CSA836302
Organizacion y

Industria y Comercio

SUPERINTENDENCIA

PCT

Delegatura de Propiedad Industrial
División de Nuevas Creaciones

SOLICITUD

PATENTE DE INVENCIÓN

21. **EXPEDIENTE No.** 10-95278

54. **TÍTULO** PESTICIDAS MESOIONICOS

51. **CLASIFICACIÓN INTERNACIONAL**

71. **SOLICITANTE** E. I. Du Pont de Nemours and Company

DOMICILIO Wilmington, Delaware 19898, Estados Unidos

74. **APODERADO** Alvaro Correa Ardila

22. **BOGOTÁ, D.C.,** Agosto 03, 2010

06-09-2010

(FORMA P-10)

PETITORIO

Industria y Comercio
SUPERINTENDENCIA

SUPERINTENDENCIA DE INDUSTRIA Y COMERCIO
No. 10-095278- -00000-0000
Fecha: 2010-08-04 16:43:13 Dep: 2020 DIR NUEVASCR
Tra: 11 PATENTEIYII Eve: 378 FASENACIONALI
Act: 411 PRESENTACION Folios: 699

ción

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@bakernet.com

IDENTIFICACION

C.C. [X] NIT [ ]
C.E. [ ] Otro [ ]
Cual
Número 79.143.366 Usaquén TP 20201

④ INVENTOR (ES)

Nombre: HOLYOKE JR, Caleb, William; TONG, My-hanh, Thi; COATS, Reed, Aaron; ZHANG, Wenming; MCCANN, Stephen, Frederick; CHAN, Dominic, Ming-tak

Dirección: 120 Country Club Drive Newark, Delaware 19711 (US); 4 Orchid Drive Bear, Delaware 19701 (US); 15 Woodsman Drive Newark, Delaware 19711 (US); 21 Charles Pointe Newark, Delaware 19702 (US); 11 Old Stable Lane Newark, Delaware 19711 (US); 4655 Dartmoor Drive Wilmington, Delaware 19803 (US)

Nacionalidad o Domicilio: Estados Unidos de América

⑤ PCT (85)

Solicitud Internacional No. PCT/US2009/032584 Fecha 30.01.2009
Publicación Internacional No. WO2009/099929 Fecha 13.08.2009

⑥ Título (54) PESTICIDAS MESOIONICOS Folio 707

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

⑧ Prioridad

SI [X] NO [ ]

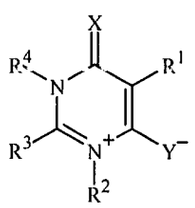
(33) País de Origen	(32) Fecha	(31) Número de Solicitud
US	06-02-2008	61/063,789
US	09-04-2008	61/043,428

⑨ Comprobante de pago No. 10-46,259 – 10-55,100 – 10-54,875 – 10-54,876 – 10-54,877 **Fecha** 03 de Agosto, 2010

(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**



1

(12) Solicito la concesión de la patente,

NOMBRE: ALVARO CORREA ORDOÑEZ

FIRMA:

C.C. 79.143.366 de Usaquén T.P. 29.291

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

2010/011

SUPERINTENDENCIA DE INDUSTRIA Y COMERCIO

NIT : 800176089-2

RECIBO OFICIAL DE CAJA : 10 - 46,259
FECHA : JUNIO 8 DE 2010

SUPERINTENDENCIA DE INDUSTRIA Y COMERCIO

No. 10-095278- -00000-0000

Fecha: 2010-08-04 16:43:13 Dep: 2020 DIR.NUEVASCR
Tra: 11 PATENTEIYII Eve: 378 FASENACIONALI
Act: 411 PRESENTACION Folios: 699

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

DEPOSITANTE	TIPO PAGO	BANCO	CUENTA	No. PAGO	FECHA PGO	Vr. PAGO
BAKER & MCKENZIE	RECIBO DE CAJA			46215	08/06/2010	86,670,000.00

***** C O N C E P T O *****

CANT.	RENTISTICO	CONCEPTO	TOTAL CONCEPTO
1	50005-01-01 SOLICITUDES	1 TRAMITES DE SOL. DE PATENTE DE IN	554,000.00
		TOTAL : $	554,000.00

