la Modalidad 26a, en donde R^2 es tiazolilo sustituido opcionalmente con Cl.

Modalidad 26c. Un compuesto de la Modalidad 26b, en donde R^2 es 2-cloro-5-tiazolilo.

Modalidad 26d. Un compuesto de la Modalidad 25b, en donde R^2 es pirimidinilo sustituido opcionalmente con alquilo de C_1-C_4.

Modalidad 26e. Un compuesto de la Modalidad 24i, en donde R^2 es *N*-metil pirazolilo sustituido opcionalmente con alquilo de C_1-C_4.

Modalidad 26f. Un compuesto de la Modalidad 25b, en donde R^2 es 6-cloro-3-piridinilo o 2-cloro-5-tiazolilo.

Modalidad 26g. Un compuesto de la Fórmula **1** o de cualquiera de las Modalidades 1- 26f, en donde cada R^{15} es independientemente halógeno, ciano, SF_5, CHO, $C(=O)R^{18}$, $C(=O)OR^{18}$, $C(=O)NR^{21}R^{19}$ o $Z^{1c}Q^t$; o alquilo de C_1-C_8, alquenilo de C_2-C_8, alquinilo de C_2-C_8, cicloalquilo de C_3-C_{10}, alquilcicloalquilo de C_4-C_{10}, cicloalquilalquilo de C_4-C_{10}, alcoxi de C_1-C_8, cicloalcoxi de C_3-C_8, cicloalquilalcoxi de C_4-C_{10}, alqueniloxi de C_2-C_8, alquiniloxi de C_2-C_8, alquiltio de C_1-C_8, alquilsulfinilo de C_1-C_8, alquilsulfonilo de C_1-C_8, cicloalquiltio de C_3-C_8, cicloalquilalquiltio de C_4-C_{10}, alqueniltio de C_2-C_8, alquiniltio de C_2-C_8, cada uno de ellos está sin sustituir o sustituido con al menos un sustituyente seleccionado independientemente de R^{17a}; R^{17a} es halógeno, OR^{11} o $Z^{1d}Q^t$; Z^{1c} es un enlace directo; y Z^{1d} es un enlace directo.

Modalidad 27. Un compuesto de la Fórmula **1** o de cualquiera de las Modalidades 1-26g, en donde cada R^4 y

R^5 es independientemente H, halógeno, ciano, hidroxi, amino, nitro, OCN, SCN, CHO, $C(=O)OH$, $C(=O)NH_2$, $C(=S)NH_2$ o SO_2NH_2; o alquilo de C_1-C_6, alquenilo de C_2-C_6, alquinilo de C_2-C_6, cicloalquilo de C_3-C_8, alquilcicloalquilo de C_4-C_8, cicloalquilalquilo de C_4-C_8, cicloalquilcicloalquilo de C_6-C_{12}, alquilcicloalquilalquilo de C_5-C_8, cicloalquenilo de C_3-C_6, alcoxi de C_1-C_6, cicloalcoxi de C_3-C_6, cicloalquilalcoxi de C_4-C_8, alqueniloxi de C_2-C_6 o alquiniloxi de C_2-C_6, cada uno de ellos está sin sustituir o sustituido con al menos un sustituyente seleccionado independientemente del grupo que consiste en halógeno, ciano, nitro, CHO, $C(=O)OH$, $C(=O)NH_2$, $C(=O)R^{10}$, $C(=O)OR^{11}$, $C(=O)NR^{12}R^{13}$, OR^{11}, $S(O)_nR^{10}$, $SO_2NR^{12}R^{13}$ y $Si(R^{10})_3$.

Modalidad 27a. Un compuesto de la Modalidad 27, en donde cada R^4 y R^5 es independientemente H o halógeno; o alquilo de C_1-C_6, cicloalquilo de C_3-C_8 o alcoxi de C_1-C_6, cada uno de ellos está sustituido opcionalmente con halógeno.

Modalidad 27b. Un compuesto de la Modalidad 27a, en donde cada R^4 y R^5 es independientemente H, halógeno, alquilo de C_1-C_2 o alcoxi de C_1-C_2.

Modalidad 27c. Un compuesto de la Modalidad 27b, en donde cada R^4 y R^5 es independientemente H, halógeno o CH_3.

Modalidad 27d. Un compuesto de la Modalidad 27c, en donde cada R^4 y R^5 es independientemente H o halógeno.

Modalidad 27e. Un compuesto de la Fórmula **1** o de cualquiera de las Modalidades 1-27d, en donde cada R^5 es H.

Modalidad 27f. Un compuesto de la Modalidad 27e, en donde cada R^4 y R^5 es H.

Modalidad 28. Un compuesto de la Fórmula **1** o de cualquiera de las Modalidades 1-27f, en donde cada R^6, R^7 y R^8 es independientemente H, alquilo de C_1-C_6, alquilcarbonilo de C_2-C_6 o alcoxicarbonilo de C_2-C_6.

Modalidad 29. Un compuesto de la Modalidad 28, en donde cada R^6, R^7 y R^8 es independientemente H, CH_3, $C(=O)CH_3$ o $C(=O)OCH_3$.

Modalidad 30. Un compuesto de la Fórmula **1** o de cualquiera de las Modalidades 1-29, en donde cada Z^1 es un enlace directo.

Modalidad 30a. Un compuesto de la Fórmula **1** o de cualquiera de las Modalidades 1-29, en donde cada Z^1 es independientemente un enlace directo u O.

Modalidad 31. Un compuesto de la Fórmula **1** o de cualquiera de las Modalidades 1-30, en donde cada R^{16} es independientemente alquilo de C_1-C_6, alquenilo de C_2-C_6, alquinilo de C_2-C_6, cicloalquilo de C_3-C_8, alquilcicloalquilo de C_4-C_8, cicloalquilalquilo de C_4-C_8, cada uno de ellos está sin sustituir o sustituido con al menos un sustituyente seleccionado independientemente del

grupo que consiste en halógeno, ciano, alcoxi de C_1-C_4, haloalcoxi de C_1-C_4, alquiltio de C_1-C_4, haloalquiltio de C_1-C_4, alcoxialquilo de C_2-C_4, alquilcarbonilo de C_2-C_4, alcoxicarbonilo de C_2-C_6, alquilcarboniloxi de C_2-C_6 y dialquilaminocarbonilo de C_3-C_8.

Modalidad 32. Un compuesto de la Fórmula **1** o de cualquiera de las Modalidades 1-31, en donde A es 1.

Modalidad 33. Un compuesto de la Fórmula **1** o de cualquiera de las Modalidades 1-32, en donde cada R^{24} es independientemente H, ciano, alquilo de C_1-C_4 o alcoxi de C_1-C_4.

Modalidad 34. Un compuesto de la Fórmula **1** o de cualquiera de las Modalidades 1-33, en donde en cada instancia de $S(=O)_u(=NR^{24})_z$, cuando z es 1 entonces u es 1.

Modalidad 35. Un compuesto de la Fórmula **1** o de cualquiera de las Modalidades 1-34, en donde cada Q^i y Q^t es independientemente fenilo o piridinilo, cada uno de ellos está sustituido opcionalmente con hasta 5 sustituyentes seleccionados independientemente de halógeno y haloalquilo de C_1-C_4.

Modalidad 35a. Un compuesto de la Fórmula **1** o de cualquiera de las Modalidades 1-34, en donde cada Q^t es independientemente fenilo o un anillo heteroaromático de 5 o 6 miembros, cada uno de ellos está sustituido opcionalmente con hasta 5 sustituyentes seleccionados

independientemente del grupo que consiste en halógeno, ciano, nitro, CHO, $C(=O)OH$, $C(=O)NH_2$, $C(=O)R^{10}$, $C(=O)OR^{11}$, $C(=O)NR^{12}R^{13}$, $C(=O)NR^{21}NR2^2R^{23}$, OR^{11}, $S(O)_nR^{10}$, $SO_2NR^{12}R^{13}$, $S(=O)(=NR^{21})R^{22}$, $Si(R^{10})_3$ y R^{16}.

Modalidad 36. Un compuesto de la Fórmula **1** o de cualquiera de las Modalidades 1-34, en donde cada Q^t es independientemente fenilo, piridinilo o pirimidinilo, cada uno de ellos está sustituido opcionalmente con hasta 5 sustituyentes seleccionados independientemente del grupo que consiste en halógeno, ciano, alquilo de C_1-C_4, haloalquilo de C_1-C_4, alcoxi de C_1-C_4 y haloalcoxi de C_1-C_4.

Se destacan los compuestos de la Fórmula **1** o de cualquiera de las Modalidades 1-36, en donde X y Y son O, una composición que comprende ese compuesto y su uso para controlar una plaga invertebrada.

Las modalidades de esta invención, que incluyen las Modalidades 1-36 anteriores, así como cualquier otra modalidad descrita en la presente descripción, pueden combinarse de cualquier manera, y las descripciones de variables en las modalidades corresponden no solo a los compuestos de Fórmula **1** sino también a los compuestos de partida y compuestos intermedios útiles para preparar los compuestos de Fórmula **1**. Además, las modalidades de esta invención, que incluyen las Modalidades 1-36 anteriores así como también cualquier otra modalidad descrita en la

presente, y cualquier combinación de éstas, corresponden a las composiciones y métodos de la presente invención.

Las combinaciones de las modalidades 1-36 se ilustran mediante las siguientes modalidades:

Modalidad A. Un compuesto de la Fórmula **1**, en donde

X es O;

Y es O;

Z es un enlace directo, $C(=X^1)$ o $C(=X^1)E$;

X^1 es O;

E es O;

A es $C(R^{3c})=C(R^{3d})$ o NR^{3e};

R^1 es alquilo de C_1-C_8 sustituido opcionalmente con halógeno; o un anillo de 3 a 10 miembros o un sistema anular de 7 a 11 miembros, cada anillo o sistema anular contiene miembros de anillo seleccionados de átomos de carbono y hasta 4 heteroátomos seleccionados independientemente de hasta 2 O, hasta 2 S y hasta 4 N, en donde hasta 3 miembros de anillo de átomos de carbono se seleccionan independientemente de C(=O) y C(=S) y los miembros de anillo de átomos de azufre se seleccionan independientemente de $S(=O)_u(=NR^{24})_z$, cada anillo o sistema anular está sustituido opcionalmente con hasta 5 sustituyentes seleccionados independientemente de R^{14};

R^2 es $C(=O)OR^{18}$; o alquilo de C_1-C_8 sustituido opcionalmente con halógeno; o un anillo de 3 a 10 miembros o un sistema anular de 7 a 11 miembros, cada anillo o sistema anular contiene miembros de anillo seleccionados de átomos de carbono y hasta 4 heteroátomos seleccionados independientemente de hasta 2 O, hasta 2 S y hasta 4 N, en donde hasta 3 miembros de anillo de átomos de carbono se seleccionan independientemente de C(=O) y C(=S) y los miembros de anillo de átomos de azufre se seleccionan independientemente de $S(=O)_u(=NR^{24})_z$, cada anillo o sistema anular está sustituido opcionalmente con hasta 5 sustituyentes seleccionados independientemente de R^{15};

R^{3a}, R^{3b}, R^{3c} son independientemente H o halógeno;

R^{3d} es halógeno, alquilo de C_1-C_8, alcoxi de C_1-C_8, haloalquilo de C_1-C_8 o haloalcoxi de C_1-C_8; o

R^{3c} y R^{3d} se combinan para formar un anillo heterocíclico o carbocíclico de 5 a 7 miembros, cada anillo contiene miembros de anillo seleccionados de átomos de carbono y hasta 3 heteroátomos seleccionados independientemente de hasta 2 O, hasta 2 S y hasta 3 N, en donde hasta 2 miembros de anillo de átomos de carbono se seleccionan independientemente de C(=O) y C(=S) y los miembros de anillo de átomos de azufre se seleccionan independientemente de $S(=O)_n$, cada anillo

está sustituido opcionalmente con hasta 3 sustituyentes seleccionados independientemente del grupo que consiste en halógeno, ciano, hidroxi, amino, nitro, C(=O)OH, $C(=O)NH_2$, SO_2NH_2, alquilo de C_1-C_4, haloalquilo de C_1-C_4, alquenilo de C_2-C_4, haloalquenilo de C_2-C_4, alquinilo de C_2-C_4, haloalquinilo de C_2-C_4, cicloalquilo de C_3-C_7, halocicloalquilo de C_3-C_7, alquilcicloalquilo de C_4-C_8, haloalquilcicloalquilo de C_4-C_8, cicloalquilalquilo de C_4-C_8, halocicloalquilalquilo de C_4-C_8, alcoxi de C_1-C_6, haloalcoxi de C_1-C_6, alcoxicarbonilo de C_2-C_6, haloalcoxicarbonilo de C_2-C_6, alquilcarbonilo de C_2-C_6 y haloalquilcarbonilo de C_2-C_6;

R^{3e} es alquilo de C_1-C_4,

R^4 y R^5 son H; y

A es 1.

Modalidad A1. Un compuesto de la Modalidad A, en donde

R^{3d} es independientemente halógeno, alquilo de C_1-C_8 o alcoxi de C_1-C_8; o

R^{3c} y R^{3d} se combinan para formar un anillo heterocíclico o carbocíclico de 5 a 7 miembros, cada anillo contiene miembros de anillo seleccionados de átomos de carbono y hasta 3 heteroátomos seleccionados independientemente de hasta 2 O, hasta 2 S y hasta 3 N, en donde hasta 2 miembros de anillo de átomos de carbono se seleccionan independientemente de C(=O) y

C(=S) y los miembros de anillo de átomos de azufre se seleccionan independientemente de $S(=O)_n$, cada anillo está sustituido opcionalmente con hasta 3 sustituyentes seleccionados independientemente del grupo que consiste en halógeno, ciano, hidroxi, amino, nitro, $C(=O)OH$, $C(=O)NH_2$, SO_2NH_2, alquilo de C_1-C_4, haloalquilo de C_1-C_4, alquenilo de C_2-C_4, haloalquenilo de C_2-C_4, alquinilo de C_2-C_4, haloalquinilo de C_2-C_4, cicloalquilo de C_3-C_7, halocicloalquilo de C_3-C_7, alquilcicloalquilo de C_4-C_8, haloalquilcicloalquilo de C_4-C_8, cicloalquilalquilo de C_4-C_8, halocicloalquilalquilo de C_4-C_8, alcoxi de C_1-C_6, haloalcoxi de C_1-C_6, alcoxicarbonilo de C_2-C_6, haloalcoxicarbonilo de C_2-C_6, alquilcarbonilo de C_2-C_6 y haloalquilcarbonilo de C_2-C_6.

Modalidad B. Un compuesto de la Modalidad A1, en donde

R^1 es fenilo o un anillo heteroaromático de 5 o 6 miembros, cada uno de ellos sustituido opcionalmente con hasta 3 sustituyentes seleccionados independientemente de R^{14};

R^{14} es halógeno, ciano, $C(=O)OR^{18}$, $C(=O)NR^{21}R^{19}$, $C(=NOR^{21})R^{22}$ o Z^1Q^t; o alquilo de C_1-C_8, alcoxi de C_1-C_8 o alquiltio de C_1-C_8, cada uno de ellos sustituido opcionalmente con halógeno;

R^{21} es alquilo de C_1-C_4;

R^{22} es alquilo de C_1-C_4;

Z es un enlace directo;

cada Z^1 es independientemente un enlace directo u O; y

cada Q^t es independientemente fenilo o un anillo heteroaromático de 5 o 6 miembros, cada uno de ellos está sustituido opcionalmente con hasta 5 sustituyentes seleccionados independientemente del grupo que consiste en halógeno, ciano, nitro, CHO, $C(=O)OH$, $C(=O)NH_2$, $C(=O)R^{10}$, $C(=O)OR^{11}$, $C(=O)NR^{12}R^{13}$, $C(=O)NR^{21}NR^{22}R^{23}$, OR^{11}, $S(O)_nR^{10}$, $SO_2NR^{12}R^{13}$, $S(=O)(=NR^{21})R^{22}$, $Si(R^{10})_3$ y R^{16}.

Modalidad B1. Un compuesto de la Modalidad B, en donde

R^1 es fenilo sustituido opcionalmente con hasta 3 sustituyentes seleccionados independientemente de R^{14};

R^{14} es halógeno, ciano, SF_5, CHO, $C(=O)R^{18}$, $C(=O)OR^{18}$, $C(=O)NR^{21}R^{19}$, Z^1Q^t o $Z^1Q^iZ^1Q^t$; o alquilo de C_1-C_8, alquenilo de C_2-C_8, alquinilo de C_2-C_8, cicloalquilo de C_3-C_{10}, alquilcicloalquilo de C_4-C_{10}, cicloalquilalquilo de C_4-C_{10}, alcoxi de C_1-C_8, cicloalcoxi de C_3-C_8, cicloalquilalcoxi de C_4-C_{10}, alqueniloxi de C_2-C_8, alquiniloxi de C_2-C_8, alquiltio de C_1-C_8, alquilsulfinilo de C_1-C_8, alquilsulfonilo de C_1-C_8, cicloalquiltio de C_3-C_8, cicloalquilalquiltio de C_4-C_{10}, alqueniltio de C_2-C_8 o alquiniltio de C_2-C_8, cada uno de ellos está sin sustituir o sustituido con al menos un sustituyente seleccionado independientemente de R^{17a};

R^{17a} es halógeno, OR^{11} o Z^1Q^t;

R^2 es piridinilo, pirimidinilo o tiazolilo, cada uno de ellos está sustituido opcionalmente con hasta 3 sustituyentes seleccionados independientemente de halógeno, ciano, SF_5, CHO, $C(=O)R^{18}$, $C(=O)OR^{18}$, $C(=O)NR^{21}R^{19}$, Z^1Q^t; o alquilo de C_1-C_8, alquenilo de C_2-C_8, alquinilo de C_2-C_8, cicloalquilo de C_3-C_{10}, alquilcicloalquilo de C_4-C_{10}, cicloalquilalquilo de C_4-C_{10}, alcoxi de C_1-C_8, cicloalcoxi de C_3-C_8, cicloalquilalcoxi de C_4-C_{10}, alqueniloxi de C_2-C_8, alquiniloxi de C_2-C_8, alquiltio de C_1-C_8, alquilsulfinilo de C_1-C_8, alquilsulfonilo de C_1-C_8, cicloalquiltio de C_3-C_8, cicloalquilalquiltio de C_4-C_{10}, alqueniltio de C_2-C_8 y alquiniltio de C_2-C_8, cada uno de ellos está sin sustituir o sustituido con al menos un sustituyente seleccionado independientemente del grupo que consiste en halógeno, OR^{11} y Z^1Q^t;

cada Z^1 es un enlace directo; y

cada Q^i y Q^t es independientemente fenilo o piridinilo, cada uno de ellos está sustituido opcionalmente con hasta 5 sustituyentes seleccionados independientemente del grupo que consiste en halógeno y haloalquilo de C_1-C_4.

Modalidad C. Un compuesto de la Modalidad B1 en donde

A es $C(R^{3c})=C(R^{3d})$;

Z es un enlace directo;

R^{14} es halógeno o Z^1Q^t; o alquilo de C_1-C_8, alcoxi de C_1-C_8 o alquiltio de C_1-C_8, cada uno de ellos está sustituido opcionalmente con halógeno; y

R^2 es piridinilo, pirimidinilo o tiazolilo, cada uno de ellos está sustituido opcionalmente con hasta 3 sustituyentes seleccionados independientemente del grupo que consiste en halógeno y alquilo de C_1-C_4.

Modalidad D. Un compuesto de la Modalidad C, en donde

R^{3a}, R^{3b} y R^{3c} son H; y

R^{3d} es halógeno, alquilo de C_1-C_4 o alcoxi de C_1-C_4.

Modalidad E. Un compuesto de la Modalidad A, en donde

R^1 es fenilo o un anillo heteroaromático de 6 miembros, cada uno de ellos está sustituido opcionalmente con hasta 3 sustituyentes seleccionados independientemente de R^{14};

R^{14} es halógeno, ciano, $C(=NOR^{21})R^{22}$ o Z^1Q^t; o alquilo de C_1-C_8, alcoxi de C_1-C_8 o alquiltio de C_1-C_8, cada uno de ellos está sustituido opcionalmente con halógeno;

R^{21} es alquilo de C_1-C_4;

R^{22} es alquilo de C_1-C_4;

Z es un enlace directo; y

cada Z^1 es un enlace directo.

Modalidad F. Un compuesto de la Modalidad B, en donde

R^2 es piridinilo, pirimidinilo, oxazolilo o tiazolilo, cada uno de ellos está sustituido opcionalmente con hasta 3 sustituyentes seleccionados independientemente del grupo que consiste en halógeno y alquilo de C_1-C_4.

Modalidad G. Un compuesto de la Modalidad F, en donde

R^1 es fenilo o piridinilo, cada uno está sustituido opcionalmente con hasta 3 sustituyentes seleccionados independientemente de R^{14}; y

cada Q^t es independientemente fenilo, piridinilo o pirimidinilo, cada uno está sustituido opcionalmente con hasta 5 sustituyentes seleccionados independientemente del grupo que consiste en halógeno, ciano, alquilo de C_1-C_4, haloalquilo de C_1-C_4, alcoxi de C_1-C_4 y haloalcoxi de C_1-C_4.

Modalidad H. Un compuesto de la Modalidad G, en donde

A es $C(R^{3c})=C(R^{3d})$;

R^{3a}, R^{3b} y R^{3c} son H; y

R^{3d} es halógeno, alquilo de C_1-C_4 o alcoxi de C_1-C_4 alkoxy.

Las modalidades específicas incluyen compuestos de Fórmula **1** seleccionados del grupo que consiste en:

sal interna de 9-bromo-1-[(2-cloro-5-tiiazolil)metil]-2-hidroxi-4-oxo-3-[3-(trifluorometoxi)fenilo]-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 9-bromo-1-[(2-cloro-5-tiazolil)metil]-2-hidroxi-4-oxo-3-[3-(trifluorometil)fenil]-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 9-bromo-3-(3-bromofenil)-1-[(2-cloro-5-tiazolil)metil]-2-hidroxi-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 9-bromo-1-[(2-cloro-5-tiazolil)metil]-3-[3-cloro-5-(trifluorometil)fenil]-2-hidroxi-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 9-bromo-3-[3-cloro-2-fluoro-5-(trifluorometil)fenil]-1-[(2-cloro-5-tiazolil)metil]-2-hidroxi-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 9-cloro-1-[(2-cloro-5-tiazolil)metil]-2-hidroxi-4-oxo-3-[3-(trifluorometoxi)fenil]-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 1-[(2-cloro-5-tiazolil)metil]-2-hidroxi-9-metil-4-oxo-3-[3-(trifluorometoxi)fenil]-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 1-[(2-cloro-5-tiazolil)metil]-2-hidroxi-9-metil-4-oxo-3-[3-(trifluorometil)fenil]-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 1-[(2-cloro-5-tiazolil)metil]-3-(2-fluorofenil)-2-hidroxi-9-metil-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 9-bromo-1-[(2-cloro-5-tiazolil)metil]-3-[3-cloro-5-(trifluorometoxi)fenil]-2-hidroxi-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 1-[(2-cloro-5-tiazolil)metil]-3-[3-cloro-5-(trifluorometoxi)fenil]-2-hidroxi-9-metil-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

1-[(2-cloro-5-tiazolil)metil]-3-(2,6-difluorofenil)-2-hidroxi-9-metil-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 1-[(2-cloro-5-tiazolil)metil]-2-hidroxi-9-metil-4-oxo-3-[3-[(trifluorometil)tio]fenil]-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 3-(2-fluorofenil)-2-hidroxi-9-metil-4-oxo-1-(5-pirimidinilmetil)-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 1-[(2-cloro-5-tiazolil)metil]-2-hidroxi-9-metil-4-oxo-3-fenil-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 1-[(2-cloro-5-tiazolil)metil]-3-[2-fluoro-5-(trifluorometil)fenil]-2-hidroxi-9-metil-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 1-[(2-cloro-5-tiazolil)metil]-3-(4-fluorofenil)-2-hidroxi-9-metil-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 1-[(2-cloro-5-tiazolil)metil]-2-hidroxi-9-metoxy-4-oxo-3-[3-(trifluorometoxi)fenil]-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 1-[(2-cloro-5-tiazolil)metil]-3-[2-fluoro-5-(trifluorometil)fenil]-2-hidroxi-9-metoxi-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 1-[(2-cloro-5-tiazolil)metil]-2-hidroxi-3-[2-metoxi-5-(trifluorometoxi)fenil]-9-metil-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 1-[(2-cloro-5-tiazolil)metil]-2-hidroxi-3-(2-metoxifenil)-9-metil-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 3-[3-cloro-2-fluoro-5-(trifluorometil)fenil]-1-[(2-cloro-5-tiazolil)metil]-2-hidroxi-9-metil-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 1-[(2-cloro-5-tiazolil)metil]-2-hidroxi-9-metil-4-oxo-3-[4-[(trifluorometil)tio]fenil]-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 1-[(2-cloro-5-tiazolil)metil]-3-(2,4-difluorofenil)-2-hidroxi-9-metil-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 3-(3-cloro-2-fluorofenil)-1-[(2-cloro-5-tiazolil)metil]-2-hidroxi-9-metil-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 1-[(2-cloro-5-tiazolil)metil]-2-hidroxi-3-(3-metoxifenil)-9-metil-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 7-bromo-1-[(2-cloro-5-tiazolil)metil]-3-[2-fluoro-3-(trifluorometil)fenil]-2-hidroxi-9-metil-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 1-[(2-cloro-5-tiazolil)metil]-2-hidroxi-3-(3-yodofenil)-9-metil-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 2-hidroxi-9-metil-1-[(2-metil-5-tiazolil)metil]-4-oxo-3-[3-(trifluorometoxi)fenil]-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 2-hidroxi-9-metil-1-[(2-metil-5-tiazolil)metil]-4-oxo-3-[3-(trifluorometil)fenil]-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 3-(2-fluorofenil)-2-hidroxi-9-metil-1-[(2-metil-5-tiazolil)metil]-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 3-[3-bromo-5-(trifluorometil)fenil]-1-[(2-cloro-5-tiazolil)metil]-2-hidroxi-9-metil-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 3-[3-bromo-5-(trifluorometoxi)fenilo]-1-[(2-cloro-5-tiazolil)metil]-2-hidroxi-9-metil-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 1-[(2-cloro-5-tiazolil)metil]-3-[3-cloro-5-(trifluorometil)fenil]-2-hidroxi-9-metil-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 1-[(2-cloro-5-tiazolil)metil]-3-[3-fluoro-5-(trifluorometil)fenil]-2-hidroxi-9-metil-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 1-[(2-cloro-5-tiazolil)metil]-2-hidroxi-3-(3-yodo-5-metoxifenil)-9-metil-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 3-(3-bromofenil)-1-[(2-cloro-5-tiazolil)metil]-2-hidroxi-9-metil-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 1-[(2-cloro-5-tiazolil)metil]-2-hidroxi-9-metil-4-oxo-3-[3'-(trifluorometoxi)[1,1'-bifenil]-3-il]-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 1-[(2-cloro-5-tiazolil)metil]-3-(2',5'-difluoro[1,1'-bifenil]-3-il)-2-hidroxi-9-metil-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 3-[3-(6-cloro-3-piridinil)-5-(trifluorometoxi)fenil]-1-[(2-cloro-5-tiazolil)metil]-2-hidroxi-9-metil-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 1-[(2-cloro-5-tiazolil)metil]-3-(2',4'-dicloro[1,1'-bifenil]-3-il)-2-hidroxi-9-metil-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

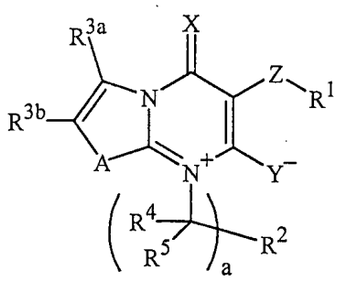
sal interna de 1-[(2-cloro-5-tiazolil)metil]-2-hidroxi-4-oxo-3-fenil-4*H*-pirimido[2,1-*a*]isoquinolinio; y

sal interna de 1-[(2-cloro-5-tiazolil)metil]-3-(3-etenilfenil)-2-hidroxi-9-metil-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

Otras modalidades específicas incluyen compuestos de la Fórmula **1** seleccionados del grupo que consiste en los números de compuestos 113, 118, 125, 137, 183, 190, 191, 196, 229, 231, 254, 257, 272, 289, 296, 299, 307, 308, 315, 343, 344, 352, 363, 364, 368, 381, 385, 421, 433, 435, 448, 449, 450,

451, 462, 482, 490 y 493, en donde el número del compuesto se refiere a los compuestos de las Tablas índice A-E.

Una modalidad de la presente invención está dirigida a compuestos de la Fórmula **1** (que incluyen todos los estereoisómeros), *N*-óxidos y sales de estos y a composiciones que los contienen y a su uso para controlar plagas de invertebrados:



1

en donde

X es O o S;

Y es O o S;

A es O, S, NR^{3e} o $C(R^{3c})=C(R^{3d})$, siempre que la porción $C(R^{3c})=C(R^{3d})$ esté orientada de modo que el átomo de carbono unido a R^{3d} se conecte directamente al anillo de pirimidinio de la Fórmula **1**;

Z es un enlace directo, O, $S(O)_n$, NR^6, $C(R^7)_2O$, $OC(R^7)_2$, $C(=X^1)$, $C(=X^1)E$, $EC(=X^1)$, $C(=NOR^8)$ o $C(=NN(R^6)_2)$;

X^1 es O, S o NR^9;

E es O, S o NR^{9a};

R^1 es H, halógeno, ciano, CHO, C(=O)OH, $C(=O)NH_2$ o $C(=S)NH_2$; o alquilo de C_1-C_8, alquenilo de C_2-C_8, alquinilo de C_2-C_8, cicloalquilo de C_3-C_{10}, alquilcicloalquilo de C_4-C_{10}, cicloalquilalquilo de C_4-C_{10}, cicloalquilcicloalquilo de C_6-C_{14}, alquilcicloalquilalquilo de C_5-C_{10} o cicloalquenilo de C_3-C_6, cada uno de ellos está sin sustituir o sustituido con al menos un sustituyente seleccionado independientemente del grupo que consiste en halógeno, ciano, nitro, CHO, C(=O)OH, $C(=O)NH_2$, $C(=O)R^{10}$, $C(=O)OR^{11}$, $C(=O)NR^{12}R^{13}$, $C(=O)NR^{21}NR^{22}R^{23}$, OR^{11}, $S(O)_nR^{10}$, $SO_2NR^{12}R^{13}$, $S(=O)(=NR^{21})R^{22}$, $Si(R^{10})_3$ y Z^1Q^t; o un anillo de 3 a 10 miembros o sistema anular de 7 a 11 miembros, cada anillo o sistema anular contiene miembros de anillo seleccionados de átomos de carbono y hasta 4 heteroátomos seleccionados independientemente de hasta 2 O, hasta 2 S y hasta 4 N, en donde hasta 3 miembros de anillo de átomos de carbono se seleccionan independientemente de C(=O) y C(=S) y los miembros de anillo de átomos de azufre se seleccionan independientemente de $S(=O)_u(=NR^{24})_z$, cada anillo o sistema anular está sustituido opcionalmente con hasta 5 sustituyentes seleccionados independientemente de R^{14}; siempre que cuando R^1 es halógeno, entonces Z es un enlace directo, S(=O), $S(=O)_2$, $OC(R^7)_2$, $C(=X^1)$, $EC(=X^1)$, $C(=NOR^8)$ o $C(=NN(R^6)_2)$;

R^2 es H, halógeno, ciano, hidroxi, amino, nitro, OCN, SCN, CHO, $C(=O)OH$, $C(=O)NH_2$, $C(=S)NH_2$, SO_2NH_2, $C(=O)R^{18}$, $C(=O)OR^{18}$, NHR^{18}, $NR^{18}R^{19}$, $C(=O)NR^{21}R^{19}$, $C(=S)NR^{21}R^{19}$, $SO_2NR^{21}R^{19}$, $OC(=O)R^{21}$, $OC(=O)OR^{18}$, $OC(=O)NR^{21}R^{19}$, $N(R^{21})C(=O)R^{21}$, $N(R^{21})C(=O)OR^{19}$, $N(R^{21})C(=O)NR^{21}R^{22}$, OSO_2R^{18}, $OSO_2NR^{21}R^{22}$, $NR^{21}SO_2R^{18}$, $NR^{21}SO_2NR^{21}R^{22}$ o $Si(R^{18}R^{19}R^{20})$; o alquilo de C_1-C_8, alquenilo de C_2-C_8, alquinilo de C_2-C_8, cicloalquilo de C_3-C_{10}, alquilcicloalquilo de C_4-C_{10}, cicloalquilalquilo de C_4-C_{10}, cicloalquilcicloalquilo de C_6-C_{14}, alquilcicloalquilalquilo de C_5-C_{10}, cicloalquenilo de C_3-C_8, alcoxi de C_1-C_8, cicloalcoxi de C_3-C_8, cicloalquilalcoxi de C_4-C_{10}, alqueniloxi de C_2-C_8, alquiniloxi de C_2-C_8, alquiltio de C_1-C_8, alquilsulfinilo de C_1-C_8, alquilsulfonilo de C_1-C_8, cicloalquiltio de C_3-C_8, cicloalquilsulfinilo de C_3-C_8, cicloalquilsulfonilo de C_3-C_8, cicloalquilalquiltio de C_4-C_{10}, cicloalquilalquilsulfinilo de C_4-C_{10}, cicloalquilalquilsulfonilo de C_4-C_{10}, alqueniltio de C_2-C_8, alquenilsulfinilo de C_2-C_8, alquenilsulfonilo de C_2-C_8, alquiniltio de C_2-C_8, alquinilsulfinilo de C_2-C_8 o alquinilsulfonilo de C_2-C_8, cada uno de ellos está sin sustituir o sustituido con al menos un sustituyente seleccionado independientemente del grupo que consiste en halógeno, ciano, nitro, CHO, $C(=O)OH$, $C(=O)NH_2$, $C(=O)R^{10}$, $C(=O)OR^{11}$, $C(=O)NR^{12}R^{13}$, OR^{11}, $S(O)_nR^{10}$, $SO_2NR^{12}R^{13}$

y $Si(R^{10})_3$; o un anillo de 3 a 10 miembros o sistema anular de 7 a 11 miembros, cada anillo o sistema anular contiene miembros de anillo seleccionados de átomos de carbono y hasta 4 heteroátomos seleccionados independientemente de hasta 2 O, hasta 2 S, y hasta 4 N, en donde hasta 3 miembros de anillo de átomos de carbono se seleccionan independientemente de C(=O) y C(=S) y los miembros de anillo de átomos de azufre se seleccionan independientemente de $S(=O)_u(=NR^{24})_z$, cada anillo o sistema anular está sustituido opcionalmente con hasta 5 sustituyentes seleccionados independientemente de R^{15};

R^{3a}, R^{3b}, R^{3c} y R^{3d} son independientemente H, halógeno, ciano, hidroxi, amino, nitro, SF_5, OCN, SCN, CHO, C(=O)OH, $C(=O)NH_2$, $C(=S)NH_2$, SO_2NH_2, $C(=O)R^{18}$, $C(=O)OR^{18}$, NHR^{18}, $NR^{18}R^{19}$, $C(=O)NR^{21}R^{19}$, $C(=S)NR^{21}R^{19}$, $SO_2NR^{21}R^{19}$, $OC(=O)R^{21}$, $OC(=O)OR^{18}$, $OC(=O)NR^{21}R^{19}$, $N(R^{21})C(=O)R^{21}$, $N(R^{21})C(=O)OR^{19}$, $N(R^{21})C(=O)NR^{21}R^{22}$, OSO_2R^{18}, $OSO_2NR^{21}R^{22}$, $NR^{21}SO_2R^{18}$, $NR^{21}SO_2NR^{21}R^{22}$, $Si(R^{18}R^{19}R^{20})$ o Z^1Q^t; o alquilo de C_1-C_8, alquenilo de C_2-C_8, alquinilo de C_2-C_8, cicloalquilo de C_3-C_{10}, alquilcicloalquilo de C_4-C_{10}, cicloalquilalquilo de C_4-C_{10}, cicloalquilcicloalquilo de C_6-C_{14}, alquilcicloalquilalquilo de C_5-C_{10}, cicloalquenilo de C_3-C_8, alcoxi de C_1-C_8, cicloalcoxi de C_3-C_8, cicloalquilalcoxi de C_4-C_{10}, alqueniloxi de C_2-C_8, alquiniloxi de C_2-C_8, alquiltio de C_1-C_8, alquilsulfinilo

de C_1-C_8, alquilsulfonilo de C_1-C_8, cicloalquiltio de C_3-C_8, cicloalquilsulfinilo de C_3-C_8, cicloalquilsulfonilo de C_3-C_8, cicloalquilalquiltio de C_4-C_{10}, cicloalquilalquilsulfinilo de C_4-C_{10}, cicloalquilalquilsulfonilo de C_4-C_{10}, alqueniltio de C_2-C_8, alquenilsulfinilo de C_2-C_8, alquenilsulfonilo de C_2-C_8, alquiniltio de C_2-C_8, alquinilsulfinilo de C_2-C_8 o alquinilsulfonilo de C_2-C_8, cada uno de ellos está sin sustituir o sustituido con al menos un sustituyente seleccionado independientemente de R^{17}; o R^{3a} y R^{3b}, o R^{3b} y R^{3c}, o R^{3c} y R^{3d} se combinan con los átomos de carbono adyacentes a los cuales están unidos para formar un anillo heterocíclico o carbocíclico de 5 a 7 miembros, cada anillo contiene miembros de anillo seleccionados de átomos de carbono y hasta 3 heteroátomos seleccionados independientemente de hasta 2 O, hasta 2 S y hasta 3 N, en donde hasta 2 miembros de anillo de átomos de carbono se seleccionan independientemente de C(=O) y C(=S) y los miembros de anillo de átomos de azufre se seleccionan independientemente de $S(=O)_n$, cada anillo está sustituido opcionalmente con hasta 3 sustituyentes seleccionados independientemente del grupo que consiste en halógeno, ciano, hidroxi, amino, nitro, C(=O)OH, $C(=O)NH_2$, SO_2NH_2, alquilo de C_1-C_4, haloalquilo de C_1-C_4, alquenilo de C_2-C_4, haloalquenilo de C_2-C_4, alquinilo de C_2-C_4, haloalquinilo

de C_2-C_4, cicloalquilo de C_3-C_7, halocicloalquilo de C_3-C_7, alquilcicloalquilo de C_4-C_8, haloalquilcicloalquilo de C_4-C_8, cicloalquilalquilo de C_4-C_8, halocicloalquilalquilo de C_4-C_8, alcoxi de C_1-C_6, haloalcoxi de C_1-C_6, alcoxicarbonilo de C_2-C_6, haloalcoxicarbonilo de C_2-C_6, alquilcarbonilo de C_2-C_6 y haloalquilcarbonilo de C_2-C_6;

R^{3e} es H, hidroxi, amino, CHO, $C(=O)NH_2$, $C(=S)NH_2$, SO_2NH_2, $C(=O)R^{18}$, $C(=O)OR^{18}$, NHR^{18}, $NR^{18}R^{19}$, $C(=O)NR^{21}R^{19}$, $C(=S)NR^{21}R^{19}$, $SO_2NR^{21}R^{19}$, $OC(=O)R^{21}$, $OC(=O)OR^{18}$, $OC(=O)NR^{21}R^{19}$, $N(R^{21})C(=O)R^{21}$, $N(R^{21})C(=O)OR^{19}$, $N(R^{21})C(=O)NR^{21}R^{22}$, OSO_2R^{18}, $OSO_2NR^{21}R^{22}$, $NR^{21}SO_2R^{18}$, $NR^{21}SO_2NR^{21}R^{22}$, $Si(R^{18}R^{19}R^{20})$ o Z^1Q^t; o alquilo de C_1-C_8, alquenilo de C_2-C_8, alquinilo de C_2-C_8, cicloalquilo de C_3-C_{10}, alquilcicloalquilo de C_4-C_{10}, cicloalquilalquilo de C_4-C_{10}, cicloalquilcicloalquilo de C_6-C_{14}, alquilcicloalquilalquilo de C_5-C_{10}, cicloalquenilo de C_3-C_8, alcoxi de C_1-C_8, cicloalcoxi de C_3-C_8, cicloalquilalcoxi de C_4-C_{10}, alqueniloxi de C_2-C_8, alquiniloxi de C_2-C_8, alquilsulfinilo de C_1-C_8, alquilsulfonilo de C_1-C_8, cicloalquilsulfinilo de C_3-C_8, cicloalquilsulfonilo de C_3-C_8, cicloalquilalquilsulfinilo de C_4-C_{10}, cicloalquilalquilsulfonilo de C_4-C_{10}, alquenilsulfinilo de C_2-C_8, alquenilsulfonilo de C_2-C_8, alquinilsulfinilo de C_2-C_8 o alquinilsulfonilo de C_2-C_8, cada uno de ellos está sin sustituir o sustituido con al menos un sustituyente seleccionado independientemente de

R^{17}; o R^{3e} y R^{3b} se combinan con los átomos de carbono adyacentes a los cuales están unidos para formar un anillo heterocíclico o carbocíclico de 5 a 7 miembros, cada anillo contiene miembros de anillo seleccionados de átomos de carbono y hasta 3 heteroátomos seleccionados independientemente de hasta 2 O, hasta 2 S y hasta 3 N, en donde hasta 2 miembros de anillo de átomos de carbono se seleccionan independientemente de C(=O) y C(=S) y los miembros de anillo de átomos de azufre se seleccionan independientemente de $S(=O)_n$, cada anillo está sustituido opcionalmente con hasta 3 sustituyentes seleccionados independientemente del grupo que consiste en halógeno, ciano, hidroxi, amino, nitro, C(=O)OH, $C(=O)NH_2$, SO_2NH_2, alquilo de C_1-C_4, haloalquilo de C_1-C_4, alquenilo de C_2-C_4, haloalquenilo de C_2-C_4, alquinilo de C_2-C_4, haloalquinilo de C_2-C_4, cicloalquilo de C_3-C_7, halocicloalquilo de C_3-C_7, alquilcicloalquilo de C_4-C_8, haloalquilcicloalquilo de C_4-C_8, cicloalquilalquilo de C_4-C_8, halocicloalquilalquilo de C_4-C_8, alcoxi de C_1-C_6, haloalcoxi de C_1-C_6, alcoxicarbonilo de C_2-C_6, haloalcoxicarbonilo de C_2-C_6, alquilcarbonilo de C_2-C_6 y haloalquilcarbonilo de C_2-C_6; cada R^4 y R^5 es independientemente H, halógeno, ciano, hidroxi, amino, nitro, OCN, SCN, CHO, C(=O)OH, $C(=O)NH_2$, $C(=S)NH_2$ o SO_2NH_2; o alquilo de C_1-C_6, alquenilo de C_2-C_6, alquinilo de C_2-C_6, cicloalquilo de C_3-C_8,

alquilcicloalquilo de C_4-C_8, cicloalquilalquilo de C_4-C_8, cicloalquilcicloalquilo de C_6-C_{12}, alquilcicloalquilalquilo de C_5-C_8, cicloalquenilo de C_3-C_6, alcoxi de C_1-C_6, cicloalcoxi de C_3-C_6, cicloalquilalcoxi de C_4-C_8, alqueniloxi de C_2-C_6 o alquiniloxi de C_2-C_6, cada uno de ellos está sin sustituir o sustituido con al menos un sustituyente seleccionado independientemente del grupo que consiste en halógeno, ciano, nitro, CHO, $C(=O)OH$, $C(=O)NH_2$, $C(=O)R^{10}$, $C(=O)OR^{11}$, $C(=O)NR^{12}R^{13}$, OR^{11}, $S(O)_nR^{10}$, $SO_2NR^{12}R^{13}$ y $Si(R^{10})_3$;

cada R^6, R^7 y R^8 es independientemente H; o alquilo de C_1-C_6, alquenilo de C_2-C_6, alquinilo de C_2-C_6, cicloalquilo de C_3-C_8, alquilcicloalquilo de C_4-C_8, cicloalquilalquilo de C_4-C_8, cicloalquilcicloalquilo de C_6-C_{10}, alquilcicloalquilalquilo de C_5-C_{10}, cicloalquenilo de C_3-C_6, alquilcarbonilo de C_2-C_6 o alcoxicarbonilo de C_2-C_6, cada uno de ellos está sin sustituir o sustituido con al menos un sustituyente seleccionado independientemente del grupo que consiste en halógeno, ciano, nitro, CHO, $C(=O)OH$, $C(=O)NH_2$, $C(=O)R^{10}$, $C(=O)OR^{11}$, $C(=O)NR^{12}R^{13}$, OR^{11}, $S(O)_nR^{10}$, $SO_2NR^{12}R^{13}$ y $Si(R^{10})_3$;

cada R^9 es independientemente alquilo de C_1-C_6, alquenilo de C_2-C_6, alquinilo de C_2-C_6, cicloalquilo de C_3-C_8, alquilcicloalquilo de C_4-C_8, cicloalquilalquilo de C_4-C_8, cicloalquilcicloalquilo de C_6-C_{10}, alquilcicloalquilalquilo

de C_5-C_{10}, cicloalquenilo de C_3-C_6, alquilcarbonilo de C_2-C_6 o alcoxicarbonilo de C_2-C_6, cada uno de ellos está sin sustituir o sustituido con al menos un sustituyente seleccionado independientemente del grupo que consiste en halógeno, ciano, nitro, CHO, $C(=O)OH$, $C(=O)NH_2$, $C(=O)R^{10}$, $C(=O)OR^{11}$, $C(=O)NR^{12}R^{13}$, OR^{11}, $S(O)_nR^{10}$, $SO_2NR^{12}R^{13}$ y $Si(R^{10})_3$;

cada R^{9a} es independientemente H; o alquilo de C_1-C_6, alquenilo de C_2-C_6, alquinilo de C_2-C_6, cicloalquilo de C_3-C_8, alquilcicloalquilo de C_4-C_8, cicloalquilalquilo de C_4-C_8, cicloalquilcicloalquilo de C_6-C_{10}, alquilcicloalquilalquilo de C_5-C_{10}, cicloalquenilo de C_3-C_6, alquilcarbonilo de C_2-C_6 o alcoxicarbonilo de C_2-C_6, cada uno de ellos está sin sustituir o sustituido con al menos un sustituyente seleccionado independientemente del grupo que consiste en halógeno, ciano, nitro, CHO, $C(=O)OH$, $C(=O)NH_2$, $C(=O)R^{10}$, $C(=O)OR^{11}$, $C(=O)NR^{12}R^{13}$, OR^{11}, $S(O)_nR^{10}$, $SO_2NR^{12}R^{13}$ y $Si(R^{10})_3$;

cada R^{10}, R^{11}, R^{12} y R^{13} es independientemente alquilo de C_1-C_6, alquenilo de C_2-C_6, alquinilo de C_2-C_6, cicloalquilo de C_3-C_8, alquilcicloalquilo de C_4-C_8, cicloalquilalquilo de C_4-C_8, cicloalquilcicloalquilo de C_6-C_{10}, alquilcicloalquilalquilo de C_5-C_{10} o cicloalquenilo de C_3-C_6, cada uno de ellos está sin sustituir o sustituido con al menos un sustituyente seleccionado independientemente del grupo que consiste

en halógeno, ciano, nitro, CHO, C(=O)OH, $C(=O)NH_2$, alcoxi de C_1-C_4, haloalcoxi de C_1-C_4, alquiltio de C_1-C_4, alquilsulfinilo de C_1-C_4, alquilsulfonilo de C_1-C_4, haloalquiltio de C_1-C_4, haloalquilsulfinilo de C_1-C_4, haloalquilsulfonilo de C_1-C_4, alquilamino de C_1-C_4, dialquilamino de C_2-C_8, cicloalquilamino de C_3-C_6, alcoxialquilo de C_2-C_4, alquilcarbonilo de C_2-C_4, alcoxicarbonilo de C_2-C_6, alquilcarboniloxi de C_2-C_6, alquilcarboniltio de C_2-C_6, alquilaminocarbonilo de C_2-C_6, dialquilaminocarbonilo de C_3-C_8 y trialquilsililo de C_3-C_6; o un fenilo o un anillo heteroaromático de 5 o 6 miembros, cada uno de ellos está sin sustituir o sustituido con al menos un sustituyente seleccionado independientemente del grupo que consiste en alquilo de C_1-C_6, haloalquilo de C_1-C_6, alquenilo de C_2-C_6, alquinilo de C_2-C_6, cicloalquilo de C_3-C_8, alquilcicloalquilo de C_4-C_8, cicloalquilalquilo de C_4-C_8, cicloalquilcicloalquilo de C_6-C_{10}, alquilcicloalquilalquilo de C_5-C_{10}, cicloalquenilo de C_3-C_6, halógeno, ciano, nitro, CHO, C(=O)OH, $C(=O)NH_2$, alcoxi de C_1-C_4, haloalcoxi de C_1-C_4, alquiltio de C_1-C_4, alquilsulfinilo de C_1-C_4, alquilsulfonilo de C_1-C_4, haloalquiltio de C_1-C_4, haloalquilsulfinilo de C_1-C_4, haloalquilsulfonilo de C_1-C_4, alquilamino de C_1-C_4, dialquilamino de C_2-C_8, cicloalquilamino de C_3-C_6, alcoxialquilo de C_2-C_4,

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alquilcarbonilo de C_2-C_4, alcoxicarbonilo de C_2-C_6, alquilcarboniloxi de C_2-C_6, alquilcarboniltio de C_2-C_6, alquilaminocarbonilo de C_2-C_6, dialquilaminocarbonilo de C_3-C_8 y trialquilsililo de C_3-C_6;

cada R^{14} es independientemente halógeno, ciano, hidroxi, amino, nitro, SF_5, OCN, SCN, CHO, $C(=O)OH$, $C(=O)NH_2$, $C(=S)NH_2$, SO_2NH_2, $C(=O)R^{18}$, $C(=O)OR^{18}$, NHR^{18}, $NR^{18}R^{19}$, $C(=O)NR^{21}R^{19}$, $C(=O)NR^{21}NR^{22}R^{23}$, $C(=S)NR^{21}R^{19}$, $SO_2NR^{21}R^{19}$, $OC(=O)R^{21}$, $OC(=O)OR^{18}$, $OC(=O)NR^{21}R^{19}$, $N(R^{21})C(=O)R^{21}$, $N(R^{21})C(=O)OR^{19}$, $N(R^{21})C(=O)NR^{21}R^{22}$, OSO_2R^{18}, $OSO_2NR^{21}R^{22}$, $NR^{21}SO_2R^{18}$, $NR^{21}SO_2NR^{21}R^{22}$, $Si(R^{18}R^{19}R^{20})$, $C(=NR^{21})R^{22}$, $C(=NOR^{21})R^{22}$, $C(=NNR^{21}R^{22})R^{23}$, $C(=NN(C(=O)R^{19})R^{21})R^{22}$, $C(=NN(C(=O)OR^{19})R^{21})R^{22}$, $ON=CR^{21}R^{22}$, $ONR^{21}R^{22}$, $S(=O)(=NR^{21})R^{22}$, $SO_2NR^{21}C(=O)NR^{22}R^{23}$, $P(=X^2)R^{18}R^{19}$, $OP(=X^2)R^{18}R^{19}$, $OP(=X^2)(OR^{18})R^{19}$, $OP(=X^2)(OR^{18})OR^{19}$, $N=CR^{21}R^{22}$, $NR^{21}N=CR^{22}R^{23}$, $NR^{21}NR^{22}R^{23}$, $NR^{21}C(=X^2)NR^{22}R^{23}$, $NR^{21}C(=NR^{21})NR^{22}R^{23}$, $NR^{21}NR^{21}C(=X^2)NR^{22}R^{23}$, $NR^{21}NR^{21}SO_2NR^{22}R^{23}$, Z^1Q^t o $Z^1Q^iZ^1Q^t$; o alquilo de C_1-C_8, alquenilo de C_2-C_8, alquinilo de C_2-C_8, cicloalquilo de C_3-C_{10}, alquilcicloalquilo de C_4-C_{10}, cicloalquilalquilo de C_4-C_{10}, cicloalquilcicloalquilo de C_6-C_{14}, alquilcicloalquilalquilo de C_5-C_{10}, cicloalquenilo de C_3-C_8, alcoxi de C_1-C_8, cicloalcoxi de C_3-C_8, cicloalquilalcoxi de C_4-C_{10}, alqueniloxi de C_2-C_8, alquiniloxi de C_2-C_8, alquiltio de C_1-C_8, alquilsulfinilo

de C_1-C_8, alquilsulfonilo de C_1-C_8, cicloalquiltio de C_3-C_8, cicloalquilsulfinilo de C_3-C_8, cicloalquilsulfonilo de C_3-C_8, cicloalquilalquiltio de C_4-C_{10}, cicloalquilalquilsulfinilo de C_4-C_{10}, cicloalquilalquilsulfonilo de C_4-C_{10}, alqueniltio de C_2-C_8, alquenilsulfinilo de C_2-C_8, alquenilsulfonilo de C_2-C_8, alquiniltio de C_2-C_8, alquinilsulfinilo de C_2-C_8 o alquinilsulfonilo de C_2-C_8, cada uno de ellos está sin sustituir o sustituido con al menos un sustituyente seleccionado independientemente de R^{17}; o

dos sustituyentes R^{14} en átomos de anillos adyacentes se combinan con los átomos de anillos adyacentes para formar un anillo heterocíclico o carbocíclico de 5 a 7 miembros, cada anillo contiene miembros de anillo seleccionados de átomos de carbono y hasta 3 heteroátomos seleccionados independientemente de hasta 2 O, hasta 2 S y hasta 3 N, en donde hasta 2 miembros de anillo de átomos de carbono se seleccionan independientemente de C(=O) y C(=S) y los miembros de anillo de átomos de azufre se seleccionan independientemente de $S(=O)_n$, cada anillo está sustituido opcionalmente con hasta 3 sustituyentes seleccionados independientemente del grupo que consiste en halógeno, ciano, hidroxi, amino, nitro, C(=O)OH, $C(=O)NH_2$, SO_2NH_2, alquilo de C_1-C_4, haloalquilo de C_1-C_4, alquenilo de C_2-C_4, haloalquenilo de C_2-C_4, alquinilo de C_2-C_4, haloalquinilo

de C_2-C_4, cicloalquilo de C_3-C_7, halocicloalquilo de C_3-C_7, alquilcicloalquilo de C_4-C_8, haloalquilcicloalquilo de C_4-C_8, cicloalquilalquilo de C_4-C_8, halocicloalquilalquilo de C_4-C_8, alcoxi de C_1-C_6, haloalcoxi de C_1-C_6, alcoxicarbonilo de C_2-C_6, haloalcoxicarbonilo de C_2-C_6, alquilcarbonilo de C_2-C_6 y haloalquilcarbonilo de C_2-C_6;

cada X^2 es independientemente O o S;

cada Z^1 es independientemente un enlace directo, O, $S(O)_n$, NR^6, $C(R^7)_2$, $C(R^7)=C(R^7)$, $C\equiv C$, $C(R^7)_2O$, $OC(R^7)_2$, $C(=X^1)$, $C(=X^1)E$, $EC(=X^1)$, $C(=NOR^8)$ o $C(=NN(R^6)_2)$;

cada Q^i es independientemente un anillo de 3 a 10 miembros o sistema anular de 7 a 11 miembros, cada anillo o sistema anular contiene miembros de anillo seleccionados de átomos de carbono y hasta 4 heteroátomos seleccionados independientemente de hasta 2 O, hasta 2 S y hasta 4 N, en donde hasta 3 miembros de anillo de átomos de carbono se seleccionan independientemente de C(=O) y C(=S) y los miembros de anillo de átomos de azufre se seleccionan independientemente de $S(=O)_u(=NR^{24})_z$, cada anillo o sistema anular está sustituido opcionalmente con hasta 4 sustituyentes seleccionados independientemente del grupo que consiste en halógeno, ciano, nitro, CHO, $C(=O)OH$, $C(=O)NH_2$, $C(=O)R^{10}$, $C(=O)OR^{11}$, $C(=O)NR^{12}R^{13}$, OR^{11}, $S(O)_nR^{10}$, $SO_2NR^{12}R^{13}$, $Si(R^{10})_3$ y R^{16};

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cada Q^t es independientemente un anillo de 3 a 10 miembros o sistema anular de 7 a 11 miembros, cada anillo o sistema anular contiene miembros de anillo seleccionados de átomos de carbono y hasta 4 heteroátomos seleccionados independientemente de hasta 2 O, hasta 2 S y hasta 4 N, en donde hasta 3 miembros de anillo de átomos de carbono se seleccionan independientemente de C(=O) y C(=S) y los miembros de anillo de átomos de azufre se seleccionan independientemente de $S(=O)_u(=NR^{24})_z$, cada anillo o sistema anular está sustituido opcionalmente con hasta 5 sustituyentes seleccionados independientemente del grupo que consiste en halógeno, ciano, nitro, CHO, C(=O)OH, $C(=O)NH_2$, $C(=O)R^{10}$, $C(=O)OR^{11}$, $C(=O)NR^{12}R^{13}$, $C(=O)NR^{21}NR^{22}R^{23}$, OR^{11}, $S(O)_nR^{10}$, $SO_2NR^{12}R^{13}$, $S(=O)(=NR^{21})R^{22}$, $Si(R^{10})_3$ y R^{16};

cada R^{15} es independientemente halógeno, ciano, hidroxi, amino, nitro, SF_5, OCN, SCN, CHO, C(=O)OH, $C(=O)NH_2$, $C(=S)NH_2$, SO_2NH_2, $C(=O)R^{18}$, $C(=O)OR^{18}$, NHR^{18}, $NR^{18}R^{19}$, $C(=O)NR^{21}R^{19}$, $C(=O)NR^{21}NR^{22}R^{23}$, $C(=S)NR^{21}R^{19}$, $SO_2NR^{21}R^{19}$, $S(=O)(=NR^{21})R^{22}$, $OC(=O)R^{21}$, $OC(=O)OR^{18}$, $OC(=O)NR^{21}R^{19}$, $N(R^{21})C(=O)R^{21}$, $N(R^{21})C(=O)OR^{19}$, $N(R^{21})C(=O)NR^{21}R^{22}$, OSO_2R^{18}, $OSO_2NR^{21}R^{22}$, $NR^{21}SO_2R^{18}$, $NR^{21}SO_2NR^{21}R^{22}$, $Si(R^{18}R^{19}R^{20})$ o Z^1Q^t; o alquilo de C_1-C_8, alquenilo de C_2-C_8, alquinilo de C_2-C_8, cicloalquilo de C_3-C_{10}, alquilcicloalquilo de C_4-C_{10}, cicloalquilalquilo de C_4-C_{10}, cicloalquilcicloalquilo de C_6-C_{14}, alquilcicloalquilalquilo de C_5-C_{10},

cicloalquenilo de C_3-C_8, alcoxi de C_1-C_8, cicloalcoxi de C_3-C_8, cicloalquilalcoxi de C_4-C_{10}, alqueniloxi de C_2-C_8, alquiniloxi de C_2-C_8, alquiltio de C_1-C_8, alquilsulfinilo de C_1-C_8, alquilsulfonilo de C_1-C_8, cicloalquiltio de C_3-C_8, cicloalquilsulfinilo de C_3-C_8, cicloalquilsulfonilo de C_3-C_8, cicloalquilalquiltio de C_4-C_{10}, cicloalquilalquilsulfinilo de C_4-C_{10}, cicloalquilalquilsulfonilo de C_4-C_{10}, alqueniltio de C_2-C_8, alquenilsulfinilo de C_2-C_8, alquenilsulfonilo de C_2-C_8, alquiniltio de C_2-C_8, alquinilsulfinilo de C_2-C_8 o alquinilsulfonilo de C_2-C_8, cada uno de ellos está sin sustituir o sustituido con al menos un sustituyente seleccionado independientemente de R^{17}; o

dos sustituyentes R^{15} en átomos de anillos adyacentes se combinan con los átomos de anillos adyacentes para formar un anillo heterocíclico o carbocíclico de 5 a 7 miembros, cada anillo contiene miembros de anillo seleccionados de átomos de carbono y hasta 3 heteroátomos seleccionados independientemente de hasta 2 O, hasta 2 S y hasta 3 N, en donde hasta 2 miembros de anillo de átomos de carbono se seleccionan independientemente de C(=O) y C(=S) y los miembros de anillo de átomos de azufre se seleccionan independientemente de $S(=O)_n$, cada anillo está sustituido opcionalmente con hasta 3 sustituyentes seleccionados independientemente del grupo que consiste en halógeno,

ciano, hidroxi, amino, nitro, C(=O)OH, $C(=O)NH_2$, SO_2NH_2, alquilo de C_1-C_4, haloalquilo de C_1-C_4, alquenilo de C_2-C_4, haloalquenilo de C_2-C_4, alquinilo de C_2-C_4, haloalquinilo de C_2-C_4, cicloalquilo de C_3-C_7, halocicloalquilo de C_3-C_7, alquilcicloalquilo de C_4-C_8, haloalquilcicloalquilo de C_4-C_8, cicloalquilalquilo de C_4-C_8, halocicloalquilalquilo de C_4-C_8, alcoxi de C_1-C_6, haloalcoxi de C_1-C_6, alcoxicarbonilo de C_2-C_6, haloalcoxicarbonilo de C_2-C_6, alquilcarbonilo de C_2-C_6 y haloalquilcarbonilo de C_2-C_6; cada R^{16} es independientemente alquilo de C_1-C_6, alquenilo de C_2-C_6, alquinilo de C_2-C_6, cicloalquilo de C_3-C_8, alquilcicloalquilo de C_4-C_8, cicloalquilalquilo de C_4-C_8, cicloalquilcicloalquilo de C_6-C_{10}, alquilcicloalquilalquilo de C_5-C_{10} o cicloalquenilo de C_3-C_6, cada uno de ellos está sin sustituir o sustituido con al menos un sustituyente seleccionado independientemente del grupo que consiste en halógeno, ciano, nitro, CHO, C(=O)OH, $C(=O)NH_2$, alcoxi de C_1-C_4, haloalcoxi de C_1-C_4, alquiltio de C_1-C_4, alquilsulfinilo de C_1-C_4, alquilsulfonilo de C_1-C_4, haloalquiltio de C_1-C_4, haloalquilsulfinilo de C_1-C_4, haloalquilsulfonilo de C_1-C_4, alquilamino de C_1-C_4, dialquilamino de C_2-C_8, cicloalquilamino de C_3-C_6, alcoxialquilo de C_2-C_4, alquilcarbonilo de C_2-C_4, alcoxicarbonilo de C_2-C_6, alquilcarboniloxi de C_2-C_6, alquilcarboniltio de C_2-C_6, alquilaminocarbonilo de C_2-C_6,

dialquilaminocarbonilo de C_3-C_8 y trialquilsililo de C_3-C_6; o fenilo o un anillo heteroaromático de 5 o 6 miembros, cada uno de ellos está sin sustituir o sustituido con al menos un sustituyente seleccionado independientemente del grupo que consiste en alquilo de C_1-C_6, alquenilo de C_2-C_6, alquinilo de C_2-C_6, cicloalquilo de C_3-C_8, alquilcicloalquilo de C_4-C_8, cicloalquilalquilo de C_4-C_8, cicloalquilcicloalquilo de C_6-C_{10}, alquilcicloalquilalquilo de C_5-C_{10}, cicloalquenilo de C_3-C_6, halógeno, ciano, nitro, CHO, C(=O)OH, $C(=O)NH_2$, alcoxi de C_1-C_4, haloalcoxi de C_1-C_4, alquiltio de C_1-C_4, alquilsulfinilo de C_1-C_4, alquilsulfonilo de C_1-C_4, haloalquiltio de C_1-C_4, haloalquilsulfinilo de C_1-C_4, haloalquilsulfonilo de C_1-C_4, alquilamino de C_1-C_4, dialquilamino de C_2-C_8, cicloalquilamino de C_3-C_6, alcoxialquilo de C_2-C_4, alquilcarbonilo de C_2-C_4, alcoxicarbonilo de C_2-C_6, alquilcarboniloxi de C_2-C_6, alquilcarboniltio de C_2-C_6, alquilaminocarbonilo de C_2-C_6, dialquilaminocarbonilo de C_3-C_8 y trialquilsililo de C_3-C_6,

cada R^{17} es independientemente halógeno, ciano, nitro, OH, CHO, C(=O)OH, $C(=O)NH_2$, $C(=O)R^{10}$, $C(=O)OR^{11}$, $C(=O)NR^{12}R^{13}$, OR^{11}, $S(O)_nR^{10}$, $SO_2NR^{12}R^{13}$, $Si(R^{10})_3$ o Z^1Q^t;

cada R^{18}, R^{19} y R^{20} es independientemente Q^t; o alquilo de C_1-C_6, alquenilo de C_2-C_6, alquinilo de C_2-C_6, cicloalquilo de C_3-C_8, alquilcicloalquilo de C_4-C_8,

cicloalquilalquilo de C_4-C_8, cicloalquilcicloalquilo de C_6-C_{10}, alquilcicloalquilalquilo de C_5-C_{10} o cicloalquenilo de C_3-C_6, cada uno de ellos está sin sustituir o sustituido con al menos un sustituyente seleccionado independientemente de R^{17};

cada R^{21}, R^{22} y R^{23} es independientemente Q^t o H; o alquilo de C_1-C_6, alquenilo de C_2-C_6, alquinilo de C_2-C_6, cicloalquilo de C_3-C_8, alquilcicloalquilo de C_4-C_8, cicloalquilalquilo de C_4-C_8, cicloalquilcicloalquilo de C_6-C_{10}, alquilcicloalquilalquilo de C_5-C_{10} o cicloalquenilo de C_3-C_6, cada uno de ellos está sin sustituir o sustituido con al menos un sustituyente seleccionado independientemente de R^{17}; o

each R^{24} es independientemente H, ciano, OCN, SCN, CHO, $C(=O)OH$, $C(=O)NH_2$, $C(=S)NH_2$, SO_2NH_2, $C(=O)R^{18}$, $C(=O)OR^{18}$, NHR^{18}, $NR^{18}R^{19}$, $C(=O)NR^{21}R^{19}$, $C(=S)NR^{21}R^{19}$, $SO_2NR^{21}R^{19}$, $OC(=O)R^{21}$, $OC(=O)OR^{18}$, $OC(=O)NR^{21}R^{19}$, $N(R^{21})C(=O)R^{21}$, $N(R^{21})C(=O)OR^{19}$, $N(R^{21})C(=O)NR^{21}R^{22}$, OSO_2R^{18}, $OSO_2NR^{21}R^{22}$, $NR^{21}SO_2R^{18}$, $NR^{21}SO_2NR^{21}R^{22}$, $Si(R^{18}R^{19}R^{20})$ o Z^1Q^t; o alquilo de C_1-C_8, alquenilo de C_2-C_8, alquinilo de C_2-C_8, cicloalquilo de C_3-C_{10}, alquilcicloalquilo de C_4-C_{10}, cicloalquilalquilo de C_4-C_{10}, cicloalquilcicloalquilo de C_6-C_{14}, alquilcicloalquilalquilo de C_5-C_{10}, cicloalquenilo de C_3-C_8, alcoxi de C_1-C_8, cicloalcoxi de C_3-C_8, cicloalquilalcoxi de C_4-C_{10}, alqueniloxi de C_2-C_8,

alquiniloxi de C_2-C_8, alquiltio de C_1-C_8, alquilsulfinilo de C_1-C_8, alquilsulfonilo de C_1-C_8, cicloalquiltio de C_3-C_8, cicloalquilsulfinilo de C_3-C_8, cicloalquilsulfonilo de C_3-C_8, cicloalquilalquiltio C_4-C_{10}, cicloalquilalquilsulfinilo de C_4-C_{10}, cicloalquilalquilsulfonilo de C_4-C_{10}, alqueniltio de C_2-C_8, alquenilsulfinilo de C_2-C_8, alquenilsulfonilo de C_2-C_8, alquiniltio de C_2-C_8, alquinilsulfinilo de C_2-C_8 o alquinilsulfonilo de C_2-C_8, cada uno de ellos está sin sustituir o sustituido con al menos un sustituyente seleccionado independientemente de R^{17};

a es 1, 2 o 3;

cada n es independientemente 0, 1 o 2; y

u y z en cada instancia de $S(=O)_u(=NR^{24})_z$ son independientemente 0, 1 o 2, siempre que la suma de u y z en cada instancia de $S(=O)_u(=NR^{24})_z$ sea 0, 1 o 2.

siempre que cuando A es O, S, NCH_3 o $C(R^{3c})=C(R^{3d})$, R^{3c} es H o F, y R^{3d} es H, F, CF_2H o CF_3, entonces al menos uno de R^{3a} o R^{3b} es distinto de H.

Se destaca observar que los compuestos de esta invención se caracterizan por patrones residuales metabólicos y/o de suelo favorables y exhiben una actividad que controla un espectro de plagas agronómicas y no agronómicas de invertebrados.

Se destaca, particularmente, por motivos del espectro de control de las plagas de invertebrados y la importancia

económica, la protección de cultivos agronómicos del daño o perjuicio causado por las plagas de invertebrados al controlar estas plagas con modalidades de la invención. Debido a sus propiedades favorables de translocación o sistematicidad en las plantas, los compuestos de la presente invención también protegen las hojas u otras partes de la planta que no están en contacto directo con un compuesto de la Fórmula **1** o una composición que comprende el compuesto.

Se destacan, además, como modalidades de la presente invención, las composiciones que comprenden un compuesto de cualquiera de las modalidades anteriores, así como también cualquier otra modalidad descrita en la presente y cualquier combinación de estas, y por lo menos un componente adicional seleccionado del grupo que consiste en un surfactante, un diluyente sólido y un diluyente líquido; las composiciones también comprenden, opcionalmente, por lo menos un compuesto o agente adicional biológicamente activo.

Además, destacan como modalidades de la presente invención las composiciones para controlar una plaga de invertebrados, que comprenden un compuesto (es decir, en una cantidad biológicamente eficaz) de cualquiera de las modalidades anteriores, así como cualquier otra modalidad descrita en la presente invención, y cualquier combinación de estas, y al menos un componente adicional seleccionado del grupo que consiste en un surfactante, un diluyente sólido y

un diluyente líquido; las composiciones comprenden, además, opcionalmente, al menos un agente o compuesto biológicamente activo (es decir, en una cantidad biológicamente eficaz).

Las modalidades de la invención incluyen, además, una composición para proteger un animal; la composición comprende un compuesto (es decir, una cantidad eficaz como parasiticida) de cualquiera de las modalidades anteriores y un portador.

Las modalidades de la invención incluyen, además, métodos para controlar una plaga de invertebrados; los métodos comprenden poner en contacto la plaga de invertebrados o su hábitat con una cantidad biológicamente eficaz de un compuesto de cualquiera de las modalidades anteriores (por ejemplo, como una composición descrita en la presente). Se destaca, particularmente, un método para proteger a un animal; el método comprende administrar al animal una cantidad parasiticidamente eficaz de un compuesto de cualquiera de las modalidades precedentes (por ejemplo, como una composición descrita en la presente).

Algunas modalidades de la invención incluyen, además, una composición que comprende un compuesto de cualquiera de las modalidades anteriores en la forma de una formulación líquida para impregnar el suelo. Las modalidades de la invención incluyen, además, métodos para controlar una plaga de invertebrados; el método comprende poner en contacto el suelo con una composición líquida, tal como para la impregnación del

suelo, que comprende una cantidad biológicamente eficaz de un compuesto de cualquiera de las modalidades anteriores.

Las modalidades de la invención incluyen, además, una composición rociable para controlar una plaga de invertebrados; la composición comprende un compuesto (es decir, en una cantidad biológicamente eficaz) de cualquiera de las modalidades anteriores y un propulsor. Las modalidades de la presente invención incluyen, además, una composición cebo para controlar una plaga de invertebrados; la composición comprende un compuesto (es decir, una cantidad biológicamente eficaz) de cualquiera de las modalidades anteriores, uno o más materiales alimenticios, opcionalmente, un agente atrayente y, opcionalmente, un humectante. Las modalidades de la invención incluyen, además, un dispositivo para controlar una plaga de invertebrados; el dispositivo comprende la composición de cebo y un alojamiento adaptado para recibir la composición de cebo, en donde el alojamiento tiene por lo menos una abertura dimensionada para permitir que la plaga de invertebrados pase a través de la abertura para poder tener acceso a la composición de cebo desde un lugar fuera del alojamiento y, en donde, además, el alojamiento está adaptado para colocarse en o cerca de un sitio de actividad potencial o conocida para la plaga de invertebrados.

Las modalidades de la invención incluyen, además, un método para proteger una semilla contra una plaga de invertebrados; el método comprende poner en contacto la semilla con una cantidad biológicamente eficaz de un compuesto de cualquiera de las modalidades anteriores (por ejemplo, como una composición descrita en la presente descripción).

Las modalidades de la invención incluyen, además, métodos para proteger a un animal de una plaga parasiticidamente; los métodos comprenden administrar al animal una cantidad parasiticidamente eficaz de un compuesto de cualquiera de las modalidades precedentes.

Las modalidades de la invención incluyen, además, métodos para controlar una plaga de invertebrados; los métodos comprenden poner en contacto la plaga de invertebrados o su ambiente con una cantidad biológicamente eficaz de un compuesto de la Fórmula **1**, un *N*-óxido o una sal de estos, (por ejemplo, como una composición que se describe en la presente descripción), siempre que los métodos no sean métodos de un tratamiento médico del cuerpo de un ser humano o un animal mediante terapia.

La presente invención también se relaciona con dichos métodos, en donde tal plaga de invertebrados o su ambiente se contacta con una composición que comprende una cantidad biológicamente eficaz de un compuesto de la Fórmula **1**, un *N*-óxido o una sal de estos y, al menos una componente adicional

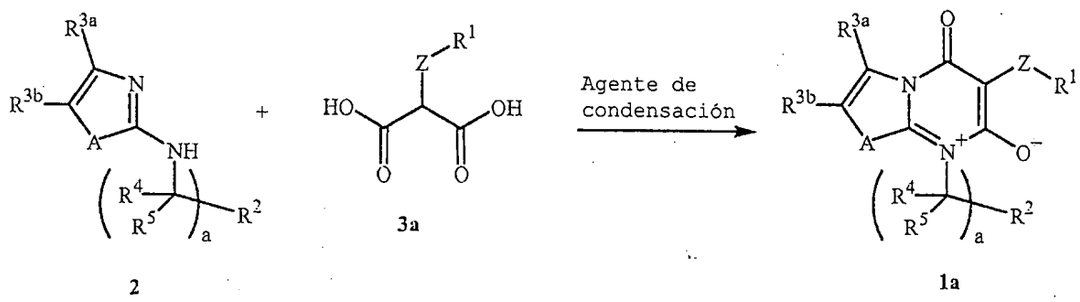
seleccionado del grupo que consiste en surfactantes, diluyentes sólidos y diluyentes líquidos; dicha composición comprende, además, opcionalmente, una cantidad biológicamente eficaz de al menos un compuesto o agente activo biológico adicional, siempre que los métodos no sean métodos de un tratamiento médico del cuerpo de un ser humano o un animal mediante terapia.

Uno o varios de los siguientes métodos descritos en los Esquemas 1-13 o variantes de estos pueden usarse para preparar los compuestos de la Fórmula **1**. Las definiciones de R^1, R^2, R^{3a}, R^{3b}, R^4, R^5, X, Y, Z y A en los compuestos de las Fórmulas **1-13**, abajo, son como se definieron anteriormente en la Breve descripción de la invención, a menos que se indique de cualquier otra forma. Las Fórmulas **1a-1e** son varios subconjuntos de la Fórmula **1**, y todos los sustituyentes para las Fórmulas **1a-1e** son como se definieron anteriormente para la Fórmula **1**, a menos que se indique de cualquier otra forma. La temperatura ambiente o local se define como de aproximadamente 20-25 °C.

Los compuestos de la Fórmula **1a** (es decir, La Fórmula **1**, en donde X e Y son O) pueden prepararse mediante la condensación de compuestos adecuadamente sustituidos de la Fórmula **2** con ácidos malónicos opcionalmente sustituidos (**3a**) en presencia de agentes de condensación como se muestra en el Esquema 1. Los agentes de condensación pueden ser carbodiimidas tales como diciclohexil carbodiimida (ver, por

ejemplo, Koch, A. et al., *Tetrahedron* **2004**, *60*, 10011-10018) u otros agentes que se conocen bien en la técnica para formar enlaces amida con o sin agentes de activación tales *N*-hidroxibenzotriazol como se describe en *Science of Synthesis* **2005**, *21*, 17-25 y *Tetrahedron* **2005**, *61*, 10827-10852. Esta reacción se lleva a cabo, típicamente, en un solvente orgánico inerte, tal como diclorometano o 1,2-dicloroetano, a temperaturas de aproximadamente 0 a aproximadamente 80 °C por un período de 10 min a varios días.

Esquema 1

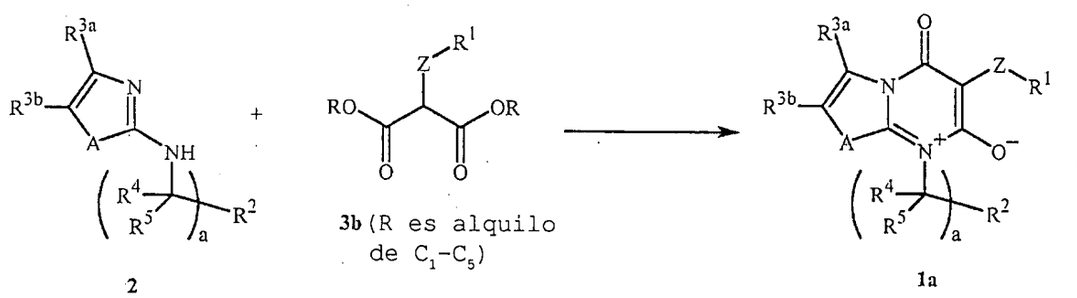


2 **3a** **1a**

Los compuestos de la Fórmula **1a** pueden prepararse, además, mediante la condensación de los compuestos de la Fórmula **2** con ésteres de ácido malónico (**3b**), en donde R es un grupo alquilo de C_1-C_5 como se muestra en el Esquema 2. Estas reacciones pueden realizarse puras o en presencia de solventes inertes como se describe en el *Bulletin of the Chemical Society of Japan* **1999**, *72*(3), 503-509. Los solventes inertes incluyen,

pero no se limitan a, hidrocarburos de alto punto de ebullición tales como mesitileno, tetralina o cimeno, o éteres de alto punto de ebullición tal como el difenil éter. Las temperaturas típicas se encuentran en el intervalo de 50 a 250 °C. Se destaca las temperaturas de 150 a 200 °C, las cuales proporcionan, típicamente, velocidades de reacción rápidas y rendimientos de producto altos. Estas reacciones también se pueden realizar en reactores de microondas dentro de los mismos intervalos de temperatura. Los tiempos de reacción típicos se encuentran en el intervalo de 5 minutos a varias horas.

Esquema 2

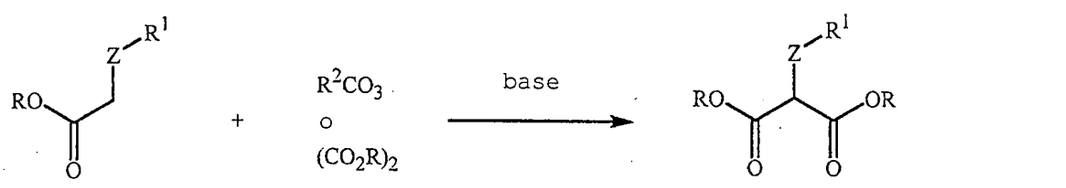


Los compuestos de la Fórmula **3a** pueden prepararse por una variedad de métodos conocidos en la técnica, por ejemplo por hidrólisis básica de los compuestos de la Fórmula **3b**.

Los compuestos de la Fórmula **3b**, en donde Z es un enlace directo y R^1 es un anillo aromático o un sistema anular aromático (heteroaromático) opcionalmente sustituido pueden prepararse mediante arilación de ésteres de malonato

(mediante el uso de compuestos de R^1X^1, en donde X^1 es Cl, Br o I, ejemplos de los cuales se encuentran en las Tablas I-24a-24c) catalizados por paladio (*J. Org. Chem* **2002**, *67*, 541-555) o cobre (*Org. Lett.* **2002**, *4*, 269-272 y *Org. Lett.* **2005**, 7, 4693-4695). Alternativamente, los compuestos de la Fórmula **3b** pueden prepararse por el método mostrado en el Esquema 2a (ver, por ejemplo, *J. Med. Chem* **1982**, *25*(6), 745-747).

Esquema 2a



4(R es alquilo de C_1-C_5, fenilo o 2,4,6-triclorofenilo)

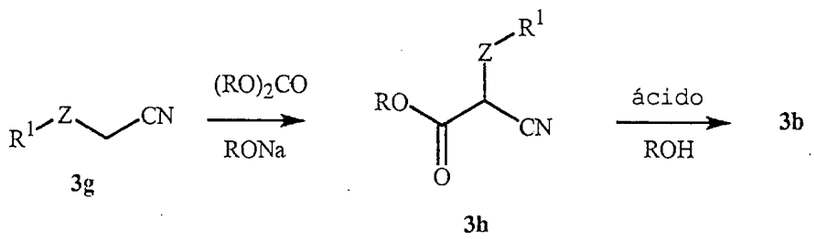
3b(R es alquilo de C_1-C_5, fenilo o 2,4,6-triclorofenilo)

Los ésteres de la Fórmula **4** se pueden preparar mediante los ácidos correspondientes y con métodos conocidos en la materia. Muchos de los ácidos de la Fórmula **4**, en donde R es H, se encuentran disponibles comercialmente o se preparan fácilmente mediante métodos conocidos en la técnica (los ejemplos se enumeran en la Tabla I-1).

Los compuestos de la Fórmula **3b** pueden prepararse, además, por el método mostrado en el Esquema 2b. La reacción de los nitrilos de la Fórmula **3g** con carbonatos de dialquilo

produce ésteres de nitrilo de la Fórmula **3h**, y la hidrólisis acídica posterior en presencia de un alcohol proporciona los compuestos de la Fórmula **3b** (ver, por ejemplo, *Helvetica Chimica Acta*, **1991**, *74*(2), 309-314). Muchos de los nitrilos de la Fórmula **3g** se encuentran disponibles comercialmente o se preparan fácilmente mediante métodos conocidos en la técnica.

Esquema 2b

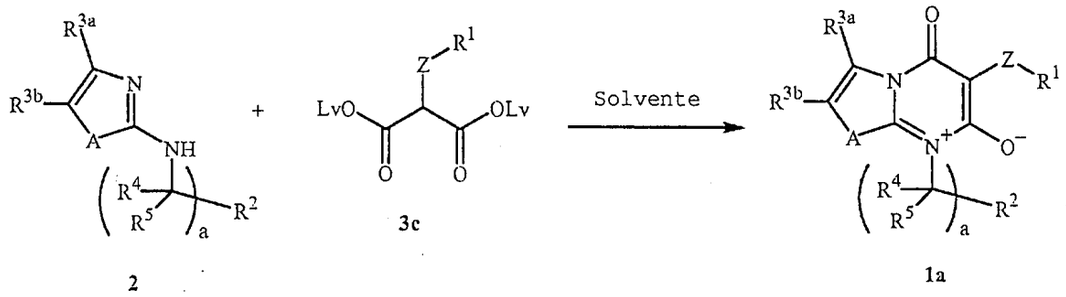


R es alquilo de C_1-C_5

Los compuestos de la Fórmula **1a** pueden prepararse, además, por tratamiento de los compuestos de la Fórmula **2** con ésteres activados de la Fórmula **3c**, en donde LvO es un grupo saliente activado como se muestra en el Esquema 3. Los ejemplos de Lv preferidos para facilidad de la síntesis o reactividad son fenilo, 4-nitrofenilo o fenilo sustituido con halógeno (por ejemplo, 2,4,6-triclorofenilo, pentaclorofenilo o pentafluorofenilo) como se describe en *Archiv der Pharmazie* (Weinheim, Germany) **1991**, *324*, 863-866. Otros ésteres activados se conocen bien en la técnica e incluyen, pero no se limitan a,

ésteres de *N*-hidroxisuccinimida (ver, por ejemplo, *J. Am. Chem. Soc.* **2002**, *124*, 6872-6878). Las temperaturas típicas se encuentran en el intervalo de 50 a 200 °C. Se destaca las temperaturas de 50 a 150 °C, las cuales, típicamente, proporcionan velocidades de reacción rápidas y rendimientos de producto altos. Estas reacciones se pueden realizar con o sin solvente, tal como tolueno, y en reactores de microondas dentro del mismo intervalo de temperatura. Los tiempos de reacción típicos se encuentran en el intervalo de 5 minutos a 2 horas.

Esquema 3

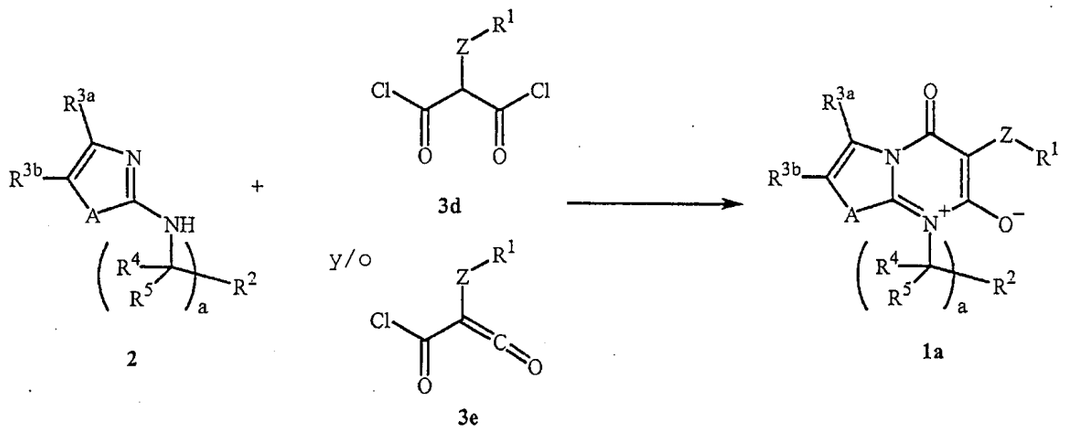


Los compuestos de la Fórmula **3c** pueden prepararse, por ejemplo, a partir de los compuestos de la Fórmula **3a** (ver, por ejemplo, *J. Het. Chem.* **1980**, *17*, 337).

Los compuestos de la Fórmula **1a** pueden prepararse, además, por condensación de los compuestos de la Fórmula **2** con compuestos de la Fórmula **3d** o **3e**, o por condensación de los compuestos de la Fórmula **2** con mezclas de compuestos de las

Fórmulas **3d** y **3e** como se muestra en el Esquema 4. Estas reacciones se realizan, típicamente, en un solvente inerte, tal como diclorometano, y opcionalmente, en presencia de dos o más equivalentes de un aceptor ácido (ver, por ejemplo, *Zeitschrift für Naturforschung, Teil B: Anorganische Chemie, Organische Chemie* **1982**, *37B*(2), 222-233). Los ácidos aceptores típicos incluyen, pero no se limitan a, trietilamina, *N,N*-diisopropiletilamina, piridina y piridinas sustituidas, e hidróxidos de metal, carbonatos y bicarbonatos.

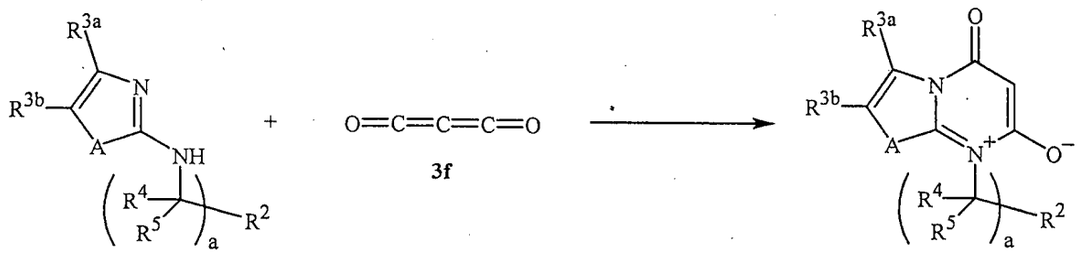
Esquema 4



Los compuestos de la Fórmula **1b** (es decir, la Fórmula **1a**, en donde Z es un enlace directo y R^1 es H) se puede preparar mediante la condensación de compuestos de la Fórmula **2** con subóxido de carbono (**3f**) (consulte, por ejemplo, *J. Org. Chem.* **1972**, *37*(9), 1422-1425) como se

muestra en el Esquema 5. Las reacciones se realizan, típicamente, en un solvente inerte tal como éter y pueden incluir el uso de un catalizador tal como $AlCl_3$.

Esquema 5



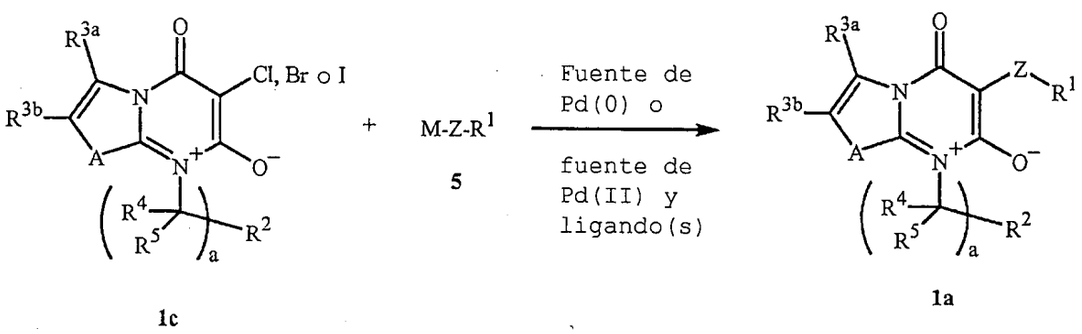
2 1b

Los compuestos de la Fórmula **2** pueden prepararse en una variedad de formas conocidas en la técnica; ver, por ejemplo, Patai, S. *The Chemistry of Functional Groups: The Chemistry of Amidines and Imidates*; Wiley: Chichester, Reino Unido, 1975; *The Chemistry of Amidines and Imidates*; Patai, S.; Rappoport, Z., Eds.; Wiley: Chichester, Reino Unido, 1991; Vol. 2; Mega, T. et al. *Bulletin of the Chemical Society of Japan* **1988**, *61*(12), 4315-4321; Ife, R. et al. *European Journal of Medicinal Chemistry* **1989**, *24*(3), 249-257; Wagaw, S.; Buchwald, S. *Journal of Organic Chemistry* **1996**, *61*(21), 7240-7241; Shen, Q. et al. *Angewandte Chemie, International Edition* **2005**, *44*(9), 1371-1375; y Okano, K. et al. *Organic Letters* **2003**, *5*(26), 4987-4990.

Una persona con experiencia en la técnica reconocerá que los compuestos de la Fórmula 2 también se pueden utilizar como las sales de adición ácida (por ejemplo, sales clorhídricas, sales de ácido acético) en los métodos de acoplamiento de los Esquemas 1-5.

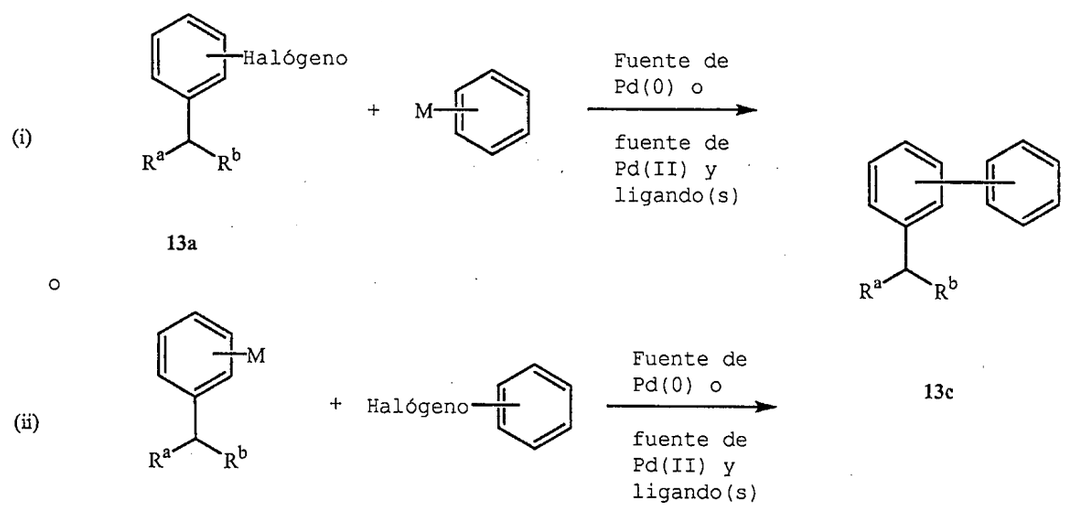
Los compuestos de la Fórmula **1a**, en donde Z es un enlace directo y R^1 es alquenilo de C_2-C_8 o un anillo o sistema anular aromático opcionalmente sustituido pueden prepararse a partir de los compuestos de la Fórmula **1c** (es decir, la Fórmula **1**, en donde X e Y son O, Z es un enlace directo y R^1 es Cl, Br o I, preferentemente, Br o I) y los compuestos de la Fórmula **5**, en donde R^1 es alquenilo de C_2-C_8 o un anillo o sistema anular aromático opcionalmente sustituido, y M con Z-R^1 forma un ácido borónico, éster de ácido borónico o sal de trifluoroborato, o M es trialquilestanilo o zinc, y Z es un enlace directo, como se muestra en el Esquema 6.

Esquema 6



De forma similar, los compuestos de la Fórmula **1**, en donde un sustituyente (por ejemplo, R^1 o R^2) consiste en dos anillos o sistemas anulares aromáticos unidos directamente (por ejemplo, un anillo de fenilo unido a un segundo anillo de fenilo, un anillo de fenilo unido a un anillo de piridinilo o un anillo de piridinilo unido a un segundo anillo de piridinilo), pueden prepararse por acoplamiento catalizado por paladio de los dos anillos o sistemas anulares aromáticos adecuadamente sustituidos. Estos acoplamientos de paladio catalizado entre un cloruro, bromuro o yoduro aromático y un ácido o éster borónico aromático, o un estaño aromático o un zinc reactivo, son conocidos y se describieron extensamente en la materia. Por ejemplo, véase el Esquema 6a, en donde un compuesto de la Fórmula **13a** o **13b** se acopla con un anillo de fenilo sustituido adecuadamente para proporcionar el compuesto de bifenilo de la Fórmula **13c**. M es como se definió anteriormente para el Esquema 6.

Esquema 6a



R^a es CO_2R, y R^b es CO_2R, CN o H; o
R^a es CN, y R^b es H; y
R es alquilo de C_1-C_5

Estas reacciones de acoplamiento se llevan a cabo, típicamente, en presencia de un catalizador de paladio y una base, opcionalmente en una atmósfera inerte. Los catalizadores de paladio que se usan para estas reacciones de acoplamiento típicamente comprenden paladio en un estado formal de oxidación 0 (es decir, Pd(0)) o 2 (es decir, Pd(II)). Una amplia variedad de los compuestos y complejos que contienen paladio son útiles como catalizadores para estas reacciones. Los ejemplos de los compuestos que contienen paladio y los complejos útiles como catalizadores en los métodos incluyen $PdCl_2(PPh_3)_2$ (dicloruro de bis(trifenilfosfina)paladio (II)), $Pd(PPh_3)_4$ (tetrakis(trifenilfosfina)paladio(0)), $Pd(C_5H_7O_2)_2$ (paladio(II)

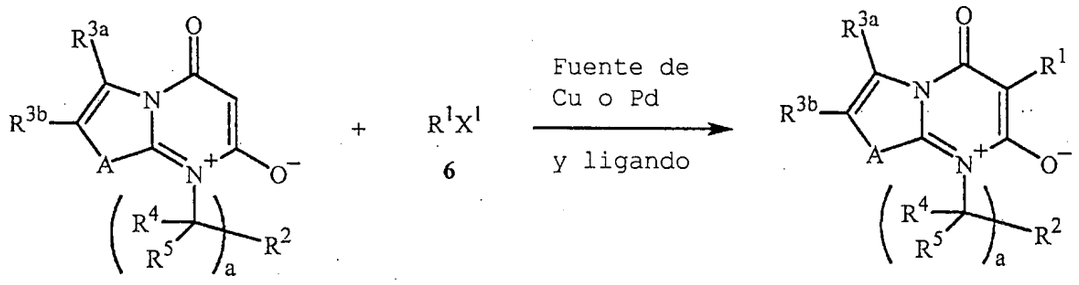
acetilacetonato), $Pd_2(dba)_3$ (tris(dibencilidenacetona)dipaladio(0)), y [1,1'-bis(difenilfosfino)ferroceno]dicloropaladio(II). Generalmente, estos métodos de acoplamiento se realizan en una fase líquida y, por consiguiente, el catalizador de paladio tiene, preferentemente, buena solubilidad en la fase líquida. Los disolventes útiles incluyen, por ejemplo, agua, éteres tales como 1,2-dimetoxietano, amidas tales como *N,N*-dimetilacetamida e hidrocarburos aromáticos no halogenados, tales como tolueno.

Los métodos de acoplamiento se pueden realizar en una amplia variedad de temperaturas en el intervalo de aproximadamente 25 a aproximadamente 200 °C. Se destaca las temperaturas de aproximadamente 60 a aproximadamente 150 °C que proporcionan, generalmente, velocidades de reacción rápidas y rendimientos de producto altos. Los métodos y procedimientos generales para los acoplamientos de Stille, Negishi y Suzuki con yoduros, bromuros o cloruros de arilo y un ácido aril borónico, aril estaño o aril zinc respectivamente son bien conocidos en la literatura; ver, por ejemplo, E. Negishi, *Handbook of Organopalladium Chemistry for Organic Synthesis*, Wiley-Interscience, **2002**, New York, New York.

Los compuestos de la Fórmula **1d** (es decir, la Fórmula **1**, en donde X e Y son O y Z es un enlace directo), en donde R^1 es un sistema anular o un anillo aromático opcionalmente sustituido pueden prepararse a partir de compuestos de la

Fórmula **1b** (es decir, la Fórmula **1a**, en donde Z es un enlace directo y R^1 es H) y compuestos de la Fórmula **6**, en donde X^1 es Cl, Br o I (preferentemente Br o I), como se muestra en el Esquema 7.

Esquema 7



1b **1d**

Estas reacciones se llevan a cabo, típicamente, en presencia de un catalizador de paladio o cobre, preferentemente, bajo una atmósfera inerte. Los catalizadores de cobre usados para el presente método comprenden, típicamente, cobre en forma metálica (por ejemplo, como un polvo) o cobre en un estado de oxidación formal de 1 (es decir, Cu(I)). Los ejemplos de compuestos que contienen cobre, útiles como catalizadores en el método del Esquema 7 incluyen Cu, CuI, CuBr, CuCl. Los ejemplos de compuestos que contienen paladio útiles como catalizadores en el método del Esquema 7 incluyen $Pd(OAc)_2$. Los solventes útiles para el método del

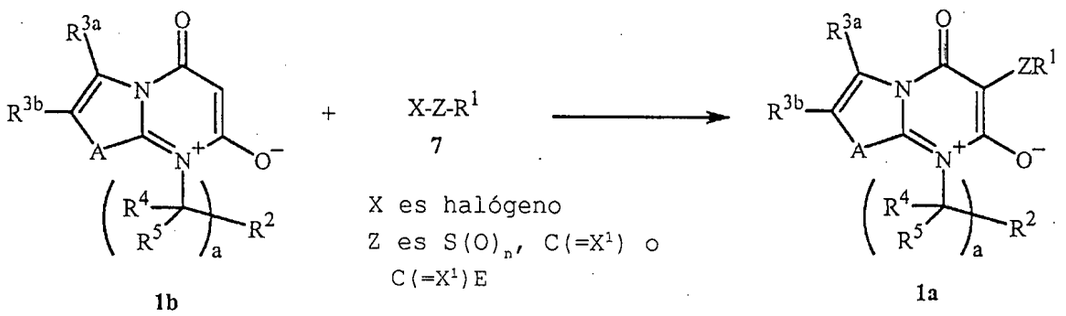
Esquema 7 incluyen, por ejemplo, éteres tal como 1,4-dioxano, amidas tal como *N,N*-dimetilacetamida y sulfóxido de dimetilo.

El método del Esquema 7 puede conducirse sobre una amplia variedad de temperaturas de 25 a 200 °C. Son de interés las temperaturas de 40 a 150 °C. El método del Esquema 7 se puede realizar en presencia de un ligando. Una amplia variedad de compuestos de unión de cobre resultan útiles como ligandos para el presente método. Los ejemplos de los ligandos útiles incluyen, pero no se limitan a, 1,10-fenantrolina, *N,N*-dimetiletilendiamina, L-prolina y ácido 2-picolínico. Los métodos y procedimientos generales para las reacciones de acoplamiento tipo Ullmann catalizadas con cobre se conocen en la literatura; ver, por ejemplo, Xie, Ma, et al. *Org. Lett.* **2005**, 7, 4693-4695.

Los compuestos de la Fórmula **1a**, en donde Z es $S(O)_n$, $C(=X^1)$ o $C(=X^1)E$, pueden prepararse a partir de compuestos de la Fórmula **1b** mediante el tratamiento con compuestos de la Fórmula **7**, opcionalmente, en presencia de un catalizador ácido de Lewis (por ejemplo, $FeCl_3$), como se muestra en el Esquema 8. Los ejemplos de los compuestos de la Fórmula **7** útiles en el método del Esquema 8 incluyen, pero no se limitan a, sulfenilo y haluros de sulfonilo, ácidos carboxílicos, anhídridos de ácido, haluros de ácido, cloroformatos, haluros de aminocarbonilo, isocianatos e isotiocianatos. Típicamente, la reacción se lleva a cabo en

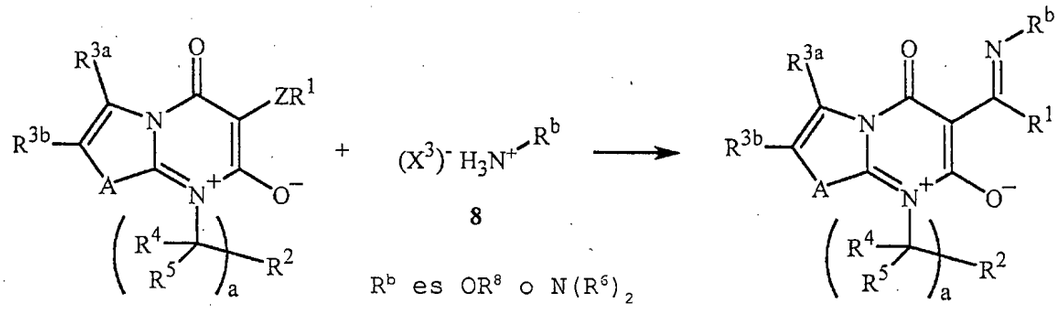
un solvente inerte, más típicamente, en un solvente polar tal como *N,N*-dimetilacetamida o 1-metil-2-pirrolidinona. La reacción se lleva a cabo, típicamente, a temperaturas de 0 a 180 °C, más típicamente, a temperatura ambiente a 150 °C. La irradiación de microondas puede ser ventajosa en el calentamiento de la mezcla de reacción.

Esquema 8



Los compuestos de Fórmula **1e** (es decir,La Fórmula **1a**, en donde Z es $C(=NOR^8)$ o $C(=NN(R^6)_2)$ puede prepararse al hacer reaccionar compuestos de la Fórmula **1a**, en donde Z es C(=O) con una alcoxiamina o sal de hidrazina de la Fórmula **8**, en donde X^3 es un contraión, tal como haluro u oxalato, como se muestra en el Esquema 9. La reacción puede llevarse a cabo en un solvente alcohólico, tal como etanol o propanol a temperaturas que se encuentran en el intervalo de 80 °C a la temperatura de reflujo del solvente en 3 a 24 horas.

Esquema 9



1a

Z es C(=O)

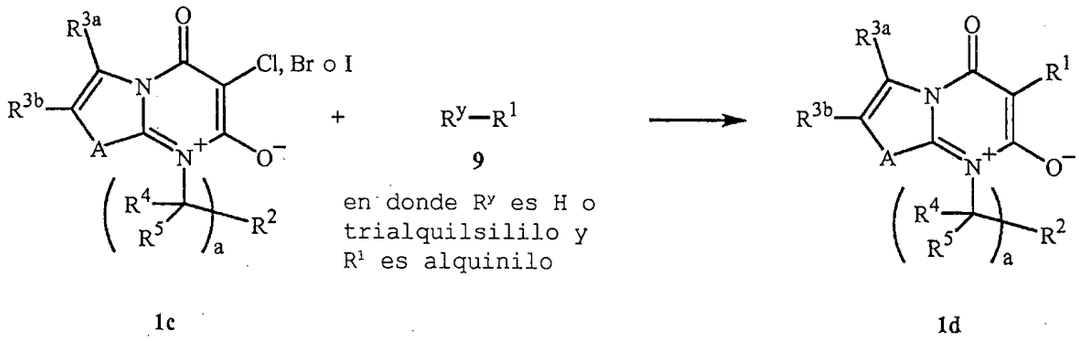
8

R^b es OR^8 o $N(R^6)_2$

1e

Los compuestos de la Fórmula **1d**, en donde R^1 es alquinilo de C_2-C_8, pueden prepararse a partir de compuestos de la Fórmula **1c** y alquinos sustituidos de la Fórmula **9** mediante una reacción de acoplamiento de Sonogashira como se muestra en el Esquema 10. Los acoplamientos de Sonogashira son muy conocidos en la literatura. Véase, por ejemplo, K. Sonogashira, *Sonogashira Alkyne Synthesis* Vol. 2, pág. 493 en E. Negishi, *Handbook of Organopalladium Chemistry for Organic Synthesis*, Wiley-Interscience, **2002**, New York, New York.

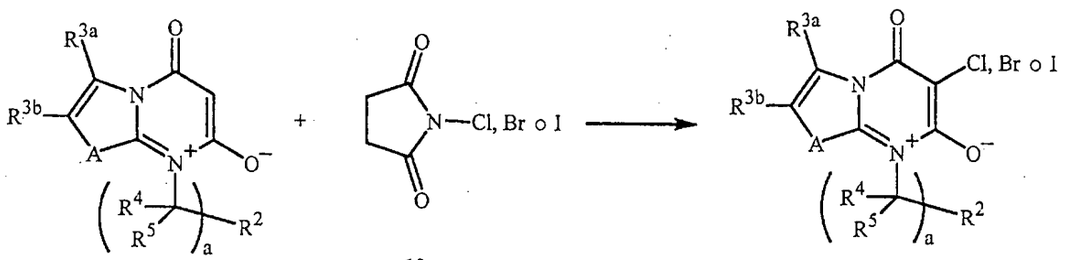
Esquema 10



1c 1d

Los compuestos de la Fórmula 1c pueden prepararse a partir de los compuestos de la Fórmula 1b por halogenación por medio del uso, por ejemplo, de bromo líquido o *N-halosuccinimidas (10)* como se muestra en el Esquema 11. Típicamente, la reacción se realiza en un solvente inerte, más típicamente, un solvente halogenado tal como cloruro de metileno y 1,2-dicloroetano. La reacción se realiza, típicamente, a temperaturas de 0 a 80 °C, más típicamente, a temperatura ambiente.

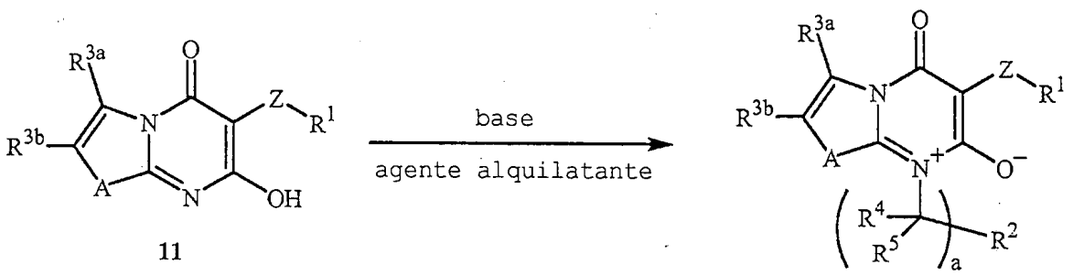
Esquema 11



1b 10 1c

Los compuestos de la Fórmula **1a** pueden prepararse, además, por alquilación de los compuestos de la Fórmula **11** por medio del uso de agentes alquilatantes adecuadamente sustituidos y bases tal como carbonato potásico como se muestra en el Esquema (ver, por ejemplo, Kappe, T. et al. *Monatschefte fur Chemie,* **1971**, *102,* 412-424 y Urban, M. G.; Arnold,W. *Helvetica Chimica Acta* **1970**, *53,* 905-922). Los agentes de alquilación incluyen, pero no se limitan a, cloruros, bromuros y yoduros de alquilo y ésteres de sulfonato. Una amplia variedad de bases y solventes se pueden emplear en el método del Esquema 12, y estas bases y solventes son bien conocidos en la técnica.

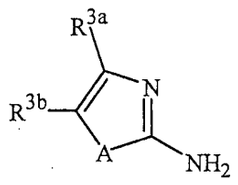
Esquema 12



11 **1a**

Los compuestos de Fórmula **11** pueden prepararse a partir de compuestos de Fórmula **2a** mediante métodos análogos a los que se muestran en los Esquemas 1 a 5, en donde el compuesto de Fórmula **2** se reemplaza por un compuesto de Fórmula **2a**. Los compuestos de la Fórmula **2a** se encuentran comercialmente

disponibles o pueden prepararse por métodos generales bien conocidos en la técnica.



2a

Un método particularmente útil para la preparación de los compuestos de la Fórmula **2** se muestra en el Esquema 13. En el método del Esquema 13, los compuestos de la Fórmula **2a** se protegieron con grupos de protección adecuados tal como, pero no se limitan a, *terc*-butoxicarbonilo, acetilo o formilo para formar el producto intermedio de la Fórmula **2b**, en donde **PG** es un grupo de protección. El compuesto de la Fórmula **2b**, después, se alquila con un reactivo adecuado de la Fórmula **12** (en donde al menos uno de R^4 o R^5 es hidrógeno y X es un grupo saliente, tal como un halógeno) para dar un intermedio de la Fórmula **2c**. El grupo de protección se elimina para proporcionar un compuesto de la Fórmula **2**. Las condiciones para la formación y eliminación de los grupos de protección en una función amina son conocidas en la literatura (ver, por ejemplo, Greene, T. W.; Wuts, P. G. M. *Protective Groups in Organic Synthesis*, 2.° ed.; Wiley: Nueva York, 1991).

Los ejemplos de compuestos particularmente útiles de la Fórmula **2** se muestran en las Tablas I-27 a I-27 g y I-29. Algunos ejemplos de los compuestos de la Fórmula **2a** se muestran en las Tablas I-28 a I-28 g.

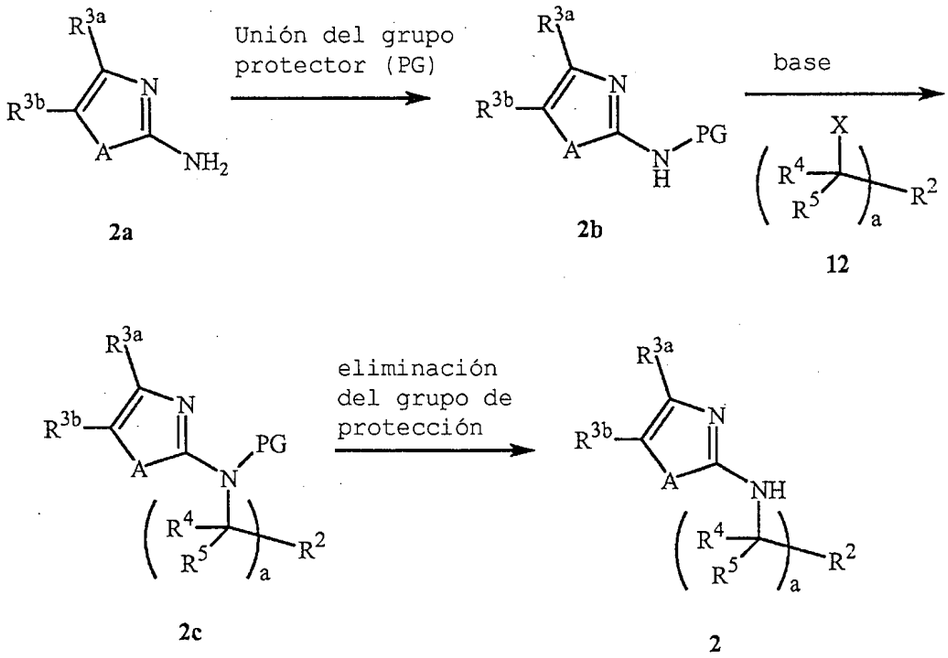
Un método alternativo para la preparación de los compuestos de la Fórmula 2 es mediante la aminación reductora de compuestos de carbonilo adecuados. Este método se muestra en las Etapas B y C del Ejemplo de síntesis 1 y la Etapa A del Ejemplo de síntesis 5.

Otro método alternativo para la preparación de los compuestos de la Fórmula **2** es mediante la reacción de aminas adecuadamente sustituidas con compuestos heteroaromáticos sustituidos con halógeno análogos a los compuestos de la Fórmula **2a** (es decir, los compuestos de la Fórmula **2a**, en donde el grupo amino se reemplaza con halógeno) en presencia de un catalizador de paladio o cobre. Este método se muestra en la Etapa A del Ejemplo de síntesis 2.

Los compuestos de la Fórmula **2** pueden prepararse, además, en una variedad de formas conocidas en la técnica; ver, por ejemplo, Patai, S. *The Chemistry of Functional Groups: The Chemistry of Amidines and Imidates;* Wiley: Chichester, Reino Unido, 1975; *The Chemistry of Amidines and Imidates;* Patai, S.; Rappoport, Z., Eds.; Wiley: Chichester, Reino Unido, 1991; Vol. 2; Mega, T. et al. *Bulletin of the Chemical Society of Japan* **1988**, *61*(12), 4315-4321; Ife, R. et

al. *European Journal of Medicinal Chemistry* **1989**, *24*(3), 249-257; Wagaw, S.; Buchwald, S. *Journal of Organic Chemistry* **1996**, *61*(21), 7240-7241; Shen, Q. et al. *Angewandte Chemie, International Edition* **2005**, *44*(9), 1371-1375; and Okano, K. et al. *Organic Letters* **2003**, *5*(26), 4987-4990.

Esquema 13



Los compuestos de la Fórmula **1a**, en donde Z es O pueden prepararse mediante la reacción de alcoholes adecuadamente sustituidos (por ejemplo, fenoles o alcoholes de alquilo) con compuestos de Fórmula **1c** en presencia de una fuente Cu (la reacción de Ullmann; por ejemplo, véase Hayashi, S.; Nakanishi, W. *Bulletin of the Chemical Society of Japan* **2008**,

81(12), 1605-1615). Esta reacción catalizada por Cu se lleva a cabo, típicamente, a temperatura ambiente a 200 °C, más típicamente, a 100 a 150 °C, y en un solvente tal como *N,N*-dimetilformamida o *N*-metilpirrolidinona. Alternativamente, este método puede llevarse a cabo en presencia de una fuente de Pd (por ejemplo, véase Buchwald, S. et al. *Angew. Chem. Int. Ed.* **2006**, *45*, 1-7. Esta reacción catalizada por Pd se lleva a cabo, típicamente, a temperatura ambiente a 200 °C, más generalmente a 100 a 150 °C, y en presencia de una base, tal como K_3PO_4, y en presencia de un ligando, tal como 2-di-*ter*-butilfosfino-2',4',6'-triisopropilbifenilo (es decir, di-*t*-BuXphos) en un solvente inerte tal como tolueno.

Los compuestos de la Fórmula **1a**, en donde Z es NR^6, pueden prepararse por la reacción de las aminas sustituidas adecuadamente (por ejemplo, aminas de alquilo o anilinas) con compuestos de la Fórmula **1c** en presencia de un fuente de Cu (la reacción de Ullmann; por ejemplo, véase Xu, H.; Yin, K.; Huang, W. *Chemistry - A European Journal* **2007**, *13*(36), 10281-10293). Esta reacción catalizada por Cu, típicamente, se lleva a cabo a temperatura ambiente a 200 °C, más típicamente, a 100 a 150 °C, y en un solvente tal como *N,N*-dimetilformamida o *N*-metilpirrolidinona. Alternativamente, este método puede llevarse a cabo en presencia de una fuente de Pd (por ejemplo, véase Uchiyama, M. et al. *J. Am. Chem. Soc.* **2004**, *126*(28), 8755-8759). Esta reacción catalizada por Pd, típicamente, se

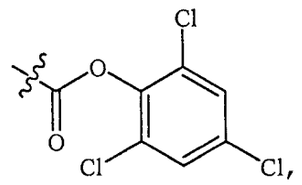
lleva a cabo a temperatura ambiente a 200 °C, más típicamente, a 100 a 150 °C, en un solvente inerte tal como tolueno y en presencia de una base tal como NaO-*t*-Bu.

Los compuestos de Fórmula **1**, en donde X y/o Y son S pueden prepararse a partir de los compuestos correspondientes de la Fórmula **1a** mediante métodos generales conocidos en la técnica que incluyen el tratamiento con reactivos tales como P_4S_{10} o reactivo de Lawessen (2,4-bis-(4-metoxifenil)-1,3-ditia-2,4-difosfetano 2,4-bisulfuro). Alternativamente, los ácidos malónicos de la Fórmula **3a** pueden tratarse con $P_2S_6(CH_3)_2$ como se describe en *J. Am. Chem. Soc.* **1988**, *110* (4), 1316-1318. Los derivados de azufre de ácido malónico resultantes pueden usarse para preparar los compuestos de la Fórmula **1**, en donde X y/o Y son S, mediante el método del Esquema 1.

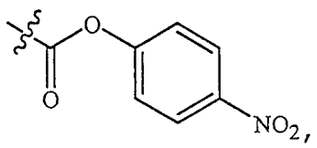
Los Esquemas 1 a 13 ilustran métodos para preparar compuestos de la Fórmula **1** con una variedad de sustituyentes indicados para R^1, R^2, R^{3a}, R^{3b}, R^4, R^5, X, Y, Z y A. Los compuestos de la Fórmula **1** con sustituyentes de R^1, R^2, R^{3a}, R^{3b}, R^4, R^5, X, Y, Z y A distintos de los indicados particularmente para los Esquemas 1 a 13 pueden prepararse mediante métodos generales conocidos en la técnica de química orgánica sintética, que incluyen métodos análogos a los descritos en los Esquemas 1 a 13.

Los ejemplos de intermedios útiles en la preparación de los compuestos de esta invención se muestran en las Tablas

I-1 a I-30. En las tablas que figuran a continuación se usan las siguientes abreviaturas: Me significa metilo, Et significa etilo, Pr significa propilo, Ph significa fenilo, C(O)O(2,4,6-triclorofenilo) significa



C(O)O(4-nitrofenil) significa



y

C(O)(3-metil-2-piridinilamino) significa

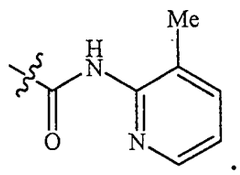
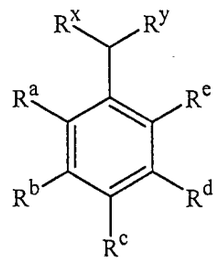


Tabla I-1



R^x es C(O)OH; R^y es H; R^b, R^c, R^d y R^e son H

R^a	R^a	R^a	R^a
H	O-*i*-Pr	3-(CF_3)fenilo	2-fluoro-4-cianofenilo
F	$OCH_2CH=CH_2$	3-fluorofenilo	2-fluoro-4-clorofenilo
Cl	$OCH_2C\equiv CH$	3-cianofenilo	2-metil-4-clorofenilo
Br	O-*c*-Pr	3-(OCF_3)fenilo	2-fluoro-4-(CF_3)fenilo
I	OCF_3	4-fluorofenilo	2,4-bis(CF_3)fenilo
Me	$OCHF_2$	4-clorofenilo	2-fluoro-4-bromofenilo
Et	OCH_2CF_3	4-(CF_3)fenilo	2-cloro-4-fluorofenilo
Pr	SCF_3	4-cianofenilo	2-(CF_3)-4-fluorofenilo
i-Pr	SCF_3	4-bromofenilo	2-metil-4-(CF_3)fenilo

c-Pr	$SCHF_2$	6-cloro-3-piridinilo	2-cloro-4-(CF_3)fenilo
t-Bu	$S(O)CF_3$	6-fluoro-3-piridinilo	2-(CF_3)-4-clorofenilo
CF_3	SO_2CF_3	6-(CF_3)-3-piridinilo	2,5-difluorofenilo
CH_2F	CO_2Me	4,6-dicloro-3-piridinilo	2-fluoro-5-(CF_3)fenilo
CHF_2	CO_2Et	2-fluoro-6-cloro-3-piridinilo	2-fluoro-5-clorofenilo
OMe	ciano	2,6-dicloro-3-piridinilo	2,5-diclorofenilo
OEt	C(O)NHMe	2-bromo-5-cloro-4-piridinilo	2-fluoro-5-(OCF_3)fenilo
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorofenilo	2-cloro-5-(CF_3)fenilo
OPh	C(=NOMe)Me	3-cloro-5-fluorofenilo	*N*-Me-4-pirazolilo
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-clorofenilo	3-Me-5-isoxazolilo
C≡CH	2-fluorofenilo	2,4-diclorofenilo	6-(6-Cl-3-piridinil)-3-piridinilo

fenilo	3-clorofenilo	2,4-difluorofenilo	

R^x es C(O)OH; R^y es H; R^a, R^c, R^d y R^e son H

R^b	R^b	R^b	R^b
H	O-*i*-Pr	3-(CF_3)fenilo	2-fluoro-4-cianofenilo
F	$OCH_2CH=CH_2$	3-fluorofenilo	2-fluoro-4-clorofenilo
Cl	$OCH_2C\equiv CH$	3-cianofenilo	2-metil-4-clorofenilo
Br	O-*c*-Pr	3-(OCF_3)fenilo	2-fluoro-4-(CF_3)fenilo
I	OCF_3	4-fluorofenilo	2,4-bis(CF_3)fenilo
Me	$OCHF_2$	4-clorofenilo	2-fluoro-4-bromofenilo
Et	OCH_2CF_3	4-(CF_3)fenilo	2-cloro-4-fluorofenilo
Pr	SCF_3	4-cianofenilo	2-(CF_3)-4-fluorofenilo
i-Pr	SCF_3	4-bromofenilo	2-metil-4-(CF_3)fenilo
c-Pr	$SCHF_2$	6-cloro-3-piridinilo	2-cloro-4-(CF_3)fenilo
t-Bu	S(O)CF_3	6-fluoro-3-piridinilo	2-(CF_3)-4-clorofenilo

CF_3	SO_2CF_3	6-(CF_3)-3-piridinilo	2,5-difluorofenilo
CH_2F	CO_2Me	4,6-dicloro-3-piridinilo	2-fluoro-5-(CF_3)fenilo
CHF_2	CO_2Et	2-fluoro-6-cloro-3-piridinilo	2-fluoro-5-clorofenilo
OMe	ciano	2,6-dicloro-3-piridinilo	2,5-diclorofenilo
OEt	C(O)NHMe	2-bromo-5-cloro-4-piridinilo	2-fluoro-5-(OCF_3)fenilo
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorofenilo	2-cloro-5-(CF_3)fenilo
OPh	C(=NOMe)Me	3-cloro-5-fluorofenilo	*N*-Me-4-pirazolilo
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-clorofenilo	3-Me-5-isoxazolilo
C≡CH	2-fluorofenilo	2,4-diclorofenilo	6-(6-Cl-3-piridinil)-3-piridinilo
fenilo	3-clorofenilo	2,4-difluorofenilo	

R^x es C(O)OH; R^y es H; R^a, R^b, R^d y R^e son H

R^c	R^c	R^c	R^c
H	O-*i*-Pr	3-(CF_3)fenilo	2-fluoro-4-cianofenilo
F	$OCH_2CH=CH_2$	3-fluorofenilo	2-fluoro-4-clorofenilo
Cl	$OCH_2C\equiv CH$	3-cianofenilo	2-metil-4-clorofenilo
Br	O-*c*-Pr	3-(OCF_3)fenilo	2-fluoro-4-(CF_3)fenilo
I	OCF_3	4-fluorofenilo	2,4-bis(CF_3)fenilo
Me	$OCHF_2$	4-clorofenilo	2-fluoro-4-bromofenilo
Et	OCH_2CF_3	4-(CF_3)fenilo	2-cloro-4-fluorofenilo
Pr	SCF_3	4-cianofenilo	2-(CF_3)-4-fluorofenilo
i-Pr	SCF_3	4-bromofenilo	2-metil-4-(CF_3)fenilo
c-Pr	$SCHF_2$	6-cloro-3-piridinilo	2-cloro-4-(CF_3)fenilo
t-Bu	S(O)CF_3	6-fluoro-3-piridinilo	2-(CF_3)-4-clorofenilo
CF_3	SO_2CF_3	6-(CF_3)-3-piridinilo	2,5-difluorofenilo
CH_2F	CO_2Me	4,6-dicloro-3-piridinilo	2-fluoro-5-(CF_3)fenilo

CHF_2	CO_2Et	2-fluoro-6-cloro-3-piridinilo	2-fluoro-5-clorofenilo
OMe	ciano	2,6-dicloro-3-piridinilo	2,5-diclorofenilo
OEt	C(O)NHMe	2-bromo-5-cloro-4-piridinilo	2-fluoro-5-(OCF_3)fenilo
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorofenilo	2-cloro-5-(CF_3)fenilo
OPh	C(=NOMe)Me	3-cloro-5-fluorofenilo	*N*-Me-4-pirazolilo
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-clorofenilo	3-Me-5-isoxazolilo
C≡CH	2-fluorofenilo	2,4-diclorofenilo	6-(6-Cl-3-piridinil)-3-piridinilo
fenilo	3-clorofenilo	2,4-difluorofenilo	

R^x es C(O)OH; R^y es H; R^a es F; R^c, R^d y R^e son H

R^b	R^b	R^b	R^b
H	O-*i*-Pr	3-(CF_3)fenilo	2-fluoro-4-cianofenilo
F	$OCH_2CH=CH_2$	3-fluorofenilo	2-fluoro-4-clorofenilo
Cl	$OCH_2C≡CH$	3-cianofenilo	2-metil-4-clorofenilo

Br	O-*c*-Pr	3-(OCF_3)fenilo	2-fluoro-4-(CF_3)fenilo
I	OCF_3	4-fluorofenilo	2,4-bis(CF_3)fenilo
Me	$OCHF_2$	4-clorofenilo	2-fluoro-4-bromofenilo
Et	OCH_2CF_3	4-(CF_3)fenilo	2-cloro-4-fluorofenilo
Pr	SCF_3	4-cianofenilo	2-(CF_3)-4-fluorofenilo
i-Pr	SCF_3	4-bromofenilo	2-metil-4-(CF_3)fenilo
c-Pr	$SCHF_2$	6-cloro-3-piridinilo	2-cloro-4-(CF_3)fenilo
t-Bu	S(O)CF_3	6-fluoro-3-piridinilo	2-(CF_3)-4-clorofenilo
CF_3	SO_2CF_3	6-(CF_3)-3-piridinilo	2,5-difluorofenilo
CH_2F	CO_2Me	4,6-dicloro-3-piridinilo	2-fluoro-5-(CF_3)fenilo
CHF_2	CO_2Et	2-fluoro-6-cloro-3-piridinilo	2-fluoro-5-clorofenilo
OMe	ciano	2,6-dicloro-3-piridinilo	2,5-diclorofenilo

OEt	C(O)NHMe	2-bromo-5-cloro-4-piridinilo	2-fluoro-5-(OCF_3)fenilo
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorofenilo	2-cloro-5-(CF_3)fenilo
OPh	C(=NOMe)Me	3-cloro-5-fluorofenilo	*N*-Me-4-pirazolilo
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-clorofenilo	3-Me-5-isoxazolilo
C≡CH	2-fluorofenilo	2,4-diclorofenilo	6-(6-Cl-3-piridinil)-3-piridinilo
fenilo	3-clorofenilo	2,4-difluorofenilo	

R^x es C(O)OH; R^y es H; R^a es F; R^b, R^d y R^e son H

R^c	R^c	R^c	R^c
H	O-*i*-Pr	3-(CF_3)fenilo	2-fluoro-4-cianofenilo
F	$OCH_2CH=CH_2$	3-fluorofenilo	2-fluoro-4-clorofenilo
Cl	$OCH_2C≡CH$	3-cianofenilo	2-metil-4-clorofenilo
Br	O-*c*-Pr	3-(OCF_3)fenilo	2-fluoro-4-(CF_3)fenilo
I	OCF_3	4-fluorofenilo	2,4-bis(CF_3)fenilo
Me	$OCHF_2$	4-clorofenilo	2-fluoro-4-bromofenilo

Et	OCH_2CF_3	4-(CF_3)fenilo	2-cloro-4-fluorofenilo
Pr	SCF_3	4-cianofenilo	2-(CF_3)-4-fluorofenilo
i-Pr	SCF_3	4-bromofenilo	2-metil-4-(CF_3)fenilo
c-Pr	$SCHF_2$	6-cloro-3-piridinilo	2-cloro-4-(CF_3)fenilo
t-Bu	$S(O)CF_3$	6-fluoro-3-piridinilo	2-(CF_3)-4-clorofenilo
CF_3	SO_2CF_3	6-(CF_3)-3-piridinilo	2,5-difluorofenilo
CH_2F	CO_2Me	4,6-dicloro-3-piridinilo	2-fluoro-5-(CF_3)fenilo
CHF_2	CO_2Et	2-fluoro-6-cloro-3-piridinilo	2-fluoro-5-clorofenilo
OMe	ciano	2,6-dicloro-3-piridinilo	2,5-diclorofenilo
OEt	C(O)NHMe	2-bromo-5-cloro-4-piridinilo	2-fluoro-5-(OCF_3)fenilo
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorofenilo	2-cloro-5-(CF_3)fenilo
OPh	C(=NOMe)Me	3-cloro-5-fluorofenilo	*N*-Me-4-pirazolilo

$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-clorofenilo	3-Me-5-isoxazolilo
C≡CH	2-fluorofenilo	2,4-diclorofenilo	6-(6-Cl-3-piridinil)-3-piridinilo
fenilo	3-clorofenilo	2,4-difluorofenilo	

R^x es C(O)OH; R^y es H; R^a es F; R^b, R^c y R^e son H

R^d	R^d	R^d	R^d
H	O-*i*-Pr	3-(CF_3)fenilo	2-fluoro-4-cianofenilo
F	$OCH_2CH=CH_2$	3-fluorofenilo	2-fluoro-4-clorofenilo
Cl	$OCH_2C\equiv CH$	3-cianofenilo	2-metil-4-clorofenilo
Br	O-*c*-Pr	3-(OCF_3)fenilo	2-fluoro-4-(CF_3)fenilo
I	OCF_3	4-fluorofenilo	2,4-bis(CF_3)fenilo
Me	$OCHF_2$	4-clorofenilo	2-fluoro-4-bromofenilo
Et	OCH_2CF_3	4-(CF_3)fenilo	2-cloro-4-fluorofenilo
Pr	SCF_3	4-cianofenilo	2-(CF_3)-4-fluorofenilo
i-Pr	SCF_3	4-bromofenilo	2-metil-4-(CF_3)fenilo

c-Pr	$SCHF_2$	6-cloro-3-piridinilo	2-cloro-4-(CF_3)fenilo
t-Bu	$S(O)CF_3$	6-fluoro-3-piridinilo	2-(CF_3)-4-clorofenilo
CF_3	SO_2CF_3	6-(CF_3)-3-piridinilo	2,5-difluorofenilo
CH_2F	CO_2Me	4,6-dicloro-3-piridinilo	2-fluoro-5-(CF_3)fenilo
CHF_2	CO_2Et	2-fluoro-6-cloro-3-piridinilo	2-fluoro-5-clorofenilo
OMe	ciano	2,6-dicloro-3-piridinilo	2,5-diclorofenilo
OEt	C(O)NHMe	2-bromo-5-cloro-4-piridinilo	2-fluoro-5-(OCF_3)fenilo
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorofenilo	2-cloro-5-(CF_3)fenilo
OPh	C(=NOMe)Me	3-cloro-5-fluorofenilo	*N*-Me-4-pirazolilo
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-clorofenilo	3-Me-5-isoxazolilo
C≡CH	2-fluorofenilo	2,4-diclorofenilo	6-(6-Cl-3-piridinil)-3-piridinilo

fenilo	3-clorofenilo	2,4-difluorofenilo	

R^x es C(O)OH; R^y es H; R^a es F; R^b, R^c y R^d son H

R^e	R^e	R^e	R^e
H	O-*i*-Pr	3-(CF_3)fenilo	2-fluoro-4-cianofenilo
F	$OCH_2CH=CH_2$	3-fluorofenilo	2-fluoro-4-clorofenilo
Cl	$OCH_2C\equiv CH$	3-cianofenilo	2-metil-4-clorofenilo
Br	O-*c*-Pr	3-(OCF_3)fenilo	2-fluoro-4-(CF_3)fenilo
I	OCF_3	4-fluorofenilo	2,4-bis(CF_3)fenilo
Me	$OCHF_2$	4-clorofenilo	2-fluoro-4-bromofenilo
Et	OCH_2CF_3	4-(CF_3)fenilo	2-cloro-4-fluorofenilo
Pr	SCF_3	4-cianofenilo	2-(CF_3)-4-fluorofenilo
i-Pr	SCF_3	4-bromofenilo	2-metil-4-(CF_3)fenilo
c-Pr	$SCHF_2$	6-cloro-3-piridinilo	2-cloro-4-(CF_3)fenilo
t-Bu	S(O)CF_3	6-fluoro-3-piridinilo	2-(CF_3)-4-clorofenilo

CF_3	SO_2CF_3	6-(CF_3)-3-piridinilo	2,5-difluorofenilo
CH_2F	CO_2Me	4,6-dicloro-3-piridinilo	2-fluoro-5-(CF_3)fenilo
CHF_2	CO_2Et	2-fluoro-6-cloro-3-piridinilo	2-fluoro-5-clorofenilo
OMe	ciano	2,6-dicloro-3-piridinilo	2,5-diclorofenilo
OEt	C(O)NHMe	2-bromo-5-cloro-4-piridinilo	2-fluoro-5-(OCF_3)fenilo
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorofenilo	2-cloro-5-(CF_3)fenilo
OPh	C(=NOMe)Me	3-cloro-5-fluorofenilo	*N*-Me-4-pirazolilo
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-clorofenilo	3-Me-5-isoxazolilo
$C\equiv CH$	2-fluorofenilo	2,4-diclorofenilo	6-(6-Cl-3-piridinil)-3-piridinilo
fenilo	3-clorofenilo	2,4-difluorofenilo	

R^x es C(O)OH; R^y es H; R^a es Cl; R^c, R^d y R^e son H

R^b	R^b	R^b	R^b
H	O-*i*-Pr	3-(CF_3)fenilo	2-fluoro-4-cianofenilo
F	$OCH_2CH=CH_2$	3-fluorofenilo	2-fluoro-4-clorofenilo
Cl	$OCH_2C\equiv CH$	3-cianofenilo	2-metil-4-clorofenilo
Br	O-*c*-Pr	3-(OCF_3)fenilo	2-fluoro-4-(CF_3)fenilo
I	OCF_3	4-fluorofenilo	2,4-bis(CF_3)fenilo
Me	$OCHF_2$	4-clorofenilo	2-fluoro-4-bromofenilo
Et	OCH_2CF_3	4-(CF_3)fenilo	2-cloro-4-fluorofenilo
Pr	SCF_3	4-cianofenilo	2-(CF_3)-4-fluorofenilo
i-Pr	SCF_3	4-bromofenilo	2-metil-4-(CF_3)fenilo
c-Pr	$SCHF_2$	6-cloro-3-piridinilo	2-cloro-4-(CF_3)fenilo
t-Bu	S(O)CF_3	6-fluoro-3-piridinilo	2-(CF_3)-4-clorofenilo
CF_3	SO_2CF_3	6-(CF_3)-3-piridinilo	2,5-difluorofenilo
CH_2F	CO_2Me	4,6-dicloro-3-piridinilo	2-fluoro-5-(CF_3)fenilo

CHF_2	CO_2Et	2-fluoro-6-cloro-3-piridinilo	2-fluoro-5-clorofenilo
OMe	ciano	2,6-dicloro-3-piridinilo	2,5-diclorofenilo
OEt	C(O)NHMe	2-bromo-5-cloro-4-piridinilo	2-fluoro-5-(OCF_3)fenilo
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorofenilo	2-cloro-5-(CF_3)fenilo
OPh	C(=NOMe)Me	3-cloro-5-fluorofenilo	*N*-Me-4-pirazolilo
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-clorofenilo	3-Me-5-isoxazolilo
C≡CH	2-fluorofenilo	2,4-diclorofenilo	6-(6-Cl-3-piridinil)-3-piridinilo
fenilo	3-clorofenilo	2,4-difluorofenilo	

R^x es C(O)OH; R^y es H; R^a es Cl; R^b, R^d y R^e son H

R^c	R^c	R^c	R^c
H	O-*i*-Pr	3-(CF_3)fenilo	2-fluoro-4-cianofenilo
F	$OCH_2CH=CH_2$	3-fluorofenilo	2-fluoro-4-clorofenilo
Cl	$OCH_2C≡CH$	3-cianofenilo	2-metil-4-clorofenilo

Br	O-*c*-Pr	3-(OCF_3)fenilo	2-fluoro-4-(CF_3)fenilo
I	OCF_3	4-fluorofenilo	2,4-bis(CF_3)fenilo
Me	$OCHF_2$	4-clorofenilo	2-fluoro-4-bromofenilo
Et	OCH_2CF_3	4-(CF_3)fenilo	2-cloro-4-fluorofenilo
Pr	SCF_3	4-cianofenilo	2-(CF_3)-4-fluorofenilo
i-Pr	SCF_3	4-bromofenilo	2-metil-4-(CF_3)fenilo
c-Pr	$SCHF_2$	6-cloro-3-piridinilo	2-cloro-4-(CF_3)fenilo
t-Bu	$S(O)CF_3$	6-fluoro-3-piridinilo	2-(CF_3)-4-clorofenilo
CF_3	SO_2CF_3	6-(CF_3)-3-piridinilo	2,5-difluorofenilo
CH_2F	CO_2Me	4,6-dicloro-3-piridinilo	2-fluoro-5-(CF_3)fenilo
CHF_2	CO_2Et	2-fluoro-6-cloro-3-piridinilo	2-fluoro-5-clorofenilo
OMe	ciano	2,6-dicloro-3-piridinilo	2,5-diclorofenilo

OEt	C(O)NHMe	2-bromo-5-cloro-4-piridinilo	2-fluoro-5-(OCF_3)fenilo
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorofenilo	2-cloro-5-(CF_3)fenilo
OPh	C(=NOMe)Me	3-cloro-5-fluorofenilo	*N*-Me-4-pirazolilo
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-clorofenilo	3-Me-5-isoxazolilo
$C\equiv CH$	2-fluorofenilo	2,4-diclorofenilo	6-(6-Cl-3-piridinil)-3-piridinilo
fenilo	3-clorofenilo	2,4-difluorofenilo	

R^x es C(O)OH; R^y es H; R^a es Cl; R^b, R^c y R^e son H

$\underline{R^d}$	$\underline{R^d}$	$\underline{R^d}$	$\underline{R^d}$
H	O-*i*-Pr	3-(CF_3)fenilo	2-fluoro-4-cianofenilo
F	$OCH_2CH=CH_2$	3-fluorofenilo	2-fluoro-4-clorofenilo
Cl	$OCH_2C\equiv CH$	3-cianofenilo	2-metil-4-clorofenilo
Br	O-*c*-Pr	3-(OCF_3)fenilo	2-fluoro-4-(CF_3)fenilo
I	OCF_3	4-fluorofenilo	2,4-bis(CF_3)fenilo
Me	$OCHF_2$	4-clorofenilo	2-fluoro-4-bromofenilo

Et	OCH_2CF_3	4-(CF_3)fenilo	2-cloro-4-fluorofenilo
Pr	SCF_3	4-cianofenilo	2-(CF_3)-4-fluorofenilo
i-Pr	SCF_3	4-bromofenilo	2-metil-4-(CF_3)fenilo
c-Pr	$SCHF_2$	6-cloro-3-piridinilo	2-cloro-4-(CF_3)fenilo
t-Bu	$S(O)CF_3$	6-fluoro-3-piridinilo	2-(CF_3)-4-clorofenilo
CF_3	SO_2CF_3	6-(CF_3)-3-piridinilo	2,5-difluorofenilo
CH_2F	CO_2Me	4,6-dicloro-3-piridinilo	2-fluoro-5-(CF_3)fenilo
CHF_2	CO_2Et	2-fluoro-6-cloro-3-piridinilo	2-fluoro-5-clorofenilo
OMe	ciano	2,6-dicloro-3-piridinilo	2,5-diclorofenilo
OEt	C(O)NHMe	2-bromo-5-cloro-4-piridinilo	2-fluoro-5-(OCF_3)fenilo
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorofenilo	2-cloro-5-(CF_3)fenilo
OPh	C(=NOMe)Me	3-cloro-5-fluorofenilo	*N*-Me-4-pirazolilo

$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-clorofenilo	3-Me-5-isoxazolilo
C≡CH	2-fluorofenilo	2,4-diclorofenilo	6-(6-Cl-3-piridinil)-3-piridinilo
fenilo	3-clorofenilo	2,4-difluorofenilo	

R^x es C(O)OH; R^y es H; R^a es Cl; R^b, R^c y R^d son H

R^e	R^e	R^e	R^e
H	O-*i*-Pr	3-(CF_3)fenilo	2-fluoro-4-cianofenilo
F	$OCH_2CH=CH_2$	3-fluorofenilo	2-fluoro-4-clorofenilo
Cl	$OCH_2C\equiv CH$	3-cianofenilo	2-metil-4-clorofenilo
Br	O-*c*-Pr	3-(OCF_3)fenilo	2-fluoro-4-(CF_3)fenilo
I	OCF_3	4-fluorofenilo	2,4-bis(CF_3)fenilo
Me	$OCHF_2$	4-clorofenilo	2-fluoro-4-bromofenilo
Et	OCH_2CF_3	4-(CF_3)fenilo	2-cloro-4-fluorofenilo
Pr	SCF_3	4-cianofenilo	2-(CF_3)-4-fluorofenilo
i-Pr	SCF_3	4-bromofenilo	2-metil-4-(CF_3)fenilo
c-Pr	$SCHF_2$	6-cloro-3-piridinilo	2-cloro-4-(CF_3)fenilo
t-Bu	S(O)CF_3	6-fluoro-3-piridinilo	2-(CF_3)-4-clorofenilo
CF_3	SO_2CF_3	6-(CF_3)-3-piridinilo	2,5-difluorofenilo

CH_2F	CO_2Me	4,6-dicloro-3-piridinilo	2-fluoro-5-(CF_3)fenilo
CHF_2	CO_2Et	2-fluoro-6-cloro-3-piridinilo	2-fluoro-5-clorofenilo
OMe	ciano	2,6-dicloro-3-piridinilo	2,5-diclorofenilo
OEt	C(O)NHMe	2-bromo-5-cloro-4-piridinilo	2-fluoro-5-(OCF_3)fenilo
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorofenilo	2-cloro-5-(CF_3)fenilo
OPh	C(=NOMe)Me	3-cloro-5-fluorofenilo	*N*-Me-4-pirazolilo
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-clorofenilo	3-Me-5-isoxazolilo
$C\equiv CH$	2-fluorofenilo	2,4-diclorofenilo	6-(6-Cl-3-piridinil)-3-piridinilo
fenilo	3-clorofenilo	2,4-difluorofenilo	

R^x es C(O)OH; R^y es H; R^a es OMe; R^c, R^d y R^e son H

R^b	R^b	R^b	R^b
H	O-*i*-Pr	3-(CF_3)fenilo	2-fluoro-4-cianofenilo
F	$OCH_2CH=CH_2$	3-fluorofenilo	2-fluoro-4-clorofenilo
Cl	$OCH_2C\equiv CH$	3-cianofenilo	2-metil-4-clorofenilo

Br	O-*c*-Pr	3-(OCF_3)fenilo	2-fluoro-4-(CF_3)fenilo
I	OCF_3	4-fluorofenilo	2,4-bis(CF_3)fenilo
Me	$OCHF_2$	4-clorofenilo	2-fluoro-4-bromofenilo
Et	OCH_2CF_3	4-(CF_3)fenilo	2-cloro-4-fluorofenilo
Pr	SCF_3	4-cianofenilo	2-(CF_3)-4-fluorofenilo
i-Pr	SCF_3	4-bromofenilo	2-metil-4-(CF_3)fenilo
c-Pr	$SCHF_2$	6-cloro-3-piridinilo	2-cloro-4-(CF_3)fenilo
t-Bu	S(O)CF_3	6-fluoro-3-piridinilo	2-(CF_3)-4-clorofenilo
CF_3	SO_2CF_3	6-(CF_3)-3-piridinilo	2,5-difluorofenilo
CH_2F	CO_2Me	4,6-dicloro-3-piridinilo	2-fluoro-5-(CF_3)fenilo
CHF_2	CO_2Et	2-fluoro-6-cloro-3-piridinilo	2-fluoro-5-clorofenilo
OMe	ciano	2,6-dicloro-3-piridinilo	2,5-diclorofenilo
OEt	C(O)NHMe	2-bromo-5-cloro-4-piridinilo	2-fluoro-5-(OCF_3)fenilo
O-*n*-Pr	C(O)NMe_2	3-bromo-5-fluorofenilo	2-cloro-5-(CF_3)fenilo

OPh	C(=NOMe)Me	3-cloro-5-fluorofenilo	*N*-Me-4-pirazolilo
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-clorofenilo	3-Me-5-isoxazolilo
C≡CH	2-fluorofenilo	2,4-diclorofenilo	6-(6-Cl-3-piridinil)-3-piridinilo
fenilo	3-clorofenilo	2,4-difluorofenilo	

R^x es C(O)OH; R^y es H; R^a es OMe; R^b, R^d y R^e son H

R^c	R^c	R^c	R^c
H	O-*i*-Pr	3-(CF_3)fenilo	2-fluoro-4-cianofenilo
F	$OCH_2CH=CH_2$	3-fluorofenilo	2-fluoro-4-clorofenilo
Cl	$OCH_2C\equiv CH$	3-cianofenilo	2-metil-4-clorofenilo
Br	O-*c*-Pr	3-(OCF_3)fenilo	2-fluoro-4-(CF_3)fenilo
I	OCF_3	4-fluorofenilo	2,4-bis(CF_3)fenilo
Me	$OCHF_2$	4-clorofenilo	2-fluoro-4-bromofenilo
Et	OCH_2CF_3	4-(CF_3)fenilo	2-cloro-4-fluorofenilo
Pr	SCF_3	4-cianofenilo	2-(CF_3)-4-fluorofenilo

i-Pr	SCF_3	4-bromofenilo	2-metil-4-(CF_3)fenilo
c-Pr	$SCHF_2$	6-cloro-3-piridinilo	2-cloro-4-(CF_3)fenilo
t-Bu	$S(O)CF_3$	6-fluoro-3-piridinilo	2-(CF_3)-4-clorofenilo
CF_3	SO_2CF_3	6-(CF_3)-3-piridinilo	2,5-difluorofenilo
CH_2F	CO_2Me	4,6-dicloro-3-piridinilo	2-fluoro-5-(CF_3)fenilo
CHF_2	CO_2Et	2-fluoro-6-cloro-3-piridinilo	2-fluoro-5-clorofenilo
OMe	ciano	2,6-dicloro-3-piridinilo	2,5-diclorofenilo
OEt	C(O)NHMe	2-bromo-5-cloro-4-piridinilo	2-fluoro-5-(OCF_3)fenilo
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorofenilo	2-cloro-5-(CF_3)fenilo
OPh	C(=NOMe)Me	3-cloro-5-fluorofenilo	*N*-Me-4-pirazolilo
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-clorofenilo	3-Me-5-isoxazolilo

C≡CH	2-fluorofenilo	2,4-diclorofenilo	6-(6-Cl-3-piridinil)-3-piridinilo
fenilo	3-clorofenilo	2,4-difluorofenilo	

R^x es C(O)OH; R^y es H; R^a es OMe; R^b, R^c y R^e son H

R^d	R^d	R^d	R^d
H	O-*i*-Pr	3-(CF_3)fenilo	2-fluoro-4-cianofenilo
F	$OCH_2CH=CH_2$	3-fluorofenilo	2-fluoro-4-clorofenilo
Cl	$OCH_2C\equiv CH$	3-cianofenilo	2-metil-4-clorofenilo
Br	O-*c*-Pr	3-(OCF_3)fenilo	2-fluoro-4-(CF_3)fenilo
I	OCF_3	4-fluorofenilo	2,4-bis(CF_3)fenilo
Me	$OCHF_2$	4-clorofenilo	2-fluoro-4-bromofenilo
Et	OCH_2CF_3	4-(CF_3)fenilo	2-cloro-4-fluorofenilo
Pr	SCF_3	4-cianofenilo	2-(CF_3)-4-fluorofenilo
i-Pr	SCF_3	4-bromofenilo	2-metil-4-(CF_3)fenilo
c-Pr	$SCHF_2$	6-cloro-3-piridinilo	2-cloro-4-(CF_3)fenilo

t-Bu	$S(O)CF_3$	6-fluoro-3-piridinilo	2-(CF_3)-4-clorofenilo
CF_3	SO_2CF_3	6-(CF_3)-3-piridinilo	2,5-difluorofenilo
CH_2F	CO_2Me	4,6-dicloro-3-piridinilo	2-fluoro-5-(CF_3)fenilo
CHF_2	CO_2Et	2-fluoro-6-cloro-3-piridinilo	2-fluoro-5-clorofenilo
OMe	ciano	2,6-dicloro-3-piridinilo	2,5-diclorofenilo
OEt	C(O)NHMe	2-bromo-5-cloro-4-piridinilo	2-fluoro-5-(OCF_3)fenilo
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorofenilo	2-cloro-5-(CF_3)fenilo
OPh	C(=NOMe)Me	3-cloro-5-fluorofenilo	*N*-Me-4-pirazolilo
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-clorofenilo	3-Me-5-isoxazolilo
C≡CH	2-fluorofenilo	2,4-diclorofenilo	6-(6-Cl-3-piridinil)-3-piridinilo
fenilo	3-clorofenilo	2,4-difluorofenilo	

R^x es C(O)OH; R^y es H; R^a es OMe; R^b, R^c y R^d son H

R^e	R^e	R^e	R^e
H	O-*i*-Pr	3-(CF_3)fenilo	2-fluoro-4-cianofenilo
F	$OCH_2CH=CH_2$	3-fluorofenilo	2-fluoro-4-clorofenilo
Cl	$OCH_2C\equiv CH$	3-cianofenilo	2-metil-4-clorofenilo
Br	O-*c*-Pr	3-(OCF_3)fenilo	2-fluoro-4-(CF_3)fenilo
I	OCF_3	4-fluorofenilo	2,4-bis(CF_3)fenilo
Me	$OCHF_2$	4-clorofenilo	2-fluoro-4-bromofenilo
Et	OCH_2CF_3	4-(CF_3)fenilo	2-cloro-4-fluorofenilo
Pr	SCF_3	4-cianofenilo	2-(CF_3)-4-fluorofenilo
i-Pr	SCF_3	4-bromofenilo	2-metil-4-(CF_3)fenilo
c-Pr	$SCHF_2$	6-cloro-3-piridinilo	2-cloro-4-(CF_3)fenilo
t-Bu	$S(O)CF_3$	6-fluoro-3-piridinilo	2-(CF_3)-4-clorofenilo
CF_3	SO_2CF_3	6-(CF_3)-3-piridinilo	2,5-difluorofenilo
CH_2F	CO_2Me	4,6-dicloro-3-piridinilo	2-fluoro-5-(CF_3)fenilo

CHF_2	CO_2Et	2-fluoro-6-cloro-3-piridinilo	2-fluoro-5-clorofenilo
OMe	ciano	2,6-dicloro-3-piridinilo	2,5-diclorofenilo
OEt	C(O)NHMe	2-bromo-5-cloro-4-piridinilo	2-fluoro-5-(OCF_3)fenilo
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorofenilo	2-cloro-5-(CF_3)fenilo
OPh	C(=NOMe)Me	3-cloro-5-fluorofenilo	*N*-Me-4-pirazolilo
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-clorofenilo	3-Me-5-isoxazolilo
C≡CH	2-fluorofenilo	2,4-diclorofenilo	6-(6-Cl-3-piridinil)-3-piridinilo
fenilo	3-clorofenilo	2,4-difluorofenilo	

R^x es C(O)OH; R^y es H; R^a es Me; R^c, R^d y R^e son H

R^b	R^b	R^b	R^b
H	O-*i*-Pr	3-(CF_3)fenilo	2-fluoro-4-cianofenilo
F	$OCH_2CH=CH_2$	3-fluorofenilo	2-fluoro-4-clorofenilo

Cl	$OCH_2C{\equiv}CH$	3-cianofenilo	2-metil-4-clorofenilo
Br	O-*c*-Pr	3-(OCF_3)fenilo	2-fluoro-4-(CF_3)fenilo
I	OCF_3	4-fluorofenilo	2,4-bis(CF_3)fenilo
Me	$OCHF_2$	4-clorofenilo	2-fluoro-4-bromofenilo
Et	OCH_2CF_3	4-(CF_3)fenilo	2-cloro-4-fluorofenilo
Pr	SCF_3	4-cianofenilo	2-(CF_3)-4-fluorofenilo
i-Pr	SCF_3	4-bromofenilo	2-metil-4-(CF_3)fenilo
c-Pr	$SCHF_2$	6-cloro-3-piridinilo	2-cloro-4-(CF_3)fenilo
t-Bu	$S(O)CF_3$	6-fluoro-3-piridinilo	2-(CF_3)-4-clorofenilo
CF_3	SO_2CF_3	6-(CF_3)-3-piridinilo	2,5-difluorofenilo
CH_2F	CO_2Me	4,6-dicloro-3-piridinilo	2-fluoro-5-(CF_3)fenilo
CHF_2	CO_2Et	2-fluoro-6-cloro-3-piridinilo	2-fluoro-5-clorofenilo

OMe	ciano	2,6-dicloro-3-piridinilo	2,5-diclorofenilo
OEt	C(O)NHMe	2-bromo-5-cloro-4-piridinilo	2-fluoro-5-(OCF_3)fenilo
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorofenilo	2-cloro-5-(CF_3)fenilo
OPh	C(=NOMe)Me	3-cloro-5-fluorofenilo	*N*-Me-4-pirazolilo
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-clorofenilo	3-Me-5-isoxazolilo
C≡CH	2-fluorofenilo	2,4-diclorofenilo	6-(6-Cl-3-piridinil)-3-piridinilo
fenilo	3-clorofenilo	2,4-difluorofenilo	

R^x es C(O)OH; R^y es H; R^a es Me; R^b, R^d y R^e son H

R^c	R^c	R^c	R^c
H	O-*i*-Pr	3-(CF_3)fenilo	2-fluoro-4-cianofenilo
F	$OCH_2CH=CH_2$	3-fluorofenilo	2-fluoro-4-clorofenilo
Cl	$OCH_2C≡CH$	3-cianofenilo	2-metil-4-clorofenilo

Br	O-*c*-Pr	3-(OCF_3)fenilo	2-fluoro-4-(CF_3)fenilo
I	OCF_3	4-fluorofenilo	2,4-bis(CF_3)fenilo
Me	$OCHF_2$	4-clorofenilo	2-fluoro-4-bromofenilo
Et	OCH_2CF_3	4-(CF_3)fenilo	2-cloro-4-fluorofenilo
Pr	SCF_3	4-cianofenilo	2-(CF_3)-4-fluorofenilo
i-Pr	SCF_3	4-bromofenilo	2-metil-4-(CF_3)fenilo
c-Pr	$SCHF_2$	6-cloro-3-piridinilo	2-cloro-4-(CF_3)fenilo
t-Bu	$S(O)CF_3$	6-fluoro-3-piridinilo	2-(CF_3)-4-clorofenilo
CF_3	SO_2CF_3	6-(CF_3)-3-piridinilo	2,5-difluorofenilo
CH_2F	CO_2Me	4,6-dicloro-3-piridinilo	2-fluoro-5-(CF_3)fenilo
CHF_2	CO_2Et	2-fluoro-6-cloro-3-piridinilo	2-fluoro-5-clorofenilo
OMe	ciano	2,6-dicloro-3-piridinilo	2,5-diclorofenilo

OEt	C(O)NHMe	2-bromo-5-cloro-4-piridinilo	2-fluoro-5-(OCF_3)fenilo
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorofenilo	2-cloro-5-(CF_3)fenilo
OPh	C(=NOMe)Me	3-cloro-5-fluorofenilo	*N*-Me-4-pirazolilo
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-clorofenilo	3-Me-5-isoxazolilo
C≡CH	2-fluorofenilo	2,4-diclorofenilo	6-(6-Cl-3-piridinil)-3-piridinilo
fenilo	3-clorofenilo	2,4-difluorofenilo	

R^x es C(O)OH; R^y es H; R^a es Me; R^b, R^c y R^e son H

R^d	R^d	R^d	R^d
H	O-*i*-Pr	3-(CF_3)fenilo	2-fluoro-4-cianofenilo
F	$OCH_2CH=CH_2$	3-fluorofenilo	2-fluoro-4-clorofenilo
Cl	$OCH_2C≡CH$	3-cianofenilo	2-metil-4-clorofenilo
Br	O-*c*-Pr	3-(OCF_3)fenilo	2-fluoro-4-(CF_3)fenilo

I	OCF_3	4-fluorofenilo	2,4-bis(CF_3)fenilo
Me	$OCHF_2$	4-clorofenilo	2-fluoro-4-bromofenilo
Et	OCH_2CF_3	4-(CF_3)fenilo	2-cloro-4-fluorofenilo
Pr	SCF_3	4-cianofenilo	2-(CF_3)-4-fluorofenilo
i-Pr	SCF_3	4-bromofenilo	2-metil-4-(CF_3)fenilo
c-Pr	$SCHF_2$	6-cloro-3-piridinilo	2-cloro-4-(CF_3)fenilo
t-Bu	S(O)CF_3	6-fluoro-3-piridinilo	2-(CF_3)-4-clorofenilo
CF_3	SO_2CF_3	6-(CF_3)-3-piridinilo	2,5-difluorofenilo
CH_2F	CO_2Me	4,6-dicloro-3-piridinilo	2-fluoro-5-(CF_3)fenilo
CHF_2	CO_2Et	2-fluoro-6-cloro-3-piridinilo	2-fluoro-5-clorofenilo
OMe	ciano	2,6-dicloro-3-piridinilo	2,5-diclorofenilo
OEt	C(O)NHMe	2-bromo-5-cloro-4-piridinilo	2-fluoro-5-(OCF_3)fenilo

O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorofenilo	2-cloro-5-(CF_3)fenilo
OPh	C(=NOMe)Me	3-cloro-5-fluorofenilo	*N*-Me-4-pirazolilo
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-clorofenilo	3-Me-5-isoxazolilo
C≡CH	2-fluorofenilo	2,4-diclorofenilo	6-(6-Cl-3-piridinil)-3-piridinilo
fenilo	3-clorofenilo	2,4-difluorofenilo	

R^x es C(O)OH; R^y es H; R^a es Me; R^b, R^c y R^d son H

R^e	R^e	R^e	R^e
H	O-*i*-Pr	3-(CF_3)fenilo	2-fluoro-4-cianofenilo
F	$OCH_2CH=CH_2$	3-fluorofenilo	2-fluoro-4-clorofenilo
Cl	$OCH_2C\equiv CH$	3-cianofenilo	2-metil-4-clorofenilo
Br	O-*c*-Pr	3-(OCF_3)fenilo	2-fluoro-4-(CF_3)fenilo
I	OCF_3	4-fluorofenilo	2,4-bis(CF_3)fenilo
Me	$OCHF_2$	4-clorofenilo	2-fluoro-4-bromofenilo

Et	OCH_2CF_3	4-(CF_3)fenilo	2-cloro-4-fluorofenilo
Pr	SCF_3	4-cianofenilo	2-(CF_3)-4-fluorofenilo
i-Pr	SCF_3	4-bromofenilo	2-metil-4-(CF_3)fenilo
c-Pr	$SCHF_2$	6-cloro-3-piridinilo	2-cloro-4-(CF_3)fenilo
t-Bu	$S(O)CF_3$	6-fluoro-3-piridinilo	2-(CF_3)-4-clorofenilo
CF_3	SO_2CF_3	6-(CF_3)-3-piridinilo	2,5-difluorofenilo
CH_2F	CO_2Me	4,6-dicloro-3-piridinilo	2-fluoro-5-(CF_3)fenilo
CHF_2	CO_2Et	2-fluoro-6-cloro-3-piridinilo	2-fluoro-5-clorofenilo
OMe	ciano	2,6-dicloro-3-piridinilo	2,5-diclorofenilo
OEt	C(O)NHMe	2-bromo-5-cloro-4-piridinilo	2-fluoro-5-(OCF_3)fenilo
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorofenilo	2-cloro-5-(CF_3)fenilo

OPh	C(=NOMe)Me	3-cloro-5-fluorofenilo	*N*-Me-4-pirazolilo
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-clorofenilo	3-Me-5-isoxazolilo
C≡CH	2-fluorofenilo	2,4-diclorofenilo	6-(6-Cl-3-piridinil)-3-piridinilo
fenilo	3-clorofenilo	2,4-difluorofenilo	

R^x es C(O)OH; R^y es H; R^d es Cl; R^a, R^c y R^e son H

R^b	R^b	R^b	R^b
H	O-*i*-Pr	3-(CF_3)fenilo	2-fluoro-4-cianofenilo
F	$OCH_2CH=CH_2$	3-fluorofenilo	2-fluoro-4-clorofenilo
Cl	$OCH_2C\equiv CH$	3-cianofenilo	2-metil-4-clorofenilo
Br	O-*c*-Pr	3-(OCF_3)fenilo	2-fluoro-4-(CF_3)fenilo
I	OCF_3	4-fluorofenilo	2,4-bis(CF_3)fenilo
Me	$OCHF_2$	4-clorofenilo	2-fluoro-4-bromofenilo
Et	OCH_2CF_3	4-(CF_3)fenilo	2-cloro-4-fluorofenilo

Pr	SCF_3	4-cianofenilo	2-(CF_3)-4-fluorofenilo
i-Pr	SCF_3	4-bromofenilo	2-metil-4-(CF_3)fenilo
c-Pr	$SCHF_2$	6-cloro-3-piridinilo	2-cloro-4-(CF_3)fenilo
t-Bu	$S(O)CF_3$	6-fluoro-3-piridinilo	2-(CF_3)-4-clorofenilo
CF_3	SO_2CF_3	6-(CF_3)-3-piridinilo	2,5-difluorofenilo
CH_2F	CO_2Me	4,6-dicloro-3-piridinilo	2-fluoro-5-(CF_3)fenilo
CHF_2	CO_2Et	2-fluoro-6-cloro-3-piridinilo	2-fluoro-5-clorofenilo
OMe	ciano	2,6-dicloro-3-piridinilo	2,5-diclorofenilo
OEt	C(O)NHMe	2-bromo-5-cloro-4-piridinilo	2-fluoro-5-(OCF_3)fenilo
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorofenilo	2-cloro-5-(CF_3)fenilo
OPh	C(=NOMe)Me	3-cloro-5-fluorofenilo	*N*-Me-4-pirazolilo

$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-clorofenilo	3-Me-5-isoxazolilo
C≡CH	2-fluorofenilo	2,4-diclorofenilo	6-(6-Cl-3-piridinil)-3-piridinilo
fenilo	3-clorofenilo	2,4-difluorofenilo	

R^x es C(O)OH; R^y es H; R^d es CF_3; R^a, R^c y R^e son H

R^b	R^b	R^b	R^b
H	O-*i*-Pr	3-(CF_3)fenilo	2-fluoro-4-cianofenilo
F	$OCH_2CH=CH_2$	3-fluorofenilo	2-fluoro-4-clorofenilo
Cl	$OCH_2C\equiv CH$	3-cianofenilo	2-metil-4-clorofenilo
Br	O-*c*-Pr	3-(OCF_3)fenilo	2-fluoro-4-(CF_3)fenilo
I	OCF_3	4-fluorofenilo	2,4-bis(CF_3)fenilo
Me	$OCHF_2$	4-clorofenilo	2-fluoro-4-bromofenilo
Et	OCH_2CF_3	4-(CF_3)fenilo	2-cloro-4-fluorofenilo
Pr	SCF_3	4-cianofenilo	2-(CF_3)-4-fluorofenilo
i-Pr	SCF_3	4-bromofenilo	2-metil-4-(CF_3)fenilo

c-Pr	$SCHF_2$	6-cloro-3-piridinilo	2-cloro-4-(CF_3)fenilo
t-Bu	$S(O)CF_3$	6-fluoro-3-piridinilo	2-(CF_3)-4-clorofenilo
CF_3	SO_2CF_3	6-(CF_3)-3-piridinilo	2,5-difluorofenilo
CH_2F	CO_2Me	4,6-dicloro-3-piridinilo	2-fluoro-5-(CF_3)fenilo
CHF_2	CO_2Et	2-fluoro-6-cloro-3-piridinilo	2-fluoro-5-clorofenilo
OMe	ciano	2,6-dicloro-3-piridinilo	2,5-diclorofenilo
OEt	C(O)NHMe	2-bromo-5-cloro-4-piridinilo	2-fluoro-5-(OCF_3)fenilo
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorofenilo	2-cloro-5-(CF_3)fenilo
OPh	C(=NOMe)Me	3-cloro-5-fluorofenilo	*N*-Me-4-pirazolilo
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-clorofenilo	3-Me-5-isoxazolilo
C≡CH	2-fluorofenilo	2,4-diclorofenilo	6-(6-Cl-3-piridinil)-3-piridinilo

fenilo	3-clorofenilo	2,4-difluorofenilo	

R^x es C(O)OH; R^y es H; R^b es Br; R^a, R^c y R^e son H

R^d	R^d	R^d	R^d
H	O-*i*-Pr	3-(CF_3)fenilo	2-fluoro-4-cianofenilo
F	$OCH_2CH=CH_2$	3-fluorofenilo	2-fluoro-4-clorofenilo
Cl	$OCH_2C\equiv CH$	3-cianofenilo	2-metil-4-clorofenilo
Br	O-*c*-Pr	3-(OCF_3)fenilo	2-fluoro-4-(CF_3)fenilo
I	OCF_3	4-fluorofenilo	2,4-bis(CF_3)fenilo
Me	$OCHF_2$	4-clorofenilo	2-fluoro-4-bromofenilo
Et	OCH_2CF_3	4-(CF_3)fenilo	2-cloro-4-fluorofenilo
Pr	SCF_3	4-cianofenilo	2-(CF_3)-4-fluorofenilo
i-Pr	SCF_3	4-bromofenilo	2-metil-4-(CF_3)fenilo
c-Pr	$SCHF_2$	6-cloro-3-piridinilo	2-cloro-4-(CF_3)fenilo
t-Bu	S(O)CF_3	6-fluoro-3-piridinilo	2-(CF_3)-4-clorofenilo

CF_3	SO_2CF_3	6-(CF_3)-3-piridinilo	2,5-difluorofenilo
CH_2F	CO_2Me	4,6-dicloro-3-piridinilo	2-fluoro-5-(CF_3)fenilo
CHF_2	CO_2Et	2-fluoro-6-cloro-3-piridinilo	2-fluoro-5-clorofenilo
OMe	ciano	2,6-dicloro-3-piridinilo	2,5-diclorofenilo
OEt	C(O)NHMe	2-bromo-5-cloro-4-piridinilo	2-fluoro-5-(OCF_3)fenilo
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorofenilo	2-cloro-5-(CF_3)fenilo
OPh	C(=NOMe)Me	3-cloro-5-fluorofenilo	*N*-Me-4-pirazolilo
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-clorofenilo	3-Me-5-isoxazolilo
C≡CH	2-fluorofenilo	2,4-diclorofenilo	6-(6-Cl-3-piridinil)-3-piridinilo
fenilo	3-clorofenilo	2,4-difluorofenilo	

R^x es C(O)OH; R^y es H; R^b es OCF_3; R^a, R^c y R^e son H

R^d	R^d	R^d	R^d
H	O-*i*-Pr	3-(CF_3)fenilo	2-fluoro-4-cianofenilo
F	$OCH_2CH=CH_2$	3-fluorofenilo	2-fluoro-4-clorofenilo
Cl	$OCH_2C\equiv CH$	3-cianofenilo	2-metil-4-clorofenilo
Br	O-*c*-Pr	3-(OCF_3)fenilo	2-fluoro-4-(CF_3)fenilo
I	OCF_3	4-fluorofenilo	2,4-bis(CF_3)fenilo
Me	$OCHF_2$	4-clorofenilo	2-fluoro-4-bromofenilo
Et	OCH_2CF_3	4-(CF_3)fenilo	2-cloro-4-fluorofenilo
Pr	SCF_3	4-cianofenilo	2-(CF_3)-4-fluorofenilo
i-Pr	SCF_3	4-bromofenilo	2-metil-4-(CF_3)fenilo
c-Pr	$SCHF_2$	6-cloro-3-piridinilo	2-cloro-4-(CF_3)fenilo
t-Bu	$S(O)CF_3$	6-fluoro-3-piridinilo	2-(CF_3)-4-clorofenilo
CF_3	SO_2CF_3	6-(CF_3)-3-piridinilo	2,5-difluorofenilo
CH_2F	CO_2Me	4,6-dicloro-3-piridinilo	2-fluoro-5-(CF_3)fenilo

CHF_2	CO_2Et	2-fluoro-6-cloro-3-piridinilo	2-fluoro-5-clorofenilo
OMe	ciano	2,6-dicloro-3-piridinilo	2,5-diclorofenilo
OEt	C(O)NHMe	2-bromo-5-cloro-4-piridinilo	2-fluoro-5-(OCF_3)fenilo
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorofenilo	2-cloro-5-(CF_3)fenilo
OPh	C(=NOMe)Me	3-cloro-5-fluorofenilo	*N*-Me-4-pirazolilo
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-clorofenilo	3-Me-5-isoxazolilo
C≡CH	2-fluorofenilo	2,4-diclorofenilo	6-(6-Cl-3-piridinil)-3-piridinilo
fenilo	3-clorofenilo	2,4-difluorofenilo	

R^x es C(O)OH; R^y es H; R^b es OMe; R^a, R^c y R^e son H

R^d	R^d	R^d	R^d
H	O-*i*-Pr	3-(CF_3)fenilo	2-fluoro-4-cianofenilo
F	$OCH_2CH=CH_2$	3-fluorofenilo	2-fluoro-4-clorofenilo

Cl	$OCH_2C{\equiv}CH$	3-cianofenilo	2-metil-4-clorofenilo
Br	O-*c*-Pr	3-(OCF_3)fenilo	2-fluoro-4-(CF_3)fenilo
I	OCF_3	4-fluorofenilo	2,4-bis(CF_3)fenilo
Me	$OCHF_2$	4-clorofenilo	2-fluoro-4-bromofenilo
Et	OCH_2CF_3	4-(CF_3)fenilo	2-cloro-4-fluorofenilo
Pr	SCF_3	4-cianofenilo	2-(CF_3)-4-fluorofenilo
i-Pr	SCF_3	4-bromofenilo	2-metil-4-(CF_3)fenilo
c-Pr	$SCHF_2$	6-cloro-3-piridinilo	2-cloro-4-(CF_3)fenilo
t-Bu	$S(O)CF_3$	6-fluoro-3-piridinilo	2-(CF_3)-4-clorofenilo
CF_3	SO_2CF_3	6-(CF_3)-3-piridinilo	2,5-difluorofenilo
CH_2F	CO_2Me	4,6-dicloro-3-piridinilo	2-fluoro-5-(CF_3)fenilo
CHF_2	CO_2Et	2-fluoro-6-cloro-3-piridinilo	2-fluoro-5-clorofenilo
OMe	ciano	2,6-dicloro-3-piridinilo	2,5-diclorofenilo

OEt	C(O)NHMe	2-bromo-5-cloro-4-piridinilo	2-fluoro-5-(OCF_3)fenilo
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorofenilo	2-cloro-5-(CF_3)fenilo
OPh	C(=NOMe)Me	3-cloro-5-fluorofenilo	*N*-Me-4-pirazolilo
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-clorofenilo	3-Me-5-isoxazolilo
C≡CH	2-fluorofenilo	2,4-diclorofenilo	6-(6-Cl-3-piridinil)-3-piridinilo
fenilo	3-clorofenilo	2,4-difluorofenilo	

R^x es C(O)OH; R^y es H; R^b es F; R^a, R^c y R^e son H

R^d	R^d	R^d	R^d
H	O-*i*-Pr	3-(CF_3)fenilo	2-fluoro-4-cianofenilo
F	$OCH_2CH=CH_2$	3-fluorofenilo	2-fluoro-4-clorofenilo
Cl	$OCH_2C\equiv CH$	3-cianofenilo	2-metil-4-clorofenilo
Br	O-*c*-Pr	3-(OCF_3)fenilo	2-fluoro-4-(CF_3)fenilo
I	OCF_3	4-fluorofenilo	2,4-bis(CF_3)fenilo

Me	$OCHF_2$	4-clorofenilo	2-fluoro-4-bromofenilo
Et	OCH_2CF_3	4-(CF_3)fenilo	2-cloro-4-fluorofenilo
Pr	SCF_3	4-cianofenilo	2-(CF_3)-4-fluorofenilo
i-Pr	SCF_3	4-bromofenilo	2-metil-4-(CF_3)fenilo
c-Pr	$SCHF_2$	6-cloro-3-piridinilo	2-cloro-4-(CF_3)fenilo
t-Bu	$S(O)CF_3$	6-fluoro-3-piridinilo	2-(CF_3)-4-clorofenilo
CF_3	SO_2CF_3	6-(CF_3)-3-piridinilo	2,5-difluorofenilo
CH_2F	CO_2Me	4,6-dicloro-3-piridinilo	2-fluoro-5-(CF_3)fenilo
CHF_2	CO_2Et	2-fluoro-6-cloro-3-piridinilo	2-fluoro-5-clorofenilo
OMe	ciano	2,6-dicloro-3-piridinilo	2,5-diclorofenilo
OEt	C(O)NHMe	2-bromo-5-cloro-4-piridinilo	2-fluoro-5-(OCF_3)fenilo

O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorofenilo	2-cloro-5-(CF_3)fenilo
OPh	C(=NOMe)Me	3-cloro-5-fluorofenilo	*N*-Me-4-pirazolilo
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-clorofenilo	3-Me-5-isoxazolilo
C≡CH	2-fluorofenilo	2,4-diclorofenilo	6-(6-Cl-3-piridinil)-3-piridinilo
fenilo	3-clorofenilo	2,4-difluorofenilo	

R^x es C(O)OH; R^y es H; R^b es CN; R^a, R^c y R^e son H

R^d	R^d	R^d	R^d
H	O-*i*-Pr	3-(CF_3)fenilo	2-fluoro-4-cianofenilo
F	$OCH_2CH=CH_2$	3-fluorofenilo	2-fluoro-4-clorofenilo
Cl	$OCH_2C\equiv CH$	3-cianofenilo	2-metil-4-clorofenilo
Br	O-*c*-Pr	3-(OCF_3)fenilo	2-fluoro-4-(CF_3)fenilo
I	OCF_3	4-fluorofenilo	2,4-bis(CF_3)fenilo
Me	$OCHF_2$	4-clorofenilo	2-fluoro-4-bromofenilo
Et	OCH_2CF_3	4-(CF_3)fenilo	2-cloro-4-fluorofenilo

Pr	SCF_3	4-cianofenilo	2-(CF_3)-4-fluorofenilo
i-Pr	SCF_3	4-bromofenilo	2-metil-4-(CF_3)fenilo
c-Pr	$SCHF_2$	6-cloro-3-piridinilo	2-cloro-4-(CF_3)fenilo
t-Bu	$S(O)CF_3$	6-fluoro-3-piridinilo	2-(CF_3)-4-clorofenilo
CF_3	SO_2CF_3	6-(CF_3)-3-piridinilo	2,5-difluorofenilo
CH_2F	CO_2Me	4,6-dicloro-3-piridinilo	2-fluoro-5-(CF_3)fenilo
CHF_2	CO_2Et	2-fluoro-6-cloro-3-piridinilo	2-fluoro-5-clorofenilo
OMe	ciano	2,6-dicloro-3-piridinilo	2,5-diclorofenilo
OEt	C(O)NHMe	2-bromo-5-cloro-4-piridinilo	2-fluoro-5-(OCF_3)fenilo
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorofenilo	2-cloro-5-(CF_3)fenilo
OPh	C(=NOMe)Me	3-cloro-5-fluorofenilo	*N*-Me-4-pirazolilo

$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-clorofenilo	3-Me-5-isoxazolilo
C≡CH	2-fluorofenilo	2,4-diclorofenilo	6-(6-Cl-3-piridinil)-3-piridinilo
fenilo	3-clorofenilo	2,4-difluorofenilo	

R^x es C(O)OH; R^y es H; R^b es Me; R^a, R^c y R^e son H

R^d	R^d	R^d	R^d
H	O-*i*-Pr	3-(CF_3)fenilo	2-fluoro-4-cianofenilo
F	$OCH_2CH=CH_2$	3-fluorofenilo	2-fluoro-4-clorofenilo
Cl	$OCH_2C\equiv CH$	3-cianofenilo	2-metil-4-clorofenilo
Br	O-*c*-Pr	3-(OCF_3)fenilo	2-fluoro-4-(CF_3)fenilo
I	OCF_3	4-fluorofenilo	2,4-bis(CF_3)fenilo
Me	$OCHF_2$	4-clorofenilo	2-fluoro-4-bromofenilo
Et	OCH_2CF_3	4-(CF_3)fenilo	2-cloro-4-fluorofenilo
Pr	SCF_3	4-cianofenilo	2-(CF_3)-4-fluorofenilo
i-Pr	SCF_3	4-bromofenilo	2-metil-4-(CF_3)fenilo

c-Pr	$SCHF_2$	6-cloro-3-piridinilo	2-cloro-4-(CF_3)fenilo
t-Bu	$S(O)CF_3$	6-fluoro-3-piridinilo	2-(CF_3)-4-clorofenilo
CF_3	SO_2CF_3	6-(CF_3)-3-piridinilo	2,5-difluorofenilo
CH_2F	CO_2Me	4,6-dicloro-3-piridinilo	2-fluoro-5-(CF_3)fenilo
CHF_2	CO_2Et	2-fluoro-6-cloro-3-piridinilo	2-fluoro-5-clorofenilo
OMe	ciano	2,6-dicloro-3-piridinilo	2,5-diclorofenilo
OEt	C(O)NHMe	2-bromo-5-cloro-4-piridinilo	2-fluoro-5-(OCF_3)fenilo
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorofenilo	2-cloro-5-(CF_3)fenilo
OPh	C(=NOMe)Me	3-cloro-5-fluorofenilo	*N*-Me-4-pirazolilo
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-clorofenilo	3-Me-5-isoxazolilo
C≡CH	2-fluorofenilo	2,4-diclorofenilo	6-(6-Cl-3-piridinil)-3-piridinilo

fenilo	3-clorofenilo	2,4-difluorofenilo	
R^x es C(O)OH; R^y es H; R^b es I; R^a, R^c y R^e son H			
R^d	R^d	R^d	R^d
H	O-*i*-Pr	3-(CF_3)fenilo	2-fluoro-4-cianofenilo
F	$OCH_2CH=CH_2$	3-fluorofenilo	2-fluoro-4-clorofenilo
Cl	$OCH_2C\equiv CH$	3-cianofenilo	2-metil-4-clorofenilo
Br	O-*c*-Pr	3-(OCF_3)fenilo	2-fluoro-4-(CF_3)fenilo
I	OCF_3	4-fluorofenilo	2,4-bis(CF_3)fenilo
Me	$OCHF_2$	4-clorofenilo	2-fluoro-4-bromofenilo
Et	OCH_2CF_3	4-(CF_3)fenilo	2-cloro-4-fluorofenilo
Pr	SCF_3	4-cianofenilo	2-(CF_3)-4-fluorofenilo
i-Pr	SCF_3	4-bromofenilo	2-metil-4-(CF_3)fenilo
c-Pr	$SCHF_2$	6-cloro-3-piridinilo	2-cloro-4-(CF_3)fenilo
t-Bu	S(O)CF_3	6-fluoro-3-piridinilo	2-(CF_3)-4-clorofenilo

CF_3	SO_2CF_3	6-(CF_3)-3-piridinilo	2,5-difluorofenilo
CH_2F	CO_2Me	4,6-dicloro-3-piridinilo	2-fluoro-5-(CF_3)fenilo
CHF_2	CO_2Et	2-fluoro-6-cloro-3-piridinilo	2-fluoro-5-clorofenilo
OMe	ciano	2,6-dicloro-3-piridinilo	2,5-diclorofenilo
OEt	C(O)NHMe	2-bromo-5-cloro-4-piridinilo	2-fluoro-5-(OCF_3)fenilo
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorofenilo	2-cloro-5-(CF_3)fenilo
OPh	C(=NOMe)Me	3-cloro-5-fluorofenilo	*N*-Me-4-pirazolilo
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-clorofenilo	3-Me-5-isoxazolilo
C≡CH	2-fluorofenilo	2,4-diclorofenilo	6-(6-Cl-3-piridinil)-3-piridinilo
fenilo	3-clorofenilo	2,4-difluorofenilo	

R^x es C(O)OH; R^y es H; R^a y R^b son F; R^c y R^e son H

R^d	R^d	R^d	R^d
H	O-*i*-Pr	3-(CF_3)fenilo	2-fluoro-4-cianofenilo
F	$OCH_2CH=CH_2$	3-fluorofenilo	2-fluoro-4-clorofenilo
Cl	$OCH_2C\equiv CH$	3-cianofenilo	2-metil-4-clorofenilo
Br	O-*c*-Pr	3-(OCF_3)fenilo	2-fluoro-4-(CF_3)fenilo
I	OCF_3	4-fluorofenilo	2,4-bis(CF_3)fenilo
Me	$OCHF_2$	4-clorofenilo	2-fluoro-4-bromofenilo
Et	OCH_2CF_3	4-(CF_3)fenilo	2-cloro-4-fluorofenilo
Pr	SCF_3	4-cianofenilo	2-(CF_3)-4-fluorofenilo
i-Pr	SCF_3	4-bromofenilo	2-metil-4-(CF_3)fenilo
c-Pr	$SCHF_2$	6-cloro-3-piridinilo	2-cloro-4-(CF_3)fenilo
t-Bu	S(O)CF_3	6-fluoro-3-piridinilo	2-(CF_3)-4-clorofenilo
CF_3	SO_2CF_3	6-(CF_3)-3-piridinilo	2,5-difluorofenilo
CH_2F	CO_2Me	4,6-dicloro-3-piridinilo	2-fluoro-5-(CF_3)fenilo

CHF_2	CO_2Et	2-fluoro-6-cloro-3-piridinilo	2-fluoro-5-clorofenilo
OMe	ciano	2,6-dicloro-3-piridinilo	2,5-diclorofenilo
OEt	C(O)NHMe	2-bromo-5-cloro-4-piridinilo	2-fluoro-5-(OCF_3)fenilo
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorofenilo	2-cloro-5-(CF_3)fenilo
OPh	C(=NOMe)Me	3-cloro-5-fluorofenilo	*N*-Me-4-pirazolilo
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-clorofenilo	3-Me-5-isoxazolilo
C≡CH	2-fluorofenilo	2,4-diclorofenilo	6-(6-Cl-3-piridinil)-3-piridinilo
fenilo	3-clorofenilo	2,4-difluorofenilo	

R^x es C(O)OH; R^y es H; R^a es F; R^b es Cl; R^c y R^e son H

R^d	R^d	R^d	R^d
H	O-*i*-Pr	3-(CF_3)fenilo	2-fluoro-4-cianofenilo
F	$OCH_2CH=CH_2$	3-fluorofenilo	2-fluoro-4-clorofenilo

Cl	$OCH_2C{\equiv}CH$	3-cianofenilo	2-metil-4-clorofenilo
Br	O-*c*-Pr	3-(OCF_3)fenilo	2-fluoro-4-(CF_3)fenilo
I	OCF_3	4-fluorofenilo	2,4-bis(CF_3)fenilo
Me	$OCHF_2$	4-clorofenilo	2-fluoro-4-bromofenilo
Et	OCH_2CF_3	4-(CF_3)fenilo	2-cloro-4-fluorofenilo
Pr	SCF_3	4-cianofenilo	2-(CF_3)-4-fluorofenilo
i-Pr	SCF_3	4-bromofenilo	2-metil-4-(CF_3)fenilo
c-Pr	$SCHF_2$	6-cloro-3-piridinilo	2-cloro-4-(CF_3)fenilo
t-Bu	$S(O)CF_3$	6-fluoro-3-piridinilo	2-(CF_3)-4-clorofenilo
CF_3	SO_2CF_3	6-(CF_3)-3-piridinilo	2,5-difluorofenilo
CH_2F	CO_2Me	4,6-dicloro-3-piridinilo	2-fluoro-5-(CF_3)fenilo
CHF_2	CO_2Et	2-fluoro-6-cloro-3-piridinilo	2-fluoro-5-clorofenilo
OMe	ciano	2,6-dicloro-3-piridinilo	2,5-diclorofenilo

OEt	C(O)NHMe	2-bromo-5-cloro-4-piridinilo	2-fluoro-5-(OCF_3)fenilo
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorofenilo	2-cloro-5-(CF_3)fenilo
OPh	C(=NOMe)Me	3-cloro-5-fluorofenilo	*N*-Me-4-pirazolilo
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-clorofenilo	3-Me-5-isoxazolilo
C≡CH	2-fluorofenilo	2,4-diclorofenilo	6-(6-Cl-3-piridinil)-3-piridinilo
fenilo	3-clorofenilo	2,4-difluorofenilo	

R^x es C(O)OH; R^y es H; R^c es OMe; R^a, R^b y R^e son H

R^d	R^d	R^d	R^d
H	O-*i*-Pr	3-(CF_3)fenilo	2-fluoro-4-cianofenilo
F	$OCH_2CH=CH_2$	3-fluorofenilo	2-fluoro-4-clorofenilo
Cl	$OCH_2C\equiv CH$	3-cianofenilo	2-metil-4-clorofenilo
Br	O-*c*-Pr	3-(OCF_3)fenilo	2-fluoro-4-(CF_3)fenilo
I	OCF_3	4-fluorofenilo	2,4-bis(CF_3)fenilo

Me	$OCHF_2$	4-clorofenilo	2-fluoro-4-bromofenilo
Et	OCH_2CF_3	4-(CF_3)fenilo	2-cloro-4-fluorofenilo
Pr	SCF_3	4-cianofenilo	2-(CF_3)-4-fluorofenilo
i-Pr	SCF_3	4-bromofenilo	2-metil-4-(CF_3)fenilo
c-Pr	$SCHF_2$	6-cloro-3-piridinilo	2-cloro-4-(CF_3)fenilo
t-Bu	$S(O)CF_3$	6-fluoro-3-piridinilo	2-(CF_3)-4-clorofenilo
CF_3	SO_2CF_3	6-(CF_3)-3-piridinilo	2,5-difluorofenilo
CH_2F	CO_2Me	4,6-dicloro-3-piridinilo	2-fluoro-5-(CF_3)fenilo
CHF_2	CO_2Et	2-fluoro-6-cloro-3-piridinilo	2-fluoro-5-clorofenilo
OMe	ciano	2,6-dicloro-3-piridinilo	2,5-diclorofenilo
OEt	C(O)NHMe	2-bromo-5-cloro-4-piridinilo	2-fluoro-5-(OCF_3)fenilo

O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorofenilo	2-cloro-5-(CF_3)fenilo
OPh	C(=NOMe)Me	3-cloro-5-fluorofenilo	*N*-Me-4-pirazolilo
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-clorofenilo	3-Me-5-isoxazolilo
C≡CH	2-fluorofenilo	2,4-diclorofenilo	6-(6-Cl-3-piridinil)-3-piridinilo
fenilo	3-clorofenilo	2,4-difluorofenilo	

R^x es C(O)OH; Ry es H; R^c es Me; R^a, R^b y R^e son H

R^d	R^d	R^d	R^d
H	O-*i*-Pr	3-(CF_3)fenilo	2-fluoro-4-cianofenilo
F	$OCH_2CH=CH_2$	3-fluorofenilo	2-fluoro-4-clorofenilo
Cl	$OCH_2C\equiv CH$	3-cianofenilo	2-metil-4-clorofenilo
Br	O-*c*-Pr	3-(OCF_3)fenilo	2-fluoro-4-(CF_3)fenilo
I	OCF_3	4-fluorofenilo	2,4-bis(CF_3)fenilo
Me	$OCHF_2$	4-clorofenilo	2-fluoro-4-bromofenilo
Et	OCH_2CF_3	4-(CF_3)fenilo	2-cloro-4-fluorofenilo

Pr	SCF_3	4-cianofenilo	2-(CF_3)-4-fluorofenilo
i-Pr	SCF_3	4-bromofenilo	2-metil-4-(CF_3)fenilo
c-Pr	$SCHF_2$	6-cloro-3-piridinilo	2-cloro-4-(CF_3)fenilo
t-Bu	$S(O)CF_3$	6-fluoro-3-piridinilo	2-(CF_3)-4-clorofenilo
CF_3	SO_2CF_3	6-(CF_3)-3-piridinilo	2,5-difluorofenilo
CH_2F	CO_2Me	4,6-dicloro-3-piridinilo	2-fluoro-5-(CF_3)fenilo
CHF_2	CO_2Et	2-fluoro-6-cloro-3-piridinilo	2-fluoro-5-clorofenilo
OMe	ciano	2,6-dicloro-3-piridinilo	2,5-diclorofenilo
OEt	C(O)NHMe	2-bromo-5-cloro-4-piridinilo	2-fluoro-5-(OCF_3)fenilo
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorofenilo	2-cloro-5-(CF_3)fenilo
OPh	C(=NOMe)Me	3-cloro-5-fluorofenilo	*N*-Me-4-pirazolilo

$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-clorofenilo	3-Me-5-isoxazolilo
$C\equiv CH$	2-fluorofenilo	2,4-diclorofenilo	6-(6-Cl-3-piridinil)-3-piridinilo
fenilo	3-clorofenilo	2,4-difluorofenilo	

R^x es C(O)OH; R^y es H; R^c es F; R^a, R^b y R^e son H

R^d	R^d	R^d	R^d
H	O-*i*-Pr	3-(CF_3)fenilo	2-fluoro-4-cianofenilo
F	$OCH_2CH=CH_2$	3-fluorofenilo	2-fluoro-4-clorofenilo
Cl	$OCH_2C\equiv CH$	3-cianofenilo	2-metil-4-clorofenilo
Br	O-*c*-Pr	3-(OCF_3)fenilo	2-fluoro-4-(CF_3)fenilo
I	OCF_3	4-fluorofenilo	2,4-bis(CF_3)fenilo
Me	$OCHF_2$	4-clorofenilo	2-fluoro-4-bromofenilo
Et	OCH_2CF_3	4-(CF_3)fenilo	2-cloro-4-fluorofenilo
Pr	SCF_3	4-cianofenilo	2-(CF_3)-4-fluorofenilo
i-Pr	SCF_3	4-bromofenilo	2-metil-4-(CF_3)fenilo

c-Pr	$SCHF_2$	6-cloro-3-piridinilo	2-cloro-4-(CF_3)fenilo
t-Bu	$S(O)CF_3$	6-fluoro-3-piridinilo	2-(CF_3)-4-clorofenilo
CF_3	SO_2CF_3	6-(CF_3)-3-piridinilo	2,5-difluorofenilo
CH_2F	CO_2Me	4,6-dicloro-3-piridinilo	2-fluoro-5-(CF_3)fenilo
CHF_2	CO_2Et	2-fluoro-6-cloro-3-piridinilo	2-fluoro-5-clorofenilo
OMe	ciano	2,6-dicloro-3-piridinilo	2,5-diclorofenilo
OEt	C(O)NHMe	2-bromo-5-cloro-4-piridinilo	2-fluoro-5-(OCF_3)fenilo
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorofenilo	2-cloro-5-(CF_3)fenilo
OPh	C(=NOMe)Me	3-cloro-5-fluorofenilo	*N*-Me-4-pirazolilo
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-clorofenilo	3-Me-5-isoxazolilo
C≡CH	2-fluorofenilo	2,4-diclorofenilo	6-(6-Cl-3-piridinil)-3-piridinilo

fenilo	3-clorofenilo	2,4-difluorofenilo	

R^x es C(O)OH; R^y es H; R^c es Cl; R^a, R^b y R^e son H

R^d	R^d	R^d	R^d
H	O-*i*-Pr	3-(CF_3)fenilo	2-fluoro-4-cianofenilo
F	$OCH_2CH=CH_2$	3-fluorofenilo	2-fluoro-4-clorofenilo
Cl	$OCH_2C\equiv CH$	3-cianofenilo	2-metil-4-clorofenilo
Br	O-*c*-Pr	3-(OCF_3)fenilo	2-fluoro-4-(CF_3)fenilo
I	OCF_3	4-fluorofenilo	2,4-bis(CF_3)fenilo
Me	$OCHF_2$	4-clorofenilo	2-fluoro-4-bromofenilo
Et	OCH_2CF_3	4-(CF_3)fenilo	2-cloro-4-fluorofenilo
Pr	SCF_3	4-cianofenilo	2-(CF_3)-4-fluorofenilo
i-Pr	SCF_3	4-bromofenilo	2-metil-4-(CF_3)fenilo
c-Pr	$SCHF_2$	6-cloro-3-piridinilo	2-cloro-4-(CF_3)fenilo
t-Bu	S(O)CF_3	6-fluoro-3-piridinilo	2-(CF_3)-4-clorofenilo

CF_3	SO_2CF_3	6-(CF_3)-3-piridinilo	2,5-difluorofenilo
CH_2F	CO_2Me	4,6-dicloro-3-piridinilo	2-fluoro-5-(CF_3)fenilo
CHF_2	CO_2Et	2-fluoro-6-cloro-3-piridinilo	2-fluoro-5-clorofenilo
OMe	ciano	2,6-dicloro-3-piridinilo	2,5-diclorofenilo
OEt	C(O)NHMe	2-bromo-5-cloro-4-piridinilo	2-fluoro-5-(OCF_3)fenilo
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorofenilo	2-cloro-5-(CF_3)fenilo
OPh	C(=NOMe)Me	3-cloro-5-fluorofenilo	*N*-Me-4-pirazolilo
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-clorofenilo	3-Me-5-isoxazolilo
C≡CH	2-fluorofenilo	2,4-diclorofenilo	6-(6-Cl-3-piridinil)-3-piridinilo
fenilo	3-clorofenilo	2,4-difluorofenilo	

R^x es C(O)OH; R^y es H; R^a y R^e son F; R^c y R^d son H

R^b	R^b	R^b	R^b
H	O-*i*-Pr	3-(CF_3)fenilo	2-fluoro-4-cianofenilo
F	$OCH_2CH=CH_2$	3-fluorofenilo	2-fluoro-4-clorofenilo
Cl	$OCH_2C\equiv CH$	3-cianofenilo	2-metil-4-clorofenilo
Br	O-*c*-Pr	3-(OCF_3)fenilo	2-fluoro-4-(CF_3)fenilo
I	OCF_3	4-fluorofenilo	2,4-bis(CF_3)fenilo
Me	$OCHF_2$	4-clorofenilo	2-fluoro-4-bromofenilo
Et	OCH_2CF_3	4-(CF_3)fenilo	2-cloro-4-fluorofenilo
Pr	SCF_3	4-cianofenilo	2-(CF_3)-4-fluorofenilo
i-Pr	SCF_3	4-bromofenilo	2-metil-4-(CF_3)fenilo
c-Pr	$SCHF_2$	6-cloro-3-piridinilo	2-cloro-4-(CF_3)fenilo
t-Bu	S(O)CF_3	6-fluoro-3-piridinilo	2-(CF_3)-4-clorofenilo
CF_3	SO_2CF_3	6-(CF_3)-3-piridinilo	2,5-difluorofenilo
CH_2F	CO_2Me	4,6-dicloro-3-piridinilo	2-fluoro-5-(CF_3)fenilo

CHF_2	CO_2Et	2-fluoro-6-cloro-3-piridinilo	2-fluoro-5-clorofenilo
OMe	ciano	2,6-dicloro-3-piridinilo	2,5-diclorofenilo
OEt	C(O)NHMe	2-bromo-5-cloro-4-piridinilo	2-fluoro-5-(OCF_3)fenilo
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorofenilo	2-cloro-5-(CF_3)fenilo
OPh	C(=NOMe)Me	3-cloro-5-fluorofenilo	*N*-Me-4-pirazolilo
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-clorofenilo	3-Me-5-isoxazolilo
C≡CH	2-fluorofenilo	2,4-diclorofenilo	6-(6-Cl-3-piridinil)-3-piridinilo
fenilo	3-clorofenilo	2,4-difluorofenilo	

Tabla I-2

La Tabla I-2 es idéntica a la Tabla I-1, excepto que R^x es C(O)OMe.

Tabla I-3

La Tabla I-3 es idéntica a la Tabla I-1, excepto que R^x es C(O)OEt.

Tabla I-4

La Tabla I-4 es idéntica a la Tabla I-1, excepto que R^x es C(O)OPh.

Tabla I-5

La Tabla I-5 es idéntica a la Tabla I-1, excepto que R^x es $C(O)OC(CH_3)_3$.

Tabla I-5a

La Tabla I-5 es idéntica a la Tabla I-1, excepto que R^x es C(O)O(2,4,6-triclorofenilo).

Tabla I-5b

La Tabla I-5 es idéntica a la Tabla I-1, excepto que R^x es C(O)O(4-nitrofenilo).

Tabla I-6

La Tabla I-6 es idéntica a la Tabla I-1, excepto que R^x es C(O)OH y R^y es C(O)OH.

Tabla I-7

La Tabla I-7 es idéntica a la Tabla I-1, excepto que R^x es C(O)OH y R^y es C(O)OMe.

Tabla I-8

La Tabla I-8 se construye igual que la Tabla I-1, excepto que R^x es C(O)OH y R^y es C(O)OEt.

Tabla I-9

La Tabla I-9 es idéntica a la Tabla I-1, excepto que R^x es C(O)OH y R^y es $C(O)OC(CH3_3)_3$.

Tabla I-10

La Tabla I-10 es idéntica a la Tabla I-1, excepto que R^x es C(O)OH y R^y es C(O)OPh.

Tabla I-10a

La Tabla I-10 es idéntica a la Tabla I-1, excepto que R^x es C(O)OH y R^y es C(O)O(2,4,6-triclorofenilo).

Tabla I-10b

La Tabla I-10 es idéntica a la Tabla I-1, excepto que R^x es C(O)OH y R^y es C(O)O(4-nitrofenilo).

Tabla I-11

La Tabla I-11 es idéntica a la Tabla I-1, excepto que R^x es C(O)OPh y R^y es C(O)OMe.

Tabla I-12

La Tabla I-12 es idéntica a la Tabla I-1, excepto que R^x es C(O)OPh y R^y es C(O)OEt.

Tabla I-13

La Tabla I-13 es idéntica a la Tabla I-1, excepto que R^x es C(O)OPh y R^y es $C(O)OC(CH_3)_3$.

Tabla I-14

La Tabla I-14 es idéntica a la Tabla I-1, excepto que R^x es C(O)OPh y R^y es C(O)OPh.

Tabla I-14a

La Tabla I-14 es idéntica a la Tabla I-1, excepto que R^x es C(O)OPh y R^y es C(O)O(2,4,6-triclorofenilo).

Tabla I-14b

La Tabla I-14 es idéntica a la Tabla I-1, excepto que R^x es C(O)OPh y R^y es C(O)O(4-nitrofenilo).

Tabla I-15

La Tabla I-15 es idéntica a la Tabla I-1, excepto que R^x es C(O)Cl y R^y es C(O)Cl.

Tabla I-16

La Tabla I-16 es idéntica a la Tabla I-1, excepto que R^x es C(O)OMe y R^y es C(O)OMe.

Tabla I-17

La Tabla I-17 es idéntica a la Tabla I-11, excepto que R^x es C(O)OEt y R^y es C(O)OEt.

Tabla I-18

La Tabla I-18 es idéntica a la Tabla I-1, excepto que R^x es $C(O)OC(CH_3)_3$ y R^y es $C(O)OC(CH_3)_3$.

Tabla I-19

La Tabla I-19 es idéntica a la Tabla I-1, excepto que R^x es C(O)O(2,4,6-triclorofenilo) y R^y es C(O)O(2,4,6-triclorofenilo).

Tabla I-19a

La Tabla I-19 es idéntica a la Tabla I-1, excepto que R^x es C(O)O(4-nitrofenilo) y R^y es C(O)O(4-nitrofenilo).

Tabla I-20

La Tabla I-20 es idéntica a la Tabla I-1, excepto que R^x es C(O)(3-metil-2-piridinilamino) y R^y es C(O)OH.

Tabla I-21

La Tabla I-21 es idéntica a la Tabla I-1, excepto que R^x es C(O)(3-metil-2-piridinilamino) y R^y es C(O)OMe.

Tabla I-22

La Tabla I-22 es idéntica a la Tabla I-1, excepto que R^x es C(O)(3-metil-2-piridinilamino) y R^y es C(O)OEt.

Tabla I-23

La Tabla I-23 es idéntica a la Tabla I-1, excepto que R^x es C(O)(3-metil-2-piridinilamino) y R^y es C(O)OPh.

Tabla I-23a

La Tabla I-23 es idéntica a la Tabla I-1, excepto que R^x es C(O)(3-metil-2-piridinilamino) y R^y es C(O)O(2,4,6-triclorofenilo).

Tabla I-23b

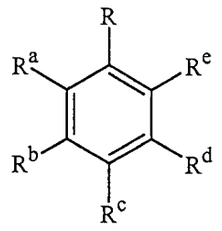
La Tabla I-23 es idéntica a la Tabla I-1, excepto que R^x es C(O)(3-metil-2-piridinilamino) y R^y es C(O)O(4-nitrofenilo).

Tabla I-24

La Tabla I-24 es idéntica a la Tabla I-1, excepto que R^x es C(O)(3-metil-2-piridinilamino) y R^y es $C(O)OC(CH_3)_3$.

Tabla I-24a

La Tabla I-24a es idéntica a la Tabla I-1, excepto que la estructura química bajo el título Tabla I-24a se reemplaza con la siguiente estructura, y R es Cl. Los grupos R^x y R^y encontrados en la Tabla I-1 no son relevantes para la Tabla I-24a, dado que la porción $CH(R^x)(R^y)$ en la estructura de la Tabla I-1 se reemplaza con un grupo R en la estructura de la Tabla I-24a.



Por ejemplo, el primer compuesto en la Tabla I-24a es la estructura que se muestra anteriormente, en donde R^a, R^b, R^c, R^d y R^e son H, y R es Cl.

Tabla I-24b

La Tabla I-24b es idéntica a la Tabla I-24a, excepto que R es Br.

Tabla I-24c

La Tabla I-24c es idéntica a la Tabla I-24a, excepto que R es I.

Tabla I-24d

La Tabla I-24d es idéntica a la Tabla I-24a, excepto que R es CH_2OH.

Tabla I-24e

La Tabla I-24e es idéntica a la Tabla I-24a, excepto que R es CH_2CN.

Tabla I-24f

La Tabla I-24f es idéntica a la Tabla I-24a, excepto que R es CH_2Cl.

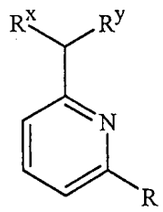
Tabla I-24g

La Tabla I-24g es idéntica a la Tabla I-24a, excepto que R es $CH(CN)CO_2Me$.

Tabla I-24h

La Tabla I-24h es idéntica a la Tabla I-24a, excepto que R es $CH(CN)CO_2Et$.