SON: QUINIENTOS CINCUENTA Y CUATRO MIL PESOS

RESPONSABLE : _____

RECIBO DE CAJA APLICADO AL EXPEDIENTE No. _____

2010/013

SUPERINTENDENCIA DE INDUSTRIA Y COMERCIO

NIT : 800176089-2

RECIBO OFICIAL DE CAJA : 10 - 55,100
FECHA : JULIO 6 DE 2010

SUPERINTENDENCIA DE INDUSTRIA Y COMERCIO
No. 10-095278- -00000-0000
Fecha: 2010-08-04 16:43:13 Dep: 2020 DIR.NUEVASCR
Tra. 11 PATENTEIYII Eve: 378 FASENACIONALI
Act. 411 PRESENTACION Folios: 699

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

DEPOSITANTE	TIPO PAGO	BANCO	CUENTA	No. PAGO	FECHA PGO	Vr. PAGO
BAKER & MCKENZIE	CONSIGNACION	BANCO DE BOGOTA	062754387	380489826	06/07/2010	51,604,000.00

***** C O N C E P T O *****

CANT.	RENTISTICO	CONCEPTO	TOTAL CONCEPTO
1	50005-01-01 SOLICITUDES	114 PTC CAP-II EXAMEN DE PATENTIBILID	470,000.00
		TOTAL : $	470,000.00

SON: CUATROCIENTOS SETENTA MIL PESOS

RESPONSABLE : _____

RECIBO DE CAJA APLICADO AL EXPEDIENTE No._____

2010/013

SUPERINTENDENCIA DE INDUSTRIA Y COMERCIO

NIT : 800176089-2

CERTIFICACION DE EXCEDENTE : 10 - 54,875
FECHA : JULIO 6 DE 2010

SUPERINTENDENCIA DE INDUSTRIA Y COMERCIO
No. 10-095278- -00000-0000
Fecha: 2010-08-04 16:43:13 Dep: 2020 DIR.NUEVASCR
Tra. 11 PATENTELYII Eve: 378 FASENACIONALI
Act. 411 PRESENTACION Folios: 699

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

DEPOSITANTE	TIPO PAGO	BANCO	CUENTA	No. PAGO	FECHA PGO	Vr. PAGO
BAKER & MCKENZIE	CONSIGNACION	BANCO DE BOGOTA	062754387	380489826	06/07/2010	51,604,000.00

***** C O N C E P T O *****

CANT.	RENTISTICO	CONCEPTO		TOTAL CONCEPTO
1	50005-01-09 OTROS SERVICIOS DE PROPIEDAD I	99 OTROS SERVCIOS ADMINISTRATIVOS		8,000.00
		TOTAL :	$	8,000.00

SON: OCHO MIL PESOS

RESPONSABLE : _____

RECIBO DE CAJA APLICADO AL EXPEDIENTE No._____

ESTA CERTIFICACION DE EXCEDENTE DE PAGO SOLO PODRA SER UTILIZADA COMO PARTE DE LA CANCELACION DE UNA TARIFA VIGENTE Y NO PODRA SER SUSTITUIDA POR NINGUN RECIBO.

2010/013

SUPERINTENDENCIA DE INDUSTRIA Y COMERCIO

NIT : 800176089-2

CERTIFICACION DE EXCEDENTE : 10 - 54,876
FECHA : JULIO 6 DE 2010

SUPERINTENDENCIA DE INDUSTRIA Y COMERCIO
No. 10-095278- -00000-0000
Fecha: 2010-08-04 16:43:13 Dep: 2020 DIR NULVASCR
Tra: 11 PATENTEIYII Eve: 378 FASENACIONALI
Act: 411 PRESENTACION Folios: 699

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

DEPOSITANTE	TIPO PAGO	BANCO	CUENTA	No. PAGO	FECHA PGO	Vr. PAGO
[redacted]AKER & MCKENZIE	CONSIGNACION	BANCO DE BOGOTA	062754387	380429826	06/07/2010	51,604,000.00

***** C O N C E P T O *****

CANT.	RENTISTICO	CONCEPTO	TOTAL CONCEPTO
1	50005-01-09 OTROS SERVICIOS DE PROPIEDAD I	99 OTROS SERVCIOS ADMINISTRATIVOS	9,000.00
		TOTAL : $	8,000.00

SON: OCHO MIL PESOS

[redacted]ESPONSABLE : _____

RECIBO DE CAJA APLICADO AL EXPEDIENTE No._____

ESTA CERTIFICACION DE EXCEDENTE DE PAGO SOLO PODRA SER UTILIZADA COMO PARTE DE LA CANCELACION DE UNA TARIFA VIGENTE Y NO PODRA SER SUSTITUIDA POR NINGUN RECIBO.