Tabla I-25



R es CF_3

R^x	R^y
H	C(O)O(2,4,6-triclorofenilo)
H	C(O)O(4-nitrofenilo)
C(O)OH	C(O)O(4-nitrofenilo)
C(O)OH	C(O)O(2,4,6-triclorofenilo)
C(O)OH	C(O)(3-metil-2-piridinilamino)
C(O)OMe	C(O)(3-metil-2-piridinilamino)
C(O)OEt	C(O)(3-metil-2-piridinilamino)
C(O)OPh	C(O)O(4-nitrofenilo)
C(O)OH	C(O)OH
C(O)OH	C(O)OMe
C(O)OH	C(O)OEt
C(O)OH	C(O)OPh
C(O)OH	$C(O)OC(CH_3)_3$
C(O)Cl	C(O)Cl
C(O)OMe	C(O)OMe
C(O)OEt	C(O)OEt

C(O)OPh	C(O)O(2,4,6-triclorofenilo)
C(O)OPh	C(O)(3-metil-2-piridinilamino)
$C(O)OC(CH_3)_3$	C(O)OPh
$C(O)OC(CH_3)_3$	C(O)(3-metil-2-piridinilamino)
C(O)O(4-nitrofenilo)	C(O)O(4-nitrofenilo)
C(O)O(4-nitrofenilo)	C(O)(3-metil-2-piridinilamino)
C(O)O(2,4,6-triclorofenilo)	C(O)O(2,4,6-triclorofenilo)
C(O)O(2,4,6-triclorofenilo)	C(O)(3-metil-2-piridinilamino)

C(O)OPh	
	C(O)OPh
$C(O)OC(CH_3)_3$	
	$C(O)OC(CH_3)_3$
C(O)OMe	C(O)OPh
C(O)OEt	C(O)OPh
H	
	C(O)OH
H	
	C(O)OMe
H	
	C(O)OEt
H	
	C(O)OPh
H	$C(O)OC(CH_3)_3$

R es H

R^x	R^y
H	C(O)O(2,4,6-triclorofenilo)
H	C(O)O(4-nitrofenilo)
C(O)OH	C(O)O(4-nitrofenilo)

R^x	R^y
C(O)OH	C(O)OH
C(O)OH	C(O)OMe
C(O)OH	C(O)OEt

		C(O)O(2,4,6-triclorofenilo)		
	C(O)OH	C(O)(3-metil-2-piridinilamino)	C(O)OH	C(O)OPh
	C(O)OH	C(O)(3-metil-2-piridinilamino)	C(O)OH	$C(O)OC(CH_3)_3$
		C(O)(3-metil-2-piridinilamino)	C(O)Cl	C(O)Cl
	C(O)OMe	C(O)O(4-nitrofenilo)	C(O)OMe	
	C(O)OEt	C(O)O(2,4,6-triclorofenilo)		C(O)OMe
	C(O)OPh	C(O)(3-metil-2-piridinilamino)	C(O)OEt	C(O)OEt
	C(O)OPh	C(O)OPh	C(O)OPh	C(O)OPh
	C(O)OPh	C(O)O(4-nitrofenilo)	$C(O)OC(CH_3)_3$	$C(O)OC(CH_3)_3$
	$C(O)OC(CH_3)_3$	C(O)O(2,4,6-triclorofenilo)	C(O)OMe	C(O)OPh
	$C(O)OC(CH_3)_3$	C(O)(3-metil-2-piridinilamino)	C(O)OEt	C(O)OPh
	C(O)O(4-nitrofenilo)	C(O)O(4-nitrofenilo)	H	C(O)OH
	C(O)O(4-nitrofenilo)	C(O)(3-metil-2-piridinilamino)	H	C(O)OMe
	C(O)O(2,4,6-triclorofenilo)	C(O)O(2,4,6-triclorofenilo)	H	C(O)OEt

	C(O)O(2,4,6-triclorofenilo)	C(O)(3-metil-2-piridinilamino)	H	C(O)OPh
			H	$C(O)OC(CH_3)_3$

R es Cl

R^x	R^y	R^x	R^y
H	C(O)O(2,4,6-triclorofenilo)	C(O)OH	C(O)OH
H	C(O)O(4-nitrofenilo)	C(O)OH	C(O)OMe
C(O)OH	C(O)O(4-nitrofenilo)	C(O)OH	C(O)OEt
C(O)OH	C(O)O(2,4,6-triclorofenilo)	C(O)OH	C(O)OPh
C(O)OH	C(O)(3-metil-2-piridinilamino)	C(O)OH	$C(O)OC(CH_3)_3$
C(O)OMe	C(O)(3-metil-2-piridinilamino)	C(O)Cl	C(O)Cl
C(O)OEt	C(O)O(4-nitrofenilo)	C(O)OMe	C(O)OMe
C(O)OPh	C(O)O(2,4,6-triclorofenilo)	C(O)OEt	C(O)OEt
C(O)OPh		C(O)OPh	C(O)OPh

		C(O)(3-metil-2-	$C(O)OC(CH_3)_3$	
	C(O)OPh	piridinilamino)		$C(O)OC(CH_3)_3$
	$C(O)OC(CH_3)_3$	C(O)OPh	C(O)OMe	C(O)OPh
		C(O)(3-metil-2-		
5	$C(O)OC(CH_3)_3$	piridinilamino)	C(O)OEt	C(O)OPh
	C(O)O(4-	C(O)O(4-	H	
	nitrofenilo)	nitrofenilo)		C(O)OH
	C(O)O(4-	C(O)(3-metil-2-	H	
	nitrofenilo)	piridinilamino)		C(O)OMe
10	C(O)O(2,4,6-	C(O)O(2,4,6-	H	
	triclorofenilo)	triclorofenilo)		C(O)OEt
	C(O)O(2,4,6-	C(O)(3-metil-2-	H	
	triclorofenilo)	piridinilamino)		C(O)OPh
			H	$C(O)OC(CH_3)_3$

15 R es Br

R^x	R^y	R^x	R^y
H	C(O)O(2,4,6-		
	triclorofenilo)	C(O)OH	C(O)OH
H	C(O)O(4-		
20	nitrofenilo)	C(O)OH	C(O)OMe
	C(O)O(4-		
C(O)OH	nitrofenilo)	C(O)OH	C(O)OEt
	C(O)O(2,4,6-		
C(O)OH	triclorofenilo)	C(O)OH	C(O)OPh

25

R es I				
	C(O)OH	C(O)(3-metil-2-piridinilamino)	C(O)OH	C(O)OC(CH$_3$)$_3$
	C(O)OMe	C(O)(3-metil-2-piridinilamino)	C(O)Cl	C(O)Cl
	C(O)OEt	C(O)(3-metil-2-piridinilamino)	C(O)OMe	C(O)OMe
	C(O)OPh	C(O)O(4-nitrofenilo)	C(O)OEt	C(O)OEt
	C(O)OPh	C(O)O(2,4,6-triclorofenilo)	C(O)OPh	C(O)OPh
	C(O)OPh	C(O)(3-metil-2-piridinilamino)	C(O)OC(CH$_3$)$_3$	C(O)OC(CH$_3$)$_3$
	C(O)OC(CH$_3$)$_3$	C(O)OPh	C(O)OMe	C(O)OPh
	C(O)OC(CH$_3$)$_3$	C(O)(3-metil-2-piridinilamino)	C(O)OEt	C(O)OPh
	C(O)O(4-nitrofenilo)	C(O)O(4-nitrofenilo)	H	C(O)OH
	C(O)O(4-nitrofenilo)	C(O)(3-metil-2-piridinilamino)	H	C(O)OMe
	C(O)O(2,4,6-triclorofenilo)	C(O)O(2,4,6-triclorofenilo)	H	C(O)OEt
	C(O)O(2,4,6-triclorofenilo)	C(O)(3-metil-2-piridinilamino)	H	C(O)OPh
			H	C(O)OC(CH$_3$)$_3$

R^x	R^y	R^x	R^y
H	C(O)O(2,4,6-triclorofenilo)	C(O)OH	C(O)OH
H	C(O)O(4-nitrofenilo)	C(O)OH	C(O)OMe
C(O)OH	C(O)O(4-nitrofenilo)	C(O)OH	C(O)OEt
C(O)OH	C(O)O(2,4,6-triclorofenilo)	C(O)OH	C(O)OPh
C(O)OH	C(O)(3-metil-2-piridinilamino)	C(O)OH	$C(O)OC(CH_3)_3$
C(O)OMe	C(O)(3-metil-2-piridinilamino)	C(O)Cl	C(O)Cl
C(O)OEt	C(O)(3-metil-2-piridinilamino)	C(O)OMe	C(O)OMe
C(O)OPh	C(O)O(4-nitrofenilo)	C(O)OEt	C(O)OEt
C(O)OPh	C(O)O(2,4,6-triclorofenilo)	C(O)OPh	C(O)OPh
C(O)OPh	C(O)(3-metil-2-piridinilamino)	$C(O)OC(CH_3)_3$	$C(O)OC(CH_3)_3$
$C(O)OC(CH_3)_3$	C(O)OPh	C(O)OMe	C(O)OPh
$C(O)OC(CH_3)_3$	C(O)(3-metil-2-piridinilamino)	C(O)OEt	C(O)OPh

C(O)O(4-nitrofenilo)	C(O)O(4-nitrofenilo)	H	C(O)OH
C(O)O(4-nitrofenilo)	C(O)(3-metil-2-piridinilamino)	H	C(O)OMe
C(O)O(2,4,6-triclorofenilo)	C(O)O(2,4,6-triclorofenilo)	H	C(O)OEt
C(O)O(2,4,6-triclorofenilo)	C(O)(3-metil-2-piridinilamino)	H	C(O)OPh
		H	$C(O)OC(CH_3)_3$

R es NH_2

R^x	R^y	R^x	R^y
H	C(O)O(2,4,6-triclorofenilo)	C(O)OH	C(O)OH
H	C(O)O(4-nitrofenilo)	C(O)OH	C(O)OMe
C(O)OH	C(O)O(4-nitrofenilo)	C(O)OH	C(O)OEt
C(O)OH	C(O)O(2,4,6-triclorofenilo)	C(O)OH	C(O)OPh
C(O)OH	C(O)(3-metil-2-piridinilamino)	C(O)OH	$C(O)OC(CH_3)_3$
C(O)OMe	C(O)(3-metil-2-piridinilamino)	C(O)Cl	C(O)Cl

	C(O)(3-metil-2-	C(O)OMe	
C(O)OEt	piridinilamino)		C(O)OMe
	C(O)O(4-	C(O)OEt	
C(O)OPh	nitrofenilo)		C(O)OEt
	C(O)O(2,4,6-	C(O)OPh	
C(O)OPh	triclorofenilo)		C(O)OPh
	C(O)(3-metil-2-	$C(O)OC(CH_3)_3$	
C(O)OPh	piridinilamino)		$C(O)OC(CH_3)_3$
$C(O)OC(CH_3)_3$	C(O)OPh	C(O)OMe	C(O)OPh
	C(O)(3-metil-2-		
$C(O)OC(CH_3)_3$	piridinilamino)	C(O)OEt	C(O)OPh
C(O)O(4-	C(O)O(4-	H	
nitrofenilo)	nitrofenilo)		C(O)OH
C(O)O(4-	C(O)(3-metil-2-	H	
nitrofenilo)	piridinilamino)		C(O)OMe
C(O)O(2,4,6-	C(O)O(2,4,6-	H	
triclorofenilo)	triclorofenilo)		C(O)OEt
C(O)O(2,4,6-	C(O)(3-metil-2-	H	
triclorofenilo)	piridinilamino)		C(O)OPh
		H	$C(O)OC(CH_3)_3$

R es 2-cloro-4-(triflúorometil)fenilo

R^x	R^y	R^x	R^y
H	C(O)O(2,4,6-		
	triclorofenilo)	C(O)OH	C(O)OH

	H	C(O)O(4-nitrofenilo)		
	C(O)OH	C(O)O(4-nitrofenilo)	C(O)OH	C(O)OMe
	C(O)OH	C(O)O(2,4,6-triclorofenilo)	C(O)OH	C(O)OEt
	C(O)OH	C(O)(3-metil-2-piridinilamino)	C(O)OH	C(O)OPh
	C(O)OMe	C(O)(3-metil-2-piridinilamino)	C(O)OH C(O)Cl	$C(O)OC(CH_3)_3$ C(O)Cl
	C(O)OEt	C(O)(3-metil-2-piridinilamino)	C(O)OMe	C(O)OMe
	C(O)OPh	C(O)O(4-nitrofenilo)	C(O)OEt	C(O)OEt
	C(O)OPh	C(O)O(2,4,6-triclorofenilo)	C(O)OPh	C(O)OPh
	C(O)OPh	C(O)(3-metil-2-piridinilamino)	$C(O)OC(CH_3)_3$	$C(O)OC(CH_3)_3$
	$C(O)OC(CH_3)_3$	C(O)OPh	C(O)OMe	C(O)OPh
	$C(O)OC(CH_3)_3$	C(O)(3-metil-2-piridinilamino)	C(O)OEt	C(O)OPh
	C(O)O(4-nitrofenilo)	C(O)O(4-nitrofenilo)	H	C(O)OH

C(O)O(4-nitrofenilo)	C(O)(3-metil-2-piridinilamino)	H	C(O)OMe
C(O)O(2,4,6-triclorofenilo)	C(O)O(2,4,6-triclorofenilo)	H	C(O)OEt
C(O)O(2,4,6-triclorofenilo)	C(O)(3-metil-2-piridinilamino)	H	C(O)OPh
		H	$C(O)OC(CH_3)_3$

R es 2-fluoro-5-(triflúorometil)fenilo

R^x	R^y	R^x	R^y
H	C(O)O(2,4,6-triclorofenilo)	C(O)OH	C(O)OH
H	C(O)O(4-nitrofenilo)	C(O)OH	C(O)OMe
C(O)OH	C(O)O(4-nitrofenilo)	C(O)OH	C(O)OEt
C(O)OH	C(O)O(2,4,6-triclorofenilo)	C(O)OH	C(O)OPh
C(O)OH	C(O)(3-metil-2-piridinilamino)	C(O)OH	$C(O)OC(CH_3)_3$
C(O)OMe	C(O)(3-metil-2-piridinilamino)	C(O)Cl	C(O)Cl
C(O)OEt	C(O)(3-metil-2-piridinilamino)	C(O)OMe	C(O)OMe

		C(O)O(4-nitrofenilo)	C(O)OEt	
	C(O)OPh			C(O)OEt
		C(O)O(2,4,6-triclorofenilo)	C(O)OPh	
	C(O)OPh			C(O)OPh
		C(O)(3-metil-2-piridinilamino)	$C(O)OC(CH_3)_3$	
	C(O)OPh			$C(O)OC(CH_3)_3$
	$C(O)OC(CH_3)_3$	C(O)OPh	C(O)OMe	C(O)OPh
		C(O)(3-metil-2-piridinilamino)		
	$C(O)OC(CH_3)_3$		C(O)OEt	C(O)OPh
	C(O)O(4-nitrofenilo)	C(O)O(4-nitrofenilo)	H	
				C(O)OH
	C(O)O(4-nitrofenilo)	C(O)(3-metil-2-piridinilamino)	H	
				C(O)OMe
	C(O)O(2,4,6-triclorofenilo)	C(O)O(2,4,6-triclorofenilo)	H	
				C(O)OEt
	C(O)O(2,4,6-triclorofenilo)	C(O)(3-metil-2-piridinilamino)	H	
				C(O)OPh
			H	$C(O)OC(CH_3)_3$

R es 2-cloro-4-cianofenilo

R^x	R^y	R^x	R^y
H	C(O)O(2,4,6-triclorofenilo)	C(O)OH	C(O)OH
H	C(O)O(4-nitrofenilo)	C(O)OH	C(O)OMe

C(O)OH	C(O)O(4-nitrofenilo)
C(O)OH	C(O)O(2,4,6-triclorofenilo)
C(O)OH	C(O)(3-metil-2-piridinilamino)
C(O)OMe	C(O)(3-metil-2-piridinilamino)
C(O)OEt	C(O)(3-metil-2-piridinilamino)
C(O)OPh	C(O)O(4-nitrofenilo)
C(O)OPh	C(O)O(2,4,6-triclorofenilo)
C(O)OPh	C(O)(3-metil-2-piridinilamino)
$C(O)OC(CH_3)_3$	C(O)OPh
$C(O)OC(CH_3)_3$	C(O)(3-metil-2-piridinilamino)
C(O)O(4-nitrofenilo)	C(O)O(4-nitrofenilo)
C(O)O(4-nitrofenilo)	C(O)(3-metil-2-piridinilamino)

C(O)OH	C(O)OEt
C(O)OH	C(O)OPh
C(O)OH	$C(O)OC(CH_3)_3$
C(O)Cl	C(O)Cl
C(O)OMe	
C(O)OEt	
C(O)OPh	
$C(O)OC(CH_3)_3$	
C(O)OMe	C(O)OPh
C(O)OEt	C(O)OPh
H	
H	C(O)OH
	C(O)OMe

C(O)O(2,4,6-triclorofenilo)	C(O)O(2,4,6-triclorofenilo)
C(O)O(2,4,6-triclorofenilo)	C(O)(3-metil-2-piridinilamino)

H	
	C(O)OEt
H	
	C(O)OPh
H	$C(O)OC(CH_3)_3$

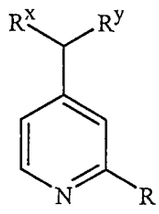
R es 2-fluoro-4-cianofenilo

R^x	R^y
H	C(O)O(2,4,6-triclorofenilo)
H	C(O)O(4-nitrofenilo)
C(O)OH	C(O)O(4-nitrofenilo)
C(O)OH	C(O)O(2,4,6-triclorofenilo)
C(O)OH	C(O)(3-metil-2-piridinilamino)
C(O)OMe	C(O)(3-metil-2-piridinilamino)
C(O)OEt	C(O)(3-metil-2-piridinilamino)
C(O)OPh	C(O)O(4-nitrofenilo)

R^x	R^y
C(O)OH	C(O)OH
C(O)OH	C(O)OMe
C(O)OH	C(O)OEt
C(O)OH	C(O)OPh
C(O)OH	$C(O)OC(CH_3)_3$
C(O)Cl	C(O)Cl
C(O)OMe	
	C(O)OMe
C(O)OEt	
	C(O)OEt

	C(O)OPh	C(O)O(2,4,6-triclorofenilo)	C(O)OPh	
	C(O)OPh	C(O)(3-metil-2-piridinilamino)	C(O)OC(CH$_3$)$_3$	C(O)OC(CH$_3$)$_3$
	C(O)OC(CH$_3$)$_3$	C(O)OPh	C(O)OMe	C(O)OPh
	C(O)OC(CH$_3$)$_3$	C(O)(3-metil-2-piridinilamino)	C(O)OEt	C(O)OPh
	C(O)O(4-nitrofenilo)	C(O)O(4-nitrofenilo)	H	C(O)OH
	C(O)O(4-nitrofenilo)	C(O)(3-metil-2-piridinilamino)	H	C(O)OMe
	C(O)O(2,4,6-triclorofenilo)	C(O)O(2,4,6-triclorofenilo)	H	C(O)OEt
	C(O)O(2,4,6-triclorofenilo)	C(O)(3-metil-2-piridinilamino)	H	C(O)OPh
			H	C(O)OC(CH$_3$)$_3$

Tabla I-26



R es H

R^x	R^y	R^x	R^y
H	C(O)O(2,4,6-triclorofenilo)	C(O)OH	C(O)OH
H	C(O)O(4-nitrofenilo)	C(O)OH	C(O)OMe
C(O)OH	C(O)O(4-nitrofenilo)	C(O)OH	C(O)OEt
C(O)OH	C(O)O(2,4,6-triclorofenilo)	C(O)OH	C(O)OPh
C(O)OH	C(O)(3-metil-2-piridinilamino)	C(O)OH	$C(O)OC(CH_3)_3$
C(O)OMe	C(O)(3-metil-2-piridinilamino)	C(O)Cl	C(O)Cl
C(O)OEt	C(O)(3-metil-2-piridinilamino)	C(O)OMe	C(O)OMe
C(O)OPh	C(O)O(4-nitrofenilo)	C(O)OEt	C(O)OEt
C(O)OPh	C(O)O(2,4,6-triclorofenilo)	C(O)OPh	C(O)OPh
C(O)OPh	C(O)(3-metil-2-piridinilamino)	$C(O)OC(CH_3)_3$	$C(O)OC(CH_3)_3$
$C(O)OC(CH_3)_3$	C(O)OPh	C(O)OMe	C(O)OPh

	$C(O)OC(CH_3)_3$	C(O)(3-metil-2-piridinilamino)	C(O)OEt	C(O)OPh
	C(O)O(4-nitrofenilo)	C(O)O(4-nitrofenilo)	H	C(O)OH
	C(O)O(4-nitrofenilo)	C(O)(3-metil-2-piridinilamino)	H	C(O)OMe
	C(O)O(2,4,6-triclorofenilo)	C(O)O(2,4,6-triclorofenilo)	H	C(O)OEt
	C(O)O(2,4,6-triclorofenilo)	C(O)(3-metil-2-piridinilamino)	H	C(O)OPh
			H	$C(O)OC(CH_3)_3$

R es CF_3

R^x	R^y	R^x	R^y
H	C(O)O(2,4,6-triclorofenilo)	C(O)OH	C(O)OH
H	C(O)O(4-nitrofenilo)	C(O)OH	C(O)OMe
C(O)OH	C(O)O(4-nitrofenilo)	C(O)OH	C(O)OEt
C(O)OH	C(O)O(2,4,6-triclorofenilo)	C(O)OH	C(O)OPh
C(O)OH	C(O)(3-metil-2-piridinilamino)	C(O)OH	$C(O)OC(CH_3)_3$

R es F	
C(O)OMe	C(O)(3-metil-2-piridinilamino)
C(O)OEt	C(O)(3-metil-2-piridinilamino)
C(O)OPh	C(O)O(4-nitrofenilo)
C(O)OPh	C(O)O(2,4,6-triclorofenilo)
C(O)OPh	C(O)(3-metil-2-piridinilamino)
$C(O)OC(CH_3)_3$	C(O)OPh
$C(O)OC(CH_3)_3$	C(O)(3-metil-2-piridinilamino)
C(O)O(4-nitrofenilo)	C(O)O(4-nitrofenilo)
C(O)O(4-nitrofenilo)	C(O)(3-metil-2-piridinilamino)
C(O)O(2,4,6-triclorofenilo)	C(O)O(2,4,6-triclorofenilo)
C(O)O(2,4,6-triclorofenilo)	C(O)(3-metil-2-piridinilamino)

C(O)Cl	C(O)Cl
C(O)OMe	
	C(O)OMe
C(O)OEt	
	C(O)OEt
C(O)OPh	
	C(O)OPh
$C(O)OC(CH_3)_3$	
	$C(O)OC(CH_3)_3$
C(O)OMe	C(O)OPh
C(O)OEt	C(O)OPh
H	
	C(O)OH
H	
	C(O)OMe
H	
	C(O)OEt
H	
	C(O)OPh
H	$C(O)OC(CH_3)_3$

R^x	R^y
H	C(O)O(2,4,6-triclorofenilo)
H	C(O)O(4-nitrofenilo)
C(O)OH	C(O)O(4-nitrofenilo)
C(O)OH	C(O)O(2,4,6-triclorofenilo)
C(O)OH	C(O)(3-metil-2-piridinilamino)
C(O)OMe	C(O)(3-metil-2-piridinilamino)
C(O)OEt	C(O)(3-metil-2-piridinilamino)
C(O)OPh	C(O)O(4-nitrofenilo)
C(O)OPh	C(O)O(2,4,6-triclorofenilo)
C(O)OPh	C(O)(3-metil-2-piridinilamino)
$C(O)OC(CH_3)_3$	C(O)OPh
$C(O)OC(CH_3)_3$	C(O)(3-metil-2-piridinilamino)
C(O)OH	C(O)OH
C(O)OH	C(O)OMe
C(O)OH	C(O)OEt
C(O)OH	C(O)OPh
C(O)OH	$C(O)OC(CH_3)_3$
C(O)Cl	C(O)Cl
C(O)OMe	C(O)OMe
C(O)OEt	C(O)OEt
C(O)OPh	C(O)OPh
$C(O)OC(CH_3)_3$	$C(O)OC(CH_3)_3$
C(O)OMe	C(O)OPh
C(O)OEt	C(O)OPh

C(O)O(4-nitrofenilo)	C(O)O(4-nitrofenilo)
C(O)O(4-nitrofenilo)	C(O)(3-metil-2-piridinilamino)
C(O)O(2,4,6-triclorofenilo)	C(O)O(2,4,6-triclorofenilo)
C(O)O(2,4,6-triclorofenilo)	C(O)(3-metil-2-piridinilamino)

H	
	C(O)OH
H	
	C(O)OMe
H	
	C(O)OEt
H	
	C(O)OPh
H	$C(O)OC(CH_3)_3$

R es Cl

R^x	R^y
H	C(O)O(2,4,6-triclorofenilo)
H	C(O)O(4-nitrofenilo)
C(O)OH	C(O)O(4-nitrofenilo)
C(O)OH	C(O)O(2,4,6-triclorofenilo)
C(O)OH	C(O)(3-metil-2-piridinilamino)
C(O)OMe	C(O)(3-metil-2-piridinilamino)

R^x	R^y
C(O)OH	C(O)OH
C(O)OH	C(O)OMe
C(O)OH	C(O)OEt
C(O)OH	C(O)OPh
C(O)OH	$C(O)OC(CH_3)_3$
C(O)Cl	C(O)Cl

	C(O)OEt	C(O)(3-metil-2-piridinilamino)	C(O)OMe
	C(O)OPh	C(O)O(4-nitrofenilo)	C(O)OEt
	C(O)OPh	C(O)O(2,4,6-triclorofenilo)	C(O)OPh
	C(O)OPh	C(O)(3-metil-2-piridinilamino)	C(O)OC(CH$_3$)$_3$
	C(O)OC(CH$_3$)$_3$	C(O)OPh	C(O)OMe
	C(O)OC(CH$_3$)$_3$	C(O)(3-metil-2-piridinilamino)	C(O)OEt
	C(O)O(4-nitrofenilo)	C(O)O(4-nitrofenilo)	H
	C(O)O(4-nitrofenilo)	C(O)(3-metil-2-piridinilamino)	H
	C(O)O(2,4,6-triclorofenilo)	C(O)O(2,4,6-triclorofenilo)	H
	C(O)O(2,4,6-triclorofenilo)	C(O)(3-metil-2-piridinilamino)	H
			H

R es Br

R^x	R^y	R^x	R^y
H	C(O)O(2,4,6-triclorofenilo)	C(O)OH	C(O)OH

	H	C(O)O(4-nitrofenilo)		
		C(O)O(4-nitrofenilo)	C(O)OH	C(O)OMe
	C(O)OH	C(O)O(2,4,6-triclorofenilo)	C(O)OH	C(O)OEt
	C(O)OH	C(O)(3-metil-2-piridinilamino)	C(O)OH	C(O)OPh
	C(O)OMe	C(O)(3-metil-2-piridinilamino)	C(O)OH	$C(O)OC(CH_3)_3$
	C(O)OEt	C(O)(3-metil-2-piridinilamino)	C(O)Cl	C(O)Cl
	C(O)OPh	C(O)O(4-nitrofenilo)	C(O)OMe	C(O)OMe
	C(O)OPh	C(O)O(2,4,6-triclorofenilo)	C(O)OEt	C(O)OEt
	C(O)OPh	C(O)(3-metil-2-piridinilamino)	C(O)OPh	C(O)OPh
	$C(O)OC(CH_3)_3$	C(O)OPh	$C(O)OC(CH_3)_3$	$C(O)OC(CH_3)_3$
	$C(O)OC(CH_3)_3$	C(O)(3-metil-2-piridinilamino)	C(O)OMe	C(O)OPh
	C(O)O(4-nitrofenilo)	C(O)O(4-nitrofenilo)	C(O)OEt	C(O)OPh
			H	C(O)OH

	C(O)O(4-nitrofenilo)	C(O)(3-metil-2-piridinilamino)	H
			C(O)OMe
	C(O)O(2,4,6-triclorofenilo)	C(O)O(2,4,6-triclorofenilo)	H
			C(O)OEt
	C(O)O(2,4,6-triclorofenilo)	C(O)(3-metil-2-piridinilamino)	H
			C(O)OPh
			H
			$C(O)OC(CH_3)_3$

R es I

R^x	R^y	R^x	R^y
H	C(O)O(2,4,6-triclorofenilo)	C(O)OH	C(O)OH
H	C(O)O(4-nitrofenilo)	C(O)OH	C(O)OMe
C(O)OH	C(O)O(4-nitrofenilo)	C(O)OH	C(O)OEt
C(O)OH	C(O)O(2,4,6-triclorofenilo)	C(O)OH	C(O)OPh
C(O)OH	C(O)(3-metil-2-piridinilamino)	C(O)OH	$C(O)OC(CH_3)_3$
	C(O)(3-metil-2-piridinilamino)	C(O)Cl	C(O)Cl
C(O)OMe		C(O)OMe	
C(O)OEt	C(O)(3-metil-2-piridinilamino)		C(O)OMe

		C(O)O(4-nitrofenilo)	C(O)OEt	
	C(O)OPh			C(O)OEt
		C(O)O(2,4,6-triclorofenilo)	C(O)OPh	
	C(O)OPh			C(O)OPh
		C(O)(3-metil-2-piridinilamino)	$C(O)OC(CH_3)_3$	
	C(O)OPh			$C(O)OC(CH_3)_3$
	$C(O)OC(CH_3)_3$	C(O)OPh	C(O)OMe	C(O)OPh
		C(O)(3-metil-2-piridinilamino)		
	$C(O)OC(CH_3)_3$		C(O)OEt	C(O)OPh
	C(O)O(4-nitrofenilo)	C(O)O(4-nitrofenilo)	H	
				C(O)OH
	C(O)O(4-nitrofenilo)	C(O)(3-metil-2-piridinilamino)	H	
				C(O)OMe
	C(O)O(2,4,6-triclorofenilo)	C(O)O(2,4,6-triclorofenilo)	H	
				C(O)OEt
	C(O)O(2,4,6-triclorofenilo)	C(O)(3-metil-2-piridinilamino)	H	
				C(O)OPh
			H	$C(O)OC(CH_3)_3$

R es NH_2

R^x	R^y	R^x	R^y
H	C(O)O(2,4,6-triclorofenilo)	C(O)OH	C(O)OH
H	C(O)O(4-nitrofenilo)	C(O)OH	C(O)OMe

		C(O)O(4-nitrofenilo)		
	C(O)OH	C(O)O(2,4,6-triclorofenilo)	C(O)OH	C(O)OEt
	C(O)OH	C(O)(3-metil-2-piridinilamino)	C(O)OH	C(O)OPh
	C(O)OH	C(O)(3-metil-2-piridinilamino)	C(O)OH	$C(O)OC(CH_3)_3$
	C(O)OMe	C(O)(3-metil-2-piridinilamino)	C(O)Cl	C(O)Cl
	C(O)OEt	C(O)(3-metil-2-piridinilamino)	C(O)OMe	C(O)OMe
	C(O)OPh	C(O)O(4-nitrofenilo)	C(O)OEt	C(O)OEt
	C(O)OPh	C(O)O(2,4,6-triclorofenilo)	C(O)OPh	C(O)OPh
	C(O)OPh	C(O)(3-metil-2-piridinilamino)	$C(O)OC(CH_3)_3$	$C(O)OC(CH_3)_3$
	$C(O)OC(CH_3)_3$	C(O)OPh	C(O)OMe	C(O)OPh
	$C(O)OC(CH_3)_3$	C(O)(3-metil-2-piridinilamino)	C(O)OEt	C(O)OPh
	C(O)O(4-nitrofenilo)	C(O)O(4-nitrofenilo)	H	C(O)OH
	C(O)O(4-nitrofenilo)	C(O)(3-metil-2-piridinilamino)	H	C(O)OMe

C(O)O(2,4,6-triclorofenilo)	C(O)O(2,4,6-triclorofenilo)	H	C(O)OEt
C(O)O(2,4,6-triclorofenilo)	C(O)(3-metil-2-piridinilamino)	H	C(O)OPh
		H	$C(O)OC(CH_3)_3$

R es 2-cloro-4-(triflúorometil)fenilo

R^x	R^y	R^x	R^y
H	C(O)O(2,4,6-triclorofenilo)	C(O)OH	C(O)OH
H	C(O)O(4-nitrofenilo)	C(O)OH	C(O)OMe
C(O)OH	C(O)O(4-nitrofenilo)	C(O)OH	C(O)OEt
C(O)OH	C(O)O(2,4,6-triclorofenilo)	C(O)OH	C(O)OPh
C(O)OH	C(O)(3-metil-2-piridinilamino)	C(O)OH	$C(O)OC(CH_3)_3$
C(O)OMe	C(O)(3-metil-2-piridinilamino)	C(O)Cl	C(O)Cl
C(O)OEt	C(O)(3-metil-2-piridinilamino)	C(O)OMe	C(O)OMe
C(O)OPh	C(O)O(4-nitrofenilo)	C(O)OEt	C(O)OEt

		C(O)O(2,4,6-	C(O)OPh	
	C(O)OPh	triclorofenilo)		C(O)OPh
		C(O)(3-metil-2-	$C(O)OC(CH_3)_3$	
	C(O)OPh	piridinilamino)		$C(O)OC(CH_3)_3$
	$C(O)OC(CH_3)_3$	C(O)OPh	C(O)OMe	C(O)OPh
		C(O)(3-metil-2-		
	$C(O)OC(CH_3)_3$	piridinilamino)	C(O)OEt	C(O)OPh
	C(O)O(4-	C(O)O(4-	H	
	nitrofenilo)	nitrofenilo)		C(O)OH
	C(O)O(4-	C(O)(3-metil-2-	H	
	nitrofenilo)	piridinilamino)		C(O)OMe
	C(O)O(2,4,6-	C(O)O(2,4,6-	H	
	triclorofenilo)	triclorofenilo)		C(O)OEt
	C(O)O(2,4,6-	C(O)(3-metil-2-	H	
	triclorofenilo)	piridinilamino)		C(O)OPh
			H	$C(O)OC(CH_3)_3$

R es 2-fluoro-5-(triflúorometil)fenilo

$\underline{R^x}$	$\underline{R^y}$	$\underline{R^x}$	$\underline{R^y}$
H	C(O)O(2,4,6-		
	triclorofenilo)	C(O)OH	C(O)OH
H	C(O)O(4-		
	nitrofenilo)	C(O)OH	C(O)OMe
	C(O)O(4-		
C(O)OH	nitrofenilo)	C(O)OH	C(O)OEt

C(O)OH	C(O)O(2,4,6-triclorofenilo)
C(O)OH	C(O)(3-metil-2-piridinilamino)
C(O)OMe	C(O)(3-metil-2-piridinilamino)
C(O)OEt	C(O)(3-metil-2-piridinilamino)
C(O)OPh	C(O)O(4-nitrofenilo)
C(O)OPh	C(O)O(2,4,6-triclorofenilo)
C(O)OPh	C(O)(3-metil-2-piridinilamino)
$C(O)OC(CH_3)_3$	C(O)OPh
$C(O)OC(CH_3)_3$	C(O)(3-metil-2-piridinilamino)
C(O)O(4-nitrofenilo)	C(O)O(4-nitrofenilo)
C(O)O(4-nitrofenilo)	C(O)(3-metil-2-piridinilamino)
C(O)O(2,4,6-triclorofenilo)	C(O)O(2,4,6-triclorofenilo)

C(O)OH	C(O)OPh
C(O)OH	$C(O)OC(CH_3)_3$
C(O)Cl	C(O)Cl
C(O)OMe	
	C(O)OMe
C(O)OEt	
	C(O)OEt
C(O)OPh	
	C(O)OPh
$C(O)OC(CH_3)_3$	
	$C(O)OC(CH_3)_3$
C(O)OMe	C(O)OPh
C(O)OEt	C(O)OPh
H	
	C(O)OH
H	
	C(O)OMe
H	
	C(O)OEt

C(O)O(2,4,6-triclorofenilo)	C(O)(3-metil-2-piridinilamino)	H	C(O)OPh
		H	$C(O)OC(CH_3)_3$

R es 2-cloro-4-cianofenilo

R^x	R^y	R^x	R^y
H	C(O)O(2,4,6-triclorofenilo)	C(O)OH	C(O)OH
H	C(O)O(4-nitrofenilo)	C(O)OH	C(O)OMe
C(O)OH	C(O)O(4-nitrofenilo)	C(O)OH	C(O)OEt
C(O)OH	C(O)O(2,4,6-triclorofenilo)	C(O)OH	C(O)OPh
C(O)OH	C(O)(3-metil-2-piridinilamino)	C(O)OH	$C(O)OC(CH_3)_3$
C(O)OMe	C(O)(3-metil-2-piridinilamino)	C(O)Cl	C(O)Cl
C(O)OEt	C(O)O(4-nitrofenilo)	C(O)OMe	C(O)OMe
C(O)OPh	C(O)O(2,4,6-triclorofenilo)	C(O)OEt	C(O)OEt
C(O)OPh		C(O)OPh	C(O)OPh

		C(O)(3-metil-2-	$C(O)OC(CH_3)_3$	
	C(O)OPh	piridinilamino)		$C(O)OC(CH_3)_3$
	$C(O)OC(CH_3)_3$	C(O)OPh	C(O)OMe	C(O)OPh
		C(O)(3-metil-2-		
5	$C(O)OC(CH_3)_3$	piridinilamino)	C(O)OEt	C(O)OPh
	C(O)O(4-	C(O)O(4-	H	
	nitrofenilo)	nitrofenilo)		C(O)OH
	C(O)O(4-	C(O)(3-metil-2-	H	
	nitrofenilo)	piridinilamino)		C(O)OMe
10	C(O)O(2,4,6-	C(O)O(2,4,6-	H	
	triclorofenilo)	triclorofenilo)		C(O)OEt
	C(O)O(2,4,6-	C(O)(3-metil-2-	H	
	triclorofenilo)	piridinilamino)		C(O)OPh
			H	$C(O)OC(CH_3)_3$

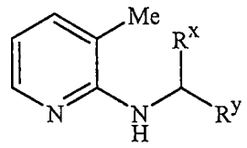
15 R es 2-fluoro-4-cianofenilo

R^x	R^y	R^x	R^y
H	C(O)O(2,4,6-		
	triclorofenilo)	C(O)OH	C(O)OH
H	C(O)O(4-		
20	nitrofenilo)	C(O)OH	C(O)OMe
	C(O)O(4-		
C(O)OH	nitrofenilo)	C(O)OH	C(O)OEt
	C(O)O(2,4,6-		
C(O)OH	triclorofenilo)	C(O)OH	C(O)OPh

25

	C(O)OH	C(O)(3-metil-2-piridinilamino)	C(O)OH	$C(O)OC(CH_3)_3$
	C(O)OMe	C(O)(3-metil-2-piridinilamino)	C(O)Cl	C(O)Cl
	C(O)OEt	C(O)(3-metil-2-piridinilamino)	C(O)OMe	C(O)OMe
	C(O)OPh	C(O)O(4-nitrofenilo)	C(O)OEt	C(O)OEt
	C(O)OPh	C(O)O(2,4,6-triclorofenilo)	C(O)OPh	C(O)OPh
	C(O)OPh	C(O)(3-metil-2-piridinilamino)	$C(O)OC(CH_3)_3$	$C(O)OC(CH_3)_3$
	$C(O)OC(CH_3)_3$	C(O)OPh	C(O)OMe	C(O)OPh
	$C(O)OC(CH_3)_3$	C(O)(3-metil-2-piridinilamino)	C(O)OEt	C(O)OPh
	C(O)O(4-nitrofenilo)	C(O)O(4-nitrofenilo)	H	C(O)OH
	C(O)O(4-nitrofenilo)	C(O)(3-metil-2-piridinilamino)	H	C(O)OMe
	C(O)O(2,4,6-triclorofenilo)	C(O)O(2,4,6-triclorofenilo)	H	C(O)OEt
	C(O)O(2,4,6-triclorofenilo)	C(O)(3-metil-2-piridinilamino)	H	C(O)OPh
			H	$C(O)OC(CH_3)_3$

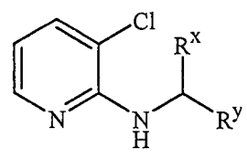
Tabla I-27



R^x	R^y	R^x	R^y	R^x	R^y
H	CF_3	H	CH_2CHFCF_2Cl	H	2-cloro-5-tiazolilo
Me	CF_3	Me	CH_2CHFCF_2Cl	Me	2-cloro-5-tiazolilo
H	Et	H	ciclopropilo	H	1-metil-4-pirazolilo
Me	Et	Me	ciclopropilo	Me	1-metil-4-pirazolilo
H	3-piridinilo	H	6-metil-3-piridinilo	H	5-pirimidinilo
Me	3-piridinilo	Me	6-metil-3-piridinilo	Me	5-pirimidinilo
H	6-fluoro-3-piridinilo	H	6-cloro-3-piridinilo	H	2-bromo-5-tiazolilo

Me	6-fluoro-3-piridinilo	Me	6-cloro-3-piridinilo	Me	2-bromo-5-tiazolilo
H	6-bromo-3-piridinilo	H	5-tiazolilo	H	3-metil-5-isoxazolilo
Me	6-bromo-3-piridinilo	Me	5-tiazolilo	Me	3-metil-5-isoxazolilo
H	2-metil-5-tiazolilo	H	2-fluoro-5-tiazolilo	H	2-metil-5-pirimidinilo
Me	2-metil-5-tiazolilo	Me	2-fluoro-5-tiazolilo	Me	2-metil-5-pirimidinilo

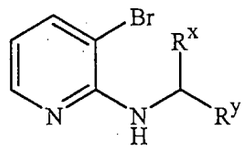
Tabla I-27a



R^x	R^y	R^x	R^y	R^x	R^y
H	CF_3	H	CH_2CHFCF_2Cl	H	2-cloro-5-tiazolilo
Me	CF_3	Me	CH_2CHFCF_2Cl	Me	2-cloro-5-tiazolilo

	H		H		H	1-metil-4-	
		Et		ciclopropilo		pirazolilo	
	Me	Et	Me		Me	1-metil-4-	
				ciclopropilo		pirazolilo	
	H		H	6-metil-3-	H		
		3-piridinilo		piridinilo		5-pirimidinilo	
	Me	3-piridinilo	Me	6-metil-3-	Me		
				piridinilo		5-pirimidinilo	
	H	6-fluoro-3-	H	6-cloro-3-	H	2-bromo-5-	
		piridinilo		piridinilo		tiazolilo	
	Me	6-fluoro-3-	Me	6-cloro-3-	Me	2-bromo-5-	
		piridinilo		piridinilo		tiazolilo	
	H	6-bromo-3-	H		H	3-metil-5-	
		piridinilo		5-tiazolilo		isoxazolilo	
	Me	6-bromo-3-	Me	5-tiazolilo	Me	3-metil-5-	
		piridinilo				isoxazolilo	
	H	2-metil-5-	H	2-fluoro-5-	H	2-metil-5-	
		tiazolilo		tiazolilo		pirimidinilo	
	Me	2-metil-5-	Me	2-fluoro-5-	Me	2-metil-5-	
		tiazolilo		tiazolilo		pirimidinilo	

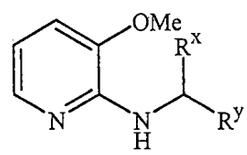
Tabla I-27b



R^x	R^y	R^x	R^y	R^x	R^y
H		H		H	2-cloro-5-
	CF_3		CH_2CHFCF_2Cl		tiazolilo
Me		Me		Me	2-cloro-5-
	CF_3		CH_2CHFCF_2Cl		tiazolilo
H		H		H	1-metil-4-
	Et		ciclopropilo		pirazolilo
Me	Et	Me		Me	1-metil-4-
			ciclopropilo		pirazolilo
H		H	6-metil-3-	H	
	3-piridinilo		piridinilo		5-pirimidinilo
Me	3-piridinilo	Me	6-metil-3-	Me	
			piridinilo		5-pirimidinilo
H	6-fluoro-3-	H	6-cloro-3-	H	2-bromo-5-
	piridinilo		piridinilo		tiazolilo
Me	6-fluoro-3-	Me	6-cloro-3-	Me	2-bromo-5-
	piridinilo		piridinilo		tiazolilo
H	6-bromo-3-	H		H	3-metil-5-
	piridinilo		5-tiazolilo		isoxazolilo

Me	6-bromo-3-piridinilo	Me	5-tiazolilo	Me	3-metil-5-isoxazolilo
H	2-metil-5-tiazolilo	H	2-fluoro-5-tiazolilo	H	2-metil-5-pirimidinilo
Me	2-metil-5-tiazolilo	Me	2-fluoro-5-tiazolilo	Me	2-metil-5-pirimidinilo

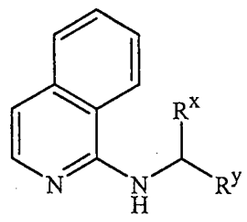
Tabla I-27c



R^x	R^y	R^x	R^y	R^x	R^y
H	CF_3	H	CH_2CHFCF_2Cl	H	2-cloro-5-tiazolilo
Me	CF_3	Me	CH_2CHFCF_2Cl	Me	2-cloro-5-tiazolilo
H	Et	H	ciclopropilo	H	1-metil-4-pirazolilo
Me	Et	Me	ciclopropilo	Me	1-metil-4-pirazolilo
H	3-piridinilo	H	6-metil-3-piridinilo	H	5-pirimidinilo

	Me	3-piridinilo	Me	6-metil-3-piridinilo	Me	5-pirimidinilo
	H	6-fluoro-3-piridinilo	H	6-cloro-3-piridinilo	H	2-bromo-5-tiazolilo
	Me	6-fluoro-3-piridinilo	Me	6-cloro-3-piridinilo	Me	2-bromo-5-tiazolilo
	H	6-bromo-3-piridinilo	H	5-tiazolilo	H	3-metil-5-isoxazolilo
	Me	6-bromo-3-piridinilo	Me	5-tiazolilo	Me	3-metil-5-isoxazolilo
	H	2-metil-5-tiazolilo	H	2-fluoro-5-tiazolilo	H	2-metil-5-pirimidinilo
	Me	2-metil-5-tiazolilo	Me	2-fluoro-5-tiazolilo	Me	2-metil-5-pirimidinilo

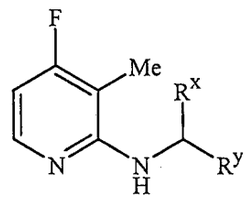
Tabla I-27d



R^x	R^y
H	CF_3
Me	CF_3
H	Et
Me	Et
H	3-piridinilo
Me	3-piridinilo
H	6-fluoro-3-piridinilo
Me	6-fluoro-3-piridinilo
H	6-bromo-3-piridinilo
Me	6-bromo-3-piridinilo
H	2-metil-5-tiazolilo
H	CH_2CHFCF_2Cl
Me	CH_2CHFCF_2Cl
H	ciclopropilo
Me	ciclopropilo
H	6-metil-3-piridinilo
Me	6-metil-3-piridinilo
H	6-cloro-3-piridinilo
Me	6-cloro-3-piridinilo
H	5-tiazolilo
Me	5-tiazolilo
H	2-fluoro-5-tiazolilo
H	2-cloro-5-tiazolilo
Me	2-cloro-5-tiazolilo
H	1-metil-4-pirazolilo
Me	1-metil-4-pirazolilo
H	5-pirimidinilo
Me	5-pirimidinilo
H	2-bromo-5-tiazolilo
Me	2-bromo-5-tiazolilo
H	3-metil-5-isoxazolilo
Me	3-metil-5-isoxazolilo
H	2-metil-5-pirimidinilo

Me	2-metil-5-tiazolilo	Me	2-fluoro-5-tiazolilo	Me	2-metil-5-pirimidinilo

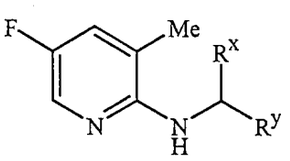
Tabla I-27e



R^x	R^y	R^x	R^y	R^x	R^y
H		H		H	2-cloro-5-tiazolilo
	CF_3		CH_2CHFCF_2Cl		
Me		Me		Me	2-cloro-5-tiazolilo
	CF_3		CH_2CHFCF_2Cl		
H		H		H	1-metil-4-pirazolilo
	Et		ciclopropilo		
Me	Et	Me		Me	1-metil-4-pirazolilo
			ciclopropilo		
H		H	6-metil-3-piridinilo	H	
	3-piridinilo				5-pirimidinilo
Me	3-piridinilo	Me	6-metil-3-piridinilo	Me	
					5-pirimidinilo

	H	6-fluoro-3-piridinilo	H	6-cloro-3-piridinilo	H	2-bromo-5-tiazolilo
	Me	6-fluoro-3-piridinilo	Me	6-cloro-3-piridinilo	Me	2-bromo-5-tiazolilo
	H	6-bromo-3-piridinilo	H	5-tiazolilo	H	3-metil-5-isoxazolilo
	Me	6-bromo-3-piridinilo	Me	5-tiazolilo	Me	3-metil-5-isoxazolilo
	H	2-metil-5-tiazolilo	H	2-fluoro-5-tiazolilo	H	2-metil-5-pirimidinilo
	Me	2-metil-5-tiazolilo	Me	2-fluoro-5-tiazolilo	Me	2-metil-5-pirimidinilo

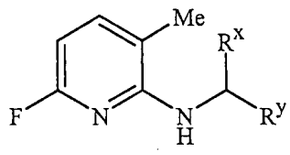
Tabla I-27f



R^x	R^y	R^x	R^y	R^x	R^y
H	CF_3	H	CH_2CHFCF_2Cl	H	2-cloro-5-tiazolilo
Me	CF_3	Me	CH_2CHFCF_2Cl	Me	2-cloro-5-tiazolilo

	H	Et	H	ciclopropilo	H	1-metil-4-pirazolilo
	Me	Et	Me	ciclopropilo	Me	1-metil-4-pirazolilo
	H	3-piridinilo	H	6-metil-3-piridinilo	H	5-pirimidinilo
	Me	3-piridinilo	Me	6-metil-3-piridinilo	Me	5-pirimidinilo
	H	6-fluoro-3-piridinilo	H	6-cloro-3-piridinilo	H	2-bromo-5-tiazolilo
	Me	6-fluoro-3-piridinilo	Me	6-cloro-3-piridinilo	Me	2-bromo-5-tiazolilo
	H	6-bromo-3-piridinilo	H	5-tiazolilo	H	3-metil-5-isoxazolilo
	Me	6-bromo-3-piridinilo	Me	5-tiazolilo	Me	3-metil-5-isoxazolilo
	H	2-metil-5-tiazolilo	H	2-fluoro-5-tiazolilo	H	2-metil-5-pirimidinilo
	Me	2-metil-5-tiazolilo	Me	2-fluoro-5-tiazolilo	Me	2-metil-5-pirimidinilo

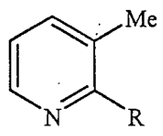
Tabla I-27g



R^x	R^y	R^x	R^y	R^x	R^y
H		H		H	2-cloro-5-tiazolilo
	CF_3		CH_2CHFCF_2Cl		
Me		Me		Me	2-cloro-5-tiazolilo
	CF_3		CH_2CHFCF_2Cl		
H		H		H	1-metil-4-pirazolilo
	Et		ciclopropilo		
Me	Et	Me		Me	1-metil-4-pirazolilo
			ciclopropilo		
H		H	6-metil-3-piridinilo	H	5-pirimidinilo
	3-piridinilo				
Me	3-piridinilo	Me	6-metil-3-piridinilo	Me	5-pirimidinilo
H	6-fluoro-3-piridinilo	H	6-cloro-3-piridinilo	H	2-bromo-5-tiazolilo
Me	6-fluoro-3-piridinilo	Me	6-cloro-3-piridinilo	Me	2-bromo-5-tiazolilo
H	6-bromo-3-piridinilo	H	5-tiazolilo	H	3-metil-5-isoxazolilo

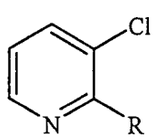
	Me	6-bromo-3-piridinilo	Me	5-tiazolilo	Me	3-metil-5-isoxazolilo
	H	2-metil-5-tiazolilo	H	2-fluoro-5-tiazolilo	H	2-metil-5-pirimidinilo
	Me	2-metil-5-tiazolilo	Me	2-fluoro-5-tiazolilo	Me	2-metil-5-pirimidinilo

Tabla I-28



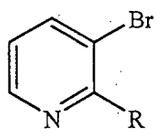
R	R	R	R	R	R
F		Br		NH_2	$NH(CO_2$-*t*-Bu)
	Cl		I		
				$NHCO_2CH_2CH_3$	$NH(CO_2$-*i*-Pr)
NHCHO	$NHC(O)CH_3$	$NHCO_2CH_2Ph$	$NHCO_2CH_3$		

Tabla I-28a



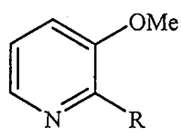
R	R	R	R	R	R
F		Br		NH_2	NH(CO_2-*t*-Bu)
	Cl		I		
				$NHCO_2CH_2CH_3$	NH(CO_2-*i*-Pr)
NHCHO	$NHC(O)CH_3$	$NHCO_2CH_2Ph$	$NHCO_2CH_3$		

Tabla I-28b



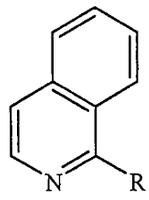
R	R	R	R	R	R
F		Br		NH_2	NH(CO_2-*t*-Bu)
	Cl		I		
				$NHCO_2CH_2CH_3$	NH(CO_2-*i*-Pr)
NHCHO	$NHC(O)CH_3$	$NHCO_2CH_2Ph$	$NHCO_2CH_3$		

Tabla I-28c



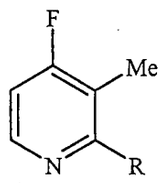
R	R	R	R	R	R
F		Br		NH_2	NH(CO_2-*t*-Bu)
	Cl		I		
				$NHCO_2CH_2CH_3$	NH(CO_2-*i*-Pr)
NHCHO	$NHC(O)CH_3$	$NHCO_2CH_2Ph$	$NHCO_2CH_3$		

Tabla I-28d



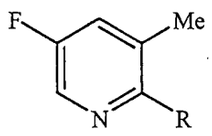
R	R	R	R	R	R
F		Br		NH_2	NH(CO_2-*t*-Bu)
	Cl		I		
				$NHCO_2CH_2CH_3$	NH(CO_2-*i*-Pr)
NHCHO	$NHC(O)CH_3$	$NHCO_2CH_2Ph$	$NHCO_2CH_3$		

Tabla I-28e



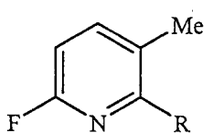
R	R	R	R	R	R
F		Br		NH_2	NH(CO_2-*t*-Bu)
	Cl		I	$NHCO_2CH_2CH_3$	NH(CO_2-*i*-Pr)
NHCHO	NHC(O)CH_3	$NHCO_2CH_2Ph$	$NHCO_2CH_3$		

Tabla I-28f



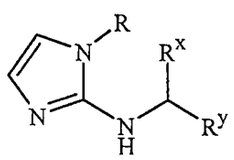
R	R	R	R	R	R
F		Br		NH_2	NH(CO_2-*t*-Bu)
	Cl		I	$NHCO_2CH_2CH_3$	NH(CO_2-*i*-Pr)
NHCHO	NHC(O)CH_3	$NHCO_2CH_2Ph$	$NHCO_2CH_3$		

Tabla I-28g



R	R	R	R	R	R
F		Br		NH_2	$NH(CO_2$-*t*-Bu)
	Cl		I		
				$NHCO_2CH_2CH_3$	$NH(CO_2$-*i*-Pr)
NHCHO	$NHC(O)CH_3$	$NHCO_2CH_2Ph$	$NHCO_2CH_3$		

Tabla I-29



R es Et

R^x	R^y	R^x	R^y
H	CF_3	H	CH_2CHFCF_2Cl
Me	CF_3	Me	CH_2CHFCF_2Cl
H	Et	H	ciclopropilo
Me	Et	Me	ciclopropilo
H	3-piridinilo	H	6-metil-3-piridinilo
Me	3-piridinilo	Me	6-metil-3-piridinilo
H	6-fluoro-3-piridinilo	H	6-cloro-3-piridinilo

	Me	6-fluoro-3-piridinilo	Me	6-cloro-3-piridinilo
	H	6-bromo-3-piridinilo	H	5-tiazolilo
	Me	6-bromo-3-piridinilo	Me	5-tiazolilo
	H	2-metil-5-tiazolilo	H	2-fluoro-5-tiazolilo
	Me	2-metil-5-tiazolilo	Me	2-fluoro-5-tiazolilo
	H	2-cloro-5-tiazolilo	H	2-bromo-5-tiazolilo
	Me	2-cloro-5-tiazolilo	Me	2-bromo-5-tiazolilo
	H	1-metil-4-pirazolilo	H	3-metil-5-isoxazolilo
	Me	1-metil-4-pirazolilo	Me	3-metil-5-isoxazolilo
	H	5-pirimidinilo	H	2-metil-5-pirimidinilo
	Me	5-pirimidinilo	Me	2-metil-5-pirimidinilo

R es CH_2CHF_2

R^x	R^y	R^x	R^y
H	CF_3	H	CH_2CHFCF_2Cl
Me	CF_3	Me	CH_2CHFCF_2Cl

H	Et	H	ciclopropilo
Me	Et	Me	ciclopropilo
H	3-piridinilo	H	6-metil-3-piridinilo
Me	3-piridinilo	Me	6-metil-3-piridinilo
H	6-fluoro-3-piridinilo	H	6-cloro-3-piridinilo
Me	6-fluoro-3-piridinilo	Me	6-cloro-3-piridinilo
H	6-bromo-3-piridinilo	H	5-tiazolilo
Me	6-bromo-3-piridinilo	Me	5-tiazolilo
H	2-metil-5-tiazolilo	H	2-fluoro-5-tiazolilo
Me	2-metil-5-tiazolilo	Me	2-fluoro-5-tiazolilo
H	2-cloro-5-tiazolilo	H	2-bromo-5-tiazolilo
Me	2-cloro-5-tiazolilo	Me	2-bromo-5-tiazolilo
H	1-metil-4-pirazolilo	H	3-metil-5-isoxazolilo
Me	1-metil-4-pirazolilo	Me	3-metil-5-isoxazolilo

H	5-pirimidinilo	H	2-metil-5-pirimidinilo
Me	5-pirimidinilo	Me	2-metil-5-pirimidinilo

Tabla I-30

2-cloro-6-(triflúorometil)piridina	4-cloro-2-(triflúorometil)piridina
2-bromo-6-(triflúorometil)piridina	4-bromo-2-(triflúorometil)piridina
2-yodo-6-(triflúorometil)piridina	4-yodo-2-(triflúorometil)piridina
4-cloro-2-fluoropiridina	2,4-dicloropiridina
4-bromo-2-fluoropiridina	4-bromo-2-cloropiridina
2-fluoro-4-yodopiridina	2-cloro-4-yodopiridina
2-bromo-4-cloropiridina	
2,4-dibromopiridina	
2-bromo-4-yodopiridina	

Se reconoce que algunos reactivos y condiciones de reacción descritos anteriormente para la preparación de compuestos de Fórmula **1** pueden no ser compatibles con ciertas funcionalidades presentes en los intermedios. En estos casos, la incorporación de secuencias de protección/desprotección o las interconversiones de grupos funcionales en las síntesis facilitarán la obtención de los

productos deseados. El uso y la elección de los grupos de protección serán evidentes para una persona con experiencia en las síntesis de sustancias químicas (véase, por ejemplo, Greene, T. W.; Wuts, P. G. M. *Protective Groups in Organic Synthesis*, 2.° ed.; Wiley: Nueva York, 1991). Una persona con experiencia en la técnica reconocerá que, en algunos casos, después de la introducción de un reactivo determinado, tal como se ilustra en cualquier esquema de reacción individual, puede ser necesario realizar etapas adicionales de síntesis de rutina no descritas en detalle, para completar la síntesis de los compuestos de la Fórmula **1**. Una persona con experiencia en la técnica también reconocerá que puede ser necesario combinar las etapas ilustradas en los esquemas de reacción anteriores en un orden distinto al que implica la secuencia determinada presentada para preparar los compuestos de Fórmula **1**.

Una persona con experiencia en la técnica reconocerá además que los compuestos de la Fórmula **1** y los intermedios descritos en la presente pueden someterse a varias reacciones electrofílicas, nucleofílicas, radicales, organometálicas, oxidación y reducción para adicionar sustituyentes o modificar los sustituyentes existentes.

Sin entrar en otros detalles innecesarios, se considera que, basándose en la descripción precedente, un experimentado en la técnica podrá usar al máximo la presente invención. Por

consiguiente, los siguientes ejemplos de síntesis deben interpretarse como meramente ilustrativos, sin limitar la descripción en ningún sentido. Las etapas de los siguientes ejemplos de síntesis ilustran un procedimiento para cada etapa de una transformación sintética total, y el material de partida para cada etapa puede no haberse preparado necesariamente mediante la ejecución de un preparativo específico cuyo procedimiento se describe en otros ejemplos o en otras etapas. La temperatura ambiente o local se define como de aproximadamente 20-25 °C. Los porcentajes son en peso, excepto para las mezclas de solventes para cromatografía o, en donde se indique de otra manera. Las partes y los porcentajes para las mezclas de solventes para cromatografía son en volumen, a menos que se indique de otra manera. MPLC se refiere a cromatografía en líquido de mediana presión sobre gel de sílice. Los espectros ^1H NMR se reportan en ppm a campo bajo a partir del tetrametilsilano; "s" significa singulete, "d" significa doblete, "dd" significa doblete de dobletes, "ddd" significa doblete de doblete de dobletes, "t" significa triplete, "m" significa multiplete, y "br s" significa singulete amplio. Para los datos espectrales de masa, el valor numérico reportado es el peso molecular del ion genitor molecular (M) formado por la adición de H^+ (peso molecular de 1) a la molécula para dar un

pico M+1 observado por espectrometría de masa por medio de la ionización química a presión atmosférica (AP^+).

Ejemplo de síntesis 1

Preparación de sal interna de 1-[(2-cloro-5-tiazolil)metil]-2-hidroxi-4-oxo-9-fenil-3-[3-(triflúorometoxi)fenil]-4*H*-pirido[1,2-*a*]pirimidinio (compuesto número 68)

Etapa A: Preparación de 2-amino-3-fenilpiridina

Se cargó un frasco de microondas con 2-amino-3-bromopiridina (0,5 g, 2,9 mmol), ácido fenilborónico (0,52 g, 4,3 mmol), bicarbonato de sodio (0,31 g, 2,9 mmol), diclorobis(trifenilfosfina)paladio(II) (0,1 g, 0,14 mmol), dioxano (5 ml) y agua (1 ml). Se tapó el frasco y la mezcla de reacción se calentó a 150 °C durante 10 minutos. Después, la mezcla de reacción se enfrió, se apagó con agua (10 ml) y se extrajo dos veces con acetato de etilo. Las fases orgánicas combinadas se secaron ($MgSO_4$) y se concentraron para dejar un aceite negro. El producto crudo se purificó por cromatografía sobre gel de sílice con 10-40 % de acetato de etilo/hexanos como eluyente para proporcionar el compuesto del título como un sólido blanco (0,35 g).

^1H RMN ($CDCl_3$) δ 8,10 (d, 1H), 7,46 (m, 4H), 7,38 (m, 2H), 6,75 (m, 1H), 4,50-4,55 (br s, 2H).

Etapa B: Preparación de *N*-[(2-cloro-5-tiazolil)metilen]-3-fenil-2-piridinamina

Se adicionó 2-amino-fenilpiridina (es decir, el producto de la Etapa A, 0,342 g, 2,01 mmol) a 2-cloro-1,3-tiazol-5-carbaldehído (0,296 g, 2,01 mmol) en diclorometano (10 ml) a temperatura ambiente. La suspensión se agitó durante 10 minutos y, después, se concentró a sequedad bajo vacío. El residuo resultante se calentó a 90 °C durante 20 minutos en un evaporador rotatorio con una trampa sin retorno para facilitar la eliminación del agua. El sólido amarillo residual se disolvió otra vez en diclorometano (10 ml) y se calentó a 90 °C en un evaporador rotatorio durante 20 minutos adicionales. En ese momento, se analizó el sólido amarillo por RMN para verificar la terminación de la reacción. El compuesto del título se obtuvo con un sólido amarillo y se usó en la etapa siguiente sin más purificación.

^{1}H RMN ($CDCl_3$) δ 9,29 (s, 1H), 8,45 (m, 1H), 7,92 (s, 1H), 7,80 (dd, 1H), 7,50-7,35 (m, 5H), 7,30-7,25 (m, 1H).

Etapa C: Preparación de *N*-[(2-cloro-5-tiazolil)metil]-3-fenil-2-piridinamina

Se adicionó, en porciones, *N*-[(2-cloro-5-tiazolil)metilen]-3-fenil-2-piridinamina (es decir, el producto de la Etapa B) a un exceso agitado de borohidruro sódico (0,379 g, 10,0 mmol) en metanol (8 ml). Después que la adición se completó, la mezcla de la reacción se dejó

agitar por 5 min a temperatura ambiente. El exceso de agente reductor se apagó por adición de ácido acético glacial hasta que cesó el desprendimiento de gas. Se adicionó agua (20 ml) y la mezcla de reacción se concentró para eliminar metanol. La fase acuosa resultante se extrajo dos veces con acetato de etilo y se las fases orgánicas combinadas se secaron ($MgSO_4$) y se concentraron para dar el compuesto del título como un sólido amarillo (0,659 g).

^{1}H RMN ($CDCl_3$) δ 8,2 (d, 1H), 7,5-7,3 (m, 7H), 6,8-6,7 (m, 1H), 5,0-4,9 (br s, 1H), 4,68 (d, 2H).

Etapa D: Preparación de sal interna de 1-[(2-cloro-5-tiazolil)metil]-2-hidroxi-4-oxo-9-fenil-3-[3-(trifluorometoxi)fenil]-4*H*-pirido[1,2-*a*]pirimidinio

Se disolvieron *N*-[(2-cloro-5-tiazolil)metil]-3-fenil-2-piridinamina (es decir, el producto de la Etapa C, 100 mg, 0,33 mmol) y 1,3-bis(2,4,6-triclorofenil)-2-fenilpropaodiato (246 mg, 0,398 mmol) en tolueno (10 ml) y se calentaron a 85 °C durante la noche. Después, la mezcla de reacción se vertió sobre una columna de gel de sílice que se eluyó con 50-100 % acetato de etilo en hexanos para proporcionar el compuesto del título, un compuesto de la presente invención, como un sólido amarillo (63 mg).

^{1}H RMN ($CDCl_3$) δ 9,60 (d, 1H), 8,05 (d, 1H), 7,85-7,75 (m, 2), 7,55 (m, 3H), 7,5-7,4 (m, 4H), 7,1 (dd, 1H), 6,84 (s, 1H), 5,1-5,25 (br s, 2H).

Ejemplo de síntesis 2

Preparación de sal interna de 1-[(2-cloro-5-tiazolil)metil]-3-(2-fluorofenil)-2-hidroxi-9-metil-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio (compuesto número 30)

Etapa A: Preparación de *N*-[(2-cloro-5-tiazolil)metil]-3-metil-2-piridinamina

Se calentó una mezcla de 2-amino-3-metilpiridina (2,16 g, 20 mmol) y 2-cloro-5-(clorometil)tiazol (1,68 g, 10 mmol) en *N*-metilpirrolidinona (10 ml) a 180 °C en un reactor de microondas durante 10 minutos. La mezcla de reacción enfriada se vertió en una solución acuosa saturada de bicarbonato de sodio y se extrajo con acetato de etilo. Se separó la capa orgánica, se lavó con solución acuosa saturada de bicarbonato de sodio, se secó sobre Na_2SO_4 y se concentró bajo presión reducida. El residuo se purificó por cromatografía sobre gel de sílice eluido con 10 % de acetato de etilo en hexanos para proporcionar el compuesto del título como un aceite (2,0 g).

^{1}H RMN ($CDCl_3$) δ 8,07 (d, 1H), 7,43 (s, 1H), 7,26 (d, 1H), 6,63 (dd, 1H), 4,77 (d, 2H), 4,54 (br s, 1H).

Etapa B: Preparación de 1,3-bis(2,4,6-triclorofenil) 2-(2-fluorofenil)propanodioato

A una solución de ácido 2-(2-fluorofenil)propanodioico (0,60 g, 3 mmol, preparado por el método descrito en *Eur. J. Biochem.* **1992**, *210*, 475) en diclorometano (5 ml) se adicionó cloruro de oxalilo (0,65 ml, 7,5 mmol) y una gota de *N*,*N*-

dimetilformamida. La mezcla de reacción se agitó durante 1 hora a temperatura ambiente. Después, se adicionó 2,4,6-triclorofenol (1,47 g, 7,5 mmol) y la mezcla de reacción se agitó a temperatura ambiente durante la noche. La mezcla de reacción se concentró bajo presión reducida y el residuo crudo se trituró con una cantidad pequeña de metanol frío para dar el compuesto del título como un sólido blanco (1,1 g).

^{1}H RMN ($CDCl_3$) δ 7,73 (m, 1H), 7,42 (m, 1H), 7,37 (s, 2H), 7,24 (m, 1H), 7,19 (t, 1H), 5,72 (s, 1H).

Etapa C: Preparación de sal interna de 1-[(2-cloro-5-tiazolil)metil]-3-(2-fluorofenil)-2-hidroxi-9-metil-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio

Una solución de *N*-[(2-cloro-5-tiazolil)metil]-3-metil-2-piridinamina (es decir, el producto de la Etapa A, 100 mg, 0,4 mmol) y 1,3-bis(2,4,6-triclorofenil) 2-(2-fluorofenil)propanodioato (es decir, el producto de la Etapa B, 300 mg, 0,5 mmol) en tolueno (1 ml) se calentó a 70 °C durante 1 hora. Después, la mezcla de reacción se enfrió y se filtró y el sólido filtrado se trituró con una cantidad pequeña de éter de dietilo para dar el producto del título, un compuesto de la presente invención, como un sólido amarillo (60 mg).

^{1}H RMN ($CDCl_3$) δ 9,52 (d, 1H), 8,01 (dd, 1H), 7,58 (t, 1H), 7,37 (t, 1H), 7,1-7,35 (m, 4H), 5,62 (s, 2H), 2,84 (s, 3H).

Ejemplo de síntesis 2a

Preparación alternativa de sal interna de 1-[(2-cloro-5-tiazolil)metil]-3-(2-fluorofenil)-2-hidroxi-9-metil-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio (compuesto número 30)

Etapa A: Preparación de α-ciano-2-fluorobencenoacetato de metilo

Se adicionó metóxido sódico (51,84 g) a tolueno seco (815 ml) en un reactor de 1l bajo atmósfera de nitrógeno. La mezcla de reacción se calentó a 75 °C y, después, se adicionó una mezcla de 2-fluorobencenoacetonitrilo (100 g) en carbonato de dimetilo (131,64 g) a 70-74 °C durante un período de 45 minutos. La mezcla de reacción se mantuvo aun más a esta temperatura (70-75 °C) durante 4,5 horas más. La mezcla de reacción, después, se enfrió a 25-30 °C y se adicionó a una mezcla de HCl (110 g, 35,2 % en peso), se diluyó con agua (300 ml) a 10-15 °C mediante el uso de un embudo de adición. Las capas resultantes se separaron y, después, se extrajo la capa acuosa con acetato de etilo (2 X 200 ml). Las capas orgánicas combinadas se lavaron con agua (2 X 200 ml), se secaron sobre sulfato de sodio y se concentraron bajo presión reducida para proporcionar el compuesto del título como un líquido oleoso color café (138,8 g, 94,8 % de rendimiento).

Etapa B: Preparación de 1,3-dimetil 2-(2-fluorofenil)propanodioato

Se adicionó α-ciano-2-fluorobencenoacetato de metilo (135 g) a metanol (675 g) en un reactor de 1 l bajo atmósfera de nitrógeno. La mezcla de reacción se enfrió a 0 °C y se adicionó cloruro de tionilo (240,47 g) lentamente, durante un período de 45 minutos. La mezcla de reacción se calentó a 45 °C durante 19 horas y el progreso de la reacción se monitoreó por HPLC. Después, la mezcla de reacción se enfrió a 30 °C y se concentró bajo presión reducida a 45-47 °C. El residuo se disolvió en agua (300 ml) y se extrajo con acetato de etilo (2 X 300 ml). Las capas orgánicas combinadas se lavaron con agua (2 X 300 ml), se secaron sobre sulfato de sodio y se concentraron bajo presión reducida hasta que se secaron, para dar el compuesto del título (152,2 g, 95,9 % de rendimiento).

Etapa C: Preparación de ácido 2-(2-fluorofenil)propanodioico

Se adicionó hidróxido de sodio (117,11 g de una solución acuosa al 50 %) a agua (160,5 g) y la solución se enfrió a 10-15 °C. Se adicionó cloruro de tetrametilamonio (4,88 g), seguido por la adición, por goteo, de 1,3-dimetil 2-(2-fluorofenil)propanodioato (150 g) durante un período de 30 minutos a 10-15 °C. La temperatura de la reacción se elevó lentamente a 30 °C después de la adición, y se mantuvo a 27-32 °C. La masa de reacción se transformó en una lechada

espesa, y se adicionó agua (25 g) para mejorar la agitación. El progreso de la reacción se monitoreó por HPLC. Después de agitarse durante 2 horas, la mezcla de reacción se adicionó a una solución de HCl (231 g) y agua (150 ml) durante un período de 30 minutos a 5-10 °C. El pH de la mezcla se ajustó a < 2, y la mezcla de reacción se agitó a 5-10 °C durante media hora. La mezcla de reacción, después, se filtró para aislar el producto sólido que se secó al aire y, después, se secó aun más bajo presión reducida a 35 °C durante 3 horas. Se hizo una lechada del sólido seco con éter de petróleo, y la lechada se filtró para volver a aislar el sólido. Después, el sólido se secó bajo presión reducida a 35 °C durante 3 horas para dar el producto del título (115,5 g, 93,97 %).

Etapa D: Preparación de 1,3-bis(4-nitrofenil) 2-(2-fluorofenil)propanodioato

A un matraz de 1 l se adicionó tolueno (500 ml), ácido 2-(2-fluorofenil)propanodioico (100 g, 0,479 moles) y *N,N*-dimetilformamida (6,92 g) a 25 °C bajo atmósfera de nitrógeno. La mezcla de reacción se calentó, inicialmente, a 30 °C y se adicionó, por goteo, cloruro de tionilo (127,53 g, 1,071 moles) durante un período de 20 minutos a 25-30 °C. Después de la adición, la mezcla de reacción se calentó a 48-50 °C y esta temperatura se mantuvo durante 3,5 horas. Después, se adicionó tolueno (300 ml) a la mezcla de reacción en una porción, seguido por la adición de 4-nitrofenol sólido

(148,09 g total, 1,064 moles) en diez porciones durante un período de 15 minutos. Después de la adición, la mezcla de reacción se mantuvo a 49-55 °C durante 1,5 horas. La mezcla de reacción, después, se concentró bajo presión reducida a 40-45 °C para eliminar el tolueno. El residuo resultante se trituró mediante el uso de metanol frío (300 ml, aproximadamente 5 °C) para dar un sólido blancuzco, el cual se aisló por filtración, se lavó con metanol frío (2 X 150 ml, aproximadamente 5 °C) y se secó bajo presión reducida a 45 °C para dar el compuesto del título (163,8 g, 71,6 %).

Etapa E: Preparación de sal interna de 1-[(2-cloro-5-tiazolil)metil]-3-(2-fluorofenil)-2-hidroxi-9-metil-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio

Se adicionaron *N*-[(2-cloro-5-tiazolil)metil]-3-metil-2-piridinamina (es decir, el producto del Ejemplo 1 Etapa A, 60,0 g, 0,247 mol), 1,3-bis(4-nitrofenil) 2-(2-fluorofenil)propanodioato (142 g, 0,297 mol), imidazol (6,74 g, 99 mmol) y acetato de etilo (300 ml) a un reactor y la mezcla de reacción se calentó a 72-77 °C durante 2,0 horas. La mezcla de reacción se enfrió, después, a 40 °C, y se adicionó agua (460 ml). La lechada resultante se enfrió a 10 °C y, se mantuvo aun más a esa temperatura durante 15 minutos. Después, la lechada se filtró como un sólido húmedo que se lavó con metanol (360 mL). El producto crudo se secó al aire y, después, se secó aun más bajo presión reducida a

45-50 °C durante 3 horas para proporcionar el compuesto del título, un compuesto de esta invención, como un sólido (93,7 g, 93,1 % de rendimiento). ^{1}H RMN (dmso-d_6) δ 9,26 (d, 1H), 8,27 (d, 1H), 7,78 (s, 1H), 7,57-7,46 (m, 2H), 7,33-7,29 (m, 1H), 7,21-7,15 (m, 2H), 5,44 (s, 2H), 2,72 (s, 3H).

Ejemplo de síntesis 3

Preparación de sal interna de 1-[(2-cloro-5-tiazolil)metil]-3-(2',3'-dicloro[1,1'-bifenil]-3-il)-2-hidroxi-9-metil-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio (compuesto número 88)

Etapa A: Preparación de éster de etilo de ácido 2',3'-dicloro-[1,1'-bifenil]-3-acético

Una mezcla de éster de etilo de ácido 3-iodobencenacético (0,87 g, 3 mmol, preparado por el método descrito en *J. Chem. Soc.* **1963**, 5437), ácido 2,3-diclorofenilborónico (0,85 g, 4,5 mmol), dicloruro de bis(trifenilfosfina)paladio (0,10 g, 0,15 mmol) y solución acuosa de bicarbonato de sodio 2 N (3 ml) en *p*-dioxano (6 ml) se calentó a 160 °C en un reactor de microondas durante 5 minutos. La mezcla de reacción se enfrió, se vertió en agua y se extrajo con acetato de etilo. La capa orgánica se separó, se lavó con salmuera, se secó sobre Na_2SO_4 y se concentró bajo presión reducida. El residuo se purificó por cromatografía sobre gel de sílice eluido con acetato de etilo en hexanos para proporcionar el producto del título (0,48 g).

^{1}H RMN ($CDCl_3$) δ 8,07 (d, 1H), 7,43 (s, 1H), 7,26 (d, 1H), 6,63 (dd, 1H), 4,77 (d, 2H), 4,54 (br s, 1H).

Etapa B: Preparación de 1,3-dietil éster de ácido 2-(2',3'-dicloro-[1,1'-bifenil]-3-il)propanodioico

A una solución de etil éster de ácido 2',3'-dicloro-[1,1'-bifenil]-3-acético (es decir, el producto de la Etapa A, 0,45 g, 1,45 mmol) y carbonato de dietilo (5 ml) se adicionó hidruro sódico (60 % de dispersión en aceite, 0,23 g, 5,8 mmol). La mezcla de reacción se agitó durante la noche a temperatura ambiente y se apagó con solución acuosa saturada de cloruro de amonio. Después, la mezcla de reacción se extrajo con acetato de etilo y la capa orgánica se secó sobre sulfato de sodio y se concentró bajo presión reducida para dar el compuesto del título (0,50 g).

^{1}H RMN ($CDCl_3$) δ 7,35-7,5 (m, 5H), 7,25 (t, 2H), 5,30 (s, 1H), 4,20 (q, 4H), 1,26 (t, 6H).

Etapa C: Preparación de ácido 2-(2',3'-dicloro[1,1'-bifenil]-3-il)propanodioico

Se adicionó 1,3-dietil éster de ácido 2-(2',3'-dicloro-[1,1'-bifenil]-3-il)propanodioico (es decir, el producto de la Etapa B, 0,30 g, 0,79 mmol) a 2 % de hidróxido de sodio acuoso (5 ml) y la mezcla de reacción se calentó a 70 °C durante 20 minutos. La mezcla de reacción se enfrió, se apagó con ácido clorhídrico 6 N y se extrajo con acetato de etilo. La capa orgánica se separó, se lavó con salmuera, se

secó sobre sulfato de sodio y se concentró bajo presión reducida para dar el compuesto del título (0,20 g).

^{1}H RMN ($CDCl_3$) δ 7,4-7,5 (m, 5H), 7,20-7,25 (m, 2H), 4,74 (s, 1H).

Etapa D: Preparación de sal interna de 1-[(2-cloro-5-tiazolil)metil]-3-(2',3'-dicloro[1,1'-bifenil]-3-il)-2-hidroxi-9-metil-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio

A una solución de ácido 2-(2',3'-dicloro[1,1'-bifenil]-3-il)propano dioico (es decir, el producto de la Etapa C, 200 mg, 0,62 mmol) en diclorometano (3 ml) se adicionó una gota de *N,N*-dimetilformamida y cloruro de oxalilo (0,3 ml, 3,5 mmol). La mezcla de reacción se agitó a temperatura ambiente durante 30 minutos y se concentró bajo presión reducida. El residuo se volvió a disolver en diclorometano (3 ml) y esta solución se adicionó a una solución enfriada con hielo de *N*-[(2-cloro-5-tiazolil)metil]-3-metil-2-piridinamina (es decir, el producto del Ejemplo de síntesis 2 Etapa A, 100 mg, 0,44 mmol) y trietilamina (0,3 ml, 2,2 mmol) en diclorometano (2 ml). La mezcla de reacción se agitó con enfriamiento durante 15 minutos y, después, se concentró en presencia de una cantidad pequeña de auxiliar de filtro diatomáceo Celite®. El residuo crudo se purificó por MPLC para dar 88 mg del compuesto del título, un compuesto de la presente invención.

^{1}H RMN ($CDCl_3$) δ 9,52 (d, 1H), 8,04 (dd, 1H), 7,76 (d, 1H), 7,2-7,45 (m, 6H), 5,95 (m, 1H), 5,34 (d, 1H), 5,30 (d, 1H), 5,01 (d, 2H).

Ejemplo de síntesis 4

Preparación de sal interna de 1-[(2-cloro-5-tiazolil)metil]-2-hidroxi-4-oxo-3-fenil-4*H*-pirimido[2,1-*a*]isoquinolinio (compuesto número 99)

Etapa A: Preparación de *N*-[(2-cloro-5-tiazolil)metil]-1-isoquinolinamina

Una mezcla de 1-aminoisoquinolina (0,56 g, 3,9 mmol) y 2-cloro-5-(clorometil)tiazol (0,50 g, 3,0 mmol) en *N*-metilpirrolidinona (3 ml) se calentó a 220 °C en un reactor de microondas durante 10 minutos. La mezcla de reacción se enfrió a temperatura ambiente, se diluyó con agua (20 ml) y se extrajo con éter de dietilo (5 x 20 ml). Los extractos orgánicos combinados se concentraron bajo presión reducida y el residuo resultante se purificó por cromatografía sobre gel de sílice eluido con 20-50 % de acetato de etilo/hexano para dar el compuesto del título como un sólido amarillo pálido (0,09 g).

^{1}H RMN ($CDCl_3$) δ 8,07 (d, 1H), 7,70-7,75 (m, 2H), 7,62 (t, 1H), 7,50 (t, 1H), 7,05 (d, 1H), 5,60 (br s, 1H), 4,91 (d, 2H), 1,58 (br s, NH + H_2O).

Etapa B: Preparación de sal interna de 1-[(2-cloro-5-tiazolil)metil]-2-hidroxi-4-oxo-3-fenil-4*H*-pirimido[2,1-*a*]isoquinolinio

A una solución de ácido fenilmalónico (0,44 g, 2,4 mmol) en diclorometano (10 ml) se adicionaron dos gotas de *N*,*N*-dimetilformamida y cloruro de oxalilo (1,1 ml, 12 mmol). La mezcla de reacción se agitó a temperatura ambiente durante 30 minutos, se concentró bajo presión reducida y, después, se volvió a disolver en diclorometano (2,4 ml). Se adicionó una porción de la solución resultante (0,4 ml, 0,4 mmol) a una solución enfriada con hielo de *N*-[(2-cloro-5-tiazolil)metil]-1-isoquinolinamina (es decir, el producto de la Etapa A, 50 mg, 0,18 mmol) y trietilamina (0,08 ml) en diclorometano (2 ml). La mezcla de reacción se dejó calentar a temperatura ambiente y se agitó durante la noche. Después, la mezcla de reacción se concentró y el residuo crudo se purificó por MPLC (80-100 % de acetato de etilo/hexano como eluyente) para dar 17,4 mg del compuesto del título, un compuesto de la presente invención.

^{1}H RMN ($CDCl_3$) δ 9,26 (d, 1H), 8,65 (d, 1H), 7,97-8,02 (m, 2H), 7,84 (d, 2H), 7,78-7,82 (m, 1H), 7,68 (s, 1H), 7,60 (d, 1H), 7,42-7,48 (m, 3H), 5,64 (br s, 2H).

Ejemplo de síntesis 5

Preparación de sal interna de 2-hidroxi-9-metil-4-oxo-1-(5-pirimidinilmetil)-3-[3-(triflúorometoxi)fenil]-4*H*-pirido[1,2-*a*]pirimidinio (compuesto número 43)

Etapa A: Preparación de *N*-(5-pirimidinilmetil)-3-metil-2-piridinamina

A una solución de 5-pirimidincarboxaldehído (5,0 g, 46 mmol) en tolueno (100 ml) se adicionó 2-amino-3-picolina (5,0 g, 46 mmol). La mezcla de reacción se calentó a 80 °C en un evaporador rotatorio mientras se encontraba a presión reducida. Después de 10 minutos, se adicionaron 200 ml adicionales de tolueno. El calentamiento continuo bajo presión reducida resultó en un sólido blanco que se disolvió en metanol (200 ml). Esta solución se agitó vigorosamente bajo una atmósfera de nitrógeno y se adicionó poco a poco borohidruro sódico granular (10,0 g, 265 mmol) lo que resultó en un burbujeo vigoroso. La reacción se dejó agitar durante 1 hora a temperatura ambiente después de que se completó la adición de borohidruro sódico. Después, se adicionó ácido acético (1 ml) y la mezcla de reacción se agitó durante 5 minutos, seguido por la adición de agua (100 ml). Se eliminaron los volátiles bajo presión reducida y la suspensión acuosa se extrajo con diclorometano (2 x 100 ml). Las capas orgánicas se combinaron y se secaron sobre $MgSO_4$, se filtraron y el solvente se eliminó bajo presión reducida para dar un sólido blancuzco. El sólido crudo se adsorbió en Celite® y se purificó por cromatografía en

columna (gradiente de 100 % hexanos a 100 % de acetato de etilo durante 30 minutos) para dar 8,848 g de un sólido blanco.

^{1}h RMN ($CDCl_3$) δ 9,11 (s, 1H), 8,77 (s, 2H), 8,00 (d, 1H), 7,26 (s, 1H), 6,60 (dd, 1H), 4,73 (d, 2H), 4,59 (br s, NH), 2,12 (s, 3H).

Etapa B: Preparación de sal interna de 2-hidroxi-9-metil-4-oxo-1-(5-pirimidinilmetil)-3-[3-(trifluorometoxi)fenil]-4*H*-pirido[1,2-*a*]pirimidinio

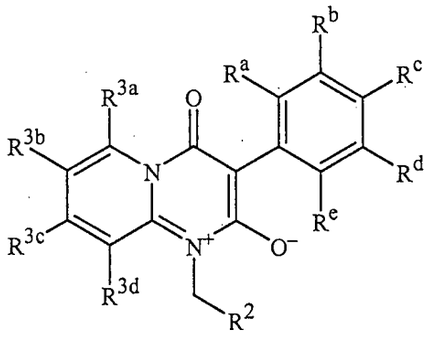
A una solución de éster del ácido 1,3-bis(2,4,6-triclorofenil)-2-[3-(triflúorometoxi)fenil]-propanodioico (100 mg, 0,16 mmoles) en tolueno (10 ml) se adicionó *N*-(5-pirimidinilmetil)-3-metil-2-piridinamina (es decir, el producto de la Etapa A, 32 mg, 0,16 mmoles). La mezcla de reacción se calentó a 80 °C durante 18 horas. El enfriamiento del vaso de la reacción a 0 °C resultó en la precipitación de un sólido amarillo que se aisló por filtración para dar 13,2 mg del compuesto del título, un compuesto de la presente invención, como un sólido amarillo.

^{1}H RMN ($CD_3C(O)CD_3$) δ 9,46 (d, 1H), 9,05 (s, 1H), 8,83 (s, 2H) 8,27 (d, 1H), 8,04 (d, 1H), 8,02 (s, 1H), 7,57 (t, 1H), 7,38 (t, 1H), 7,07 (d, 1H), 5,66 (s, 2H), 2,69 (s, 3H).

Por medio de los procedimientos descritos en la presente descripción combinados con métodos conocidos en la técnica, pueden prepararse los siguientes compuestos de las Tablas 1 a 32. Las siguientes abreviaturas se usan en las

Tablas 1 a 32 que siguen: Me significa metilo, Et significa etilo, Pr significa propilo y Ph significa fenilo.

Las Tablas 1-15 se relacionan con la estructura de la Fórmula T-1 que se muestra más abajo.



T-1

Tabla 1

R^b, R^c, R^d, R^e, R^{3a}, R^{3b} y R^{3c} son H; R^{3d} es Me; R^2 es 2-cloro-5-tiazolilo

R^a	R^a	R^a	R^a
H	O-*i*-Pr	3-(CF_3)fenilo	2-fluoro-4-cianofenilo
F	$OCH_2CH=CH_2$	3-fluorofenilo	2-fluoro-4-clorofenilo
Cl	$OCH_2C\equiv CH$	3-cianofenilo	2-metil-4-clorofenilo
Br	O-*c*-Pr	3-(OCF_3)fenilo	2-fluoro-4-(CF_3)fenilo
I	OCF_3	4-fluorofenilo	2,4-bis(CF_3)fenilo
Me	$OCHF_2$	4-clorofenilo	2-fluoro-4-bromofenilo
Et	OCH_2CF_3	4-(CF_3)fenilo	2-cloro-4-fluorofenilo

Pr	SCF_3	4-cianofenilo	2-(CF_3)-4-fluorofenilo
i-Pr	SCF_3	4-bromofenilo	2-metil-4-(CF_3)fenilo
c-Pr	$SCHF_2$	6-cloro-3-piridinilo	2-cloro-4-(CF_3)fenilo
t-Bu	$S(O)CF_3$	6-fluoro-3-piridinilo	2-(CF_3)-4-clorofenilo
CF_3	SO_2CF_3	6-(CF_3)-3-piridinilo	2,5-difluorofenilo
CH_2F	CO_2Me	4,6-dicloro-3-piridinilo	2-fluoro-5-(CF_3)fenilo
CHF_2	CO_2Et	2-fluoro-6-cloro-3-piridinilo	2-fluoro-5-clorofenilo
OMe	ciano	2,6-dicloro-3-piridinilo	2,5-diclorofenilo
OEt	C(O)NHMe	2-bromo-5-cloro-4-piridinilo	2-fluoro-5-(OCF_3)fenilo
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorofenilo	2-cloro-5-(CF_3)fenilo
OPh	C(=NOMe)Me	3-cloro-5-fluorofenilo	*N*-Me-4-pirazolilo
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-clorofenilo	3-Me-5-isoxazolilo

C≡CH	2-fluorofenilo	2,4-diclorofenilo	6-(6-Cl-3-piridinil)-3-piridinilo
fenilo	3-clorofenilo	2,4-difluorofenilo	

R^a, R^c, R^d, R^e, R^{3a}, R^{3b} y R^{3c} son H; R^{3d} es Me; R^2 es 2-cloro-5-tiazolilo

R^b	R^b	R^b	R^b
H	O-*i*-Pr	3-(CF_3)fenilo	2-fluoro-4-cianofenilo
F	$OCH_2CH=CH_2$	3-fluorofenilo	2-fluoro-4-clorofenilo
Cl	$OCH_2C\equiv CH$	3-cianofenilo	2-metil-4-clorofenilo
Br	O-*c*-Pr	3-(OCF_3)fenilo	2-fluoro-4-(CF_3)fenilo
I	OCF_3	4-fluorofenilo	2,4-bis(CF_3)fenilo
Me	$OCHF_2$	4-clorofenilo	2-fluoro-4-bromofenilo
Et	OCH_2CF_3	4-(CF_3)fenilo	2-cloro-4-fluorofenilo
Pr	SCF_3	4-cianofenilo	2-(CF_3)-4-fluorofenilo
i-Pr	SCF_3	4-bromofenilo	2-metil-4-(CF_3)fenilo
c-Pr	$SCHF_2$	6-cloro-3-piridinilo	2-cloro-4-(CF_3)fenilo
t-Bu	S(O)CF_3	6-fluoro-3-piridinilo	2-(CF_3)-4-clorofenilo
CF_3	SO_2CF_3	6-(CF_3)-3-piridinilo	2,5-difluorofenilo
CH_2F	CO_2Me	4,6-dicloro-3-piridinilo	2-fluoro-5-(CF_3)fenilo

CHF_2	CO_2Et	2-fluoro-6-cloro-3-piridinilo	2-fluoro-5-clorofenilo
OMe	ciano	2,6-dicloro-3-piridinilo	2,5-diclorofenilo
OEt	C(O)NHMe	2-bromo-5-cloro-4-piridinilo	2-fluoro-5-(OCF_3)fenilo
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorofenilo	2-cloro-5-(CF_3)fenilo
OPh	C(=NOMe)Me	3-cloro-5-fluorofenilo	*N*-Me-4-pirazolilo
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-clorofenilo	3-Me-5-isoxazolilo
C≡CH	2-fluorofenilo	2,4-diclorofenilo	6-(6-Cl-3-piridinil)-3-piridinilo
fenilo	3-clorofenilo	2,4-difluorofenilo	

R^a, R^b, R^d, R^e, R^{3a}, R^{3b} y R^{3c} son H; R^{3d} es Me; R^2 es 2-cloro-5-tiazolilo

R^c	R^c	R^c	R^c
H	O-*i*-Pr	3-(CF_3)fenilo	2-fluoro-4-cianofenilo
F	$OCH_2CH=CH_2$	3-fluorofenilo	2-fluoro-4-clorofenilo
Cl	$OCH_2C≡CH$	3-cianofenilo	2-metil-4-clorofenilo

Br	O-*c*-Pr	3-(OCF_3)fenilo	2-fluoro-4-(CF_3)fenilo
I	OCF_3	4-fluorofenilo	2,4-bis(CF_3)fenilo
Me	$OCHF_2$	4-clorofenilo	2-fluoro-4-bromofenilo
Et	OCH_2CF_3	4-(CF_3)fenilo	2-cloro-4-fluorofenilo
Pr	SCF_3	4-cianofenilo	2-(CF_3)-4-fluorofenilo
i-Pr	SCF_3	4-bromofenilo	2-metil-4-(CF_3)fenilo
c-Pr	$SCHF_2$	6-cloro-3-piridinilo	2-cloro-4-(CF_3)fenilo
t-Bu	S(O)CF_3	6-fluoro-3-piridinilo	2-(CF_3)-4-clorofenilo
CF_3	SO_2CF_3	6-(CF_3)-3-piridinilo	2,5-difluorofenilo
CH_2F	CO_2Me	4,6-dicloro-3-piridinilo	2-fluoro-5-(CF_3)fenilo
CHF_2	CO_2Et	2-fluoro-6-cloro-3-piridinilo	2-fluoro-5-clorofenilo
OMe	ciano	2,6-dicloro-3-piridinilo	2,5-diclorofenilo
OEt	C(O)NHMe	2-bromo-5-cloro-4-piridinilo	2-fluoro-5-(OCF_3)fenilo
O-*n*-Pr	C(O)NMe_2	3-bromo-5-fluorofenilo	2-cloro-5-(CF_3)fenilo

OPh	C(=NOMe)Me	3-cloro-5-fluorofenilo	*N*-Me-4-pirazolilo
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-clorofenilo	3-Me-5-isoxazolilo
C≡CH	2-fluorofenilo	2,4-diclorofenilo	6-(6-Cl-3-piridinil)-3-piridinilo
fenilo	3-clorofenilo	2,4-difluorofenilo	

R^a es F; R^c, R^d, R^e, R^{3a}, R^{3b} y R^{3c} son H; R^{3d} es Me; R^2 es 2-cloro-5-tiazolilo

R^b	R^b	R^b	R^b
H	O-*i*-Pr	3-(CF_3)fenilo	2-fluoro-4-cianofenilo
F	$OCH_2CH=CH_2$	3-fluorofenilo	2-fluoro-4-clorofenilo
Cl	$OCH_2C\equiv CH$	3-cianofenilo	2-metil-4-clorofenilo
Br	O-*c*-Pr	3-(OCF_3)fenilo	2-fluoro-4-(CF_3)fenilo
I	OCF_3	4-fluorofenilo	2,4-bis(CF_3)fenilo
Me	$OCHF_2$	4-clorofenilo	2-fluoro-4-bromofenilo
Et	OCH_2CF_3	4-(CF_3)fenilo	2-cloro-4-fluorofenilo
Pr	SCF_3	4-cianofenilo	2-(CF_3)-4-fluorofenilo
i-Pr	SCF_3	4-bromofenilo	2-metil-4-(CF_3)fenilo
c-Pr	$SCHF_2$	6-cloro-3-piridinilo	2-cloro-4-(CF_3)fenilo
t-Bu	$S(O)CF_3$	6-fluoro-3-piridinilo	2-(CF_3)-4-clorofenilo

CF_3	SO_2CF_3	6-(CF_3)-3-piridinilo	2,5-difluorofenilo
CH_2F	CO_2Me	4,6-dicloro-3-piridinilo	2-fluoro-5-(CF_3)fenilo
CHF_2	CO_2Et	2-fluoro-6-cloro-3-piridinilo	2-fluoro-5-clorofenilo
OMe	ciano	2,6-dicloro-3-piridinilo	2,5-diclorofenilo
OEt	C(O)NHMe	2-bromo-5-cloro-4-piridinilo	2-fluoro-5-(OCF_3)fenilo
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorofenilo	2-cloro-5-(CF_3)fenilo
OPh	C(=NOMe)Me	3-cloro-5-fluorofenilo	*N*-Me-4-pirazolilo
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-clorofenilo	3-Me-5-isoxazolilo
C≡CH	2-fluorofenilo	2,4-diclorofenilo	6-(6-Cl-3-piridinil)-3-piridinilo
fenilo	3-clorofenilo	2,4-difluorofenilo	

R^a es F; R^b, R^d, R^e, R^{3a}, R^{3b} y R^{3c} son H; R^{3d} es Me; R^2 es 2-cloro-5-tiazolilo

R^c	R^c	R^c	R^c
H	O-*i*-Pr	3-(CF_3)fenilo	2-fluoro-4-cianofenilo
F	$OCH_2CH=CH_2$	3-fluorofenilo	2-fluoro-4-clorofenilo
Cl	$OCH_2C\equiv CH$	3-cianofenilo	2-metil-4-clorofenilo
Br	O-*c*-Pr	3-(OCF_3)fenilo	2-fluoro-4-(CF_3)fenilo
I	OCF_3	4-fluorofenilo	2,4-bis(CF_3)fenilo
Me	$OCHF_2$	4-clorofenilo	2-fluoro-4-bromofenilo
Et	OCH_2CF_3	4-(CF_3)fenilo	2-cloro-4-fluorofenilo
Pr	SCF_3	4-cianofenilo	2-(CF_3)-4-fluorofenilo
i-Pr	SCF_3	4-bromofenilo	2-metil-4-(CF_3)fenilo
c-Pr	$SCHF_2$	6-cloro-3-piridinilo	2-cloro-4-(CF_3)fenilo
t-Bu	S(O)CF_3	6-fluoro-3-piridinilo	2-(CF_3)-4-clorofenilo
CF_3	SO_2CF_3	6-(CF_3)-3-piridinilo	2,5-difluorofenilo
CH_2F	CO_2Me	4,6-dicloro-3-piridinilo	2-fluoro-5-(CF_3)fenilo
CHF_2	CO_2Et	2-fluoro-6-cloro-3-piridinilo	2-fluoro-5-clorofenilo
OMe	ciano	2,6-dicloro-3-piridinilo	2,5-diclorofenilo

OEt	C(O)NHMe	2-bromo-5-cloro-4-piridinilo	2-fluoro-5-(OCF_3)fenilo
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorofenilo	2-cloro-5-(CF_3)fenilo
OPh	C(=NOMe)Me	3-cloro-5-fluorofenilo	*N*-Me-4-pirazolilo
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-clorofenilo	3-Me-5-isoxazolilo
C≡CH	2-fluorofenilo	2,4-diclorofenilo	6-(6-Cl-3-piridinil)-3-piridinilo
fenilo	3-clorofenilo	2,4-difluorofenilo	

R^a es F; R^b, R^c, R^e, R^{3a}, R^{3b} y R^{3c} son H; R^{3d} es Me; R^2 es 2-cloro-5-tiazolilo

R^d	R^d	R^d	R^d
H	O-*i*-Pr	3-(CF_3)fenilo	2-fluoro-4-cianofenilo
F	$OCH_2CH=CH_2$	3-fluorofenilo	2-fluoro-4-clorofenilo
Cl	$OCH_2C≡CH$	3-cianofenilo	2-metil-4-clorofenilo
Br	O-*c*-Pr	3-(OCF_3)fenilo	2-fluoro-4-(CF_3)fenilo
I	OCF_3	4-fluorofenilo	2,4-bis(CF_3)fenilo
Me	$OCHF_2$	4-clorofenilo	2-fluoro-4-bromofenilo
Et	OCH_2CF_3	4-(CF_3)fenilo	2-cloro-4-fluorofenilo
Pr	SCF_3	4-cianofenilo	2-(CF_3)-4-fluorofenilo

i-Pr	SCF_3	4-bromofenilo	2-metil-4-(CF_3)fenilo
c-Pr	$SCHF_2$	6-cloro-3-piridinilo	2-cloro-4-(CF_3)fenilo
t-Bu	$S(O)CF_3$	6-fluoro-3-piridinilo	2-(CF_3)-4-clorofenilo
CF_3	SO_2CF_3	6-(CF_3)-3-piridinilo	2,5-difluorofenilo
CH_2F	CO_2Me	4,6-dicloro-3-piridinilo	2-fluoro-5-(CF_3)fenilo
CHF_2	CO_2Et	2-fluoro-6-cloro-3-piridinilo	2-fluoro-5-clorofenilo
OMe	ciano	2,6-dicloro-3-piridinilo	2,5-diclorofenilo
OEt	C(O)NHMe	2-bromo-5-cloro-4-piridinilo	2-fluoro-5-(OCF_3)fenilo
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorofenilo	2-cloro-5-(CF_3)fenilo
OPh	C(=NOMe)Me	3-cloro-5-fluorofenilo	*N*-Me-4-pirazolilo
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-clorofenilo	3-Me-5-isoxazolilo

CH=CH	2-fluorofenilo	2,4-diclorofenilo	6-(6-Cl-3-piridinil)-3-piridinilo
fenilo	3-clorofenilo	2,4-difluorofenilo	

R^a es F; R^b, R^c, R^d, R^{3a}, R^{3b} y R^{3c} son H; R^{3d} es Me; R^2 es 2-cloro-5-tiazolilo

R^e	R^e	R^e	R^e
H	O-*i*-Pr	3-(CF_3)fenilo	2-fluoro-4-cianofenilo
F	$OCH_2CH=CH_2$	3-fluorofenilo	2-fluoro-4-clorofenilo
Cl	$OCH_2C\equiv CH$	3-cianofenilo	2-metil-4-clorofenilo
Br	O-*c*-Pr	3-(OCF_3)fenilo	2-fluoro-4-(CF_3)fenilo
I	OCF_3	4-fluorofenilo	2,4-bis(CF_3)fenilo
Me	$OCHF_2$	4-clorofenilo	2-fluoro-4-bromofenilo
Et	OCH_2CF_3	4-(CF_3)fenilo	2-cloro-4-fluorofenilo
Pr	SCF_3	4-cianofenilo	2-(CF_3)-4-fluorofenilo
i-Pr	SCF_3	4-bromofenilo	2-metil-4-(CF_3)fenilo
c-Pr	$SCHF_2$	6-cloro-3-piridinilo	2-cloro-4-(CF_3)fenilo
t-Bu	$S(O)CF_3$	6-fluoro-3-piridinilo	2-(CF_3)-4-clorofenilo
CF_3	SO_2CF_3	6-(CF_3)-3-piridinilo	2,5-difluorofenilo
CH_2F	CO_2Me	4,6-dicloro-3-piridinilo	2-fluoro-5-(CF_3)fenilo

CHF_2	CO_2Et	2-fluoro-6-cloro-3-piridinilo	2-fluoro-5-clorofenilo
OMe	ciano	2,6-dicloro-3-piridinilo	2,5-diclorofenilo
OEt	C(O)NHMe	2-bromo-5-cloro-4-piridinilo	2-fluoro-5-(OCF_3)fenilo
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorofenilo	2-cloro-5-(CF_3)fenilo
OPh	C(=NOMe)Me	3-cloro-5-fluorofenilo	*N*-Me-4-pirazolilo
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-clorofenilo	3-Me-5-isoxazolilo
C≡CH	2-fluorofenilo	2,4-diclorofenilo	6-(6-Cl-3-piridinil)-3-piridinilo
fenilo	3-clorofenilo	2,4-difluorofenilo	

R^a es Cl; R^c, R^d, R^e, R^{3a}, R^{3b} y R^{3c} son H; R^{3d} es Me; R^2 es 2-cloro-5-tiazolilo

R^b	R^b	R^b	R^b
H	O-*i*-Pr	3-(CF_3)fenilo	2-fluoro-4-cianofenilo
F	$OCH_2CH=CH_2$	3-fluorofenilo	2-fluoro-4-clorofenilo
Cl	$OCH_2C≡CH$	3-cianofenilo	2-metil-4-clorofenilo

Br	O-*c*-Pr	3-(OCF_3)fenilo	2-fluoro-4-(CF_3)fenilo
I	OCF_3	4-fluorofenilo	2,4-bis(CF_3)fenilo
Me	$OCHF_2$	4-clorofenilo	2-fluoro-4-bromofenilo
Et	OCH_2CF_3	4-(CF_3)fenilo	2-cloro-4-fluorofenilo
Pr	SCF_3	4-cianofenilo	2-(CF_3)-4-fluorofenilo
i-Pr	SCF_3	4-bromofenilo	2-metil-4-(CF_3)fenilo
c-Pr	$SCHF_2$	6-cloro-3-piridinilo	2-cloro-4-(CF_3)fenilo
t-Bu	S(O)CF_3	6-fluoro-3-piridinilo	2-(CF_3)-4-clorofenilo
CF_3	SO_2CF_3	6-(CF_3)-3-piridinilo	2,5-difluorofenilo
CH_2F	CO_2Me	4,6-dicloro-3-piridinilo	2-fluoro-5-(CF_3)fenilo
CHF_2	CO_2Et	2-fluoro-6-cloro-3-piridinilo	2-fluoro-5-clorofenilo
OMe	ciano	2,6-dicloro-3-piridinilo	2,5-diclorofenilo
OEt	C(O)NHMe	2-bromo-5-cloro-4-piridinilo	2-fluoro-5-(OCF_3)fenilo
O-*n*-Pr	C(O)NMe_2	3-bromo-5-fluorofenilo	2-cloro-5-(CF_3)fenilo