SUPERINTENDENCIA DE INDUSTRIA Y COMERCIO

NIT : 800176089-2

CERTIFICACION DE EXCEDENTE : 10 - 54,877
FECHA : JULIO 6 DE 2010

SUPERINTENDENCIA DE INDUSTRIA Y COMERCIO

No. 10-095278- -00000-0000
Fecha: 2010-08-04 16:43:13 Dep: 2020 DIR.NULVASCR
Tra: 11 PATENTEIYII Eve: 378 FASENACIONALI
Act: 411 PRESENTACION Folios: 699

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

DEPOSITANTE	TIPO PAGO	BANCO	CUENTA	No. PAGO	FECHA PGO	Vr. PAGO
BAKER & MCKENZIE	CONSIGNACION	BANCO DE BOGOTA	062754387	360469826	06/07/2010	51,604,000.00

***** C O N C E P T O *****

CANT.	RENTISTICO	CONCEPTO	TOTAL CONCEPTO
1	50005-01-09 OTROS SERVICIOS DE PROPIEDAD I	99 OTROS SERVCIOS ADMINISTRATIVOS	9,000.00
		TOTAL : $	8,000.00

SON: OCHO MIL PESOS

RESPONSABLE : _____

RECIBO DE CAJA APLICADO AL EXPEDIENTE No._____

ESTA CERTIFICACION DE EXCEDENTE DE PAGO SOLO PODRA SER UTILIZADA COMO PARTE DE LA CANCELACION DE UNA TARIFA VIGENTE Y NO PODRA SER SUSTITUIDA POR NINGUN RECIBO.

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 513/04, A01N 43/5407D 239/54 , C07D 401/06 , C07D 417/06, C07D 471/04, C07D 487/04, C07D 498/04, C07D 513/04, A01N 43/54

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

(72) Inventor(es) HOLYOKE JR, Caleb, William; TONG, My-hanh, Thi; COATS, Reed, Aaron; ZHANG, Wenming; MCCANN, Stephen, Frederick; CHAN, Dominic, Ming-tak

(74) Apoderado: ALVARO CORREA ORDOÑEZ

(30) Prioridad	(31) *No. Prioridad*	32) *Fecha*	(33) *País*
	61/063,789	06-02-2008	US
	61/043,428	09-04-2008	US

(85) Fecha inicio fase nacional: 06-09-2010

(86) Datos relativos a la presentación de la solicitud PCT
Fecha de presentación de la solicitud: 30-01-2009
No. de solicitud: PCT/US2009/032584

(87) Publicación internacional
Fecha: 13-08-2009
N° publicación: WO 2009/099929

(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 513/04, A01N 43/5407D 239/54 , C07D 401/06 , C07D 417/06, C07D 471/04, C07D 487/04, C07D 498/04, C07D 513/04, A01N 43/54

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

(72) Inventor(es): HOLYOKE JR, Caleb, William; TONG, My-hanh, Thi; COATS, Reed, Aaron; ZHANG, Wenming; MCCANN, Stephen, Frederick; CHAN, Dominic, Ming-tak

(74) Apoderado: ALVARO CORREA ORDOÑEZ

(30) Prioridad

(31) No. Prioridad	32) Fecha	(33) País
61/063,789	06-02-2008	US
61/043,428	09-04-2008	US

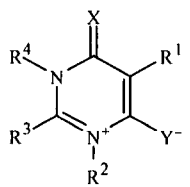
(85) Fecha límite inicio fase nacional: 06-09-2010

(86) Datos relativos a la presentación de la solic. PCT
Fecha de presentación de la solicitud: 30-01-2009
No. de solicitud PCT/US2009/032584

(87) Publicación internacional:
Fecha: 13-08-2009
No. Publicación: WO 2009/099929

(54) Titulo: PESTICIDAS MESOIONICOS

(57) Resumen: Se describen compuestos de Fórmula 1,



1

CONTINUA AL RESPALDO ...