OPh	C(=NOMe)Me	3-cloro-5-fluorofenilo	*N*-Me-4-pirazolilo
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-clorofenilo	3-Me-5-isoxazolilo
C≡CH	2-fluorofenilo	2,4-diclorofenilo	6-(6-Cl-3-piridinil)-3-piridinilo
fenilo	3-clorofenilo	2,4-difluorofenilo	

R^a es Cl; R^b, R^d, R^e, R^{3a}, R^{3b} y R^{3c} son H; R^{3d} es Me; R^2 es 2-cloro-5-tiazolilo

R^c	R^c	R^c	R^c
H	O-*i*-Pr	3-(CF_3)fenilo	2-fluoro-4-cianofenilo
F	$OCH_2CH=CH_2$	3-fluorofenilo	2-fluoro-4-clorofenilo
Cl	$OCH_2C\equiv CH$	3-cianofenilo	2-metil-4-clorofenilo
Br	O-*c*-Pr	3-(OCF_3)fenilo	2-fluoro-4-(CF_3)fenilo
I	OCF_3	4-fluorofenilo	2,4-bis(CF_3)fenilo
Me	$OCHF_2$	4-clorofenilo	2-fluoro-4-bromofenilo
Et	OCH_2CF_3	4-(CF_3)fenilo	2-cloro-4-fluorofenilo
Pr	SCF_3	4-cianofenilo	2-(CF_3)-4-fluorofenilo
i-Pr	SCF_3	4-bromofenilo	2-metil-4-(CF_3)fenilo
c-Pr	$SCHF_2$	6-cloro-3-piridinilo	2-cloro-4-(CF_3)fenilo
t-Bu	$S(O)CF_3$	6-fluoro-3-piridinilo	2-(CF_3)-4-clorofenilo

CF_3	SO_2CF_3	6-(CF_3)-3-piridinilo	2,5-difluorofenilo
CH_2F	CO_2Me	4,6-dicloro-3-piridinilo	2-fluoro-5-(CF_3)fenilo
CHF_2	CO_2Et	2-fluoro-6-cloro-3-piridinilo	2-fluoro-5-clorofenilo
OMe	ciano	2,6-dicloro-3-piridinilo	2,5-diclorofenilo
OEt	C(O)NHMe	2-bromo-5-cloro-4-piridinilo	2-fluoro-5-(OCF_3)fenilo
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorofenilo	2-cloro-5-(CF_3)fenilo
OPh	C(=NOMe)Me	3-cloro-5-fluorofenilo	*N*-Me-4-pirazolilo
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-clorofenilo	3-Me-5-isoxazolilo
$C\equiv CH$	2-fluorofenilo	2,4-diclorofenilo	6-(6-Cl-3-piridinil)-3-piridinilo
fenilo	3-clorofenilo	2,4-difluorofenilo	

R^a es Cl; R^b, R^c, R^e, R^{3a}, R^{3b} y R^{3c} son H; R^{3d} es Me; R^2 es 2-cloro-5-tiazolilo

R^d	R^d	R^d	R^d
H	O-*i*-Pr	3-(CF_3)fenilo	2-fluoro-4-cianofenilo
F	$OCH_2CH=CH_2$	3-fluorofenilo	2-fluoro-4-clorofenilo
Cl	$OCH_2C\equiv CH$	3-cianofenilo	2-metil-4-clorofenilo
Br	O-*c*-Pr	3-(OCF_3)fenilo	2-fluoro-4-(CF_3)fenilo
I	OCF_3	4-fluorofenilo	2,4-bis(CF_3)fenilo
Me	$OCHF_2$	4-clorofenilo	2-fluoro-4-bromofenilo
Et	OCH_2CF_3	4-(CF_3)fenilo	2-cloro-4-fluorofenilo
Pr	SCF_3	4-cianofenilo	2-(CF_3)-4-fluorofenilo
i-Pr	SCF_3	4-bromofenilo	2-metil-4-(CF_3)fenilo
c-Pr	$SCHF_2$	6-cloro-3-piridinilo	2-cloro-4-(CF_3)fenilo
t-Bu	$S(O)CF_3$	6-fluoro-3-piridinilo	2-(CF_3)-4-clorofenilo
CF_3	SO_2CF_3	6-(CF_3)-3-piridinilo	2,5-difluorofenilo
CH_2F	CO_2Me	4,6-dicloro-3-piridinilo	2-fluoro-5-(CF_3)fenilo
CHF_2	CO_2Et	2-fluoro-6-cloro-3-piridinilo	2-fluoro-5-clorofenilo
OMe	ciano	2,6-dicloro-3-piridinilo	2,5-diclorofenilo

OEt	C(O)NHMe	2-bromo-5-cloro-4-piridinilo	2-fluoro-5-(OCF_3)fenilo
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorofenilo	2-cloro-5-(CF_3)fenilo
OPh	C(=NOMe)Me	3-cloro-5-fluorofenilo	*N*-Me-4-pirazolilo
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-clorofenilo	3-Me-5-isoxazolilo
$C\equiv CH$	2-fluorofenilo	2,4-diclorofenilo	6-(6-Cl-3-piridinil)-3-piridinilo
fenilo	3-clorofenilo	2,4-difluorofenilo	

R^a es Cl; R^b, R^c, R^d, R^{3a}, R^{3b} y R^{3c} son H; R^{3d} es Me; R^2 es 2-cloro-5-tiazolilo

R^e	R^e	R^e	R^e
H	O-*i*-Pr	3-(CF_3)fenilo	2-fluoro-4-cianofenilo
F	$OCH_2CH=CH_2$	3-fluorofenilo	2-fluoro-4-clorofenilo
Cl	$OCH_2C\equiv CH$	3-cianofenilo	2-metil-4-clorofenilo
Br	O-*c*-Pr	3-(OCF_3)fenilo	2-fluoro-4-(CF_3)fenilo
I	OCF_3	4-fluorofenilo	2,4-bis(CF_3)fenilo
Me	$OCHF_2$	4-clorofenilo	2-fluoro-4-bromofenilo
Et	OCH_2CF_3	4-(CF_3)fenilo	2-cloro-4-fluorofenilo
Pr	SCF_3	4-cianofenilo	2-(CF_3)-4-fluorofenilo

i-Pr	SCF_3	4-bromofenilo	2-metil-4-(CF_3)fenilo
c-Pr	$SCHF_2$	6-cloro-3-piridinilo	2-cloro-4-(CF_3)fenilo
t-Bu	$S(O)CF_3$	6-fluoro-3-piridinilo	2-(CF_3)-4-clorofenilo
CF_3	SO_2CF_3	6-(CF_3)-3-piridinilo	2,5-difluorofenilo
CH_2F	CO_2Me	4,6-dicloro-3-piridinilo	2-fluoro-5-(CF_3)fenilo
CHF_2	CO_2Et	2-fluoro-6-cloro-3-piridinilo	2-fluoro-5-clorofenilo
OMe	ciano	2,6-dicloro-3-piridinilo	2,5-diclorofenilo
OEt	C(O)NHMe	2-bromo-5-cloro-4-piridinilo	2-fluoro-5-(OCF_3)fenilo
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorofenilo	2-cloro-5-(CF_3)fenilo
OPh	C(=NOMe)Me	3-cloro-5-fluorofenilo	*N*-Me-4-pirazolilo
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-clorofenilo	3-Me-5-isoxazolilo

C≡CH	2-fluorofenilo	2,4-diclorofenilo	6-(6-Cl-3-piridinil)-3-piridinilo
fenilo	3-clorofenilo	2,4-difluorofenilo	

R^a es OMe; R^c, R^d, R^e, R^{3a}, R^{3b} y R^{3c} son H; R^{3d} es Me; R^2 es 2-cloro-5-tiazolilo

R^b	R^b	R^b	R^b
H	O-*i*-Pr	3-(CF_3)fenilo	2-fluoro-4-cianofenilo
F	$OCH_2CH=CH_2$	3-fluorofenilo	2-fluoro-4-clorofenilo
Cl	$OCH_2C\equiv CH$	3-cianofenilo	2-metil-4-clorofenilo
Br	O-*c*-Pr	3-(OCF_3)fenilo	2-fluoro-4-(CF_3)fenilo
I	OCF_3	4-fluorofenilo	2,4-bis(CF_3)fenilo
Me	$OCHF_2$	4-clorofenilo	2-fluoro-4-bromofenilo
Et	OCH_2CF_3	4-(CF_3)fenilo	2-cloro-4-fluorofenilo
Pr	SCF_3	4-cianofenilo	2-(CF_3)-4-fluorofenilo
i-Pr	SCF_3	4-bromofenilo	2-metil-4-(CF_3)fenilo
c-Pr	$SCHF_2$	6-cloro-3-piridinilo	2-cloro-4-(CF_3)fenilo
t-Bu	S(O)CF_3	6-fluoro-3-piridinilo	2-(CF_3)-4-clorofenilo
CF_3	SO_2CF_3	6-(CF_3)-3-piridinilo	2,5-difluorofenilo
CH_2F	CO_2Me	4,6-dicloro-3-piridinilo	2-fluoro-5-(CF_3)fenilo

CHF_2	CO_2Et	2-fluoro-6-cloro-3-piridinilo	2-fluoro-5-clorofenilo
OMe	ciano	2,6-dicloro-3-piridinilo	2,5-diclorofenilo
OEt	C(O)NHMe	2-bromo-5-cloro-4-piridinilo	2-fluoro-5-(OCF_3)fenilo
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorofenilo	2-cloro-5-(CF_3)fenilo
OPh	C(=NOMe)Me	3-cloro-5-fluorofenilo	*N*-Me-4-pirazolilo
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-clorofenilo	3-Me-5-isoxazolilo
C≡CH	2-fluorofenilo	2,4-diclorofenilo	6-(6-Cl-3-piridinil)-3-piridinilo
fenilo	3-clorofenilo	2,4-difluorofenilo	

R^a es OMe; R^b, R^d, R^e, R^{3a}, R^{3b} y R^{3c} son H; R^{3d} es Me; R^2 es 2-cloro-5-tiazolilo

R^c	R^c	R^c	R^c
H	O-*i*-Pr	3-(CF_3)fenilo	2-fluoro-4-cianofenilo
F	$OCH_2CH=CH_2$	3-fluorofenilo	2-fluoro-4-clorofenilo
Cl	$OCH_2C≡CH$	3-cianofenilo	2-metil-4-clorofenilo

Br	O-*c*-Pr	3-(OCF_3)fenilo	2-fluoro-4-(CF_3)fenilo
I	OCF_3	4-fluorofenilo	2,4-bis(CF_3)fenilo
Me	$OCHF_2$	4-clorofenilo	2-fluoro-4-bromofenilo
Et	OCH_2CF_3	4-(CF_3)fenilo	2-cloro-4-fluorofenilo
Pr	SCF_3	4-cianofenilo	2-(CF_3)-4-fluorofenilo
i-Pr	SCF_3	4-bromofenilo	2-metil-4-(CF_3)fenilo
c-Pr	$SCHF_2$	6-cloro-3-piridinilo	2-cloro-4-(CF_3)fenilo
t-Bu	S(O)CF_3	6-fluoro-3-piridinilo	2-(CF_3)-4-clorofenilo
CF_3	SO_2CF_3	6-(CF_3)-3-piridinilo	2,5-difluorofenilo
CH_2F	CO_2Me	4,6-dicloro-3-piridinilo	2-fluoro-5-(CF_3)fenilo
CHF_2	CO_2Et	2-fluoro-6-cloro-3-piridinilo	2-fluoro-5-clorofenilo
OMe	ciano	2,6-dicloro-3-piridinilo	2,5-diclorofenilo
OEt	C(O)NHMe	2-bromo-5-cloro-4-piridinilo	2-fluoro-5-(OCF_3)fenilo
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorofenilo	2-cloro-5-(CF_3)fenilo

OPh	C(=NOMe)Me	3-cloro-5-fluorofenilo	*N*-Me-4-pirazolilo
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-clorofenilo	3-Me-5-isoxazolilo
C≡CH	2-fluorofenilo	2,4-diclorofenilo	6-(6-Cl-3-piridinil)-3-piridinilo
fenilo	3-clorofenilo	2,4-difluorofenilo	

R^a es OMe; R^b, R^c, R^e, R^{3a}, R^{3b} y R^{3c} son H; R^{3d} es Me; R^2 es 2-cloro-5-tiazolilo

R^d	R^d	R^d	R^d
H	O-*i*-Pr	3-(CF_3)fenilo	2-fluoro-4-cianofenilo
F	$OCH_2CH=CH_2$	3-fluorofenilo	2-fluoro-4-clorofenilo
Cl	$OCH_2C\equiv CH$	3-cianofenilo	2-metil-4-clorofenilo
Br	O-*c*-Pr	3-(OCF_3)fenilo	2-fluoro-4-(CF_3)fenilo
I	OCF_3	4-fluorofenilo	2,4-bis(CF_3)fenilo
Me	$OCHF_2$	4-clorofenilo	2-fluoro-4-bromofenilo
Et	OCH_2CF_3	4-(CF_3)fenilo	2-cloro-4-fluorofenilo
Pr	SCF_3	4-cianofenilo	2-(CF_3)-4-fluorofenilo
i-Pr	SCF_3	4-bromofenilo	2-metil-4-(CF_3)fenilo
c-Pr	$SCHF_2$	6-cloro-3-piridinilo	2-cloro-4-(CF_3)fenilo
t-Bu	S(O)CF_3	6-fluoro-3-piridinilo	2-(CF_3)-4-clorofenilo

CF_3	SO_2CF_3	6-(CF_3)-3-piridinilo	2,5-difluorofenilo
CH_2F	CO_2Me	4,6-dicloro-3-piridinilo	2-fluoro-5-(CF_3)fenilo
CHF_2	CO_2Et	2-fluoro-6-cloro-3-piridinilo	2-fluoro-5-clorofenilo
OMe	ciano	2,6-dicloro-3-piridinilo	2,5-diclorofenilo
OEt	C(O)NHMe	2-bromo-5-cloro-4-piridinilo	2-fluoro-5-(OCF_3)fenilo
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorofenilo	2-cloro-5-(CF_3)fenilo
OPh	C(=NOMe)Me	3-cloro-5-fluorofenilo	*N*-Me-4-pirazolilo
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-clorofenilo	3-Me-5-isoxazolilo
C≡CH	2-fluorofenilo	2,4-diclorofenilo	6-(6-Cl-3-piridinil)-3-piridinilo
fenilo	3-clorofenilo	2,4-difluorofenilo	

R^a es OMe; R^b, R^c, R^d, R^{3a}, R^{3b} y R^{3c} son H; R^{3d} es Me; R^2 es 2-cloro-5-tiazolilo

R^e	R^e	R^e	R^e
H	O-*i*-Pr	3-(CF_3)fenilo	2-fluoro-4-cianofenilo
F	$OCH_2CH=CH_2$	3-fluorofenilo	2-fluoro-4-clorofenilo
Cl	$OCH_2C\equiv CH$	3-cianofenilo	2-metil-4-clorofenilo
Br	O-*c*-Pr	3-(OCF_3)fenilo	2-fluoro-4-(CF_3)fenilo
I	OCF_3	4-fluorofenilo	2,4-bis(CF_3)fenilo
Me	$OCHF_2$	4-clorofenilo	2-fluoro-4-bromofenilo
Et	OCH_2CF_3	4-(CF_3)fenilo	2-cloro-4-fluorofenilo
Pr	SCF_3	4-cianofenilo	2-(CF_3)-4-fluorofenilo
i-Pr	SCF_3	4-bromofenilo	2-metil-4-(CF_3)fenilo
c-Pr	$SCHF_2$	6-cloro-3-piridinilo	2-cloro-4-(CF_3)fenilo
t-Bu	S(O)CF_3	6-fluoro-3-piridinilo	2-(CF_3)-4-clorofenilo
CF_3	SO_2CF_3	6-(CF_3)-3-piridinilo	2,5-difluorofenilo
CH_2F	CO_2Me	4,6-dicloro-3-piridinilo	2-fluoro-5-(CF_3)fenilo
CHF_2	CO_2Et	2-fluoro-6-cloro-3-piridinilo	2-fluoro-5-clorofenilo
OMe	ciano	2,6-dicloro-3-piridinilo	2,5-diclorofenilo

OEt	C(O)NHMe	2-bromo-5-cloro-4-piridinilo	2-fluoro-5-(OCF_3)fenilo
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorofenilo	2-cloro-5-(CF_3)fenilo
OPh	C(=NOMe)Me	3-cloro-5-fluorofenilo	*N*-Me-4-pirazolilo
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-clorofenilo	3-Me-5-isoxazolilo
C≡CH	2-fluorofenilo	2,4-diclorofenilo	6-(6-Cl-3-piridinil)-3-piridinilo
fenilo	3-clorofenilo	2,4-difluorofenilo	

R^a es Me; R^c, R^d, R^e, R^{3a}, R^{3b} y R^{3c} son H; R^{3d} es Me; R^2 es 2-cloro-5-tiazolilo

R^b	R^b	R^b	R^b
H	O-*i*-Pr	3-(CF_3)fenilo	2-fluoro-4-cianofenilo
F	$OCH_2CH=CH_2$	3-fluorofenilo	2-fluoro-4-clorofenilo
Cl	$OCH_2C\equiv CH$	3-cianofenilo	2-metil-4-clorofenilo
Br	O-*c*-Pr	3-(OCF_3)fenilo	2-fluoro-4-(CF_3)fenilo
I	OCF_3	4-fluorofenilo	2,4-bis(CF_3)fenilo
Me	$OCHF_2$	4-clorofenilo	2-fluoro-4-bromofenilo
Et	OCH_2CF_3	4-(CF_3)fenilo	2-cloro-4-fluorofenilo
Pr	SCF_3	4-cianofenilo	2-(CF_3)-4-fluorofenilo

i-Pr	SCF_3	4-bromofenilo	2-metil-4-(CF_3)fenilo
c-Pr	$SCHF_2$	6-cloro-3-piridinilo	2-cloro-4-(CF_3)fenilo
t-Bu	$S(O)CF_3$	6-fluoro-3-piridinilo	2-(CF_3)-4-clorofenilo
CF_3	SO_2CF_3	6-(CF_3)-3-piridinilo	2,5-difluorofenilo
CH_2F	CO_2Me	4,6-dicloro-3-piridinilo	2-fluoro-5-(CF_3)fenilo
CHF_2	CO_2Et	2-fluoro-6-cloro-3-piridinilo	2-fluoro-5-clorofenilo
OMe	ciano	2,6-dicloro-3-piridinilo	2,5-diclorofenilo
OEt	C(O)NHMe	2-bromo-5-cloro-4-piridinilo	2-fluoro-5-(OCF_3)fenilo
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorofenilo	2-cloro-5-(CF_3)fenilo
OPh	C(=NOMe)Me	3-cloro-5-fluorofenilo	*N*-Me-4-pirazolilo
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-clorofenilo	3-Me-5-isoxazolilo

C≡CH	2-fluorofenilo	2,4-diclorofenilo	6-(6-Cl-3-piridinil)-3-piridinilo
fenilo	3-clorofenilo	2,4-difluorofenilo	

R^a es Me; R^b, R^d, R^e, R^{3a}, R^{3b} y R^{3c} son H; R^{3d} es Me; R^2 es 2-cloro-5-tiazolilo

R^c	R^c	R^c	R^c
H	O-*i*-Pr	3-(CF_3)fenilo	2-fluoro-4-cianofenilo
F	$OCH_2CH=CH_2$	3-fluorofenilo	2-fluoro-4-clorofenilo
Cl	$OCH_2C\equiv CH$	3-cianofenilo	2-metil-4-clorofenilo
Br	O-*c*-Pr	3-(OCF_3)fenilo	2-fluoro-4-(CF_3)fenilo
I	OCF_3	4-fluorofenilo	2,4-bis(CF_3)fenilo
Me	$OCHF_2$	4-clorofenilo	2-fluoro-4-bromofenilo
Et	OCH_2CF_3	4-(CF_3)fenilo	2-cloro-4-fluorofenilo
Pr	SCF_3	4-cianofenilo	2-(CF_3)-4-fluorofenilo
i-Pr	SCF_3	4-bromofenilo	2-metil-4-(CF_3)fenilo
c-Pr	$SCHF_2$	6-cloro-3-piridinilo	2-cloro-4-(CF_3)fenilo
t-Bu	$S(O)CF_3$	6-fluoro-3-piridinilo	2-(CF_3)-4-clorofenilo
CF_3	SO_2CF_3	6-(CF_3)-3-piridinilo	2,5-difluorofenilo
CH_2F	CO_2Me	4,6-dicloro-3-piridinilo	2-fluoro-5-(CF_3)fenilo

CHF_2	CO_2Et	2-fluoro-6-cloro-3-piridinilo	2-fluoro-5-clorofenilo
OMe	ciano	2,6-dicloro-3-piridinilo	2,5-diclorofenilo
OEt	C(O)NHMe	2-bromo-5-cloro-4-piridinilo	2-fluoro-5-(OCF_3)fenilo
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorofenilo	2-cloro-5-(CF_3)fenilo
OPh	C(=NOMe)Me	3-cloro-5-fluorofenilo	*N*-Me-4-pirazolilo
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-clorofenilo	3-Me-5-isoxazolilo
C≡CH	2-fluorofenilo	2,4-diclorofenilo	6-(6-Cl-3-piridinil)-3-piridinilo
fenilo	3-clorofenilo	2,4-difluorofenilo	

R^a es Me; R^b, R^c, R^e, R^{3a}, R^{3b} y R^{3c} son H; R^{3d} es Me; R^2 es 2-cloro-5-tiazolilo

R^d	R^d	R^d	R^d
H	O-*i*-Pr	3-(CF_3)fenilo	2-fluoro-4-cianofenilo
F	$OCH_2CH=CH_2$	3-fluorofenilo	2-fluoro-4-clorofenilo
Cl	$OCH_2C≡CH$	3-cianofenilo	2-metil-4-clorofenilo

Br	O-*c*-Pr	3-(OCF_3)fenilo	2-fluoro-4-(CF_3)fenilo
I	OCF_3	4-fluorofenilo	2,4-bis(CF_3)fenilo
Me	$OCHF_2$	4-clorofenilo	2-fluoro-4-bromofenilo
Et	OCH_2CF_3	4-(CF_3)fenilo	2-cloro-4-fluorofenilo
Pr	SCF_3	4-cianofenilo	2-(CF_3)-4-fluorofenilo
i-Pr	SCF_3	4-bromofenilo	2-metil-4-(CF_3)fenilo
c-Pr	$SCHF_2$	6-cloro-3-piridinilo	2-cloro-4-(CF_3)fenilo
t-Bu	S(O)CF_3	6-fluoro-3-piridinilo	2-(CF_3)-4-clorofenilo
CF_3	SO_2CF_3	6-(CF_3)-3-piridinilo	2,5-difluorofenilo
CH_2F	CO_2Me	4,6-dicloro-3-piridinilo	2-fluoro-5-(CF_3)fenilo
CHF_2	CO_2Et	2-fluoro-6-cloro-3-piridinilo	2-fluoro-5-clorofenilo
OMe	ciano	2,6-dicloro-3-piridinilo	2,5-diclorofenilo
OEt	C(O)NHMe	2-bromo-5-cloro-4-piridinilo	2-fluoro-5-(OCF_3)fenilo
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorofenilo	2-cloro-5-(CF_3)fenilo

OPh	C(=NOMe)Me	3-cloro-5-fluorofenilo	*N*-Me-4-pirazolilo
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-clorofenilo	3-Me-5-isoxazolilo
C≡CH	2-fluorofenilo	2,4-diclorofenilo	6-(6-Cl-3-piridinil)-3-piridinilo
fenilo	3-clorofenilo	2,4-difluorofenilo	

R^a es Me; R^b, R^c, R^d, R^{3a}, R^{3b} y R^{3c} son H; R^{3d} es Me; R^2 es 2-cloro-5-tiazolilo

R^e	R^e	R^e	R^e
H	O-*i*-Pr	3-(CF_3)fenilo	2-fluoro-4-cianofenilo
F	$OCH_2CH=CH_2$	3-fluorofenilo	2-fluoro-4-clorofenilo
Cl	$OCH_2C\equiv CH$	3-cianofenilo	2-metil-4-clorofenilo
Br	O-*c*-Pr	3-(OCF_3)fenilo	2-fluoro-4-(CF_3)fenilo
I	OCF_3	4-fluorofenilo	2,4-bis(CF_3)fenilo
Me	$OCHF_2$	4-clorofenilo	2-fluoro-4-bromofenilo
Et	OCH_2CF_3	4-(CF_3)fenilo	2-cloro-4-fluorofenilo
Pr	SCF_3	4-cianofenilo	2-(CF_3)-4-fluorofenilo
i-Pr	SCF_3	4-bromofenilo	2-metil-4-(CF_3)fenilo
c-Pr	$SCHF_2$	6-cloro-3-piridinilo	2-cloro-4-(CF_3)fenilo
t-Bu	S(O)CF_3	6-fluoro-3-piridinilo	2-(CF_3)-4-clorofenilo

CF_3	SO_2CF_3	6-(CF_3)-3-piridinilo	2,5-difluorofenilo
CH_2F	CO_2Me	4,6-dicloro-3-piridinilo	2-fluoro-5-(CF_3)fenilo
CHF_2	CO_2Et	2-fluoro-6-cloro-3-piridinilo	2-fluoro-5-clorofenilo
OMe	ciano	2,6-dicloro-3-piridinilo	2,5-diclorofenilo
OEt	C(O)NHMe	2-bromo-5-cloro-4-piridinilo	2-fluoro-5-(OCF_3)fenilo
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorofenilo	2-cloro-5-(CF_3)fenilo
OPh	C(=NOMe)Me	3-cloro-5-fluorofenilo	*N*-Me-4-pirazolilo
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-clorofenilo	3-Me-5-isoxazolilo
$C\equiv CH$	2-fluorofenilo	2,4-diclorofenilo	6-(6-Cl-3-piridinil)-3-piridinilo
fenilo	3-clorofenilo	2,4-difluorofenilo	

R^d es Cl; R^a, R^c, R^e, R^{3a}, R^{3b} y R^{3c} son H; R^{3d} es Me; R^2 es 2-cloro-5-tiazolilo

R^b	R^b	R^b	R^b
H	O-*i*-Pr	3-(CF_3)fenilo	2-fluoro-4-cianofenilo
F	$OCH_2CH=CH_2$	3-fluorofenilo	2-fluoro-4-clorofenilo
Cl	$OCH_2C\equiv CH$	3-cianofenilo	2-metil-4-clorofenilo
Br	O-*c*-Pr	3-(OCF_3)fenilo	2-fluoro-4-(CF_3)fenilo
I	OCF_3	4-fluorofenilo	2,4-bis(CF_3)fenilo
Me	$OCHF_2$	4-clorofenilo	2-fluoro-4-bromofenilo
Et	OCH_2CF_3	4-(CF3)fenilo	2-cloro-4-fluorofenilo
Pr	SCF_3	4-cianofenilo	2-(CF_3)-4-fluorofenilo
i-Pr	SCF_3	4-bromofenilo	2-metil-4-(CF_3)fenilo
c-Pr	$SCHF_2$	6-cloro-3-piridinilo	2-cloro-4-(CF_3)fenilo
t-Bu	S(O)CF_3	6-fluoro-3-piridinilo	2-(CF_3)-4-clorofenilo
CF_3	SO_2CF_3	6-(CF_3)-3-piridinilo	2,5-difluorofenilo
CH_2F	CO_2Me	4,6-dicloro-3-piridinilo	2-fluoro-5-(CF_3)fenilo
CHF_2	CO_2Et	2-fluoro-6-cloro-3-piridinilo	2-fluoro-5-clorofenilo
OMe	ciano	2,6-dicloro-3-piridinilo	2,5-diclorofenilo

OEt	C(O)NHMe	2-bromo-5-cloro-4-piridinilo	2-fluoro-5-(OCF_3)fenilo
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorofenilo	2-cloro-5-(CF_3)fenilo
OPh	C(=NOMe)Me	3-cloro-5-fluorofenilo	*N*-Me-4-pirazolilo
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-clorofenilo	3-Me-5-isoxazolilo
C≡CH	2-fluorofenilo	2,4-diclorofenilo	6-(6-Cl-3-piridinil)-3-piridinilo
fenilo	3-clorofenilo	2,4-difluorofenilo	

R^d es CF_3; R^a, R^c, R^e, R^{3a}, R^{3b} y R^{3c} son H; R^{3d} es Me; R^2 es 2-cloro-5-tiazolilo

R^b	R^b	R^b	R^b
H	O-*i*-Pr	3-(CF_3)fenilo	2-fluoro-4-cianofenilo
F	$OCH_2CH=CH_2$	3-fluorofenilo	2-fluoro-4-clorofenilo
Cl	$OCH_2C≡CH$	3-cianofenilo	2-metil-4-clorofenilo
Br	O-*c*-Pr	3-(OCF_3)fenilo	2-fluoro-4-(CF_3)fenilo
I	OCF_3	4-fluorofenilo	2,4-bis(CF_3)fenilo
Me	$OCHF_2$	4-clorofenilo	2-fluoro-4-bromofenilo
Et	OCH_2CF_3	4-(CF_3)fenilo	2-cloro-4-fluorofenilo
Pr	SCF_3	4-cianofenilo	2-(CF_3)-4-fluorofenilo

i-Pr	SCF_3	4-bromofenilo	2-metil-4-(CF_3)fenilo
c-Pr	$SCHF_2$	6-cloro-3-piridinilo	2-cloro-4-(CF_3)fenilo
t-Bu	$S(O)CF_3$	6-fluoro-3-piridinilo	2-(CF_3)-4-clorofenilo
CF_3	SO_2CF_3	6-(CF_3)-3-piridinilo	2,5-difluorofenilo
CH_2F	CO_2Me	4,6-dicloro-3-piridinilo	2-fluoro-5-(CF_3)fenilo
CHF_2	CO_2Et	2-fluoro-6-cloro-3-piridinilo	2-fluoro-5-clorofenilo
OMe	ciano	2,6-dicloro-3-piridinilo	2,5-diclorofenilo
OEt	C(O)NHMe	2-bromo-5-cloro-4-piridinilo	2-fluoro-5-(OCF_3)fenilo
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorofenilo	2-cloro-5-(CF_3)fenilo
OPh	C(=NOMe)Me	3-cloro-5-fluorofenilo	*N*-Me-4-pirazolilo
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-clorofenilo	3-Me-5-isoxazolilo

C≡CH	2-fluorofenilo	2,4-diclorofenilo	6-(6-Cl-3-piridinil)-3-piridinilo
fenilo	3-clorofenilo	2,4-difluorofenilo	

R^b es Br; R^a, R^c, R^e, R^{3a}, R^{3b} y R^{3c} son H; R^{3d} es Me; R^2 es 2-cloro-5-tiazolilo

R^d	R^d	R^d	R^d
H	O-*i*-Pr	3-(CF_3)fenilo	2-fluoro-4-cianofenilo
F	$OCH_2CH=CH_2$	3-fluorofenilo	2-fluoro-4-clorofenilo
Cl	$OCH_2C\equiv CH$	3-cianofenilo	2-metil-4-clorofenilo
Br	O-*c*-Pr	3-(OCF_3)fenilo	2-fluoro-4-(CF_3)fenilo
I	OCF_3	4-fluorofenilo	2,4-bis(CF_3)fenilo
Me	$OCHF_2$	4-clorofenilo	2-fluoro-4-bromofenilo
Et	OCH_2CF_3	4-(CF_3)fenilo	2-cloro-4-fluorofenilo
Pr	SCF_3	4-cianofenilo	2-(CF_3)-4-fluorofenilo
i-Pr	SCF_3	4-bromofenilo	2-metil-4-(CF_3)fenilo
c-Pr	$SCHF_2$	6-cloro-3-piridinilo	2-cloro-4-(CF_3)fenilo
t-Bu	S(O)CF_3	6-fluoro-3-piridinilo	2-(CF_3)-4-clorofenilo
CF_3	SO_2CF_3	6-(CF_3)-3-piridinilo	2,5-difluorofenilo
CH_2F	CO_2Me	4,6-dicloro-3-piridinilo	2-fluoro-5-(CF_3)fenilo

CHF_2	CO_2Et	2-fluoro-6-cloro-3-piridinilo	2-fluoro-5-clorofenilo
OMe	ciano	2,6-dicloro-3-piridinilo	2,5-diclorofenilo
OEt	C(O)NHMe	2-bromo-5-cloro-4-piridinilo	2-fluoro-5-(OCF_3)fenilo
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorofenilo	2-cloro-5-(CF_3)fenilo
OPh	C(=NOMe)Me	3-cloro-5-fluorofenilo	*N*-Me-4-pirazolilo
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-clorofenilo	3-Me-5-isoxazolilo
$C\equiv CH$	2-fluorofenilo	2,4-diclorofenilo	6-(6-Cl-3-piridinil)-3-piridinilo
fenilo	3-clorofenilo	2,4-difluorofenilo	

R^b es OCF_3; R^a, R^c, R^e, R^{3a}, R^{3b} y R^{3c} son H; R^{3d} es Me; R^2 es 2-cloro-5-tiazolilo

R^d	R^d	R^d	R^d
H	O-*i*-Pr	3-(CF_3)fenilo	2-fluoro-4-cianofenilo
F	$OCH_2CH=CH_2$	3-fluorofenilo	2-fluoro-4-clorofenilo
Cl	$OCH_2C\equiv CH$	3-cianofenilo	2-metil-4-clorofenilo

Br	O-*c*-Pr	3-(OCF_3)fenilo	2-fluoro-4-(CF_3)fenilo
I	OCF_3	4-fluorofenilo	2,4-bis(CF_3)fenilo
Me	$OCHF_2$	4-clorofenilo	2-fluoro-4-bromofenilo
Et	OCH_2CF_3	4-(CF_3)fenilo	2-cloro-4-fluorofenilo
Pr	SCF_3	4-cianofenilo	2-(CF_3)-4-fluorofenilo
i-Pr	SCF_3	4-bromofenilo	2-metil-4-(CF_3)fenilo
c-Pr	$SCHF_2$	6-cloro-3-piridinilo	2-cloro-4-(CF_3)fenilo
t-Bu	S(O)CF_3	6-fluoro-3-piridinilo	2-(CF_3)-4-clorofenilo
CF_3	SO_2CF_3	6-(CF_3)-3-piridinilo	2,5-difluorofenilo
CH_2F	CO_2Me	4,6-dicloro-3-piridinilo	2-fluoro-5-(CF_3)fenilo
CHF_2	CO_2Et	2-fluoro-6-cloro-3-piridinilo	2-fluoro-5-clorofenilo
OMe	ciano	2,6-dicloro-3-piridinilo	2,5-diclorofenilo
OEt	C(O)NHMe	2-bromo-5-cloro-4-piridinilo	2-fluoro-5-(OCF_3)fenilo
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorofenilo	2-cloro-5-(CF_3)fenilo

OPh	C(=NOMe)Me	3-cloro-5-fluorofenilo	*N*-Me-4-pirazolilo
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-clorofenilo	3-Me-5-isoxazolilo
C≡CH	2-fluorofenilo	2,4-diclorofenilo	6-(6-Cl-3-piridinil)-3-piridinilo
fenilo	3-clorofenilo	2,4-difluorofenilo	

R^b es OMe; R^a, R^c, R^e, R^{3a}, R^{3b} y R^{3c} son H; R^{3d} es Me; R^2 es 2-cloro-5-tiazolilo

R^d	R^d	R^d	R^d
H	O-*i*-Pr	3-(CF_3)fenilo	2-fluoro-4-cianofenilo
F	$OCH_2CH=CH_2$	3-fluorofenilo	2-fluoro-4-clorofenilo
Cl	$OCH_2C\equiv CH$	3-cianofenilo	2-metil-4-clorofenilo
Br	O-*c*-Pr	3-(OCF_3)fenilo	2-fluoro-4-(CF_3)fenilo
I	OCF_3	4-fluorofenilo	2,4-bis(CF_3)fenilo
Me	$OCHF_2$	4-clorofenilo	2-fluoro-4-bromofenilo
Et	OCH_2CF_3	4-(CF_3)fenilo	2-cloro-4-fluorofenilo
Pr	SCF_3	4-cianofenilo	2-(CF_3)-4-fluorofenilo
i-Pr	SCF_3	4-bromofenilo	2-metil-4-(CF_3)fenilo
c-Pr	$SCHF_2$	6-cloro-3-piridinilo	2-cloro-4-(CF_3)fenilo
t-Bu	$S(O)CF_3$	6-fluoro-3-piridinilo	2-(CF_3)-4-clorofenilo

CF_3	SO_2CF_3	6-(CF_3)-3-piridinilo	2,5-difluorofenilo
CH_2F	CO_2Me	4,6-dicloro-3-piridinilo	2-fluoro-5-(CF_3)fenilo
CHF_2	CO_2Et	2-fluoro-6-cloro-3-piridinilo	2-fluoro-5-clorofenilo
OMe	ciano	2,6-dicloro-3-piridinilo	2,5-diclorofenilo
OEt	C(O)NHMe	2-bromo-5-cloro-4-piridinilo	2-fluoro-5-(OCF_3)fenilo
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorofenilo	2-cloro-5-(CF_3)fenilo
OPh	C(=NOMe)Me	3-cloro-5-fluorofenilo	*N*-Me-4-pirazolilo
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-clorofenilo	3-Me-5-isoxazolilo
C≡CH	2-fluorofenilo	2,4-diclorofenilo	6-(6-Cl-3-piridinil)-3-piridinilo
fenilo	3-clorofenilo	2,4-difluorofenilo	

R^b es F; R^a, R^c, R^e, R^{3a}, R^{3b} y R^{3c} son H; R^{3d} es Me; R^2 es 2-cloro-5-tiazolilo

R^d	R^d	R^d	R^d
H	O-*i*-Pr	3-(CF_3)fenilo	2-fluoro-4-cianofenilo
F	$OCH_2CH=CH_2$	3-fluorofenilo	2-fluoro-4-clorofenilo
Cl	$OCH_2C\equiv CH$	3-cianofenilo	2-metil-4-clorofenilo
Br	O-*c*-Pr	3-(OCF_3)fenilo	2-fluoro-4-(CF_3)fenilo
I	OCF_3	4-fluorofenilo	2,4-bis(CF_3)fenilo
Me	$OCHF_2$	4-clorofenilo	2-fluoro-4-bromofenilo
Et	OCH_2CF_3	4-(CF_3)fenilo	2-cloro-4-fluorofenilo
Pr	SCF_3	4-cianofenilo	2-(CF_3)-4-fluorofenilo
i-Pr	SCF_3	4-bromofenilo	2-metil-4-(CF_3)fenilo
c-Pr	$SCHF_2$	6-cloro-3-piridinilo	2-cloro-4-(CF_3)fenilo
t-Bu	S(O)CF_3	6-fluoro-3-piridinilo	2-(CF_3)-4-clorofenilo
CF_3	SO_2CF_3	6-(CF_3)-3-piridinilo	2,5-difluorofenilo
CH_2F	CO_2Me	4,6-dicloro-3-piridinilo	2-fluoro-5-(CF_3)fenilo
CHF_2	CO_2Et	2-fluoro-6-cloro-3-piridinilo	2-fluoro-5-clorofenilo
OMe	ciano	2,6-dicloro-3-piridinilo	2,5-diclorofenilo

OEt	C(O)NHMe	2-bromo-5-cloro-4-piridinilo	2-fluoro-5-(OCF_3)fenilo
O-*n*-Pr	$C(O)Nme_2$	3-bromo-5-fluorofenilo	2-cloro-5-(CF_3)fenilo
OPh	C(=NOMe)Me	3-cloro-5-fluorofenilo	*N*-Me-4-pirazolilo
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-clorofenilo	3-Me-5-isoxazolilo
C≡CH	2-fluorofenilo	2,4-diclorofenilo	6-(6-Cl-3-piridinil)-3-piridinilo
fenilo	3-clorofenilo	2,4-difluorofenilo	

R^b es CN; R^a, R^c, R^e, R^{3a}, R^{3b} y R^{3c} son H; R^{3d} es Me; R^2 es 2-cloro-5-tiazolilo

R^d	R^d	R^d	R^d
H	O-*i*-Pr	3-(CF_3)fenilo	2-fluoro-4-cianofenilo
F	$OCH_2CH=CH_2$	3-fluorofenilo	2-fluoro-4-clorofenilo
Cl	$OCH_2C≡CH$	3-cianofenilo	2-metil-4-clorofenilo
Br	O-*c*-Pr	3-(OCF_3)fenilo	2-fluoro-4-(CF_3)fenilo
I	OCF_3	4-fluorofenilo	2,4-bis(CF_3)fenilo
Me	$OCHF_2$	4-clorofenilo	2-fluoro-4-bromofenilo
Et	OCH_2CF_3	4-(CF_3)fenilo	2-cloro-4-fluorofenilo
Pr	SCF_3	4-cianofenilo	2-(CF_3)-4-fluorofenilo

i-Pr	SCF_3	4-bromofenilo	2-metil-4-(CF_3)fenilo
c-Pr	$SCHF_2$	6-cloro-3-piridinilo	2-cloro-4-(CF_3)fenilo
t-Bu	$S(O)CF_3$	6-fluoro-3-piridinilo	2-(CF_3)-4-clorofenilo
CF_3	SO_2CF_3	6-(CF_3)-3-piridinilo	2,5-difluorofenilo
CH_2F	CO_2Me	4,6-dicloro-3-piridinilo	2-fluoro-5-(CF_3)fenilo
CHF_2	CO_2Et	2-fluoro-6-cloro-3-piridinilo	2-fluoro-5-clorofenilo
OMe	ciano	2,6-dicloro-3-piridinilo	2,5-diclorofenilo
OEt	C(O)NHMe	2-bromo-5-cloro-4-piridinilo	2-fluoro-5-(OCF_3)fenilo
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorofenilo	2-cloro-5-(CF_3)fenilo
OPh	C(=NOMe)Me	3-cloro-5-fluorofenilo	*N*-Me-4-pirazolilo
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-clorofenilo	3-Me-5-isoxazolilo

C≡CH	2-fluorofenilo	2,4-diclorofenilo	6-(6-Cl-3-piridinil)-3-piridinilo
fenilo	3-clorofenilo	2,4-difluorofenilo	

R^b es Me; R^a, R^c, R^e, R^{3a}, R^{3b} y R^{3c} son H; R^{3d} es Me; R^2 es 2-cloro-5-tiazolilo

R^d	R^d	R^d	R^d
H	O-*i*-Pr	3-(CF_3)fenilo	2-fluoro-4-cianofenilo
F	$OCH_2CH=CH_2$	3-fluorofenilo	2-fluoro-4-clorofenilo
Cl	$OCH_2C\equiv CH$	3-cianofenilo	2-metil-4-clorofenilo
Br	O-*c*-Pr	3-(OCF_3)fenilo	2-fluoro-4-(CF_3)fenilo
I	OCF_3	4-fluorofenilo	2,4-bis(CF_3)fenilo
Me	$OCHF_2$	4-clorofenilo	2-fluoro-4-bromofenilo
Et	OCH_2CF_3	4-(CF_3)fenilo	2-cloro-4-fluorofenilo
Pr	SCF_3	4-cianofenilo	2-(CF_3)-4-fluorofenilo
i-Pr	SCF_3	4-bromofenilo	2-metil-4-(CF_3)fenilo
c-Pr	$SCHF_2$	6-cloro-3-piridinilo	2-cloro-4-(CF_3)fenilo
t-Bu	S(O)CF_3	6-fluoro-3-piridinilo	2-(CF_3)-4-clorofenilo
CF_3	SO_2CF_3	6-(CF_3)-3-piridinilo	2,5-difluorofenilo
CH_2F	CO_2Me	4,6-dicloro-3-piridinilo	2-fluoro-5-(CF_3)fenilo

CHF_2	CO_2Et	2-fluoro-6-cloro-3-piridinilo	2-fluoro-5-clorofenilo
OMe	ciano	2,6-dicloro-3-piridinilo	2,5-diclorofenilo
OEt	C(O)NHMe	2-bromo-5-cloro-4-piridinilo	2-fluoro-5-(OCF_3)fenilo
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorofenilo	2-cloro-5-(CF_3)fenilo
OPh	C(=NOMe)Me	3-cloro-5-fluorofenilo	*N*-Me-4-pirazolilo
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-clorofenilo	3-Me-5-isoxazolilo
C≡CH	2-fluorofenilo	2,4-diclorofenilo	6-(6-Cl-3-piridinil)-3-piridinilo
fenilo	3-clorofenilo	2,4-difluorofenilo	

R^b es I; R^a, R^c, R^e, R^{3a}, R^{3b} y R^{3c} son H; R^{3d} es Me; R^2 es 2-cloro-5-tiazolilo

$\underline{R^d}$	$\underline{R^d}$	$\underline{R^d}$	$\underline{R^d}$
H	O-*i*-Pr	3-(CF_3)fenilo	2-fluoro-4-cianofenilo
F	$OCH_2CH=CH_2$	3-fluorofenilo	2-fluoro-4-clorofenilo
Cl	$OCH_2C≡CH$	3-cianofenilo	2-metil-4-clorofenilo

Br	O-*c*-Pr	3-(OCF_3)fenilo	2-fluoro-4-(CF_3)fenilo
I	OCF_3	4-fluorofenilo	2,4-bis(CF_3)fenilo
Me	$OCHF_2$	4-clorofenilo	2-fluoro-4-bromofenilo
Et	OCH_2CF_3	4-(CF_3)fenilo	2-cloro-4-fluorofenilo
Pr	SCF_3	4-cianofenilo	2-(CF_3)-4-fluorofenilo
i-Pr	SCF_3	4-bromofenilo	2-metil-4-(CF_3)fenilo
c-Pr	$SCHF_2$	6-cloro-3-piridinilo	2-cloro-4-(CF_3)fenilo
t-Bu	S(O)CF_3	6-fluoro-3-piridinilo	2-(CF_3)-4-clorofenilo
CF_3	SO_2CF_3	6-(CF_3)-3-piridinilo	2,5-difluorofenilo
CH_2F	CO_2Me	4,6-dicloro-3-piridinilo	2-fluoro-5-(CF_3)fenilo
CHF_2	CO_2Et	2-fluoro-6-cloro-3-piridinilo	2-fluoro-5-clorofenilo
OMe	ciano	2,6-dicloro-3-piridinilo	2,5-diclorofenilo
OEt	C(O)NHMe	2-bromo-5-cloro-4-piridinilo	2-fluoro-5-(OCF_3)fenilo
O-*n*-Pr	C(O)NMe_2	3-bromo-5-fluorofenilo	2-cloro-5-(CF_3)fenilo

OPh	C(=NOMe)Me	3-cloro-5-fluorofenilo	*N*-Me-4-pirazolilo
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-clorofenilo	3-Me-5-isoxazolilo
C≡CH	2-fluorofenilo	2,4-diclorofenilo	6-(6-Cl-3-piridinil)-3-piridinilo
fenilo	3-clorofenilo	2,4-difluorofenilo	

R^a y R^b son F; R^c, R^e, R^{3a}, R^{3b} y R^{3c} son H; R^{3d} es Me; R^2 es 2-cloro-5-tiazolilo

R^d	R^d	R^d	R^d
H	O-*i*-Pr	3-(CF_3)fenilo	2-fluoro-4-cianofenilo
F	$OCH_2CH=CH_2$	3-fluorofenilo	2-fluoro-4-clorofenilo
Cl	$OCH_2C\equiv CH$	3-cianofenilo	2-metil-4-clorofenilo
Br	O-*c*-Pr	3-(OCF_3)fenilo	2-fluoro-4-(CF_3)fenilo
I	OCF_3	4-fluorofenilo	2,4-bis(CF_3)fenilo
Me	$OCHF_2$	4-clorofenilo	2-fluoro-4-bromofenilo
Et	OCH_2CF_3	4-(CF_3)fenilo	2-cloro-4-fluorofenilo
Pr	SCF_3	4-cianofenilo	2-(CF_3)-4-fluorofenilo
i-Pr	SCF_3	4-bromofenilo	2-metil-4-(CF_3)fenilo
c-Pr	$SCHF_2$	6-cloro-3-piridinilo	2-cloro-4-(CF_3)fenilo
t-Bu	S(O)CF_3	6-fluoro-3-piridinilo	2-(CF_3)-4-clorofenilo

CF_3	SO_2CF_3	6-(CF_3)-3-piridinilo	2,5-difluorofenilo
CH_2F	CO_2Me	4,6-dicloro-3-piridinilo	2-fluoro-5-(CF_3)fenilo
CHF_2	CO_2Et	2-fluoro-6-cloro-3-piridinilo	2-fluoro-5-clorofenilo
OMe	ciano	2,6-dicloro-3-piridinilo	2,5-diclorofenilo
OEt	C(O)NHMe	2-bromo-5-cloro-4-piridinilo	2-fluoro-5-(OCF_3)fenilo
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorofenilo	2-cloro-5-(CF_3)fenilo
OPh	C(=NOMe)Me	3-cloro-5-fluorofenilo	*N*-Me-4-pirazolilo
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-clorofenilo	3-Me-5-isoxazolilo
$C\equiv CH$	2-fluorofenilo	2,4-diclorofenilo	6-(6-Cl-3-piridinil)-3-piridinilo
fenilo	3-clorofenilo	2,4-difluorofenilo	

R^a es F; R^b es Cl; R^c, R^e, R^{3a}, R^{3b} y R^{3c} son H; R^{3d} es Me; R^2 es 2-cloro-5-tiazolilo

R^d	R^d	R^d	R^d
H	O-*i*-Pr	3-(CF_3)fenilo	2-fluoro-4-cianofenilo
F	$OCH_2CH=CH_2$	3-fluorofenilo	2-fluoro-4-clorofenilo
Cl	$OCH_2C\equiv CH$	3-cianofenilo	2-metil-4-clorofenilo
Br	O-*c*-Pr	3-(OCF_3)fenilo	2-fluoro-4-(CF_3)fenilo
I	OCF_3	4-fluorofenilo	2,4-bis(CF_3)fenilo
Me	$OCHF_2$	4-clorofenilo	2-fluoro-4-bromofenilo
Et	OCH_2CF_3	4-(CF_3)fenilo	2-cloro-4-fluorofenilo
Pr	SCF_3	4-cianofenilo	2-(CF_3)-4-fluorofenilo
i-Pr	SCF_3	4-bromofenilo	2-metil-4-(CF_3)fenilo
c-Pr	$SCHF_2$	6-cloro-3-piridinilo	2-cloro-4-(CF_3)fenilo
t-Bu	$S(O)CF_3$	6-fluoro-3-piridinilo	2-(CF_3)-4-clorofenilo
CF_3	SO_2CF_3	6-(CF_3)-3-piridinilo	2,5-difluorofenilo
CH_2F	CO_2Me	4,6-dicloro-3-piridinilo	2-fluoro-5-(CF_3)fenilo
CHF_2	CO_2Et	2-fluoro-6-cloro-3-piridinilo	2-fluoro-5-clorofenilo
OMe	ciano	2,6-dicloro-3-piridinilo	2,5-diclorofenilo

OEt	C(O)NHMe	2-bromo-5-cloro-4-piridinilo	2-fluoro-5-(OCF_3)fenilo
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorofenilo	2-cloro-5-(CF_3)fenilo
OPh	C(=NOMe)Me	3-cloro-5-fluorofenilo	*N*-Me-4-pirazolilo
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-clorofenilo	3-Me-5-isoxazolilo
C≡CH	2-fluorofenilo	2,4-diclorofenilo	6-(6-Cl-3-piridinil)-3-piridinilo
fenilo	3-clorofenilo	2,4-difluorofenilo	

R^a y R^e son F; R^c, R^d, R^{3a}, R^{3b} y R^{3c} son H; R^{3d} es Me; R^2 es 2-cloro-5-tiazolilo

R^b	R^b	R^b	R^b
H	O-*i*-Pr	3-(CF_3)fenilo	2-fluoro-4-cianofenilo
F	$OCH_2CH=CH_2$	3-fluorofenilo	2-fluoro-4-clorofenilo
Cl	$OCH_2C\equiv CH$	3-cianofenilo	2-metil-4-clorofenilo
Br	O-*c*-Pr	3-(OCF_3)fenilo	2-fluoro-4-(CF_3)fenilo
I	OCF_3	4-fluorofenilo	2,4-bis(CF_3)fenilo
Me	$OCHF_2$	4-clorofenilo	2-fluoro-4-bromofenilo
Et	OCH_2CF_3	4-(CF_3)fenilo	2-cloro-4-fluorofenilo
Pr	SCF_3	4-cianofenilo	2-(CF_3)-4-fluorofenilo

i-Pr	SCF_3	4-bromofenilo	2-metil-4-(CF_3)fenilo
c-Pr	$SCHF_2$	6-cloro-3-piridinilo	2-cloro-4-(CF_3)fenilo
t-Bu	$S(O)CF_3$	6-fluoro-3-piridinilo	2-(CF_3)-4-clorofenilo
CF_3	SO_2CF_3	6-(CF_3)-3-piridinilo	2,5-difluorofenilo
CH_2F	CO_2Me	4,6-dicloro-3-piridinilo	2-fluoro-5-(CF_3)fenilo
CHF_2	CO_2Et	2-fluoro-6-cloro-3-piridinilo	2-fluoro-5-clorofenilo
OMe	ciano	2,6-dicloro-3-piridinilo	2,5-diclorofenilo
OEt	C(O)NHMe	2-bromo-5-cloro-4-piridinilo	2-fluoro-5-(OCF_3)fenilo
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorofenilo	2-cloro-5-(CF_3)fenilo
OPh	C(=NOMe)Me	3-cloro-5-fluorofenilo	*N*-Me-4-pirazolilo
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-clorofenilo	3-Me-5-isoxazolilo

C≡CH	2-fluorofenilo	2,4-diclorofenilo	6-(6-Cl-3-piridinil)-3-piridinilo
fenilo	3-clorofenilo	2,4-difluorofenilo	

R^c es OMe; R^a, R^b, R^e, R^{3a}, R^{3b} y R^{3c} son H; R^{3d} es Me; R^2 es 2-cloro-5-tiazolilo

R^d	R^d	R^d	R^d
H	O-*i*-Pr	3-(CF_3)fenilo	2-fluoro-4-cianofenilo
F	$OCH_2CH=CH_2$	3-fluorofenilo	2-fluoro-4-clorofenilo
Cl	$OCH_2C\equiv CH$	3-cianofenilo	2-metil-4-clorofenilo
Br	O-*c*-Pr	3-(OCF_3)fenilo	2-fluoro-4-(CF_3)fenilo
I	OCF_3	4-fluorofenilo	2,4-bis(CF_3)fenilo
Me	$OCHF_2$	4-clorofenilo	2-fluoro-4-bromofenilo
Et	OCH_2CF_3	4-(CF_3)fenilo	2-cloro-4-fluorofenilo
Pr	SCF_3	4-cianofenilo	2-(CF_3)-4-fluorofenilo
i-Pr	SCF_3	4-bromofenilo	2-metil-4-(CF_3)fenilo
c-Pr	$SCHF_2$	6-cloro-3-piridinilo	2-cloro-4-(CF_3)fenilo
t-Bu	S(O)CF_3	6-fluoro-3-piridinilo	2-(CF_3)-4-clorofenilo
CF_3	SO_2CF_3	6-(CF_3)-3-piridinilo	2,5-difluorofenilo
CH_2F	CO_2Me	4,6-dicloro-3-piridinilo	2-fluoro-5-(CF_3)fenilo

CHF_2	CO_2Et	2-fluoro-6-cloro-3-piridinilo	2-fluoro-5-clorofenilo
OMe	ciano	2,6-dicloro-3-piridinilo	2,5-diclorofenilo
OEt	C(O)NHMe	2-bromo-5-cloro-4-piridinilo	2-fluoro-5-(OCF_3)fenilo
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorofenilo	2-cloro-5-(CF_3)fenilo
OPh	C(=NOMe)Me	3-cloro-5-fluorofenilo	*N*-Me-4-pirazolilo
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-clorofenilo	3-Me-5-isoxazolilo
C≡CH	2-fluorofenilo	2,4-diclorofenilo	6-(6-Cl-3-piridinil)-3-piridinilo
fenilo	3-clorofenilo	2,4-difluorofenilo	

R^c es Me; R^a, R^b, R^e, R^{3a}, R^{3b} y R^{3c} son H; R^{3d} es Me; R^2 es 2-cloro-5-tiazolilo

R^d	R^d	R^d	R^d
H	O-*i*-Pr	3-(CF_3)fenilo	2-fluoro-4-cianofenilo
F	$OCH_2CH=CH_2$	3-fluorofenilo	2-fluoro-4-clorofenilo
Cl	$OCH_2C≡CH$	3-cianofenilo	2-metil-4-clorofenilo

	Br	O-*c*-Pr	3-(OCF_3)fenilo	2-fluoro-4-(CF_3)fenilo
	I	OCF_3	4-fluorofenilo	2,4-bis(CF_3)fenilo
	Me	$OCHF_2$	4-clorofenilo	2-fluoro-4-bromofenilo
	Et	OCH_2CF_3	4-(CF_3)fenilo	2-cloro-4-fluorofenilo
	Pr	SCF_3	4-cianofenilo	2-(CF_3)-4-fluorofenilo
	i-Pr	SCF_3	4-bromofenilo	2-metil-4-(CF_3)fenilo
	c-Pr	$SCHF_2$	6-cloro-3-piridinilo	2-cloro-4-(CF_3)fenilo
	t-Bu	S(O)CF_3	6-fluoro-3-piridinilo	2-(CF_3)-4-clorofenilo
	CF_3	SO_2CF_3	6-(CF_3)-3-piridinilo	2,5-difluorofenilo
	CH_2F	CO_2Me	4,6-dicloro-3-piridinilo	2-fluoro-5-(CF_3)fenilo
	CHF_2	CO_2Et	2-fluoro-6-cloro-3-piridinilo	2-fluoro-5-clorofenilo
	OMe	ciano	2,6-dicloro-3-piridinilo	2,5-diclorofenilo
	OEt	C(O)NHMe	2-bromo-5-cloro-4-piridinilo	2-fluoro-5-(OCF_3)fenilo
	O-*n*-Pr	C(O)NMe_2	3-bromo-5-fluorofenilo	2-cloro-5-(CF_3)fenilo

OPh	C(=NOMe)Me	3-cloro-5-fluorofenilo	*N*-Me-4-pirazolilo
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-clorofenilo	3-Me-5-isoxazolilo
C≡CH	2-fluorofenilo	2,4-diclorofenilo	6-(6-Cl-3-piridinil)-3-piridinilo
fenilo	3-clorofenilo	2,4-difluorofenilo	

R^c es F; R^a, R^b, R^e, R^{3a}, R^{3b} y R^{3c} son H; R^{3d} es Me; R^2 es 2-cloro-5-tiazolilo

R^d	R^d	R^d	R^d
H	O-*i*-Pr	3-(CF_3)fenilo	2-fluoro-4-cianofenilo
F	$OCH_2CH=CH_2$	3-fluorofenilo	2-fluoro-4-clorofenilo
Cl	$OCH_2C\equiv CH$	3-cianofenilo	2-metil-4-clorofenilo
Br	O-*c*-Pr	3-(OCF_3)fenilo	2-fluoro-4-(CF_3)fenilo
I	OCF_3	4-fluorofenilo	2,4-bis(CF_3)fenilo
Me	$OCHF_2$	4-clorofenilo	2-fluoro-4-bromofenilo
Et	OCH_2CF_3	4-(CF_3)fenilo	2-cloro-4-fluorofenilo
Pr	SCF_3	4-cianofenilo	2-(CF_3)-4-fluorofenilo
i-Pr	SCF_3	4-bromofenilo	2-metil-4-(CF_3)fenilo
c-Pr	$SCHF_2$	6-cloro-3-piridinilo	2-cloro-4-(CF_3)fenilo
t-Bu	$S(O)CF_3$	6-fluoro-3-piridinilo	2-(CF_3)-4-clorofenilo

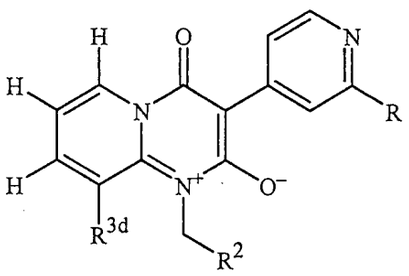
CF_3	SO_2CF_3	6-(CF_3)-3-piridinilo	2,5-difluorofenilo
CH_2F	CO_2Me	4,6-dicloro-3-piridinilo	2-fluoro-5-(CF_3)fenilo
CHF_2	CO_2Et	2-fluoro-6-cloro-3-piridinilo	2-fluoro-5-clorofenilo
OMe	ciano	2,6-dicloro-3-piridinilo	2,5-diclorofenilo
OEt	C(O)NHMe	2-bromo-5-cloro-4-piridinilo	2-fluoro-5-(OCF_3)fenilo
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorofenilo	2-cloro-5-(CF_3)fenilo
OPh	C(=NOMe)Me	3-cloro-5-fluorofenilo	*N*-Me-4-pirazolilo
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-clorofenilo	3-Me-5-isoxazolilo
C≡CH	2-fluorofenilo	2,4-diclorofenilo	6-(6-Cl-3-piridinil)-3-piridinilo
fenilo	3-clorofenilo	2,4-difluorofenilo	

R^c es Cl; R^a, R^b, R^e, R^{3a}, R^{3b} y R^{3c} son H; R^{3d} es Me; R^2 es 2-cloro-5-tiazolilo

R^d	R^d	R^d	R^d
H	O-*i*-Pr	3-(CF_3)fenilo	2-fluoro-4-cianofenilo
F	$OCH_2CH=CH_2$	3-fluorofenilo	2-fluoro-4-clorofenilo
Cl	$OCH_2C\equiv CH$	3-cianofenilo	2-metil-4-clorofenilo
Br	O-*c*-Pr	3-(OCF_3)fenilo	2-fluoro-4-(CF_3)fenilo
I	OCF_3	4-fluorofenilo	2,4-bis(CF_3)fenilo
Me	$OCHF_2$	4-clorofenilo	2-fluoro-4-bromofenilo
Et	OCH_2CF_3	4-(CF_3)fenilo	2-cloro-4-fluorofenilo
Pr	SCF_3	4-cianofenilo	2-(CF_3)-4-fluorofenilo
i-Pr	SCF_3	4-bromofenilo	2-metil-4-(CF_3)fenilo
c-Pr	$SCHF_2$	6-cloro-3-piridinilo	2-cloro-4-(CF_3)fenilo
t-Bu	$S(O)CF_3$	6-fluoro-3-piridinilo	2-(CF_3)-4-clorofenilo
CF_3	SO_2CF_3	6-(CF_3)-3-piridinilo	2,5-difluorofenilo
CH_2F	CO_2Me	4,6-dicloro-3-piridinilo	2-fluoro-(CF3)fenilo
CHF_2	CO_2Et	2-fluoro-6-cloro-3-piridinilo	2-fluoro-5-clorofenilo
OMe	ciano	2,6-dicloro-3-piridinilo	2,5-diclorofenilo

OEt	C(O)NHMe	2-bromo-5-cloro-4-piridinilo	2-fluoro-5-(OCF_3)fenilo
O-*n*-Pr	$C(O)NMe_2$	3-bromo-5-fluorofenilo	2-cloro-5-(CF_3)fenilo
OPh	C(=NOMe)Me	3-cloro-5-fluorofenilo	*N*-Me-4-pirazolilo
$CH=CH_2$	C(=NOEt)Me	3-fluoro-4-clorofenilo	3-Me-5-isoxazolilo
C≡CH	2-fluorofenilo	2,4-diclorofenilo	6-(6-Cl-3-piridinil)-3-piridinilo
fenilo	3-clorofenilo	2,4-difluorofenilo	

Tabla 17c



R^2 es 2-cloro-5-tiazolilo; R^{3d} es Me

R	R	R
4-cloro-2-fluorofenilo	2-cloro-4-(trifluorometil)fenilo	2-cloro-5-cianofenilo
2-fluoro-4-(trifluorometil)fenilo	2-fluoro-5-(trifluorometil)fenilo	4-cloro-2-metilfenilo
4-ciano-2-fluorofenilo	2-fluoro-5-(trifluorometoxi)fenilo	

R^2 es 2-cloro-5-tiazolilo; R^{3d} es OMe

R	R	R
4-cloro-2-fluorofenilo	2-cloro-4-(trifluorometil)fenilo	2-cloro-5-cianofenilo
2-fluoro-4-(trifluorometil)fenilo	2-fluoro-5-(trifluorometil)fenilo	4-cloro-2-metilfenilo
4-ciano-2-fluorofenilo	2-fluoro-5-(trifluorometoxi)fenilo	

R^2 es 2-cloro-5-tiazolilo; R^{3d} es Cl

R	R	R
4-cloro-2-fluorofenilo	2-cloro-4-(trifluorometil)fenilo	2-cloro-5-cianofenilo
2-fluoro-4-(trifluorometil)fenilo	2-fluoro-5-(trifluorometil)fenilo	4-cloro-2-metilfenilo
4-ciano-2-fluorofenilo	2-fluoro-5-(trifluorometoxi)fenilo	

R^2 es 2-cloro-5-tiazolilo; R^{3d} es Br

R	R	R
4-cloro-2-fluorofenilo	2-cloro-4-(trifluorometil)fenilo	2-cloro-5-cianofenilo
2-fluoro-4-(trifluorometil)fenilo	2-fluoro-5-(trifluorometil)fenilo	4-cloro-2-metilfenilo
4-ciano-2-fluorofenilo	2-fluoro-5-(trifluorometoxi)fenilo	

R^2 es 2-bromo-5-tiazolilo; R^{3d} es Me

R	R	R
4-cloro-2-fluorofenilo	2-cloro-4-(trifluorometil)fenilo	2-cloro-5-cianofenilo
2-fluoro-4-(trifluorometil)fenilo	2-fluoro-5-(trifluorometil)fenilo	4-cloro-2-metilfenilo
4-ciano-2-fluorofenilo	2-fluoro-5-(trifluorometoxi)fenilo	

R^2 es 2-fluoro-5-tiazolilo; R^{3d} es Me

R	R	R
4-cloro-2-fluorofenilo	2-cloro-4-(trifluorometil)fenilo	2-cloro-5-cianofenilo
2-fluoro-4-(trifluorometil)fenilo	2-fluoro-5-(trifluorometil)fenilo	4-cloro-2-metilfenilo
4-ciano-2-fluorofenilo	2-fluoro-5-(trifluorometoxi)fenilo	

R^2 es 5-tiazolilo; R^{3d} es Me

R	R	R
4-cloro-2-fluorofenilo	2-cloro-4-(trifluorometil)fenilo	2-cloro-5-cianofenilo
2-fluoro-4-(trifluorometil)fenilo	2-fluoro-5-(trifluorometil)fenilo	4-cloro-2-metilfenilo
4-ciano-2-fluorofenilo	2-fluoro-5-(trifluorometoxi)fenilo	

R^2 es 2-metil-5-tiazolilo; R^{3d} es Me

R	R	R
4-cloro-2-fluorofenilo	2-cloro-4-(trifluorometil)fenilo	2-cloro-5-cianofenilo
2-fluoro-4-(trifluorometil)fenilo	2-fluoro-5-(trifluorometil)fenilo	4-cloro-2-metilfenilo
4-ciano-2-fluorofenilo	2-fluoro-5-(trifluorometoxi)fenilo	

R^2 es 6-cloro-3-piridinilo; R^{3d} es Me

R	R	R
4-cloro-2-fluorofenilo	2-cloro-4-(trifluorometil)fenilo	2-cloro-5-cianofenilo
2-fluoro-4-(trifluorometil)fenilo	2-fluoro-5-(trifluorometil)fenilo	4-cloro-2-metilfenilo
4-ciano-2-fluorofenilo	2-fluoro-5-(trifluorometoxi)fenilo	

R^2 es 6-fluoro-3-piridinilo; R^{3d} es Me

R	R	R
4-cloro-2-fluorofenilo	2-cloro-4-(trifluorometil)fenilo	2-cloro-5-cianofenilo
2-fluoro-4-(trifluorometil)fenilo	2-fluoro-5-(trifluorometil)fenilo	4-cloro-2-metilfenilo
4-ciano-2-fluorofenilo	2-fluoro-5-(trifluorometoxi)fenilo	

R^2 es 6-bromo-3-piridinilo; R^{3d} es Me

R	R	R
4-cloro-2-fluorofenilo	2-cloro-4-(trifluorometil)fenilo	2-cloro-5-cianofenilo
2-fluoro-4-(trifluorometil)fenilo	2-fluoro-5-(trifluorometil)fenilo	4-cloro-2-metilfenilo
4-ciano-2-fluorofenilo	2-fluoro-5-(trifluorometoxi)fenilo	

R^2 es 6-metil-3-piridinilo; R^{3d} es Me

R	R	R
4-cloro-2-fluorofenilo	2-cloro-4-(trifluorometil)fenilo	2-cloro-5-cianofenilo
2-fluoro-4-(trifluorometil)fenilo	2-fluoro-5-(trifluorometil)fenilo	4-cloro-2-metilfenilo
4-ciano-2-fluorofenilo	2-fluoro-5-(trifluorometoxi)fenilo	

R^2 es 3-piridinilo; R^{3d} es Me

R	R	R
4-cloro-2-fluorofenilo	2-cloro-4-(trifluorometil)fenilo	2-cloro-5-cianofenilo
2-fluoro-4-(trifluorometil)fenilo	2-fluoro-5-(trifluorometil)fenilo	4-cloro-2-metilfenilo
4-ciano-2-fluorofenilo	2-fluoro-5-(trifluorometoxi)fenilo	

R^2 es 4-pirimidinilo; R^{3d} es Me

R	R	R
4-cloro-2-fluorofenilo	2-cloro-4-(trifluorometil)fenilo	2-cloro-5-cianofenilo
2-fluoro-4-(trifluorometil)fenilo	2-fluoro-5-(trifluorometil)fenilo	4-cloro-2-metilfenilo
4-ciano-2-fluorofenilo	2-fluoro-5-(trifluorometoxi)fenilo	

R^2 es 2-metil-4-pirimidinilo; R^{3d} es Me

R	R	R
4-cloro-2-fluorofenilo	2-cloro-4-(trifluorometil)fenilo	2-cloro-5-cianofenilo
2-fluoro-4-(trifluorometil)fenilo	2-fluoro-5-(trifluorometil)fenilo	4-cloro-2-metilfenilo
4-ciano-2-fluorofenilo	2-fluoro-5-(trifluorometoxi)fenilo	

R^2 es *N*-metil-4-pirazolilo; R^{3d} es Me

R	R	R
4-cloro-2-fluorofenilo	2-cloro-4-(trifluorometil)fenilo	2-cloro-5-cianofenilo
2-fluoro-4-(trifluorometil)fenilo	2-fluoro-5-(trifluorometil)fenilo	4-cloro-2-metilfenilo
4-ciano-2-fluorofenilo	2-fluoro-5-(trifluorometoxi)fenilo	

R^2 es CF_3; R^{3d} es Me

R	R	R
4-cloro-2-fluorofenilo	2-cloro-4-(trifluorometil)fenilo	2-cloro-5-cianofenilo
2-fluoro-4-(trifluorometil)fenilo	2-fluoro-5-(trifluorometil)fenilo	4-cloro-2-metilfenilo
4-ciano-2-fluorofenilo	2-fluoro-5-(trifluorometoxi)fenilo	

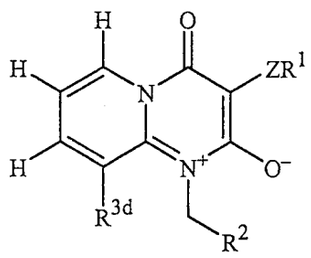
R^2 es CH_2CF_3; R^{3d} es Me

R	R	R
4-cloro-2-fluorofenilo	2-cloro-4-(trifluorometil)fenilo	2-cloro-5-cianofenilo
2-fluoro-4-(trifluorometil)fenilo	2-fluoro-5-(trifluorometil)fenilo	4-cloro-2-metilfenilo
4-ciano-2-fluorofenilo	2-fluoro-5-(trifluorometoxi)fenilo	

R^2 es $CH_2CFClCHF_2$; R^{3d} es Me

R	R	R
4-cloro-2-fluorofenilo	2-cloro-4-(trifluorometil)fenilo	2-cloro-5-cianofenilo
2-fluoro-4-(trifluorometil)fenilo	2-fluoro-5-(trifluorometil)fenilo	4-cloro-2-metilfenilo
4-ciano-2-fluorofenilo	2-fluoro-5-(trifluorometoxi)fenilo	

Tabla 18



R^2 es 2-cloro-5-tiazolilo; R^{3d} es Me

ZR^1	ZR^1	ZR^1	ZR^1
4-CF_3-2-piridinilo	2-cn-4-piridinilo	6-CF_3-2-piridinilo	4-cn-2-piridinilo
2-cl-4-piridinilo	2-CF_3-4-piridinilo	6-OCF_3-2-piridinilo	4-cl-2-piridinilo
2-F-4-piridinilo	2-OCF_3-4-piridinilo	4-OCF_3-2-piridinilo	6-CH_3-2-piridinilo

2-Br-4-piridinilo	2-OCH_3-4-piridinilo 6-OCH_3-2-piridinilo	4-CH_3-2-piridinilo 4-OCH_3-2-piridinilo	6-CN-2-piridinilo 6-CL-2-piridinilo

R^2 es 2-cloro-5-tiazolilo; R^{3d} es OMe

ZR^1	ZR^1	ZR^1	ZR^1
4-CF_3-2-piridinilo	2-cn-4-piridinilo	6-CF_3-2-piridinilo	4-cn-2-piridinilo
2-cl-4-piridinilo	2-CF_3-4-piridinilo	6-OCF_3-2-piridinilo	4-cl-2-piridinilo
2-F-4-piridinilo	2-OCF_3-4-piridinilo	4-OCF_3-2-piridinilo	6-CH_3-2-piridinilo
2-Br-4-piridinilo	2-OCH_3-4-piridinilo 6-OCH_3-2-piridinilo	4-CH_3-2-piridinilo 4-OCH_3-2-piridinilo	6-CN-2-piridinilo 6-CL-2-piridinilo

R^2 es 2-cloro-5-tiazolilo; R^{3d} es Cl

ZR^1	ZR^1	ZR^1	ZR^1
4-CF_3-2-piridinilo	2-cn-4-piridinilo	6-CF_3-2-piridinilo	4-cn-2-piridinilo
2-cl-4-piridinilo	2-CF_3-4-piridinilo	6-OCF_3-2-piridinilo	4-cl-2-piridinilo
2-F-4-piridinilo	2-OCF_3-4-piridinilo	4-OCF_3-2-piridinilo	6-CH_3-2-piridinilo

2-Br-4-piridinilo	2-OCH_3-4-piridinilo	4-CH_3-2-piridinilo	6-CN-2-piridinilo
	6-OCH_3-2-piridinilo	4-OCH_3-2-piridinilo	6-CL-2-piridinilo

R^2 es 2-cloro-5-tiazolilo; R^{3d} es Br

ZR^1	ZR^1	ZR^1	ZR^1
4-CF_3-2-piridinilo	2-cn-4-piridinilo	6-CF_3-2-piridinilo	4-cn-2-piridinilo
2-cl-4-piridinilo	2-CF_3-4-piridinilo	6-OCF_3-2-piridinilo	4-cl-2-piridinilo
2-F-4-piridinilo	2-OCF_3-4-piridinilo	4-OCF_3-2-piridinilo	6-CH_3-2-piridinilo
2-Br-4-piridinilo	2-OCH_3-4-piridinilo	4-CH_3-2-piridinilo	6-CN-2-piridinilo
	6-OCH_3-2-piridinilo	4-OCH_3-2-piridinilo	6-CL-2-piridinilo

R^2 es 2-bromo-5-tiazolilo; R^{3d} es Me

ZR^1	ZR^1	ZR^1	ZR^1
4-CF_3-2-piridinilo	2-cn-4-piridinilo	6-CF_3-2-piridinilo	4-cn-2-piridinilo
2-cl-4-piridinilo	2-CF_3-4-piridinilo	6-OCF_3-2-piridinilo	4-cl-2-piridinilo
2-F-4-piridinilo	2-OCF_3-4-piridinilo	4-OCF_3-2-piridinilo	6-CH_3-2-piridinilo

2-Br-4-piridinilo	2-OCH_3-4-piridinilo	4-CH_3-2-piridinilo	6-CN-2-piridinilo
	6-OCH_3-2-piridinilo	4-OCH_3-2-piridinilo	6-CL-2-piridinilo

R^2 es 2-fluoro-5-tiazolilo; R^{3d} es Me

ZR^1	ZR^1	ZR^1	ZR^1
4-CF_3-2-piridinilo	2-cn-4-piridinilo	6-CF_3-2-piridinilo	4-cn-2-piridinilo
2-cl-4-piridinilo	2-CF_3-4-piridinilo	6-OCF_3-2-piridinilo	4-cl-2-piridinilo
2-F-4-piridinilo	2-OCF_3-4-piridinilo	4-OCF_3-2-piridinilo	6-CH_3-2-piridinilo
2-Br-4-piridinilo	2-OCH_3-4-piridinilo	4-CH_3-2-piridinilo	6-CN-2-piridinilo
	6-OCH_3-2-piridinilo	4-OCH_3-2-piridinilo	6-CL-2-piridinilo

R^2 es 5-tiazolilo; R^{3d} es Me

ZR^1	ZR^1	ZR^1	ZR^1
4-CF_3-2-piridinilo	2-cn-4-piridinilo	6-CF_3-2-piridinilo	4-cn-2-piridinilo
2-cl-4-piridinilo	2-CF_3-4-piridinilo	6-OCF_3-2-piridinilo	4-cl-2-piridinilo
2-F-4-piridinilo	2-OCF_3-4-piridinilo	4-OCF_3-2-piridinilo	6-CH_3-2-piridinilo

2-Br-4-piridinilo	2-OCH_3-4-piridinilo	4-CH_3-2-piridinilo	6-CN-2-piridinilo
	6-OCH_3-2-piridinilo	4-OCH_3-2-piridinilo	6-CL-2-piridinilo

R^2 es 2-metil-5-tiazolilo; R^{3d} es Me

ZR^1	ZR^1	ZR^1	ZR^1
4-CF_3-2-piridinilo	2-cn-4-piridinilo	6-CF_3-2-piridinilo	4-cn-2-piridinilo
2-cl-4-piridinilo	2-CF_3-4-piridinilo	6-OCF_3-2-piridinilo	4-cl-2-piridinilo
2-F-4-piridinilo	2-OCF_3-4-piridinilo	4-OCF_3-2-piridinilo	6-CH_3-2-piridinilo
2-Br-4-piridinilo	2-OCH_3-4-piridinilo	4-CH_3-2-piridinilo	6-CN-2-piridinilo
	6-OCH_3-2-piridinilo	4-OCH_3-2-piridinilo	6-CL-2-piridinilo

R^2 es 6-cloro-3-piridinilo; R^{3d} es Me

ZR^1	ZR^1	ZR^1	ZR^1
4-CF_3-2-piridinilo	2-cn-4-piridinilo	6-CF_3-2-piridinilo	4-cn-2-piridinilo
2-cl-4-piridinilo	2-CF_3-4-piridinilo	6-OCF_3-2-piridinilo	4-cl-2-piridinilo
2-F-4-piridinilo	2-OCF_3-4-piridinilo	4-OCF_3-2-piridinilo	6-CH_3-2-piridinilo

2-Br-4-piridinilo	2-OCH_3-4-piridinilo	4-CH_3-2-piridinilo	6-CN-2-piridinilo
	6-OCH_3-2-piridinilo	4-OCH_3-2-piridinilo	6-CL-2-piridinilo

R^2 es 6-fluoro-3-piridinilo; R^{3d} es Me

ZR^1	ZR^1	ZR^1	ZR^1
4-CF_3-2-piridinilo	2-cn-4-piridinilo	6-CF_3-2-piridinilo	4-cn-2-piridinilo
2-cl-4-piridinilo	2-CF_3-4-piridinilo	6-OCF_3-2-piridinilo	4-cl-2-piridinilo
2-F-4-piridinilo	2-OCF_3-4-piridinilo	4-OCF_3-2-piridinilo	6-CH_3-2-piridinilo
2-Br-4-piridinilo	2-OCH_3-4-piridinilo	4-CH_3-2-piridinilo	6-CN-2-piridinilo
	6-OCH_3-2-piridinilo	4-OCH_3-2-piridinilo	6-CL-2-piridinilo

R^2 es 6-bromo-3-piridinilo; R^{3d} es Me

ZR^1	ZR^1	ZR^1	ZR^1
4-CF_3-2-piridinilo	2-cn-4-piridinilo	6-CF_3-2-piridinilo	4-cn-2-piridinilo
2-cl-4-piridinilo	2-CF_3-4-piridinilo	6-OCF_3-2-piridinilo	4-cl-2-piridinilo
2-F-4-piridinilo	2-OCF_3-4-piridinilo	4-OCF_3-2-piridinilo	6-CH_3-2-piridinilo

2-Br-4-piridinilo	2-OCH_3-4-piridinilo	4-CH_3-2-piridinilo	6-CN-2-piridinilo
	6-OCH_3-2-piridinilo	4-OCH_3-2-piridinilo	6-CL-2-piridinilo

R^2 es 6-metil-3-piridinilo; R^{3d} es Me

ZR^1	ZR^1	ZR^1	ZR^1
4-CF_3-2-piridinilo	2-cn-4-piridinilo	6-CF_3-2-piridinilo	4-cn-2-piridinilo
2-cl-4-piridinilo	2-CF_3-4-piridinilo	6-OCF_3-2-piridinilo	4-cl-2-piridinilo
2-F-4-piridinilo	2-OCF_3-4-piridinilo	4-OCF_3-2-piridinilo	6-CH_3-2-piridinilo
2-Br-4-piridinilo	2-OCH_3-4-piridinilo	4-CH_3-2-piridinilo	6-CN-2-piridinilo
	6-OCH_3-2-piridinilo	4-OCH_3-2-piridinilo	6-CL-2-piridinilo

R^2 es 3-piridinilo; R^{3d} es Me

ZR^1	ZR^1	ZR^1	ZR^1
4-CF_3-2-piridinilo	2-cn-4-piridinilo	6-CF_3-2-piridinilo	4-cn-2-piridinilo
2-cl-4-piridinilo	2-CF_3-4-piridinilo	6-OCF_3-2-piridinilo	4-cl-2-piridinilo
2-F-4-piridinilo	2-OCF_3-4-piridinilo	4-OCF_3-2-piridinilo	6-CH_3-2-piridinilo

2-Br-4-piridinilo	2-OCH_3-4-piridinilo	4-CH_3-2-piridinilo	6-CN-2-piridinilo
	6-OCH_3-2-piridinilo	4-OCH_3-2-piridinilo	6-CL-2-piridinilo

R^2 es 4-pirimidinilo; R^{3d} es Me

ZR^1	ZR^1	ZR^1	ZR^1
4-CF_3-2-piridinilo	2-cn-4-piridinilo	6-CF_3-2-piridinilo	4-cn-2-piridinilo
2-cl-4-piridinilo	2-CF_3-4-piridinilo	6-OCF_3-2-piridinilo	4-cl-2-piridinilo
2-F-4-piridinilo	2-OCF_3-4-piridinilo	4-OCF_3-2-piridinilo	6-CH_3-2-piridinilo
2-Br-4-piridinilo	2-OCH_3-4-piridinilo	4-CH_3-2-piridinilo	6-CN-2-piridinilo
	6-OCH_3-2-piridinilo	4-OCH_3-2-piridinilo	6-CL-2-piridinilo

R^2 es 2-metil-4-pirimidinilo; R^{3d} es Me

ZR^1	ZR^1	ZR^1	ZR^1
4-CF_3-2-piridinilo	2-cn-4-piridinilo	6-CF_3-2-piridinilo	4-cn-2-piridinilo
2-cl-4-piridinilo	2-CF_3-4-piridinilo	6-OCF_3-2-piridinilo	4-cl-2-piridinilo
2-F-4-piridinilo	2-OCF_3-4-piridinilo	4-OCF_3-2-piridinilo	6-CH_3-2-piridinilo

2-Br-4-piridinilo	2-OCH_3-4-piridinilo	4-CH_3-2-piridinilo	6-CN-2-piridinilo
	6-OCH_3-2-piridinilo	4-OCH_3-2-piridinilo	6-CL-2-piridinilo

R^2 es *N*-metil-4-pirazolilo; R^{3d} es Me

ZR^1	ZR^1	ZR^1	ZR^1
4-CF_3-2-piridinilo	2-cn-4-piridinilo	6-CF_3-2-piridinilo	4-cn-2-piridinilo
2-cl-4-piridinilo	2-CF_3-4-piridinilo	6-OCF_3-2-piridinilo	4-cl-2-piridinilo
2-F-4-piridinilo	2-OCF_3-4-piridinilo	4-OCF_3-2-piridinilo	6-CH_3-2-piridinilo
2-Br-4-piridinilo	2-OCH_3-4-piridinilo	4-CH_3-2-piridinilo	6-CN-2-piridinilo
	6-OCH_3-2-piridinilo	4-OCH_3-2-piridinilo	6-CL-2-piridinilo

R^2 es CF_3; R^{3d} es Me

ZR^1	ZR^1	ZR^1	ZR^1
4-CF_3-2-piridinilo	2-cn-4-piridinilo	6-CF_3-2-piridinilo	4-cn-2-piridinilo
2-cl-4-piridinilo	2-CF_3-4-piridinilo	6-OCF_3-2-piridinilo	4-cl-2-piridinilo
2-F-4-piridinilo	2-OCF_3-4-piridinilo	4-OCF_3-2-piridinilo	6-CH_3-2-piridinilo

2-Br-4-piridinilo	2-OCH_3-4-piridinilo	4-CH_3-2-piridinilo	6-CN-2-piridinilo
	6-OCH_3-2-piridinilo	4-OCH_3-2-piridinilo	6-CL-2-piridinilo

R^2 es CH_2CF_3; R^{3d} es Me

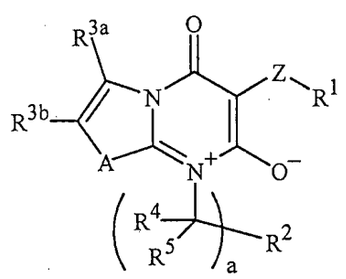
ZR^1	ZR^1	ZR^1	ZR^1
4-CF_3-2-piridinilo	2-cn-4-piridinilo	6-CF_3-2-piridinilo	4-cn-2-piridinilo
2-cl-4-piridinilo	2-CF_3-4-piridinilo	6-OCF_3-2-piridinilo	4-cl-2-piridinilo
2-F-4-piridinilo	2-OCF_3-4-piridinilo	4-OCF_3-2-piridinilo	6-CH_3-2-piridinilo
2-Br-4-piridinilo	2-OCH_3-4-piridinilo	4-CH_3-2-piridinilo	6-CN-2-piridinilo
	6-OCH_3-2-piridinilo	4-OCH_3-2-piridinilo	6-CL-2-piridinilo

R^2 es $CH_2CFClCHF_2$; R^{3d} es Me

ZR^1	ZR^1	ZR^1	ZR^1
4-CF_32-piridinilo	2-cn-4-piridinilo	6-CF_3-2-piridinilo	4-cn-2-piridinilo
2-cl-4-piridinilo	2-CF_3-4-piridinilo	6-OCF_3-2-piridinilo	4-cl-2-piridinilo
2-F-4-piridinilo	2-OCF_3-4-piridinilo	4-OCF_3-2-piridinilo	6-CH_3-2-piridinilo

2-Br-4-piridinilo	2-OCH_3-4-piridinilo	4-CH_3-2-piridinilo	6-CN-2-piridinilo
	6-OCH_3-2-piridinilo	4-OCH_3-2-piridinilo	6-CL-2-piridinilo

Tabla 19



Z-R^1 es fenilo; R^{3b} es H; A es CH=CMe; R^4 y R^5 son H; a es 1; R^2 es 2-cloro-5-tiazolilo

R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}
H	CHO	Me	OMe	$CH=CH_2$	OPh	3-F-2-piridinilo
Cl	C(O)Me	Et	OEt	C≡CH	NMe_2	4-Me-3-piridinilo
Br	CO_2Et	*i*-Pr	OCF_3	CF_3	NHCHO	2-ciano-4-piridinilo
ciano	$C(O)NMe_2$	*c*-Pr	SMe	pH		

Z-R^1 es fenilo; R^{3a} es H; A es CH=CMe; R^4 y R^5 son H; a es 1; R^2 es 2-cloro-5-tiazolilo

R^{3b}	R^{3b}	R^{3b}	R^{3b}	R^{3b}	R^{3b}	R^{3b}
Cl	CHO	Me	OMe	$CH=CH_2$	OPh	3-F-2-piridinilo
Br	C(O)Me	Et	OEt	C≡CH	NMe_2	4-Me-3-piridinilo
ciano	CO_2Et	*i*-Pr	OCF_3	CF_3	NHCHO	2-ciano-4-piridinilo
pH	$C(O)NMe_2$	*c*-Pr	SMe			

Z-R^1 es fenilo; R^{3a} y R^{3b} son H; A es $C(R^{3c})=CH$; R^4 y R^5 son H; a es 1;

R^2 es 2-cloro-5-tiazolilo

R^{3c}	R^{3c}	R^{3c}	R^{3c}	R^{3c}	R^{3c}	R^{3c}
Cl	CHO	Me	OMe	$CH=CH_2$	OPh	3-F-2-piridinilo
Br	C(O)Me	Et	OEt	C≡CH	NMe_2	4-Me-3-piridinilo
ciano	CO_2Et	*i*-Pr	OCF_3	CF_3	NHCHO	2-ciano-4-piridinilo
pH	$C(O)NMe_2$	*c*-Pr	SMe			

Z-R^1 es fenilo; R^{3a} y R^{3b} son H; A es $CH=C(R^{3d})$; R^4 y R^5 son H; a es 1;

R^2 es 2-cloro-5-tiazolilo

R^{3d}	R^{3d}	R^{3d}	R^{3d}	R^{3d}	R^{3d}
CHO	Me	OMe	$CH=CH_2$	OPh	3-F-2-piridinilo
C(O)Me	Et	OEt	C≡CH	NMe_2	4-Me-3-piridinilo
CO_2Et	*i*-Pr	OCF_3	CF_3	NHCHO	2-ciano-4-piridinilo
$C(O)NMe_2$	*c*-Pr	SMe	ciano	pH	

Z-R^1 es fenilo; R^{3b} es H; A es O; R^4 y R^5 son H; a es 1; R^2 es 2-cloro-5-tiazolilo

R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}
F	CHO	Me	OMe	$CH=CH_2$	OPh	3-F-2-piridinilo
Cl	C(O)Me	Et	OEt	C≡CH	NMe_2	4-Me-3-piridinilo
Br	CO_2Et	*i*-Pr	OCF_3	CF_3	NHCHO	2-ciano-4-piridinilo
ciano	$C(O)NMe_2$	*c*-Pr	SMe	pH		

Z-R^1 es fenilo; R^{3b} es H; A es S; R^4 y R^5 son H; a es 1; R^2 es 2-cloro-5-tiazolilo

R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}
F	CHO	Me	OMe	$CH=CH_2$	OPh	3-F-2-piridinilo
Cl	C(O)Me	Et	OEt	C≡CH	NMe_2	4-Me-3-piridinilo

Br	CO_2Et	*i*-Pr	OCF_3	CF_3	NHCHO	2-ciano-4-piridinilo
ciano	$C(O)NMe_2$	*c*-Pr	SMe	pH		

Z-R^1 es fenilo; R^{3b} es H; A es NMe; R^4 y R^5 son H; a es 1; R^2 es 2-cloro-5-tiazolilo

R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}
F	CHO	Me	OMe	$CH=CH_2$	OPh	3-F-2-piridinilo
Cl	C(O)Me	Et	OEt	C≡CH	NMe_2	4-Me-3-piridinilo
Br	CO_2Et	*i*-Pr	OCF_3	CF_3	NHCHO	2-ciano-4-piridinilo
ciano	$C(O)NMe_2$	*c*-Pr	SMe	pH		

Z-R^1 es fenilo; R^{3a} y R^{3b} son H; A es NR^{3e}; R^4 y R^5 son H; a es 1; R^2 es 2-cloro-5-tiazolilo

R^{3e}	R^{3e}	R^{3e}	R^{3e}	R^{3e}	R^{3e}	R^{3e}
ciano	C(O)Me	*i*-Pr	OMe	$CH=CH_2$	OPh	3-F-2-piridinilo
NMe_2	CO_2Et	*c*-Pr	OEt	C≡CH	pH	4-Me-3-piridinilo
CHO	$C(O)NMe_2$	OCF_3	CF_3			2-ciano-4-piridinilo

Z-R^1 es 2-fluorofenilo; R^{3b} es H; A es CH=CMe; R^4 y R^5 son H; a es 1; R^2 es 2-cloro-5-tiazolilo

R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}
H	CHO	Me	OMe	$CH=CH_2$	OPh	3-F-2-piridinilo
Cl	C(O)Me	Et	OEt	$C\equiv CH$	NMe_2	4-Me-3-piridinilo
Br	CO_2Et	*i*-Pr	OCF_3	CF_3	NHCHO	2-ciano-4-piridinilo
ciano	$C(O)NMe_2$	*c*-Pr	SMe	pH		

Z-R^1 es 2-fluorofenilo; R^{3a} es H; A es CH=CMe; R^4 y R^5 son H; a es 1; R^2 es 2-cloro-5-tiazolilo

R^{3b}	R^{3b}	R^{3b}	R^{3b}	R^{3b}	R^{3b}	R^{3b}
Cl	CHO	Me	OMe	$CH=CH_2$	OPh	3-F-2-piridinilo
Br	C(O)Me	Et	OEt	$C\equiv CH$	NMe_2	4-Me-3-piridinilo
ciano	CO_2Et	*i*-Pr	OCF_3	CF_3	NHCHO	2-ciano-4-piridinilo
pH	$C(O)NMe_2$	*c*-Pr	SMe			

Z-R^1 es 2-fluorofenilo; R^{3a} y R^{3b} son H; A es $C(R^{3c})=CH$; R^4 y R^5 son H; a es 1;

R^2 es 2-cloro-5-tiazolilo

R^{3c}	R^{3c}	R^{3c}	R^{3c}	R^{3c}	R^{3c}	R^{3c}
Cl	CHO	Me	OMe	$CH=CH_2$	OPh	3-F-2-piridinilo

Br	C(O)Me	Et	OEt	C≡CH	NMe_2	4-Me-3-piridinilo
ciano	CO_2Et	*i*-Pr	OCF_3	CF_3	NHCHO	2-ciano-4-piridinilo
pH	$C(O)NMe_2$	*c*-Pr	SMe			

Z-R^1 es 2-fluorofenilo; R^{3a} y R^{3b} son H; A es $CH=C(R^{3d})$; R^4 y R^5 son H; a es 1;

R^2 es 2-cloro-5-tiazolilo

R^{3d}	R^{3d}	R^{3d}	R^{3d}	R^{3d}	R^{3d}
CHO	Me	OMe	$CH=CH_2$	OPh	3-F-2-piridinilo
C(O)Me	Et	OEt	C≡CH	NMe_2	4-Me-3-piridinilo
CO_2Et	*i*-Pr	OCF_3	CF_3	NHCHO	2-ciano-4-piridinilo
$C(O)NMe_2$	*c*-Pr	SMe	ciano	pH	

Z-R^1 es 2-fluorofenilo; R^{3b} es H; A es O; R^4 y R^5 son H; a es 1; R^2 es 2-cloro-5-tiazolilo

R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}
F	CHO	Me	OMe	$CH=CH_2$	OPh	3-F-2-piridinilo
Cl	C(O)Me	Et	OEt	C≡CH	NMe_2	4-Me-3-piridinilo
Br	CO_2Et	*i*-Pr	OCF_3	CF_3	NHCHO	2-ciano-4-piridinilo
ciano	$C(O)NMe_2$	*c*-Pr	SMe	pH		

Z-R^1 es 2-fluorofenilo; R^{3b} es H; A es S; R^4 y R^5 son H; a es 1; R^2 es 2-cloro-5-tiazolilo

R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}
F	CHO	Me	OMe	$CH=CH_2$	OPh	3-F-2-piridinilo
Cl	C(O)Me	Et	OEt	C≡CH	NMe_2	4-Me-3-piridinilo
Br	CO_2Et	*i*-Pr	OCF_3	CF_3	NHCHO	2-ciano-4-piridinilo
ciano	$C(O)NMe_2$	*c*-Pr	SMe	pH		

Z-R^1 es 2-fluorofenilo; R^{3b} es H; A es NMe; R^4 y R^5 son H; a es 1; R^2 es 2-cloro-5-tiazolilo

R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}
F	CHO	Me	OMe	$CH=CH_2$	OPh	3-F-2-piridinilo
Cl	C(O)Me	Et	OEt	C≡CH	NMe_2	4-Me-3-piridinilo
Br	CO_2Et	*i*-Pr	OCF_3	CF_3	NHCHO	2-ciano-4-piridinilo
ciano	$C(O)NMe_2$	*c*-Pr	SMe	pH		

Z-R^1 es 2-fluorofenilo; R^{3a} y R^{3b} son H; A es NR^{3e}; R^4 y R^5 son H; a es 1;

R^2 es 2-cloro-5-tiazolilo

R^{3e}	R^{3e}	R^{3e}	R^{3e}	R^{3e}	R^{3e}	R^{3e}
ciano	C(O)Me	*i*-Pr	OMe	$CH=CH_2$	OPh	3-F-2-piridinilo
NMe_2	CO_2Et	*c*-Pr	OEt	C≡CH	pH	4-Me-3-piridinilo
CHO	$C(O)NMe_2$	OCF_3	CF_3			2-ciano-4-piridinilo

Z-R^1 es 3,5-diclorofenilo; R^{3b} es H; A es CH=CMe; R^4 y R^5 son H; a es 1;

R^2 es 2-cloro-5-tiazolilo

R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}
H	CHO	Me	OMe	$CH=CH_2$	OPh	3-F-2-piridinilo
Cl	C(O)Me	Et	OEt	C≡CH	NMe_2	4-Me-3-piridinilo
Br	CO_2Et	*i*-Pr	OCF_3	CF_3	NHCHO	2-ciano-4-piridinilo
ciano	$C(O)NMe_2$	*c*-Pr	SMe	pH		

Z-R^1 es 3,5-diclorofenilo; R^{3a} es H; A es CH=CMe; R^4 y R^5 son H; a es 1;

R^2 es 2-cloro-5-tiazolilo

R^{3b}	R^{3b}	R^{3b}	R^{3b}	R^{3b}	R^{3b}	R^{3b}
Cl	CHO	Me	OMe	$CH=CH_2$	OPh	3-F-2-piridinilo
Br	C(O)Me	Et	OEt	C≡CH	NMe_2	4-Me-3-piridinilo
ciano	CO_2Et	*i*-Pr	OCF_3	CF_3	NHCHO	2-ciano-4-piridinilo
pH	$C(O)NMe_2$	*c*-Pr	SMe			

$Z\text{-}R^1$ es 3,5-diclorofenilo; R^{3a} y R^{3b} son H; A es $C(R^{3c})=CH$; R^4 y R^5 son H; a es 1;

R^2 es 2-cloro-5-tiazolilo

R^{3c}	R^{3c}	R^{3c}	R^{3c}	R^{3c}	R^{3c}	R^{3c}
Cl	CHO	Me	OMe	$CH=CH_2$	OPh	3-F-2-piridinilo
Br	C(O)Me	Et	OEt	C≡CH	NMe_2	4-Me-3-piridinilo
ciano	CO_2Et	*i*-Pr	OCF_3	CF_3	NHCHO	2-ciano-4-piridinilo
pH	$C(O)NMe_2$	*c*-Pr	SMe			

$Z\text{-}R^1$ es 3,5-diclorofenilo; R^{3a} y R^{3b} son H; A es $CH=C(R^{3d})$; R^4 y R^5 son H; a es 1;

R^2 es 2-cloro-5-tiazolilo

R^{3d}	R^{3d}	R^{3d}	R^{3d}	R^{3d}	R^{3d}
CHO	Me	OMe	$CH=CH_2$	OPh	3-F-2-piridinilo

C(O)Me	Et	OEt	C≡CH	NMe_2	4-Me-3-piridinilo
CO_2Et	*i*-Pr	OCF_3	CF_3	NHCHO	2-ciano-4-piridinilo
$C(O)NMe_2$	*c*-Pr	SMe	ciano	pH	

Z-R^1 es 3,5-diclorofenilo; R^{3b} es H; A es O; R^4 y R^5 son H; a es 1; R^2 es 2-cloro-5-tiazolilo

R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}
F	CHO	Me	OMe	$CH=CH_2$	OPh	3-F-2-piridinilo
Cl	C(O)Me	Et	OEt	C≡CH	NMe_2	4-Me-3-piridinilo
Br	CO_2Et	*i*-Pr	OCF_3	CF_3	NHCHO	2-ciano-4-piridinilo
ciano	$C(O)NMe_2$	*c*-Pr	SMe	pH		

Z-R^1 es 3,5-diclorofenilo; R^{3b} es H; A es S; R^4 y R^5 son H; a es 1; R^2 es 2-cloro-5-tiazolilo

R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}
F	CHO	Me	OMe	$CH=CH_2$	OPh	3-F-2-piridinilo
Cl	C(O)Me	Et	OEt	C≡CH	NMe_2	4-Me-3-piridinilo
Br	CO_2Et	*i*-Pr	OCF_3	CF_3	NHCHO	2-ciano-4-piridinilo
ciano	$C(O)NMe_2$	*c*-Pr	SMe	pH		

Z-R^1 es 3,5-diclorofenilo; R^{3b} es H; A es NMe; R^4 y R^5 son H; a es 1; R^2 es 2-cloro-5-tiazolilo

R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}
F	CHO	Me	OMe	$CH=CH_2$	OPh	3-F-2-piridinilo
Cl	C(O)Me	Et	OEt	C≡CH	NMe_2	4-Me-3-piridinilo
Br	CO_2Et	*i*-Pr	OCF_3	CF_3	NHCHO	2-ciano-4-piridinilo
ciano	$C(O)NMe_2$	*c*-Pr	SMe	pH		

Z-R^1 es 3,5-diclorofenilo; R^{3a} y R^{3b} son H; A es NR^{3e}; R^4 y R^5 son H; a es 1;

R^2 es 2-cloro-5-tiazolilo

R^{3e}	R^{3e}	R^{3e}	R^{3e}	R^{3e}	R^{3e}	R^{3e}
ciano	C(O)Me	*i*-Pr	OMe	$CH=CH_2$	OPh	3-F-2-piridinilo
NMe_2	CO_2Et	*c*-Pr	OEt	C≡CH	pH	4-Me-3-piridinilo
CHO	$C(O)NMe_2$	OCF_3	CF_3			2-ciano-4-piridinilo

Z-R^1 es 3-(trifluorometil)fenilo; R^{3b} es H; A es CH=CMe; R^4 y R^5 son H; a es 1;

R^2 es 2-cloro-5-tiazolilo

R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}
H	CHO	Me	OMe	$CH=CH_2$	OPh	3-F-2-piridinilo
Cl	C(O)Me	Et	OEt	C≡CH	NMe_2	4-Me-3-piridinilo
Br	CO_2Et	*i*-Pr	OCF_3	CF_3	NHCHO	2-ciano-4-piridinilo
ciano	$C(O)NMe_2$	*c*-Pr	SMe	pH		

Z-R^1 es 3-(trifluorometil)fenilo; R^{3a} es H; A es CH=CMe; R^4 y R^5 son H; a es 1;

R^2 es 2-cloro-5-tiazolilo

R^{3b}	R^{3b}	R^{3b}	R^{3b}	R^{3b}	R^{3b}	R^{3b}
Cl	CHO	Me	OMe	$CH=CH_2$	OPh	3-F-2-piridinilo
Br	C(O)Me	Et	OEt	C≡CH	NMe_2	4-Me-3-piridinilo
ciano	CO_2Et	*i*-Pr	OCF_3	CF_3	NHCHO	2-ciano-4-piridinilo
pH	$C(O)NMe_2$	*c*-Pr	SMe			

Z-R^1 es 3-(trifluorometil)fenilo; R^{3a} y R^{3b} son H; A es $C(R^{3c})=CH$; R^4 y R^5 son H; a es 1;

R^2 es 2-cloro-5-tiazolilo

R^{3c}	R^{3c}	R^{3c}	R^{3c}	R^{3c}	R^{3c}	R^{3c}
Cl	CHO	Me	OMe	$CH=CH_2$	OPh	3-F-2-piridinilo
Br	C(O)Me	Et	OEt	C≡CH	NMe_2	4-Me-3-piridinilo
ciano	CO_2Et	*i*-Pr	OCF_3	CF_3	NHCHO	2-ciano-4-piridinilo
pH	$C(O)NMe_2$	*c*-Pr	SMe			

$Z-R^1$ es 3-(trifluorometil)fenilo; R^{3a} y R^{3b} son H; A es $CH=C(R^{3d})$; R^4 y R^5 son H; a es 1;

R^2 es 2-cloro-5-tiazolilo

R^{3d}	R^{3d}	R^{3d}	R^{3d}	R^{3d}	R^{3d}
CHO	Me	OMe	$CH=CH_2$	OPh	3-F-2-piridinilo
C(O)Me	Et	OEt	C≡CH	NMe_2	4-Me-3-piridinilo
CO_2Et	*i*-Pr	OCF_3	CF_3	NHCHO	2-ciano-4-piridinilo
$C(O)NMe_2$	*c*-Pr	SMe	ciano	pH	

$Z-R^1$ es 3-(trifluorometil)fenilo; R^{3b} es H; A es O; R^4 y R^5 son H; a es 1;

R^2 es 2-cloro-5-tiazolilo

R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}
F	CHO	Me	OMe	$CH=CH_2$	OPh	3-F-2-piridinilo
Cl	C(O)Me	Et	OEt	C≡CH	NMe_2	4-Me-3-piridinilo

Br	CO_2Et	*i*-Pr	OCF_3	CF_3	NHCHO	2-ciano-4-piridinilo
ciano	$C(O)NMe_2$	*c*-Pr	SMe	pH		

Z-R^1 es 3-(trifluorometil)fenilo; R^{3b} es H; A es S; R^4 y R^5 son H; a es 1;

R^2 es 2-cloro-5-tiazolilo

R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}
F	CHO	Me	OMe	$CH=CH_2$	OPh	3-F-2-piridinilo
Cl	C(O)Me	Et	OEt	C≡CH	NMe_2	4-Me-3-piridinilo
Br	CO_2Et	*i*-Pr	OCF_3	CF_3	NHCHO	2-ciano-4-piridinilo
ciano	$C(O)NMe_2$	*c*-Pr	SMe	pH		

Z-R^1 es 3-(trifluorometil)fenilo; R^{3b} es H; A es NMe; R^4 y R^5 son H; a es 1;

R^2 es 2-cloro-5-tiazolilo

R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}
F	CHO	Me	OMe	$CH=CH_2$	OPh	3-F-2-piridinilo
Cl	C(O)Me	Et	OEt	C≡CH	NMe_2	4-Me-3-piridinilo
Br	CO_2Et	*i*-Pr	OCF_3	CF_3	NHCHO	2-ciano-4-piridinilo

ciano	$C(O)NMe_2$	*c*-Pr	SMe	pH	

Z-R^1 es 3-(trifluorometil)fenilo; R^{3a} y R^{3b} son H; A es NR^{3e}; R^4 y R^5 son H; a es 1;

R^2 es 2-cloro-5-tiazolilo

R^{3e}	R^{3e}	R^{3e}	R^{3e}	R^{3e}	R^{3e}	R^{3e}
ciano	C(O)Me	*i*-Pr	OMe	$CH=CH_2$	OPh	3-F-2-piridinilo
NMe_2	CO_2Et	*c*-Pr	OEt	C≡CH	pH	4-Me-3-piridinilo
CHO	$C(O)NMe_2$	OCF_3	CF_3			2-ciano-4-piridinilo

Z-R^1 es 3-(2-cloro-4-(trifluorometil)fenil)fenilo; R^{3b} es H; A es CH=CMe; R^4 y R^5 son H;

a es 1; R^2 es 2-cloro-5-tiazolilo

R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}
H	CHO	Me	OMe	$CH=CH_2$	OPh	3-F-2-piridinilo
Cl	C(O)Me	Et	OEt	C≡CH	NMe_2	4-Me-3-piridinilo
Br	CO_2Et	*i*-Pr	OCF_3	CF_3	NHCHO	2-ciano-4-piridinilo
ciano	$C(O)NMe_2$	*c*-Pr	SMe	pH		

Z-R^1 es 3-(2-cloro-4-(trifluorometil)fenil)fenilo; R^{3a} es H; A es CH=CMe; R^4 y R^5 son H;

a es 1; R^2 es 2-cloro-5-tiazolilo

R^{3b}	R^{3b}	R^{3b}	R^{3b}	R^{3b}	R^{3b}	R^{3b}
Cl	CHO	Me	OMe	$CH=CH_2$	OPh	3-F-2-piridinilo
Br	C(O)Me	Et	OEt	C≡CH	NMe_2	4-Me-3-piridinilo
ciano	CO_2Et	*i*-Pr	OCF_3	CF_3	NHCHO	2-ciano-4-piridinilo
pH	$C(O)NMe_2$	*c*-Pr	SMe			

Z-R^1 es 3-(2-cloro-4-(trifluorometil)fenil)fenilo; R^{3a} y R^{3b} son H; A es $C(R^{3c})=CH$;

R^4 y R^5 son H; a es 1; R^2 es 2-cloro-5-tiazolilo

R^{3c}	R^{3c}	R^{3c}	R^{3c}	R^{3c}	R^{3c}	R^{3c}
Cl	CHO	Me	OMe	$CH=CH_2$	OPh	3-F-2-piridinilo
Br	C(O)Me	Et	OEt	C≡CH	NMe_2	4-Me-3-piridinilo
ciano	CO_2Et	*i*-Pr	OCF_3	CF_3	NHCHO	2-ciano-4-piridinilo
pH	$C(O)NMe_2$	*c*-Pr	SMe			

Z-R^1 es 3-(2-cloro-4-(trifluorometil)fenil)fenilo; R^{3a} y R^{3b} son H; A es $CH=C(R^{3d})$;

R^4 y R^5 son H; a es 1; R^2 es 2-cloro-5-tiazolilo

R^{3d}	R^{3d}	R^{3d}	R^{3d}	R^{3d}	R^{3d}
CHO	Me	OMe	$CH=CH_2$	OPh	3-F-2-piridinilo
C(O)Me	Et	OEt	C≡CH	NMe_2	4-Me-3-piridinilo
CO_2Et	*i*-Pr	OCF_3	CF_3	NHCHO	2-ciano-4-piridinilo
$C(O)NMe_2$	*c*-Pr	SMe	ciano	pH	

Z-R^1 es 3-(2-cloro-4-(trifluorometil)fenil)fenilo; R^{3b} es H; A es O; R^4 y R^5 son H; a es 1;

R^2 es 2-cloro-5-tiazolilo

R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}
F	CHO	Me	OMe	$CH=CH_2$	OPh	3-F-2-piridinilo
Cl	C(O)Me	Et	OEt	C≡CH	NMe_2	4-Me-3-piridinilo
Br	CO_2Et	*i*-Pr	OCF_3	CF_3	NHCHO	2-ciano-4-piridinilo
ciano	$C(O)NMe_2$	*c*-Pr	SMe	pH		

Z-R^1 es 3-(2-cloro-4-(trifluorometil)fenil)fenilo; R^{3b} es H; A es S; R^4 y R^5 son H; a es 1;

R^2 es 2-cloro-5-tiazolilo

R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}
F	CHO	Me	OMe	$CH=CH_2$	OPh	3-F-2-piridinilo

Cl	C(O)Me	Et	OEt	C≡CH	NMe_2	4-Me-3-piridinilo
Br	CO_2Et	*i*-Pr	OCF_3	CF_3	NHCHO	2-ciano-4-piridinilo
ciano	$C(O)NMe_2$	*c*-Pr	SMe	pH		

Z-R^1 es 3-(2-cloro-4-(trifluorometil)fenil)fenilo; R^{3b} es H; A es NMe; R^4 y R^5 son H; a es 1; R^2 es 2-cloro-5-tiazolilo

R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}	R^{3a}
F	CHO	Me	OMe	$CH=CH_2$	OPh	3-F-2-piridinilo
Cl	C(O)Me	Et	OEt	C≡CH	NMe_2	4-Me-3-piridinilo
Br	CO_2Et	*i*-Pr	OCF_3	CF_3	NHCHO	2-ciano-4-piridinilo
ciano	$C(O)NMe_2$	*c*-Pr	SMe	pH		

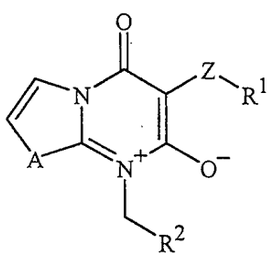
Z-R^1 es 3-(2-cloro-4-(trifluorometil)fenil)fenilo; R^{3a} y R^{3b} son H; A es NR^{3e};

R^4 y R^5 son H; a es 1; R^2 es 2-cloro-5-tiazolilo

$\underline{R^{3e}}$	$\underline{R^{3e}}$	$\underline{R^{3e}}$	$\underline{R^{3e}}$	$\underline{R^{3e}}$	$\underline{R^{3e}}$	$\underline{R^{3e}}$
ciano	C(O)Me	*i*-Pr	OMe	$CH=CH_2$	OPh	3-F-2-piridinilo
NMe_2	CO_2Et	*c*-Pr	OEt	C≡CH	pH	4-Me-3-piridinilo

CHO	$C(O)NMe_2$	OCF_3	CF_3			2-ciano-4-piridinilo

Tabla 20



A es NCH_2CHF_2; R^2 es 2-cloro-5-tiazolilo

ZR^1	ZR^1	ZR^1	ZR^1	ZR^1
pH	3-MeO-Ph	$3-CF_3$-Ph	$3-CF_3O$-Ph	3-Cl, $5-CF_3$-Ph
2-F-Ph	$C(O)CF_3$	$3-CO_2Et$-Ph	$3-C(O)NMe_2$-Ph	3-Me-Ph
3-CN-Ph	C(O)Ph	CO_2Et	3,5-diCl-Ph	$3-(2-Cl-4-CF_3-Ph)Ph$

A es NCH_2CHF_2; R^2 es 2-metil-5-tiazolilo

ZR^1	ZR^1	ZR^1	ZR^1	ZR^1
pH	3-MeO-Ph	$3-CF_3$-Ph	$3-CF_3O$-Ph	3-Cl, $5-CF_3$-Ph
2-F-Ph	$C(O)CF_3$	$3-CO_2Et$-Ph	$3-C(O)NMe_2$-Ph	3-Me-Ph
3-CN-Ph	C(O)Ph	CO_2Et	3,5-diCl-Ph	$3-(2-Cl-4-CF_3-Ph)Ph$

A es NCH_2CHF_2; R^2 es 2-metil-5-tiazolilo

ZR^1	ZR^1	ZR^1	ZR^1	ZR^1
pH	3-MeO-Ph	3-CF_3-Ph	3-CF_3O-Ph	3-Cl, 5-CF_3-Ph
2-F-Ph	C(O)CF_3	3-CO_2Et-Ph	3-C(O)NMe_2-Ph	3-Me-Ph
3-CN-Ph	C(O)Ph	CO_2Et	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph

A es NCH_2CHF_2; R^2 es CF_3

ZR^1	ZR^1	ZR^1	ZR^1	ZR^1
pH	3-MeO-Ph	3-CF_3-Ph	3-CF_3O-Ph	3-Cl, 5-CF_3-Ph
2-F-Ph	C(O)CF_3	3-CO_2Et-Ph	3-C(O)NMe_2-Ph	3-Me-Ph
3-CN-Ph	C(O)Ph	CO_2Et	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph

A es NCH_2CHF_2; R^2 es 6-cloro-3-piridinilo

ZR^1	ZR^1	ZR^1	ZR^1	ZR^1
pH	3-MeO-Ph	3-CF_3-Ph	3-CF_3O-Ph	3-Cl, 5-CF_3-Ph
2-F-Ph	C(O)CF_3	3-CO_2Et-Ph	3-C(O)NMe_2-Ph	3-Me-Ph
3-CN-Ph	C(O)Ph	CO_2Et	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph

A es NCH_2CHF_2; R^2 es 6-fluoro-3-piridinilo

ZR^1	ZR^1	ZR^1	ZR^1	ZR^1
pH	3-MeO-Ph	3-CF_3-Ph	3-CF_3O-Ph	3-Cl, 5-CF_3-Ph
2-F-Ph	C(O)CF_3	3-CO_2Et-Ph	3-C(O)NMe_2-Ph	3-Me-Ph
3-CN-Ph	C(O)Ph	CO_2Et	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph

A es NCH_2CHF_2; R^2 es 1-metil-4-pirazolilo

ZR^1	ZR^1	ZR^1	ZR^1	ZR^1
pH	3-MeO-Ph	3-CF_3-Ph	3-CF_3O-Ph	3-Cl, 5-CF_3-Ph
2-F-Ph	C(O)CF_3	3-CO_2Et-Ph	3-C(O)NMe_2-Ph	3-Me-Ph
3-CN-Ph	C(O)Ph	CO_2Et	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph

A es NEt; R^2 es 2-metil-5-tiazolilo

ZR^1	ZR^1	ZR^1	ZR^1	ZR^1
pH	3-MeO-Ph	3-CF_3-Ph	3-CF_3O-Ph	3-Cl, 5-CF_3-Ph
2-F-Ph	C(O)CF_3	3-CO_2Et-Ph	3-C(O)NMe_2-Ph	3-Me-Ph
3-CN-Ph	C(O)Ph	CO_2Et	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph

A es NEt; R^2 es 2-metil-5-tiazolilo

ZR^1	ZR^1	ZR^1	ZR^1	ZR^1
pH	3-MeO-Ph	3-CF_3-Ph	3-CF_3O-Ph	3-Cl, 5-CF_3-Ph
2-F-Ph	C(O)CF_3	3-CO_2Et-Ph	3-C(O)NMe_2-Ph	3-Me-Ph
3-CN-Ph	C(O)Ph	CO_2Et	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph

A es NEt; R^2 es 2-metil-5-tiazolilo

ZR^1	ZR^1	ZR^1	ZR^1	ZR^1
pH	3-MeO-Ph	3-CF_3-Ph	3-CF_3O-Ph	3-Cl, 5-CF_3-Ph
2-F-Ph	C(O)CF_3	3-CO_2Et-Ph	3-C(O)NMe_2-Ph	3-Me-Ph
3-CN-Ph	C(O)Ph	CO_2Et	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph

A es NEt; R^2 es CF_3

ZR^1	ZR^1	ZR^1	ZR^1	ZR^1
pH	3-MeO-Ph	3-CF_3-Ph	3-CF_3O-Ph	3-Cl, 5-CF_3-Ph
2-F-Ph	C(O)CF_3	3-CO_2Et-Ph	3-C(O)NMe_2-Ph	3-Me-Ph
3-CN-Ph	C(O)Ph	CO_2Et	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph

A es NEt; R^2 es 6-cloro-3-piridinilo

ZR^1	ZR^1	ZR^1	ZR^1	ZR^1
pH	3-MeO-Ph	3-CF_3-Ph	3-CF_3O-Ph	3-Cl, 5-CF_3-Ph
2-F-Ph	C(O)CF_3	3-CO_2Et-Ph	3-C(O)NMe_2-Ph	3-Me-Ph
3-CN-Ph	C(O)Ph	CO_2Et	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph

A es NEt; R^2 es 6-fluoro-3-piridinilo

ZR^1	ZR^1	ZR^1	ZR^1	ZR^1
pH	3-MeO-Ph	3-CF_3-Ph	3-CF_3O-Ph	3-Cl, 5-CF_3-Ph
2-F-Ph	C(O)CF_3	3-CO_2Et-Ph	3-C(O)NMe_2-Ph	3-Me-Ph
3-CN-Ph	C(O)Ph	CO_2Et	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph

A es NEt; R^2 es 1-metil-4-pirazolilo

ZR^1	ZR^1	ZR^1	ZR^1	ZR^1
pH	3-MeO-Ph	3-CF_3-Ph	3-CF_3O-Ph	3-Cl, 5-CF_3-Ph
2-F-Ph	C(O)CF_3	3-CO_2Et-Ph	3-C(O)NMe_2-Ph	3-Me-Ph
3-CN-Ph	C(O)Ph	CO_2Et	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph

A es NCH_2CF_3; R^2 es H

ZR^1	ZR^1	ZR^1	ZR^1	ZR^1
pH	3-MeO-Ph	3-CF_3-Ph	3-CF_3O-Ph	3-Cl, 5-CF_3-Ph
2-F-Ph	C(O)CF_3	3-CO_2Et-Ph	3-C(O)NMe_2-Ph	3-Me-Ph
3-CN-Ph	C(O)Ph	CO_2Et	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph

A es NCH_2(6-cloro-3-piridinilo); R^2 es H

ZR^1	ZR^1	ZR^1	ZR^1	ZR^1
pH	3-MeO-Ph	3-CF_3-Ph	3-CF_3O-Ph	3-Cl, 5-CF_3-Ph
2-F-Ph	C(O)CF_3	3-CO_2Et-Ph	3-C(O)NMe_2-Ph	3-Me-Ph
3-CN-Ph	C(O)Ph	CO_2Et	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph

A es NCH_2(6-fluoro-3-piridinilo); R^2 es H

ZR^1	ZR^1	ZR^1	ZR^1	ZR^1
pH	3-MeO-Ph	3-CF_3-Ph	3-CF_3O-Ph	3-Cl, 5-CF_3-Ph
2-F-Ph	C(O)CF_3	3-CO_2Et-Ph	3-C(O)NMe_2-Ph	3-Me-Ph
3-CN-Ph	C(O)Ph	CO_2Et	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph

A es NCH_2(2-cloro-5-tiazolilo); R^2 es H

ZR^1	ZR^1	ZR^1	ZR^1	ZR^1
pH	3-MeO-Ph	3-CF_3-Ph	3-CF_3O-Ph	3-Cl, 5-CF_3-Ph
2-F-Ph	C(O)CF_3	3-CO_2Et-Ph	3-C(O)NMe_2-Ph	3-Me-Ph
3-CN-Ph	C(O)Ph	CO_2Et	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph

A es NCH_2CF_3; R^2 es Me

ZR^1	ZR^1	ZR^1	ZR^1	ZR^1
pH	3-MeO-Ph	3-CF_3-Ph	3-CF_3O-Ph	3-Cl, 5-CF_3-Ph
2-F-Ph	C(O)CF_3	3-CO_2Et-Ph	3-C(O)NMe_2-Ph	3-Me-Ph
3-CN-Ph	C(O)Ph	CO_2Et	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph

A es NCH_2(6-cloro-3-piridinilo); R^2 es Me

ZR^1	ZR^1	ZR^1	ZR^1	ZR^1
pH	3-MeO-Ph	3-CF_3-Ph	3-CF_3O-Ph	3-Cl, 5-CF_3-Ph
2-F-Ph	C(O)CF_3	3-CO_2Et-Ph	3-C(O)NMe_2-Ph	3-Me-Ph
3-CN-Ph	C(O)Ph	CO_2Et	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph

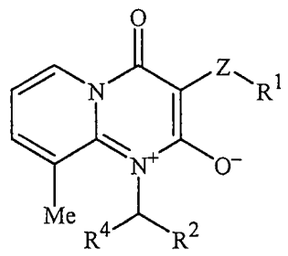
A es NCH_2(6-fluoro-3-piridinilo); R^2 es Me

ZR^1	ZR^1	ZR^1	ZR^1	ZR^1
pH	3-MeO-Ph	3-CF_3-Ph	3-CF_3O-Ph	3-Cl, 5-CF_3-Ph
2-F-Ph	C(O)CF_3	3-CO_2Et-Ph	3-C(O)NMe_2-Ph	3-Me-Ph
3-CN-Ph	C(O)Ph	CO_2Et	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph

A es NCH_2(2-cloro-5-tiazolilo); R^2 es Me

ZR^1	ZR^1	ZR^1	ZR^1	ZR^1
pH	3-MeO-Ph	3-CF_3-Ph	3-CF_3O-Ph	3-Cl, 5-CF_3-Ph
2-F-Ph	C(O)CF_3	3-CO_2Et-Ph	3-C(O)NMe_2-Ph	3-Me-Ph
3-CN-Ph	C(O)Ph	CO_2Et	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph

Tabla 21



R^4 es F; R^2 es 2-cloro-5-tiazolilo

ZR^1	ZR^1	ZR^1	ZR^1	ZR^1
pH	3-MeO-Ph	3-CF_3-Ph	3-CF_3O-Ph	3-Cl, 5-CF_3-Ph
2-F-Ph	C(O)CF_3	3-CO_2Et-Ph	3-C(O)NMe_2-Ph	3-Me-Ph
3-CN-Ph	C(O)Ph	CO_2Et	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph

R^4 es F; R^2 es 2-metil-5-tiazolilo

ZR^1	ZR^1	ZR^1	ZR^1	ZR^1
pH	3-MeO-Ph	3-CF_3-Ph	3-CF_3O-Ph	3-Cl, 5-CF_3-Ph
2-F-Ph	C(O)CF_3	3-CO_2Et-Ph	3-C(O)NMe_2-Ph	3-Me-Ph
3-CN-Ph	C(O)Ph	CO_2Et	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph

R^4 es F; R^2 es 2-metil-5-tiazolilo

ZR^1	ZR^1	ZR^1	ZR^1	ZR^1
pH	3-MeO-Ph	3-CF_3-Ph	3-CF_3O-Ph	3-Cl, 5-CF_3-Ph
2-F-Ph	C(O)CF_3	3-CO_2Et-Ph	3-C(O)NMe_2-Ph	3-Me-Ph
3-CN-Ph	C(O)Ph	CO_2Et	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph

R^4 es F; R^2 es CF_3

ZR^1	ZR^1	ZR^1	ZR^1	ZR^1
pH	3-MeO-Ph	3-CF_3-Ph	3-CF_3O-Ph	3-Cl, 5-CF_3-Ph
2-F-Ph	C(O)CF_3	3-CO_2Et-Ph	3-C(O)NMe_2-Ph	3-Me-Ph
3-CN-Ph	C(O)Ph	CO_2Et	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph

R^4 es F; R^2 es 6-cloro-3-piridinilo

ZR^1	ZR^1	ZR^1	ZR^1	ZR^1
pH	3-MeO-Ph	3-CF_3-Ph	3-CF_3O-Ph	3-Cl, 5-CF_3-Ph
2-F-Ph	C(O)CF_3	3-CO_2Et-Ph	3-C(O)NMe_2-Ph	3-Me-Ph
3-CN-Ph	C(O)Ph	CO_2Et	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph

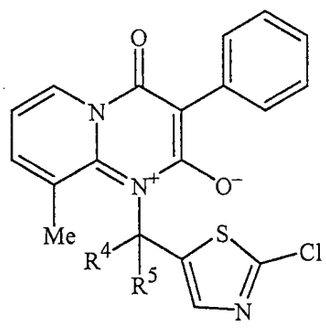
R^4 es F; R^2 es 6-fluoro-3-piridinilo

ZR^1	ZR^1	ZR^1	ZR^1	ZR^1
pH	3-MeO-Ph	3-CF_3-Ph	3-CF_3O-Ph	3-Cl, 5-CF_3-Ph
2-F-Ph	C(O)CF_3	3-CO_2Et-Ph	3-C(O)NMe_2-Ph	3-Me-Ph
3-CN-Ph	C(O)Ph	CO_2Et	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph

R^4 es F; R^2 es 1-metil-4-pirazolilo

ZR^1	ZR^1	ZR^1	ZR^1	ZR^1
pH	3-MeO-Ph	3-CF_3-Ph	3-CF_3O-Ph	3-Cl, 5-CF_3-Ph
2-F-Ph	C(O)CF_3	3-CO_2Et-Ph	3-C(O)NMe_2-Ph	3-Me-Ph
3-CN-Ph	C(O)Ph	CO_2Et	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph

Tabla 22



R^5 es H

R^4	R^4	R^4	R^4	R^4	R^4	R^4
Cl	CHO	Et	OMe	$CH=CH_2$	OPh	3-F-2-piridinilo
Br	C(O)Me	*i*-Pr	OEt	C≡CH	NMe_2	4-Me-3-piridinilo
ciano	CO_2Et	*c*-Pr	OCF_3	SMe	NHCHO	2-ciano-4-piridinilo
pH	$C(O)NMe_2$	CF_3				

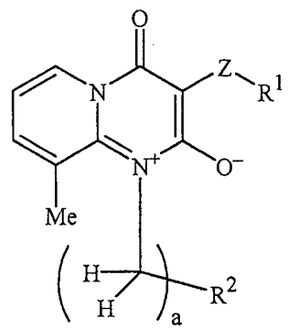
R^5 es Me

R^4	R^4	R^4	R^4	R^4	R^4	R^4
Cl	CHO	Et	OMe	$CH=CH_2$	OPh	3-F-2-piridinilo
Br	C(O)Me	*i*-Pr	OEt	C≡CH	NMe_2	4-Me-3-piridinilo
ciano	CO_2Et	*c*-Pr	OCF_3	SMe	NHCHO	2-ciano-4-piridinilo
pH	$C(O)NMe_2$	CF_3				

R^5 es *c*-Pr

R^4	R^4	R^4	R^4	R^4	R^4	R^4
Cl	CHO	Et	OMe	$CH=CH_2$	OPh	3-F-2-piridinilo
Br	C(O)Me	*i*-Pr	OEt	C≡CH	NMe_2	4-Me-3-piridinilo
ciano	CO_2Et	*c*-Pr	OCF_3	SMe	NHCHO	2-ciano-4-piridinilo
pH	$C(O)NMe_2$	CF_3				

Tabla 23



a es 2; R^2 es 2-cloro-5-tiazolilo

ZR^1	ZR^1	ZR^1	ZR^1	ZR^1
pH	3-MeO-Ph	$3-CF_3$-Ph	$3-CF_3O$-Ph	3-Cl, $5-CF_3$-Ph
2-F-Ph	$C(O)CF_3$	$3-CO_2Et$-Ph	$3-C(O)NMe_2$-Ph	3-Me-Ph

3-CN-Ph	C(O)Ph	CO_2Et	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph

a es 2; R^2 es 2-metil-5-tiazolilo

ZR^1	ZR^1	ZR^1	ZR^1	ZR^1
pH	3-MeO-Ph	3-CF_3-Ph	3-CF_3O-Ph	3-Cl, 5-CF_3-Ph
2-F-Ph	C(O)CF_3	3-CO_2Et-Ph	3-C(O)NMe_2-Ph	3-Me-Ph
3-CN-Ph	C(O)Ph	CO_2Et	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph

a es 2; R^2 es 5-tiazolilo

ZR^1	ZR^1	ZR^1	ZR^1	ZR^1
pH	3-MeO-Ph	3-CF_3-Ph	3-CF_3O-Ph	3-Cl, 5-CF_3-Ph
2-F-Ph	C(O)CF_3	3-CO_2Et-Ph	3-C(O)NMe_2-Ph	3-Me-Ph
3-CN-Ph	C(O)Ph	CO_2Et	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph

a es 2; R^2 es 2-fluoro-5-tiazolilo

ZR^1	ZR^1	ZR^1	ZR^1	ZR^1
pH	3-MeO-Ph	3-CF_3-Ph	3-CF_3O-Ph	3-Cl, 5-CF_3-Ph
2-F-Ph	C(O)CF_3	3-CO_2Et-Ph	3-C(O)NMe_2-Ph	3-Me-Ph
3-CN-Ph	C(O)Ph	CO_2Et	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph

a es 2; R^2 es 5-pirimidinilo

ZR^1	ZR^1	ZR^1	ZR^1	ZR^1
pH	3-MeO-Ph	3-CF_3-Ph	3-CF_3O-Ph	3-Cl, 5-CF_3-Ph
2-F-Ph	C(O)CF_3	3-CO_2Et-Ph	3-C(O)NMe_2-Ph	3-Me-Ph

3-CN-Ph	C(O)Ph	CO_2Et	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph

a es 2; R^2 es 2-metil-5-pirimidinilo

ZR^1	ZR^1	ZR^1	ZR^1	ZR^1
pH	3-MeO-Ph	3-CF_3-Ph	3-CF_3O-Ph	3-Cl, 5-CF_3-Ph
2-F-Ph	C(O)CF_3	3-CO_2Et-Ph	3-C(O)NMe_2-Ph	3-Me-Ph
3-CN-Ph	C(O)Ph	CO_2Et	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph

a es 2; R^2 es CF_3

ZR^1	ZR^1	ZR^1	ZR^1	ZR^1
pH	3-MeO-Ph	3-CF_3-Ph	3-CF_3O-Ph	3-Cl, 5-CF_3-Ph
2-F-Ph	C(O)CF_3	3-CO_2Et-Ph	3-C(O)NMe_2-Ph	3-Me-Ph
3-CN-Ph	C(O)Ph	CO_2Et	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph

a es 2; R^2 es 6-cloro-3-piridinilo

ZR^1	ZR^1	ZR^1	ZR^1	ZR^1
pH	3-MeO-Ph	3-CF_3-Ph	3-CF_3O-Ph	3-Cl, 5-CF_3-Ph
2-F-Ph	C(O)CF_3	3-CO_2Et-Ph	3-C(O)NMe_2-Ph	3-Me-Ph
3-CN-Ph	C(O)Ph	CO_2Et	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph

a es 2; R^2 es 6-fluoro-3-piridinilo

ZR^1	ZR^1	ZR^1	ZR^1	ZR^1
pH	3-MeO-Ph	3-CF_3-Ph	3-CF_3O-Ph	3-Cl, 5-CF_3-Ph
2-F-Ph	C(O)CF_3	3-CO_2Et-Ph	3-C(O)NMe_2-Ph	3-Me-Ph

3-CN-Ph	C(O)Ph	CO_2Et	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph

a es 2; R^2 es 1-metil-4-pirazolilo

ZR^1	ZR^1	ZR^1	ZR^1	ZR^1
pH	3-MeO-Ph	3-CF_3-Ph	3-CF_3O-Ph	3-Cl, 5-CF_3-Ph
2-F-Ph	C(O)CF_3	3-CO_2Et-Ph	3-C(O)NMe_2-Ph	3-Me-Ph
3-CN-Ph	C(O)Ph	CO_2Et	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph

a es 3; R^2 es 2-cloro-5-tiazolilo

ZR^1	ZR^1	ZR^1	ZR^1	ZR^1
pH	3-MeO-Ph	3-CF_3-Ph	3-CF_3O-Ph	3-Cl, 5-CF_3-Ph
2-F-Ph	C(O)CF_3	3-CO_2Et-Ph	3-C(O)NMe_2-Ph	3-Me-Ph
3-CN-Ph	C(O)Ph	CO_2Et	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph

a es 3; R^2 es 2-metil-5-tiazolilo

ZR^1	ZR^1	ZR^1	ZR^1	ZR^1
pH	3-MeO-Ph	3-CF_3-Ph	3-CF_3O-Ph	3-Cl, 5-CF_3-Ph
2-F-Ph	C(O)CF_3	3-CO_2Et-Ph	3-C(O)NMe_2-Ph	3-Me-Ph
3-CN-Ph	C(O)Ph	CO_2Et	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph

a es 3; R^2 es 5-tiazolilo

ZR^1	ZR^1	ZR^1	ZR^1	ZR^1
pH	3-MeO-Ph	3-CF_3-Ph	3-CF_3O-Ph	3-Cl, 5-CF_3-Ph
2-F-Ph	C(O)CF_3	3-CO_2Et-Ph	3-C(O)NMe_2-Ph	3-Me-Ph

3-CN-Ph	C(O)Ph	CO_2Et	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph

a es 3; R^2 es CF_3

ZR^1	ZR^1	ZR^1	ZR^1	ZR^1
pH	3-MeO-Ph	3-CF_3-Ph	3-CF_3O-Ph	3-Cl, 5-CF_3-Ph
2-F-Ph	$C(O)CF_3$	3-CO_2Et-Ph	3-$C(O)NMe_2$-Ph	3-Me-Ph
3-CN-Ph	C(O)Ph	CO_2Et	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph

a es 3; R^2 es 6-cloro-3-piridinilo

ZR^1	ZR^1	ZR^1	ZR^1	ZR^1
pH	3-MeO-Ph	3-CF_3-Ph	3-CF_3O-Ph	3-Cl, 5-CF_3-Ph
2-F-Ph	$C(O)CF_3$	3-CO_2Et-Ph	3-$C(O)NMe_2$-Ph	3-Me-Ph
3-CN-Ph	C(O)Ph	CO_2Et	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph

a es 3; R^2 es 6-fluoro-3-piridinilo

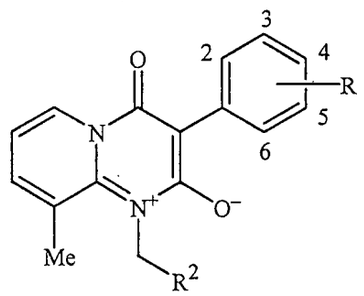
ZR^1	ZR^1	ZR^1	ZR^1	ZR^1
pH	3-MeO-Ph	3-CF_3-Ph	3-CF_3O-Ph	3-Cl, 5-CF_3-Ph
2-F-Ph	$C(O)CF_3$	3-CO_2Et-Ph	3-$C(O)NMe_2$-Ph	3-Me-Ph
3-CN-Ph	C(O)Ph	CO_2Et	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph

a es 3; R^2 es 1-metil-4-pirazolilo

ZR^1	ZR^1	ZR^1	ZR^1	ZR^1
pH	3-MeO-Ph	3-CF_3-Ph	3-CF_3O-Ph	3-Cl, 5-CF_3-Ph
2-F-Ph	$C(O)CF_3$	3-CO_2Et-Ph	3-$C(O)NMe_2$-Ph	3-Me-Ph

3-CN-Ph	C(O)Ph	CO_2Et	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph

Tabla 24



R es 2-F

R^2	R^2	R^2	R^2	R^2	R^2	R^2
ciano	C(O)Me	Et	CH_2OMe	$CH=CH_2$	OPh	3-F-2-piridinilo
CHO	CO_2Et	*i*-Pr	CH_2OEt	C≡CH	CH_2NMe_2	4-Me-3-piridinilo
pH	$C(O)NMe_2$	*c*-Pr	CH_2OCF_3	CH_2SMe	CH_2NHCHO	2-ciano-4-piridinilo

R es 3-Me

R^2	R^2	R^2	R^2	R^2	R^2	R^2
ciano	C(O)Me	Et	CH_2OMe	$CH=CH_2$	OPh	3-F-2-piridinilo

CHO	CO_2Et	*i*-Pr	CH_2OEt	C≡CH	CH_2NMe_2	4-Me-3-piridinilo
pH	$C(O)NMe_2$	*c*-Pr	CH_2OCF_3	CH_2SMe	CH_2NHCHO	2-ciano-4-piridinilo

R es 3-CN

$\underline{R^2}$	$\underline{R^2}$	$\underline{R^2}$	$\underline{R^2}$	$\underline{R^2}$	$\underline{R^2}$	$\underline{R^2}$
ciano	C(O)Me	Et	CH_2OMe	$CH=CH_2$	OPh	3-F-2-piridinilo
CHO	CO_2Et	*i*-Pr	CH_2OEt	C≡CH	CH_2NMe_2	4-Me-3-piridinilo
pH	$C(O)NMe_2$	*c*-Pr	CH_2OCF_3	CH_2SMe	CH_2NHCHO	2-ciano-4-piridinilo

R es 3-OMe

$\underline{R^2}$	$\underline{R^2}$	$\underline{R^2}$	$\underline{R^2}$	$\underline{R^2}$	$\underline{R^2}$	$\underline{R^2}$
ciano	C(O)Me	Et	CH_2OMe	$CH=CH_2$	OPh	3-F-2-piridinilo
CHO	CO_2Et	*i*-Pr	CH_2OEt	C≡CH	CH_2NMe_2	4-Me-3-piridinilo
pH	$C(O)NMe_2$	*c*-Pr	CH_2OCF_3	CH_2SMe	CH_2NHCHO	2-ciano-4-piridinilo

R es 3-CF_3

$\underline{R^2}$	$\underline{R^2}$	$\underline{R^2}$	$\underline{R^2}$	$\underline{R^2}$	$\underline{R^2}$	$\underline{R^2}$
ciano	C(O)Me	Et	CH_2OMe	$CH=CH_2$	OPh	3-F-2-piridinilo

CHO	CO_2Et	*i*-Pr	CH_2OEt	C≡CH	CH_2NMe_2	4-Me-3-piridinilo
pH	$C(O)NMe_2$	*c*-Pr	CH_2OCF_3	CH_2SMe	CH_2NHCHO	2-ciano-4-piridinilo

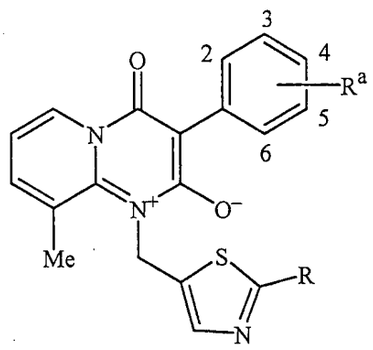
R es 3-Cl, 5-Cl

R^2	R^2	R^2	R^2	R^2	R^2	R^2
ciano	C(O)Me	Et	CH_2OMe	$CH=CH_2$	OPh	3-F-2-piridinilo
CHO	CO_2Et	*i*-Pr	CH_2OEt	C≡CH	CH_2NMe_2	4-Me-3-piridinilo
pH	$C(O)NMe_2$	*c*-Pr	CH_2OCF_3	CH_2SMe	CH_2NHCHO	2-ciano-4-piridinilo

R es 3-(2-cloro-4-(trifluorometil)fenilo)

R^2	R^2	R^2	R^2	R^2	R^2	R^2
ciano	C(O)Me	Et	CH_2OMe	$CH=CH_2$	OPh	3-F-2-piridinilo
CHO	CO_2Et	*i*-Pr	CH_2OEt	C≡CH	CH_2NMe_2	4-Me-3-piridinilo
pH	$C(O)NMe_2$	*c*-Pr	CH_2OCF_3	CH_2SMe	CH_2NHCHO	2-ciano-4-piridinilo

Tabla 25



R^a es 2-F

R	R	R	R	R	R	R
ciano	C(O)Me	Et	OMe	$CH=CH_2$	OPh	3-F-2-piridinilo
CHO	CO_2Et	*i*-Pr	OEt	C≡CH	NMe_2	4-Me-3-piridinilo
pH	$C(O)NMe_2$	*c*-Pr	OCF_3	SMe	NHCHO	2-ciano-4-piridinilo
CF_3						

R^a es 3-Me

R	R	R	R	R	R	R
ciano	C(O)Me	Et	OMe	$CH=CH_2$	OPh	3-F-2-piridinilo
CHO	CO_2Et	*i*-Pr	OEt	C≡CH	NMe_2	4-Me-3-piridinilo
pH	$C(O)NMe_2$	*c*-Pr	OCF_3	SMe	NHCHO	2-ciano-4-piridinilo

CF_3						

R^a es 3-CN

R	R	R	R	R	R	R
ciano	C(O)Me	Et	OMe	$CH=CH_2$	OPh	3-F-2-piridinilo
CHO	CO_2Et	*i*-Pr	OEt	C≡CH	NMe_2	4-Me-3-piridinilo
pH	$C(O)NMe_2$	*c*-Pr	OCF_3	SMe	NHCHO	2-ciano-4-piridinilo
CF_3						

R^a es 3-OMe

R	R	R	R	R	R	R
ciano	C(O)Me	Et	OMe	$CH=CH_2$	OPh	3-F-2-piridinilo
CHO	CO_2Et	*i*-Pr	OEt	C≡CH	NMe_2	4-Me-3-piridinilo
pH	$C(O)NMe_2$	*c*-Pr	OCF_3	SMe	NHCHO	2-ciano-4-piridinilo
CF_3						

R^a es 3-CF_3

R	R	R	R	R	R	R
ciano	C(O)Me	Et	OMe	$CH=CH_2$	OPh	3-F-2-piridinilo

CHO	CO_2Et	*i*-Pr	OEt	C≡CH	NMe_2	4-Me-3-piridinilo
pH	C(O)NMe_2	*c*-Pr	OCF_3	SMe	NHCHO	2-ciano-4-piridinilo
CF_3						

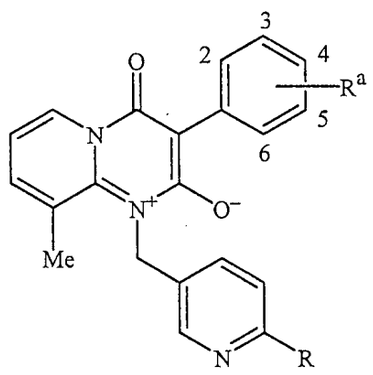
R^a es 3-Cl, 5-Cl

R	R	R	R	R	R	R
ciano	C(O)Me	Et	OMe	$CH=CH_2$	OPh	3-F-2-piridinilo
CHO	CO_2Et	*i*-Pr	OEt	C≡CH	NMe_2	4-Me-3-piridinilo
pH	C(O)NMe_2	*c*-Pr	OCF_3	SMe	NHCHO	2-ciano-4-piridinilo
CF_3						

R^a es 3-(2-cloro-4-(trifluorometil)fenilo)

R	R	R	R	R	R	R
ciano	C(O)Me	Et	OMe	$CH=CH_2$	OPh	3-F-2-piridinilo
CHO	CO_2Et	*i*-Pr	OEt	C≡CH	NMe_2	4-Me-3-piridinilo
pH	C(O)NMe_2	*c*-Pr	OCF_3	SMe	NHCHO	2-ciano-4-piridinilo
CF_3						

Tabla 26



R^a es 2-F

R	R	R	R	R	R	R
ciano	C(O)Me	Et	OMe	$CH=CH_2$	OPh	3-F-2-piridinilo
CHO	CO_2Et	*i*-Pr	OEt	C≡CH	NMe_2	4-Me-3-piridinilo
pH	$C(O)NMe_2$	*c*-Pr	OCF_3	SMe	NHCHO	2-ciano-4-piridinilo
CF_3						

R^a es 3-Me

R	R	R	R	R	R	R
ciano	C(O)Me	Et	OMe	$CH=CH_2$	OPh	3-F-2-piridinilo
CHO	CO_2Et	*i*-Pr	OEt	C≡CH	NMe_2	4-Me-3-piridinilo

pH	$C(O)NMe_2$	*c*-Pr	OCF_3	SMe	NHCHO	2-ciano-4-piridinilo
CF_3						

R^a es 3-CN

R	R	R	R	R	R	R
ciano	C(O)Me	Et	OMe	$CH=CH_2$	OPh	3-F-2-piridinilo
CHO	CO_2Et	*i*-Pr	OEt	C≡CH	NMe_2	4-Me-3-piridinilo
pH	$C(O)NMe_2$	*c*-Pr	OCF_3	SMe	NHCHO	2-ciano-4-piridinilo
CF_3						

R^a es 3-OMe

R	R	R	R	R	R	R
ciano	C(O)Me	Et	OMe	$CH=CH_2$	OPh	3-F-2-piridinilo
CHO	CO_2Et	*i*-Pr	OEt	C≡CH	NMe_2	4-Me-3-piridinilo
pH	$C(O)NMe_2$	*c*-Pr	OCF_3	SMe	NHCHO	2-ciano-4-piridinilo
CF_3						

R^a es 3-CF_3

R	R	R	R	R	R	R
ciano	C(O)Me	Et	OMe	$CH=CH_2$	OPh	3-F-2-piridinilo
CHO	CO_2Et	*i*-Pr	OEt	C≡CH	NMe_2	4-Me-3-piridinilo
pH	$C(O)NMe_2$	*c*-Pr	OCF_3	SMe	NHCHO	2-ciano-4-piridinilo
CF_3						

R^a es 3-Cl, 5-Cl

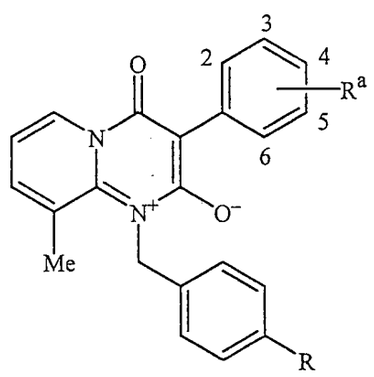
R	R	R	R	R	R	R
ciano	C(O)Me	Et	OMe	$CH=CH_2$	OPh	3-F-2-piridinilo
CHO	CO_2Et	*i*-Pr	OEt	C≡CH	NMe_2	4-Me-3-piridinilo
pH	$C(O)NMe_2$	*c*-Pr	OCF_3	SMe	NHCHO	2-ciano-4-piridinilo
CF_3						

R^a es 3-(2-cloro-4-(trifluorometil)fenilo)

R	R	R	R	R	R	R
ciano	C(O)Me	Et	OMe	$CH=CH_2$	OPh	3-F-2-piridinilo
CHO	CO_2Et	*i*-Pr	OEt	C≡CH	NMe_2	4-Me-3-piridinilo

pH	$C(O)NMe_2$	*c*-Pr	OCF_3	SMe	NHCHO	2-ciano-4-piridinilo
CF_3						

Tabla 27



R^a es 2-F

R	R	R	R	R	R	R
ciano	C(O)Me	Et	OMe	$CH=CH_2$	OPh	3-F-2-piridinilo
CHO	CO_2Et	*i*-Pr	OEt	C≡CH	NMe_2	4-Me-3-piridinilo
pH	$C(O)NMe_2$	*c*-Pr	OCF_3	SMe	NHCHO	2-ciano-4-piridinilo
CF_3	Me	Cl	Br			

R^a es 3-Me

R	R	R	R	R	R	R
ciano	C(O)Me	Et	OMe	$CH=CH_2$	OPh	3-F-2-piridinilo
CHO	CO_2Et	*i*-Pr	OEt	C≡CH	NMe_2	4-Me-3-piridinilo
pH	$C(O)NMe_2$	*c*-Pr	OCF_3	SMe	NHCHO	2-ciano-4-piridinilo
CF_3	Me	Cl	Br			

R^a es 3-CN

R	R	R	R	R	R	R
ciano	C(O)Me	Et	OMe	$CH=CH_2$	OPh	3-F-2-piridinilo
CHO	CO_2Et	*i*-Pr	OEt	C≡CH	NMe_2	4-Me-3-piridinilo
pH	$C(O)NMe_2$	*c*-Pr	OCF_3	SMe	NHCHO	2-ciano-4-piridinilo
CF_3	Me	Cl	Br			

R^a es 3-OMe

R	R	R	R	R	R	R
ciano	C(O)Me	Et	OMe	$CH=CH_2$	OPh	3-F-2-piridinilo
CHO	CO_2Et	*i*-Pr	OEt	C≡CH	NMe_2	4-Me-3-piridinilo

pH	$C(O)NMe_2$	*c*-Pr	OCF_3	SMe	NHCHO	2-ciano-4-piridinilo
CF_3	Me	Cl	Br			

R^a es 3-CF_3

R	R	R	R	R	R	R
ciano	C(O)Me	Et	OMe	$CH=CH_2$	OPh	3-F-2-piridinilo
CHO	CO_2Et	*i*-Pr	OEt	C≡CH	NMe_2	4-Me-3-piridinilo
pH	$C(O)NMe_2$	*c*-Pr	OCF_3	SMe	NHCHO	2-ciano-4-piridinilo
CF_3	Me	Cl	Br			

R^a es 3-Cl, 5-Cl

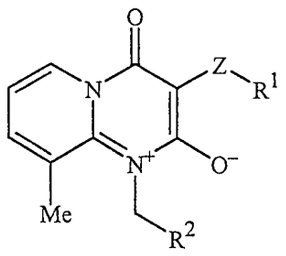
R	R	R	R	R	R	R
ciano	C(O)Me	Et	OMe	$CH=CH_2$	OPh	3-F-2-piridinilo
CHO	CO_2Et	*i*-Pr	OEt	C≡CH	NMe_2	4-Me-3-piridinilo
pH	$C(O)NMe_2$	*c*-Pr	OCF_3	SMe	NHCHO	2-ciano-4-piridinilo
CF_3	Me	Cl	Br			

R^a es 3-(2-cloro-4-(trifluorometil)fenilo)

R	R	R	R	R	R	R

	ciano	C(O)Me	Et	OMe	$CH=CH_2$	OPh	3-F-2-piridinilo
	CHO	CO_2Et	*i*-Pr	OEt	C≡CH	NMe_2	4-Me-3-piridinilo
	pH	$C(O)NMe_2$	*c*-Pr	OCF_3	SMe	NHCHO	2-ciano-4-piridinilo
	CF_3	Me	Cl	Br			

Tabla 28



R^2 es 2-cloro-5-tiazolilo

ZR^1	ZR^1	ZR^1	ZR^1	ZR^1	ZR^1
Cl	Br	I	ciano	4-CF3-2-tiazolilo	$2-CF_3-5-$tiazolilo
F	$C(O)NMe_2$	NMe_2	NHCHO	5-CF3-2-tiazolilo	4-CF3-5-tiazolilo
CF_3	*c*-Pr-2-(*c*-Pr)	Et	*i*-Pr	2-CF3-4-tiazolilo	$1-Me-5-CF_3-2-$imidazolilo

Me	$CH=CH_2$	$C\equiv CH$	2-tienilo	5-CF3-4-tiazolilo	1-Me-4-CF_3-2-imidazolilo
c-Pr	$C(O)CF_3$	C(O)Ph	3-tienilo	C(O)(2-F-Ph)	C(O)(3,5-diCl-Ph)
C(O)Me	CHO	CO_2Et		C(O)(3-CF_3-Ph)	C(O)(3-(2-Cl-4-CF_3-Ph)Ph)

R^2 es 6-cloro-3-piridinilo

ZR^1	ZR^1	ZR^1	ZR^1	ZR^1	ZR^1
Cl	Br	I	ciano	4-CF3-2-tiazolilo	2-CF_3-5-tiazolilo
F	$C(O)NMe_2$	NMe_2	NHCHO	5-CF3-2-tiazolilo	4-CF3-5-tiazolilo
CF_3	*c*-Pr-2-(*c*-Pr)	Et	*i*-Pr	2-CF3-4-tiazolilo	1-Me-5-CF_3-2-imidazolilo
Me	$CH=CH_2$	$C\equiv CH$	2-tienilo	5-CF_3-4-tiazolilo	1-Me-4-CF_3-2-imidazolilo
c-Pr	$C(O)CF_3$	C(O)Ph	3-tienilo	C(O)(2-F-Ph)	C(O)(3,5-diCl-Ph)
C(O)Me	CHO	CO_2Et		C(O)(3-CF_3-Ph)	C(O)(3-(2-Cl-4-CF_3-Ph)Ph)

R^2 es 6-fluoro-3-piridinilo

ZR^1	ZR^1	ZR^1	ZR^1	ZR^1	ZR^1
Cl	Br	I	ciano	4-CF3-2-tiazolilo	2-CF_3-5-tiazolilo

	F	$C(O)NMe_2$	NMe_2	NHCHO	5-CF3-2-tiazolilo	4-CF3-5-tiazolilo
	CF_3	*c*-Pr-2-(*c*-Pr)	Et	*i*-Pr	2-CF3-4-tiazolilo	1-Me-5-CF_3-2-imidazolilo
	Me	$CH=CH_2$	$C\equiv CH$	2-tienilo	5-CF3-4-tiazolilo	1-Me-4-CF_3-2-imidazolilo
	c-Pr	$C(O)CF_3$	C(O)Ph	3-tienilo	C(O)(2-F-Ph)	C(O)(3,5-diCl-Ph)
	C(O)Me	CHO	CO_2Et		C(O)(3-CF_3-Ph)	C(O)(3-(2-Cl-4-CF_3-Ph)Ph)

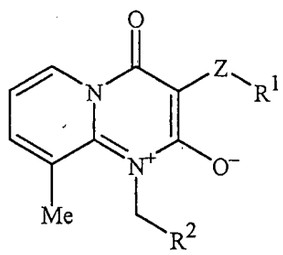
R^2 es 5-pirimidinilo

ZR^1	ZR^1	ZR^1	ZR^1	ZR^1	ZR^1
Cl	Br	I	ciano	4-CF3-2-tiazolilo	2-CF_3-5-tiazolilo
F	$C(O)NMe_2$	NMe_2	NHCHO	5-CF3-2-tiazolilo	4-CF3-5-tiazolilo
CF_3	*c*-Pr-2-(*c*-Pr)	Et	*i*-Pr	2-CF3-4-tiazolilo	1-Me-5-CF_3-2-imidazolilo
Me	$CH=CH_2$	$C\equiv CH$	2-tienilo	5-CF3-4-tiazolilo	1-Me-4-CF_3-2-imidazolilo
c-Pr	$C(O)CF_3$	C(O)Ph	3-tienilo	C(O)(2-F-Ph)	C(O)(3,5-diCl-Ph)
C(O)Me	CHO	CO_2Et		C(O)(3-CF_3-Ph)	C(O)(3-(2-Cl-4-CF_3-Ph)Ph)

R^2 es 2-metil-5-pirimidinilo

ZR^1	ZR^1	ZR^1	ZR^1	ZR^1	ZR^1
Cl	Br	I	ciano	4-CF3-2-tiazolilo	2-CF_3-5-tiazolilo
F	$C(O)NMe_2$	NMe_2	NHCHO	5-CF3-2-tiazolilo	4-CF3-5-tiazolilo
CF_3	*c*-Pr-2-(*c*-Pr)	Et	*i*-Pr	2-CF3-4-tiazolilo	1-Me-5-CF_3-2-imidazolilo
Me	$CH=CH_2$	C≡CH	2-tienilo	5-CF3-4-tiazolilo	1-Me-4-CF_3-2-imidazolilo
c-Pr	$C(O)CF_3$	C(O)Ph	3-tienilo	C(O)(2-F-Ph)	C(O)(3,5-diCl-Ph)
C(O)Me	CHO	CO_2Et		C(O)(3-CF_3-Ph)	C(O)(3-(2-Cl-4-CF_3-Ph)Ph)

Tabla 29



Z es un enlace directo; R^2 es 2-cloro-5-tiazolilo

R^1	R^1	R^1	R^1
CH=CH(Ph)	CH=CH(2-F-Ph)	CH=CH(3-F-Ph)	CH=CH(4-F-Ph)
CH=CH(2-Me-Ph)	CH=CH(3-Me-Ph)	CH=CH(4-Me-Ph)	CH=CH(2-CF_3-Ph)
CH=CH(3-CF_3O-Ph)	CH=CH(4-CF_3O-Ph)	CH=CH(Me)	CH=CH(Et)
CH=CH(*c*-Pr-2-(*c*-Pr))	CH=CH(C(O)Me)	CH=CH(CO_2Et)	CH=CH(C(O)NMe_2)
CH=CH(2-MeO-Ph)	CH=CH(3-CF_3-Ph)	CH=CH(*i*-Pr)	CH=CH(4-MeO-Ph)
CH=CH(3-MeO-Ph)	CH=CH(4-CF_3-Ph)	CH=CH(*c*-Pr)	CH=CH(2-CF_3O-Ph)
CH=CH(CF_3)	CH=CH(3,5-diCl-Ph)		CH=CH(3-(2-Cl-4-CF_3-Ph)Ph)

Z es un enlace directo; R^2 es 6-cloro-3-piridinilo

R^1	R^1	R^1	R^1
CH=CH(Ph)	CH=CH(2-F-Ph)	CH=CH(3-F-Ph)	CH=CH(4-F-Ph)
CH=CH(2-Me-Ph)	CH=CH(3-Me-Ph)	CH=CH(4-Me-Ph)	CH=CH(2-CF_3-Ph)
CH=CH(3-CF_3O-Ph)	CH=CH(4-CF_3O-Ph)	CH=CH(Me)	CH=CH(Et)
CH=CH(*c*-Pr-2-(*c*-Pr))	CH=CH(C(O)Me)	CH=CH(CO_2Et)	CH=CH(C(O)NMe_2)

CH=CH(2-MeO-Ph)	CH=CH(3-CF_3-Ph)	CH=CH(*i*-Pr)	CH=CH(4-MeO-Ph)
CH=CH(3-MeO-Ph)	CH=CH(4-CF_3-Ph)	CH=CH(*c*-Pr)	CH=CH(2-CF_3O-Ph)
CH=CH(CF_3)	CH=CH(3,5-diCl-Ph)		CH=CH(3-(2-Cl-4-CF_3-Ph)Ph)

Z es un enlace directo; R^2 es 6-fluoro-3-piridinilo

$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$
CH=CH(Ph)	CH=CH(2-F-Ph)	CH=CH(3-F-Ph)	CH=CH(4-F-Ph)
CH=CH(2-Me-Ph)	CH=CH(3-Me-Ph)	CH=CH(4-Me-Ph)	CH=CH(2-CF_3-Ph)
CH=CH(3-CF_3O-Ph)	CH=CH(4-CF_3O-Ph)	CH=CH(Me)	CH=CH(Et)
CH=CH(*c*-Pr-2-(*c*-Pr))	CH=CH(C(O)Me)	CH=CH(CO_2Et)	CH=CH(C(O)NMe_2)
CH=CH(2-MeO-Ph)	CH=CH(3-CF_3-Ph)	CH=CH(*i*-Pr)	CH=CH(4-MeO-Ph)
CH=CH(3-MeO-Ph)	CH=CH(4-CF_3-Ph)	CH=CH(*c*-Pr)	CH=CH(2-CF_3O-Ph)
CH=CH(CF_3)	CH=CH(3,5-diCl-Ph)		CH=CH(3-(2-Cl-4-CF_3-Ph)Ph)

Z es un enlace directo; R^2 es 5-pirimidinilo

$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$
CH=CH(Ph)	CH=CH(2-F-Ph)	CH=CH(3-F-Ph)	CH=CH(4-F-Ph)

CH=CH(2-Me-Ph)	CH=CH(3-Me-Ph)	CH=CH(4-Me-Ph)	CH=CH(2-CF_3-Ph)
CH=CH(3-CF_3O-Ph)	CH=CH(4-CF_3O-Ph)	CH=CH(Me)	CH=CH(Et)
CH=CH(*c*-Pr-2-(*c*-Pr))	CH=CH(C(O)Me)	CH=CH(CO_2Et)	CH=CH(C(O)NMe_2)
CH=CH(2-MeO-Ph)	CH=CH(3-CF_3-Ph)	CH=CH(*i*-Pr)	CH=CH(4-MeO-Ph)
CH=CH(3-MeO-Ph)	CH=CH(4-CF_3-Ph)	CH=CH(*c*-Pr)	CH=CH(2-CF_3O-Ph)
CH=CH(CF_3)	CH=CH(3,5-diCl-Ph)		CH=CH(3-(2-Cl-4-CF_3-Ph)Ph)

Z es un enlace directo; R^2 es 2-metil-5-pirimidinilo

R^1	R^1	R^1	R^1
CH=CH(Ph)	CH=CH(2-F-Ph)	CH=CH(3-F-Ph)	CH=CH(4-F-Ph)
CH=CH(2-Me-Ph)	CH=CH(3-Me-Ph)	CH=CH(4-Me-Ph)	CH=CH(2-CF_3-Ph)
CH=CH(3-CF_3O-Ph)	CH=CH(4-CF_3O-Ph)	CH=CH(Me)	CH=CH(Et)
CH=CH(*c*-Pr-2-(*c*-Pr))	CH=CH(C(O)Me)	CH=CH(CO_2Et)	CH=CH(C(O)NMe_2)
CH=CH(2-MeO-Ph)	CH=CH(3-CF_3-Ph)	CH=CH(*i*-Pr)	CH=CH(4-MeO-Ph)

CH=CH(3-MeO-Ph)	CH=CH(4-CF_3-Ph)	CH=CH(*c*-Pr)	CH=CH(2-CF_3O-Ph)
CH=CH(CF_3)	CH=CH(3,5-diCl-Ph)		CH=CH(3-(2-Cl-4-CF_3-Ph)Ph)

Z es un enlace directo; R^2 es 2-cloro-5-tiazolilo

$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$
C≡CPh	C≡C(2-F-Ph)	C≡C(3-F-Ph)	C≡C(4-F-Ph)
C≡C(2-Me-Ph)	C≡C(3-Me-Ph)	C≡C(4-Me-Ph)	C≡C(2-CF_3-Ph)
C≡C(3-CF_3O-Ph)	C≡C(4-CF_3O-Ph)	C≡CMe	C≡CEt
C≡C(*c*-Pr-2-(*c*-Pr))	C≡CC(O)Me	C≡CCO_2Et	C≡CC(O)NMe_2
C≡C(2-MeO-Ph)	C≡C(3-CF_3-Ph)	C≡C(*i*-Pr)	C≡C(3-MeO-Ph)
C≡C(4-CF_3-Ph)	C≡C(*c*-Pr)	C≡C(4-MeO-Ph)	C≡C(2-CF_3O-Ph)
C≡CCF_3		C≡C(3,5-diCl-Ph)	C≡C(3-(2-Cl-4-CF_3-Ph)Ph)

Z es un enlace directo; R^2 es 6-cloro-3-piridinilo

$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$
C≡CPh	C≡C(2-F-Ph)	C≡C(3-F-Ph)	C≡C(4-F-Ph)
C≡C(2-Me-Ph)	C≡C(3-Me-Ph)	C≡C(4-Me-Ph)	C≡C(2-CF_3-Ph)
C≡C(3-CF_3O-Ph)	C≡C(4-CF_3O-Ph)	C≡CMe	C≡CEt
C≡C(*c*-Pr-2-(*c*-Pr))	C≡CC(O)Me	C≡CCO_2Et	C≡CC(O)NMe_2
C≡C(2-MeO-Ph)	C≡C(3-CF_3-Ph)	C≡C(*i*-Pr)	C≡C(3-MeO-Ph)
C≡C(4-CF_3-Ph)	C≡C(*c*-Pr)	C≡C(4-MeO-Ph)	C≡C(2-CF_3O-Ph)

$C\equiv CCF_3$		C≡C(3,5-diCl-Ph)	C≡C(3-(2-Cl-4-CF_3-Ph)Ph)

Z es un enlace directo; R^2 es 6-fluoro-3-piridinilo

$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$
C≡CPh	C≡C(2-F-Ph)	C≡C(3-F-Ph)	C≡C(4-F-Ph)
C≡C(2-Me-Ph)	C≡C(3-Me-Ph)	C≡C(4-Me-Ph)	C≡C(2-CF_3-Ph)
C≡C(3-CF_3O-Ph)	C≡C(4-CF_3O-Ph)	C≡CMe	C≡CEt
C≡C(*c*-Pr-2-(*c*-Pr))	C≡CC(O)Me	C≡CCO_2Et	C≡CC(O)NMe_2
C≡C(2-MeO-Ph)	C≡C(3-CF_3-Ph)	C≡C(*i*-Pr)	C≡C(3-MeO-Ph)
C≡C(4-CF_3-Ph)	C≡C(*c*-Pr)	C≡C(4-MeO-Ph)	C≡C(2-CF_3O-Ph)
$C\equiv CCF_3$		C≡C(3,5-diCl-Ph)	C≡C(3-(2-Cl-4-CF_3-Ph)Ph)

Z es un enlace directo; R^2 es 5-pirimidinilo

$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$
C≡CPh	C≡C(2-F-Ph)	C≡C(3-F-Ph)	C≡C(4-F-Ph)
C≡C(2-Me-Ph)	C≡C(3-Me-Ph)	C≡C(4-Me-Ph)	C≡C(2-CF_3-Ph)
C≡C(3-CF_3O-Ph)	C≡C(4-CF_3O-Ph)	C≡CMe	C≡CEt
C≡C(*c*-Pr-2-(*c*-Pr))	C≡CC(O)Me	C≡CCO_2Et	C≡CC(O)NMe_2
C≡C(2-MeO-Ph)	C≡C(3-CF_3-Ph)	C≡C(*i*-Pr)	C≡C(3-MeO-Ph)
C≡C(4-CF_3-Ph)	C≡C(*c*-Pr)	C≡C(4-MeO-Ph)	C≡C(2-CF_3O-Ph)
$C\equiv CCF_3$		C≡C(3,5-diCl-Ph)	C≡C(3-(2-Cl-4-CF_3-Ph)Ph)

Z es un enlace directo; R^2 es 2-metil-5-pirimidinilo

R^1	R^1	R^1	R^1
C≡CPh	C≡C(2-F-Ph)	C≡C(3-F-Ph)	C≡C(4-F-Ph)
C≡C(2-Me-Ph)	C≡C(3-Me-Ph)	C≡C(4-Me-Ph)	C≡C(2-CF_3-Ph)
C≡C(3-CF_3O-Ph)	C≡C(4-CF_3O-Ph)	C≡CMe	C≡CEt
C≡C(*c*-Pr-2-(*c*-Pr))	C≡CC(O)Me	C≡CCO_2Et	C≡CC(O)NMe_2
C≡C(2-MeO-Ph)	C≡C(3-CF_3-Ph)	C≡C(*i*-Pr)	C≡C(3-MeO-Ph)
C≡C(4-CF_3-Ph)	C≡C(*c*-Pr)	C≡C(4-MeO-Ph)	C≡C(2-CF_3O-Ph)
C≡CCF_3		C≡C(3,5-diCl-Ph)	C≡C(3-(2-Cl-4-CF_3-Ph)Ph)

Z es O; R^2 es 2-cloro-5-tiazolilo

R^1	R^1	R^1	R^1	R^1	R^1
pH	2-F-Ph	3-F-Ph	4-F-Ph	2-MeO-Ph	4-MeO-Ph
2-Me-Ph	3-Me-Ph	4-Me-Ph	2-CF_3-Ph	3-CF_3-Ph	2-CF_3O-Ph
3-CF_3O-Ph	4-CF_3O-Ph	Me	Et	*i*-Pr	CF_3
c-Pr-2-(*c*-Pr)	C(O)Me	CO_2Et	C(O)NMe_2	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph
c-Pr	3-MeO-Ph	4-CF_3-Ph			

Z es O; R^2 es 6-cloro-3-piridinilo

R^1	R^1	R^1	R^1	R^1	R^1
pH	2-F-Ph	3-F-Ph	4-F-Ph	2-MeO-Ph	4-MeO-Ph
2-Me-Ph	3-Me-Ph	4-Me-Ph	2-CF_3-Ph	3-CF_3-Ph	2-CF_3O-Ph
3-CF_3O-Ph	4-CF_3O-Ph	Me	Et	*i*-Pr	CF_3

c-Pr-2-(*c*-Pr)	C(O)Me	CO_2Et	$C(O)NMe_2$	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph
c-Pr	3-MeO-Ph	4-CF_3-Ph			

Z es O; R^2 es 6-fluoro-3-piridinilo

R^1	R^1	R^1	R^1	R^1	R^1
pH	2-F-Ph	3-F-Ph	4-F-Ph	2-MeO-Ph	4-MeO-Ph
2-Me-Ph	3-Me-Ph	4-Me-Ph	2-CF_3-Ph	3-CF_3-Ph	2-CF_3O-Ph
3-CF_3O-Ph	4-CF_3O-Ph	Me	Et	*i*-Pr	CF_3
c-Pr-2-(*c*-Pr)	C(O)Me	CO_2Et	$C(O)NMe_2$	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph
c-Pr	3-MeO-Ph	4-CF_3-Ph			

Z es O; R^2 es 5-pirimidinilo

R^1	R^1	R^1	R^1	R^1	R^1
pH	2-F-Ph	3-F-Ph	4-F-Ph	2-MeO-Ph	4-MeO-Ph
2-Me-Ph	3-Me-Ph	4-Me-Ph	2-CF_3-Ph	3-CF_3-Ph	2-CF_3O-Ph
3-CF_3O-Ph	4-CF_3O-Ph	Me	Et	*i*-Pr	CF_3
c-Pr-2-(*c*-Pr)	C(O)Me	CO_2Et	$C(O)NMe_2$	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph
c-Pr	3-MeO-Ph	4-CF_3-Ph			

Z es O; R^2 es 2-metil-5-pirimidinilo

R^1	R^1	R^1	R^1	R^1	R^1
pH	2-F-Ph	3-F-Ph	4-F-Ph	2-MeO-Ph	4-MeO-Ph
2-Me-Ph	3-Me-Ph	4-Me-Ph	2-CF_3-Ph	3-CF_3-Ph	2-CF_3O-Ph
3-CF_3O-Ph	4-CF_3O-Ph	Me	Et	*i*-Pr	CF_3
c-Pr-2-	C(O)Me	CO_2Et	C(O)NMe_2	3,5-	3-(2-Cl-
(*c*-Pr)				diCl-Ph	4-CF_3-
					Ph)Ph
c-Pr	3-MeO-Ph	4-CF_3-Ph			

Z es NMe; R^2 es 2-cloro-5-tiazolilo

R^1	R^1	R^1	R^1	R^1	R^1
pH	2-F-Ph	3-F-Ph	4-F-Ph	2-MeO-Ph	4-MeO-Ph
2-Me-Ph	3-Me-Ph	4-Me-Ph	2-CF_3-Ph	3-CF_3-Ph	2-CF_3O-Ph
3-CF_3O-Ph	4-CF_3O-Ph	Me	Et	*i*-Pr	CF_3
c-Pr-2-	C(O)Me	CO_2Et	C(O)NMe_2	3,5-	3-(2-Cl-
(*c*-Pr)				diCl-Ph	4-CF_3-
					Ph)Ph
c-Pr	3-MeO-Ph	4-CF_3-Ph			

Z es NMe; R^2 es 6-cloro-3-piridinilo

R^1	R^1	R^1	R^1	R^1	R^1
pH	2-F-Ph	3-F-Ph	4-F-Ph	2-MeO-Ph	4-MeO-Ph
2-Me-Ph	3-Me-Ph	4-Me-Ph	2-CF_3-Ph	3-CF_3-Ph	2-CF_3O-Ph
3-CF_3O-Ph	4-CF_3O-Ph	Me	Et	*i*-Pr	CF_3

c-Pr-2-(*c*-Pr)	C(O)Me	CO_2Et	$C(O)NMe_2$	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph
c-Pr	3-MeO-Ph	4-CF_3-Ph			

Z es NMe; R^2 es 6-fluoro-3-piridinilo

$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$
pH	2-F-Ph	3-F-Ph	4-F-Ph	2-MeO-Ph	4-MeO-Ph
2-Me-Ph	3-Me-Ph	4-Me-Ph	2-CF_3-Ph	3-CF_3-Ph	2-CF_3O-Ph
3-CF_3O-Ph	4-CF_3O-Ph	Me	Et	*i*-Pr	CF_3
c-Pr-2-(*c*-Pr)	C(O)Me	CO_2Et	$C(O)NMe_2$	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph
c-Pr	3-MeO-Ph	4-CF_3-Ph			

Z es NMe; R^2 es 5-pirimidinilo

$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$
pH	2-F-Ph	3-F-Ph	4-F-Ph	2-MeO-Ph	4-MeO-Ph
2-Me-Ph	3-Me-Ph	4-Me-Ph	2-CF_3-Ph	3-CF_3-Ph	2-CF_3O-Ph
3-CF_3O-Ph	4-CF_3O-Ph	Me	Et	*i*-Pr	CF_3
c-Pr-2-(*c*-Pr)	C(O)Me	CO_2Et	$C(O)NMe_2$	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph
c-Pr	3-MeO-Ph	4-CF_3-Ph			

Z es NMe; R^2 es 2-metil-5-pirimidinilo

R^1	R^1	R^1	R^1	R^1	R^1
pH	2-F-Ph	3-F-Ph	4-F-Ph	2-MeO-Ph	4-MeO-Ph
2-Me-Ph	3-Me-Ph	4-Me-Ph	2-CF_3-Ph	3-CF_3-Ph	2-CF_3O-Ph
3-CF_3O-Ph	4-CF_3O-Ph	Me	Et	*i*-Pr	CF_3
c-Pr-2-	C(O)Me	CO_2Et	C(O)NMe_2	3,5-	3-(2-Cl-
(*c*-Pr)				diCl-Ph	4-CF_3-
					Ph)Ph
c-Pr	3-MeO-Ph	4-CF_3-Ph			

Z es C(O); R^2 es 2-cloro-5-tiazolilo

R^1	R^1	R^1	R^1	R^1	R^1
pH	2-F-Ph	3-F-Ph	4-F-Ph	2-MeO-Ph	4-MeO-Ph
2-Me-Ph	3-Me-Ph	4-Me-Ph	2-CF_3-Ph	3-CF_3-Ph	2-CF_3O-Ph
3-CF_3O-Ph	4-CF_3O-Ph	Me	Et	*i*-Pr	CF_3
c-Pr-2-	C(O)Me	CO_2Et	C(O)NMe_2	3,5-	3-(2-Cl-
(*c*-Pr)				diCl-Ph	4-CF_3-
					Ph)Ph
c-Pr	3-MeO-Ph	4-CF_3-Ph			

Z es C(O); R^2 es 6-cloro-3-piridinilo

R^1	R^1	R^1	R^1	R^1	R^1
pH	2-F-Ph	3-F-Ph	4-F-Ph	2-MeO-Ph	4-MeO-Ph
2-Me-Ph	3-Me-Ph	4-Me-Ph	2-CF_3-Ph	3-CF_3-Ph	2-CF_3O-Ph
3-CF_3O-Ph	4-CF_3O-Ph	Me	Et	*i*-Pr	CF_3

c-Pr-2-(*c*-Pr)	C(O)Me	CO_2Et	$C(O)NMe_2$	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph
c-Pr	3-MeO-Ph	4-CF_3-Ph			

Z es C(O); R^2 es 6-fluoro-3-piridinilo

R^1	R^1	R^1	R^1	R^1	R^1
pH	2-F-Ph	3-F-Ph	4-F-Ph	2-MeO-Ph	4-MeO-Ph
2-Me-Ph	3-Me-Ph	4-Me-Ph	2-CF_3-Ph	3-CF_3-Ph	2-CF_3O-Ph
3-CF_3O-Ph	4-CF_3O-Ph	Me	Et	*i*-Pr	CF_3
c-Pr-2-(*c*-Pr)	C(O)Me	CO_2Et	$C(O)NMe_2$	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph
c-Pr	3-MeO-Ph	4-CF_3-Ph			

Z es C(O); R^2 es 5-pirimidinilo

R^1	R^1	R^1	R^1	R^1	R^1
pH	2-F-Ph	3-F-Ph	4-F-Ph	2-MeO-Ph	4-MeO-Ph
2-Me-Ph	3-Me-Ph	4-Me-Ph	2-CF_3-Ph	3-CF_3-Ph	2-CF_3O-Ph
3-CF_3O-Ph	4-CF_3O-Ph	Me	Et	*i*-Pr	CF_3
c-Pr-2-(*c*-Pr)	C(O)Me	CO_2Et	$C(O)NMe_2$	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph
c-Pr	3-MeO-Ph	4-CF_3-Ph			

Z es C(O); R^2 es 2-metil-5-pirimidinilo

R^1	R^1	R^1	R^1	R^1	R^1
pH	2-F-Ph	3-F-Ph	4-F-Ph	2-MeO-Ph	4-MeO-Ph
2-Me-Ph	3-Me-Ph	4-Me-Ph	2-CF_3-Ph	3-CF_3-Ph	2-CF_3O-Ph
3-CF_3O-Ph	4-CF_3O-Ph	Me	Et	*i*-Pr	CF_3
c-Pr-2-	C(O)Me	CO_2Et	C(O)NMe_2	3,5-	3-(2-Cl-
(*c*-Pr)				diCl-Ph	4-CF_3-
					Ph)Ph
c-Pr	3-MeO-Ph	4-CF_3-Ph			

Z es C(O)NMe; R^2 es 2-cloro-5-tiazolilo

R^1	R^1	R^1	R^1	R^1	R^1
pH	2-F-Ph	3-F-Ph	4-F-Ph	2-MeO-Ph	4-MeO-Ph
2-Me-Ph	3-Me-Ph	4-Me-Ph	2-CF_3-Ph	3-CF_3-Ph	2-CF_3O-Ph
3-CF_3O-Ph	4-CF_3O-Ph	Me	Et	*i*-Pr	CF_3
c-Pr-2-	C(O)Me	CO_2Et	C(O)NMe_2	3,5-	3-(2-Cl-
(*c*-Pr)				diCl-Ph	4-CF_3-
					Ph)Ph
c-Pr	3-MeO-Ph	4-CF_3-Ph			

Z es C(O)NMe; R^2 es 6-cloro-3-piridinilo

R^1	R^1	R^1	R^1	R^1	R^1
pH	2-F-Ph	3-F-Ph	4-F-Ph	2-MeO-Ph	4-MeO-Ph
2-Me-Ph	3-Me-Ph	4-Me-Ph	2-CF_3-Ph	3-CF_3-Ph	2-CF_3O-Ph
3-CF_3O-Ph	4-CF_3O-Ph	Me	Et	*i*-Pr	CF_3

c-Pr-2-(*c*-Pr)	C(O)Me	CO_2Et	$C(O)NMe_2$	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph
c-Pr	3-MeO-Ph	4-CF_3-Ph			

Z es C(O)NMe; R^2 es 6-fluoro-3-piridinilo

1	R^1	R^1	R^1	R^1	R^1
pH	2-F-Ph	3-F-Ph	4-F-Ph	2-MeO-Ph	4-MeO-Ph
2-Me-Ph	3-Me-Ph	4-Me-Ph	2-CF_3-Ph	3-CF_3-Ph	2-CF_3O-Ph
3-CF_3O-Ph	4-CF_3O-Ph	Me	Et	*i*-Pr	CF_3
c-Pr-2-(*c*-Pr)	C(O)Me	CO_2Et	$C(O)NMe_2$	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph
c-Pr	3-MeO-Ph	4-CF_3-Ph			

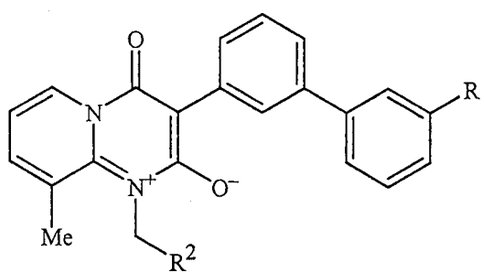
Z es C(O)NMe; R^2 es 5-pirimidinilo

R^1	R^1	R^1	R^1	R^1	R^1
pH	2-F-Ph	3-F-Ph	4-F-Ph	2-MeO-Ph	4-MeO-Ph
2-Me-Ph	3-Me-Ph	4-Me-Ph	2-CF_3-Ph	3-CF_3-Ph	2-CF_3O-Ph
3-CF_3O-Ph	4-CF_3O-Ph	Me	Et	*i*-Pr	CF_3
c-Pr-2-(*c*-Pr)	C(O)Me	CO_2Et	$C(O)NMe_2$	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph
c-Pr	3-MeO-Ph	4-CF_3-Ph			

Z es C(O)NMe; R^2 es 2-metil-5-pirimidinilo

R^1	R^1	R^1	R^1	R^1	R^1
pH	2-F-Ph	3-F-Ph	4-F-Ph	2-MeO-Ph	4-MeO-Ph
2-Me-Ph	3-Me-Ph	4-Me-Ph	2-CF_3-Ph	3-CF_3-Ph	2-CF_3O-Ph
3-CF_3O-Ph	4-CF_3O-Ph	Me	Et	*i*-Pr	CF_3
c-Pr-2-(*c*-Pr)	C(O)Me	CO_2Et	$C(O)NMe_2$	3,5-diCl-Ph	3-(2-Cl-4-CF_3-Ph)Ph
c-Pr	3-MeO-Ph	4-CF_3-Ph			

Tabla 30



R^2 es 2-cloro-5-tiazolilo

R	R	R	R	R	R
Br	CH_2F	O-*i*-Pr	SCF_3	C(O)NHMe	6-Cl-3-piridinilo
I	CHF_2	$OCH_2CH=CH_2$	$SCHF_2$	$C(O)NMe_2$	6-F-3-piridinilo

Me	OMe	$OCH_2C{\equiv}CH$	$S(O)CF_3$	C(=NOMe)Me	*N*-Me-4-pirazolilo
Et	OEt	O-*c*-Pr	SO_2CF_3	$CH{=}CH_2$	3-Me-5-isoxazolilo
c-Pr	O-*n*-Pr	$OCHF_2$	CO_2Me	$C{\equiv}CH$	6-(6-Cl-3-piridinil)-3-piridinilo
pH	OPh	SCF_3	CO_2Et	3-ClPh	4-CF3-2-tiazolilo
					1-Me-4-CF_3-2-imidazolilo

R^2 es 6-cloro-3-piridinilo

R	R	R	R	R	R
Br	CH_2F	O-*i*-Pr	SCF_3	C(O)NHMe	6-Cl-3-piridinilo
I	CHF_2	$OCH_2CH{=}CH_2$	$SCHF_2$	$C(O)NMe_2$	6-F-3-piridinilo
Me	OMe	$OCH_2C{\equiv}CH$	$S(O)CF_3$	C(=NOMe)Me	*N*-Me-4-pirazolilo
Et	OEt	O-*c*-Pr	SO_2CF_3	$CH{=}CH_2$	3-Me-5-isoxazolilo
c-Pr	O-*n*-Pr	$OCHF_2$	CO_2Me	$C{\equiv}CH$	6-(6-Cl-3-piridinil)-3-piridinilo

pH	OPh	SCF_3	CO_2Et	3-ClPh	4-CF3-2-tiazolilo
					1-Me-4-CF_3-2-imidazolilo

R^2 es 6-fluoro-3-piridinilo

R	R	R	R	R	R
Br	CH_2F	O-*i*-Pr	SCF_3	C(O)NHMe	6-Cl-3-piridinilo
I	CHF_2	$OCH_2CH=CH_2$	$SCHF_2$	$C(O)NMe_2$	6-F-3-piridinilo
Me	OMe	$OCH_2C\equiv CH$	$S(O)CF_3$	C(=NOMe)Me	*N*-Me-4-pirazolilo
Et	OEt	O-*c*-Pr	SO_2CF_3	$CH=CH_2$	3-Me-5-isoxazolilo
c-Pr	O-*n*-Pr	$OCHF_2$	CO_2Me	$C\equiv CH$	6-(6-Cl-3-piridinil)-3-piridinilo
pH	OPh	SCF_3	CO_2Et	3-ClPh	4-CF3-2-tiazolilo
					1-Me-4-CF_3-2-imidazolilo

R^2 es 5-pirimidinilo

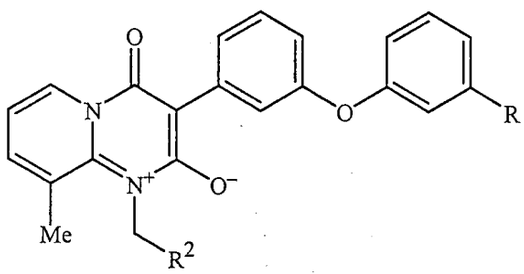
R	R	R	R	R	R
Br	CH_2F	O-*i*-Pr	SCF_3	C(O)NHMe	6-Cl-3-piridinilo
I	CHF_2	$OCH_2CH=CH_2$	$SCHF_2$	$C(O)NMe_2$	6-F-3-piridinilo
Me	OMe	$OCH_2C\equiv CH$	$S(O)CF_3$	C(=NOMe)Me	*N*-Me-4-pirazolilo
Et	OEt	O-*c*-Pr	SO_2CF_3	$CH=CH_2$	3-Me-5-isoxazolilo
c-Pr	O-*n*-Pr	$OCHF_2$	CO_2Me	$C\equiv CH$	6-(6-Cl-3-piridinil)-3-piridinilo
pH	OPh	SCF_3	CO_2Et	3-ClPh	4-CF3-2-tiazolilo
					1-Me-4-CF_3-2-imidazolilo

R^2 es 2-metil-5-pirimidinilo

R	R	R	R	R	R
Br	CH_2F	O-*i*-Pr	SCF_3	C(O)NHMe	6-Cl-3-piridinilo
I	CHF_2	$OCH_2CH=CH_2$	$SCHF_2$	$C(O)NMe_2$	6-F-3-piridinilo
Me	OMe	$OCH_2C\equiv CH$	$S(O)CF_3$	C(=NOMe)Me	*N*-Me-4-pirazolilo

Et	OEt	O-*c*-Pr	SO_2CF_3	$CH=CH_2$	3-Me-5-isoxazolilo
c-Pr	O-*n*-Pr	$OCHF_2$	CO_2Me	C≡CH	6-(6-Cl-3-piridinil)-3-piridinilo
pH	OPh	SCF_3	CO_2Et	3-ClPh	4-CF3-2-tiazolilo
					1-Me-4-CF_3-2-imidazolilo

Tabla 31



R^2 es 2-cloro-5-tiazolilo

R	R	R	R	R	R
H	*c*-Pr	O-*i*-Pr	SCF_3	C(O)NHMe	3-ClPh
F	CF_3	$OCH_2CH=CH_2$	$SCHF_2$	$C(O)NMe_2$	6-Cl-3-piridinilo

Cl	CH_2F	$OCH_2C{\equiv}CH$	$S(O)CF_3$	C(=NOMe)Me	6-F-3-piridinilo
Br	CHF_2	O-*c*-Pr	SO_2CF_3	$CH{=}CH_2$	*N*-Me-4-pirazolilo
I	OMe	OCF_3	CO_2Me	$C{\equiv}CH$	3-Me-5-isoxazolilo
Me	OEt	$OCHF_2$	CO_2Et	pH	6-(6-Cl-3-piridinil)-3-piridinilo
Et	O-*n*-Pr	SCF_3	ciano	OPh	4-CF3-2-tiazolilo
					1-Me-4-CF_3-2-imidazolilo

R^2 es 6-cloro-3-piridinilo

R	R	R	R	R	R
H	*c*-Pr	O-*i*-Pr	SCF_3	C(O)NHMe	3-ClPh
F	CF_3	$OCH_2CH{=}CH_2$	$SCHF_2$	$C(O)NMe_2$	6-Cl-3-piridinilo
Cl	CH_2F	$OCH_2C{\equiv}CH$	$S(O)CF_3$	C(=NOMe)Me	6-F-3-piridinilo
Br	CHF_2	O-*c*-Pr	SO_2CF_3	$CH{=}CH_2$	*N*-Me-4-pirazolilo
I	OMe	OCF_3	CO_2Me	$C{\equiv}CH$	3-Me-5-isoxazolilo

Me	OEt	$OCHF_2$	CO_2Et	pH	6-(6-Cl-3-piridinil)-3-piridinilo
Et	O-*n*-Pr	SCF_3	ciano	OPh	4-CF3-2-tiazolilo
					1-Me-4-CF_3-2-imidazolilo

R^2 es 6-fluoro-3-piridinilo

R	R	R	R	R	R
H	*c*-Pr	O-*i*-Pr	SCF_3	C(O)NHMe	3-ClPh
F	CF_3	$OCH_2CH=CH_2$	$SCHF_2$	$C(O)NMe_2$	6-Cl-3-piridinilo
Cl	CH_2F	$OCH_2C\equiv CH$	$S(O)CF_3$	C(=NOMe)Me	6-F-3-piridinilo
Br	CHF_2	O-*c*-Pr	SO_2CF_3	$CH=CH_2$	*N*-Me-4-pirazolilo
I	OMe	OCF_3	CO_2Me	$C\equiv CH$	3-Me-5-isoxazolilo
Me	OEt	$OCHF_2$	CO_2Et	pH	6-(6-Cl-3-piridinil)-3-piridinilo
Et	O-*n*-Pr	SCF_3	ciano	OPh	4-CF3-2-tiazolilo

					1-Me-4-CF_3-2-imidazolilo

R^2 es 5-pirimidinilo

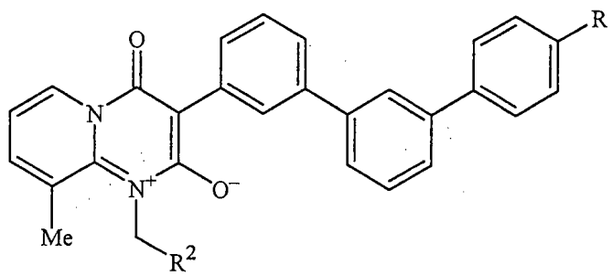
R	R	R	R	R	R
H	*c*-Pr	O-*i*-Pr	SCF_3	C(O)NHMe	3-ClPh
F	CF_3	$OCH_2CH=CH_2$	$SCHF_2$	$C(O)NMe_2$	6-Cl-3-piridinilo
Cl	CH_2F	$OCH_2C\equiv CH$	$S(O)CF_3$	C(=NOMe)Me	6-F-3-piridinilo
Br	CHF_2	O-*c*-Pr	SO_2CF_3	$CH=CH_2$	*N*-Me-4-pirazolilo
I	OMe	OCF_3	CO_2Me	$C\equiv CH$	3-Me-5-isoxazolilo
Me	OEt	$OCHF_2$	CO_2Et	pH	6-(6-Cl-3-piridinil)-3-piridinilo
Et	O-*n*-Pr	SCF_3	ciano	OPh	4-CF3-2-tiazolilo
					1-Me-4-CF_3-2-imidazolilo

R^2 es 2-metil-5-pirimidinilo

R	R	R	R	R	R
H	*c*-Pr	O-*i*-Pr	SCF_3	C(O)NHMe	3-ClPh

F	CF_3	$OCH_2CH=CH_2$	$SCHF_2$	$C(O)NMe_2$	6-Cl-3-piridinilo
Cl	CH_2F	$OCH_2C\equiv CH$	$S(O)CF_3$	$C(=NOMe)Me$	6-F-3-piridinilo
Br	CHF_2	O-*c*-Pr	SO_2CF_3	$CH=CH_2$	*N*-Me-4-pirazolilo
I	OMe	OCF_3	CO_2Me	$C\equiv CH$	3-Me-5-isoxazolilo
Me	OEt	$OCHF_2$	CO_2Et	pH	6-(6-Cl-3-piridinil)-3-piridinilo
Et	O-*n*-Pr	SCF_3	ciano	OPh	4-CF3-2-tiazolilo
					1-Me-4-CF_3-2-imidazolilo

Tabla 32



R^2 es 2-cloro-5-tiazolilo

R	R	R	R	R	R
H	*c*-Pr	O-*i*-Pr	SCF_3	C(O)NHMe	3-ClPh
F	CF_3	$OCH_2CH=CH_2$	$SCHF_2$	$C(O)NMe_2$	6-Cl-3-piridinilo
Cl	CH_2F	$OCH_2C\equiv CH$	$S(O)CF_3$	C(=NOMe)Me	6-F-3-piridinilo
Br	CHF_2	O-*c*-Pr	SO_2CF_3	$CH=CH_2$	*N*-Me-4-pirazolilo
I	OMe	OCF_3	CO_2Me	$C\equiv CH$	3-Me-5-isoxazolilo
Me	OEt	$OCHF_2$	CO_2Et	pH	6-(6-Cl-3-piridinil)-3-piridinilo
Et	O-*n*-Pr	SCF_3	ciano	OPh	4-CF3-2-tiazolilo
					1-Me-4-CF_3-2-imidazolilo

R^2 es 6-cloro-3-piridinilo

R	R	R	R	R	R
H	*c*-Pr	O-*i*-Pr	SCF_3	C(O)NHMe	3-ClPh
F	CF_3	$OCH_2CH=CH_2$	$SCHF_2$	$C(O)NMe_2$	6-Cl-3-piridinilo

Cl	CH_2F	$OCH_2C{\equiv}CH$	$S(O)CF_3$	$C(=NOMe)Me$	6-F-3-piridinilo
Br	CHF_2	O-*c*-Pr	SO_2CF_3	$CH{=}CH_2$	*N*-Me-4-pirazolilo
I	OMe	OCF_3	CO_2Me	$C{\equiv}CH$	3-Me-5-isoxazolilo
Me	OEt	$OCHF_2$	CO_2Et	pH	6-(6-Cl-3-piridinil)-3-piridinilo
Et	O-*n*-Pr	SCF_3	ciano	OPh	4-CF3-2-tiazolilo
					1-Me-4-CF_3-2-imidazolilo

R^2 es 6-fluoro-3-piridinilo

R	R	R	R	R	R
H	*c*-Pr	O-*i*-Pr	SCF_3	$C(O)NHMe$	3-ClPh
F	CF_3	$OCH_2CH{=}CH_2$	$SCHF_2$	$C(O)NMe_2$	6-Cl-3-piridinilo
Cl	CH_2F	$OCH_2C{\equiv}CH$	$S(O)CF_3$	$C(=NOMe)Me$	6-F-3-piridinilo
Br	CHF_2	O-*c*-Pr	SO_2CF_3	$CH{=}CH_2$	*N*-Me-4-pirazolilo
I	OMe	OCF_3	CO_2Me	$C{\equiv}CH$	3-Me-5-isoxazolilo

Me	OEt	$OCHF_2$	CO_2Et	pH	6-(6-Cl-3-piridinil)-3-piridinilo
Et	O-*n*-Pr	SCF_3	ciano	OPh	4-CF3-2-tiazolilo
					1-Me-4-CF_3-2-imidazolilo

R^2 es 5-pirimidinilo

R	R	R	R	R	R
H	*c*-Pr	O-*i*-Pr	SCF_3	C(O)NHMe	3-ClPh
F	CF_3	$OCH_2CH=CH_2$	$SCHF_2$	$C(O)NMe_2$	6-Cl-3-piridinilo
Cl	CH_2F	$OCH_2C\equiv CH$	$S(O)CF_3$	C(=NOMe)Me	6-F-3-piridinilo
Br	CHF_2	O-*c*-Pr	SO_2CF_3	$CH=CH_2$	*N*-Me-4-pirazolilo
I	OMe	OCF_3	CO_2Me	$C\equiv CH$	3-Me-5-isoxazolilo
Me	OEt	$OCHF_2$	CO_2Et	pH	6-(6-Cl-3-piridinil)-3-piridinilo
Et	O-*n*-Pr	SCF_3	ciano	OPh	4-CF3-2-tiazolilo

					1-Me-4-CF_3-2-imidazolilo

R^2 es 2-metil-5-pirimidinilo

R	R	R	R	R	R
H	*c*-Pr	O-*i*-Pr	SCF_3	C(O)NHMe	3-ClPh
F	CF_3	$OCH_2CH=CH_2$	$SCHF_2$	$C(O)NMe_2$	6-Cl-3-piridinilo
Cl	CH_2F	$OCH_2C\equiv CH$	$S(O)CF_3$	C(=NOMe)Me	6-F-3-piridinilo
Br	CHF_2	O-*c*-Pr	SO_2CF_3	$CH=CH_2$	*N*-Me-4-pirazolilo
I	OMe	OCF_3	CO_2Me	$C\equiv CH$	3-Me-5-isoxazolilo
Me	OEt	$OCHF_2$	CO_2Et	pH	6-(6-Cl-3-piridinil)-3-piridinilo
Et	O-*n*-Pr	SCF_3	ciano	OPh	4-CF3-2-tiazolilo
					1-Me-4-CF_3-2-imidazolilo

Generalmente, un compuesto de esta invención se usará como ingrediente activo en una composición para controlar una plaga de invertebrados, es decir, formulación, con por lo menos un componente adicional seleccionado del grupo que

consiste en surfactantes, diluyentes sólidos y diluyentes líquidos, que sirven como portador. Los ingredientes de la formulación o composición se seleccionan de acuerdo con las propiedades físicas del ingrediente activo, el modo de aplicación y los factores ambientales tales como tipo de suelo, humedad y temperatura.

Las formulaciones útiles incluyen composiciones líquidas y sólidas. Las composiciones líquidas incluyen soluciones (que incluyen concentrados emulsificables), suspensiones, emulsiones (que incluyen microemulsiones y/o suspoemulsiones) y similares, que, opcionalmente, pueden espesarse para formar geles. Los tipos comunes de composiciones líquidas acuosas son concentrados solubles, concentrados de suspensión, suspensión en cápsulas, emulsión, microemulsión y suspoemulsión. Los tipos comunes de composiciones líquidas no acuosas son el concentrado emulsionable, concentrado microemulsionable, concentrado dispersable y dispersión oleosa.

Los tipos comunes de composiciones sólidas son polvos, gránulos, microesferas, glóbulos, pastillas, tabletas, películas con carga (que incluyen recubrimientos para semillas) y similares, que pueden ser dispersables en agua ("humectables") o solubles en agua. Las películas y recubrimientos que se forman a partir de las soluciones formadoras de películas o suspensiones autodispersables son particularmente útiles para el tratamiento de semillas. El

ingrediente activo se puede (micro)encapsular y, después, convertir en una suspensión o formulación sólida; Alternativamente, se puede encapsular (o "recubrir") la formulación completa del ingrediente activo. La encapsulación puede controlar o retardar la liberación del ingrediente activo. Los gránulos emulsionables combinan las ventajas de las formulaciones concentradas emulsionables y de las formulaciones de gránulos secos. Las composiciones de alta resistencia se usan principalmente como intermedios para más formulaciones.

Típicamente, las formulaciones rociables se dispensan en un medio apropiado antes de rociar. Estas formulaciones líquidas y sólidas se formulan para diluirse fácilmente en el medio rociador, comúnmente, agua. Los volúmenes de rocío pueden variar de aproximadamente uno a varios miles de litros por hectárea, pero, más generalmente, están en el intervalo de aproximadamente diez a varios cientos de litros por hectárea. Las formulaciones rociables pueden mezclarse en un tanque con agua u otro medio adecuado para tratamiento foliar mediante aplicación aérea o terrestre, o para aplicación al medio de cultivo de la planta. Las formulaciones líquidas y secas se pueden suministrar directamente en los sistemas de irrigación por goteo o en los surcos durante la siembra. Las formulaciones líquidas y sólidas se pueden aplicar sobre las semillas de cultivos y otra vegetación deseada como tratamiento de las semillas antes de plantarlas para proteger

las raíces en desarrollo y otras partes subterráneas de las plantas y/o el follaje por medio de absorción sistémica.

Típicamente, las formulaciones contienen cantidades eficaces de un ingrediente activo, diluyente y surfactante dentro de los siguientes intervalos aproximados que equivalen al 100 por ciento en peso.

	Porcentaje en peso		
	Ingrediente		
	Ingrediente	Diluyente	Surfactante
Gránulos, tabletas y polvos dispersables y solubles en agua	0,001-90	0-99,999	0-15
Dispersiones, suspensiones, emulsiones, soluciones oleosas (incluso concentrados emulsionables)	1-50	40-99	0-50
Polvos	1-25	70-99	0-5
Gránulos y bolitas	0,001-95	5-99,999	0-15
Composiciones de alta resistencia	90-99	0-10	0-2

Los diluyentes sólidos incluyen, por ejemplo, arcillas tales como bentonita, montmorillonita, attapulguita y

caolín, yeso, celulosa, dióxido de titanio, óxido de zinc, almidón, dextrina, azúcares (por ejemplo, lactosa, sacarosa), sílice, talco, mica, tierra de diatomeas, urea, carbonato cálcico, carbonato sódico y bicarbonato, y sulfato de sodio. Los diluyentes sólidos típicos se describen en Watkins et al., *Handbook of Insecticide Dust Diluents and Carriers*, 2.° 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*-metilpirrolidona), etilenglicol, trietilenglicol, propilenglicol, dipropilenglicol, polipropilenglicol, carbonato de propileno, carbonato de butileno, parafinas (por ejemplo, aceites minerales blancos, parafinas comunes, isoparafinas), alquilbencenos, alquilnaftalenos, glicerina, triacetato de glicerol, sorbitol, triacetina, hidrocarburos aromáticos, 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 lactato alquilatados, ésteres dibásicos y γ-butirolactona, y alcoholes, que 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 incluyen también ésteres de glicerol de ácidos grasos saturados e insaturados (por lo general, C_6-C_{22}), tales como aceites de semillas de plantas y frutas (por ejemplo, aceites de oliva, castor, linaza, ajonjolí, maíz, cacahuate, girasol, semilla de uva, cártamo, semilla de algodón, frijol de soja, semilla de colza, coco y palmiste), grasas de origen animal (por ejemplo, sebo de carne de vacuno, sebo de carne de cerdo, lardo, aceite de hígado de bacalao, aceite de pescado) y mezclas de éstos. Los diluyentes líquidos incluyen, además, ácidos grasos alquilatados (por ejemplo, metilados, etilados, butilados), en donde los ácidos grasos pueden obtenerse por hidrólisis de ésteres de glicerol de origen animal y vegetal, y pueden purificarse por destilación. Los diluyentes líquidos típicos se describen en Marsden, *Solvents Guide*, 2.° Ed., Interscience, New York, 1950.

Las composiciones líquidas y sólidas de la presente invención incluyen, a menudo, uno o más surfactantes. Cuando se los añade a un líquido, los surfactantes (también conocidos como "agentes tensoactivos") generalmente modifican

y, con más frecuencia, reducen la tensión superficial del líquido. Dependiendo de la naturaleza de los grupos hidrófilo y lipófilo en una molécula surfactante, los surfactantes pueden ser útiles como agentes humidificadores, dispersantes, emulsionadores o agentes desespumantes.

Los surfactantes pueden clasificarse como no iónicos, aniónicos o catiónicos. Los surfactantes no iónicos útiles para las presentes composiciones incluyen, pero no se limitan a: alcoxilatos de alcohol, tales como alcoxilatos de alcohol a base de alcoholes naturales y sintéticos (que son ramificados o lineales) y preparados a partir de los alcoholes y óxido de etileno, óxido de propileno, óxido de butileno o mezclas de estos; etoxilatos de amina, alcanolamidas y alcanolamidas etoxiladas; triglicéridos alcoxilados, tales como aceites etoxilados de frijol de soja, semilla de colza y ricino; alcoxilatos de alquilfenol, tales como etoxilatos de octilfenol, etoxilatos de nonilfenol, etoxilatos de dinonilfenol y etoxilatos de dodecilfenol (preparados a partir de los fenoles y el óxido de etileno, óxido de propileno, óxido de butileno o mezclas de estos); polímeros de bloques preparados a partir de óxido de etileno u óxido de propileno y polímeros de bloques inversos, en donde los bloques terminales se preparan a partir de óxido de propileno; ácidos grasos etoxilados; ésteres grasos y aceites etoxilados; ésteres de metilo etoxilados; tristirilfenol

etoxilado (incluso los preparados a partir de óxido de etileno, óxido de propileno, óxido de butileno o mezclas de estos); ésteres de ácido graso, ésteres de glicerina, derivados a base de lanolina, ésteres de polietoxilato, tales como ésteres de ácido graso de sorbitán polietoxilados, ésteres de ácido graso de sorbitol polietoxilados y ésteres de ácido graso de glicerina polietoxilados; otros derivados de sorbitán, tales como ésteres de sorbitán; surfactantes poliméricos, tales como copolímeros aleatorios, copolímeros de bloques, resinas de peg (polietilenglicol) alquídicas, polímeros de injerto o tipo peine y polímeros en forma de estrella; polietilenglicoles (peg); ésteres de ácido graso de polietilenglicol; surfactantes a base de silicona; y derivados del azúcar, tales como ésteres de sacarosa, poliglicósidos de alquilo y polisacáridos de alquilo.