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:

(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

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

(30) Prioridad	(31) *No.*	(32) *Fecha*	(33) *País*
	61/063,789	06-02-2008	US
	61/043,428	09-04-2008	US

(72) Inventor(es) HOLYOKE JR, Caleb, William; TONG, My-hanh, Thi; COATS, Reed, Aaron; ZHANG, Wenming;

MCCANN, Stephen, Frederick; CHAN, Dominic, Ming-tak

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

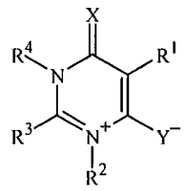
(85) Fecha límite inicio fase nacional: 06-09-2010

(86) Datos relativos a la presentación de la solicitud PCT
Fecha de presentación de la solicitud: 30-01-2009
No. de solicitud PCT/US2009/032584

(87) Publicación internacional
Fecha: 13-08-2009
N° publicación: WO 2009/099929

(54) Título: PESTICIDAS MESOIONICOS

Reivindicación(es): 1. Un compuesto de Fórmula 1,



1

caracterizado porque

X es O o S;

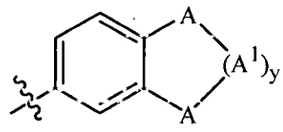
Y es O o S;

R^1 es H, halógeno, alquilo de C_1–C_6, haloalquilo de C_1–C_6, alquenilo de C_2–C_6, haloalquenilo de C_2–C_6, alquinilo de C_2–C_6, haloalquinilo de C_2–C_6, CR^{24}=$C(R^{24})R^{10}$ o C≡CR^{10}; o

R^1 es cicloalquilo de C_3–C_7, cicloalquilalquilo de C_4–C_8 o cicloalquenilo de C_5–C_7, cada uno opcionalmente sustituido con 1 a 4 sustituyentes independientemente seleccionados del grupo que consiste de halógeno, alquilo de C_1–C_2, alcoxi de C_1–C_2, 1 ciclopropilo, 1 CF_3 y 1 OCF_3; o

R^1 es fenilo, naftalenilo o un anillo heteroaromático de 5 ó 6 miembros, cada uno opcionalmente sustituido con 1 a 3 sustituyentes independientemente seleccionados del grupo que consiste de halógeno, ciano, nitro, alquilo de C_1–C_4, alquenilo de C_2–C_4, alquenilo de C_2–C_4, haloalquilo de C_1–C_4, haloalquenilo de C_2–C_4, alquilcarbonilo de C_2–C_4, haloalquilcarbonilo de C_2–C_4, alcoxicarbonilo de C_2–C_4, alquilaminocarbonilo de C_2–C_4, dialquilaminocarbonilo de C_3–C_7, C(O)N-(-$CH_2Z^3CH_2$-)-, alcoxi de C_1–C_4, haloalcoxi de C_1–C_4, alcoxialquilo de C_2–C_6, $S(O)_nR^{12}$, $S(O)_2R^{13}$, alquilamino de C_1–C_4, dialquilamino de C_2–C_6, SF_5, $Si(CH_3)_3$, CHO, hidroxi, $OC(O)R^{19}$ y $N(R^{20})C(O)R^{19}$; o

R^1 es



R^1 es $C(O)R^{18}$, $C(=NOR^{23})R^{18}$, $C(O)NR^{16}R^{10a}$,
$C(=NNR^{20a}R^{23})R^{18}$, $C(=NNR^{20a}C(O)R^{23})R^{18}$, $C(=NNR^{20a}C(O)OR^{23c})R^{18}$ o $C(=NNR^{20a}C(O)NR^{20a}R^{23})R^{18}$; o

R^1 es un sistema anular bicíclico heteroaromático de 8 a 10 miembros opcionalmente sustituido en miembros del anillo de carbono con hasta 3 sustituyentes independientemente seleccionados del grupo que consiste de halógeno, ciano, nitro, SF_5, alquilo de C_1–C_4, alquenilo de C_2–C_4, alquinilo de C_2–C_4, haloalquilo de C_1–C_4, alquilocarbonilo de C_2–C_4, haloalquilocarbonilo de C_2–C_4, alcoxicarbonilo de C_2–C_4, alquiloaminocarbonilo de C_2–C_4, dialquiloaminocarbonilo de C_3–C_7, C(O)N-(-$CH_2Z^3CH_2$-)-, alcoxi de C_1–C_4, haloalcoxi de C_1–C_4, alcoxialquilo de C_2–C_6, $S(O)_nR^{12}$, $S(O)_2R^{13}$, alquiloamino de C_1–C_4, dialquiloamino de C_2–C_6, $Si(CH_3)_3$, CHO, hidroxi, $OC(O)R^{19}$ y $N(R^{20})C(O)R^{19}$, y opcionalmente sustituido, además, en los miembros del anillo de nitrógeno con metilo; o...

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

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
13 August 2009 (13.08.2009)

PCT

(10) International Publication Number
WO 2009/099929 A1

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

(21) International Application Number:
PCT/US2009/032584

(22) International Filing Date:
30 January 2009 (30.01.2009)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
61/062,789 6 February 2008 (06.02.2008) US
61/043,428 9 April 2008 (09.04.2008) 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)*: **HOLYOKE JR, Caleb, William** [US/US]; 120 Country Club Drive, Newark, Delaware 19711 (US). **TONG, My-hanh, Thi** [US/US]; 4 Orchid Drive, Bear, Delaware 19701 (US). **COATS, Reed, Aaron** [US/US]; 15 Woodsman Drive, Newark, Delaware 19711 (US). **ZHANG, Wenming** [CN/US]; 21 Charles Pointe, Newark, Delaware 19702 (US). **MCCANN, Stephen, Frederick** [US/US]; 11 Old Stable Lane, Newark, Delaware 19711 (US). **CHAN, Dominic, Ming-tak** [US/US]; 4655 Dartmoor Drive, Wilmington, Delaware 19803 (US).

(74) Agent: **BIRCH, Linda, D.**; 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, BF, BW, BY, BZ, CA, CH, CI, 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, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW.

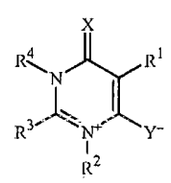
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(54) Title: MESOIONIC PESTICIDES



(1)

(57) Abstract: Disclosed are compounds of Formula 1, wherein X is O or S; Y is O or S; and R^1, R^2, R^3 and R^4 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.

WO 2009/099929 A1

TITLE

MESOIONIC PESTICIDES

FIELD OF THE INVENTION

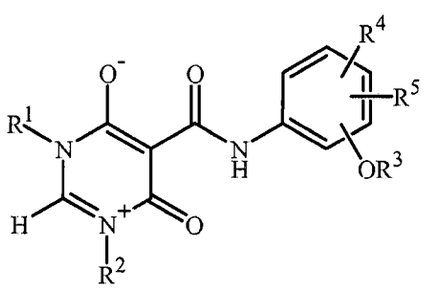
This invention relates to certain pyrimidinium compounds and their compositions suitable for agronomic, nonagronomic and animal health uses, methods of their use for controlling invertebrate pests such as arthropods in both agronomic and nonagronomic environments, and for treatment of parasite infections 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



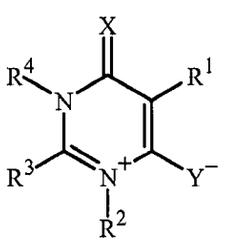
i

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 geometric and stereoisomers) and compositions containing them and their use for controlling invertebrate pests:



1

wherein

X is O or S;

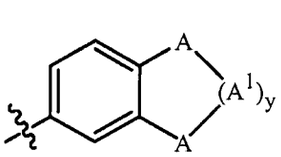
Y is O or S;

R^1 is H, halogen, C_1–C_6 alkyl, C_1–C_6 haloalkyl, C_2–C_6 alkenyl, C_2–C_6 haloalkenyl, C_2–C_6 alkynyl, C_2–C_6 haloalkynyl, $CR^{24}=C(R^{24})R^{10}$ or $C{\equiv}CR^{10}$; or

R^1 is C_3–C_7 cycloalkyl, C_4–C_8 cycloalkylalkyl or C_5–C_7 cycloalkenyl, each optionally substituted with 1 to 4 substituents independently selected from the group consisting of halogen, C_1–C_2 alkyl, C_1–C_2 alkoxy, 1 cyclopropyl, 1 CF_3 and 1 OCF_3; or

R^1 is phenyl, naphthalenyl or a 5- or 6-membered heteroaromatic ring, each optionally substituted with 1 to 3 substituents independently selected from the group consisting of halogen, cyano, nitro, C_1–C_4 alkyl, C_2–C_4 alkenyl, C_2–C_4 alkynyl, C_1–C_4 haloalkyl, C_2–C_4 haloalkenyl, C_2–C_4 alkylcarbonyl, C_2–C_4 haloalkylcarbonyl, C_2–C_4 alkoxycarbonyl, C_2–C_4 alkylaminocarbonyl, C_3–C_7 dialkylaminocarbonyl, $C(O)N(CH_2Z^2CH_2)$, C_1–C_4 alkoxy, C_1–C_4 haloalkoxy, C_2–C_6 alkoxyalkyl, $S(O)_nR^{12}$, $S(O)_2R^{13}$, C_1–C_4 alkylamino, C_2–C_6 dialkylamino, SF_5, $Si(CH_3)_3$, CHO, hydroxy, $OC(O)R^{19}$ and $N(R^{20})C(O)R^{19}$; or