Los surfactantes aniónicos incluyen, pero no se limitan a: ácidos sulfónicos de alquilarilo y sus sales; alcohol carboxilado o etoxilatos de alquilfenol; derivados del sulfonato de difenilo; lignina y derivados de lignina, tales como lignosulfonatos; ácido maleico o succínico 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 estirilo fenol; surfactantes a base de proteínas; derivados de sarcosina; éter sulfato de estirilfenol; 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 dodecil y tridecilbenceno; sulfonatos de naftalenos condensados; sulfonatos de naftaleno y alquilnaftaleno; sulfonatos de petróleo fraccionado; sulfosuccinamatos; y sulfosuccinatos y sus derivados, tales como sales de sulfosuccinato de dialquilo.

Los surfactantes catiónicos incluyen, pero no se limitan a: amidas y amidas etoxiladas; aminas tales como propanodiaminas de *N*-alquilo, 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 éstos); sales de aminas, tales como acetatos de aminas y sales de diaminas; 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.

También útiles para las presentes composiciones son 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 describen en una variedad de referencias publicadas que

incluyen *Emulsifiers and Detergents* de McCutcheon, las ediciones anuales americanas e internacionales publicadas por McCutcheon's Division, The Manufacturing Confectioner Publishing Co.; Sisely and Wood, *Encyclopedia of Surface Active Agents*, Chemical Publ. Co., Inc., Nueva York, 1964; y A. S. Davidson y B. Milwidsky, *Synthetic Detergents*, Séptima Edición, John Wiley and Sons, New York, 1987.

Las composiciones de esta invención también pueden contener auxiliares y aditivos para formulaciones, conocidos por aquellos con experiencia en la materia como auxiliares para formulaciones (algunos de los cuales se considera que también pueden funcionar como diluyentes sólidos, diluyentes líquidos o surfactantes). Tales auxiliares y aditivos de formulación pueden controlar: el pH (soluciones tampón), la producción de espuma durante el procesamiento (antiespumantes, tales como poliorganosiloxanos), la sedimentación de los ingredientes activos (agentes de suspensión), la viscosidad (aglomerantes tixotrópicos), el crecimiento microbiano en el envase (antimicrobianos), la congelación de los productos (anticongelantes), el color (dispersiones de tintes/pigmentos), la eliminación por lavado (formadores o adhesivos de películas), la evaporación (retardantes de evaporación) y otros atributos de las formulaciones. Los formadores de película incluyen, por ejemplo, acetatos de polivinilo, copolímeros de acetato de polivinilo, copolímero de polivinilpirrolidona-

acetato de vinilo, alcoholes polivinílicos, copolímeros y ceras de alcohol polivinílico. Los ejemplos de auxiliares y aditivos de formulación incluyen los mencionados en el Volumen 2 de *McCutcheon: Functional Materials*, ediciones anuales norteamericanas e internacionales publicadas por McCutcheon's Division, The Manufacturing Confectioner Publishing Co.; y la publicación del PCT núm. WO 03/024222.

Típicamente, el compuesto de Fórmula **1** y cualquier otro ingrediente activo se incorporan en las presentes composiciones al disolver el ingrediente activo en un solvente o al molerlo en un diluyente líquido o seco. Las soluciones, que incluyen los concentrados emulsificables, pueden prepararse con solo mezclar los ingredientes. Si el solvente de una composición líquida para uso como concentrado emulsionable es inmiscible en agua se añade, por lo general, un emulsificante para emulsificar el solvente que contiene el activo después de diluirlo con agua. Las lechadas de ingredientes activos con diámetros de partícula de hasta 2000 µm pueden molerse en húmedo utilizando molinillos para medios a fin de obtener partículas con diámetros promedio por debajo de 3 µm. Las lechadas acuosas se pueden convertir en concentrados de suspensión terminados (ver, por ejemplo, la patente de los Estados Unidos núm. 3.060.084) o se pueden procesar mediante secado por aspersión para formar gránulos dispersables en agua.

Usualmente, las formulaciones secas requieren procesos de molienda en seco, que producen diámetros promedio de partícula en el intervalo de 2 a10 µm. Los polvos pueden prepararse mediante mezcla y, generalmente, mediante trituración (tal como con un molino triturador o un molino con energía fluida). Los gránulos y microesferas pueden prepararse por medio de rociar el material activo sobre portadores granulares preformados o mediante técnicas de aglomeración. Véanse Browning, "Agglomeration", *Chemical Engineering*, Diciembre 4, 1967, págs. 147-48, *Perry's Chemical Engineer's Handbook*, 4.° ed., McGraw-Hill, Nueva York, 1963, páginas 8-57 y siguientes, y la patente núm. WO 91/13546. Los comprimidos se pueden preparar tal como se describe en la patente de los Estados Unidos núm. 4.172.714. Los gránulos dispersables y solubles en agua se pueden preparar según las enseñanzas de las patentes de los Estados Unidos núms. 4.144.050, y 3.920.442 y la patente alemana DE 3.246.493. Las tabletas se pueden preparar según las enseñanzas de las patentes de los Estados Unidos núms. 5.180.587; 5.232.701 y 5.208.030. Las películas se pueden preparar según las enseñanzas de la patente británica núm. 2.095.558 y la patente de los Estados Unidos núm. 3.299.566.

Para obtener mayor información con respecto a la técnica de formulación, véanse T. S. Woods, "The Formulator's Toolbox

- Product Forms for Modern Agriculture" en *Pesticide Chemistry y Bioscience, The Food-Environment Challenge*, T. Brooks and T. Roberts, Eds., Proceedings of the 9th International Congress on Pesticide Chemistry, The Royal Society of Chemistry, Cambridge, 1999, págs. 120-133. Véase también la patente de los Estados Unidos núm. 3.235.361, Col. 6, línea 16 a Col. 7, línea 19, y los Ejemplos 10-41; la patente de los Estados Unidos núm. 3.309.192, Col. 5, línea 43 a Col. 7, línea 62, y los Ejemplos 8, 12, 15, 39, 41, 52, 53, 58, 132, 138-140, 162-164, 166, 167 y 169-182; la patente de los Estados Unidos núm. 2.891.855, de la col. 3, línea 66 a col. 5, línea 17, y los Ejemplos 1-4; Klingman, *Weed Control as a Science*, John Wiley and Sons, Inc., Nueva York, 1961, págs. 81-96; Hance et al., *Weed Control Handbook*, 8.° ed., Blackwell Scientific Publications, Oxford, 1989; y *Developments in formulation technology*, PJB Publications, Richmond, Reino Unido, 2000.

En los siguientes ejemplos, todas las formulaciones están preparadas de manera convencional. Los números de los compuestos se refieren a los compuestos en las Tablas índice A-E. Sin entrar en otros detalles innecesarios, se considera que, basándose en la descripción precedente, un experimentado en la técnica podrá usar al máximo la presente invención. Por lo tanto, los siguientes ejemplos se interpretarán como solamente ilustrativos, sin limitar la

descripción en ningún sentido. Los porcentajes son en peso, excepto donde se indique de otra manera.

Ejemplo A

Concentrado de alta resistencia

Compuesto 30	98,5 %
Aerogel de sílice	0,5 %
Sílice sintético fino y amorfo	1,0 %

Ejemplo B

Polvo humectable

Compuesto 50	65,0 %
Dodecilfenol polietilenglicol éter	2,0 %
Sulfonato sódico de lignina	4,0 %
Silicoaluminato sódico	6,0 %
Montmorillonita (calcinada)	23,0 %

Ejemplo C

Gránulo

Compuesto 113	10,0 %
Gránulos de attapulguita (materia con baja volatilidad, 0,71/0,30 mm; tamices estándar de los EE. UU. cribas núms. 25-50 estándar de los EE. UU.)	90,0 %

Ejemplo D

Bolilla extrudida

Compuesto 191	25,0 %
Sulfato sódico anhidro	10,0 %
Sulfonato de lignina de calcio crudo	5,0 %
Alquilnaftalenosulfonato sódico	1,0 %
Bentonita de calcio/magnesio	59,0 %

Ejemplo E

Concentrado emulsificable

Compuesto 231	10,0 %
Hexaoleato de sorbitol polioxietilenado	20,0 %
Metil éster de ácido graso de C_6-C_{10}	70,0 %

Ejemplo F

Microemulsión

Compuesto 254	5,0 %
Copolímero de polivinilpirrolidona-acetato de vinilo	30,0 %
Alquilpoliglicósido	30,0 %
Monooleato de glicerilo	15,0 %
Agua	20,0 %

Ejemplo G

Tratamiento de la semilla

Compuesto 289	20,00 %
Copolímero de polivinilpirrolidona-acetato de vinilo	5,00 %
Cera de ácido montana	5,00 %
Ligninsulfonato de calcio	1,00 %
Copolímeros de bloque de polioxietileno/polioxipropileno	1,00 %
Alcohol estearílico (POE 20)	2,00 %
Poliorganosilano	0,20 %
Tinte colorante rojo	0,05 %
Agua	65,75 %

Ejemplo H

Palito fertilizante

Compuesto 352	2,50 %
Copolímero de pirrolidona-estireno	4,80 %
Tristirilfenil con 16 moles de etoxilato	2,30 %
Talco	0,80 %
Almidón de maíz	5,00 %
Fertilizante de liberación lenta	36,00 %
Caolín	38,00 %
Agua	10,60 %

Ejemplo I

Concentrado de la suspensión

Compuesto 30	35 %
Copolímero de bloque butil polioxietileno/polipropileno	4,0 %
Copolímero de ácido esteárico/polietilenglicol	1,0 %
Polímero estireno acrílico	1,0 %
Goma xantana	0,1 %
Propilenglicol	5,0 %
Desespumante a base de silicona	0,1 %
1,2-benzisotiazolin-3-one	0,1 %
Agua	53,7 %

Ejemplo J

Emulsión en agua

Compuesto 50	10,0 %
Copolímero de bloque butil polioxietileno/polipropileno	4,0 %
Copolímero de ácido esteárico/polietilenglicol	1,0 %
Polímero estireno acrílico	1,0 %
Goma xantana	0,1 %
Propilenglicol	5,0 %

Desespumante a base de silicona	0,1 %
1,2-benzisotiazolin-3-one	0,1 %
Hidrocarburo aromático a base de petróleo	20,0
Agua	58,7 %

Ejemplo K

Dispersión oleosa

Compuesto 30	25 %
Hexaoleato de sorbitol polioxietileno	15 %
Arcilla de bentonita orgánicamente modificada	2,5 %
Metil éster de ácidos grasos	57,5 %

Ejemplo L

Suspoemulsión

Compuesto 50	10,0 %
Imidacloprid	5,0 %
Copolímero de bloque butil polioxietileno/polipropileno	4,0 %
Copolímero de ácido esteárico/polietilenglicol	1,0 %
Polímero estireno acrílico	1,0 %
Goma xantana	0,1 %
Propilenglicol	5,0 %

Desespumante a base de silicona	0,1 %
1,2-benzisotiazolin-3-one	0,1 %
Hidrocarburo aromático a base de petróleo	20,0 %
Agua	53,7 %

Los compuestos de esta invención exhiben actividad contra un amplio espectro de plagas de invertebrados. Estas plagas incluyen invertebrados que se encuentran en una variedad de hábitats, tales como, por ejemplo, el follaje de las plantas, raíces, suelo, cosechas u otros elementos comestibles, estructuras de construcción o tegumentos animales. Estas plagas incluyen, por ejemplo, invertebrados que se alimentan del follaje (que incluye hojas, tallos, flores y frutos), semillas, madera, fibras textiles o sangre o tejidos animales, y que por ello, perjudican o causan daños en, por ejemplo, cultivos agronómicos en crecimiento o almacenados, bosques, cultivos de invernaderos, plantas ornamentales, cultivos de viveros, alimentos almacenados o productos de fibra, o viviendas u otras estructuras o su contenido, o son nocivos para la salud animal o seres humanos. Los experimentados en la técnica comprenderán que no todos los compuestos son igualmente eficaces contra todos los estadios de crecimiento de todo tipo de plagas.

Los compuestos y composiciones de la presente descripción son, por consiguiente, agronómicamente útiles para proteger

cultivos de campo contra plagas de invertebrados fitófagos y también, desde un punto de vista no agronómico, para proteger otros cultivos hortícolas y plantas contra plagas de invertebrados fitófagos. Esta utilidad incluye proteger los cultivos y otras plantas (es decir, tanto agronómicas como no agronómicas) que contienen material genético introducido mediante ingeniería genética (es decir, plantas transgénicas) o que se modificaron por mutagénesis para producir características favorables. Algunos ejemplos de esas características incluyen la tolerancia a los herbicidas, la resistencia a las plagas fitófagas (por ejemplo, insectos, ácaros, áfidos, arañas, nemátodos, caracoles, hongos patógenos de las plantas, bacterias y virus), mayor crecimiento vegetal, mayor tolerancia a las condiciones adversas para el crecimiento tales como temperaturas altas o bajas, humedad del suelo alta o baja y salinidad alta, mayor floración o producción de frutos, mayores rendimientos de las cosechas, maduración más rápida, calidad y/o valor nutricional más alto del producto cosechado o mayores propiedades de almacenamiento o proceso de los productos cosechados. Las plantas transgénicas se pueden modificar para expresar múltiples características. Los ejemplos de plantas que contienen características proporcionadas por ingeniería genética o mutagénesis incluyen variedades de maíz, algodón, frijol de soja y papa que expresan una toxina insecticida de *Bacillus*

thuringiensis, tal como YIELD GARD®, KNOCKOUT®, STARLINK®, BOLLGARD®, NuCOTN®y NEWLEAF®, y variedades tolerantes a los herbicidas de maíz, algodón, frijol de soya y semilla de colza, tales como ROUNDUP READY®, LIBERTY LINK®, IMI®, STS® y CLEARFIELD®, así como también cultivos que expresan *N*-acetiltransferasa (GAT) para proporcionar resistencia a herbicidas de glifosato, o cultivos que contienen el gen HRA que proporciona resistencia a herbicidas que inhiben la producción de la enzima acetolacto-sintetasa (ALS). Los compuestos y composiciones de la presente pueden interactuar sinérgicamente con características introducidas por ingeniería genética o modificadas por mutagénesis y así mejorar la expresión o efectividad fenotípica de las características o aumentar la eficacia de los compuestos y composiciones de la presente para controlar plagas de invertebrados. En particular, los compuestos y composiciones de la presente pueden interactuar sinérgicamente con la expresión fenotípica de proteínas u otros tóxicos de productos naturales para plagas de invertebrados y proporcionar un mayor control por efectos que se combinan de manera aditiva para estas plagas.

Las composiciones de esta invención también pueden comprender, opcionalmente, nutrientes vegetales, por ejemplo, una composición fertilizante que comprende al menos un nutriente vegetal seleccionado de nitrógeno, fósforo, potasio, azufre, calcio, magnesio, hierro, cobre, boro, manganeso, zinc

y molibdeno. Se destacan las composiciones que comprenden al menos una composición fertilizante que comprende al menos un nutriente vegetal seleccionado de nitrógeno, fósforo, potasio, azufre, calcio y magnesio. Las composiciones de la presente invención que también comprenden al menos un nutriente vegetal pueden estar en la forma de líquidos o sólidos. Son de interés las formulaciones sólidas en la forma de gránulos, barras o tabletas pequeñas. Las formulaciones sólidas que comprenden una composición fertilizante pueden prepararse mediante el mezclado del compuesto o la composición de la presente invención con la composición fertilizante junto con los ingredientes de formulación y la posterior preparación de la formulación mediante métodos tales como granulación o extrusión. Alternativamente, las formulaciones sólidas pueden prepararse mediante el rociado de una solución o suspensión de un compuesto o composición de la presente invención en un disolvente volátil sobre una composición fertilizante preparada previamente en la forma de mezclas dimensionalmente estables, por ejemplo, gránulos, palitos pequeños o tabletas, y luego por la evaporación del disolvente.

Los ejemplos de plagas agronómicas o no agronómicas de invertebrados incluyen huevos, larvas y adultos del orden Lepidoptera, tales como orugas militares, gusanos cortadores, orugas medidoras y heliotinas de la familia Noctuidae (por ejemplo, barrenador rosado del tallo (*Sesamia inferens*

Walker), barrenador del maíz (*Sesamia nonagrioides* Lefebvre), gusano soldado sureño (*Spodoptera eridania* Cramer), gusano cogollero (*Spodoptera fugiperda* J. E. Smith), gusano soldado del betabel (*Spodoptera exigua* Hübner), oruga de la hoja del algodonero (*Spodoptera littoralis* Boisduval), gusano soldado de manchas amarillas (*Spodoptera ornithogalli* Guenée), gusano trozador (*Agrotis ipsilon* Hufnagel), oruga de las leguminosas (*Anticarsia gemmatalis* Hübner), gusano verde del fruto (*Lithophane antennata* Walker), gusano de la col (*Barathra brassicae* Linnaeus), falso medidor de la soya (*Pseudoplusia includens* Walker), gusano falso medidor de la col (*Trichoplusia ni* Hübner), gusano bellotero del algodonero (*Heliothis virescens* Fabricius)); barrenadores, taladrillos, gusanos tejedores, gusanos de las bellotas del pino, orugas del col y gusanos esqueletizadores de la familia Pyralidae (por ejemplo, taladro europeo del maíz (*Ostrinia nubilalis* Hübner), gusano de la naranja de California (*Amyelois transitella* Walker), oruga tejedora de la raíz del maíz (*Crambus caliginosellus* Clemens), gusanos del césped (Pirálidos: *Crambinae*) tales como gusano blanco (*Herpetogramma licarsisalis* Walker), barrenador del tallo de la caña de azúcar (*Chilo infuscatellus* Snellen), barrenador del fruto del tomate (*Neoleucinodes elegantalis* Guenée), gusano verde enrollador de la hoja (*Cnaphalocerus medinalis*), gusano enrollador de la uva (*Desmia funeralis* Hübner), gusano

del melón (*Diaphania nitidalis* Stoll), larva del corazón de la col (*Helluala hydralis* Guenée), barrenador amarillo del tallo (*Scirpophaga incertulas* Walker), taladrador de primeros brotes (*Scirpophaga infuscatellus* Snellen), taladrador blanco de tallo (*Scirpophaga innotata* Walker), taladrador de brotes superiores (*Scirpophaga nivella* Fabricius), barrenador de cabeza negra del tallo del arroz (*Chilo polychrysus* Meyrick), gusano de la cabeza de la col (*Crocidolomia binotalis* English)); enrolladores de hojas, gusanos del capullo, gusanos de semilla y gusanos de frutos de la familia Tortricidae (por ejemplo, gusano de la pera y la manzana (*Cydia pomonella* Linnaeus), palomilla de la vid (*Endopiza viteana* Clemens), palomilla oriental de la fruta (*Grapholita molesta* Busck), polilla falsa de los cítricos (*Cryptophlebia leucotreta* Meyrick), taladrador de cítricos (*Ecdytolopha aurantiana* Lima), enrollador de hojas de bandas rojas (*Argyrotaenia velutinana* Walker), enrollador de hojas de bandas oblicuas (*Choristoneura rosaceana* Harris), polilla de la manzana (*Epiphyas postvittana* Walker), polilla de bayas de la uva europea (*Eupoecilia ambiguella* Hübner), polilla de brotes de la manzana (*Pandemis pyrusana* Kearfott), enrollador de hojas omnívoro (*Platynota stultana* Walsingham), tortrícido de la corteza de los frutales (*Pandemis cerasana* Hübner), tortrícido marrón de la manzana (*Pandemis heparana* Denis & Schiffermüller)); y muchos otros lepidópteros económicamente

importantes (por ejemplo, polilla de dorso de diamante (*Plutella xylostella* Linnaeus), oruga rosada (*Pectinophora gossypiella* Saunders), polilla gitana (*Lymantria dispar* Linnaeus), barrenador del fruto del melocotonero (*Carposina niponensis* Walsingham), minadora del melocotonero (*Anarsia lineatella* Zeller), palomilla de la papa (*Phthorimaea operculella* Zeller), minador moteado teniforme (*Lithocolletis blancardella* Fabricius), minador asiático de las hojas del manzano (*Lithocolletis ringoniella* Matsumura), enrollador de hojas del arroz (*Lerodea eufala* Edwards), minador de hojas del manzano (*Leucoptera scitella* Zeller)); huevos, ninfas y adultos del orden Blattodea, que incluye cucarachas de las familias Blattellidae y Blattidae (por ejemplo, cucaracha común o negra (*Blatta orientalis* Linnaeus), cucaracha asiática (*Blatella asahinai* Mizukubo), cucaracha alemana (*Blattella germanica* Linnaeus), cucaracha de banda café (*Supella longipalpa* Fabricius), cucaracha americana o voladora (*Periplaneta americana* Linnaeus), cucaracha café (*Periplaneta brunnea* Burmeister), cucaracha de Madeira (*Leucophaea maderae* Fabricius)), cucaracha marrón-ahumada (*Periplaneta fuliginosa* Service), cucaracha australiana (*Periplaneta australasiae* Fabr.), cucaracha langosta (*Nauphoeta cinerea* Olivier) y cucaracha adaptada a la vida subterránea (*Symploce pallens* Stephens)); huevos, larvas y adultos que se alimentan de las hojas, de las frutas, de las

raíces, de las semillas y del tejido vesicular del orden Coleoptera, que incluyen gorgojos de las familias Anthribidae, Bruchidae, y Curculionidae (por ejemplo, picudo del algodón (*Anthonomus grandis* Boheman), gorgojo acuático del arroz (*Lissorhoptrus oryzophilus* Kuschel), gorgojo del trigo (*Sitophilus granarius* Linnaeus), gorgojo del arroz (*Sitophilus oryzae* Linnaeus)), mala hierba de pasto azul anual (*Listronotus maculicollis* Dietz), picudo de pasto azul (*Sphenophorus parvulus* Gyllenhal), picudo de los céspedes (*Sphenophorus venatus vestitus*), picudo de Denver (*Sphenophorus cicatristriatus* Fahraeus)); pulguillas americanas, escarabajos del pepino, gusanos de la raíz, escarabajos de las hojas, escarabajos de la patata y minadores de hojas de la familia Chrysomelidae (por ejemplo, escarabajo de patata de Colorado (*Leptinotarsa decemlineata* Say), crisomela del maíz (WCR) (*Diabrotica virgifera virgifera* LeConte)); escarabideos y otros escarabajos de la familia Scarabaeidae (por ejemplo, escarabajo japonés (*Popillia japonica* Newman), escarabajo oriental (*Anomala orientalis* Waterhouse, *Exomala orientalis* (Waterhouse) Baraud), gusano blanco del norte (*Cyclocephala borealis* Arrow), gusano blanco del sur (*Cyclocephala immaculata* Olivier o *C. lurida* Bland), escarabajo coprófago y escarabedidos (Aphodius spp.), escarabajo negro del césped del género Ataenius (*Ataenius spretulus* Haldeman), mayate o

escarabajo de mayo (*Cotinis nitida* Linnaeus), escarabajo asiático de jardín (*Maladera castanea* Arrow), escarabajos de mayo y junio (*Phyllophaga* spp.) y escarabidos europeos (*Rhizotrogus majalis* Razoumowsky)); escarabajos de las alfombras de la familia Dermestidae; gusanos alambre de la familia Elateridae; escarabajos o escolítidos de la corteza de la familia Scolytidae y escarabajos de la harina de la familia Tenebrionidae.

Adicionalmente, las plagas agronómicas y no agronómicas incluyen: huevos, adultos y larvas del orden Dermaptera, que incluyen tijerillas de la familia Forficulidae (por ejemplo, tijerilla europea (*Forficula auricularia* Linnaeus), tijerilla negra (*Chelisoches morio* Fabricius)); huevos, inmaduros, adultos y ninfas de los órdenes Hemiptera y Homoptera, tales como chinches de las plantas de la familia Miridae, cigarras o chicharras de la familia Cicadidae, insectos saltadores (por ejemplo, *Empoasca* spp.) de la familia Cicadellidae, chinches de cama (por ejemplo, *Cimex lectularius* Linnaeus) de la familia Cimicidae, saltadores de plantas de las familias Fulgoroidae y Delphacidae, saltadores de árboles de la familia Membracidae, psílidos de la familia Psyllidae, moscas blancas de la familia Aleyrodidae, áfidos de la familia Aphididae, filoxeras de la familia Phylloxeridae, chinches harinosas de la familia Pseudococcidae, escamas de las familias Coccidae, Diaspididae y Margarodidae, insectos de encaje de la familia Tingidae,

chinches apestosas o hediondas de la familia Pentatomidae, chinches (por ejemplo, chinche de las raíces (*Blissus leucopterus hirtus* Montandon) y chinche del pasto (*Blissus insularis* Barber)) y otros chinches de semillas de la familia Lygaeidae, salivazos o mosca pinta de la familia Cercopidae, insectos de la calabaza de la familia Coreidae y chinches rojas y manchadores del algodón de la familia Pyrrhocoridae.

Las plagas agronómicas y no agronómicas incluyen, además: huevos, larvas, ninfas y adultos del orden Acari (ácaros), tales como ácaros araña y ácaros rojos de la familia Tetranychidae (por ejemplo, ácaros rojos europeos (*Panonychus ulmi* Koch), ácaro araña manchado (*Tetranychus urticae* Koch), ácaro McDaniel (*Tetranychus mcdanieli* McGregor)); ácaros planos de la familia Tenuipalpidae (por ejemplo, ácaro plano de los cítricos (*Brevipalpus lewisi* McGregor)); ácaros de las yemas y de la erinosis de la familia Eriophyidae y otros ácaros folífagos y ácaros importantes para la salud de ser humano y de los animales, es decir, ácaros del polvo de la familia Epidermoptidae, ácaros foliculares de la familia Demodicidae, ácaros de los grano de la familia Glycyphagidae; garrapatas de la familia Ixodidae, comúnmente llamadas garrapatas duras (por ejemplo, garrapata de pata negra o de los ciervos (*Ixodes scapularis* Say), garrapata de Australia que produce parálisis (*Ixodes holocyclus* Neumann), garrapata americana del perro

(*Dermacentor variabilis* Say), garrapata estrella solitaria (*Amblyomma americanum* Linnaeus)) y garrapatas de la familia Argasidae, comúnmente llamadas garrapatas suaves (por ejemplo, garrapata que produce fiebre recurrente (*Ornithodoros turicata*), garrapata común de las aves de corral (*Argas radiatus*)); ácaros de la sarna de las familias Psoroptidae, Pyemotidae, y Sarcoptidae; huevos, adultos e inmaduros del orden Orthoptera, que incluyen saltamontes, langostas y grillos (por ejemplo, saltamontes migratorios (por ejemplo, *Melanoplus sanguinipes* Fabricius, *M. differentialis* Thomas), saltamontes americanos (por ejemplo, *Schistocerca americana* Drury), langosta del desierto (*Schistocerca gregaria* Forskal), langosta migratoria (*Locusta migratoria* Linnaeus), langosta de arbustos (*Zonocerus* spp.), grillo doméstico (*Acheta domesticus* Linnaeus), grillos topo (por ejemplo, grillo topo leonado (*Scapteriscus vicinus* Scudder) y grillo topo del sur (*Scapteriscus borellii* Giglio-Tos)); huevos, adultos e inmaduros del orden Diptera, que incluyen minadores de hojas (por ejemplo, *Liriomyza* spp. tales como el minador serpentina de la hoja (*Liriomyza sativae* Blanchard)), mosquitos, moscas de la fruta (Tephritidae), moscas "frit" (por ejemplo, *Oscinella frit* Linnaeus), moscas del suelo o del sustrato, moscas domésticas (por ejemplo, *Musca domestica* Linnaeus), moscas domésticas menores (por ejemplo, *Fannia canicularis* Linnaeus, *F.*

femoralis Stein), moscas estables (por ejemplo, *Stomoxys calcitrans* Linnaeus), moscas faciales, moscas de los cuernos, moscas de la muerte (por ejemplo, *Chrysomya* spp., *Phormia* spp.) y otras plagas de moscas muscoides, mosca del caballo (por ejemplo, *Tabanus* spp.), colmoyotes (por ejemplo, *Gastrophilus* spp., *Oestrus* spp.), tórsalos o gusanos blancos del ganado (por ejemplo, *Hypoderma* spp.), mosca del ciervo (por ejemplo, *Chrysops* spp.), mosca o piojo de la oveja (por ejemplo, *Melophagus ovinus* Linnaeus) y otros braquíceros, mosquitos (por ejemplo, *Aedes* spp., *Anopheles* spp., *Culex* spp.), moscas negras (por ejemplo, *Prosimulium* spp., *Simulium* spp.), jejenes, mosquitos simúlidos, ciáridos y otros nematóceros; huevos, adultos e inmaduros del orden Thysanoptera, que incluyen trips de la cebolla (*Thrips tabaci* Lindeman), trips de las flores (*Frankliniella* spp.) y otros trips que se alimentan de las hojas; plagas de insectos del orden Hymenoptera, que incluyen hormigas de la familia Formicidae, que incluyen la hormiga carpintero de Florida (*Camponotus floridanus* Buckley), hormiga carpintera roja (*Camponotus ferrugineus* Fabricius), hormiga carpintera negra (*Camponotus pennsylvanicus* De Geer), hormiga de pie blanco (*Technomyrmex albipes* fr. Smith), hormigas cabezonas (*Pheidole* sp.), hormigas fantasma (*Tapinoma melanocephalum* Fabricius); hormiga faraona (*Monomorium pharaonis* Linnaeus), hormiga de fuego pequeña u hormiga colorada (*Wasmannia*

auropunctata Roger), hormiga de fuego (*Solenopsis geminata* Fabricius), hormiga de fuego roja importada (*Solenopsis invicta* Buren), hormiga argentina (*Iridomyrmex humilis* Mayr), hormiga loca (*Paratrechina longicornis* Latreille), hormiga del pavimento (*Tetramorium caespitum* Linnaeus), hormiga del maizal (*Lasius alienus* Förster) y hormiga olorosa doméstica (*Tapinoma sessile* Say). Otros himenópteros que incluyen abejas (que incluyen abejas carpintero), avispones, avispas de chaqueta amarilla, avispas y moscas de sierra (*Neodiprion* spp.; *Cephus* spp.); plagas de insectos del orden Isoptera, que incluyen termitas de las familias Termitidae (por ejemplo, *Macrotermes* sp., *Odontotermes obesus* Rambur), Kalotermitidae (por ejemplo, *Cryptotermes* sp.) y Rhinotermitidae (por ejemplo, *Reticulitermes* sp., *Coptotermes* sp., *Heterotermes tenuis* Hagen), la termita subterránea oriental (*Reticulitermes flavipes* Kollar), termita subterránea occidental (*Reticulitermes hesperus* Banks), termita subterránea de Formosa (*Coptotermes formosanus* Shiraki), termita de la madera seca de las Indias Occidentales (*Incisitermes immigrans* Snyder), termita de los muebles (*Cryptotermes brevis* Walker), termita de la madera seca (*Incisitermes snyderi* Light), termita subterránea sudoriental (*Reticulitermes virginicus* Banks), termita de la madera seca occidental (*Incisitermes minor* Hagen), termitas arbóreas, tales como *Nasutitermes* sp. y otras termitas de

importancia económica; plagas de insectos del orden Thysanura, tales como pececillo de plata (*Lepisma saccharina* Linnaeus) e insecto de fuego (*Thermobia domestica* Packard); plagas de insectos del orden Mallophaga e incluyen el piojo de la cabeza (*Pediculus humanus capitis* De Geer), piojo del cuerpo (*Pediculus humanus* Linnaeus), piojo del cuerpo de la gallina (*Menacanthus stramineus* Nitszch), piojo mordedor del perro (*Trichodectes canis* De Geer), piojo del plumón (*Goniocotes gallinae* De Geer), piojo del cuerpo de la oveja (*Bovicola ovis* Schrank), piojo de nariz corta del ganado (*Haematopinus eurysternus* Nitzsch), piojo de nariz larga del ganado (*Linognathus vituli* Linnaeus) y otros piojos parasitarios succionadores y masticadores que atacan hombres y animales; plagas de insectos del orden Siphonoptera, que incluyen la pulga de rata (*Xenopsylla cheopis* Rothschild), pulga de gato (*Ctenocephalides felis* Bouche), pulga de perro (*Ctenocephalides canis* Curtis), pulga de gallina (*Ceratophyllus gallinae* Schrank), pulga pegajosa de las aves (*Echidnophaga gallinacea* Westwood), pulga del ser humano (*Pulex irritans* Linnaeus) y otras pulgas que afectan mamíferos y aves. Las plagas adicionales de artrópodos cubiertos incluyen: arañas en el orden Araneae, tales como la araña café o violinista (*Loxosceles reclusa* Gertsch & Mulaik) y la araña viuda negra (*Latrodectus mactans* Fabricius) y

centípedos en el orden Scutigeromorpha, tales como el ciempiés doméstico (*Scutigera coleoptrata* Linnaeus).

Los ejemplos de plagas de invertebrados de los granos almacenados incluyen el barrenador mayor de los granos (*Prostephanus* truncatus), el barrenador menor de los granos (*Rhyzopertha dominica*), el gorgojo del arrôz (*Stiophilus oryzae*), el gorgojo del maíz (*Stiophilus zeamais*), el gorgojo del garbanzo (*Callosobruchus maculatus*), el escarabajo rojo de la harina (*Tribolium castaneum*), el gorgojo del trigo (*Stiophilus granarius*), la polilla India de la harina (*Plodia interpunctella*), el escarabajo de la harina del Mediterráneo (*Ephestia kuhniella*) y el escarabajo chato ferruginoso de los granos (*Cryptolestis ferrugineus*).

Los compuestos de la invención muestran, particularmente, una actividad alta contra las plagas en el orden Lepidoptera (por ejemplo, *Alabama argillacea* Hübner (oruga de la hoja del algodón), *Archips argyrospila* Walker (enrollador de hojas de los frutos), *A. rosana* Linnaeus (enrollador de hojas europeo) y otras especies *Archips*, *Chilo suppressalis* Walker (barrenador del tallo del arroz), *Cnaphalocrosis medinalis* Guenée (enrollador de hojas de arroz), *Crambus caliginosellus* Clemens (oruga tejedora de la raíz del maíz), *Crambus teterrellus* Zincken (gusanos tejedores del pasto azul), *Cydia pomonella* Linnaeus (gusano de la pera y la manzana), *Earias insulana* Boisduval (oruga espinosa del algodonero), *Earias*

vittella Fabricius (gusano moteado), *Helicoverpa armigera* Hübner (gusano americano), *Helicoverpa zea* Boddie (gusano de la mazorca), *Heliothis virescens* Fabricius (gusano bellotero del algodonero), *Herpetogramma licarsisalis* Walker (palomilla), *Lobesia botrana* Denis & Schiffermüller (palomilla de la vid), *Pectinophora gossypiella* Saunders (oruga rosada), *Phillocnistis citrella* Stainton (minador de cítricos), *Pieris brassicae* Linnaeus (mariposa blanca grande de la col), *Pieris rapae* Linnaeus (mariposa blanca pequeña de la col), *Plutella xilostella* Linnaeus (polilla de dorso de diamante), *Spodoptera exigua* Hübner (gusano soldado del betabel), *Spodoptera litura* Fabricius (gusano gris del tabaco, gusano medidor del algodonero), *Spodoptera frugiperda* J. E. Smith (gusano cogollero), *Trichoplusia ni* Hübner (gusano falso medidor de la col) y *Tuta absoluta* Meyrick (polilla minadora del tomate)).

Los compuestos de la invención tienen, además, una actividad significativa en los miembros del orden Homoptera que incluyen: *Acyrthosiphon pisum* Harris (pulgón del chícharo*Aphis craccivora* Koch (pulgón negro de las leguminosas), *Aphis fabae* Scopoli (pulgón negro del frijol), *Aphis gossypii Glover (pulgón del algodón,* pulgón del melón), *Aphis pomi* De Geer (pulgón del manzano), *Aphis spiraecola* Patch (pulgón verde de los cítricos), *Aulacorthum solani* Kaltenbach (pulgón de la patata), *Chaetosiphon fragaefolii* Cockerell (pulgón de la frutilla), *Diuraphis noxia*

Kurdjumov/Mordvilko (pulgón ruso del trigo), *Dysaphis plantaginea* Paaserini (pulgón ceninciento del manzano), *Eriosoma lanigerum* Hausmann (pulgón *lanígero del manzano), Hyalopterus pruni* Geoffroy (pulgón harinoso de la ciruela), *Lipaphis erysimi* Kaltenbach (pulgón verde de la col), *Metopolophium dirrhodum* Walker (pulgón de los cereales), *Macrosiphum euphorbiae* Thomas (pulgón de la papa), *Myzus persicae* Sulzer (pulgón del melocotón-patata, pulgón verde del melocotonero), *Nasonovia ribisnigri* Mosley (pulgón de la lechuga), *Pemphigus* spp. (pulgones rizófagos y áfidos *formadores de agallas), Rhopalosiphum maidis* Fitch (pulgón del maíz), Rhopalosiphum padi Linnaeus (pulgón del tallo o negrilla), *Schizaphis graminum* Rondani (pulgón verde de los cereales), *Sitobion avenae* Fabricius (pulgón verde oscuro de la espiga), *Therioaphis maculata* Buckton (pulgón manchado de la alfalfa), *Toxoptera aurantii* Boyer de Fonscolombe (pulgón negro de los cítricos), y *Toxoptera citricida* Kirkaldy (pulgón café de los cítricos); *Adelges* spp. (adelgids); *Philloxera devastatrix* Pergande (filoxera del nogal americano); *Bemisia tabaci* Gennadius (mosca blanca del tabaco, mosca blanca del camote), *Bemisia argentifolii* Bellows & Perring (mosca blanca de la hoja plateada), *Dialeurodes citri* Ashmead (mosca blanca de los cítricos) y *Trialeurodes vaporariorum* Westwood (mosca blanca de los invernaderos); *Empoasca fabae* Harris (saltador de la patata),

Laodelphax striatellus Fallen (pequeño saltador de plantas marrón), *Macrolestes quadrilineatus* Forbes (saltador del áster), *Nephotettix cinticeps* Uhler (saltador verde), *Nephotettix nigropictus* Stål (saltador del arroz), *Nilaparvata lugens* Stål (saltador de plantas marrón), *Peregrinus maidis* Ashmead (saltador de la planta del maíz), *Sogatella furcifera* Horvath (saltador de lomo blanco), *Sogatodes orizicola Muir (delfácido del arroz), Typhlocyba pomaria* McAtee chicharrita blanca del manzano, *Erythroneoura* spp. (chicharritas de la vid); *Magicidada septendecim* Linnaeus (cigarra periódica); *Icerya purchasi* Maskell (escama algodonosa), *Quadraspidiotus perniciosus* Comstock (escama de San Jose); *Planococcus citri* Risso (piojo harinoso de los cítricos); *Pseudococcus* spp. (otro complejo de chinches harinosas); *Cacopsylla piricola* Foerster (psílido del peral), *Trioza diospiri* Ashmead (psílido del caqui).

Los compuestos de la presente invención pueden tener, además, actividad sobre los miembros del orden Hemiptera que incluyen: *Acrosternum hilare* Say (chinche apestosa verde), *Anasa tristis* De Geer (chinche de la calabaza), *Blissus leucopterus leucopterus* Say (chinche), *Cimex lectularius* Linnaeus (chinches de cama) *Corythuca gossypii* Fabricius (chinche de encaje del algodonero), *Cyrtopeltis modesta* Distant (chinche del tomate), *Dysdercus suturellus* Herrich-Schäffer (manchadores de la fibra del *algodón), Euchistus*

servus Say (chinche apestosa café), *Euchistus variolarius* Palisot de Beauvois (chinche apestosa manchada), *Graptosthetus* spp. (complejo de chinches de semillas), *Leptoglossus corculus* Say (insecto de la semilla del pie de la hoja del pino), *Lygus lineolaris* Palisot de Beauvois (chinche manchadora), *Nezara viridula* Linnaeus (chinche verde), *Oebalus pugnax* Fabricius (chinche apestosa del arroz), *Oncopeltus fasciatus* Dallas (chinche grande del algodón de seda), *Pseudatomoscelis seriatus* Reuter (pulga saltona del algodonero). Otros órdenes de insectos controlados por los compuestos de la invención incluyen los Thysanoptera (por ejemplo, *Frankliniella occidentalis* Pergande (trips occidental de las flores), *Scirthothrips citri* Moulton (trips de los cítricos), *Sericothrips variabilis* Beach (trips del frijol de soya) y *Thrips tabaci* Lindeman (trips de la cebolla); y el orden Coleoptera (por ejemplo, *Leptinotarsa decemlineata* Say (escarabajo de patata de Colorado), *Epilachna varivestis* Mulsant (escarabajo mexicano del frijol) y gusanos alambre de los géneros *Agriotes*, *Athous* o *Limonius*).

Los compuestos de la presente invención tienen, además, actividad sobre los miembros de las clases Nematoda, Cestoda, Trematoda, y Acanthocephala, que incluyen miembros económicamente importantes de los órdenes Strongylida, Ascaridida, Oxyurida, Rhabditida, Spirurida, y Enoplida, tales como, pero sin limitarse a, plagas agrícolas

económicamente importantes (es decir, nemátodos agalladores de raíces en el género *Meloidogyne*, nemátodos que causan lesiones del género *Pratylenchus*, nemátodos de la raíz tocón en el género *Trichodorus*, etc.) y plagas que afectan la salud de animales y humanos (es decir, todos tremátodos, tenias y ascárides económicamente importantes, tales como *Strongylus vulgaris* en caballos, *Toxocara canis* en perros, *Haemonchus contortus* en ovejas, *Dirofilaria immitis* Leidy en perros, *Anoplocephala perfoliata* en caballos, *Fasciola hepatica* Linnaeus en rumiantes, etc.).

Obsérvese que algunos sistemas de clasificación contemporáneos sitúan a los homópteros como un suborden dentro del orden Hemiptera.

Destaca el uso de compuestos de la presente invención para controlar el saltador de la patata (*Empoasca fabae*). Destaca el uso de los compuestos de la presente invención para controlar el saltador de la planta del maíz (*Peregrinus maidis*). Destaca el uso de compuestos de esta invención para controlar el áfido algodonoso del melón (*Aphis gossypii*). Destaca el uso de compuestos de esta invención para controlar el pulgón verde del melocotonero (*Myzus persicae*). Destaca el uso de compuestos de esta invención para controlar la polilla de dorso de diamante (*Plutella xylostella*). Destaca el uso de compuestos de esta invención para controlar el gusano cogollero (*Spodoptera frugiperda*).

Destaca el uso de los compuestos de la presente invención para controlar el chinche verde (*Nezara viridula*), chinche manchadora occidental (*Lygus hesperus*), gorgojo acuático del arroz (*Lissorhoptrus oryzophilus*), saltador marrón del arroz (*Nilaparvata lugens*), saltador verde del arroz (*Nephotettix virescens*) y barrenador rayado del arroz (*Chilo suppressalis*).

Los compuestos de esta invención también pueden mezclarse con uno o más compuestos o agentes biológicamente activos que incluyen insecticidas, fungicidas, nematocidas, bactericidas, acaricidas, herbicidas, protectores de herbicidas, reguladores del crecimiento, tales como inhibidores de muda de los insectos y estimulantes radiculares, quimioesterilizantes, semioquímicos, repelentes, atrayentes, feromonas, estimulantes de la alimentación, bacterias, virus u hongos entomopatogénicos u otros compuestos biológicamente activos para formar un pesticida multicomponente que ofrece un espectro aún más amplio de utilidad agronómica y no agronómica. Por lo tanto, la presente invención se refiere, además, a una composición que comprende una cantidad con eficacia biológica de un compuesto de la Fórmula **1**, un *N*-óxido o sal de este, por lo menos un componente adicional seleccionado del grupo que consiste de surfactantes, diluyentes sólidos y diluyentes líquidos y por lo menos un compuesto o agente adicional biológicamente activo. Para mezclas de la presente invención, el otro compuesto o agente

biológicamente activo puede formularse junto con los compuestos de la presente, que incluyen los compuestos de Fórmula **1**, para formar una premezcla, o el otro compuesto o agente biológicamente activo puede formularse separadamente de los compuestos de la presente, que incluyen los compuestos de Fórmula **1**, y las dos formulaciones pueden combinarse entre sí antes de la aplicación (por ejemplo, en un tanque de rociado) o, alternativamente, aplicarse secuencialmente.

Los ejemplos de esos compuestos o agentes biológicamente activos con los cuales los compuestos de esta invención se pueden formular son los insecticidas tales como abamectina, acefato, acequinocil, acetamiprid, acrinatrina, amidoflumet, amitraz, avermectina, azadiractina, metil azinfos, bensultap, bifentrina, bifenazato, bistrifluron, borato, buprofezin, cadusafos, carbarilo, carbofurano, cartap, carzol, clorantraniliprol, clorfenapir, clorfluazuron, clorpirifos, clorpirifos-metilo, cromafenozida, clofentezina, clotianidina, ciantraniliprol, ciflumetofeno, ciflutrina, beta-ciflutrina, cihalotrina, gamma-cihalotrina, lambda-cihalotrina, cipermetrina, alfa-cipermetrina, zeta-cipermetrina, ciromazina, deltametrina, diafentiurón, diazinon, dieldrina, diflubenzurón, dimeflutrina, dimehipo, dimetoato, dinotefurán, diofenolán, emamectina, ensodulfán, esfenvalerato, etiprol, etofenprox, etoxazol, óxido de fenbutatina, fenotiocarb, fenoxicarb, fenpropatrina, fenvaleriato, fipronil, flonicamid,

flubendiamida, flucitrinato, flufenerim, flufenoxurón, fluvalinato, tau-fluvalinato, fonofós, formetanato, fostiazato, halofenozida, hexaflumurón, hexitiazox, hidrametilnon, imidacloprid, indoxacarb, jabones insecticidas, isofenfos, lufenuron, malatión, meperflutrina, metaflumizona, metaldehído, metamidofos, metidation, metiodicarb, metomilo, metopreno, metoxiclor, metoflutrina, monocrotofós, metoxifenozida, nitenpiram, nitiazina, novalurón, noviflumurón, oxami, paration, paration-metilo, permetrina, forato, fosalona, fosmet, fosfamidón, pirimicarb, profenofos, proflutrina, propargita, protrifenbuto, pimetrozina, pirafluprol, piretrina, piridaben, piridalil, pirifluquinazon, piriprol, piriproxifeno, rotenona, rianodina, espinetoram, espinosad, espirodiclofen, espiromesifen, espirotetramat, sulprofos, sulfoxaflor, tebufenozida, tebufenpirad, teflubenzuron, teflutrina, terbufos, tetraclorvinfos, tetrametrina, tetrametilflutrina, tiacloprid, tiametoxam, tiodicarb, tiosultap-sodio, tolfenpirad, tralometrina, triazamato, triclorfon, triflumurón, *Bacillus thuringiensis* delta-endotoxinas, bacteria entomopatogénica, virus entomopatogénicos y hongos entomopatogénicos.

Se destacan los insecticidas tales como abamectina, acetamiprida, acrinatrina, amitraz, avermectina, azadiractina, bensultap, bifentrina, buprofezina, cadusafos, carbarilo, cartap, clorantraniliprol, clorfenapir,

clorpirifos, clotianidina, ciantraniliprol, ciflutrina, beta-ciflutrina, cihalotrina, gamma-cihalotrina, lambda-cihalotrina, cipermetrina, alfa-cipermetrina, zeta-cipermetrina, ciromacina, deltametrina, dieldrina, dinotefurano, diofenolano, emamectina, endosulfano, esfenvalerato, etiprol, etofenprox, etoxazol, fenotiocarb, fenoxicarb, fenvaleriato, fipronil, flonicamida, flubendiamida, flufenoxurón, fluvalinato, formetanato, fostiazato, hexaflumurón, hidrametilnón, imidacloprid, indoxacarb, lufenurón, metaflumizona, metiodicarb, metomilo, metopreno, metoxifenozida, nitenpiram, nitiazina, novalurona, oxamil, pimetrozina, piretrina, piridabén, piridalilo, piriproxifén, rianodina, espinetoram, espinosad, espirodiclofeno, espiromesifeno, espirotetramato, tebufenozida, tetrametrina, tiacloprid, tiametoxán, tiodicarb, tiosultap-sodio, tralometrina, triazamato, triflumurón, delta-endotoxinas de *Bacillus thuringiensis*, todas las cepas de *Bacillus thuringiensis y todas* las cepas de los virus de la *polihidrosis nuclear*.

Una modalidad de agentes biológicos para mezclar con los compuestos de la presente invención incluyen bacterias entomopatogénicas, tales como *Bacillus thuringiensis*, y las delta-endotoxinas encapsulas de *Bacillus thuringiensis*, tales como los bioinsecticidas MVP® y MVPII® preparados mediante el proceso CellCap® (CellCap®, MVP® y MVPII® son

marcas registradas de Mycogen Corporation, Indianapolis, Indiana, Estados Unidos); hongos entomopatogénicos, tales como el hongo de la muscardina verde; y virus entomopatogénicos (tanto de origen natural como modificados genéticamente), que incluyen baculovirus, virus nucleopolihedros (NPV), tales como nucleopolihedrovirus de *Helicoverpa zea* (HzNPV), *nucleopolihedrovirus de Anagrapha falcifera* (AfNPV); y virus de la granulosis (GV), tales como el virus de la granulosis *Cydia pomonella* (CpGV).

Se destaca una combinación en donde el otro ingrediente activo para controlar plagas de invertebrados pertenece a una clase química diferente o tiene un sitio de acción diferente al del compuesto de Fórmula **1**. En algunos casos, una combinación con al menos otro ingrediente activo de control de plagas invertebradas que tiene un espectro similar de control, pero un sitio de acción diferente, será especialmente ventajoso para regular la resistencia. De este modo, una composición de la presente invención también puede comprender una cantidad con eficacia biológica de al menos un ingrediente activo adicional para el control de plagas de invertebrados que tiene un espectro similar de control, pero que pertenece a una clase química diferente o que tiene un sitio de acción diferente. Estos compuestos o agentes adicionales biológicamente activos incluyen, pero no se limitan a, moduladores del canal de sodio, tales como

bifentrina, cipermetrina, cihalotrina, lambda-cihalotrina, ciflutrina, beta-ciflutrina, deltametrina, dimeflutrina, esfenvalerato, fenvaleriato, indoxacarb, metoflutrina, proflutrina, piretrina y tralometrina; inhibidores de colinesterasa, tales como clorpirifos, metomilo, oxamilo, tiodicarb y triazamato; neonicotinoides, tales como acetamiprida, clotianidina, dinotefurano, imidacloprida, nitenpiram, nitiacina, tiacloprid y tiametoxam; lactonas macrocíclicas insecticidas, tales como espinetoram, espinosad, abamectina, avermectina y emamectina; antagonistas de los canales de cloruro mediados por GABA (ácido γ-aminobutírico), tales como avermectina o bloqueadores, tales como etiprol y fipronil; inhibidores de la síntesis de quitina, tales como buprofezina, ciromacina, flufenoxurona, hexaflumurona, lufenurona, novalurona, noviflumurona y triflumurona; imitadores de la hormona juvenil, tales como diofenolano, fenoxicarb, metopreno y piriproxifeno; ligandos de los receptores de octopamina, tales como amitraz; inhibidores de muda y agonistas de ecdisona, tales como azadiractina, metoxifenozida y tebufenocida; ligandos de los receptores de rianodina, tales como rianodina, diamidas antranílicas, tales como clorantraniliprol, ciantraniliprol y flubendiamida; análogos de nereistoxina, tales como cartap; inhibidores del transporte de electrones en la mitocondria, tales como

clorfenapir, hidrametilnona y piridabeno; inhibidores de la síntesis de lípidos, tales como espirodiclofeno y espiromesifeno; insecticidas de ciclodieno, tales como dieldrina o endosulfano; piretroides; carbamatos; ureas insecticidas; y agentes biológicos, que incluyen virus de nucleopolihedro (NPV), miembros de *Bacillus thuringiensis*, delta-endotoxinas encapsuladas de *Bacillus thuringiensis*, y otros virus insecticidas de origen natural o modificados genéticamente.

Los ejemplos adicionales de compuestos o agentes biológicamente activos con los que se pueden formular los compuestos de la presente invención son: fungicidas, tales como 1-[4-[4-[5-(2,6-difluorofenil)-4,5-dihidro-3-isoxazolil]-2-tiazolil]-1-piperidinil]-2-[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]etanona, acibenzolar, aldimorf, amisulbrom, azaconazol, azoxistrobina, benalaxil, benomilo, bentiavalicarb, bentiavalicarb-isopropilo, binomial, bifenilo, bitertanol, blasticidina-S, caldo bordelés (sulfato tribásico de cobre), boscalid/nicobifeno, bromuconazol, bupirimato, butiobato, carboxina, carpropamid, captafol, captán, carbendazima, cloroneb, clorotalonil, clozolinato, clotrimazol, oxicloruro de cobre, sales de cobre, tales como sulfato de cobre e hidróxido de cobre, ciazofamida, ciflunamida, cimoxanil, ciproconazol, ciprodinilo, diclofluanida, diclocimet, diclomezina, diclorano, dietofencarb, difenoconazol, dimetomorf, dimoxistrobina,

diniconazol, diniconazol-M, dinocap, discostrobina, ditianona, dodemorf, dodina, econazol, etaconazol, edifenfos, epoxiconazol, etaboxam, etirimol, etridiazol, famoxadona, fenamidona, fenarimol, fenbuconazol, fencaramida, fenfuram, fenhexamida, fenoxanil, fenpiclonil, fenpropidina, fenpropimorf, acetato de fentina, hidróxido de fentina, ferbam, ferfurazoato, ferimzona, fluazinam, fludioxonil, flumetover, fluopicolida, fluoxastrobina, fluquinconazol, fluquinconazol, flusilazol, flusulfamida, flutolanil, flutriafol, fluxapiroxad, folpet, fosetil-aluminio, ftalida, fuberidazol, furalaxil, furametpir, hexaconazol, himexazol, guazatina, imazalil, imibenconazol, iminoctadina, iodicarb, ipconazol, iprobenfos, iprodiona, iprovalicarb, isoconazol, isoprotiolan, isotianil, kasugamicina, kresoxim-metilo, mancozeb, mandipropamida, maneb, mapanipirina, mefenoxam, mepronil, metalaxil, metconazol, metasulfocarb, metiram, metominostrobina/fenominostrobina, mepanipirim, metrafenona, miconazol, miclobutanilo, neo-asozina (metanoarsonato férrico), nuarimol, octilinona, ofurace, orisastrobina, oxadixil, ácido oxolínico, oxpoconazol, oxicarboxina, paclobutrazol, penconazol, pencicuron, penflufeno, pentiopirad, perfurazoato, ácido fosfónico, ftalida, picobenzamida, picoxistrobina, polioxina, probenazol, procloraz, procimidona, propamocarb, propamocarb-clorhidrato, propiconazol, propineb, proquinazida, protioconazol, piraclostrobina, pirametostrobina, piraoxistrobina, priazofos,

pirifenox, pirimetanil, pirifenox, piriofenona, pirolnitrina, piroquilona, quinconazol, quinoxifeno, quintoceno, siltiofam, simeconazol, espiroxamina, estreptomicina, azufre, tebuconazol, tebufloquina, tecraceno, tecloftalam, tecnaceno, tetraconazol, tiabendazol, tifluzamida, tiofanato, tiofanato-metilo, tiram, tiadinil, tolclofos-metilo, tolifluanida, triadimefona, triadimenol, triarimol, triazoxida, tridemorf, trimorfamida, triticonazol, trifloxistrobina, triforina, triticonazol, uniconazol, validamicina, valifenalato, vinclozolina, zineb, ziram y zoxamida; nematocidas tales como aldicarb, imiciafos, oxamil y fenamifos; bactericidas tales como estreptomicina; acaricidas, tales como amitraz, quinometionat, clorobenzilato, cihexatina, dicofol, dienoclor, etoxazol, fenazaquina, óxido de fenbutatina, fenpropatrina, fenpiroximato, hexitiazox, propargita, piridabeno y tebufenpirad.

Se destaca los fungicidas y las composiciones que comprenden fungicidas tales como 1-[4-[4-[5-(2,6-difluorofenil)-4,5-dihidro-3-isoxazolil]-2-tiazolil]-1-piperidinil]-2-[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]etanona, azoxiestrobina, hidróxido de cobre, cimoxanil, ciproconazol, difenoconazol, famoxadona, fenoxanil, ferimzona, flusilazol, flutolanil, ftalida, furametpir, hexaconazol, isoprotiolan, isotianil, kasugamicina, mancozeb, metominoestrobina, orisastrobina, pencicuron, pentiopirad, picoxistrobina, probenazol, propiconazol, proquinazid,

piroquilon, simeconazol, tiadinil, triticonazol, trifloxiestrobina y validamicina.

En algunos casos, las combinaciones de un compuesto de la presente invención con otro compuesto o agente biológicamente activo (particularmente, para el control de plagas de invertebrados) (es decir, ingredientes activos) pueden producir un efecto mayor que el efecto aditivo (es decir, sinérgico). Siempre es deseable reducir la cantidad de ingredientes activos liberados en el ambiente y al mismo tiempo asegurar un control eficaz de las plagas. Cuando el sinergismo de los ingredientes activos para el control de las plagas invertebradas se produce en concentraciones de aplicación que producen niveles agronómicamente satisfactorios de control de plagas invertebradas, dichas combinaciones pueden ser ventajosas para diminuir el costo de la producción de cultivos y reducir la carga ambiental.

Los compuestos, y las composiciones que los contienen, de esta invención pueden aplicarse a plantas modificadas genéticamente para expresar proteínas que son tóxicas para las plagas de invertebrados (tales como las delta endotoxinas de *Bacillus thuringiensis*). Una aplicación de este tipo puede proporcionar un espectro más amplio de protección de la planta y ser ventajosa para el manejo de la resistencia. El efecto de los compuestos de esta invención aplicados

exógenamente para el control de plagas de invertebrados puede ser sinérgico con las proteínas tóxicas expresadas.

Las referencias generales para estos protectores agrícolas (es decir, insecticidas, fungicidas, nematocidas, acaricidas, herbicidas y agentes biológicos) incluyen *The Pesticide Manual, 13.°* edición, C. D. S. Tomlin, Ed., British Crop Protection Council, Farnham, Surrey, Inglaterra, 2003 y "*The BioPesticide Manual*", 2.° *edición*, L. G. Copping, Ed., British Crop Protection Council, Farnham, Surrey, Reino Unido, 2001,

Para modalidades en donde se usa uno o más de estos diversos productos de mezcla, la relación en peso de estos productos de mezcla (en total) con respecto al compuesto de la Fórmula **1**, un *N*-óxido o sal de estos, se encuentra, típicamente, entre aproximadamente 1:3000 y aproximadamente 3000:1. Destacan las relaciones en peso entre aproximadamente 1:300 y aproximadamente 300:1 (por ejemplo, las relaciones entre aproximadamente 1:30 y aproximadamente 30:1). Una persona con experiencia en la técnica podrá determinar fácilmente mediante experimentación simple las cantidades biológicamente eficaces de ingredientes activos necesarios para el espectro deseado de actividad biológica. Es evidente que incluir estos componentes adicionales puede ampliar el espectro de las plagas de invertebrados controladas más allá del espectro controlado por el compuesto de Fórmula **1** por sí solo.

La Tabla A enumera combinaciones específicas de un compuesto de Fórmula **1** con otros agentes para el control de plagas de invertebrados ilustrativos de mezclas, composiciones y métodos de la presente invención. La primera columna de la Tabla A contiene los agentes específicos para el control de plagas invertebradas (por ejemplo, "Abamectina" en la primera línea). La segunda columna de la Tabla A contiene el modo de acción (si se conoce) o la clase química de los agentes para el control de plagas invertebradas. La tercera columna de la Tabla A enumera una o más modalidades de intervalos de relaciones en peso para concentraciones en las que un compuesto de Fórmula **1** se puede aplicar con respecto al agente para el control de plagas de invertebrados (por ejemplo, "de 50:1 a 1:50" de abamectina con respecto al compuesto de Fórmula **1** en peso). En consecuencia, por ejemplo, la primera línea de la Tabla A describe, específicamente, que la combinación de un compuesto de Fórmula **1** con abamectina puede aplicarse en una relación en peso de 50:1 a 1:50. Las demás líneas de la Tabla A deben interpretarse de manera similar. Se destaca, además, que la Tabla A enumera combinaciones específicas de un compuesto de Fórmula **1** con otros agentes para el control de plagas de invertebrados ilustrativos de mezclas, composiciones y métodos de la presente invención e

incluye otras modalidades de intervalos de relaciones en peso para concentraciones de aplicación.

Tabla A

Agente para el control de plagas de invertebrados	Modo de acción o clase química	Relación en peso Relación de peso
Abamectina	Lactonas macrocíclicas	50:1 a 1:50
Acetamiprida	Neonicotinoides	150:1 a 1:200
Amitraz	Ligandos de los receptores de octopamina	200:1 a 1:100
Avermectina	Lactonas macrocíclicas	50:1 a 1:50
Azadiractina	Agonistas de la ecdisona	100:1 a 1:120
Beta ciflutrina	Moduladores del canal de sodio	150:1 a 1:200
Bifentrina	Moduladores del canal de sodio	100:1 a 1:10
Buprofezina	Inhibidores de la síntesis de la quitina	500:1 a 1:50
Cartap	Análogos de la nereistoxina	100:1 a 1:200
Clorantraniliprol	Ligandos del receptor de rianodina	100:1 a 1:120

Clorfenapir	Inhibidores del transporte electrónico mitocondrial	300:1 a 1:200
Clorpirifos	Inhibidores de la colinesterasa	500:1 a 1:200
Clotianidina	Neonicotinoides	100:1 a 1:400
Ciantraniliprol	Ligandos del receptor de rianodina	100:1 a 1:120
Ciflutrina	Moduladores del canal de sodio	150:1 a 1:200
Cialotrina	Moduladores del canal de sodio	150:1 a 1:200
Cipermetrina	Moduladores del canal de sodio	150:1 a 1:200
Ciromacina	Inhibidores de la síntesis de la quitina	400:1 a 1:50
Deltametrina	Moduladores del canal de sodio	50:1 a 1:400
Dieldrina	Insecticidas de ciclodieno	200:1 a 1:100
Dinotefurano	Neonicotinoides	150:1 a 1:200
Diofenolán	Inhibidor de muda	150:1 a 1:200
Emamectina	Lactonas macrocíclicas	50:1 a 1:10

Endosulfán	Insecticidas de ciclodieno	200:1 a 1:100
Esfenvalerato	Moduladores del canal de sodio	100:1 a 1:400
Etiprol	Bloqueadores del canal de cloruro regulado por GABA	200:1 a 1:100
Fenotiocarb		150:1 a 1:200
Fenoxicarb	Imitadores de la hormona juvenil	500:1 a 1:100
Fenvalerato	Moduladores del canal de sodio	150:1 a 1:200
Fipronil	Bloqueadores del canal de cloruro regulado por GABA	150:1 a 1:100
Flonicamid		200:1 a 1:100
Flubendiamida	Ligandos del receptor de rianodina	100:1 a 1:120
Flufenoxurón	Inhibidores de la síntesis de la quitina	200:1 a 1:100
Hexaflumurón	Inhibidores de la síntesis de la quitina	300:1 a 1:50

Hidrametilnon	Inhibidores del transporte electrónico mitocondrial	150:1 a 1:250
Imidacloprid	Neonicotinoides	1000:1 a 1:1000
Indoxacarb	Moduladores del canal de sodio	200:1 a 1:50
Lambda cialotrina	Moduladores del canal de sodio	50:1 a 1:250
Lufenurón	Inhibidores de la síntesis de la quitina	500:1 a 1:250
Metaflumizona		200:1 a 1:200
Metomilo	Inhibidores de la colinesterasa	500:1 a 1:100
Metopreno	Imitadores de la hormona juvenil	500:1 a 1:100
Metoxifenozida	Agonistas de la ecdisona	50:1 a 1:50
Nitenpiram	Neonicotinoides	150:1 a 1:200
Nitiazina	Neonicotinoides	150:1 a 1:200
Novalurona	Inhibidores de la síntesis de la quitina	500:1 a 1:150
Oxamil	Inhibidores de la colinesterasa	200:1 a 1:200
Pimetrozina		200:1 a 1:100

Piretrina	Moduladores del canal de sodio	100:1 a 1:10
Piridaben	Inhibidores del transporte electrónico mitocondrial	200:1 a 1:100
Piridalilo		200:1 a 1:100
Piriproxifeno	Imitadores de la hormona juvenil	500:1 a 1:100
Rianodina	Ligandos del receptor de rianodina	100:1 a 1:120
Espinetoram	Lactonas macrocíclicas	150:1 a 1:100
Espinosad	Lactonas macrocíclicas	500:1 a 1:10
Espirodiclofeno	Inhibidores de la biosíntesis de lípidos	200:1 a 1:200
Espiromesifeno	Inhibidores de la biosíntesis de lípidos	200:1 a 1:200
Tebufenozida	Agonistas de la ecdisona	500:1 a 1:250
Tiacloprid	Neonicotinoides	100:1 a 1:200
Tiametoxam	Neonicotinoides	1250:1 a 1:1000
tiodicarb	Inhibidores de la colinesterasa	500:1 a 1:400
Tiosultap-sodio		150:1 a 1:100
Tralometrina	Moduladores del canal de sodio	150:1 a 1:200

Triazamato	Inhibidores de la colinesterasa	250:1 a 1:100
Triflumurón	Inhibidores de la síntesis de la quitina	200:1 a 1:100
Bacillus thuringiensis	Agentes biológicos	50:1 a 1:10
Delta endotoxina de Bacillus thuringiensis	Agentes biológicos	50:1 a 1:10
NPV (por ejemplo, Gemstar)	Agentes biológicos	50:1 a 1:10

Destaca la composición de la presente invención en donde por lo menos uno de los compuestos o agentes adicionales biológicamente activos se selecciona de los agentes para el control de plagas de invertebrados enumerados anteriormente en la Tabla A.

Las relaciones en peso de un compuesto, que incluye un compuesto de la Fórmula **1**, un *N*-óxido o sal de este, con respecto al agente adicional para el control de plagas de invertebrados se encuentran entre 1000:1 y 1:1000, con una modalidad entre 500:1 y 1:500, otra modalidad entre 250:1 y 1:200 y otra modalidad entre 100:1 y 1:50.

Las modalidades de composiciones específicas que comprenden un compuesto de la Fórmula **1** se enumeran más abajo

en las Tablas B1 a B81 (los números de los compuestos (Comp. núm.) se refieren a compuestos en las Tablas índice A-E) y un agente adicional para el control de plagas de invertebrados.

Tabla B1

Mezcla núm.	Comp. núm.	y	Agente para el control de plagas de invertebrados	Mezcla núm.	Comp. núm.	y	Agente para el control de plagas de invertebrados
B1-1	1	y	Abamectina	B1-36	1	y	Imidacloprid
B1-2	1	y	Acetamiprida	B1-37	1	y	Indoxacarb
B1-3	1	y	Amitraz	B1-38	1	y	Lambda cialotrina
B1-4	1	y	Avermectina	B1-39	1	y	Lufenurón
B1-5	1	y	Azadiractina	B1-40	1	y	Metaflumizona
B1-5a	1	y	Bensultap	B1-41	1	y	Metomilo
B1-6	1	y	Beta ciflutrina	B1-42	1	y	Metopreno
B1-7	1	y	Bifentrina	B1-43	1	y	Metoxifenozida
B1-8	1	y	Buprofezina	B1-44	1	y	Nitenpiram
B1-9	1	y	Cartap	B1-45	1	y	Nitiazina
B1-10	1	y	Clorantraniliprol	B1-46	1	y	Novalurona
B1-11	1	y	Clorfenapir	B1-47	1	y	Oxamil
B1-12	1	y	Clorpirifos	B1-48	1	y	Fosmet
B1-13	1	y	Clotianidina	B1-49	1	y	Pimetrozina

B1-14	1	y	Ciantraniliprol	B1-50	1	y	Piretrina
B1-15	1	y	Ciflutrina	B1-51	1	y	Piridaben
B1-16	1	y	Cialotrina	B1-52	1	y	Piridalilo
B1-17	1	y	Cipermetrina	B1-53	1	y	Piriproxifeno
B1-18	1	y	Ciromacina	B1-54	1	y	Rianodina
B1-19	1	y	Deltametrina	B1-55	1	y	Espinetoram
B1-20	1	y	Dieldrina	B1-56	1	y	Espinosad
B1-21	1	y	Dinotefurano	B1-57	1	y	Espirodiclofeno
B1-22	1	y	Diofenolán	B1-58	1	y	Espiromesifeno
B1-23	1	y	Emamectina	B1-59	1	y	Espirotetramat
B1-24	1	y	Endosulfán	B1-60	1	y	Tebufenozida
B1-25	1	y	Esfenvalerato	B1-61	1	y	Tiacloprid
B1-26	1	y	Etiprol	B1-62	1	y	Tiametoxam
B1-27	1	y	Fenotiocarb	B1-63	1	y	Tiodicarb
B1-28	1	y	Fenoxicarb	B1-64	1	y	Tiosultap-sodio
B1-29	1	y	Fenvalerato	B1-65	1	y	Tolfenpirad
B1-30	1	y	Fipronil	B1-66	1	y	Tralometrina
B1-31	1	y	Flonicamid	B1-67	1	y	Triazamato
B1-32	1	y	Flubendiamida	B1-68	1	y	Triflumurón
B1-33	1	y	Flufenoxurón	B1-69	1	y	*Bacillus thuringiensis*
B1-34	1	y	Hexaflumurón	B1-70	1	y	*Delta endotoxina de Bacillus thuringiensis*

B1-35	1	y	Hidrametilnon	B1-71	1	y	NPV (por ejemplo, Gemstar)

Tabla B2

La Tabla B2 es idéntica a la Tabla B1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 18. Por ejemplo, la primera mezcla en la Tabla B2 se designa B2-1 y es una mezcla del compuesto 18 y el agente adicional para el control de plagas de invertebrados abamectina.

Tabla B3

La Tabla B3 es idéntica a la Tabla B1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 19. Por ejemplo, la primera mezcla en la Tabla B3 se designa B3-1 y es una mezcla del compuesto 19 y el agente adicional para el control de plagas de invertebrados abamectina.

Tabla B4

La Tabla B4 es idéntica a la Tabla B1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 20. Por ejemplo, la primera mezcla en la Tabla B4 se designa B4-1

y es una mezcla del compuesto 20 y el agente adicional para el control de plagas de invertebrados abamectina.

Tabla B5

La Tabla B5 es idéntica a la Tabla B1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 21. Por ejemplo, la primera mezcla en la Tabla B5 se designa B5-1 y es una mezcla del compuesto 21 y el agente adicional para el control de plagas de invertebrados abamectina.

Tabla B6

La Tabla B6 es idéntica a la Tabla B1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 23. Por ejemplo, la primera mezcla en la Tabla B6 se designa B6-1 y es una mezcla del compuesto 23 y el agente adicional para el control de plagas de invertebrados abamectina.

Tabla B7

La Tabla B7 es idéntica a la Tabla B1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 26. Por ejemplo, la primera mezcla en la Tabla B7 se designa B7-1

y es una mezcla del compuesto 26 y el agente adicional para el control de plagas de invertebrados abamectina.

Tabla B8

La Tabla B8 es idéntica a la Tabla B1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 29. Por ejemplo, la primera mezcla en la Tabla B8 se designa B8-1 y es una mezcla del compuesto 29 y el agente adicional para el control de plagas de invertebrados abamectina.

Tabla B9

La Tabla B9 es idéntica a la Tabla B1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 30. Por ejemplo, la primera mezcla en la Tabla B9 se designa B9-1 y es una mezcla del compuesto 30 y el agente adicional para el control de plagas de invertebrados abamectina.

Tabla B10

La Tabla B10 es idéntica a la Tabla B1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 33. Por ejemplo, la primera mezcla en la Tabla B10 se designa

B10-1 y es una mezcla del compuesto 33 y el agente adicional para el control de plagas de invertebrados abamectina.

Tabla B11

La Tabla B11 es idéntica a la Tabla B1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 36. Por ejemplo, la primera mezcla en la Tabla B11 se designa B11-1 y es una mezcla del compuesto 36 y el agente adicional para el control de plagas de invertebrados abamectina.

Tabla B12

La Tabla B12 es idéntica a la Tabla B1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 37. Por ejemplo, la primera mezcla en la Tabla B12 se designa B12-1 y es una mezcla del compuesto 37 y el agente adicional para el control de plagas de invertebrados abamectina.

Tabla B13

La Tabla B13 es idéntica a la Tabla B1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 40. Por ejemplo, la primera mezcla en la Tabla B13 se designa

B13-1 y es una mezcla del compuesto 40 y el agente adicional para el control de plagas de invertebrados abamectina.

Tabla B14

La Tabla B14 es idéntica a la Tabla B1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 44. Por ejemplo, la primera mezcla en la Tabla B14 se designa B14-1 y es una mezcla del compuesto 44 y el agente adicional para el control de plagas de invertebrados abamectina.

Tabla B15

La Tabla B15 es idéntica a la Tabla B1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 47. Por ejemplo, la primera mezcla en la Tabla B15 se designa B15-1 y es una mezcla del compuesto 47 y el agente adicional para el control de plagas de invertebrados abamectina.

Tabla B16

La Tabla B16 es idéntica a la Tabla B1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 49. Por ejemplo, la primera mezcla en la Tabla B16 se designa

B16-1 y es una mezcla del compuesto 49 y el agente adicional para el control de plagas de invertebrados abamectina.

Tabla B17

La Tabla B17 es idéntica a la Tabla B1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 50. Por ejemplo, la primera mezcla en la Tabla B17 se designa B17-1 y es una mezcla del compuesto 50 y el agente adicional para el control de plagas de invertebrados abamectina.

Tabla B18

La Tabla B18 es idéntica a la Tabla B1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 51. Por ejemplo, la primera mezcla en la Tabla B18 se designa B18-1 y es una mezcla del compuesto 51 y el agente adicional para el control de plagas de invertebrados abamectina.

Tabla B19

La Tabla B19 es idéntica a la Tabla B1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 52. Por ejemplo, la primera mezcla en la Tabla B19 se designa B2-

1 y es una mezcla del compuesto 52 y el agente adicional para el control de plagas de invertebrados abamectina.

Tabla B20

La Tabla B20 es idéntica a la Tabla B1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 53. Por ejemplo, la primera mezcla en la Tabla B20 se designa B20-1 y es una mezcla del compuesto 53 y el agente adicional para el control de plagas de invertebrados abamectina.

Tabla B21

La Tabla B21 es idéntica a la Tabla B1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 56. Por ejemplo, la primera mezcla en la Tabla B21 se designa B21-1 y es una mezcla del compuesto 56 y el agente adicional para el control de plagas de invertebrados abamectina.

Tabla B22

La Tabla B22 es idéntica a la Tabla B1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 57. Por ejemplo, la primera mezcla en la Tabla B22 se designa

B22-1 y es una mezcla del compuesto 57 y el agente adicional para el control de plagas de invertebrados abamectina.

Tabla B23

La Tabla B23 es idéntica a la Tabla B1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 58. Por ejemplo, la primera mezcla en la Tabla B23 se designa B23-1 y es una mezcla del compuesto 58 y el agente adicional para el control de plagas de invertebrados abamectina.

Tabla B24

La Tabla B24 es idéntica a la Tabla B1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 59. Por ejemplo, la primera mezcla en la Tabla B24 se designa B24-1 y es una mezcla del compuesto 59 y el agente adicional para el control de plagas de invertebrados abamectina.

Tabla B25

La Tabla B25 es idéntica a la Tabla B1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 60. Por ejemplo, la primera mezcla en la Tabla B25 se designa

B25-1 y es una mezcla del compuesto 60 y el agente adicional para el control de plagas de invertebrados abamectina.

Tabla B26

La Tabla B26 es idéntica a la Tabla B1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 62. Por ejemplo, la primera mezcla en la Tabla B26 se designa B26-1 y es una mezcla del compuesto 62 y el agente adicional para el control de plagas de invertebrados abamectina.

Tabla B27

La Tabla B27 es idéntica a la Tabla B1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 63. Por ejemplo, la primera mezcla en la Tabla B27 se designa B27-1 y es una mezcla del compuesto 63 y el agente adicional para el control de plagas de invertebrados abamectina.

Tabla B28

La Tabla B28 es idéntica a la Tabla B1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 65. Por ejemplo, la primera mezcla en la Tabla B28 se designa

B28-1 y es una mezcla del compuesto 65 y el agente adicional para el control de plagas de invertebrados abamectina.

Tabla B29

La Tabla B29 es idéntica a la Tabla B1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 71. Por ejemplo, la primera mezcla en la Tabla B29 se designa B29-1 y es una mezcla del compuesto 71 y el agente adicional para el control de plagas de invertebrados abamectina.

Tabla B30

La Tabla B30 es idéntica a la Tabla B1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 74. Por ejemplo, la primera mezcla en la Tabla B30 se designa B30-1 y es una mezcla del compuesto 74 y el agente adicional para el control de plagas de invertebrados abamectina.

Tabla B31

La Tabla B31 es idéntica a la Tabla B1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 77. Por ejemplo, la primera mezcla en la Tabla B31 se designa

B31-1 y es una mezcla del compuesto 77 y el agente adicional para el control de plagas de invertebrados abamectina.

Tabla B32

La Tabla B32 es idéntica a la Tabla B1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 79. Por ejemplo, la primera mezcla en la Tabla B32 se designa B32-1 y es una mezcla del compuesto 79 y el agente adicional para el control de plagas de invertebrados abamectina.

Tabla B33

La Tabla B33 es idéntica a la Tabla B1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 80. Por ejemplo, la primera mezcla en la Tabla B33 se designa B33-1 y es una mezcla del compuesto 80 y el agente adicional para el control de plagas de invertebrados abamectina.

Tabla B34

La Tabla B34 es idéntica a la Tabla B1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 81. Por ejemplo, la primera mezcla en la Tabla B34 se designa

B34-1 y es una mezcla del compuesto 81 y el agente adicional para el control de plagas de invertebrados abamectina.

Tabla B35

La Tabla B35 es idéntica a la Tabla B1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 82. Por ejemplo, la primera mezcla en la Tabla B35 se designa B35-1 y es una mezcla del compuesto 82 y el agente adicional para el control de plagas de invertebrados abamectina.

Tabla B36

La Tabla B36 es idéntica a la Tabla B1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 83. Por ejemplo, la primera mezcla en la Tabla B36 se designa B36-1 y es una mezcla del compuesto 83 y el agente adicional para el control de plagas de invertebrados abamectina.

Tabla B37

La Tabla B37 es idéntica a la Tabla B1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 84. Por ejemplo, la primera mezcla en la Tabla B37 se designa

B37-1 y es una mezcla del compuesto 84 y el agente adicional para el control de plagas de invertebrados abamectina.

Tabla B38

La Tabla B38 es idéntica a la Tabla B1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 85. Por ejemplo, la primera mezcla en la Tabla B38 se designa B38-1 y es una mezcla del compuesto 85 y el agente adicional para el control de plagas de invertebrados abamectina.

Tabla B39

La Tabla B39 es idéntica a la Tabla B1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 86. Por ejemplo, la primera mezcla en la Tabla B39 se designa B39-1 y es una mezcla del compuesto 86 y el agente adicional para el control de plagas de invertebrados abamectina.

Tabla B40

La Tabla B40 es idéntica a la Tabla B1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 87. Por ejemplo, la primera mezcla en la Tabla B40 se designa

B40-1 y es una mezcla del compuesto 87 y el agente adicional para el control de plagas de invertebrados abamectina.

Tabla B41

La Tabla B41 es idéntica a la Tabla B1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 89. Por ejemplo, la primera mezcla en la Tabla B41 se designa B41-1 y es una mezcla del compuesto 89 y el agente adicional para el control de plagas de invertebrados abamectina.

Tabla B42

La Tabla B42 es idéntica a la Tabla B1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 99. Por ejemplo, la primera mezcla en la Tabla B42 se designa B42-1 y es una mezcla del compuesto 99 y el agente adicional para el control de plagas de invertebrados abamectina.

Tabla B43

La Tabla B43 es idéntica a la Tabla B1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 102. Por ejemplo, la primera mezcla en la Tabla B43 se designa B43-

1 y es una mezcla del compuesto 102 y el agente adicional para el control de plagas de invertebrados abamectina.

Tabla B44

La Tabla B44 es idéntica a la Tabla B1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 113. Por ejemplo, la primera mezcla en la Tabla B44 se designa B44-1 y es una mezcla del compuesto 113 y el agente adicional para el control de plagas de invertebrados abamectina.

Tabla B45

La Tabla B45 es idéntica a la Tabla B1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 118. Por ejemplo, la primera mezcla en la Tabla B45 se designa B45-1 y es una mezcla del compuesto 118 y el agente adicional para el control de plagas de invertebrados abamectina.

Tabla B46

La Tabla B46 es idéntica a la Tabla B1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 125. Por ejemplo, la primera mezcla en la Tabla B46 se designa B46-

1 y es una mezcla del compuesto 125 y el agente adicional para el control de plagas de invertebrados abamectina.

Tabla B47

La Tabla B47 es idéntica a la Tabla B1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 137. Por ejemplo, la primera mezcla en la Tabla B47 se designa B47-1 y es una mezcla del compuesto 137 y el agente adicional para el control de plagas de invertebrados abamectina.

Tabla B48

La Tabla B43 es idéntica a la Tabla B1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 183. Por ejemplo, la primera mezcla en la Tabla B48 se designa B48-1 y es una mezcla del compuesto 183 y el agente adicional para el control de plagas de invertebrados abamectina.

Tabla B49

La Tabla B49 es idéntica a la Tabla B1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 190. Por ejemplo, la primera mezcla en la Tabla B49 se designa B49-

1 y es una mezcla del compuesto 190 y el agente adicional para el control de plagas de invertebrados abamectina.

Tabla B50

La Tabla B50 es idéntica a la Tabla B1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 191. Por ejemplo, la primera mezcla en la Tabla B50 se designa B50-1 y es una mezcla del compuesto 191 y el agente adicional para el control de plagas de invertebrados abamectina.

Tabla B51

La Tabla B51 es idéntica a la Tabla B1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 196. Por ejemplo, la primera mezcla en la Tabla B51 se designa B51-1 y es una mezcla del compuesto 196 y el agente adicional para el control de plagas de invertebrados abamectina.

Tabla B52

La Tabla B52 es idéntica a la Tabla B1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 229. Por ejemplo, la primera mezcla en la Tabla B52 se designa B52-

1 y es una mezcla del compuesto 229 y el agente adicional para el control de plagas de invertebrados abamectina.

Tabla B53

La Tabla B53 es idéntica a la Tabla B1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 231. Por ejemplo, la primera mezcla en la Tabla B53 se designa B53-1 y es una mezcla del compuesto 231 y el agente adicional para el control de plagas de invertebrados abamectina.

Tabla B54

La Tabla B54 es idéntica a la Tabla B1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 254. Por ejemplo, la primera mezcla en la Tabla B54 se designa B54-1 y es una mezcla del compuesto 254 y el agente adicional para el control de plagas de invertebrados abamectina.

Tabla B55

La Tabla B55 es idéntica a la Tabla B1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 257. Por ejemplo, la primera mezcla en la Tabla B55 se designa B55-

1 y es una mezcla del compuesto 257 y el agente adicional para el control de plagas de invertebrados abamectina.

Tabla B56

La Tabla B56 es idéntica a la Tabla B1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 272. Por ejemplo, la primera mezcla en la Tabla B56 se designa B56-1 y es una mezcla del compuesto 272 y el agente adicional para el control de plagas de invertebrados abamectina.

Tabla B57

La Tabla B57 es idéntica a la Tabla B1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 289. Por ejemplo, la primera mezcla en la Tabla B57 se designa B57-1 y es una mezcla del compuesto 289 y el agente adicional para el control de plagas de invertebrados abamectina.

Tabla B58

La Tabla B58 es idéntica a la Tabla B1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 296. Por ejemplo, la primera mezcla en la Tabla B58 se designa B58-

1 y es una mezcla del compuesto 296 y el agente adicional para el control de plagas de invertebrados abamectina.

Tabla B59

La Tabla B59 es idéntica a la Tabla B1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 299. Por ejemplo, la primera mezcla en la Tabla B59 se designa B59-1 y es una mezcla del compuesto 299 y el agente adicional para el control de plagas de invertebrados abamectina.

Tabla B60

La Tabla B60 es idéntica a la Tabla B1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 307. Por ejemplo, la primera mezcla en la Tabla B60 se designa B60-1 y es una mezcla del compuesto 307 y el agente adicional para el control de plagas de invertebrados abamectina.

Tabla B61

La Tabla B61 es idéntica a la Tabla B1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 308. Por ejemplo, la primera mezcla en la Tabla B61 se designa B61-

1 y es una mezcla del compuesto 308 y el agente adicional para el control de plagas de invertebrados abamectina.

Tabla B62

La Tabla B62 es idéntica a la Tabla B1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 315. Por ejemplo, la primera mezcla en la Tabla B62 se designa B62-1 y es una mezcla del compuesto 315 y el agente adicional para el control de plagas de invertebrados abamectina.

Tabla B63

La Tabla B63 es idéntica a la Tabla B1, excepto que cada referencia al compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 343. Por ejemplo, la primera mezcla en la Tabla B63 se designa B63-1 y es una mezcla del compuesto 343 y el agente adicional para el control de plagas de invertebrados abamectina.

Tabla B64

La Tabla b64 es idéntica a la Tabla B1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 344. Por ejemplo, la primera mezcla en la Tabla B64 se designa B64-

1 y es una mezcla del compuesto 344 y el agente adicional para el control de plagas de invertebrados abamectina.

Tabla B65

La Tabla B65 es idéntica a la Tabla B1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 352. Por ejemplo, la primera mezcla en la Tabla B65 se designa B65-1 y es una mezcla del compuesto 352 y el agente adicional para el control de plagas de invertebrados abamectina.

Tabla B66

La Tabla B66 es idéntica a la Tabla B1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 363. Por ejemplo, la primera mezcla en la Tabla B66 se designa B66-1 y es una mezcla del compuesto 363 y el agente adicional para el control de plagas de invertebrados abamectina.

Tabla B67

La Tabla b67 es idéntica a la Tabla B1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 364. Por ejemplo, la primera mezcla en la Tabla B67 se designa B67-

1 y es una mezcla del compuesto 364 y el agente adicional para el control de plagas de invertebrados abamectina.

Tabla B68

La Tabla B68 es idéntica a la Tabla B1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 368. Por ejemplo, la primera mezcla en la Tabla B68 se designa B68-1 y es una mezcla del compuesto 368 y el agente adicional para el control de plagas de invertebrados abamectina.

Tabla B69

La Tabla B69 es idéntica a la Tabla B1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 381. Por ejemplo, la primera mezcla en la Tabla B69 se designa B69-1 y es una mezcla del compuesto 381 y el agente adicional para el control de plagas de invertebrados abamectina.

Tabla B70

La Tabla B70 es idéntica a la Tabla B1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 385. Por ejemplo, la primera mezcla en la Tabla B70 se designa B70-

1 y es una mezcla del compuesto 385 y el agente adicional para el control de plagas de invertebrados abamectina.

Tabla B71

La Tabla B71 es idéntica a la Tabla B1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 421. Por ejemplo, la primera mezcla en la Tabla B71 se designa B71-1 y es una mezcla del compuesto 421 y el agente adicional para el control de plagas de invertebrados abamectina.

Tabla B72

La Tabla B72 es idéntica a la Tabla B1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 433. Por ejemplo, la primera mezcla en la Tabla B72 se designa B72-1 y es una mezcla del compuesto 433 y el agente adicional para el control de plagas de invertebrados abamectina.

Tabla B73

La Tabla B73 es idéntica a la Tabla B1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 435. Por ejemplo, la primera mezcla en la Tabla B73 se designa B73-

1 y es una mezcla del compuesto 435 y el agente adicional para el control de plagas de invertebrados abamectina.

Tabla B74

La Tabla B74 es idéntica a la Tabla B1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 448. Por ejemplo, la primera mezcla en la Tabla B74 se designa B74-1 y es una mezcla del compuesto 448 y el agente adicional para el control de plagas de invertebrados abamectina.

Tabla B75

La Tabla B75 es idéntica a la Tabla B1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 449. Por ejemplo, la primera mezcla en la Tabla B75 se designa B75-1 y es una mezcla del compuesto 449 y el agente adicional para el control de plagas de invertebrados abamectina.

Tabla B76

La Tabla B76 es idéntica a la Tabla B1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 450. Por ejemplo, la primera mezcla en la Tabla B76 se designa B76-

1 y es una mezcla del compuesto 450 y el agente adicional para el control de plagas de invertebrados abamectina.

Tabla B77

La Tabla B77 es idéntica a la Tabla B1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 451. Por ejemplo, la primera mezcla en la Tabla B77 se designa B77-1 y es una mezcla del compuesto 451 y el agente adicional para el control de plagas de invertebrados abamectina.

Tabla B78

La Tabla B78 es idéntica a la Tabla B1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 462. Por ejemplo, la primera mezcla en la Tabla B78 se designa B78-1 y es una mezcla del compuesto 462 y el agente adicional para el control de plagas de invertebrados abamectina.

Tabla B79

La Tabla B79 es idéntica a la Tabla B1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 482. Por ejemplo, la primera mezcla en la Tabla B79 se designa B79-

1 y es una mezcla del compuesto 482 y el agente adicional para el control de plagas de invertebrados abamectina.

Tabla B80

La Tabla B80 es idéntica a la Tabla B1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 490. Por ejemplo, la primera mezcla en la Tabla B80 se designa B80-1 y es una mezcla del compuesto 490 y el agente adicional para el control de plagas de invertebrados abamectina.

Tabla B81

La Tabla B81 es idéntica a la Tabla B1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 493. Por ejemplo, la primera mezcla en la Tabla B81 se designa B81-1 y es una mezcla del compuesto 493 y el agente adicional para el control de plagas de invertebrados abamectina.

Las mezclas específicas enumeradas en la Tabla B1 a B81 combinan, generalmente, un compuesto de la Fórmula **1** con otro agente para plagas de invertebrados en las proporciones especificadas en la Tabla A.

Las Tablas C1 a C81 enumeran las modalidades de composiciones específicas que comprenden un compuesto de la

Fórmula **1** (los números de los compuestos (Comp. núm.) se refieren a los compuestos en las Tablas índice A-E) y un fungicida adicional.

Tabla C1

Mezcla núm.	Comp. núm.	y	Fungicida	Mezcla núm.	Comp. núm.	y	Fungicida
C1-1	1	y	Probenazol	C1-17	1	y	Difenoconazol
C1-2	1	y	Tiadinil	C1-18	1	y	Ciproconazol
C1-3	1	y	Isotianil	C1-19	1	y	Propiconazol
C1-4	1	y	Piroquilona	C1-20	1	y	Fenoxanil
C1-5	1	y	Metominostrobina	C1-21	1	y	Ferimzona
C1-6	1	y	Flutolanil	C1-22	1	y	Ftalida
C1-7	1	y	Validamicina	C1-23	1	y	Kasugamicina
C1-8	1	y	Furametpir	C1-24	1	y	Picoxistrobina
C1-9	1	y	Pencicuron	C1-25	1	y	Pentiopirad
C1-10	1	y	Simeconazol	C1-26	1	y	Famoxadona
C1-11	1	y	Orisastrobina	C1-27	1	y	Cimoxanil
C1-12	1	y	Trifloxistrobin	C1-28	1	y	Proquinazid
C1-13	1	y	Isoprotiolano	C1-29	1	y	Flusilazol
C1-14	1	y	Azoxistrobina	C1-30	1	y	Mancozeb
C1-15	1	y	Triciclazol	C1-31	1	y	Hidróxido de cobre
C1-16	1	y	Hexaconazol	C1-32	1	y	(a)

(a) 1-[4-[4-[5-(2,6-difluorofenil)-4,5-dihidro-3-isoxazolil]-2-tiazolil]-1-piperidinil]-2-[5-metil-3-(trifluorometil)-1*H*-pirazol-1-il]etanona

Tabla C2

La Tabla C2 es idéntica a la Tabla C1, excepto que cada referencia al Compuesto C2 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 18. Por ejemplo, la primera mezcla en la Tabla C2 se designa C2-1 y es una mezcla del compuesto 18 y el fungicida adicional probenazol.

Tabla C3

La Tabla C3 es idéntica a la Tabla C1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 19. Por ejemplo, la primera mezcla en la Tabla C3 se designa C3-1 y es una mezcla del compuesto 19 y el fungicida adicional probenazol.

Tabla C4

La Tabla C4 es idéntica a la Tabla C1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 20. Por ejemplo, la primera mezcla en la Tabla

C4 se designa C4-1 y es una mezcla del compuesto 20 y el fungicida adicional probenazol.

Tabla C5

La Tabla C5 es idéntica a la Tabla C1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 21. Por ejemplo, la primera mezcla en la Tabla C5 se designa C5-1 y es una mezcla del compuesto 21 y el fungicida adicional probenazol.

Tabla C6

La Tabla C6 es idéntica a la Tabla C1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 23. Por ejemplo, la primera mezcla en la Tabla C6 se designa C6-1 y es una mezcla del compuesto 23 y el fungicida adicional probenazol.

Tabla C7

La Tabla C7 es idéntica a la Tabla C1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 26. Por ejemplo, la primera mezcla en la Tabla

C7 se designa C7-1 y es una mezcla del compuesto 26 y el fungicida adicional probenazol.

Tabla C8

La Tabla C8 es idéntica a la Tabla C1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 29. Por ejemplo, la primera mezcla en la Tabla C8 se designa C8-1 y es una mezcla del compuesto 29 y el fungicida adicional probenazol.

Tabla C9

La Tabla C9 es idéntica a la Tabla C1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 30. Por ejemplo, la primera mezcla en la Tabla C9 se designa C9-1 y es una mezcla del compuesto 30 y el fungicida adicional probenazol.

Tabla C10

La Tabla C10 es idéntica a la Tabla C1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 33. Por ejemplo, la primera mezcla en la Tabla

C10 se designa C10-1 y es una mezcla del compuesto 33 y el fungicida adicional probenazol.

Tabla C11

La Tabla C11 es idéntica a la Tabla C1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 36. Por ejemplo, la primera mezcla en la Tabla C11 se designa C11-1 y es una mezcla del compuesto 36 y el fungicida adicional probenazol.

Tabla C12

La Tabla C12 es idéntica a la Tabla C1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 37. Por ejemplo, la primera mezcla en la Tabla C12 se designa C12-1 y es una mezcla del compuesto 37 y el fungicida adicional probenazol.

Tabla C13

La Tabla C13 es idéntica a la Tabla C1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 40. Por ejemplo, la primera mezcla en la Tabla

C13 se designa C13-1 y es una mezcla del compuesto 40 y el fungicida adicional probenazol.

Tabla C14

La Tabla C14 es idéntica a la Tabla C1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 44. Por ejemplo, la primera mezcla en la Tabla C14 se designa C14-1 y es una mezcla del compuesto 44 y el fungicida adicional probenazol.

Tabla C15

La Tabla C15 es idéntica a la Tabla C1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 47. Por ejemplo, la primera mezcla en la Tabla C15 se designa C15-1 y es una mezcla del compuesto 47 y el fungicida adicional probenazol.

Tabla C16

La Tabla C16 es idéntica a la Tabla C1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 49. Por ejemplo, la primera mezcla en la Tabla

C16 se designa C16-1 y es una mezcla del compuesto 49 y el fungicida adicional probenazol.

Tabla C17

La Tabla C17 es idéntica a la Tabla C1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 50. Por ejemplo, la primera mezcla en la Tabla C17 se designa C17-1 y es una mezcla del compuesto 50 y el fungicida adicional probenazol.

Tabla C18

La Tabla C18 es idéntica a la Tabla C1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 51. Por ejemplo, la primera mezcla en la Tabla C18 se designa C18-1 y es una mezcla del compuesto 51 y el fungicida adicional probenazol.

Tabla C19

La Tabla C19 es idéntica a la Tabla C1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 52. Por ejemplo, la primera mezcla en la Tabla

C19 se designa C19-1 y es una mezcla del compuesto 52 y el fungicida adicional probenazol.

Tabla C20

La Tabla C20 es idéntica a la Tabla C1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 53. Por ejemplo, la primera mezcla en la Tabla C20 se designa C20-1 y es una mezcla del compuesto 53 y el fungicida adicional probenazol.

Tabla C21

La Tabla C21 es idéntica a la Tabla C1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 56. Por ejemplo, la primera mezcla en la Tabla C21 se designa C21-1 y es una mezcla del compuesto 56 y el fungicida adicional probenazol.

Tabla C22

La Tabla C22 es idéntica a la Tabla C1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 57. Por ejemplo, la primera mezcla en la Tabla

C22 se designa C22-1 y es una mezcla del compuesto 57 y el fungicida adicional probenazol.

Tabla C23

La Tabla C23 es idéntica a la Tabla C1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 58. Por ejemplo, la primera mezcla en la Tabla C23 se designa C23-1 y es una mezcla del compuesto 58 y el fungicida adicional probenazol.

Tabla C24

La Tabla C24 es idéntica a la Tabla C1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 59. Por ejemplo, la primera mezcla en la Tabla C24 se designa C24-1 y es una mezcla del compuesto 59 y el fungicida adicional probenazol.

Tabla C25

La Tabla C25 es idéntica a la Tabla C1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 60. Por ejemplo, la primera mezcla en la Tabla

C25 se designa C25-1 y es una mezcla del compuesto 60 y el fungicida adicional probenazol.

Tabla C26

La Tabla C26 es idéntica a la Tabla C1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 62. Por ejemplo, la primera mezcla en la Tabla C26 se designa C26-1 y es una mezcla del compuesto 62 y el fungicida adicional probenazol.

Tabla C27

La Tabla C27 es idéntica a la Tabla C1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 63. Por ejemplo, la primera mezcla en la Tabla C27 se designa C27-1 y es una mezcla del compuesto 63 y el fungicida adicional probenazol.

Tabla C28

La Tabla C28 es idéntica a la Tabla C1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 65. Por ejemplo, la primera mezcla en la Tabla

C28 se designa C28-1 y es una mezcla del compuesto 65 y el fungicida adicional probenazol.

Tabla C29

La Tabla C29 es idéntica a la Tabla C1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 71. Por ejemplo, la primera mezcla en la Tabla C29 se designa C29-1 y es una mezcla del compuesto 71 y el fungicida adicional probenazol.

Tabla C30

La Tabla C30 es idéntica a la Tabla C1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 74. Por ejemplo, la primera mezcla en la Tabla C30 se designa C30-1 y es una mezcla del compuesto 74 y el fungicida adicional probenazol.

Tabla C31

La Tabla C31 es idéntica a la Tabla C1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 77. Por ejemplo, la primera mezcla en la Tabla C31 se designa

C31-1 y es una mezcla del compuesto 77 y el fungicida adicional probenazol.

Tabla C32

La Tabla C32 es idéntica a la Tabla C1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 79. Por ejemplo, la primera mezcla en la Tabla C32 se designa C32-1 y es una mezcla del compuesto 79 y el fungicida adicional probenazol.

Tabla C33

La Tabla C33 es idéntica a la Tabla C1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 80. Por ejemplo, la primera mezcla en la Tabla C33 se designa C33-1 y es una mezcla del compuesto 80 y el fungicida adicional probenazol.

Tabla C34

La Tabla C34 es idéntica a la Tabla C1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 81. Por ejemplo, la primera mezcla en la Tabla

C34 se designa C34-1 y es una mezcla del compuesto 81 y el fungicida adicional probenazol.

Tabla C35

La Tabla C35 es idéntica a la Tabla C1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 82. Por ejemplo, la primera mezcla en la Tabla C35 se designa C35-1 y es una mezcla del compuesto 82 y el fungicida adicional probenazol.

Tabla C36

La Tabla C36 es idéntica a la Tabla C1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 83. Por ejemplo, la primera mezcla en la Tabla C36 se designa C36-1 y es una mezcla del compuesto 83 y el fungicida adicional probenazol.

Tabla C37

La Tabla C37 es idéntica a la Tabla C1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 84. Por ejemplo, la primera mezcla en la Tabla

C37 se designa C37-1 y es una mezcla del compuesto 84 y el fungicida adicional probenazol.

Tabla C38

La Tabla C38 es idéntica a la Tabla C1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 85. Por ejemplo, la primera mezcla en la Tabla C38 se designa C38-1 y es una mezcla del compuesto 85 y el fungicida adicional probenazol.

Tabla C39

La Tabla C39 es idéntica a la Tabla C1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 86. Por ejemplo, la primera mezcla en la Tabla C39 se designa C39-1 y es una mezcla del compuesto 86 y el fungicida adicional probenazol.

Tabla C40

La Tabla C40 es idéntica a la Tabla C1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 87. Por ejemplo, la primera mezcla en la Tabla

C40 se designa C40-1 y es una mezcla del compuesto 87 y el fungicida adicional probenazol.

Tabla C41

La Tabla C41 es idéntica a la Tabla C1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 89. Por ejemplo, la primera mezcla en la Tabla C41 se designa C41-1 y es una mezcla del compuesto 89 y el fungicida adicional probenazol.

Tabla C42

La Tabla C42 es idéntica a la Tabla C1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 99. Por ejemplo, la primera mezcla en la Tabla C42 se designa C42-1 y es una mezcla del compuesto 99 y el fungicida adicional probenazol.

Tabla C43

La Tabla C43 es idéntica a la Tabla C1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 102. Por ejemplo, la primera mezcla en la Tabla

C43 se designa C43-1 y es una mezcla del compuesto 102 y el fungicida adicional probenazol.

Tabla C44

La Tabla C44 es idéntica a la Tabla C1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al compuesto 113. Por ejemplo, la primera mezcla en la Tabla C44 se designa C44-1 y es una mezcla del compuesto 113 y el fungicida adicional probenazol.

Tabla C45

La Tabla C45 es idéntica a la Tabla C1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al Compuesto 118. Por ejemplo, la primera mezcla en la Tabla C45 se designa C45-1 y es una mezcla del Compuesto 118 y el fungicida adicional probenazol.

Tabla C46

La Tabla C46 es idéntica a la Tabla C1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al Compuesto 125. Por ejemplo, la primera mezcla en la Tabla C46 se

designa C46-1 y es una mezcla del Compuesto 125 y el fungicida adicional probenazol.

Tabla C47

La Tabla C47 es idéntica a la Tabla C1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al Compuesto 137. Por ejemplo, la primera mezcla en la Tabla C47 se designa C47-1 y es una mezcla del Compuesto 137 y el fungicida adicional probenazol.

Tabla C48

La Tabla C43 es idéntica a la Tabla C1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al Compuesto 183. Por ejemplo, la primera mezcla en la Tabla C48 se designa C48-1 y es una mezcla del Compuesto 183 y el fungicida adicional probenazol.

Tabla C49

La Tabla C49 es idéntica a la Tabla C1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al Compuesto 190. Por ejemplo, la primera mezcla en la Tabla C49 se

designa C49-1 y es una mezcla del Compuesto 190 y el fungicida adicional probenazol.

Tabla C50

La Tabla C50 es idéntica a la Tabla C1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al Compuesto 191. Por ejemplo, la primera mezcla en la Tabla C50 se designa C50-1 y es una mezcla del Compuesto 191 y el fungicida adicional probenazol.

Tabla C51

La Tabla C51 es idéntica a la Tabla C1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al Compuesto 196. Por ejemplo, la primera mezcla en la Tabla C51 se designa C51-1 y es una mezcla del Compuesto 196 y el fungicida adicional probenazol.

Tabla C52

La Tabla C52 es idéntica a la Tabla C1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al Compuesto 229. Por ejemplo, la primera mezcla en la Tabla C52 se

designa C52-1 y es una mezcla del Compuesto 229 y el fungicida adicional probenazol.

Tabla C53

La Tabla C53 es idéntica a la Tabla C1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al Compuesto 231. Por ejemplo, la primera mezcla en la Tabla C53 se designa c53-1 y es una mezcla del Compuesto 231 y el fungicida adicional probenazol.

Tabla C54

La Tabla C54 es idéntica a la Tabla C1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al Compuesto 254. Por ejemplo, la primera mezcla en la Tabla C54 se designa C54-1 y es una mezcla del Compuesto 254 y el fungicida adicional probenazol.

Tabla C55

La Tabla C55 es idéntica a la Tabla C1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al Compuesto 257. Por ejemplo, la primera mezcla en la Tabla C55 se

designa C55-1 y es una mezcla del Compuesto 257 y el fungicida adicional probenazol.

Tabla C56

La Tabla C56 es idéntica a la Tabla C1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al Compuesto 272. Por ejemplo, la primera mezcla en la Tabla C56 se designa C56-1 y es una mezcla del Compuesto 272 y el fungicida adicional probenazol.

Tabla C57

La Tabla C57 es idéntica a la Tabla C1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al Compuesto 289. Por ejemplo, la primera mezcla en la Tabla C57 se designa C57-1 y es una mezcla del Compuesto 289 y el fungicida adicional probenazol.

Tabla C58

La Tabla C58 es idéntica a la Tabla C1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al Compuesto 296. Por ejemplo, la primera mezcla en la Tabla C58 se

designa C58-1 y es una mezcla del Compuesto 296 y el fungicida adicional probenazol.

Tabla C59

La Tabla C59 es idéntica a la Tabla C1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al Compuesto 299. Por ejemplo, la primera mezcla en la Tabla C59 se designa C59-1 y es una mezcla del Compuesto 299 y el fungicida adicional probenazol.

Tabla C60

La Tabla C60 es idéntica a la Tabla C1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al Compuesto 307. Por ejemplo, la primera mezcla en la Tabla C60 se designa C60-1 y es una mezcla del Compuesto 307 y el fungicida adicional probenazol.

Tabla C61

La Tabla C61 es idéntica a la Tabla C1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al Compuesto 308. Por ejemplo, la primera mezcla en la Tabla C61 se

designa C61-1 y es una mezcla del Compuesto 308 y el fungicida adicional probenazol.

Tabla C62

La Tabla C62 es idéntica a la Tabla C1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al Compuesto 315. Por ejemplo, la primera mezcla en la Tabla C62 se designa C62-1 y es una mezcla del Compuesto 315 y el fungicida adicional probenazol.

Tabla C63

La Tabla C63 es idéntica a la Tabla C1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al Compuesto 343. Por ejemplo, la primera mezcla en la Tabla C63 se designa C63-1 y es una mezcla del Compuesto 343 y el fungicida adicional probenazol.

Tabla C64

La Tabla C64 es idéntica a la Tabla C1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al Compuesto 344. Por ejemplo, la primera mezcla en la Tabla C64 se

designa C64-1 y es una mezcla del Compuesto 344 y el fungicida adicional probenazol.

Tabla C65

La Tabla C65 es idéntica a la Tabla C1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al Compuesto 352. Por ejemplo, la primera mezcla en la Tabla C65 se designa C65-1 y es una mezcla del Compuesto 352 y el fungicida adicional probenazol.

Tabla C66

La Tabla C66 es idéntica a la Tabla C1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al Compuesto 363. Por ejemplo, la primera mezcla en la Tabla C66 se designa C66-1 y es una mezcla del Compuesto 363 y el fungicida adicional probenazol.

Tabla C67

La Tabla C67 es idéntica a la Tabla C1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al Compuesto 364. Por ejemplo, la primera mezcla en la Tabla C67 se

designa C67-1 y es una mezcla del Compuesto 364 y el fungicida adicional probenazol.

Tabla C68

La Tabla C68 es idéntica a la Tabla C1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al Compuesto 368. Por ejemplo, la primera mezcla en la Tabla C68 se designa C68-1 y es una mezcla del Compuesto 368 y el fungicida adicional probenazol.

Tabla C69

La Tabla C69 es idéntica a la Tabla C1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al Compuesto 381. Por ejemplo, la primera mezcla en la Tabla C69 se designa C69-1 y es una mezcla del Compuesto 381 y el fungicida adicional probenazol.

Tabla C70

La Tabla C70 es idéntica a la Tabla C1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al Compuesto 385. Por ejemplo, la primera mezcla en la Tabla C70 se

designa C70-1 y es una mezcla del Compuesto 385 y el fungicida adicional probenazol.

Tabla C71

La Tabla C71 es idéntica a la Tabla C1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al Compuesto 421. Por ejemplo, la primera mezcla en la Tabla C71 se designa C71-1 y es una mezcla del Compuesto 421 y el fungicida adicional probenazol.

Tabla C72

La Tabla C72 es idéntica a la Tabla C1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al Compuesto 433. Por ejemplo, la primera mezcla en la Tabla C72 se designa C72-1 y es una mezcla del Compuesto 433 y el fungicida adicional probenazol.

Tabla C73

La Tabla C73 es idéntica a la Tabla C1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al Compuesto 435. Por ejemplo, la primera mezcla en la Tabla C73 se

designa C73-1 y es una mezcla del Compuesto 435 y el fungicida adicional probenazol.

Tabla C74

La Tabla C74 es idéntica a la Tabla C1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al Compuesto 448. Por ejemplo, la primera mezcla en la Tabla C74 se designa C74-1 y es una mezcla del Compuesto 448 y el fungicida adicional probenazol.

Tabla C75

La Tabla C75 es idéntica a la Tabla C1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al Compuesto 449. Por ejemplo, la primera mezcla en la Tabla C75 se designa C75-1 y es una mezcla del Compuesto 449 y el fungicida adicional probenazol.

Tabla C76

La Tabla C76 es idéntica a la Tabla C1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al Compuesto 450. Por ejemplo, la primera mezcla en la Tabla C76 se

designa C76-1 y es una mezcla del Compuesto 450 y el fungicida adicional probenazol.

Tabla C77

La Tabla C77 es idéntica a la Tabla C1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al Compuesto 451. Por ejemplo, la primera mezcla en la Tabla C77 se designa C77-1 y es una mezcla del Compuesto 451 y el fungicida adicional probenazol.

Tabla C78

La Tabla C78 es idéntica a la Tabla C1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al Compuesto 462. Por ejemplo, la primera mezcla en la Tabla C78 se designa C78-1 y es una mezcla del Compuesto 462 y el fungicida adicional probenazol.

Tabla C79

La Tabla C79 es idéntica a la Tabla C1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al Compuesto 482. Por ejemplo, la primera mezcla en la Tabla C79 se

designa C79-1 y es una mezcla del Compuesto 482 y el fungicida adicional probenazol.

Tabla C80

La Tabla c80 es idéntica a la Tabla c1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al Compuesto 490. Por ejemplo, la primera mezcla en la Tabla c80 se designa c80-1 y es una mezcla del Compuesto 490 y el fungicida adicional probenazol.

Tabla C81

La Tabla C81 es idéntica a la Tabla C1, excepto que cada referencia al Compuesto 1 en la columna con el encabezamiento "Comp. núm." se reemplaza por una referencia al Compuesto 493. Por ejemplo, la primera mezcla en la Tabla C81 se designa C81-1 y es una mezcla del Compuesto 1 y el fungicida adicional probenazol.

Las plagas de invertebrados en aplicaciones agronómicas y no agronómicas se controlan al aplicar uno o más compuestos de esta invención, típicamente, en la forma de una composición, en una cantidad biológicamente eficaz, al hábitat de las plagas, que incluye el sitio agronómico y/o no

agronómico de infestación, al área que se protegerá, o directamente a la plaga que se controla.

Por consiguiente, la presente invención comprende un método para controlar una plaga de invertebrados en aplicaciones agronómicas y/o no agronómicas; el método comprende poner en contacto la plaga de invertebrados o su hábitat con una cantidad biológicamente eficaz de uno o más de los compuestos de la invención, o con una composición que comprende por lo menos uno de esos compuestos, o una composición que comprende por lo menos uno de esos compuestos y una cantidad biológicamente eficaz de por lo menos un agente o compuesto adicional biológicamente activo. Algunos ejemplos de composiciones adecuadas que comprenden un compuesto de la invención y una cantidad biológicamente eficaz de al menos un agente o compuesto adicional biológicamente activo incluyen composiciones granulares, en donde el compuesto adicional activo está presente en el mismo gránulo que el compuesto de la invención o en gránulos separados de aquellos del compuesto de la invención.

Las modalidades del método de la invención incluyen contactar el hábitat. Se destaca el método, en donde el hábitat es una planta. También cabe destacar el método, en donde el hábitat es un animal. También cabe destacar el método, en donde el hábitat es una semilla.

A fin de poner en contacto un compuesto o una composición de la invención para proteger un cultivo de campo contra plagas de invertebrados, el compuesto o la composición se aplican, típicamente, a la semilla del cultivo antes de la siembra, al follaje (por ejemplo, hojas, tallos, flores y frutos) de las plantas de cultivo, o al suelo u otro medio de crecimiento antes o después de plantar la cosecha.

Una modalidad de un método de contacto es por rociado. Alternativamente, una composición granular que comprende un compuesto de la invención puede aplicarse al follaje de la planta o al suelo. Los compuestos de esta invención también pueden suministrarse eficazmente por absorción de la planta al poner en contacto la planta con una composición que comprende un compuesto de esta invención que se aplica como una formulación líquida para la impregnación del suelo, una formulación granular para el suelo, un tratamiento para cajas de viveros o un baño de inmersión de trasplantes. Se destaca una composición de la presente invención en la forma de una formulación líquida para empapar el suelo. También es de interés un método para controlar una plaga de invertebrados que comprende poner en contacto la plaga de invertebrados o su hábitat con una cantidad biológicamente eficaz de un compuesto de la presente invención o con una composición que comprende una cantidad biológicamente eficaz de un compuesto de la presente invención. Se destaca, además, un método, en

donde el hábitat es el suelo y la composición se aplica como una formulación para la impregnación del suelo. Se destaca, además, que los compuestos de esta invención también son eficaces para aplicarse localmente en el sitio de infestación. Otros métodos de contacto incluyen la aplicación de un compuesto o una composición de la invención mediante rociado directo y residual, rociado aéreo, geles, recubrimiento de semillas, microencapsulaciones, absorción sistémica, cebos, caravanas insecticidas, bolos de alimentos, nebulizadores, fumigadores, aerosoles y polvos, entre muchos otros. Una modalidad de un método de contacto es un gránulo, barra o tableta fertilizante dimensionalmente estable que comprende un compuesto o composición de la invención. Los compuestos de esta invención también pueden estar impregnados en materiales usados para fabricar dispositivos para el control de invertebrados (por ejemplo, redes para insectos).

Los compuestos de esta invención también son útiles en tratamientos de semillas para proteger las semillas contra plagas de invertebrados. En el contexto de la descripción y reivindicaciones de la presente, "tratar una semilla" significa poner en contacto la semilla con una cantidad biológicamente eficaz de un compuesto de la invención, formulado, típicamente, como una composición de la invención. Este tratamiento de la semilla protege la semilla contra plagas de invertebrados del suelo y, típicamente, también

puede proteger las raíces y otras partes de la planta en contacto con el suelo de la plántula que se desarrolla a partir de la semilla germinadora. El tratamiento de la semilla también puede proteger el follaje por traslocación del compuesto de esta invención o un segundo ingrediente activo dentro de la planta en desarrollo. Los tratamientos de semillas pueden aplicarse a todo tipo de semillas, que incluyen las provenientes de plantas modificadas genéticamente para expresar características especializadas en la germinación. Los ejemplos representativos incluyen los que expresan proteínas tóxicas para las plagas de invertebrados, tales como la toxina *Bacillus thuringiensis*o las que expresan resistencia a los herbicidas, tales como glifosato acetiltransferasa, que proporciona resistencia al glifosato.

Un método para tratar la semilla es rociar o espolvorear la semilla con un compuesto de la invención (es decir, como una composición formulada) antes de sembrar las semillas. Las composiciones formuladas para el tratamiento de semillas comprenden, típicamente, un agente adhesivo o formador de película. Por lo tanto, típicamente, una composición de recubrimiento de semillas de la presente invención comprende una cantidad biológicamente eficaz de un compuesto de la Fórmula **1**, un *N*-óxido o sal de este y un formador de película o agente adhesivo. Para recubrir la semilla se puede rociar una suspensión concentrada capaz de fluir directamente en un

lecho de centrifugación de semillas y luego secar las semillas. Alternativamente, otros tipos de formulaciones, tales como polvos humedecidos, soluciones, suspoemulsiones, concentrados emulsificables y emulsiones en agua se pueden rociar sobre la semilla. Este proceso es especialmente útil para aplicar recubrimientos peliculares sobre las semillas. Una persona con experiencia en la técnica conoce diversas máquinas y procesos de recubrimiento. Los procesos adecuados incluyen los enunciados en P. Kosters et al., *Seed Treatment: Progress and Prospects*, 1994 monografía núm. 57 de BCPC, y referencias indicadas en ella.

Típicamente, la semilla tratada comprende un compuesto de la presente invención en una cantidad de aproximadamente 0,1 g a 1 kg por 100 kg de semillas (es decir, de aproximadamente 0,0001 a 1 % en peso de la semilla antes del tratamiento). Una suspensión capaz de fluir formulada para el tratamiento de la semilla comprende, típicamente, de aproximadamente 0,5 a aproximadamente 70 % del ingrediente activo, de aproximadamente 0,5 a aproximadamente 30 % de un adhesivo formador de película, de aproximadamente 0,5 a aproximadamente 20 % de un agente dispersante, de 0 a aproximadamente 5 % de un espesador, de 0 a aproximadamente 5 % de un pigmento y/o tinte, de 0 a aproximadamente 2 % de un agente antiespuma, de 0 a aproximadamente 1 % de un preservativo y de 0 a aproximadamente 75 % de un diluyente líquido volátil.

Los compuestos de esta invención pueden incorporarse en una composición de cebo que consumirá la plaga invertebrada o usarse dentro de un dispositivo, tal como una trampa, estación de cebo y similares. Tal composición cebo puede encontrarse en la forma de gránulos, los cuales comprenden (a) ingredientes activos, a saber, una cantidad biológicamente eficaz de la Fórmula **1**, un *N*-óxido, o sal de estos; (b) uno o más materiales alimenticios; opcionalmente (c) un atrayente y, opcionalmente, (d) uno o más humectantes. Son de interés las composiciones de cebos o gránulos que comprenden entre aproximadamente 0,001-5 % de ingredientes activos, aproximadamente 40-99 % de material alimenticio y/o agente atrayente; y, opcionalmente, aproximadamente 0,05-10 % de humectantes, las cuales son eficaces para controlar las plagas de invertebrados del suelo con concentraciones de aplicación muy bajas, particularmente, en dosis de ingredientes activos que son letales por ingestión y no por contacto directo. Algunos materiales alimenticios pueden actuar como fuente alimenticia y como agente atrayente. Los materiales alimenticios incluyen carbohidratos, proteínas y lípidos. Los ejemplos de materiales alimenticios son harinas vegetales, azúcares, almidones, grasa de origen animal, aceite vegetal, extractos de levadura y sólidos lácteos. Los ejemplos de agentes atrayentes son odorantes y saborizantes, tales como extractos de plantas o frutas, perfumes, u otro componente de

origen animal o vegetal, feromonas u otros agentes conocidos para atraer a la plaga de invertebrados objetivo. Los ejemplos de humectantes, es decir, agentes que retienen la humedad, son glicoles y otros polioles, glicerina y sorbitol. Destaca una composición de cebo (y un método para usar la composición de cebo) empleada para controlar por lo menos una plaga de invertebrados seleccionada del grupo que consiste en hormigas, termitas y cucarachas. Un dispositivo para controlar una plaga de invertebrados puede comprender la composición de cebo de la presente y un alojamiento adaptado para recibir la composición de cebo, en donde el alojamiento tiene por lo menos una abertura dimensionada para permitir que la plaga de invertebrados pase a través de la abertura y pueda tener acceso a la composición de cebo desde un lugar externo al alojamiento y, en donde, además, el alojamiento está adaptado para colocarse en o cerca de un sitio de actividad potencial o conocida para la plaga de invertebrados.

Los compuestos de esta invención pueden aplicarse sin otros adyuvantes, aunque muy frecuentemente la aplicación será la de una formulación que comprende uno o más ingredientes activos con portadores, diluyentes y surfactantes adecuados y, posiblemente, en combinación con un alimento en función del uso final que se contemple. Un método de aplicación implica rociar una dispersión de agua o solución de aceite refinado de un compuesto de la presente

invención. Las combinaciones con aceites rociables, concentraciones de aceites rociables, adhesivos extendedores, adyuvantes, otros solventes y sinergistas, tales como el butóxido de piperonilo, suelen aumentar la eficacia del compuesto. Para usos no agronómicos, estos rocíos pueden aplicarse desde recipientes para rociado, tales como una lata, una botella u otro recipiente, ya sea por medio de una bomba o liberándolas desde un recipiente presurizado, por ejemplo, una lata de aerosol presurizada. Estas composiciones rociables pueden adoptar diversas formas, por ejemplo, rocío, vaporizaciones, espumas, humos o brumas. Así, tales composiciones de rociado pueden comprender propulsores, agentes espumantes, etc. según se necesite para la aplicación. Se destaca una composición rociable que comprende una cantidad biológicamente eficaz de un compuesto o una composición de la presente invención y un vehículo. Una modalidad de dicha composición rociable comprende una cantidad biológicamente eficaz de un compuesto o una composición de la presente invención y un propulsor. Los propulsores ilustrativos incluyen, pero sin limitarse a, metano, etano, propano, butano, isobutano, buteno, pentano, isopentano, neopentano, penteno, hidrofluorocarbonos, clorofluorocarbonos, éter dimetílico y sus mezclas. Se destaca una composición rociable (y un método que usa tal composición rociable dosificada desde un recipiente rociador)

empleada para controlar por lo menos una plaga invertebrada seleccionada del grupo que consiste en mosquitos, mosca negra, mosca del establo, mosca del ciervo, mosca del caballo, avispas, avispas de chaqueta amarilla, avispones, garrapatas, arañas, hormigas, mosquitos y similares, incluidas individualmente o en combinaciones.

Los usos no agronómicos se refieren al control de plagas de invertebrados en áreas que no son campos de plantas de cultivo. Los usos no agronómicos de los compuestos y las composiciones de la presente incluyen el control de plagas de invertebrados en granos, semillas de leguminosas y otros productos alimenticios almacenados y en textiles, tales como ropa y alfombras. Los usos no agronómicos de los compuestos y las composiciones de la presente incluyen, además, el control de plagas de invertebrados en plantas ornamentales, bosques, jardines, a lo largo de caminos y derechos de paso de ferrocarriles, y en céspedes, tales como en parques, campos de golf y pasturas. Los usos no agronómicos de los compuestos y las composiciones de la presente incluyen, además, el control de plagas de invertebrados en casas y otros edificios que pueden estar ocupados por seres humanos y/o animales de compañía, granja, hacienda, zoológico u otros animales. Los usos no agronómicos de los compuestos y las composiciones de la presente incluyen, además, el control de plagas, tales como

termitas, que pueden dañar la madera u otros materiales estructurales usados en edificios.

Los usos no agronómicos de los compuestos y las composiciones de la presente incluyen, además, proteger la salud humana y animal al controlar las plagas de invertebrados que son parasíticas o que transmiten enfermedades infecciosas. El control de parásitos en animales incluye controlar parásitos externos que parasitan la superficie del cuerpo del animal huésped (por ejemplo, hombros, axilas, abdomen, parte interna de los muslos) y parásitos internos que parasitan el interior del cuerpo del animal huésped (por ejemplo, estómago, intestino, pulmones, venas, debajo de la piel, tejido linfático). Las plagas parasitarias externas o transmisoras de enfermedades incluyen, por ejemplo, ácaros colorados, garrapatas, piojos, mosquitos, moscas, ácaros y pulgas. Los parásitos internos incluyen gusanos del corazón, anquilostomas y helmintos. Los compuestos y las composiciones de la presente invención son especialmente adecuados para combatir las plagas parasíticas externas o transmisoras de enfermedades. Los compuestos y las composiciones de la presente invención son adecuados para el control sistémico y/o no sistémico de infestaciones o infecciones causadas por parásitos en animales.

Los compuestos y las composiciones de la presente invención son adecuados para combatir los parásitos que

infestan los sujetos animales que incluyen aquellos en los animales de trabajo en la agricultura, ganado y de vida silvestre, tales como ganado bovino, ovejas, cabras, caballos, cerdos, asnos, camellos, bisón, búfalos, conejos, gallinas, guajalotes, patos, ganso y abejas (por ejemplo, destinados para obtener carne, leche, mantequilla, huevos, piel, cuero, plumas y/o lana). Al combatir los parásitos, disminuyen las muertes y la reducción del rendimiento (en términos de carne, leche, lana, pieles, huevos, miel, etc.) de manera que la aplicación de una composición que comprende un compuesto de la presente invención permite un manejo más económico y sencillo en la crianza de estos animales.

Los compuestos y las composiciones de la presente invención son especialmente adecuados para combatir parásitos que infestan animales de compañía y mascotas (por ejemplo, perros, gatos, pájaros y peces de acuario), animales para investigación y experimentación (por ejemplo, hámsters, cobayos, ratas y ratones), así como también animales criados en zoológicos, hábitats silvestres y/o circos.

En una modalidad de esta invención, el animal es, de preferencia, un vertebrado y, con más preferencia, un mamífero, ave o pez. En una modalidad específica, el sujeto animal es un mamífero (que incluye los antropomorfos, por ejemplo, los seres humanos). Otros sujetos mamíferos incluyen primates (por ejemplo, monos), bobinos (por ejemplo, ganado vacuno o vacas

lecheras), porcinos (por ejemplo, puercos y cerdos), ovinos (por ejemplo, cabras u ovejas), equinos (por ejemplo, caballos), cánidos (por ejemplo, perros), felinos (por ejemplo, gatos domésticos), camellos, ciervos, burros, bisontes, búfalos, antílopes, conejos y roedores (por ejemplo, cobayos, ardillas, ratas, ratones, gerbos y hámsters). Las aves incluyen Anatidae (cisnes, patos y gansos), Columbidae (por ejemplo, palomas y pichones), Phasianidae (por ejemplo, codornices, urogallos y pavos), Thesienidae (por ejemplo, pollos domésticos), Psittacines (por ejemplo, periquitos, guacamayos y loros), aves de caza y ratites (por ejemplo, avestruces).

Las aves tratadas o protegidas con los compuestos de la invención pueden estar relacionadas con la avicultura comercial o no comercial. Éstas incluyen Anatidae, tales como cisnes, gansos y patos, Columbidae, tales como palomas y pichones domésticos, Phasianidae, tales como codornices, urogallos y pavos, Thesienidae, tales como pollos domésticos y Psittacines, tales como periquitos, guacamayos y loros criados para el mercado de mascotas o coleccionistas, entre otros.

Para los fines de la presente invención, el término "pez" debe interpretarse que incluye, sin limitación, la agrupación de peces Teleosti, es decir, los teleósteos. El orden Salmoniformes (que incluye la familia Salmonidae) y el orden Perciformes (que incluye la familia Centrarchidae) están comprendidos dentro del grupo Teleosti. Los ejemplos

de los peces receptores potenciales incluyen los de las familias Salmonidae, Serranidae, Sparidae, Cichlidae y Centrarchidae, entre otros.

También se contemplan otros animales que pueden beneficiarse con los métodos de la presente invención que incluyen los marsupiales (tales como los canguros), reptiles (tales como las tortugas de cría) y otros animales domésticos de importancia económica para los cuales los métodos de la presente invención son seguros y eficaces como tratamiento o prevención de la infección o infestación con parásitos.

Los ejemplos de plagas parasíticas invertebradas controladas mediante la administración de una cantidad parasiticidamente eficaz de un compuesto de esta invención a un animal que se protegerá incluyen ectoparásitos (artrópodos, acarinos, etc.) y endoparásitos (helmintos, por ejemplo, nematodos, tremátodos, cestodos, acantocéfalos, etc.).

La enfermedad o grupo de enfermedades descritas típicamente como helmintiasis se refiere a la infección de un animal huésped con gusanos parasitarios conocidos como helmintos. El término 'helmintos' pretende incluir los nematodos, tremátodos, cestodos y acantocéfalos. La helmintiasis es un problema económico predominante y serio en animales domésticos, tales como cerdos, ovejas, caballos, ganado vacuno, cabras, perros, gatos y aves de corral.

Entre los helmintos, el grupo de gusanos descritos como nematodos causa una infección extendida y algunas veces severa en varias especies de animales. Los nematodos contemplados pará tratarse con los compuestos y métodos de esta invención incluyen, sin limitación, los siguientes géneros: *Acanthocheilonema, Aelurostrongylus, Ancylostoma, Angiostrongylus, Ascaridia, Ascaris, Brugia, Bunostomum, Capillaria, Chabertia, Cooperia, Crenosoma, Dictyocaulus, Dioctophyme, Dipetalonema, Diphyllobothrium, Dirofilaria, Dracunculus, Enterobius, Filaroides, Haemonchus, Heterakis, Lagochilascaris, Loa, Mansonella, Muellerius, Necator, Nematodirus, Oesophagostomum, Ostertagia, Oxyuris, Parafilaria, Parascaris, Physaloptera, Protostrongylus, Setaria, Spirocerca, Stephanofilaria, Strongyloides, Strongylus, Thelazia, Toxascaris, Toxocara, Trichinella, Trichonema, Trichostrongylus, Trichuris, Uncinaria* y *Wuchereria*.

De los anteriores, los géneros más comunes de nematodos que infectan a los animales mencionados anteriormente son *Haemonchus, Trichostrongylus, Ostertagia, Nematodirus, Cooperia, Ascaris, Bunostomum, Oesophagostomum, Chabertia, Trichuris, Strongylus, Trichonema, Dictyocaulus, Capillaria, Heterakis, Toxocara, Ascaridia, Oxyuris, Ancylostoma, Uncinaria, Toxascaris* y *Parascaris*. Algunos de estos, tales como *Nematodirus, Cooperia* y *Oesophagostomum* atacan principalmente el tracto intestinal, en tanto que otros,

tales como *Haemonchus* y *Ostertagia*, son más predominantes en el estómago, mientras que otros, tales como *Dictyocaulus*, se encuentran en los pulmones. Otros parásitos pueden estar en otros tejidos, tales como el corazón y vasos sanguíneos, tejidos subcutáneos y linfáticos y similares.

Los tremátodos contemplados para tratarse con los compuestos y métodos de esta invención incluyen, sin limitación, los siguientes géneros: *Alaria*, *Fasciola*, *Nanophyetus*, *Opisthorchis*, *Paragonimus* y *Schistosoma*.

Los cestodos contemplados para tratarse con los compuestos y métodos de esta invención incluyen, sin limitación, los siguientes géneros: *Diphyllobothrium*, *Diplydium*, *Spirometra* y *Taenia*.

Los géneros más comunes de parásitos del tracto gastrointestinal en humanos son *Ancylostoma*, *Necator*, *Ascaris*, *Strongyloides*, *Trichinella*, *Capillaria*, *Trichuris* y *Enterobius*. Otros géneros de parásitos importantes desde un punto de vista médico que se encuentran en la sangre u otros tejidos y órganos fuera del tracto gastrointestinal son los gusanos filariales, tales como *Wuchereria*, *Brugia*, *Onchocerca* y *Loa*, así como también *Dracunculus* y las etapas extra intestinales de los gusanos intestinales *Strongyloides* y *Trichinella*.

En la técnica se conocen muchos otros géneros y especies de helmintos, y también están contemplados para tratarse con los compuestos de la invención. Se enumeran detalladamente en

Textbook of Veterinary Clinical Parasitology, Volumen 1, Helminths, E. J. L. Soulsby, F. Davis Co., Philadelphia, Pa.; Helminths, *Arthropods and Protozoa, (6° edición de Monnig's Veterinary Helminthology and Entomology, E.* J. L. Soulsby, The Williams and Wilkins Co., Baltimore, Md.

Se contempla, además, que los compuestos de la invención sean eficaces contra un número de ectoparásitos de animales, por ejemplo, ectoparásitos artrópodos de mamíferos y aves aunque se reconoce, además, que algunos artrópodos pueden ser endoparásitos también.

Así, las plagas de insectos y ácaros incluyen, por ejemplo, insectos mordedores, tales como moscas y mosquitos, ácaros, garrapatas, piojos, pulgas, chinchetas, gusanos parasíticos, y similares.

Las moscas adultas incluyen, por ejemplo, moscas de los cuernos o *Haematobia irritans*, moscas del caballo o *Tabanus spp.*, moscas de establos o *Stomoxis calcitrans*, moscas negras o *Simulium spp.*, moscas del ciervo o *Chrysops spp.*, moscas piojo o *Melophagus ovinus* y la mosca tsetsé o *Glossina* spp. Las larvas parasíticas de moscas incluyen, por ejemplo, el moscardón (*Oestrus ovis* y *Cuterebra* spp.), la mosca azul o *Phaenicia* spp., el gusano barrenador o *Cochliomyia hominivorax*, el gusano del ganado vacuno o *Hypoderma* spp., el gusano de la lana y el *Gastrophilus* de los caballos. Los mosquitos incluyen, por ejemplo, *Culex* spp., *Anopheles* spp. y *Aedes* spp.

Los ácaros incluyen Mesostigmata spp., por ejemplo, mesostigmátidos, tales como el ácaro de las gallinas, *Dermanyssus gallinae*; ácaros de la escabiosis, tales como Sarcoptidae spp., por ejemplo, *Sarcoptes scabiei*; ácaros de la sarna, tales como Psoroptidae spp., que incluyen *Chorioptes bovis* y *Psoroptes ovis*; ácaros colorados, por ejemplo, Trombiculidae spp., por ejemplo, el ácaro colorado norteamericano, *Trombicula alfreddugesi*.

Las garrapatas incluyen, por ejemplo, garrapatas de cuerpo blando que incluyen *Argasidae spp.*, por ejemplo *Argas* spp. y Ornithodoros spp.; garrapatas de cuerpo duro que incluyen Ixodidae spp., por ejemplo *Rhipicephalus sanguineus*, *Dermacentor variabilis*, *Dermacentor andersoni*, *Amblyomma americanum*, *Ixodes scapularis* y *Boophilus* spp.

Los piojos incluyen, por ejemplo, piojos chupadores, por ejemplo, *Menopon* spp. y *Bovicola* spp.; piojos mordedores, por ejemplo, *Haematopinus* spp., *Linognathus* spp. y *Solenopotes* spp.

Las pulgas incluyen, por ejemplo, *Ctenocephalides* spp., tales como pulga de perro (*Ctenocephalides canis*) y pulga de gato (*Ctenocephalides felis*); *Xenopsylla* spp. tal como pulga de rata (*Xenopsylla cheopis*); y *Pulex* spp. tal como la pulga del ser humano (*Pulex irritans*).

Los hemípteros incluyen, por ejemplo, *Cimicidae* o por ejemplo, el chinche de cama común (*Cimex lectularius*); Triatominae spp. que incluyen chinches triatómidos que se

conocen además como chinches besuconas; por ejemplo *Rhodnius prolixus* y *Triatoma* spp.

En general, las moscas, pulgas, piojos, mosquitos, jejenes, ácaros, garrapatas y helmintos producen pérdidas enormes en los sectores relacionados con los animales de cría y de compañía. Los parásitos artrópodos también son un problema para los seres humanos y pueden ser el vector de organismos que causan enfermedades en humanos y animales.

En la técnica se conocen muchas otras plagas y ectoparásitos artrópodos, y también se contemplan para tratarse con los compuestos de la invención. Se enumeran detalladamente en *Medical and Veterinary Entomology*, D. S. Kettle, John Wiley & Sons, Nueva York y Toronto; *Control of Arthropod Pests of Livestock: A Review of Technology*, R. O. Drummand, J. E. George, y S. E. Kunz, CRC Press, Boca Raton, Fla.

Los compuestos y las composiciones de esta invención pueden ser efectivas además contra un número de endoparásitos protozoos de animales, tales como los que se resumen en la Tabla 1, como sigue.

Tabla 1

Parásitos protozoarios ilustrativos y enfermedades relacionadas en seres humanos

Grupo taxonómico	**Subfilo**	**Géneros representativos**	**Enfermedad o trastorno en humanos**
Sarcomastigophora (con flagella, pseudopodia, o ambos)	Mastigóforos (Flagelos)	*Leishmania*	Infección visceral, cutánea o mucocutánea
		Trypansoma	Enfermedad del sueño
			Enfermedad de Chagas
		Giardia	Diarrea
		Trichomonas	Vaginitis
	Sarcodina (seudópodos)	*Entamoeba*	Disentería, absceso hepático
		Dientamoeba	Colitis
		Naegleria y Acanthamoeba	Sistema nervioso central y úlceras córneas
		Babesia	Babesiosis
Apicomplexa (complejo apical)		*Plasmodium*	Malaria

		Isospora	Diarrea
		Sarcocystis	Diarrea
		Cryptosporidum	Diarrea
		Toxoplasma	Toxoplasmosis
		Eimeria	Coccidiosis de las gallinas
Microspora		*Enterocytozoon*	Diarrea
Cilióforos (ciliados)		*Balantidium*	Disentería
No clasificadas		*Pneumocystis*	Neumonía

Particularmente, los compuestos de esta invención son efectivos contra ectoparásitos, que incluyen pulgas tales como *Ctenocephalides felis* (la pulga del gato) y *Ctenocephalides canis* (la pulga del perro).

Los compuestos de esta invención podrían ser efectivos, además, contra otros ectoparásitos que incluyen moscas tales como *Haematobia (Lyperosia) irritans* (mosca de los cuernos), *Stomoxys calcitrans* (mosca de los establos), *Simulium* spp. (mosca negra), *Glossina* spp. (moscas tsetsé), *Hydrotaea irritans* (mosca de la cabeza), *Musca autumnalis* (mosca de la cara), *Musca domestica* (mosca doméstica), *Morellia simplex* (mosca del sudor), *Tabanus* spp. (mosca del caballo), *Hypoderma bovis*, *Hypoderma lineatum*, *Lucilia sericata*, *Lucilia cuprina* (moscardón verde), *Calliphora* spp. (moscardón), *Protophormia*

spp., *Oestrus ovis* (moscardón nasal), *Culicoides* spp. (mosquitos), *Hippobosca equine*, *Gastrophilus instestinalis*, *Gastrophilus haemorrhoidalis* y *Gastrophilus naslis*; piojos tales como *Bovicola (Damalinia) bovis*, *Bovicola equi*, *Haematopinus asini*, *Felicola subrostratus*, *Heterodoxus spiniger*, *Lignonathus setosus* y *Trichodectes canis*; mosca o piojo de la oveja tal como *Melophagus ovinus*; ácaros tales como *Psoroptes* spp., *Sarcoptes scabei*, *Chorioptes bovis*, *Demodex equi*, *Cheyletiella* spp., *Notoedres cati*, *Trombicula* spp. y *Otodectes cyanotis* (ácaros de la oreja); y garrapatas tales como *Ixodes* spp., *Boophilus* spp., *Rhipicephalus* spp., *Amblyomma* spp., *Dermacentor* spp., *Hyalomma* spp. y *Haemaphysalis* spp.

Los agentes o compuestos biológicamente activos útiles en las composiciones de la presente invención incluyen los pesticidas de organofosfatos. Esta clase de pesticidas presenta una actividad insecticida muy amplia y, en algunos casos, actividad antihelmíntica. Los pesticidas de organofosfato incluyen, por ejemplo, dicrotofos, terbufos, dimetoato, diazinona, disulfotón, triclorfón, metil azinfos, clorpirifos, malatión, metil oxidemetón, metamidofos, acefato, etil parationa, metilparationa, mevinfós, forato, carbofentión y fosalona. Se contempla además incluir combinaciones de los métodos y compuestos de la presente invención con pesticidas de tipo carbamato, que incluyen, por ejemplo, carbarilo, carbofurano, aldicarb, molinato,

metomilo, carbofurano, etc., además de combinaciones con los pesticidas de tipo organocloro. Además, se considera que incluyen combinaciones con pesticidas biológicos, que incluyen repelentes, las piretrinas (además de variantes sintéticas de éstas, por ejemplo, aletrina, resmetrina, permetrina, tralometrina) y nicotina, a menudo empleada como un acaricida. Otras combinaciones que se contemplan son con pesticidas misceláneos que incluyen: bacillus thuringensis, clorobenzilato, formamidinas (por ejemplo, amitraz), compuestos de cobre (por ejemplo, hidróxido de cobre y sulfato de oxicloruro cúprico), ciflutrina, cipermetrina, dicofol, ensodulfán, esenfenvaleriato, fenvaleriato, lambda-cihalotrina, metoxiclor y azufre.

Son de interés los agentes o compuestos adicionales biológicamente activos seleccionados de antihelmínticos conocidos en la técnica, tales como, por ejemplo, avermectinas (por ejemplo, ivermectina, moxidectina, milbemicina), bencimidazoles (por ejemplo, albendazol, triclabendazol), salicilanilidas (por ejemplo, closantel, oxiclozanida), fenoles sustituidos (por ejemplo, nitroxinil), pirimidinas (por ejemplo, pirantel), imidazotiazoles (por ejemplo, levamisol) y praziquantel.

Otros compuestos o agentes biológicamente activos útiles en las composiciones de la presente invención pueden seleccionarse de los reguladores del crecimiento de insectos

(RCI) y análogos de hormonas juveniles (AHJ) tales como diflubenzurón, triflumurón, fluazurón, ciromacina, metopreno, etc., que proporcionan un control inicial y sostenido de los parásitos (en todas las etapas de desarrollo del insecto, que incluye los huevos) en el sujeto animal, y también dentro del ambiente del sujeto animal.

Se destacan los agentes o compuestos biológicamente activos útiles en las composiciones de la presente invención seleccionados de las clases antiparasíticas de los compuestos de avermectina. Como se declaró anteriormente, la familia de la avermectina de los compuestos es una serie de agentes antiparasíticos muy potentes conocidos por ser útiles contra un amplio espectro de endoparásitos y ectoparásitos en mamíferos.

Un compuesto notable para usar dentro del alcance de la presente invención es la ivermectina. La ivermectina es un derivado semisintético de la avermectina y se produce, típicamente, como una mezcla de por lo menos 80 % de 22,23-dihidroavermectina B_{1a} y una cantidad menor que 20 % de 22,23-dihidroavermectina B_{1b}. La ivermectina se describe en la patente de los Estados Unidos núm. 4.199.569.

La abamectina es una avermectina que se describe como avermectina B_{1a}/B_{1b} en la patente de Estados Unidos 4.310.519. La abamectina contiene al menos 80 % de avermectina B_{1a} y no más de 20 % de avermectina B_{1b}.

Otra avermectina notable es la doramectina, que se conoce además como 25-ciclohexil-avermectina B_1. La estructura y preparación de doramectina se describe en la patente de Estados Unidos 5.089.480.

Otra avermectina notable es la moxidectina. La moxidectina, que se conoce además como LL-F28249 alfa, se conoce de la patente de Estados Unidos 4.916.154.

Otra avermectina notable es la selamectina. La selamectina es 25-ciclohexil-25-de(1-metilpropil)-5-deoxi-22,23-dihidro-5-(hidroximino)-avermectina B_1 monosacárido.

La milbemicina o B41 es una sustancia que se aísla del caldo de fermentación de una cepa de Streptomyces productora de milbemicina. El microorganismo, las condiciones de fermentación y los procedimientos de aislamiento se describen más completamente en la patente de Estados Unidos 3.950.360 y la patente de Estados Unidos 3.984.564.

La emamectina (4''-deoxi-4''-epi-metilaminoavermectina B_1), que puede prepararse como se describe en la patente de Estados Unidos 5.288.710 o la patente de Estados Unidos 5.399.717, es una mezcla de dos homólogos, 4''-deoxi-4''-epi-metilaminoavermectina B_{1a} y 4''-deoxi-4''-epi-metilaminoavermectina B_{1b}. De preferencia, se usa una sal de emamectina. Los ejemplos no limitantes de sales de emamectina que pueden usarse en la presente invención incluyen las sales descritas en la patente de Estados Unidos 5.288.710, por

ejemplo, las sales derivadas de ácido benzoico, ácido benzoico sustituido, ácido bencenosulfónico, ácido cítrico, ácido fosfórico, ácido tartárico, ácido maleico, y similares. Con más preferencia, la sal de emamectina usada en la presente invención es benzoato de emamectina.

La eprinomectina se conoce químicamente como 4''-epi-acetilamino-4''-deoxi-avermectina B_1. La eprinomectina se desarrolló específicamente para usarse en todas las clases de ganado y grupos de edad. Fue la primera avermectina en mostrar una actividad de amplio espectro contra los endo y ectoparásitos y, al mismo tiempo, dejar residuos mínimos en la carne y la leche. Tiene la ventaja adicional de ser altamente potente cuando se suministra en forma tópica.

La composición de la presente invención comprende opcionalmente combinaciones de uno o más de los siguientes compuestos antiparasitarios: compuestos de imidazo[1,2-b]piridazina como se describe en la solicitud de Estados Unidos núm. 11/019.597, presentada el 22 de diciembre de 2004 y publicada el 18 de agosto de 2005 como US 2005-0182059A1; compuestos de 1-(4-mono y di-halometilsulfonilfenil)-2-acilamino-3-fluoropropanol, como se describe en la solicitud de Estados Unidos núm. 11/018.156, presentada el 21 de diciembre de 2004; derivados de éter de trifluorometanosulfonanilida oxima, como se describe en la solicitud de los Estados Unidos con núm. de serie 11/231.423, presentada el 21 de septiembre

21, 2005, ahora patente de los Estados Unidos núm. 7.312.248; y *n*-[(feniloxi)fenil]-1,1,1-trifluorometansulfonamida y derivados de *n*-[(fenilsulfanil)fenil]-1,1,1-trifluorometansulfonamida, como se describe en la solicitud provisional de los Estados Unidos con núm. de serie 60/688.898, presentada el 9, 2005, y publicada como US 2006-0281695A1 el 14 de diciembre de 2006.

Las composiciones de la presente invención pueden comprender además fluquicidas. Los fluquicidas adecuados incluyen, por ejemplo, triclabendazol, fenbendazol, albendazol, clorsulón y oxibendazol. Se reconoce que las combinaciones anteriores también pueden incluir combinaciones de compuestos activos antibióticos, antiparásitos y antitremátodos.

Además de las combinaciones anteriores, también se contempla proporcionar combinaciones de los métodos y compuestos de la invención, tal como se describe en la presente, con otros remedios para la salud animal, tales como elementos traza, antiinflamatorios, antiinfecciosos, hormonas, preparaciones dermatológicas que incluyen antisépticos y desinfectantes, e inmunobiológicos, tales como vacunas y antisueros para la prevención de enfermedades.

Por ejemplo, los antiinfecciosos pueden incluir uno o más antibióticos coadministrados, opcionalmente, durante el tratamiento que usa los métodos y compuestos de la invención, por ejemplo, en una composición combinada y/o en formas de dosificación separadas. Los antibióticos conocidos

en la técnica para este propósito incluyen, por ejemplo, los indicados a continuación.

Otro antibiótico útil es el florfenicol, también conocido como D-(treo)-1-(4-metilsulfonilfenil)-2-dicloroacetamido-3-fluoro-1-propanol. Otro compuesto antibiótico notable es D-(treo)-1-(4-metilsulfonifenil)-2-difluoroacetamido-3-fluoro-1-propanol. Otro antibiótico útil es tiamfenicol. Los procesos para la fabricación de estos compuestos antibióticos, e intermedios útiles en tales procesos, se describen en la patente de Estados Unidos 4.311.857; patente de Estados Unidos 4.582.918; patente de Estados Unidos 4.973.750; patente de Estados Unidos 4.876.352; patente de Estados Unidos 5.227.494; patente de Estados Unidos 4.743.700; patente de Estados Unidos 5.567.844; patente de Estados Unidos 5.105.009; patente de Estados Unidos 5.382.673; patente de Estados Unidos 5.352.832; y patente de Estados Unidos 5.663.361. Se describieron otros análogos de florfenicol y/o profármacos y tales análogos pueden usarse, además, en las composiciones y métodos de la presente invención (ver por ejemplo, la publicación de la solicitud de patente de Estados Unidos núm. 2004/0082553, ahora patente de los Estados Unidos núm. 7.041.670, y solicitud de patente de los Estados Unidos con núm. de serie 11/016.794, ahora patente de los Estados Unidos núm. 7.153.842).

Otro compuesto antibiótico útil es la tilmicosina. Tilmicosin es un antibiótico macrólido que se define

químicamente como 20-dihidro-20-deoxi-20-(*cis*-3,5-dimetilpiperidin-1-il)-desmicosin y el se describe en la patente de los Estados Unidos núm. 4.820.695.

Otro antibiótico útil para usar en la presente invención es la tulatromicina. La tulatromicina se identifica además como (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R) 13-[(2,6-dideoxi-3*C*-metil-3*O*-metil-4-*C*-[(propilamino)metil]-alfa-L-ribo-hexopiranosil]oxi]-2-etil-3,4,10-trihidroxi-3,5,8,10,12,14-hexametil-11-[[3,4,6-trideoxi-3-(dimetilamino)-beta-D-xilo-hexopiranosil]oxi]-1-oxa-6-azaciclopentadecan-15-ona. La tulatromicina se puede preparar de acuerdo con los procedimientos que se exponen en la publicación de patente de los Estados Unidos núm. 2003/0064939 A1.

Otros antibióticos útiles en la presente invención incluyen las cefalosporinas tales como, por ejemplo, ceftiofur, cefquinoma, etc. La concentración de la cefalosporina en la formulación de la presente invención varía, opcionalmente, de aproximadamente 1 mg/ml a 500 mg/ml.

Otro antibiótico útil incluye las fluoroquinolonas, por ejemplo, enrofloxacina, danofloxacina, difloxacina, orbifloxacina y marbofloxacina. La enrofloxacina se administra típicamente en una concentración de aproximadamente 100 mg/ml. La danofloxacina se administra típicamente en una concentración de aproximadamente 180 mg/ml.

Otros antibióticos macrólidos útiles incluyen los compuestos de la clase de cetólidos o, más específicamente, los azálidos. Tales compuestos se describen, por ejemplo, en las patentes de los Estados Unidos núms. 6.514.945, 6.472.371, 6.270.768, 6.437.151, 6.271.255, 6.239.112, 5.958.888, 6.339.063 y 6.054.434.

Otros antibióticos útiles incluyen las tetraciclinas, particularmente, la clortetraciclina y oxitetraciclina. Otros antibióticos pueden incluir β-lactamas tales como penicilinas, por ejemplo, penicilina, ampicilina, amoxicilina o una combinación de amoxicilina con ácido clavulánico u otros inhibidores de la beta lactamasa.

Las aplicaciones no agronómicas en el sector veterinario se hacen por medios convencionales, tales como por administración enteral en la forma de, por ejemplo, tabletas, cápsulas, bebidas, preparaciones para impregnación, granulados, pastas, bolos, procedimientos a través de los alimentos, o supositorios; o por administración parenteral, tales como por vía inyectable (que incluyen inyecciones intramusculares, subcutáneas, intravenosas e intraperitoneales) o implantes; por administración nasal; por administración tópica, por ejemplo, en la forma de inmersión o baños, rocío, lavado, recubrimiento con polvo, o la aplicación a un área pequeña del animal, y a través de artículos tales como collares, caravanas insecticidas, bandas

de cola, bandas para las patas o cabestros que comprenden compuestos o composiciones de la presente invención.

Cualquiera de los compuestos de la presente invención, o una combinación adecuada de estos compuestos, puede administrarse directamente al sujeto animal y/o indirectamente al aplicarla al ambiente donde habita el animal (tal como ropa de cama, habitáculos o similares). La administración directa incluye poner en contacto la piel, el cuero o las plumas de un sujeto animal con los compuestos o alimentar o inyectar al animal con el compuesto.

Los compuestos de la presente invención pueden administrarse en una forma de liberación controlada, por ejemplo, en una formulación de liberación lenta subcutánea, o en la forma de un dispositivo de liberación controlada fijado a un animal, tal como un collar antipulgas. Los collares para la liberación controlada de un agente insecticida para la protección de largo plazo contra la infestación de pulgas de un animal de compañía son conocidos en la técnica y se describen, por ejemplo, en las patentes de los Estados Unidos núms. 3.852.416, 4.224.901, 5.555.848 y 5.184.573.

Típicamente, una composición parasiticida de conformidad con la presente invención comprende una mezcla de un compuesto de Fórmula **1**, un *N*-óxido, o una sal de éste, con uno o más portadores farmacéutica o veterinariamente aceptables que comprenden excipientes y auxiliares

seleccionados en relación con la ruta prevista de administración (por ejemplo, administración por vía oral o parenteral, tal como inyectable) y de conformidad con prácticas estándar. Además, un portador adecuado se selecciona basándose en la compatibilidad con uno o más de los ingredientes activos en la composición, lo que incluye consideraciones tales como la estabilidad relativa al pH y el contenido de humedad. Por lo tanto se destaca una composición para proteger un animal de una plaga parasítica invertebrada que comprende una cantidad eficaz como parasiticida de un compuesto de la invención y al menos un portador.

Para administrarse por vía parenteral, que incluye inyección intravenosa, intramuscular y subcutánea, un compuesto de la presente invención puede formularse en una suspensión, solución o emulsión en vehículos oleosos o acuosos y puede contener adyuvantes, tales como agentes de suspensión, estabilizantes y/o dispersantes. Los compuestos de la presente invención también pueden formularse para inyección en bolo o infusión continua. Las composiciones farmacéuticas para inyección incluyen soluciones acuosas de formas solubles en agua de ingredientes activos (por ejemplo, una sal de un compuesto activo), de preferencia, en amortiguadores fisiológicamente compatibles que contienen otros excipientes o auxiliares tal como se conocen en la técnica de la formulación farmacéutica. Además, pueden

prepararse suspensiones de los compuestos activos en un vehículo lipófilo. Los vehículos lipófilos adecuados incluyen los aceites grasos, tales como aceite de ajonjolí, ésteres de ácidos grasos sintéticos, tales como oleato de etilo y triglicéridos, o materiales tales como liposomas. Las suspensiones acuosas inyectables pueden contener sustancias que aumentan la viscosidad de la suspensión, tales como carboximetilcelulosa sódica, sorbitol o dextrina. Las formulaciones inyectables pueden presentarse en una forma de dosificación única, por ejemplo, en ampollas, o en recipientes de múltiples dosis. Alternativamente, el ingrediente activo puede estar en forma de polvo para constituirse con un vehículo adecuado antes del uso, por ejemplo, un vehículo estéril o agua libre de pirógenos.

Además de las formulaciones descritas anteriormente, los compuestos de la presente invención también pueden formularse como una preparación de depósito. Las formulaciones de acción prolongada pueden administrarse por implantación (por ejemplo, subcutánea o intramuscularmente) o por inyección intramuscular o subcutánea. Los compuestos de la presente invención pueden formularse para esta vía de administración con materiales poliméricos o hidrófobos adecuados (por ejemplo, en una emulsión con un aceite farmacológicamente aceptable), con resinas de intercambio iónico, o como un

derivado soluble usado moderadamente tal como, sin limitación, pequeñas cantidades de una sal soluble.

Para la administración mediante inhalación, los compuestos de la presente invención pueden suministrarse en forma de rocío en aerosol con un paquete presurizado o un nebulizador y un propulsor adecuado, por ejemplo, pero sin limitarse a, diclorodifluometano, triclorofluometano, diclorotetrafluoroetano o dióxido de carbono. En el caso de un aerosol presurizado, se puede controlar la unidad de dosificación al proporcionar una válvula para suministrar una cantidad medida. Por ejemplo, las cápsulas y cartuchos de gelatina para usarse en un inhalador o insuflador pueden formularse para que contengan una mezcla en polvo del compuesto y una base de polvo adecuada, tal como lactosa o almidón.

Se ha descubierto que los compuestos de la presente invención tienen propiedades farmacocinéticas y farmacodinámicas adecuadas que proporcionan disponibilidad sistémica a partir de la administración oral y la ingestión. Por lo tanto, después de que el animal que se protegerá ingiere el compuesto, las concentraciones efectivas como parasíticas de los compuestos de la presente invención en el torrente sanguíneo protegen al animal tratado frente a las plagas succionadoras de sangre tales como pulgas, garrapatas y piojos. Por lo tanto, es de interés una composición para proteger a un animal contra una plaga parasitaria de invertebrados en una

forma de administración por vía oral (es decir, que comprende, además de una cantidad eficaz como parasiticida de un compuesto de la invención, uno o más portadores seleccionados de los aglomerantes y cargas adecuadas para administrarse oralmente y portadores concentrados para alimentos).

Para administración oral en la forma de soluciones (la forma más fácilmente disponible para absorción), emulsiones, suspensiones, pastas, geles, cápsulas, tabletas, bolos, polvos, gránulos, bloques de alimento y retención rumenal, de agua/para lamer, un compuesto de la presente invención puede formularse con cargas/aglomerantes conocidos en la técnica adecuados para composiciones de administración oral, tales como azúcares, derivados de azúcares (por ejemplo, lactosa, sacarosa, manitol, sorbitol), almidón (por ejemplo, almidón de maíz, almidón de trigo, almidón de arroz, almidón de papa), celulosa y derivados (por ejemplo, metilcelulosa, carboximetilcelulosa, etilhidroxicelulosa), derivados de proteínas (por ejemplo, zeína, gelatina), y polímeros sintéticos (por ejemplo, alcohol polivinílico, polivinilpirrolidona). Si se deseara, se pueden adicionar lubricantes (por ejemplo, estearato de magnesio), agentes desintegradores (por ejemplo, polivinilpirrolidona reticulada, agar, ácido algínico) y colorantes o pigmentos. A menudo, las pastas y los geles también contienen adhesivos (por ejemplo, acacia, ácido algínico, bentonita, celulosa,

goma xantana, silicato de aluminio y magnesio coloidal) para ayudar a mantener la composición en contacto con la cavidad oral y evitar que sea fácilmente expulsada.

Si las composiciones parasiticidas están en la forma de concentrados alimenticios, el portador se selecciona, típicamente, de alimentos de alto rendimiento, cereales alimenticios o concentrados de proteínas. Estas composiciones que contienen concentrados alimenticios pueden comprender, además de los ingredientes activos parasiticidas, aditivos que favorecen la salud o el crecimiento del animal, mejoran la calidad de la carne de los animales destinados para sacrificio o son de otra manera útiles para la crianza de animales. Estos aditivos pueden incluir, por ejemplo, vitaminas, antibióticos, quimioterapéuticos, bacteriostatos, fungistatos, coccidiostatos y hormonas.

Los compuestos de Fórmula **1** también pueden formularse en composiciones rectales, tales como supositorios o enemas de retención usando, por ejemplo, las bases convencionales para supositorios, tales como manteca de cacao u otros glicéridos.

Las formulaciones para administración tópica están, típicamente, en la forma de un polvo, crema, suspensión, rociado, emulsión, espuma, pasta, aerosol, ungüento, emplasto o gel. Más comúnmente, una formulación tópica es una solución soluble en agua que puede estar en la forma de un concentrado que se diluye antes del uso. Las composiciones parasiticidas

adecuadas para administrarse tópicamente comprenden, típicamente, un compuesto de la presente invención y uno o más portadores tópicamente adecuados. En aplicaciones de composiciones parasiticidas que se aplican en forma tópica en la parte externa de un animal como una línea o mancha (es decir, tratamiento "preciso"), el ingrediente activo migra sobre la superficie del animal para cubrir la mayor parte o toda el área de superficie externa. En consecuencia, el animal tratado está especialmente protegido de las plagas de invertebrados que se alimentan de la epidermis del animal, tales como garrapatas, pulgas y piojos. Por ello, las formulaciones para administración tópica localizada a menudo comprenden al menos un disolvente orgánico para facilitar el transporte del ingrediente activo sobre la piel y/o la penetración en la epidermis del animal. Los portadores de estas formulaciones incluyen propilenglicol, parafinas, aromáticos, ésteres, tales como miristato de isopropilo, éteres de glicol, alcoholes, tales como etanol, *n*-propanol, 2-octil dodecanol o alcohol oleílico; soluciones en ésteres de ácidos monocarboxílicos, tales como miristato de isopropilo, palmitato de isopropilo, éster oxálico del ácido láurico, éster oleílico del ácido oleico, éster decílico del ácido oleico, laurato de hexilo, oleato de oleilo, oleato de decilo, ésteres de alcoholes grasos saturados con una longitud de cadena de C_{12}-C_{18} del ácido caproico; soluciones de ésteres de

ácidos dicarboxílicos, tales como ftalato de dibutilo, isoftalato de diisopropilo, éter diisopropílico del ácido adípico, di-*n*-butil adipato o soluciones de ésteres de ácidos alifáticos, por ejemplo, glicoles. Puede ser ventajoso que también esté presente un inhibidor de cristalización o un dispersante conocido de la industria farmacéutica o cosmética.

También puede prepararse una formulación vertible para controlar los parásitos en un animal de importancia para la agricultura. Las formulaciones para verter de la presente invención pueden estar en la forma de un líquido, polvo, emulsión, espuma, pasta, aerosol, ungüento, bálsamo o gel. En general, la formulación para verter es líquida. Estas formulaciones para verter se pueden aplicar de manera efectiva en las ovejas, ganado, cabras, otros rumiantes, camélidos, cerdos y caballos. En general, para su aplicación, estas composiciones se vierten en una o varias líneas o en una línea media localizada en el dorso (lomo) u hombro de un animal. Más específicamente, la formulación se vierte a lo largo del lomo del animal, en la línea de la espina dorsal. La formulación también puede aplicarse en el animal mediante otros métodos convencionales que incluyen la etapa de pasar un material impregnado sobre al menos un área pequeña del animal o aplicarla con un aplicador disponible comercialmente, por medio de una jeringa, rocío o con un corral de rociado. Las formulaciones vertibles incluyen un

portador y también pueden incluir uno o más ingredientes adicionales. Los ejemplos de ingredientes adicionales adecuados son estabilizantes, tales como antioxidantes, agentes extendedores, conservantes, promotores de adhesión, solubilizantes activos, tales como ácido oleico, modificadores de la viscosidad, bloqueadores u absorbedores UV y colorantes. Los agentes tensoactivos, que incluyen agentes tensoactivos aniónicos, catiónicos, no iónicos y anfolíticos, también pueden incluirse en estas formulaciones.

Las formulaciones de esta invención incluyen, típicamente, un antioxidante, tal como BHT (hidroxitolueno butilado). El antioxidante se presenta, típicamente, en cantidades de 0,1-5 % (p/vol). Algunas de las formulaciones requieren un solubilizante, tal como ácido oleico, para disolver el agente activo, en especial, si se usa espinosad. Los agentes extendedores comunes usados en estas formulaciones vertibles son: IPM, IPP, ésteres de ácido caprílico/ácido cáprico de alcoholes grasos saturados de C_{12}-C_{18}, ácido oleico, éster de oleilo, oleato de etilo, triglicéridos, aceites de silicona y DPM. Las formulaciones vertibles de esta invención se preparan de acuerdo con técnicas conocidas. Cuando la formulación vertible es una solución, elparasiticida/insecticida se mezcla con el portador o vehículo mediante el uso de calor y agitación cuando es necesario. En la mezcla de agente activo y portador

se pueden añadir ingredientes auxiliares o adicionales o estos se pueden mezclar con el agente activo antes de la adición del vehículo. Si la formulación vertible es una emulsión o suspensión, las suspensiones se preparan de la misma manera mediante el uso de técnicas conocidas.

Se pueden usar otros sistemas de suministro para compuestos farmacéuticos relativamente hidrófobos. Los liposomas y las emulsiones son ejemplos muy conocidos de portadores o vehículos de suministro para fármacos hidrófobos. Además, si fuera necesario, pueden usarse solventes orgánicos, tales como sulfóxido de dimetilo.

Para aplicaciones agronómicas, la concentración de aplicación necesaria para el control eficaz (es decir, una "cantidad biológicamente eficaz") dependerá de tales factores como la especie de invertebrados que se controlará, el ciclo de vida de la plaga, la etapa de la vida, su tamaño, ubicación, tiempo del año, cultivo o animal huésped, comportamiento de alimentación, comportamiento de apareamiento, humedad ambiental, temperatura y similares. En circunstancias normales, una concentración de aplicación de aproximadamente 0,01 a 2 kg de ingredientes activos por hectárea es suficiente para controlar las plagas en ecosistemas agronómicos, pero una concentración tan baja como 0,0001 kg/hectárea puede ser suficiente o una contracción tan alta como 8 kg/hectárea puede ser necesaria. Para

aplicaciones no agronómicas, las concentraciones de uso eficaces variarán de aproximadamente 1,0 a 50 mg/metro cuadrado, pero puede ser suficiente una cantidad tan pequeña como 0,1 mg/metro cuadrado o pueden requerirse cantidades tan grandes como 150 mg/metro cuadrado. Una persona con experiencia en la técnica podrá determinar fácilmente la cantidad biológicamente eficaz necesaria para el nivel de control deseado para la plaga de invertebrados.

En general, para uso veterinario, un compuesto de la Fórmula **1**, un *N*-óxido, o una sal de éste, se administra en una cantidad eficaz como parasiticida a un animal que se protegerá de plagas parasitarias invertebradas. Una cantidad eficaz como parasiticida es la cantidad de ingrediente activo necesaria para obtener un efecto visible que diminuya la aparición o actividad de la plaga parásita invertebrada objetivo. Una persona con experiencia en la técnica apreciará que la dosis efectiva como parasiticida puede variar para los distintos compuestos y composiciones de la presente invención, el efecto y la duración parasiticida deseada, la especie de plaga de invertebrados objetivo, el animal que se protegerá, el modo de aplicación y similares, y la cantidad necesaria para obtener un resultado específico pueden determinarse por medio de experimentación simple.

Para la administración por vía oral en animales homeotérmicos, la dosis diaria de un compuesto de la presente

invención varía, típicamente, de aproximadamente 0,01 mg/kg a aproximadamente 100 mg/kg, más típicamente, de aproximadamente 0,5 mg/kg a aproximadamente 100 mg/kg, de peso corporal del animal. Para la administración tópica (por ejemplo, dérmica), los baños desinfectantes y los aerosoles habitualmente contienen de aproximadamente 0,5 ppm a aproximadamente 5000 ppm, más habitualmente de aproximadamente 1 ppm a aproximadamente 3000 ppm, de un compuesto de la presente invención.

En las Tablas Índice A-E se muestran los compuestos representativos de esta invención preparados mediante los métodos descritos en la presente invención. Véase en la Tabla índice F para obtener información de ^{1}H RMN. Para los datos espectrales de masa (AP^+ (M+1)), el valor numérico reportado es el peso molecular del ion genitor molecular (M) formado por la adición de H^+ (peso molecular de 1) a la molécula para dar un pico M+1 observado por espectrometría de masa por medio de la ionización química a presión atmosférica (AP^+). No están reportados los picos de iones moleculares (por ejemplo, M+2 o M+4) que se producen con compuestos que contienen múltiples halógenos. La variable "R^A" en las Tablas índice A-C representa un sustituyente o una combinación de sustituyentes como se enumera en las Tablas índice A-C.

Las siguientes abreviaciones se usan en las tablas índice a continuación: Comp. significa Compuesto, Me es metilo, Et es

etilo, *i*-Pr es isopropilo, *n*-Bu es butilo *normal*, *t*-Bu es butilo *terciario*, Ph es fenilo, CHO es formilo, Ac es acetilo (es decir, $C(O)CH_3$) y SO_2Me es metilsulfonilo.

Los fragmentos X-1 a X-6 mostrados abajo son referidos en las Tablas índice. La línea ondulada denota el punto de unión del fragmento al resto de la molécula.

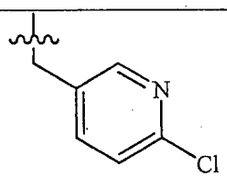
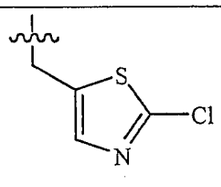
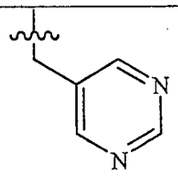
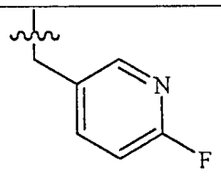
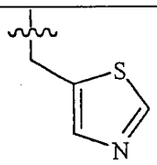
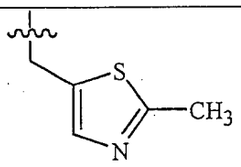
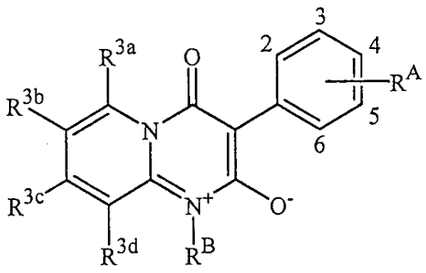
			
X-1	X-2	X-3	X-4
			
X-5	X-6		

Tabla índice A

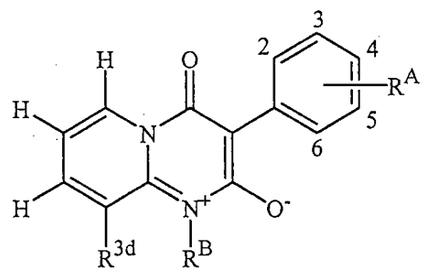


Compuesto	R^A	R^b	R^{3a}	R^{3b}	R^{3c}	R^{3d}	AP+ (M+1)
1	3-OCF_3	X-2	H	H	H	Br	532
2	H	Pr	H	CH_3	H	H	295
3	H	Pr	H	H	CH_3	H	295
4	H	Pr	CH_3	H	H	H	295
5	H	Pr	H	Ciano	H	H	306
6	H	Pr	Cl	H	H	H	315
8	H	Pr	H	H	H	Cl	315
9	H	X-1	F	H	H	H	382
10	4-F	X-1	F	H	H	H	400
11	3-OCF_3	X-1	F	H	H	H	466
12	2-F, 4-F	X-1	F	H	H	H	418
13	3-OCF_3	X-1	H	F	H	F	*
14	2-F, 4-F	X-1	H	F	H	F	*
15	4-F	X-1	H	F	H	F	*
16	H	CH_2CF_3	H	H	H	OH	*
17	4-F	CH_2CF_3	H	H	H	OH	355
18	3-CF_3	X-2	H	H	H	Br	516
19	3-Br	X-2	H	H	H	Br	558
20	3-Cl, 5-CF_3	X-2	H	H	H	Br	550
21	2-F, 3-Cl, 5-CF_3	X-2	H	H	H	Br	600
22	3-OCF_3	X-2	H	H	H	Ciano	479

23	3-OCF_3	X-2	H	H	H	Cl	488
24	3-OCF_3	X-2	H	H	OCH_3	H	484
25	3-OCF_3	X-2	H	OCH_3	H	H	484
26	3-OCF_3	X-2	H	H	H	CH_3	468
27	3-OCF_3	X-2	H	H	Br	H	532
28	3-CF_3	X-2	H	H	Br	H	516
29	3-CF_3	X-2	H	H	H	CH_3	452
30	2-F	X-2	H	H	H	CH_3	402
31	2-F	X-2	H	H	Br	H	466
32	2-F	X-2	H	H	OCH_3	H	418
33	3-Cl, 5-OCF_3	X-2	H	H	H	Br	566
34	H	X-2	H	H	CH_3	H	384
35	H	X-2	H	H	Cl	H	404
54	3-OCF_3	X-2	CH_3	H	H	H	468
55	2-F	X-2	CH_3	H	H	H	402
61	3-OCF_3	X-2	H	Br	H	CH_3	546
63	2-F, 3-CF_3	X-2	H	Br	H	CH_3	470
430	2-F	X-2	H	I	H	H	514

* Véase la Tabla índice F para obtener información de ^1H RMN.

Tabla índice B



Compuesto	R^A	R^b	R^{3d}	m.p. (°C)	AP+ (M+1)
36	3-Cl, 5-OCF_3	X-2	CH_3		502
37	2-F, 6-F	X-2	CH_3		420
38	2-F	X-1	CH_3		396
39	3-OCF_3	X-1	CH_3		462
40	3-SCF_3	X-2	CH_3		484
41	2-F	X-2	OCH_3		418
42	H	X-3	CH_3		*
43	3-OCF_3	X-3	CH_3		*
44	2-F	X-3	CH_3		*
45	3-CF_3	X-3	CH_3		*
46	2-F, 6-F	X-3	CH_3		*
47	H	X-2	CH_3		383
48	2-OCH_3, 5-OCH_3	X-2	CH_3		443
49	2-F, 5-CF_3	X-2	CH_3		470
50	4-F	X-2	CH_3		402
51	3-OCF_3	X-2	OCH_3		484

52	2-F, 5-CF_3	X-2	OCH_3	486
53	2-OCH_3, 5-OCF_3	X-2	CH_3	498
56	2-OCH_3	X-2	CH_3	414
57	2-F, 3-Cl, 5-CF_3	X-2	CH_3	504
58	4-SCF_3	X-2	CH_3	484
59	2-F, 4-F	X-2	CH_3	420
60	2-F, 3-Cl	X-2	CH_3	436
62	3-OCH_3	X-2	CH_3	414
64	3-SCF_3	X-4	CH_3	462
65	3-I	X-2	CH_3	510
66	2-F, 3-CF_3	X-2	OCH_3	486
67	3-I	X-2	CH_3	476
68	3-OCF_3	X-2	pH	530
69	3-OCH_3	X-2	pH	476
70	3-OCF_3	X-5	CH_3	434
71	3-OCF_3	X-6	CH_3	448
72	3-OCF_3	X-4	CH_3	446
73	3-CF_3	X-5	CH_3	418
74	3-CF_3	X-6	CH_3	432
75	3-CF_3	X-4	CH_3	430
76	2-F	X-5	CH_3	368
77	2-F	X-6	CH_3	382
78	2-F	X-4	CH_3	380
79	3-Br, 5-CF_3	X-2	CH_3	530

80	3-Br, 5-OCF_3	X-2	CH_3	546
81	3-Cl, 5-CF_3	X-2	CH_3	486
82	3-F, 5-CF_3	X-2	CH_3	470
83	3-I, 5-OCH_3	X-2	CH_3	540
84	3-Br	X-2	CH_3	462
101	3-(C≡CH)	X-2	CH_3	408
102	3-($CH=CH_2$)	X-2	CH_3	410
103	2-Cl, 5-CF_3	X-2	CH_3	486
104	2-F, 3-OCH_3	X-2	CH_3	432
105	4-Cl	X-2	CH_3	418
106	3,4-(-OCH_2O-)	X-2	CH_3	428
107	3-CF_3	CH_2CF_3	CH_3	403
108	2-OCH_3, 3-F, 5-F	X-2	CH_3	450
109	2-OCH_3, 3-OCH_3,	X-2	CH_3	444
110	3-F, 4-F, 5-F	X-2	CH_3	438
111	2-F, 4-OCH_3	X-2	CH_3	432
112	3-OCH_3, 4-F	X-2	CH_3	432
113	3-Cl, 5-Cl	X-2	CH_3	452
114	3-OCH_3, 4-OCH_3	X-2	CH_3	444
115	4-OCH_3	X-2	CH_3	414
116	2-OCH_3, 4-OCH_3	X-2	CH_3	444
117	3-F, 4-OCH_3	X-2	CH_3	432
118	3-Cl	X-2	CH_3	418
119	3-F, 4-F	X-2	CH_3	420

120	3-CF_3, 4-F	X-2	CH_3	470
121	2-OCH_3, 5-CF_3	X-2	CH_3	482
122	3-CH_3	X-2	CH_3	398
123	3-CH_2CH_3	X-2	CH_3	412
124	2-OCH_3, 5-F	X-2	CH_3	432
125	2-F, 3-F	X-2	CH_3	420
126	2-OCH_3, 5-Br	X-2	CH_3	492
127	2-F, 5-Cl	X-2	CH_3	436
128	3-OCF_3, 4-Cl	X-2	CH_3	502
129	2-OCH_3, 5-Cl	X-2	CH_3	448
130	2-Cl, 5-Cl	X-2	CH_3	452
131	3-Cl, 5-CF_3	X-2	OCH_3	502
132	3-OCH_3, 5-OCH_3	X-2	CH_3	444
133	3-Cl, 5-CF_3	X-6	CH_3	466
134	2-Cl, 3-Cl	X-2	CH_3	452
135	3-OCH_2CH_3	X-2	CH_3	428
136	3-OCF_3	X-2	CH_2CH_3	482
152	2-OCH_2CH_3	X-2	CH_3	428
153	H	X-2	$CH{=}CH_2$	396
154	3-CF_3	X-2	$CH{=}CH_2$	464
155	2-F	X-2	$CH{=}CH_2$	414
156	3-CF_3	CH_2(1-metil-4-imidazolilo)	CH_3	415
157	2-F, 5-Br	X-2	CH_3	480

158	3-F, 4-Cl	X-2	CH_3	436
159	3-F	X-2	CH_3	402
160	3,5-diF	X-2	CH_3	420
161	2-F, 4-Cl	X-2	CH_3	436
162	3,4-diCl	X-2	CH_3	452
163	3-Br, 5-F	X-2	CH_3	480
164	3-CH_3, 4-Cl	X-2	CH_3	432
165	2,5-diF	X-2	CH_3	420
166	2-Cl, 4-F	X-2	CH_3	436
167	3-CH_3, 5-CF_3	X-2	CH_3	466
168	3-CH_3, 5-Cl	X-2	CH_3	462
169	2-CH_3, 4-Br	X-2	CH_3	476
170	3-Br, 4-F	X-2	CH_3	480
173	3-OCF_3, 4-F	X-2	CH_3	486
179	2-F	X-2	CH_2CH_3	416
180	3-OCH_2CH_3, 5-OCH_2CH_3	X-2	CH_3	440
181	2-CH_3, 5-Cl	X-2	CH_3	432
182	2-OCH_3, 5-CH_3	X-2	CH_3	428
183	2-F, 5-CH_3	X-2	CH_3	416
185	H	X-2	Cl	404
186	2-F	X-2	Cl	421
187	3-$C(CH_3)_3$	X-2	CH_3	440
188	3-Cl, 5-CF_3	X-2	CH_2CH_3	500

192	3-(4-clorofenilo)	X-2	CH_2CH_3	508
196	2-F, 3-CH_3	X-2	CH_3	416
197	2-OCH_3, 3-CH_3	X-2	CH_3	428
198	3-Br	X-2	CH_2CH_3	476
202	3-(4-clorofenilo)	X-2	OCH_3	510
203	3-$CH_2CH_2CH_3$	X-2	CH_3	426
204	2-CH_3	X-2	CH_3	398
205	4-CH_3	X-2	CH_3	398
206	2-Cl	X-2	CH_3	*
212	2-F	X-2	I	514
213	2-F	X-2	CH_2F	420
216	H	X-2	CH_2F	402
217	3-CF_3	X-2	CH_2F	470
218	H	X-2	I	*
223	4-F	X-2	OCH_3	418
224	2-nitro	X-2	CH_3	*
225	2-nitro, 5-CF_3	X-2	CH_3	*
226	H	X-2	$CH_2OC(O)CH_3$	*
227	3-CH_3, 5-CH_3	X-2	CH_3	411
228	3-Cl, 4-F	X-2	CH_3	436
234	3-ciano, 4-F	X-2	CH_3	428

235	3-Br, 5-CH_3	X-2	CH_3	476
236	4-ciano	X-2	CH_3	409
237	4-nitro	X-2	CH_3	*
240	3-ciano, 5-CF_3	X-2	CH_3	477
241	3-$CH(CH_3)_2$	X-2	CH_3	426
243	3-$Si(CH_2CH_3)_3$	X-2	CH_3	498
244	3-$Si(CH_3)_3$	X-2	CH_3	456
249	3-$OSi(CH(CH_3)_2)_3$	X-2	CH_3	556
257	3-Br, 5-Cl	X-2	CH_3	496
265	3-CH_3, 5-$Si(CH_3)_3$	X-2	CH_3	470
266	3-OCH_3, 5-$C(O)OCH_3$	X-2	CH_3	472
268	3-ciano, 5-OCH_3	X-2	CH_3	539
296	3-Br, 5-ciano	X-2	CH_3	487
297	3-F, 5-ciano	X-2	CH_3	427
298	3-Cl, 5-ciano	X-2	CH_3	443
304	3-ciano, 5-CH_3	X-2	CH_3	423
310	2-I	X-2	CH_3	510
311	3-ciano	X-2	CH_3	409
312	2-ciano	X-2	CH_3	409
324	2-F, 5-ciano	X-2	CH_3	427
335	3,5-diCl, 4-F	X-2	CH_3	*
339	3-$C(O)OCH_3$	X-2	CH_3	442
342	2-Cl, 5-Br	X-2	CH_3	496

	348	H	2-metil-1-imidazolilo	CH_3	*
	349	H	4-metil-1-imidazolilo	CH_3	*
	350	H	2,4-dimetil-1-imidazolilo	CH_3	361
	351	3-Cl, 5-$C(O)OCH_3$	X-2	CH_3	476
	353	3-Br, 4-CH_3	X-2	CH_3	475
	354	3-(2-cloro-4-(trifluorometil) fenilo)	X-2	OCH_3	578
	355	3-(2-fluoro-4-(trifluorometil) fenilo)	X-2	OCH_3	562
	370	H	1-imidazolilo		333
	373	3-$C(O)CH_3$	X-2	CH_3	426
	380	3-CF_3, 5-$C(O)OCH_3$	X-2	CH_3	510
	382	3-ciclopropilo	X-2	CH_3	424
	389	3,5-diCl	$CH_2CH_2CH_2OCH_3$	CH_3	393
	390	H	$CH_2CH_2CH_2OCH_3$	CH_3	107-109
	392	2-F	$CH_2CH_2CH_2OCH_3$		109-110

395	3-Cl, 5-F	X-2	CH_3		436
396	4-C(O)OCH_3	X-2	CH_3		442
397	4-C(O)CH_3	X-2	CH_3		426
403	3-F, 5-CF_3	X-2	OCH_3		486
404	3-Cl, 5-OCH_3	X-2	CH_3		448
405	3-CH_3, 4-F	X-2	CH_3		416
406	H	3-metil-5-isoxazolilo	CH_3	109-111	
413	4-(2-cloro-4-(trifluorometil) fenilo)	X-2	CH_3		562
414	4-I	X-2	CH_3		510
415	4-(2-cloro-4-fluorofenilo)	X-2	CH_3		512
416	4-(3-cloro-5-(trifluorometil) fenilo)	X-2	CH_3		562
417	2-F	CH_2(3-tetrahidrofuranilo)	CH_3		354
420	4-(3-cloro-4-(trifluorometil) fenilo)	X-2	CH_3		564

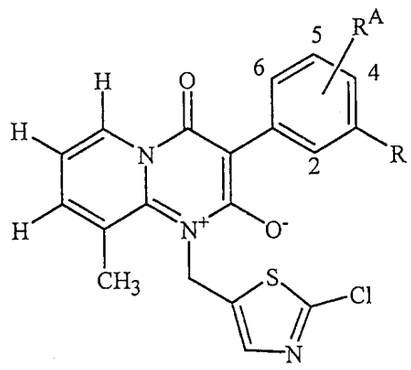
423	2-(2-cloro-4-(trifluorometil) fenilo)	X-2	CH_3		562
424	3-F, 5-OCH_3	X-2	CH_3		432
425	3-Cl, 5-I	X-2	CH_3		544
426	3-OCH_3, 5-CF_3	X-2	CH_3		482
428	2-(2-cloro-4-fluorofenilo)	X-2	CH_3		512
436	H	CH_2(3-tetrahidrofuranilo)	CH_3	208-211	
439	3-($C(CH_3)=NOCH_3$)	X-2	CH_3		455
442	3-Cl, 5-F	X-2	OCH_3		452
445	3-(2-cloro-4-(trifluorometil) fenilo)	$CH_2CH_2CH_2OCH_3$	CH_3	144-146	
446	3,5-diCl	CH_2(3-tetrahidrofuranilo)	CH_3	>300	
452	2-OCH_3, 5-CN	X-2	CH_3		439
453	3-($C(CH_3)=NOCH_2CH_3$)	X-2	CH_3		469
458	3-tienilo	X-2	CH_3		466
459	3-OCF_3	CH_2(3-tetrahidrofuranilo)	CH_3		420
460	3-OCF_3	$CH_2CH_2CH_2OCH_3$	CH_3		408

463	3-(2,4-dimetilfenilo)	X-2	CH_3		504
469	2-F	CH_2(3-metil-5-isoxazolilo)	CH_3	162-164	
470	3-(2-cloro-4-(trifluorometil)fenilo)	CH_2(3-metil-5-isoxazolilo)	CH_3	181-182	
471	3,5-diCl	CH_2(3-metil-5-isoxazolilo)	CH_3	184-186	
472	H	CH_2CF_3	CH_3	138-140	
473	2-F	CH_2CF_3	CH_3	188-190	
475	H	CH_2(5-tiazolilo)	CH_3	174-176	
476	3,5-diCl	CH_2(5-tiazolilo)	CH_3	200-201	
477	3-(2-cloro-4-(trifluorometil)fenilo)	CH_2(5-tiazolilo)	CH_3	107-109	
478	H	CH_2(2-metil-5-tiazolil)	CH_3	164-166	

479	3-(2-cloro-4-(trifluorometil)fenilo)	CH_2(2-metil-5-tiazolilo)	CH_3	199-200
480	3-(2-cloro-4-(trifluorometil)fenil), 4-F	X-2	OCH_3	596
481	3-Cl, 5-(4-cloro-2-fluorofenilo)	X-2	OCH_3	562
486	3-Cl, 4-Br	X-2	CH_3	498
487	3-CF_3, 4-Br	X-2	CH_3	531
488	2-F, 3-Cl, 4-Br	X-2	CH_3	*
494	H	CH_2(2-cloro-4-(trifluorometil)-5-tiazolilo)	CH_3	452
495	3-CH_3, 5-OCH_3	X-2	CH_3	429
496	3-F, 5-I	X-2	CH_3	528
497	3-CH_3, 5-I	X-2	CH_3	524
498	3-CH_3, 5-F	X-2	CH_3	416

* Véase la Tabla índice F para obtener información de ^{1}H RMN.

Tabla índice C



Compuesto	R^A	R	m.p. (°C)	AP+ (M+1)
85	H	3-(trifluorometoxi)fenilo		544
86	H	2,5-difluorofenilo		496
87	5-OCF_3	6-cloro-3-piridinilo		579
88	H	2,3-diclorofenilo		528
89	H	2,4-diclorofenilo		528
90	H	5-ciano-2-etoxifenilo		515
137	H	4-(trifluorometil)fenilo		528
138	H	5-cloro-2-fluorofenilo		512
139	H	2,5-diclorofenilo		528
140	H	4-clorofenilo		494
150	H	3-cloro-4-(trifluorometil)fenilo		562
151	H	2-cloro-5-fluorofenilo		512
174	5-OCH_3	4-clorofenilo		524
175	H	-O-(4-fluorofenilo)		494
176	H	-O-(2-fluorofenilo)		494

177	H	-O-(3-fluorofenilo)	494
178	5-F	4-clorofenilo	512
184	H	-O-(fenilo)	476
189	H	2-cloro-4-fluorofenilo	510
190	H	4-cloro-2-fluorofenilo	512
191	H	2-cloro-4-(trifluorometil)fenilo	562
193	H	4-bromofenilo	538
194	H	4-metilfenilo	474
195	H	4-fluorofenilo	478
199	H	2-metil-4-clorofenilo	508
200	H	3-clorofenilo	494
201	H	3-cloro-4-fluorofenilo	512
207	H	4-cloro-3-fluorofenilo	512
208	4-F	4-clorofenilo	512
209	4-F	4-cloro-2-fluorofenilo	530
210	6-OCH_3	4-clorofenilo	523
211	6-OCH_3	4-cloro-2-fluorofenilo	542
214	6-F	4-cloro-2-fluorofenilo	530
215	6-F	4-clorofenilo	512
219	H	-O-(2,5-diclorofenilo)	544
220	H	-O-(4-clorofenilo)	510
221	H	-O-(4-metoxifenilo)	506
222	H	-O-(4-cianofenilo)	501

229	H	2-fluoro-4-(trifluorometil)fenilo	546
230	H	4-bromo-2-fluorofenilo	558
231	H	2-fluoro-5-(trifluorometoxi)fenilo	562
232	H	4-cloro-2-metoxifenilo	524
233	H	5-ciano-2-fluorofenilo	504
238	4-OCH_3	4-cloro-2-fluorofenilo	542
239	4-OCH_3	4-clorofenilo	524
246	5-CH_3	6-(trifluorometil)-3-piridinilo	543
247	H	3-ciano-4-fluorofenilo	503
248	H	2,4-difluorofenilo	496
250	6-OCH_3	2-cloro-4-fluorofenilo	542
251	4-F	2-cloro-4-fluorofenilo	530
252	H	-O-(4-bromofenilo)	554
253	H	-O-(2-cloro-4-fluorofenilo)	528
255	H	2-metilfenilo	474
256	5-Cl	4-clorofenilo	528
258	H	-C(O)(4-clorofenilo)	523
259	H	-CH_2(4-clorofenilo)	509
262	5-Cl	2,4-diclorofenilo	562
263	5-F	4-(trifluorometil)fenilo	546
264	5-Cl	4-(trifluorometil)fenilo	562
269	H	fenilo	460

270	6-F	4-fluorofenilo	496
271	H	3,5-difluorofenilo	496
272	H	2-metil-4-(trifluorometil)fenilo	542
273	5-Cl	6-(trifluorometil)-3-piridinilo	563
276	5-CF_3	4-cloro-2-metilfenilo	576
277	H	3-cloro-5-(trifluorometil)fenilo	564
278	4-CH_3	2-cloro-4-(trifluorometil)fenilo	576
279	5-CF_3	6-cloro-3-piridinilo	563
285	H	4-cloro-2-(trifluorometil)fenilo	567
286	5-CF_3	4-clorofenilo	567
287	H	-S-(4-(trifluorometil)fenilo)	561
289	4-F	2-cloro-4-(trifluorometil)fenilo	580
290	4-F	6-(trifluorometil)-3-piridinilo	547
291	4-F	2,4-diclorofenilo	546
299	6-F	6-(trifluorometil)-3-piridinilo	547
300	6-F	4-(trifluorometil)fenilo	546
301	6-Cl	4-(trifluorometil)fenilo	562
302	6-Cl	6-(trifluorometil)-3-piridinilo	563
305	4-Cl	4-(trifluorometil)fenilo	562
306	4-Cl	6-(trifluorometil)-3-piridinilo	563
307	H	2,6-dicloro-3-piridinilo	529
308	5-Cl	2,6-dicloro-3-piridinilo	563
315	H	4,6-diclorofenil-3-piridinilo	531
316	4-CH_3	4-(trifluorometil)fenilo	542

317	4-CH_3	6-(trifluorometil)-3-piridinilo	543
318	6-CH_3	6-(trifluorometil)-3-piridinilo	543
319	6-CH_3	2-cloro-4-(trifluorometil)fenilo	576
320	6-CH_3	4-(trifluorometil)fenilo	542
321	4-OCH_3	2-cloro-4-(trifluorometil)fenilo	592
322	6-OCH_3	4-(trifluorometil)fenilo	558
323	6-OCH_3	2-cloro-4-(trifluorometil)fenilo	592
325	H	4-cianofenilo	485
326	H	4-cloro-2-cianofenilo	519
327	H	4-carbometoxi-2-clorofenil	535
328	6-Cl	2-metil-4-(trifluorometil)fenilo	576
329	4-OCH_3	4-(trifluorometil)fenilo	558
330	4-F	3-cloro-5-(trifluorometil)fenilo	580
336	4-OCH_3	2-fluoro-4-(trifluorometil)fenilo	576
337	H	3-bromo-5-fluorofenilo	556
338	4-CN	2-cloro-4-(trifluorometil)fenilo	587
343	6-F	2-cloro-4-(trifluorometil)fenilo	580
344	4-Cl	2-cloro-4-(trifluorometil)fenilo	596
345	4-OCH_3	6-(trifluorometil)-3-piridinilo	559
346	4-CH_3	4-cloro-2-fluorofenilo	526
347	H	3-cloro-5-fluorofenilo	512
352	5-CH_3	2-cloro-4-(trifluorometil)fenilo	576
362	H	4-(metiltio)fenilo	506

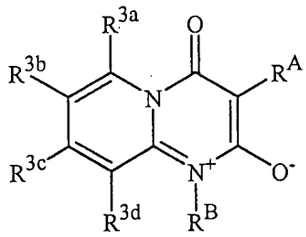
363	4-F	2-fluoro-4-(trifluorometil)fenilo	564
364	4-F	2-metil-4-(trifluorometil)fenilo	560
365	4-F	4-cloro-2-metilfenilo	526
366	H	2,4-dimetilfenilo	488
367	H	2-cloro-5-(trifluorometil)fenilo	562
368	4-F	4-cloro-2-(trifluorometil)fenilo	580
369	4-Cl	4-cloro-2-fluorofenilo	546
374	4-F	2-fluoro-5-(trifluorometoxi)fenilo	580
375	H	2,4-bis(trifluorometil)fenilo	596
376	4-OCH_3	4-cloro-2-(trifluorometil)fenilo	592
377	4-OCH_3	2-metil-4-(trifluorometil)fenilo	572
378	4-OCH_3	4-cloro-2-metilfenilo	538
381	5-CH_3	4-cloro-2-fluorofenilo	526
384	H	6-cloro-2-fluoro-3-piridinilo	513
385	4-CH_3	2-cloro-4-(trifluorometil)fenilo	560
386	4-OCH_3	4-cloro-3-fluorofenilo	542
387	4-F	4-cloro-3-fluorofenilo	530
388	4-F	3-cloro-4-(trifluorometil)fenilo	580
398	4-OCH_3	2-fluoro-5-(trifluorometoxi)fenilo	592
399	4-F	2,6-dicloro-3-piridinilo	547
400	H	3-cloro-4-(trifluorometil)fenilo	562

401	5-Cl	2-cloro-4-(trifluorometil)fenilo	596
402	5-Cl	4-cloro-2-fluorofenilo	546
407	5-Cl	2-metil-4-(trifluorometil)fenilo	576
408	5-Cl	2-fluoro-5-(trifluorometoxi)fenilo	596
409	4-CH_3	2-fluoro-5-(trifluorometoxi)fenilo	576
410	5-Cl	2-fluoro-4-(trifluorometil)fenilo	580
411	4-CH_3	4-cloro-2-(trifluorometil)fenilo	576
412	5-CF_3	2-cloro-4-(trifluorometil)fenilo	630
421	6-F	2-fluoro-4-(trifluorometil)fenilo	564
422	6-OCH_3	2-fluoro-4-(trifluorometil)fenilo	576
427	4-F	2-cloro-5-(trifluorometil)fenilo	580
429	4-CH_3	2-cloro-5-(trifluorometil)fenilo	576
431	4-OCH_3	2-cloro-5-(trifluorometil)fenilo	592
432	6-F	2-metil-4-(trifluorometil)fenilo	560
433	5-F	2-cloro-4-(trifluorometil)fenilo	580
434	5-F	2-cloro-5-(trifluorometil)fenilo	580
435	5-F	2-metil-4-(trifluorometil)fenilo	560
437	H	4-cloro-3-cianofenilo	519
438	H	4-ciano-2-fluorofenilo	503

440	4-CH_3	2-metil-4-(trifluorometil)fenilo	556
441	5-F	4-cloro-2-fluorofenilo	530
443	4-F	2,4-bis(trifluorometil)fenilo	614
448	4-OCH_3	2-fluoro-5-(trifluorometil)fenilo	576
449	H	2-fluoro-5-(trifluorometil)fenilo	546
450	4-F	2-fluoro-5-(trifluorometil)fenilo	564
451	5-OCH_3	2-cloro-4-(trifluorometil)fenilo	592
457	H	4-fluoro-2-(trifluorometil)fenilo	546
462	5-CN	2-cloro-4-(trifluorometil)fenilo	587
464	H	2-etoxi-6-(trifluorometil)-3-piridinilo	572
467	H	2-cloro-6-(trifluorometil)-3-piridinilo	563
468	5-Cl	2-fluoro-5-(trifluorometil)fenilo	566
474	H	2-cloro-4-(trifluorometil)fenilo	154-155
482	4-Cl	2-metil-4-(trifluorometil)fenilo	576
483	4-CH_3	2-fluoro-5-(trifluorometil)fenilo	560
484	4-CH_3	2,4-bis(trifluorometil)fenilo	610

485	5-F	2-fluoro-4-(trifluorometil)fenilo	564
489	4-OCH_3	2,4-bis(trifluorometil)fenilo	676
490	5-OCH_3	2-fluoro-4-(trifluorometil)fenilo	576
491	5-OCH_3	4-cloro-2-fluorofenilo	542
493	5-CN	4-cloro-2-fluorofenilo	537
499	5-Cl	4,6-dicloro-3-piridinilo	563
500	5-F	2-fluoro-5-(trifluorometil)fenilo	564
501	4-F	4-fluoro-2-(trifluorometil)fenilo	564

Tabla índice D



R^B es X-2; R^{3a}, R^{3b} y R^{3c} son H; R^{3d} es CH_3

Compuesto	R^A	m.p. (°C)	AP+ (M+1)
242	SCF_3		*

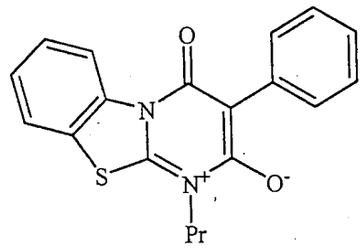
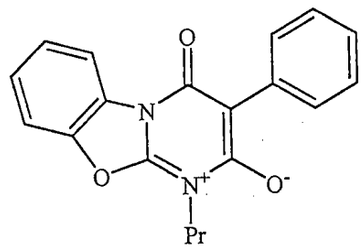
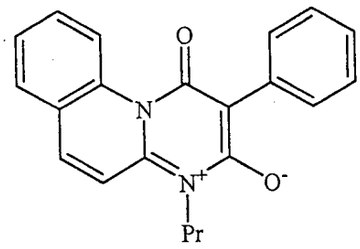
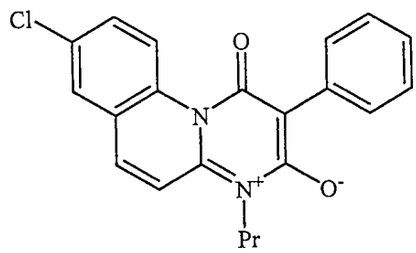
245	$CH_2CH_2CH_2CH_3$	364
254	2-(4-(trifluorometil)fenil)-4-piridinilo	529
260	2-(4-clorofenoxi)-4-pirimidinil	*
261	2-bromo-4-piridinil	463
267	2-(4-(trifluorometil)fenil)-4-piridinilo	530
274	2-cloro-6-(trifluorometil)-4-piridinilo	486
275	CH_3	*
280	$CH(CH_3)_2$	*
281	$CH_2C_6H_5$	*
282	CH_2CH_3	*
283	$CH(CH_3)CH_2CH_2CH_3$	378
284	$C(CH_3)_3$	364
288	2,6-dicloro-4-piridinilo	455
292	$CH_2CH(CH_3)_2$	*
293	$CH_2CH_2CH_2CH_2CH_3$	*
294	$CH_2CH_2CH_3$	*
295	$CH_2CH=CH_2$	*
303	$CH_2CH=CHC_6H_5$	424
309	1-naftalenilo	162-165
313	8-metil-1-naftalenilo	252-253
314	2-naftalenilo	211-214

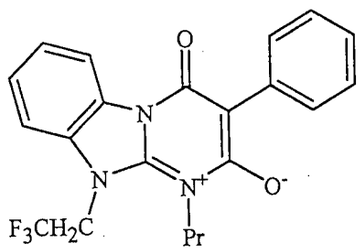
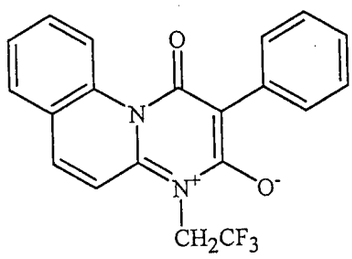
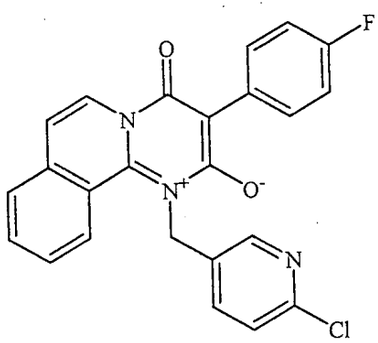
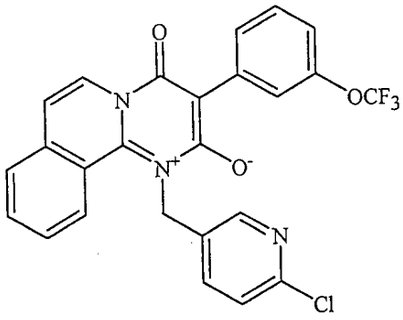
331	5-bromo-3-piridinilo	*
341	$CH{=}CHCH_2CH_3$	362
358	$CH_2C{\equiv}CH$	*
359	6-cloro-3-piridinilo	*
360	2-(2-cloro-4-(trifluorometil)fenil)-4-piridinilo	563
361	$CH_2C{\equiv}CCH_3$	*
371	H	308
379	6-metil-3-piridinilo	399
383	*cis*-$CH_2CH{=}CHCH_3$	*
393	5-metil-3-piridinilo	399
394	5-etil-3-piridinilo	413
418	6-fluoro-3-piridinilo	403
419	2-cloro-3-piridinilo	419
444	3-ciano-2-piridinilo	410
447	6-(4-(trifluorometil)fenil)-3-piridinilo	529
454	2-tienilo	390
455	3-tienilo	390
456	1-(2,6-dicloro-4-(trifluorometil)fenil-4-pirazolilo)	586
461	2-(2-cloro-4-(trifluorometil)fenil)-3-piridinilo	563
465	4-bromo-2-tienilo	468

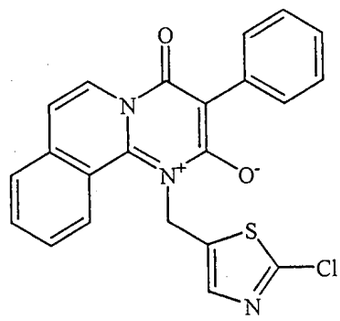
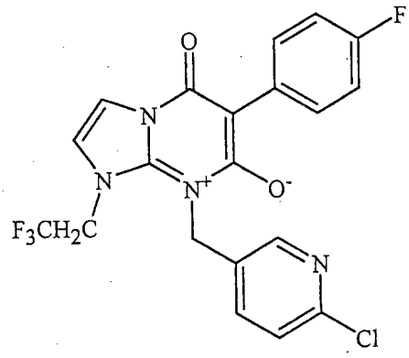
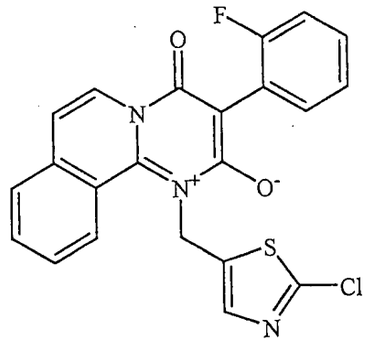
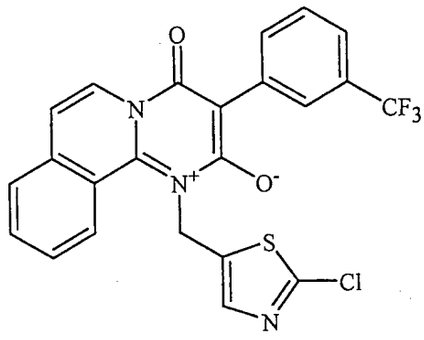
492	4-(2-cloro-4-(trifluorometil)fenil)-2-tienilo	568

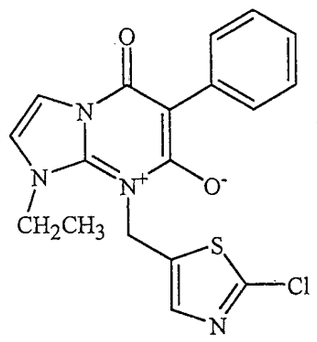
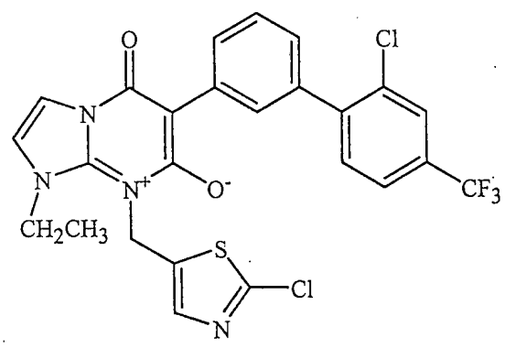
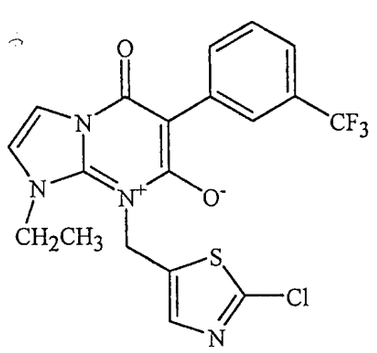
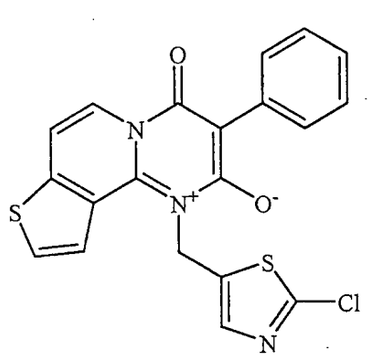
* Véase la Tabla índice F para obtener información de ^{1}H RMN.

Tabla índice E

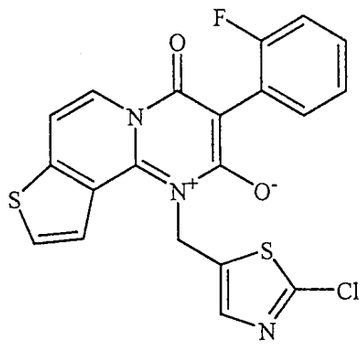
Compuesto	Estructura	m.p. (°C)	AP+ (M+1)
91			337
92			321
93			331
94			365

95			402
96			*
97			432
98			*

99			420
100			452
171			438
172			487

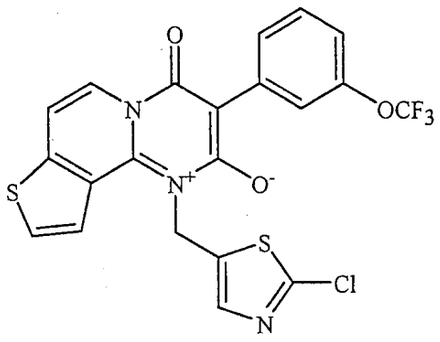
333		387
334		565
340		455
356		224-226

357



235-236

391



510

* Véase la Tabla índice F para obtener información de ^{1}H RMN.

Tabla índice F

Comp. núm.	Información de ^{1}H RMN [a, b]
13	δ 9,47 (s, 1H), 8,39 (s, 1H), 7,80-7,85 (m, 2H), 7,74 (s, 1H), 7,65 (s, 1H), 7,43 (t, 1H), 7,32 (d, 1H), 7,14 (d, 1H), 5,75 (br s, 2H).
14	δ 9,43 (s, 1H), 8,39 (s, 1H), 7,76-7,85 (m, 2H), 7,74 (s, 1H), 7,65 (s, 1H), 7,43 (t, 1H), 7,32 (d, 1H), 7,14 (d, 1H), 5,75 (br s, 2H).

15 δ 9,47 (s, 1H), 8,39 (s, 1H), 7,73-7,83 (m, 3H), 7,64 (dd, 1H), 7,30 (d, 1H), 7,11 (t, 2H), 5,75 (br s, 2H).

16 δ (CD_3OD) 9,85 (D, 1H), 7,56 (d, 2H), 7,49 (d, 1H), 7,32-7,38 (m, 3H), 7,22 (t, 1H), 6,2 (br s, 2H).

42 δ (acetona-d_6) 9,46 (d, 1H), 9,04 (s, 1H), 8,81 (s, 2H), 8,23 (d, 1H), 7,86 (d, 2H), 7,53 (t, 1H), 7,26 (t, 2H), 7,11 (t, 1H), 5,65 (s, 2H), 2,68 (s, 3H).

43 δ (acetona-d_6) 9,47 (d, 1H), 9,05 (s, 1H), 8,83 (s, 2H), 8,27 (d, 1H), 8,02-8,04 (m, 2H), 7,57 (t, 1H), 7,38 (t, 1H), 7,06 (d, 1H), 5,66 (s, 2H), 2,69 (s, 3H).

44 δ (acetona-d_6) 9,41 (d, 1H), 9,04 (s, 1H), 8,79 (s, 2H), 8,24 (d, 1H), 7,53 (m, 2H), 7,26 (m, 1H), 7,04-7,12 (m, 2H), 5,65 (s, 2H), 2,68 (s, 3H).

45 δ (acetona-d_6) 9,46 (d, 1H), 9,05 (s, 1H), 8,83 (s, 2H), 8,37 (s, 1H), 8,26 (d, 1H), 8,24 (d, 1H), 7,58 (t, 1H), 7,43-7,51 (m, 2H), 5,67 (s, 2H), 2,69 (s, 3H).

46 δ (acetona-d_6) 9,39 (d, 1H), 9,04 (s, 1H), 8,79 (s, 2H), 8,28 (d, 1H), 7,56 (t, 1H), 7,34 (t, 1H), 6,96 (t, 2H), 5,67 (s, 2H), 2,72 (s, 3H).

96 δ 9,42 (d, 1H), 8,3 (d, 1H), 7,73-7,9 (m, 4H), 7,68 (t, 1H), 7,39-7,48 (m, 3H), 7,26 (m, 1H).

98 δ 9,31 (d, 1H), 8,43 (d, 1H), 8,22 (s, 1H), 7,97 (dd, 2H), 7,80-7,85 (m, 2H), 7,72 (dd, 1H), 7,61 (2s, 2H), 7,38 (dd, 2H), 7,07 (d, 1H), 5,72 (br s, 2H).

206 δ (DMSO-d_6) 9,27 (d, 1H), 8,29 (d, 1H), 7,76 (s, 1H), 7,56 (t, 1H), 7,48 (dd, 1H), 7,41 (dd, 1H), 7,31-7,36 (m, 2H), 5,46 (s, 2H) 2,73 (s, 3H).

218 δ 9,59 (d, 1H), 9,04 (d, 1H), 7,84 (d, 2H), 7,62 (s, 1H), 7,40 (dd, 1H), 7,30 (dd, 2H), 7,15 (dd, 1H), 6,07 (s, 2H).

224 δ (acetona-d_6) 8,96 (d, 1H), 8,00 (s, 1H), 7,69-8,00 (m, 4H), 7,26 (t, 1H), 6,91 (t, 1H), 5,61 (s, 2H), 2,55 (s, 3H).

225 δ (acetona-d_6) 9,41 (d, 1H), 8,39 (d, 1H), 8,28 (s, 1H), 8,12 (d, 1H), 7,82 (d, 1H), 7,71 (s, 1H), 7,65 (t, 1H), 5,65 (s, 2H) 2,93 (s, 3H).

226 δ 9,65 (d, 1H), 8,40 (d, 1H), 7,77 (d, 2H), 7,58 (s, 1H), 7,40 (dd, 3H), 7,25 (dd, 1H), 5,62 (s, 2H), 5,39 (s, 2H), 2,24 (s, 3H).

237 δ (acetona-d_6) 9,44 (d, 1H), 8,34-8,37 (m, 2H), 8,14-8,21 (m, 3H), 7,74 (s, 1H), 7,62 (t, 1H), 5,66 (s, 2H), 2,91 (s, 3H).

242 δ (acetona-d_6) 9,31 (d, 1H), 8,40 (d, 1H), 7,67 (s, 1H), 7,61 t, 1H), 5,58 (s, 2H), 2,81 (s, 3H).

260 δ (DMSO-d_6) 9,24 (d, 1H), 8,53 (d, 1H), 8,40 (t, 1H), 8,16 (d, 1H), 7,99 (s, 1H), 7,57 (t, 2H), 7,46 (d, 2H), 7,37 (d, 2H), 5,59 (s, 2H).

275 δ 9,48 (d, 1H), 7,94 (d, 1H), 7,42 (s, 1H), 7,33 (dd, 1H), 5,60 (s, 2H), 2,80 (s, 3H), 2,14 (s, 3H).

280 δ 9,45 (d, 1H), 7,90 (d, 1H), 7,41 (s, 1H), 7,30 (dd, 1H), 5,56 (s, 2H), 3,42 (m, 1H), 2,78 (s, 3H), 1,34 (d, 6H).

281 δ 9,45 (d, 1H), 7,90 (d, 1H), 7,49 (d, 2H), 7,39 (s, 1H), 7,24 (dd, 1 H), 7,22 (dd, 2H), 7,14 (dd, 1 H), 5,58 (s, 2H), 3,96 (s, 2H), 2,76 (s, 3H).

282 δ 9,48 (d, 1H), 7,92 (d, 1H), 7,42 (s, 1H), 7,29 (dd, 1H), 5,59 (s, 2H), 2,8 (s, 3H), 2,67 (q, 2H), 1,18 (t, 3H).

292 δ 9,46 (d, 1H), 7,92 (d, 1H), 7,40 (s, 1H), 7,31 (dd, 1H), 5,60 (s, 2H), 2,80 (s, 3H),2,50 (d, 2H), 2,04 (m, 1H) 0,96 (d, 6H).

293 δ 9,48 (d, 1H), 7,93 (d, 1H), 7,42 (s, 1H), 7,34 (dd, 1H), 5,58 (s, 2H), 2,80 (s, 2H), 2,64 (d, 2H), 1,60 (m, 2H), 1,39 (m, 2H), 0,90 (t, 3H).

294 δ 9,48 (d, 1H), 7,93 (d, 1H), 7,42 (s, 1H) 7,31 (dd, 1H), 5,58 (s, 2H), 2,80 (s, 3H), 2,62 (m, 2H), 1,62 (m, 2H), 1,00 (t, 3H).

295 δ 9,48(d, 1H), 7,94 (d, 1H), 7,41 (s, 1H), 7,32 (dd, 1H), 6,02 (m, 1H), 5,60 (s, 2H), 5,21 (d 1H), 5,03 (d, 1H), 3,40 (d, 2H), 2,80 (s, 3H).

331 δ (acetona-d_6) 9,47 (d, 1H), 9,21 (s, 1H), 8,60 (s, 1H), 8,42 (s, 1H), 8,38 (d, 1H), 7,73 (s, 1H), 7,60 (t, 1H), 5,63 (s, 2H), 2,91 (s, 3H).

335 δ (acetona-d_6) 9,46 (d, 1H), 7,99 (d, 1H), 7,82 (s, 1H), 7,37 (t, 1H), 7,23 (s, 2H), 5,56 (s, 2H) 2,73 (s, 3H).

348 δ (DMSO-d_6) 9,33 (d, 1H), 8,32 (d, 1H), 7,63 (d, 2H), 7,54 (s, 1H), 7,28 (t, 2H), 7,14 (t, 1H), 7,00 (s, 1H), 6,70 (s, 1H), 6,24 (s, 2H), 2,61 (s, 3H), 2,26 (s, 3H).

349 δ (DMSO-d_6) 9,32 (d, 1H), 8,30 (d, 1H), 7,71-7,65 (m, 2H), 7,60 (t, 2H), 7,30 (t, 2H), 7,16 (t, 1H), 6,86 (s, 1H), 6,28 (s, 2H), 2,61 (s, 3H), 2,02 (s, 3H).

358 δ 9,48 (d, 1H), 7,97 (d, 1H), 7,42 (s, 1H), 7,36 (dd, 1H), 5,60 (s, 2H), 3,57 (s, 2H), 2,80 (s, 3H), 1,97 (s, 1H).

359 δ (DMSO-d_6) 9,37 (d, 1H), 8,84 (s, 1H), 8,32-8,27 (m, 2H), 7,78 (s, 1H), 7,59 (t, 1H), 7,49 (d, 1H), 5,44 (s, 2H), 2,70 (s, 3H).

361 δ 9,47 (d, 1H), 7,96 (d, 1H), 7,42 (s, 1H), 7,35 (dd, 1H), 5,59 (s, 2H), 3,50 (q, 2H), 2,80 (s, 3H), 1,77 (t, 3H).

383 δ 9,46 (d, 1H), 7,92 (d, 1H), 7,40 (s, 1H), 7,31 (dd, 1H), 5,60 (m, 4H), 3,40 (d, 2H), 2,80 (s, 3H), 1,83 (d, 3H).

488 δ (metanol-d_4) 9,37 (d, 1H), 8,29 (d, 1H), 7,63 (s, 1H), 7,57- 7,56 (m, 2H), 7,54- 7,50 (m, 1H), 5,60 (s, 2H), 2,81 (s, 3H).

a Los datos de ^{1}H NMR están en ppm a campo abajo con respecto al tetrametilsilano. Solución de $CDCl_3$, a menos que se indique de cualquier otra forma; "acetona-d_6" es $CD_3C(=O)CD_3$. Los acoplamientos están designados por (s)-singulete, (d)-doblete, (t)-triplete, (m)-multiplete, (dd)-doblete de doblete, (br s)-singulete amplio.

b Los espectros ^{1}H RMN de los compuestos, en donde R^2 es CH_2CF_3, con frecuencia, no muestran picos que correspondan a los protones de $C\underline{H}_2CF_3$.

Las siguientes pruebas demuestran la eficacia de control de los compuestos de esta invención en plagas específicas. La frase "eficacia de control" representa la inhibición del desarrollo de la plaga de invertebrados (que incluye mortalidad) que causa una reducción significativa en la alimentación. Sin embargo, la protección de control de plagas proporcionada por los compuestos no se limita a estas especies. Los números de los compuestos se refieren a los compuestos indicados en las Tablas A-E.

Ejemplos biológicos de la invención

Prueba A

Para evaluar el control de la polilla de dorso de diamante (*Plutella xylostella*), la unidad de prueba consistió de un pequeño recipiente abierto con una planta de rábano de 12-14 días en su interior. La planta se infestó previamente con aproximadamente 50 larvas neonatas que se colocaron en la unidad de prueba por medio de sémola de mazorca de maíz con un inoculador manual. Las larvas se desplazaron sobre la planta de prueba después de su introducción en la unidad de prueba.

Los compuestos de prueba se formularon con una solución que contenía 10 % de acetona, 90 % de agua y 300 ppm de un surfactante no iónico X-77® Spreader Lo-Foam Formula que contenía alquilarilpolioxietileno, ácidos grasos libres, glicoles e isopropanol (Loveland Industries, Inc. Greeley, Colorado, Estados Unidos). Los compuestos formulados se aplicaron en 1 ml de líquido a través de una boquilla rociadora SUJ2 con un cuerpo 1/8 JJ (Spraying Systems Co. Wheaton, Illinois, Estados Unidos) ubicado a 1,27 cm (0,5 pulgadas) por encima de la parte superior de cada unidad de prueba. Los compuestos de prueba se rociaron a 50 ppm y/o 10 ppm, y la prueba se repitió tres veces. Después de rociar el compuesto de prueba formulado, se dejó secar cada unidad de prueba durante una (1) hora y luego se colocó sobre ellas una tapa de tela metálica negra. Las unidades de prueba se

mantuvieron por 6 días en una cámara de crecimiento a 25 °C y 70 % de humedad relativa. El daño en el alimento de la planta se evaluó visualmente en base al follaje consumido.

De los otros compuestos de la Fórmula **1** probados a 50 ppm, los siguientes proporcionaron niveles de eficacia del control muy buenos a excelentes (40 % o menos de daño de alimentación y/o 100 % de mortalidad): 1, 18, 19, 20, 21, 23, 26, 28, 29, 30, 33, 36, 37, 39, 40, 41, 43, 47, 48, 49, 50, 51, 52, 53, 54, 56, 57, 58, 59, 60, 62, 63, 65, 66, 67, 70, 71, 72, 73, 74, 75, 77, 79, 80, 81, 82, 83, 84, 85, 86, 87, 88, 89, 90, 99, 101, 102, 103, 104, 105, 106, 107, 108, 110, 111, 112, 113, 114, 115, 116, 117, 118, 119, 120, 121, 122, 123, 124, 125, 126, 127, 128, 129, 130, 131, 132, 133, 134, 135, 136, 137, 138, 139, 140, 150, 151, 152, 153, 154, 155, 156, 157, 158, 159, 160, 161, 162, 163, 164, 165, 166, 167, 168, 169, 170, 172, 173, 174, 175, 176, 177, 178, 179, 180, 181, 182, 183, 184, 186, 187, 188, 189, 190, 191, 192, 193, 194, 195, 196, 198, 199, 200, 201, 202, 203, 204, 205, 206, 207, 208, 209, 210, 211, 212, 214, 215, 217, 218, 219, 220, 221, 222, 223, 225, 227, 228, 229, 230, 231, 232, 235, 236, 237, 238, 239, 240, 241, 242, 244, 245, 246, 248, 250, 251, 252, 253, 254, 255, 256, 257, 258, 259, 261, 262, 263, 264, 265, 266, 267, 268, 269, 270, 271, 272, 273, 274, 275, 276, 277, 278, 279, 280, 281, 282, 283, 285, 286, 287, 288, 289, 290, 291, 292, 293, 294, 295, 296, 297, 298, 299, 300,

301, 302, 304, 305, 306, 307, 308, 309, 310, 311, 312, 314, 315, 316, 317, 318, 319 y 334.

De los otros compuestos de la Fórmula **1** probados a 10 ppm, los siguientes proporcionaron niveles de eficacia del control muy buenos a excelentes (40 % o menos de daño de alimentación y/o 100 % de mortalidad): 1, 18, 20, 21, 23, 26, 29, 30, 33, 36, 37, 39, 40, 41, 47, 48, 49, 50, 51, 52, 53, 54, 56, 57, 58, 59, 60, 62, 63, 65, 66, 70, 71, 72, 73, 74, 79, 80, 81, 82, 83, 84, 85, 86, 89, 90, 99, 101, 102, 103, 104, 105, 106, 110, 111, 112, 113, 114, 115, 117, 118, 119, 120, 121, 122, 123, 124, 125, 126, 127, 128, 129, 130, 131, 132, 133, 134, 135, 136, 137, 138, 139, 140, 150, 151, 152, 154, 156, 157, 158, 159, 160, 161, 162, 163, 164, 165, 166, 167, 168, 169, 170, 171, 173, 175, 176, 177, 178, 179, 180, 181, 182, 183, 184, 185, 186, 187, 188, 189, 190, 191, 193, 194, 195, 196, 198, 199, 200, 201, 203, 205, 206, 207, 208, 209, 210, 211, 212, 214, 215, 216, 217, 218, 219, 220, 221, 223, 225, 227, 228, 229, 230, 231, 235, 236, 237, 238, 239, 240, 241, 242, 244, 245, 246, 248, 250, 251, 252, 253, 254, 255, 256, 257, 259, 261, 262, 263, 265, 266, 267, 269, 270, 271, 272, 273, 274, 276, 277, 278, 280, 281, 285, 286, 287, 288, 289, 290, 291, 292, 294, 295, 296, 297, 298, 299, 300, 301, 302, 304, 305, 306, 307, 308, 309, 310, 311, 312, 314, 315, 316, 317, 318, 319, 321, 322, 323, 324, 325, 326, 327, 328, 329, 330, 331, 332, 334, 335, 336, 337, 338, 339, 340, 341, 342, 343, 344, 345,

346, 347, 351, 352, 353, 354, 355, 356, 357, 359, 360, 363, 364, 365, 366, 367, 368, 369, 373, 374, 375, 376, 377, 378, 379, 380, 381, 382, 383, 384, 385, 386, 387, 388, 395, 397, 398, 399, 400, 401, 402, 403, 404, 405, 407, 408, 409, 411, 412, 414, 415, 416, 419, 421, 422, 423, 424, 425, 426, 427, 429, 431, 432, 433, 434, 435, 437, 438, 439, 440, 441, 442, 443, 445, 448, 449, 450, 451, 452, 453, 454, 455, 457, 459, 462, 464, 465, 466, 467, 468, 469, 470, 474, 475, 476, 477, 478, 479, 481, 482, 483, 484, 485, 486, 487, 488, 489, 490, 491, 492, 493, 495, 496, 497, 498, 499, 500 y 501.

Prueba B

Para evaluar el control del gusano cogollero (*Spodoptera frugiperda*) tla unidad usada en la prueba consistió en un contenedor pequeño abierto que contenía en su interior una planta de maíz de 4 a 5 días. Esta unidad se infestó previamente (usando un recolector de muestras de núcleo) con 10-15 larvas de un (1) día de edad sobre un trozo de dieta para insectos.

Los compuestos de prueba se formularon y rociaron a 50 ppm y/o 10 ppm como se describió para la Prueba A. Las aplicaciones se replicaron tres veces. Después de rociar, las unidades de prueba se mantuvieron en una cámara de crecimiento a 25 °C y 70 % de humedad relativa y se evaluaron visualmente, tal como se describió para la Prueba A.

De los otros compuestos de la Fórmula **1** probados a 50 ppm, los siguientes proporcionaron niveles de eficacia del control muy buenos a excelentes (40 % o menos de daño de alimentación y/o 100 % de mortalidad): 1, 18, 19, 20, 21, 23, 26, 29, 30, 33, 36, 37, 40, 47, 49, 50, 51, 52, 53, 54, 56, 57, 58, 59, 60, 62, 63, 65, 66, 71, 74, 79, 80, 81, 82, 83, 84, 85, 86, 87, 89, 90, 101, 102, 103, 104, 105, 106, 110, 111, 112, 113, 115, 117, 118, 119, 120, 121, 122, 123, 124, 125, 126, 127, 128, 129, 130, 131, 132, 133, 134, 135, 136, 137, 138, 139, 140, 150, 151, 152, 154, 157, 158, 159, 160, 161, 162, 163, 164, 165, 166, 167, 168, 170, 171, 172, 173, 174, 175, 176, 177, 178, 179, 180, 181, 182, 183, 184, 187, 188, 189, 190, 191, 192, 193, 194, 195, 196, 198, 199, 200, 201, 202, 203, 205, 207, 208, 209, 210, 211, 214, 215, 219, 220, 221, 223, 227, 228, 229, 230, 231, 232, 235, 236, 238, 239, 240, 241, 244, 245, 246, 248, 250, 251, 252, 253, 254, 255, 256, 257, 259, 261, 262, 263, 264, 265, 266, 267, 269, 270, 271, 272, 273, 274, 276, 277, 278, 279, 281, 285, 286, 288, 289, 290, 291, 292, 294, 296, 297, 299, 300, 301, 304, 305, 306, 307, 308, 309, 310, 311, 313, 314, 315, 316, 317, 318, 319 y 334.

De los otros compuestos de la Fórmula **1** probados a 10 ppm, los siguientes proporcionaron niveles de eficacia del control muy buenos a excelentes (40 % o menos de daño de alimentación y/o 100 % de mortalidad): 1, 18, 20, 23, 26, 29, 30, 33, 36, 40, 47, 49, 50, 51, 52, 53, 57, 58, 59, 60,

62, 63, 65, 66, 71, 79, 80, 81, 82, 83, 84, 85, 86, 89, 90, 101, 102, 103, 105, 113, 115, 118, 120, 121, 122, 123, 125, 126, 127, 128, 131, 132, 133, 134, 135, 136, 137, 138, 139, 140, 150, 151, 152, 154, 157, 158, 159, 160, 161, 162, 163, 165, 167, 168, 170, 173, 174, 175, 176, 177, 178, 180, 183, 184, 187, 189, 190, 191, 193, 194, 195, 196, 198, 199, 200, 202, 203, 207, 208, 209, 210, 211, 214, 215, 219, 220, 227, 228, 229, 230, 231, 232, 235, 238, 239, 240, 241, 244, 245, 246, 248, 250, 251, 252, 253, 254, 255, 256, 257, 261, 262, 263, 264, 265, 266, 269, 270, 271, 272, 276, 277, 278, 285, 286, 288, 289, 290, 291, 296, 297, 299, 300, 301, 305, 307, 308, 309, 313, 314, 315, 316, 317, 321, 322, 323, 325, 326, 327, 329, 330, 332, 334, 335, 336, 337, 338, 341, 343, 344, 345, 346, 347, 351, 352, 353, 354, 355, 359, 363, 364, 365, 366, 367, 368, 369, 374, 375, 376, 377, 378, 380, 381, 382, 384, 385, 386, 387, 388, 391, 395, 398, 399, 401, 402, 403, 404, 405, 407, 408, 409, 410, 411, 412, 413, 414, 415, 421, 422, 424, 425, 426, 427, 429, 431, 432, 433, 434, 435, 437, 438, 439, 440, 441, 442, 443, 448, 449, 450, 451, 453, 454, 455, 457, 458, 462, 464, 465, 466, 467, 468, 479, 480, 481, 482, 483, 484, 485, 486, 487, 489, 490, 491, 492, 493, 495, 496, 497, 498, 499, 500 y 501.

Prueba C

Para evaluar el control del pulgón verde del melocotonero (*Myzus persicae*) por métodos de contacto y/o

sistémicos, la unidad de prueba consistió de un pequeño recipiente abierto con una planta de rábano de 12-15 días de edad en su interior. Se infestó previamente por medio de la colocación de 30-40 áfidos sobre una hoja de la prueba extraída de una planta de cultivo (método de corte de hoja). Los áfidos se desplazaron sobre la planta de prueba cuando la hoja se secó. Después de la preinfestación, el suelo de la unidad de prueba se cubrió con una capa de arena.

Los compuestos de prueba se formularon y rociaron a 50 ppm y/o 10 ppm como se describió para la Prueba A. Las aplicaciones se replicaron tres veces. Después de rociar el compuesto de prueba formulado, se dejó secar cada unidad de prueba durante una (1) hora y luego se colocó sobre ellas una tapa de tela metálica negra. Las unidades de prueba se mantuvieron por 6 días en una cámara de crecimiento a 19-21 °C y 50-70 % de humedad relativa. Seguidamente, se evaluó visualmente cada unidad de prueba para determinar la mortalidad de los insectos.

De los compuestos de la Fórmula **1** probados a 50 ppm, los siguientes resultaron en al menos 80 % de mortalidad: 29, 30, 32, 36, 40, 45, 47, 48, 50, 53, 56, 59, 60, 62, 71, 73, 74, 79, 80, 81, 82, 83, 85, 89, 102, 103, 104, 105, 111, 112, 113, 114, 115, 117, 118, 119, 120, 121, 122, 123, 125, 131, 132, 133, 135, 156, 159, 160, 167, 168, 173, 180, 182, 183, 191, 196, 199, 203, 205, 209, 211, 227, 228, 238, 239, 240, 241, 245, 257, 261, 265, 266, 270, 272, 275, 278, 280, 282, 285,

288, 289, 291, 294, 295, 296, 299, 304, 308, 311, 312, 324, 330, 331, 333, 337, 340, 343, 357, 358, 359, 360, 361, 364, 367, 368, 369, 372, 373, 374, 376, 378, 382, 383, 393, 395, 399, 403, 404, 405, 409, 411, 412, 414, 419, 421, 424, 425, 432, 435, 438, 439, 441, 443, 453, 457, 478, 495, 498 y 499.

De los compuestos de la Fórmula **1** probados a 10 ppm, los siguientes resultaron en al menos 80 % de mortalidad: 29, 30, 36, 47, 50, 53, 59, 62, 74, 79, 80, 81, 83, 113, 115, 118, 120, 121, 122, 123, 125, 132, 133, 135, 159, 160, 168, 180, 182, 183, 227, 240, 241, 257, 266, 285, 288, 289, 294, 295, 296, 299, 304, 311, 331, 333, 359, 374, 382, 395, 405, 424, 438, 439, 453, 495 y 498.

Prueba D

Para evaluar el control del áfido algodonoso del melón (*Aphis gossypii*) por métodos de contacto y/o sistémicos, la unidad de prueba consistió de un pequeño recipiente abierto con una planta de algodón de 6-7 días de edad en su interior. Esta unidad se infestó previamente con 30-40 insectos sobre un trozo de hoja de conformidad con el método de hoja cortada descrito para la Prueba C, y el suelo de la unidad de prueba se cubrió con una capa de arena.

Los compuestos de prueba se formularon y rociaron a 50 ppm y/o 10 ppm tal como se describió para la Prueba C. Las aplicaciones se replicaron tres veces. Después de rociar, las unidades de prueba se mantuvieron en una cámara de

crecimiento y se evaluaron visualmente, tal como se describió para la Prueba C.

De los compuestos de la Fórmula **1** probados a 50 ppm, los siguientes resultaron en al menos 80 % de mortalidad: 30, 36, 40, 48, 50, 53, 60, 62, 73, 74, 79, 80, 81, 82, 83, 102, 111, 115, 118, 121, 122, 123, 124, 125, 126, 129, 131, 133, 135, 159, 168, 180, 182, 183, 196, 199, 227, 235, 240, 257, 266, 275, 296, 304, 331, 333, 339, 340, 351, 373, 395, 405, 424, 425, 439, 441, 478, 495 y 498.

De los compuestos de la Fórmula **1** probados a 10 ppm, los siguientes resultaron en al menos 80 % de mortalidad: 53, 60, 62, 79, 83, 121, 122, 123, 168, 180, 182, 227, 240, 266, 296, 340, 373, 424, 495 y 498.

Prueba E

Para evaluar el control del saltador de la planta del maíz (*Peregrinus maidis*) por métodos de contacto y/o sistémicos, la unidad de prueba consistió de un recipiente pequeño abierto con una planta de maíz (espiga) de 3-4 días de edad en su interior. En la parte superior del suelo se añadió arena blanca antes de la aplicación. Los compuestos de prueba se formularon y rociaron a 50 ppm y/o 10 ppm, y se repitieron tres veces, tal como se describió para la Prueba A. Después de rociar, las unidades de prueba se dejaron secar por 1 h y, después de eso, se infestaron con ~15-20 ninfas (de 18 a 21 días de edad) por medio del esparcido de estas

con un salero sobre la arena. Se colocó una tapa negra de tela metálica en la parte superior de cada unidad de prueba y las unidades de prueba se mantuvieron durante 6 días en una cámara de cultivo a 22-24 °C y 50-70 % de humedad relativa. Seguidamente, se evaluó visualmente cada unidad de prueba para determinar la mortalidad de los insectos.

De los compuestos de la Fórmula **1** probados a 50 ppm, los siguientes compuestos proporcionaron niveles de eficacia del control muy buenos a excelentes (una mortalidad del 80 % o más): 1, 21, 26, 29, 30, 36, 40, 44, 47, 48, 49, 50, 51, 52, 53, 56, 57, 59, 60, 62, 63, 65, 70, 71, 73, 74, 77, 79, 80, 81, 82, 83, 84, 85, 101, 102, 103, 105, 111, 112, 113, 114, 115, 116, 117, 118, 119, 120, 121, 122, 123, 124, 125, 126, 127, 128, 129, 130, 131, 132, 133, 134, 135, 152, 157, 158, 159, 160, 165, 167, 168, 170, 173, 180, 181, 182, 183, 189, 191, 196, 199, 203, 205, 206, 209, 212, 227, 228, 231, 235, 240, 242, 246, 254, 257, 261, 262, 272, 275, 277, 282, 288, 289, 291, 294, 295, 296, 297, 298, 299, 300, 304, 309, 311, 312, 322, 324, 330, 331, 333, 337, 339, 340, 342, 343, 344, 347, 349, 352, 355, 358, 359, 360, 361, 363, 364, 365, 368, 369, 372, 373, 374, 377, 378, 379, 382, 384, 387, 393, 394, 395, 397, 399, 404, 405, 409, 414, 419, 421, 422, 424, 425, 432, 435, 439, 443, 450, 452, 453, 454, 455, 462, 464, 467, 475, 478, 482, 495, 496, 497, 498, 499 y 501.

De los compuestos de la Fórmula **1** probados a 10 ppm, los siguientes compuestos proporcionaron niveles de eficacia del control muy buenos a excelentes (una mortalidad del 80 % o más): 26, 29, 30, 36, 37, 47, 48, 49, 50, 53, 56, 57, 59, 60, 62, 63, 74, 79, 80, 81, 82, 84, 102, 103, 105, 112, 113, 114, 115, 118, 119, 120, 121, 122, 123, 124, 125, 126, 127, 128, 129, 130, 132, 133, 135, 152, 157, 158, 159, 160, 165, 167, 168, 170, 173, 180, 182, 183, 189, 196, 227, 235, 240, 246, 257, 275, 282, 288, 289, 295, 296, 299, 300, 304, 309, 311, 324, 331, 333, 339, 340, 342, 358, 359, 364, 373, 374, 382, 393, 395, 397, 405, 419, 424, 452, 453, 455, 467, 478, 495, 496, 498 y 499.

Prueba F

Para evaluar el control del saltador de la papa (*Empoasca fabae*) por métodos de contacto y/o sistémicos, la unidad de prueba consistió de un pequeño recipiente abierto que contenía en su interior una planta de frijol Soleil de 5-6 días de edad (con hojas primarias emergidas). En la parte superior del suelo se añadió arena blanca y se extrajo una de las hojas principales antes de la aplicación.

Los compuestos de prueba se formularon y rociaron a 50 ppm y/o 10 ppm, y las pruebas se repitieron tres veces, tal como se describió para la Prueba A. Después del rociado, las unidades de prueba se dejaron secar durante una (1) hora antes de que fueran infestadas posteriormente con 5 saltadores de hoja de la

papa (adultos de 18 a 21 días de edad). Se colocó una tapa negra de tela metálica en la parte superior de cada unidad de prueba y las unidades de prueba se mantuvieron durante 6 días en una cámara de cultivo a 24 °C y 70 % de humedad relativa. Seguidamente, se evaluó visualmente cada unidad de prueba para determinar la mortalidad de los insectos.

De los compuestos de la Fórmula **1** probados a 50 ppm, los siguientes compuestos proporcionaron niveles de eficacia del control muy buenos a excelentes (una mortalidad del 80 % o más): 1, 20, 21, 23, 26, 29, 30, 36, 37, 40, 41, 42, 43, 44, 45, 47, 48, 49, 50, 51, 52, 53, 56, 57, 58, 59, 60, 62, 63, 65, 66, 71, 74, 75, 77, 79, 80, 81, 82, 83, 84, 85, 89, 104, 105, 106, 107, 110, 111, 112, 113, 114, 115, 116, 117, 118, 119, 120, 121, 122, 123, 124, 125, 126, 127, 128, 129, 130, 131, 132, 134, 135, 136, 139, 140, 150, 152, 153, 155, 156, 157, 158, 159, 160, 161, 164, 165, 166, 167, 168, 169, 170, 173, 179, 180, 181, 182, 183, 186, 188, 189, 191, 193, 196, 199, 204, 205, 206, 207, 209, 210, 211, 212, 214, 215, 218, 225, 226, 227, 228, 230, 231, 235, 236, 238, 239, 240, 242, 245, 246, 250, 251, 256, 257, 261, 262, 272, 275, 276, 277, 278, 280, 282, 285, 286, 288, 289, 291, 292, 294, 295, 296, 299, 300, 301, 302, 304, 305, 306, 308, 309, 310, 311, 312, 318, 321, 322, 323, 324, 325, 327, 328, 330, 333, 336, 337, 338, 340, 342, 343, 344, 352, 354, 355, 356, 357, 358, 359, 360, 361, 363, 364, 367, 368, 369, 372, 373, 374, 375, 376,

377, 378, 382, 384, 385, 387, 388, 403, 404, 405, 407, 412, 414, 419, 421, 424, 425, 427, 432, 435, 438, 439, 440, 441, 443, 450, 457, 464, 467, 478, 482, 495, 498 y 499.

De los compuestos de la Fórmula **1** probados a 10 ppm, los siguientes proporcionaron niveles de eficacia de control muy buenos a excelentes (80 % o más de mortalidad): 26, 29, 30, 36, 37, 40, 47, 48, 49, 50, 51, 52, 53, 56, 57, 59, 60, 62, 63, 65, 66, 71, 75, 79, 80, 81, 82, 83, 84, 88, 89, 102, 104, 105, 106, 111, 113, 114, 115, 116, 118, 119, 120, 121, 122, 123, 124, 125, 126, 127, 129, 130, 131, 132, 135, 152, 157, 158, 159, 160, 161, 164, 165, 166, 168, 169, 170, 173, 180, 182, 183, 189, 191, 196, 205, 206, 210, 211, 212, 214, 218, 227, 228, 231, 236, 239, 240, 245, 246, 256, 257, 262, 272, 277, 282, 285, 286, 289, 291, 295, 299, 300, 302, 309, 310, 312, 322, 323, 324, 330, 333, 342, 343, 344, 352, 354, 357, 359, 360, 364, 367, 368, 374, 375, 382, 405, 424, 434, 438, 440, 443, 478, 498 y 499.

Prueba G

Para evaluar el control del trip occidental de las flores (*Frankliniella occidentalis*) por métodos de contacto y/o sistémicos, la unidad de prueba consistió de un pequeño recipiente abierto con una planta de frijol Soleil de 5-7 días de edad en su interior.

Los compuestos de prueba se formularon y rociaron a 250, 50 y/o 10 ppm, y las pruebas se repitieron tres veces, tal

como se describió para la Prueba A. Después de rociar, las unidades de prueba se dejaron secar por 1 hora y después 22-27 trips adultos se adicionaron a la unidad. Se colocó una tapa negra de tela metálica en la parte superior de cada unidad de prueba y las unidades de prueba se mantuvieron durante 7 días a 25 °C y 45-55 % de humedad relativa.

De los otros compuestos de la Fórmula **1** probados a 250 ppm, los siguientes proporcionaron niveles de eficacia del control muy buenos a excelentes (30 % o menos de daño de planta y/o 100 % de mortalidad): 50, 56, 89, 121, 139, 343, 344 y 346.

De los compuestos de la Fórmula **1** probados a 50 ppm, los siguientes proporcionan niveles de eficacia de control muy buenos a excelentes (30 % o menos de daño de planta y/o 100 % de mortalidad): 191, 199, 230, 272, 285, 289, 300, 321, 322, 343, 344, 346, 352, 360, 364, 365, 368, 369, 377, 378, 407, 409, 411, 421, 432, 435, 438, 440 y 443.

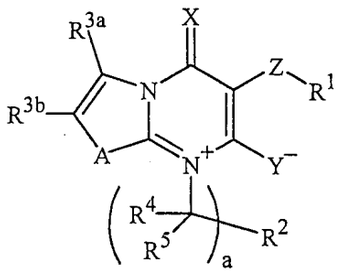
De los compuestos de la Fórmula **1** probados a 10 ppm, los siguientes proporcionaron niveles muy buenos a excelentes de eficacia de control (30 % o menos de daño de planta y/o 100 % de mortalidad): 272, 289, 343, 344, 346, 364 y 369.

Se hace constar que con relación a esta fecha, el mejor método conocido por la solicitante para llevar a la práctica la citada invención, es el que resulta claro de la presente descripción de la invención.

REIVINDICACIONES.

Habiéndose descrito la invención como antecede, se reclama como propiedad lo contenido en las siguientes reivindicaciones:

1. Un compuesto de la Fórmula **1**, un *N*-óxido, o sal de este,



1

en donde

X es O o S;

Y es O o S;

A es O, S, NR^{3e} o $C(R^{3c})=C(R^{3d})$, siempre que la porción $C(R^{3c})=C(R^{3d})$ se oriente de manera que el átomo de carbono unido a R^{3d} se conecte directamente con el anillo de pirimidinio de la Fórmula **1**;

Z es un enlace directo, O, $S(O)_n$, NR^6, $C(R^7)_2O$, $OC(R^7)_2$, $C(=X^1)$, $C(=X^1)E$, $EC(=X^1)$, $C(=NOR^8)$ o $C(=NN(R^6)_2)$;

X^1 es O, S o NR^9;

E es O, S o NR^{9a};

R^1 es un anillo de 3 a 10 miembros o un sistema anular de 7 a 11 miembros, cada anillo o sistema anular contiene miembros de anillo seleccionados de átomos de carbono y hasta 4 heteroátomos seleccionados independientemente de hasta 2 O, hasta 2 S y hasta 4 N, en donde hasta 3 miembros del anillo del átomo de carbono se seleccionan independientemente de C(=O) y C(=S) y los miembros del anillo del átomo de azufre se seleccionan independientemente de $S(=O)_u(=NR^{24})_z$, cada anillo o sistema anular está sustituido opcionalmente con hasta 5 sustituyentes seleccionados independientemente de R^{14};

R^2 es H, halógeno, ciano, hidroxi, amino, nitro, OCN, SCN, CHO, $C(=O)OH$, $C(=O)NH_2$, $C(=S)NH_2$, SO_2NH_2, $C(=O)R^{18}$, $C(=O)OR^{18}$, NHR^{18}, $NR^{18}R^{19}$, $C(=O)NR^{21}R^{19}$, $C(=S)NR^{21}R^{19}$, $SO_2NR^{21}R^{19}$, $OC(=O)R^{21}$, $OC(=O)OR^{18}$, $OC(=O)NR^{21}R^{19}$, $N(R^{21})C(=O)R^{21}$, $N(R^{21})C(=O)OR^{19}$, $N(R^{21})C(=O)NR^{21}R^{22}$, OSO_2R^{18}, $OSO_2NR^{21}R^{22}$, $NR^{21}SO_2R^{18}$, $NR^{21}SO_2NR^{21}R^{22}$ o $Si(R^{18}R^{19}R^{20})$; o alquilo de C_1-C_8, alquenilo de C_2-C_8, alquinilo de C_2-C_8, cicloalquilo de C_3-C_{10}, alquilcicloalquilo de C_4-C_{10}, cicloalquilalquilo de C_4-C_{10}, cicloalquilcicloalquilo de C_6-C_{14},

alquilcicloalquilalquilo de C_5-C_{10}, cicloalquenilo de C_3-C_8, alcoxi de C_1-C_8, cicloalcoxi de C_3-C_8, cicloalquilalcoxi de C_4-C_{10}, alqueniloxi de C_2-C_8, alquiniloxi de C_2-C_8, alquiltio de C_1-C_8, alquilsulfinilo de C_1-C_8, alquilsulfonilo de C_1-C_8, cicloalquiltio de C_3-C_8, cicloalquilsulfinilo de C_3-C_8, cicloalquilsulfonilo de C_3-C_8, cicloalquilalquiltio de C_4-C_{10}, cicloalquilalquilsulfinilo de C_4-C_{10}, cicloalquilalquilsulfonilo de C_4-C_{10}, alqueniltio de C_2-C_8, alquenilsulfinilo de C_2-C_8, alquenilsulfonilo de C_2-C_8, alquiniltio de C_2-C_8, alquinilsulfinilo de C_2-C_8 o alquinilsulfonilo de C_2-C_8, cada uno no sustituido o sustituido con hasta un sustituyente seleccionado del grupo que consiste en halógeno, ciano, nitro, CHO, C(=O)OH, $C(=O)NH_2$, $C(=O)R^{10}$, $C(=O)OR^{11}$, $C(=O)NR^{12}R^{13}$, OR^{11}, $S(O)_nR^{10}$, $SO_2NR^{12}R^{13}$ y $Si(R^{10})_3$; o un anillo de 3 a 10 miembros o un sistema anular de 7 a 11 miembros, cada anillo o sistema anular contiene miembros de anillo seleccionados de átomos de carbono y hasta 4 heteroátomos seleccionados independientemente de hasta 2 O, hasta 2 S y hasta 4 N, en donde 3 miembros del anillo del átomo de carbono se seleccionan

independientemente C(=O) y C(=S) y los miembros del anillo del átomo de azufre se seleccionan independientemente de $S(=O)_u(=NR^{24})_z$, cada anillo o sistema anular está opcionalmente sustituido con hasta 5 sustituyentes seleccionados independientemente de R^{15};

R^{3a}, R^{3b}, R^{3c} y R^{3d} son independientemente H, halógeno, ciano, hidroxi, amino, nitro, SF_5, OCN, SCN, CHO, $C(=O)OH$, $C(=O)NH_2$, $C(=S)NH_2$, SO_2NH_2, $C(=O)R^{18}$, $C(=O)OR^{18}$, NHR^{18}, $NR^{18}R^{19}$, $C(=O)NR^{21}R^{19}$, $C(=S)NR^{21}R^{19}$, $SO_2NR^{21}R^{19}$, $OC(=O)R^{21}$, $OC(=O)OR^{18}$, $OC(=O)NR^{21}R^{19}$, $N(R^{21})C(=O)R^{21}$, $N(R^{21})C(=O)OR^{19}$, $N(R^{21})C(=O)NR^{21}R^{22}$, OSO_2R^{18}, $OSO_2NR^{21}R^{22}$, $NR^{21}SO_2R^{18}$, $NR^{21}SO_2NR^{21}R^{22}$, $Si(R^{18}R^{19}R^{20})$ o Z^1Q^t; o alquilo de C_1-C_8, alquenilo de C_2-C_8, alquinilo de C_2-C_8, cicloalquilo de C_3-C_{10}, alquilcicloalquilo de C_4-C_{10}, cicloalquilalquilo de C_4-C_{10}, cicloalquilcicloalquilo de C_6-C_{14}, alquilcicloalquilalquilo de C_5-C_{10}, cicloalquenilo de C_3-C_8, alcoxi de C_1-C_8, cicloalcoxi de C_3-C_8, cicloalquilalcoxi de C_4-C_{10}, alqueniloxi de C_2-C_8, alquiniloxi de C_2-C_8, alquiltio de C_1-C_8, alquilsulfinilo de C_1-C_8, alquilsulfonilo de C_1-C_8, cicloalquiltio de C_3-C_8, cicloalquilsulfinilo de C_3-C_8, cicloalquilsulfonilo de C_3-C_8,

cicloalquilalquiltio de C_4-C_{10}, cicloalquilalquilsulfinilo de C_4-C_{10}, cicloalquilalquilsulfonilo de C_4-C_{10}, alqueniltio de C_2-C_8, alquenilsulfinilo de C_2-C_8, alquenilsulfonilo de C_2-C_8, alquiniltio de C_2-C_8, alquinilsulfinilo de C_2-C_8 o alquinilsulfonilo de C_2-C_8, cada uno no sustituido o sustituido con al menos un sustituyente seleccionado independientemente de R^{17}; o R^{3a} y R^{3b}, o R^{3b} y R^{3c}, o R^{3c} y R^{3d} se toman en conjunto con los átomos de carbono adyacentes a los cuales se unen para formar un anillo heterocíclico o carbocíclico de 5 a 7 miembros, cada anillo contiene miembros de anillo seleccionados de átomos de carbono y hasta 3 heteroátomos seleccionados independientemente de hasta 2 O, hasta 2 S y hasta 3 N, en donde hasta 2 miembros de anillo del átomo de carbono se seleccionan independientemente de C(=O) y C(=S), y los miembros del anillo de azufre se seleccionan independientemente de $S(=O)_n$, cada anillo está sustituido opcionalmente con hasta 3 sustituyentes seleccionados independientemente del grupo que consiste en halógeno, ciano, hidroxi, amino, nitro, C(=O)OH, $C(=O)NH_2$, SO_2NH_2, alquilo de C_1-C_4, haloalquilo de C_1-C_4, alquenilo

de C_2-C_4, haloalquenilo de C_2-C_4, alquinilo de C_2-C_4, haloalquinilo de C_2-C_4, cicloalquilo de C_3-C_7, halocicloalquilo de C_3-C_7, alquilcicloalquilo de C_4-C_8, haloalquilcicloalquilo de C_4-C_8, cicloalquilalquilo de C_4-C_8, halocicloalquilalquilo de C_4-C_8, alcoxi de C_1-C_6, haloalcoxi de C_1-C_6, alcoxicarbonilo de C_2-C_6, haloalcoxicarbonilo de C_2-C_6, alquilcarbonilo de C_2-C_6 y haloalquilcarbonilo de C_2-C_6;

R^{3e} es H, hidroxi, amino, CHO, $C(=O)NH_2$, $C(=S)NH_2$, SO_2NH_2, $C(=O)R^{18}$, $C(=O)OR^{18}$, NHR^{18}, $NR^{18}R^{19}$, $C(=O)NR^{21}R^{19}$, $C(=S)NR^{21}R^{19}$, $SO_2NR^{21}R^{19}$, $OC(=O)R^{21}$, $OC(=O)OR^{18}$, $OC(=O)NR^{21}R^{19}$, $N(R^{21})C(=O)R^{21}$, $N(R^{21})C(=O)OR^{19}$, $N(R^{21})C(=O)NR^{21}R^{22}$, OSO_2R^{18}, $OSO_2NR^{21}R^{22}$, $NR^{21}SO_2R^{18}$, $NR^{21}SO_2NR^{21}R^{22}$, $Si(R^{18}R^{19}R^{20})$ o Z^1Q^t; o alquilo de C_1-C_8, alquenilo de C_2-C_8, alquinilo de C_2-C_8, cicloalquilo de C_3-C_{10}, alquilcicloalquilo de C_4-C_{10}, cicloalquilalquilo de C_4-C_{10}, cicloalquilcicloalquilo de C_6-C_{14}, alquilcicloalquilalquilo de C_5-C_{10}, cicloalquenilo de C_3-C_8, alcoxi de C_1-C_8, cicloalcoxi de C_3-C_8, cicloalquilalcoxi de C_4-C_{10}, alqueniloxi de C_2-C_8, alquiniloxi de C_2-C_8, alquilsulfinilo de C_1-C_8, alquilsulfonilo de C_1-C_8, cicloalquilsulfinilo de C_3-C_8, cicloalquilsulfonilo de C_3-C_8,

cicloalquilalquilsulfinilo de C_4-C_{10}, cicloalquilalquilsulfonilo de C_4-C_{10}, alquenilsulfinilo de C_2-C_8, alquenilsulfonilo de C_2-C_8, alquinilsulfinilo de C_2-C_8 o alquinilsulfonilo de C_2-C_8, cada uno no sustituido o sustituido con al menos un sustituyente seleccionado independientemente de R^{17}; o R^{3e} y R^{3b} se toman en conjunto con los átomos de carbono adyacentes a los cuales se unen para formar un anillo heterocíclico o carbocíclico de 5 a 7 miembros, cada anillo contiene miembros de anillo seleccionados de átomos de carbono y hasta 2 O, hasta 2 S y hasta 3 N, en donde hasta 2 miembros de anillo del átomo de carbono se seleccionan independientemente de C(=O) y C(=S), y los miembros de anillo del átomo de azufre se seleccionan independientemente de $S(=O)_n$, cada anillo está opcionalmente sustituido con hasta 3 sustituyentes seleccionados independientemente del grupo que consiste en halógeno, ciano, hidroxi, amino, nitro, C(=O)OH, $C(=O)NH_2$, SO_2NH_2, alquilo de C_1-C_4, haloalquilo de C_1-C_4, alquenilo de C_2-C_4, haloalquenilo de C_2-C_4, alquinilo de C_2-C_4, haloalquinilo de C_2-C_4, cicloalquilo de C_3-C_7,

halocicloalquilo de C_3-C_7, alquilcicloalquilo de C_4-C_8, haloalquilcicloalquilo de C_4-C_8, cicloalquilalquilo de C_4-C_8, halocicloalquilalquilo de C_4-C_8, alcoxi de C_1-C_6, haloalcoxi de C_1-C_6, alcoxicarbonilo de C_2-C_6, haloalcoxicarbonilo de C_2-C_6, alquilcarbonilo de C_2-C_6 y haloalquilcarbonilo de C_2-C_6;

cada R^4 y R^5 es independientemente H, halógeno, ciano, hidroxi, amino, nitro, OCN, SCN, CHO, $C(=O)OH$, $C(=O)NH_2$, $C(=S)NH_2$ o SO_2NH_2; o alquilo de C_1-C_6, alquenilo de C_2-C_6, alquinilo de C_2-C_6, cicloalquilo de C_3-C_8, alquilcicloalquilo de C_4-C_8, cicloalquilalquilo de C_4-C_8, cicloalquilcicloalquilo de C_6-C_{12}, alquilcicloalquilalquilo de C_5-C_8, cicloalquenilo de C_3-C_6, alcoxi de C_1-C_6, cicloalcoxi de C_3-C_6, cicloalquilalcoxi de C_4-C_8, alqueniloxi de C_2-C_6 o alquiniloxi de C_2-C_6, cada uno no sustituido o sustituido con al menos uno seleccionado independientemente del grupo que consiste en halógeno, ciano, nitro, CHO, $C(=O)OH$, $C(=O)NH_2$, $C(=O)R^{10}$, $C(=O)OR^{11}$, $C(=O)NR^{12}R^{13}$, OR^{11}, $S(O)_nR^{10}$, $SO_2NR^{12}R^{13}$ y $Si(R^{10})_3$; o

R^4 y R^5 se toman en conjunto con el átomo de carbono al cual se unen para formar un anillo de

3 a 7 miembros que contienen miembros anulares seleccionados de átomos de carbono y hasta 2 heteroátomos seleccionados independientemente de un O, un S y hasta 2 N, en donde hasta 2 miembros anulares del átomo de carbono se seleccionan independientemente de C(=O) y C(=S) y el miembro anular del átomo de azufre se selecciona de S, S(O) o $S(O)_2$, el anillo opcionalmente sustituido con hasta 4 sustituyentes seleccionados independientemente del grupo que consiste en halógeno, ciano y alquilo de C_1-C_4;

cada R^6 es independientemente H; o alquilo de C_1-C_6, alquenilo de C_2-C_6, alquinilo de C_2-C_6, cicloalquilo de C_3-C_8, alquilcicloalquilo de C_4-C_8, cicloalquilalquilo de C_4-C_8, cicloalquilcicloalquilo de C_6-C_{10}, alquilcicloalquilalquilo de C_5-C_{10}, cicloalquenilo de C_3-C_6, alquilcarbonilo de C_2-C_6 o alcoxicarbonilo de C_2-C_6, cada uno no sustituido o sustituido con al menos un sustituyente seleccionado independientemente del grupo que consiste en halógeno, ciano, nitro, CHO, C(=O)OH, $C(=O)NH_2$, $C(=O)R^{10}$, $C(=O)OR^{11}$, $C(=O)NR^{12}R^{13}$, OR^{11}, $S(O)_nR^{10}$, $SO_2NR^{12}R^{13}$ y $Si(R^{10})_3$; o

dos sustituyentes de R^6 se toman en conjunto con el átomo de nitrógeno al cual se unen para formar un anillo de 3 a 7 miembros que contienen miembros anulares seleccionados de átomos de carbono y hasta 2 heteroátomos seleccionados independientemente de un O, un S y hasta 2 N, en donde hasta 2 miembros anulares del átomo de carbono se seleccionan independientemente de C(=O) y C(=S) y el miembro anular del átomo de azufre se selecciona de S, S(O) o $S(O)_2$, el anillo opcionalmente sustituido con hasta 4 sustituyentes seleccionados independientemente del grupo que consiste en halógeno, ciano y alquilo de C_1-C_4;

cada R^7 y R^8 es independientemente H; o alquilo de C_1-C_6, alquenilo de C_2-C_6, alquinilo de C_2-C_6, cicloalquilo de C_3-C_8, alquilcicloalquilo de C_4-C_8, cicloalquilalquilo de C_4-C_8, cicloalquilcicloalquilo de C_6-C_{10}, alquilcicloalquilalquilo de C_5-C_{10}, cicloalquenilo de C_3-C_6, alquilcarbonilo de C_2-C_6 o alcoxicerbonilo de C_2-C_6, cada uno no sustituido o sustituido con al menos un sustituyente seleccionado del grupo que consiste en halógeno, ciano, nitro, CHO, C(=O)OH,

$C(=O)NH_2$, $C(=O)R^{10}$, $C(=O)OR^{11}$, $C(=O)NR^{12}R^{13}$, OR^{11}, $S(O)_nR^{10}$, $SO_2NR^{12}R^{13}$ y $Si(R^{10})_3$;

cada R^9 es independientemente alquilo de C_1-C_6, alquenilo de C_2-C_6, alquinilo de C_2-C_6, cicloalquilo de C_3-C_8, alquilcicloalquilo de C_4-C_8, cicloalquilalquilo de C_4-C_8, cicloalquilcicloalquilo de C_6-C_{10}, alquilcicloalquilalquilo de C_5-C_{10}, cicloalquenilo de C_3-C_6, alquilcarbonilo de C_2-C_6 o alcoxicarbonilo de C_2-C_6, cada uno no sustituido o sustituido con al menos un sustituyente seleccionado independientemente del grupo que consiste en halógeno, ciano, nitro, CHO, $C(=O)OH$, $C(=O)NH_2$, $C(=O)R^{10}$, $C(=O)OR^{11}$, $C(=O)NR^{12}R^{13}$, OR^{11}, $S(O)_nR^{10}$, $SO_2NR^{12}R^{13}$ y $Si(R^{10})_3$;

cada R^{9a} es independientemente H; o alquilo de C_1-C_6, alquenilo de C_2-C_6, alquinilo de C_2-C_6, cicloalquilo de C_3-C_8, alquilcicloalquilo de C_4-C_8, cicloalquilcicloalquilo de C_4-C_8, cicloalquilcicloalquilo de C_6-C_{10}, alquilcicloalquilalquilo de C_5-C_{10}, cicloalquenilo de C_3-C_6, alquilcarbonilo de C_2-C_6 o alcoxicarbonilo de C_2-C_6, cada uno no sustituido o sustituido con al menos un sustituyente seleccionado del grupo que consiste

en halógeno, ciano, nitro, CHO, C(=O)OH, $C(=O)NH_2$, $C(=O)R^{10}$, $C(=O)OR^{11}$, $C(=O)NR^{12}R^{13}$, OR^{11}, $S(O)_nR^{10}$, $SO_2NR^{12}R^{13}$ y $Si(R^{10})_3$;

cada R^{10} y R^{11} es independientemente alquilo de C_1-C_6, alquenilo de C_2-C_6, alquinilo de C_2-C_6, cicloalquilo de C_3-C_8, alquilcicloalquilo de C_4-C_8, cicloalquilalquilo de C_4-C_8, cicloalquilcicloalquilo de C_6-C_{10}, alquilcicloalquilalquilo de C_5-C_{10} o cicloalquenilo de C_3-C_6, cada uno no sustituido o sustituido con al menos un sustituyente seleccionado independientemente del grupo que consiste en halógeno, ciano, nitro, CHO, C(=O)OH, $C(=O)NH_2$, alcoxi de C_1-C_4, haloalcoxi de C_1-C_4, alquiltio de C_1-C_4, alquilsulfinilo de C_1-C_4, alquilsulfonilo de C_1-C_4, haloalquiltio de C_1-C_4, haloalquilsulfinilo de C_1-C_4, haloalquilsulfonilo de C_1-C_4, alquilamino de C_1-C_4, dialquilamino de C_2-C_8, cicloalquilamino de C_3-C_6, alcoxialquilo de C_2-C_4, alquilcarbonilo de C_2-C_4, alcoxicarbonilo de C_2-C_6, alquilcarboniloxi de C_2-C_6, alquilcarboniltio de C_2-C_6, alquilaminocarbonilo de C_2-C_6, dialquilaminocarbonilo de C_3-C_8 y trialquilsililo de C_3-C_6; o fenilo o un anillo heteroaromático de 5 o 6 miembros, cada uno no

sustituido o sustituido con al menos un sustituyente seleccionado independientemente del grupo que consiste en alquilo de C_1-C_6, haloalquilo de C_1-C_6, alquenilo de C_2-C_6, alquinilo de C_2-C_6, cicloalquilo de C_3-C_8, alquilcicloalquilo de C_4-C_8, cicloalquilalquilo de C_4-C_8, cicloalquilcicloalquilo de C_6-C_{10}, alquilcicloalquilalquilo de C_5-C_{10}, cicloalquenilo de C_3-C_6, halógeno, ciano, nitro, CHO, C(=O)OH, $C(=O)NH_2$, alcoxi de C_1-C_4, haloalcoxi de C_1-C_4, alquiltio de C_1-C_4, alquilsulfinilo de C_1-C_4, alquilsulfonilo de C_1-C_4, haloalquiltio de C_1-C_4, haloalquilsulfinilo de C_1-C_4, haloalquilsulfonilo de C_1-C_4, alquilamino de C_1-C_4, dialquilamino de C_2-C_8, cicloalquilamino de C_3-C_6, alcoxialquilo de C_2-C_4, alquilcarbonilo de C_2-C_4, alcoxicarbonilo de C_2-C_6, alquilcarboniloxi de C_2-C_6, alquilcarboniltio de C_2-C_6, alquilaminocarbonilo de C_2-C_6, dialquilaminocarbonilo de C_3-C_8 y trialquilsililo de C_3-C_6;

cada R^{12} y R^{13} es independientemente alquilo de C_1-C_6, alquenilo de C_2-C_6, alquinilo de C_2-C_6, cicloalquilo de C_3-C_8, alquilcicloalquilo de C_4-C_8, cicloalquilalquilo de C_4-C_8, cicloalquilcicloalquilo de C_6-C_{10},

alquilcicloalquilalquilo de C_5-C_{10} o cicloalquenilo de C_3-C_6, cada uno no sustituido o sustituido con al menos un sustituyente seleccionado independientemente del grupo que consiste en halógeno, ciano, nitro, CHO, C(=O)OH, $C(=O)NH_2$, alcoxi de C_1-C_4, haloalcoxi de C_1-C_4, alquiltio de C_1-C_4, alquilsulfinilo de C_1-C_4, alquilsulfonilo de C_1-C_4, haloalquiltio de C_1-C_4, haloalquilsulfinilo de C_1-C_4, haloalquilsulfonilo de C_1-C_4, alquilamino de C_1-C_4, dialquilamino de C_2-C_8, cicloalquilamino de C_3-C_6, alcoxialquilo de C_2-C_4, alquilcarbonilo de C_2-C_4, alcoxicarbonilo de C_2-C_6, alquilcarboniloxi de C_2-C_6, alquilcarboniltio de C_2-C_6, alquilaminocarbonilo de C_2-C_6, dialquilaminocarbonilo de C_3-C_8 y trialquilsililo de C_3-C_6; o fenilo o un anillo heteroaromático de 5 o 6 miembros, cada uno no sustituido o sustituido con al menos un sustituyente seleccionado independientemente del grupo que consiste en alquilo de C_1-C_6, haloalquilo de C_1-C_6, alquenilo de C_2-C_6, alquinilo de C_2-C_6, cicloalquilo de C_3-C_8, alquilcicloalquilo de C_4-C_8, cicloalquilalquilo de C_4-C_8, cicloalquilcicloalquilo de C_6-C_{10}, alquilcicloalquilalquilo de C_5-C_{10}, cicloalquenilo

de C_3-C_6, halógeno, ciano, nitro, CHO, C(=O)OH, $C(=O)NH_2$, alcoxi de C_1-C_4, haloalcoxi de C_1-C_4, alquiltio de C_1-C_4, alquilsulfinilo de C_1-C_4, alquilsulfonilo de C_1-C_4, haloalquiltio de C_1-C_4, haloalquilsulfinilo de C_1-C_4, haloalquilsulfonilo de C_1-C_4, alquilamino de C_1-C_4, dialquilamino de C_2-C_8, cicloalquilamino de C_3-C_6, alcoxialquilo de C_2-C_4, alquilcarbonilo de C_2-C_4, alcoxicarbonilo de C_2-C_6, alquilcarboniloxi de C_2-C_6, alquilcarboniltio de C_2-C_6, alquilaminocarbonilo de C_2-C_6, dialquilaminocarbonilo de C_3-C_8 y trialquilsililo de C_3-C_6; o

R^{12} y R^{13} se toman en conjunto con el átomo de nitrógeno al cual se unen para formar un anillo de 3 a 7 miembros que contienen miembros anulares seleccionados de átomos de carbono y hasta 2 heteroátomos seleccionados independientemente de un O, un S y hasta 2 N, en donde hasta 2 miembros anulares del átomo de carbono se seleccionan independientemente de C(=O) y C(=S) y el miembro anular del átomo de azufre se selecciona de S, S(O) o $S(O)_2$, el anillo opcionalmente sustituido con hasta 4 sustituyentes seleccionados independientemente

del grupo que consiste en halógeno, ciano y alquilo de C_1-C_4;

cada R^{14} es independientemente halógeno, ciano, hidroxi, amino, nitro, SF_5, OCN, SCN, CHO, $C(=O)OH$, $C(=O)NH_2$, $C(=S)NH_2$, SO_2NH_2, $C(=O)R^{18}$, $C(=O)OR^{18}$, NHR^{18}, $NR^{18}R^{19}$, $C(=O)NR^{21}R^{19}$, $C(=O)NR^{21}NR^{22}R^{23}$, $C(=S)NR^{21}R^{19}$, $SO_2NR^{21}R^{19}$, $OC(=O)R^{21}$, $OC(=O)OR^{18}$, $OC(=O)NR^{21}R^{19}$, $N(R^{21})C(=O)R^{21}$, $N(R^{21})C(=O)OR^{19}$, $N(R^{21})C(=O)NR^{21}R^{22}$, OSO_2R^{18}, $OSO_2NR^{21}R^{22}$, $NR^{21}SO_2R^{18}$, $NR^{21}SO_2NR^{21}R^{22}$, $Si(R^{18}R^{19}R^{20})$, $C(=NR^{21})R^{22}$, $C(=NOR^{21})R^{22}$, $C(=NNR^{21}R^{22})R^{23}$, $C(=NN(C(=O)R^{19})R^{21})R^{22}$, $C(=NN(C(=O)OR^{19})R^{21})R^{22}$, $ON=CR^{21}R^{22}$, $ONR^{21}R^{22}$, $S(=O)(=NR^{21})R^{22}$, $SO_2NR^{21}C(=O)NR^{22}R^{23}$, $P(=X^2)R^{18}R^{19}$, $OP(=X^2)R^{18}R^{19}$, $OP(=X^2)(OR^{18})R^{19}$, $OP(=X^2)(OR^{18})OR^{19}$, $N=CR^{21}R^{22}$, $NR^{21}N=CR^{22}R^{23}$, $NR^{21}NR^{22}R^{23}$, $NR^{21}C(=X^2)NR^{22}R^{23}$, $NR^{21}C(=NR^{21})NR^{22}R^{23}$, $NR^{21}NR^{21}C(=X^2)NR^{22}R^{23}$, $NR^{21}NR^{21}SO_2NR^{22}R^{23}$, Z^1Q^t o $Z^1Q^iZ^1Q^t$; o alquilo de C_1-C_8, alquenilo de C_2-C_8, alquinilo de C_2-C_8, cicloalquilo de C_3-C_{10}, alquilcicloalquilo de C_4-C_{10}, cicloalquilalquilo de C_4-C_{10}, cicloalquilcicloalquilo de C_6-C_{14}, alquilcicloalquilalquilo de C_5-C_{10}, cicloalquenilo de C_3-C_8, alcoxi de C_1-C_8, cicloalcoxi de C_3-C_8, cicloalquilalcoxi de C_4-C_{10}, alqueniloxi de C_2-C_8,

alquiniloxi de C_2-C_8, alquiltio de C_1-C_8, alquilsulfinilo de C_1-C_8, alquilsulfonilo de C_1-C_8, cicloalquiltio de C_3-C_8, cicloalquilsulfinilo de C_3-C_8, cicloalquilsulfonilo de C_3-C_8, cicloalquilalquiltio de C_4-C_{10}, cicloalquilalquilsulfinilo de C_4-C_{10}, cicloalquilalquilsulfonilo de C_4-C_{10}, alqueniltio de C_2-C_8, alquenilsulfinilo de C_2-C_8, alquenilsulfonilo de C_2-C_8, alquiniltio de C_2-C_8, alquinilsulfinilo de C_2-C_8 o alquinilsulfonilo de C_2-C_8, cada uno no sustituido o sustituido con al menos un sustituyente seleccionado de R^{17}; o

dos sustituyentes de R^{14} en átomos de anillos adyacentes se toman en conjunto con los átomos del anillo adyacente para formar un anillo carbocíclico o heterocíclico de 5 a 7 miembros, cada anillo contiene miembros anulares seleccionados de átomos de carbono y hasta 3 heteroátomos seleccionados independientemente de hasta 2 O, hasta 2 S y hasta 3 N, en donde hasta 2 miembros anulares del átomo de carbono se seleccionan independientemente de C(=O) y C(=S) y los miembros anulares del átomo de azufre se seleccionan independientemente de $S(=O)_n$, cada anillo está opcionalmente sustituido con hasta 3

sustituyentes seleccionados independientemente del grupo que consiste en halógeno, ciano, amino, nitro, $C(=O)OH$, $C(=O)NH_2$, SO_2NH_2, alquilo de C_1-C_4, haloalquilo de C_1-C_4, alquenilo de C_2-C_4, haloalquenilo de C_2-C_4, alquinilo de C_2-C_4, haloalquinilo de C_2-C_4, cicloalquilo de C_3-C_7, halocicloalquilo de C_3-C_7, alquilcicloalquilo de C_4-C_8, haloalquilcicloalquilo de C_4-C_8, cicloalquilalquilo de C_4-C_8, halocicloalquilalquilo de C_4-C_8, alcoxi de C_1-C_6, haloalcoxi de C_1-C_6, alcoxicarbonilo de C_2-C_6, haloalcoxicarbonilo de C_2-C_6, alquilcarbonilo de C_2-C_6 y haloalquilcarbonilo de C_2-C_6;

cada X^2 es independientemente O o S;

cada Z^1 es independientemente un enlace directo, O, $S(O)_n$, NR^6, $C(R^7)_2$, $C(R^7)=C(R^7)$, $C\equiv C$, $C(R^7)_2O$, $OC(R^7)_2$, $C(=X^1)$, $C(=X^1)E$, $EC(=X^1)$, $C(=NOR^8)$ o $C(=NN(R^6)_2)$;

cada Q^i es independientemente un anillo de 3 a 10 miembros o un sistema anular de 7 a 11 miembros, cada anillo o sistema anular contiene miembros de anillo seleccionados de átomos de carbono y hasta 4 heteroátomos seleccionados independientemente de hasta 2 O, hasta 2 S y hasta 4 N, en donde hasta 3 miembros de anillo del átomo de carbono

se seleccionan independientemente de C(=O) y C(=S), y los miembros de anillo del átomo de azufre se seleccionan independientemente de $S(=O)_u(=NR^{24})_z$, cada anillo o sistema anular está sustituido opcionalmente con hasta 4 sustituyentes seleccionados independientemente del grupo que consiste en halógeno, ciano, nitro, CHO, C(=O)OH, $C(=O)NH_2$, $C(=O)R^{10}$, $C(=O)OR^{11}$, $C(=O)NR^{12}R^{13}$, OR^{11}, $S(O)_nR^{10}$, $SO_2NR^{12}R^{13}$, $Si(R^{10})_3$ y R^{16};

cada Q^t es independientemente un anillo de 3 a 10 miembros o un sistema anular de 7 a 11 miembros, cada anillo o sistema anular contiene miembros de anillo seleccionados de átomos de carbono y hasta 4 heteroátomos seleccionados independientemente de hasta 2 O, hasta 2 S y hasta 4N, en donde hasta 3 miembros del anillo del átomo de carbono se seleccionan independientemente de C(=O) y C(=S), y los miembros de anillo del átomo de azufre se seleccionan independientemente de $S(=O)_u(=NR^{24})_z$, cada anillo o sistema anular está opcionalmente sustituido con hasta 5 sustituyentes seleccionados independientemente del grupo que consiste en halógeno, ciano, nitro, CHO,

$C(=O)OH$, $C(=O)NH_2$, $C(=O)R^{10}$, $C(=O)OR^{11}$, $C(=O)NR^{12}R^{13}$, $C(=O)NR^{21}NR^{22}R^{23}$, OR^{11}, $S(O)_nR^{10}$, $SO_2NR^{12}R^{13}$, $S(=O)(=NR^{21})R^{22}$, $Si(R^{10})_3$ y R^{16};

cada R^{15} es independientemente halógeno, ciano, hidroxi, amino, nitro, SF_5, OCN, SCN, CHO, $C(=O)OH$, $C(=O)NH_2$, $C(=S)NH_2$, SO_2NH_2, $C(=O)R^{18}$, $C(=O)OR^{18}$, NHR^{18}, $NR^{18}R^{19}$, $C(=O)NR^{21}R^{19}$, $C(=O)NR^{21}NR^{22}R^{23}$, $C(=S)NR^{21}R^{19}$, $SO_2NR^{21}R^{19}$, $S(=O)(=NR^{21})R^{22}$, $OC(=O)R^{21}$, $OC(=O)OR^{18}$, $OC(=O)NR^{21}R^{19}$, $N(R^{21})C(=O)R^{21}$, $N(R^{21})C(=O)OR^{19}$, $N(R^{21})C(=O)NR^{21}R^{22}$, OSO_2R^{18}, $OSO_2NR^{21}R^{22}$, $NR^{21}SO_2R^{18}$, $NR^{21}SO_2NR^{21}R^{22}$, $Si(R^{18}R^{19}R^{20})$ o Z^1Q^t; o alquilo de C_1-C_8, alquenilo de C_2-C_8, alquinilo de C_2-C_8, cicloalquilo de C_3-C_{10}, alquilcicloalquilo de C_4-C_{10}, cicloalquilalquilo de C_4-C_{10}, cicloalquilcicloalquilo de C_6-C_{14}, alquilcicloalquilalquilo de C_5-C_{10}, cicloalquenilo de C_3-C_8, alcoxi de C_1-C_8, cicloalcoxi de C_3-C_8, cicloalquilalcoxi de C_4-C_{10}, alqueniloxi de C_2-C_8, alquiniloxi de C_2-C_8, alquiltio de C_1-C_8, alquilsulfinilo de C_1-C_8, alquilsulfonilo de C_1-C_8, cicloalquiltio de C_3-C_8, cicloalquilsulfinilo de C_3-C_8, cicloalquilsulfonilo de C_3-C_8, cicloalquilalquiltio de C_4-C_{10},

cicloalquilalquilsulfinilo de C_4-C_{10}, cicloalquilalquilsulfonilo de C_4-C_{10}, alqueniltio de C_2-C_8, alquenilsulfinilo de C_2-C_8, alquenilsulfonilo de C_2-C_8, alquiniltio de C_2-C_8, alquinilsulfinilo de C_2-C_8 o alquinilsulfonilo de C_2-C_8, cada uno no sustituido o sustituido con al menos un sustituyente seleccionado de R^{17}; o

dos sustituyentes de R^{15} en átomos de anillos adyacentes se toman en conjunto con los átomos del anillo adyacente para formar un anillo carbocíclico o heterocíclico de 5 a 7 miembros, cada anillo contiene miembros anulares seleccionados de átomos de carbono y hasta 3 heteroátomos seleccionados independientemente de hasta 2 O, hasta 2 S y hasta 3 N, en donde hasta 2 miembros anulares del átomo de carbono se seleccionan independientemente de C(=O) y C(=S) y los miembros anulares del átomo de azufre se seleccionan independientemente de $S(=O)_n$, cada anillo está opcionalmente sustituido con hasta 3 sustituyentes seleccionados independientemente del grupo que consiste en halógeno, ciano, amino, nitro, C(=O)OH, $C(=O)NH_2$, SO_2NH_2, alquilo de C_1-C_4, haloalquilo de C_1-C_4, alquenilo de C_2-C_4, haloalquenilo de C_2-C_4, alquinilo de C_2-C_4,

haloalquinilo de C_2-C_4, cicloalquilo de C_3-C_7, halocicloalquilo de C_3-C_7, alquilcicloalquilo de C_4-C_8, haloalquilcicloalquilo de C_4-C_8, cicloalquilalquilo de C_4-C_8, halocicloalquilalquilo de C_4-C_8, alcoxi de C_1-C_6, haloalcoxi de C_1-C_6, alcoxicarbonilo de C_2-C_6, haloalcoxicarbonilo de C_2-C_6, alquilcarbonilo de C_2-C_6 y haloalquilcarbonilo de C_2-C_6;

cada R^{16} es independientemente alquilo de C_1-C_6, alquenilo de C_2-C_6, alquinilo de C_2-C_6, cicloalquilo de C_3-C_8, alquilcicloalquilo de C_4-C_8, cicloalquilalquilo de C_4-C_8, cicloalquilcicloalquilo de C_6-C_{10}, alquilcicloalquilalquilo de C_5-C_{10} o cicloalquenilo de C_3-C_6, cada uno no sustituido o sustituido con al menos un sustituyente seleccionado independientemente del grupo que consiste en halógeno, ciano, nitro, CHO, C(=O)OH, $C(=O)NH_2$, alcoxi de C_1-C_4, haloalcoxi de C_1-C_4, alquiltio de C_1-C_4, alquilsulfinilo de C_1-C_4, alquilsulfonilo de C_1-C_4, haloalquiltio de C_1-C_4, haloalquilsulfinilo de C_1-C_4, haloalquilsulfonilo de C_1-C_4, alquilamino de C_1-C_4, dialquilamino de C_2-C_8, cicloalquilamino de C_3-C_6, alcoxialquilo de C_2-C_4, alquilcarbonilo de C_2-C_4, alcoxicarbonilo

de C_2-C_6, alquilcarboniloxi de C_2-C_6, alquilcarboniltio de C_2-C_6, alquilaminocarbonilo de C_2-C_6, dialquilaminocarbonilo de C_3-C_8 y trialquilsililo de C_3-C_6; o fenilo o un anillo heteroaromático de 5 o 6 miembros, cada uno no sustituido o sustituido con al menos un sustituyente seleccionado independientemente del grupo que consiste en alquilo de C_1-C_6, haloalquilo de C_1-C_6, alquenilo de C_2-C_6, alquinilo de C_2-C_6, cicloalquilo de C_3-C_8, alquilcicloalquilo de C_4-C_8, cicloalquilalquilo de C_4-C_8, cicloalquilcicloalquilo de C_6-C_{10}, alquilcicloalquilalquilo de C_5-C_{10}, cicloalquenilo de C_3-C_6, halógeno, ciano, nitro, CHO, C(=O)OH, $C(=O)NH_2$, alcoxi de C_1-C_4, haloalcoxi de C_1-C_4, alquiltio de C_1-C_4, alquilsulfinilo de C_1-C_4, alquilsulfonilo de C_1-C_4, haloalquiltio de C_1-C_4, haloalquilsulfinilo de C_1-C_4, haloalquilsulfonilo de C_1-C_4, alquilamino de C_1-C_4, dialquilamino de C_2-C_8, cicloalquilamino de C_3-C_6, alcoxialquilo de C_2-C_4, alquilcarbonilo de C_2-C_4, alcoxicarbonilo de C_2-C_6, alquilcarboniloxi de C_2-C_6, alquilcarboniltio de C_2-C_6, alquilaminocarbonilo de C_2-C_6, dialquilaminocarbonilo de C_3-C_8 y trialquilsililo de C_3-C_6;

cada R^{17} es independientemente halógeno, ciano, nitro, OH, CHO, $C(=O)OH$, $C(=O)NH_2$, $C(=O)R^{10}$, $C(=O)OR^{11}$, $C(=O)NR^{12}R^{13}$, OR^{11}, $S(O)_nR^{10}$, $SO_2NR^{12}R^{13}$, $Si(R^{10})_3$ o Z^1Q^t;

cada R^{18}, R^{19} y R^{20} es independientemente Q^t; o alquilo de C_1-C_6, alquenilo de C_2-C_6, alquinilo de C_2-C_6, cicloalquilo de C_3-C_8, alquilcicloalquilo de C_4-C_8, cicloalquilalquilo de C_4-C_8, cicloalquilcicloalquilo de C_6-C_{10}, alquilcicloalquilalquilo de C_5-C_{10} o cicloalquenilo de C_3-C_6, cada uno no sustituido o sustituido con al menos un sustituyente seleccionado independientemente de R^{17};

cada R^{21} es independientemente Q^t o H; o alquilo de C_1-C_6, alquenilo de C_2-C_6, alquinilo de C_2-C_6, cicloalquilo de C_3-C_8, alquilcicloalquilo de C_4-C_8, cicloalquilalquilo de C_4-C_8, cicloalquilcicloalquilo de C_6-C_{10}, alquilcicloalquilalquilo de C_5-C_{10} o cicloalquenilo de C_3-C_6, cada uno no sustituido o sustituido con al menos un sustituyente seleccionado independientemente de R^{17};

cada R^{22} y R^{23} es independientemente Q^t o H; o alquilo de C_1-C_6, alquenilo de C_2-C_6, alquinilo de C_2-C_6, cicloalquilo de C_3-C_8, alquilcicloalquilo

de C_4-C_8, cicloalquilalquilo de C_4-C_8, cicloalquilcicloalquilo de C_6-C_{10}, alquilcicloalquilalquilo de C_5-C_{10} o cicloalquenilo de C_3-C_6, cada uno no sustituido o sustituido con al menos un sustituyente seleccionado independientemente de R^{17}; o

R^{22} y R^{23} se toman en conjunto con el átomo de nitrógeno al cual se unen para formar un anillo de 3 a 7 miembros que contienen miembros anulares seleccionados de átomos de carbono y hasta 2 heteroátomos seleccionados independientemente de un O, un S y hasta 2 N, en donde hasta 2 miembros anulares del átomo de carbono se seleccionan independientemente de C(=O) y C(=S) y el miembro anular del átomo de azufre se selecciona de S, S(O) o $S(O)_2$, el anillo opcionalmente sustituido con hasta 4 sustituyentes seleccionados independientemente del grupo que consiste en halógeno, ciano y alquilo de C_1-C_4;

cada R^{24} es independientemente H, ciano, OCN, SCN, CHO, C(=O)OH, $C(=O)NH_2$, $C(=S)NH_2$, SO_2NH_2, $C(=O)R^{18}$, $C(=O)OR^{18}$, NHR^{18}, $NR^{18}R^{19}$, $C(=O)NR^{21}R^{19}$, $C(=S)NR^{21}R^{19}$, $SO_2NR^{21}R^{19}$, $OC(=O)R^{21}$, $OC(=O)OR^{18}$, $OC(=O)NR^{21}R^{19}$, $N(R^{21})C(=O)R^{21}$, $N(R^{21})C(=O)OR^{19}$,

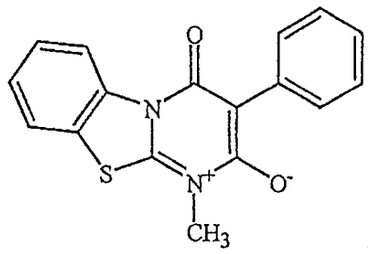
$N(R^{21})C(=O)NR^{21}R^{22}$, OSO_2R^{18}, $OSO_2NR^{21}R^{22}$, $NR^{21}SO_2R^{18}$, $NR^{21}SO_2NR^{21}R^{22}$, $Si(R^{18}R^{19}R^{20})$ o Z^1Q^t; o alquilo de C_1-C_8, alquenilo de C_2-C_8, alquinilo de C_2-C_8, cicloalquilo de C_3-C_{10}, alquilcicloalquilo de C_4-C_{10}, cicloalquilalquilo de C_4-C_{10}, cicloalquilcicloalquilo de C_6-C_{14}, alquilcicloalquilalquilo de C_5-C_{10}, cicloalquenilo de C_3-C_8, alcoxi de C_1-C_8, cicloalcoxi de C_3-C_8, cicloalquilalcoxi de C_4-C_{10}, alqueniloxi de C_2-C_8, alquiniloxi de C_2-C_8, alquiltio de C_1-C_8, alquilsulfinilo de C_1-C_8, alquilsulfonilo de C_1-C_8, cicloalquiltio de C_3-C_8, cicloalquilsulfinilo de C_3-C_8, cicloalquilsulfonilo de C_3-C_8, cicloalquilalquiltio de C_4-C_{10}, cicloalquilalquilsulfinilo de C_4-C_{10}, cicloalquilalquilsulfonilo de C_4-C_{10}, alqueniltio de C_2-C_8, alquenilsulfinilo de C_2-C_8, alquenilsulfonilo de C_2-C_8, alquiniltio de C_2-C_8, alquinilsulfinilo de C_2-C_8 o alquinilsulfonilo de C_2-C_8, cada uno no sustituido o sustituido con al menos un sustituyente seleccionado independientemente de R^{17};

a es 1, 2 ó 3;

cada n es independientemente 0, 1 ó 2; y

u y z en cada instancia de $S(=O)_u(=NR^{24})_z$ son independientemente 0, 1 o 2, siempre que la suma de u y z en cada instancia de $S(=O)_u(=NR^{24})_z$ es 0, 1 o 2;

siempre que (i) cuando A es O, S, NCH_3 o $C(R^{3c})=C(R^{3d})$, R^{3c} es H o F, y R^{3d} es H, F, CF_2H o CF_3, entonces, al menos uno de R^{3a} o R^{3b} es distinto de H; y (ii) el compuesto de la Fórmula 1 es distinto de



2. Un compuesto de la reivindicación 1, en donde

X es O;

Y es O;

Z es un enlace directo, $C(=X^1)$ o $C(=X^1)E$;

X^1 es O;

E es O;

A es $C(R^{3c})=C(R^{3d})$ o NR^{3e};

R^2 es $C(=O)OR^{18}$; o alquilo de C_1-C_8 opcionalmente sustituido con halógeno; o un anillo de 3 a 10

miembros o un sistema anular de 7 a 11 miembros, cada anillo o sistema anular contiene miembros de anillo seleccionados de átomos de carbono y hasta 4 heteroátomos seleccionados independientemente de hasta 2 O, hasta 2 S y hasta 4 N, en donde hasta 3 miembros anulares del átomo de carbono se seleccionan independientemente de C(=O) y C(=S) los miembros anulares del átomo de azufre se seleccionan independientemente de $S(=O)_u(=NR^{24})_z$, cada anillo o sistema anular está opcionalmente sustituido con hasta 5 sustituyentes seleccionados independientemente de R^{15};

R^{3a}, R^{3b}, R^{3c} son independientemente H o halógeno;

R^{3d} es halógeno, alquilo de C_1-C_8, alcoxi de C_1-C_8, haloalquilo de C_1-C_8 o haloalcoxi de C_1-C_8; o

R^{3c} y R^{3d} se toman en conjunto para formar un anillo carbocíclico o heterocíclico de 5 a 7 miembros, cada anillo contiene miembros anulares seleccionados de átomos de carbono y hasta 3 heteroátomos seleccionados independientemente de hasta 2 O, hasta 2 S y hasta 3 N, en donde hasta 2 miembros anulares del átomo de carbono se seleccionan independientemente de C(=O) y C(=S) y los miembros anulares del átomo de azufre se

seleccionan independientemente de $S(=O)_n$, cada anillo está opcionalmente sustituido con hasta 3 sustituyentes seleccionados independientemente del grupo que consiste en halógeno, ciano, amino, nitro, $C(=O)OH$, $C(=O)NH_2$, SO_2NH_2, alquilo de C_1-C_4, haloalquilo de C_1-C_4, alquenilo de C_2-C_4, haloalquenilo de C_2-C_4, alquinilo de C_2-C_4, haloalquinilo de C_2-C_4, cicloalquilo de C_3-C_7, halocicloalquilo de C_3-C_7, alquilcicloalquilo de C_4-C_8, haloalquilcicloalquilo de C_4-C_8, cicloalquilalquilo de C_4-C_8, halocicloalquilalquilo de C_4-C_8, alcoxi de C_1-C_6, haloalcoxi de C_1-C_6, alcoxicarbonilo de C_2-C_6, haloalcoxicarbonilo de C_2-C_6, alquilcarbonilo de C_2-C_6 y haloalquilcarbonilo de C_2-C_6;

R^{3e} es alquilo de C_1-C_4;

R^4 y R^5 son H; y

a es 1.

3. Un compuesto de la reivindicación 2, en donde

R^1 es fenilo o un anillo heteroaromático de 5 o 6 miembros, cada uno opcionalmente sustituido con hasta 3 sustituyentes seleccionados independientemente de R^{14};

R^{14} es halógeno, ciano, $C(=O)OR^{18}$, $C(=O)NR^{21}R^{19}$, $C(=NOR^{21})R^{22}$ o Z^1Q^t; o alquilo de C_1-C_8, alcoxi de

C_1-C_8 o alquiltio de C_1-C_8, cada uno opcionalmente sustituido con halógeno;

R^{21} es alquilo de C_1-C_4;

R^{22} es alquilo de C_1-C_4;

Z es un enlace directo;

cada Z^1 es independientemente un enlace directo u O; y

cada Q^t es independientemente fenilo o un anillo heteroaromático de 5 o 6 miembros, cada uno opcionalmente sustituido con hasta 5 sustituyentes seleccionados independientemente del grupo que consiste en halógeno, ciano, nitro, CHO, C(=O)OH, $C(=O)NH_2$, $C(=O)R^{10}$, $C(=O)OR^{11}$, $C(=O)NR^{12}R^{13}$, $C(=O)NR^{21}NR^{22}R^{23}$, OR^{11}, $S(O)_nR^{10}$, $SO_2NR^{12}R^{13}$, $S(=O)(=NR^{21})R^{22}$, $Si(R^{10})_3$ y R^{16}.

4. Un compuesto de la reivindicación 3, en donde R^2 es piridinilo, pirimidinilo, oxazolilo o tiazolilo, cada uno sustituido opcionalmente con hasta 3 sustituyentes seleccionados independientemente del grupo que consiste en halógeno y alquilo de C_1-C_4.

5. Un compuesto de la reivindicación 4, en donde R^1 es fenilo o piridinilo, cada uno opcionalmente sustituido con hasta 3 sustituyentes seleccionados independientemente de R^{14}; y

cada Q^t es independientemente fenilo, piridinilo o pirimidinilo, cada uno opcionalmente sustituido con hasta 5 sustituyentes seleccionados independientemente del grupo que consiste en halógeno, ciano, alquilo de C_1-C_4, haloalquilo de C_1-C_4, alcoxi de C_1-C_4 y haloalcoxi de C_1-C_4.

6. Un compuesto de la reivindicación 5, en donde

A es $C(R^{3c})=C(R^{3d})$;

R^{3a}, R^{3b} y R^{3c} son H; y

R^{3d} es halógeno, alquilo de C_1-C_4 o alcoxi de C_1-C_4.

7. Un compuesto de la reivindicación 1, que se selecciona del grupo que consiste en:

sal interna de 9-bromo-1-[(2-cloro-5-tiazolil)metil]-2-hidroxi-4-oxo-3-[3-(trifluorometoxi)fenil]-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 9-bromo-1-[(2-cloro-5-tiazolil)metil]-2-hidroxi-4-oxo-3-[3-(trifluorometil)fenil]-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 9-bromo-3-(3-bromofenil)-1-[(2-cloro-5-tiazolil)metil]-2-hidroxi-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 9-bromo-1-[(2-cloro-5-tiazolil)metil]-3-[3-cloro-5-(trifluorometil)fenilo]-2-hidroxi-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 9-bromo-3-[3-cloro-2-fluoro-5-(trifluorometil)fenil]-1-[(2-cloro-5-tiazolil)metil]-2-hidroxi-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 9-cloro-1-[(2-cloro-5-tiazolil)metil]-2-hidroxi-4-oxo-3-[3-(trifluorometoxi)fenil]-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 1-[(2-cloro-5-tiazolil)metil]-2-hidroxi-9-metil-4-oxo-3-[3-(trifluorometoxi)fenil]-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 1-[(2-cloro-5-tiazolil)metil]-2-hidroxi-9-metil-4-oxo-3-[3-(trifluorometil)fenil]-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 1-[(2-cloro-5-tiazolil)metil]-3-(2-fluorofenil)-2-hidroxi-9-metil-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 9-bromo-1-[(2-cloro-5-tiazolil)metil]-3-[3-cloro-5-(trifluorometoxi)fenil]-2-hidroxi-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 1-[(2-cloro-5-tiazolil)metil]-3-[3-cloro-5-(trifluorometoxi)fenil]-2-hidroxi-9-metil-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

1-[(2-cloro-5-tiazolil)metil]-3-(2,6-difluorofenil)-2-hidroxi-9-metil-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 1-[(2-cloro-5-tiazolil)metil]-2-hidroxi-9-metil-4-oxo-3-[3-[(trifluorometil)tio]fenil]-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 3-(2-fluorofenil)-2-hidroxi-9-metil-4-oxo-1-(5-pirimidinilmetil)-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 1-[(2-cloro-5-tiazolil)metil]-2-hidroxi-9-metil-4-oxo-3-fenil-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 1-[(2-cloro-5-tiazolil)metil]-3-[2-fluoro-5-(trifluorometil)fenil]-2-hidroxi-9-metil-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 1-[(2-cloro-5-tiazolil)metil]-3-(4-fluorofenil)-2-hidroxi-9-metil-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 1-[(2-cloro-5-tiazolil)metil]-2-hidroxi-9-metoxy-4-oxo-3-[3-(trifluorometoxi)fenil]-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 1-[(2-cloro-5-tiazolil)metil]-3-[2-fluoro-5-(trifluorometil)fenil]-2-hidroxi-9-metoxi-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 1-[(2-cloro-5-tiazolil)metil]-2-hidroxi-3-[2-metoxi-5-(trifluorometoxi)fenil]-9-metil-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 1-[(2-cloro-5-tiazolil)metil]-2-hidroxi-3-(2-metoxifenil)-9-metil-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 3-[3-cloro-2-fluoro-5-(trifluorometil)fenil]-1-[(2-cloro-5-tiazolil)metil]-2-hidroxi-9-metil-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 1-[(2-cloro-5-tiazolil)metil]-2-hidroxi-9-metil-4-oxo-3-[4-[(trifluorometil)tio]fenil]-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 1-[(2-cloro-5-tiazolil)metil]-3-(2,4-difluorofenil)-2-hidroxi-9-metil-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 3-(3-cloro-2-fluorofenil)-1-[(2-cloro-5-tiazolil)metil]-2-hidroxi-9-metil-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 1-[(2-cloro-5-tiazolil)metil]-2-hidroxi-3-(3-metoxifenil)-9-metil-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 7-bromo-1-[(2-cloro-5-tiazolil)metil]-3-[2-fluoro-3-(trifluorometil)fenil]-2-hidroxi-9-metil-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 1-[(2-cloro-5-tiazolil)metil]-2-hidroxi-3-(3-yodofenil)-9-metil-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 2-hidroxi-9-metil-1-[(2-metil-5-tiazolil)metil]-4-oxo-3-[3-(trifluorometoxi)fenil]-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 2-hidroxi-9-metil-1-[(2-metil-5-tiazolil)metil]-4-oxo-3-[3-(trifluorometil)fenil]-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 3-(2-fluorofenil)-2-hidroxi-9-metil-1-[(2-metil-5-tiazolil)metil]-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 3-[3-bromo-5-(trifluorometil)fenil]-1-[(2-cloro-5-tiazolil)metil]-2-hidroxi-9-metil-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 3-[3-bromo-5-(trifluorometoxi)fenilo]-1-[(2-cloro-5-tiazolil)metil]-2-hidroxi-9-metil-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 1-[(2-cloro-5-tiazolil)metil]-3-[3-cloro-5-(trifluorometil)fenil]-2-hidroxi-9-metil-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 1-[(2-cloro-5-tiazolil)metil]-3-[3-fluoro-5-(trifluorometil)fenil]-2-hidroxi-9-metil-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 1-[(2-cloro-5-tiazolil)metil]-2-hidroxi-3-(3-yodo-5-metoxifenil)-9-metil-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 3-(3-bromofenil)-1-[(2-cloro-5-tiazolil)metil]-2-hidroxi-9-metil-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 1-[(2-cloro-5-tiazolil)metil]-2-hidroxi-9-metil-4-oxo-3-[3'-(trifluorometoxi)[1,1'-bifenil]-3-il]-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 1-[(2-cloro-5-tiazolil)metil]-3-(2',5'-difluoro[1,1'-bifenil]-3-il)-2-hidroxi-9-metil-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 3-[3-(6-cloro-3-piridinil)-5-(trifluorometoxi)fenil]-1-[(2-cloro-5-tiazolil)metil]-2-hidroxi-9-metil-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 1-[(2-cloro-5-tiazolil)metil]-3-(2',4'-dicloro[1,1'-bifenil]-3-il)-2-hidroxi-9-metil-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 1-[(2-cloro-5-tiazolil)metil]-2-hidroxi-4-oxo-3-fenil-4*H*-pirimido[2,1-*a*]isoquinolinio; y

sal interna de 1-[(2-cloro-5-tiazolil)metil]-3-(3-etenilfenil)-2-hidroxi-9-metil-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio

8. Una composición que comprende un compuesto de conformidad con la reivindicación 1 y al menos un componente

adicional seleccionado del grupo que comprende surfactantes, diluyentes sólidos y diluyentes líquidos.

9. La composición de la reivindicación 8, en donde comprende al menos un agente o compuesto biológicamente activo adicional.

10. La composición de la reivindicación 9, en donde el al menos un compuesto o agente biológicamente activo adicional se selecciona del grupo que comprende abamectina, acefato, acequinocilo, acetamiprid, acrinatrina, amidoflumet, amitraz, avermectina, azadiractina, metil azinfos, bensultap, bifentrina, bifenazato, bistriflurón, borato, buprofezin, cadusafos, carbarilo, carbofurano, cartap, carzol, clorantraniliprol, clorfenapir, clorfluazurón, clorpirifos, clorpirifos-metil, cromafenozida, clofentezina, clotianidina, ciantraniliprol, ciflumetofén, ciflutrina, beta-ciflutrina, cihalotrina, gamma-cihalotrina, lambda-cihalotrina, cipermetrina, alfa-cipermetrina, zeta-cipermetrina, ciromazina, deltametrina, diafentiurón, diazinón, dieldrina, diflubenzurón, dimeflutrina, dimehipo, dimetoato, dinotefurán, diofenolán, emamectina, ensodulfán, esfenvalerato, etiprol, etofenprox, etoxazol, óxido de fenbutatina, fenotiocarb, fenoxicarb, fenpropatrina, fenvaleriato, fipronil, flonicamid, flubendiamida, flucitrinato, flufenerim, flufenoxurón, fluvalinato, tau-fluvalinato, fonofós, formetanato, fostiazato, halofenozida, hexaflumuron, hexitiazox,

hidrametilnón, imidacloprid, indoxacarb, jabones insecticidas, isofenfos, lufenurón, malatión, metaflumizona, metaldehído, metamidofos, metidation, metiodicarb, metomilo, metopreno, metoxicloro, metoflutrina, monocrotofós, metoxifenozida, nitenpiram, nitiazina, novalurón, noviflumurón, oxamil, paration, paration-metil, permetrina, forato, fosalona, fosmet, fosfamidón, pirimicarb, profenofos, proflutrina, propargita, protrifenbuto, pimetrozina, pirafluprol, piretrina, piridabén, piridalil, pirifluquinazón, piriprole, piriproxifén, rotenona, rianodina, espinetoram, espinosad, espirodiclofén, espiromesifén, espirotetramat, sulprofos, sulfoxaflor, tebufenozida, tebufenpirad, teflubenzurón, teflutrina, terbufos, tetraclorvinfós, tetrametrina, tiacloprid, tiametoxam, tiodicarb, tiosultap-sodio, tolfenpirad, tralometrina, triazamato, triclorfón, triflumurón, *Bacillus thuringiensis* delta-endotoxinas, bacterias entomopatógenas, virus entomopatógenos y hongos entomopatógenos.

11. Una composición para proteger a un animal contra una plaga de parásitos invertebrados; la composición comprende una cantidad eficaz como parasiticida de un compuesto de conformidad con la reivindicación 1 y al menos un vehículo.

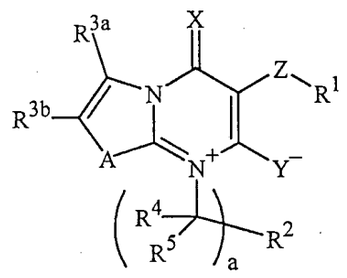
12. Un método para controlar una plaga de invertebrados; el método comprende poner en contacto la plaga

de invertebrados o su hábitat con una cantidad biológicamente eficaz de un compuesto de conformidad con la reivindicación 1.

13. Una semilla tratada que comprende un compuesto de conformidad con la reivindicación 1 en una cantidad de aproximadamente 0,0001 a 1 % en peso de la semilla antes del tratamiento.

RESUMEN DE LA INVENCIÓN

En la presente invención se describen compuestos de la Fórmula **1**, *N*-óxidos, y sales de estos,



1

en donde

X es O o S;

Y es O o S;

A es O, S, NR^{3e} o $C(R^{3c})=C(R^{3d})$;

Z es un enlace directo, O, $S(O)_n$, NR^6, $C(R^7)_2O$, $OC(R^7)_2$, $C(=X^1)$, $C(=X^1)E$, $EC(=X^1)$, $C(=NOR^8)$ o $C(=NN(R^6)_2)$;

a es 1, 2 o 3;

y R^1, R^2, R^{3a}-R^{3e}, R^4, R^5, R^6, R^7, R^8, X^1 y E son como se definen en esta descripción.

También se describen las composiciones que contienen los compuestos de la Fórmula **1** y los métodos para controlar una plaga invertebrada; el método comprende la etapa de poner la plaga invertebrada o su ambiente en contacto con cantidad

biológicamente eficaz de un compuesto o una composición de la presente invención.

PODERES

TRADUCCIÓN OFICIAL N° 2603081 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

Traducción al español de legalizaciones en poder conferido por E.I. du Pont de Nemours and Company

---

APOSTILLA

1. País: Estados Unidos de América

   Este documento público

2. Ha sido firmado por Patricia Panariello

3. Quien actúa en calidad de Notario Público del Estado de Delaware

4. Lleva el sello de Patricia Panariello, Notario Público, Delaware

Certificado

5. En Dover, Delaware

6. El séptimo día de marzo 2008 A.D.

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

8. N° 0345347

9. Sello (Aparece adherido sello dorado)

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

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

[No se traduce texto del poder por estar en inglés y en español]

Se traduce lo siguiente después del texto del poder:

Dado y suscrito en Wilmington, Delaware, Estados Unidos de América

El 26 de febrero de 2008

Firma de la persona que expide el poder
[Firmado] Miriam A. Mecoxnahey

CERTIFICACIÓN NOTARIAL

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03 FEB 2012
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NOTARIO 38 DE BOGOTA, D.C.

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El 26 de febrero de 2008 el suscrito Notario

DA FE

1. Que **Miriam D. Meconnahey**, mayor y domiciliada en **1964 Lakeview Road, Wilmington, DE 19805**, suscribió de su puño y letra el documento que antecede.
2. Que conozco personalmente al otorgante y que éste tiene capacidad legal para el otorgamiento.
3. Que el otorgante ha suscrito el referido documento en su carácter de **Subsecretario - Junta de Patentes** de la persona jurídica **E.I. du Pont de Nemours and Company**
4. Que la persona jurídica **E.I. du Pont de Nemours and Company** tiene sede en **1007 Market Street, Wilmington, Delaware 19898 Estados Unidos de América**, 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: **Directrices de la Junta de Patentes de DuPont y Poder fechado junio 12, 2006.**

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[Firmado] Patricia Panariello, Notario Público

[Aparece adherido sello dorado]

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

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MINISTERIO DE JUSTICIA

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COMO NOTARIO TREINTA Y OCHO DEL CIRCULO DE BOGOTA D.C.
CERTIFICO QUE PREVIO EL COTEJO RESPECTIVO LA
PRESENTE COPIA CONCUERDA CON EL ORIGINAL TENIDO A LA VISTA
03 FEB 2012
Eduardo Durán Gómez
NOTARIO 38 DE BOGOTA D.C.

Apostille

(Convention de La Haye du 5 Octobre 1961)

1. *Country: United States of America*

This public document:

2. *has been signed by Patricia Panariello*

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

4. *bears the seal/stamp of Patricia Panariello, Notary Public, Delaware*

Certified

5. *at Dover, Delaware*

6. *the seventh day of March, A.D. 2008*

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

8. *No. 0345347*

9. *Seal/Stamp:*

10. *Signature:*

Harriet Smith Windsor

Secretary of State

CERTIFICACION DE COPIA DE ORIGINAL
CODIGO NOTARIO SESENTA Y OCHO DEL CIRCULO DE BOGOTA
CERTIFICO QUE: PREVIO EL COTEJO RESPECTIVO
PRESENTE COPIA CONCUERDA CON EL ORIGINAL TENIDO A LA VISTA
03 FEB 2012
Ricardo Duran Gomez
NOTARIO 38 DE BOGOTA, D.C.

Av. 82 No. 10 - 62 6th Floor
Bogotá, Colombia

NIT: 900.034.906-9
Tel: +57 1 634 1500
Fax: +57 1 376 2211
www.bakernet.com

1016

POWER OF ATTORNEY

The undersigned.

E.I. Du Pont de Nemours and Company
domiciled in

1007 Market Street
Wilmington, Delaware 19898 US

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 Wilmington, DE USA

On the 26 day of February of 2008

Signature of the person issuing the Power

Miriam D. Macpherson

AUTENTICACIÓN DE COPIA DE ORIGINAL
COMO NOTARIO TREINTA Y OCHO DEL CÍRCULO DE BOGOTÁ D.C.
CERTIFICO QUE PREVIO EL CUMPLIMIENTO DE LOS REQUISITOS LEGALES RESPECTIVOS LA PRESENTE COPIA COINCIDE CON EL TENIDO DEL DOCUMENTO ALLÍ VISTO.
03 FEB 2012
Eduardo Durán Gómez
NOTARIO 38 DE BOGOTA, D.C.

PODER DE ABOGADO

La abajo firmada,

E.I. Du Pont de Nemours and Company
domiciliada en

1007 Market Street
Wilmington, Delaware 19898 US

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 días del mes de de 2008

Firma de la persona que firma el Poder

NOTARIAL CERTIFICATION

On this 26th day of February

2008 , the undersigned Notary

CERTIFIES

1. That **Miriam D. Meconnahey**

of legal age and domiciled in
1964 Lakeview Road, Wilmington, DE 19805

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

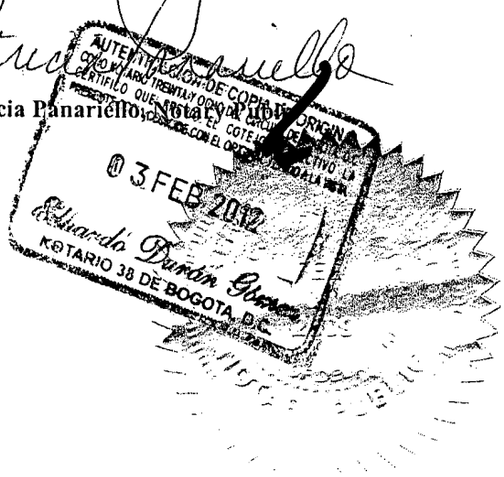
1007 Market Street, Wilmington, Delaware 19898 USA

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:
DuPont Patent Board Guidelines and Power of Attorney dated June 12, 2006.

Patricia Panariello, Notary Public



CERTIFICACION NOTARIAL

A los días del mes de

de 2008 , el suscrito Notario

DA FE

1 Que

mayor y domiciliado en

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

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

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:

El Notario Público