R^1 is



; or

R^1 is $C(X^1)R^{18}$, $C(=NOR^{23})R^{18}$, $C(O)NR^{16}R^{18a}$, $C(=NNR^{20a}R^{23})R^{18}$, $C(=NNR^{20a}C(O)R^{23})R^{18}$, $C(=NNR^{20a}C(O)OR^{23a})R^{18}$ or $C(=NNR^{20a}C(O)NR^{20a}R^{23})R^{18}$; or

R^1 is an 8- to 10-membered heteroaromatic bicyclic ring system optionally substituted on carbon ring members with up to 3 substituents independently selected from the group consisting of halogen, cyano, nitro, SF_5, C_1–C_4 alkyl, C_2–C_4 alkenyl, C_2–C_4 alkynyl, C_1–C_4 haloalkyl, C_2–C_4 alkylcarbonyl, C_2–C_4 haloalkylcarbonyl, C_2–C_4 alkoxycarbonyl, C_2–C_4 alkylaminocarbonyl, C_3–C_7 dialkylaminocarbonyl, $C(O)N(-CH_2Z^2CH_2-)$, C_1–C_4 alkoxy, C_1–C_4 haloalkoxy, C_2–C_6 alkoxyalkyl, $S(O)_nR^{12}$, $S(O)_2R^{13}$, C_1–C_4 alkylamino, C_2–C_6 dialkylamino, $Si(CH_3)_3$, CHO, hydroxy, $OC(O)R^{19}$ and $N(R^{20})C(O)R^{19}$, and optionally substituted on nitrogen ring members with methyl; or

R^1 is phenyl or a 5- or 6-membered heteroaromatic ring, each substituted with GQ^1, each optionally substituted with 1 Q^2 and each optionally substituted with 1 or 2 substituents independently selected from the group consisting of halogen, cyano, nitro, SF_5, C_1–C_4 alkyl, C_2–C_4 alkenyl, C_2–C_4 alkynyl, C_1–C_4 haloalkyl, C_2–C_4 alkylcarbonyl, C_2–C_4 haloalkylcarbonyl, C_2–C_4 alkoxycarbonyl, C_2–C_4 alkylaminocarbonyl, C_3–C_7 dialkylaminocarbonyl, $C(O)N(-CH_2Z^2CH_2-)$, C_1–C_4 alkoxy, C_1–C_4 haloalkoxy, C_2–C_6 alkoxyalkyl, $S(O)_nR^{12}$, $S(O)_2R^{13}$, C_1–C_4 alkylamino, C_2–C_6 dialkylamino, $Si(CH_3)_3$, CHO, hydroxy, $OC(O)R^{19}$ and $N(R^{20})C(O)R^{19}$; or

R^1 is phenyl or a 5- or 6-membered heteroaromatic ring, each substituted with LQ^1 and optionally substituted with 1 or 2 substituents independently selected from the group consisting of halogen, cyano, nitro, C_1–C_4 alkyl, C_2–C_4 alkenyl, C_2–C_4 alkynyl, C_1–C_4 haloalkyl, C_2–C_4 alkylcarbonyl, C_2–C_4 haloalkylcarbonyl, C_2–C_4 alkoxycarbonyl, C_2–C_4 alkylaminocarbonyl, C_3–C_7 dialkylaminocarbonyl, $C(O)N(-CH_2Z^2CH_2-)$, C_1–C_4 alkoxy, C_1–C_4 haloalkoxy, C_2–C_6 alkoxyalkyl, $S(O)_nR^{12}$, $S(O)_2R^{13}$, C_1–C_4 alkylamino and C_2–C_6 dialkylamino;

each A is independently $C(R^{16})_2$, O, $S(O)_n$ or NR^{15};

each A^1 is independently $C(R^{17})_2$;

X^1 is O or S;

G is a direct bond, O, $S(O)_n$, NH, $N(CH_3)$, CH_2, CH_2O, OCH_2, C(O), C(O)O, OC(O), C(O)NH or NHC(O);

L is a phenyl or 5- or 6-membered heteroaromatic ring optionally substituted with 1 or 2 substituents independently selected from the group consisting of halogen, cyano, nitro, C_1–C_4 alkyl, C_2–C_4 alkenyl, C_2–C_4 alkynyl, C_1–C_4 haloalkyl, C_2–C_4 alkylcarbonyl, C_2–C_4 haloalkylcarbonyl, C_2–C_4 alkoxycarbonyl, C_2–C_4 alkylaminocarbonyl, C_3–C_7 dialkylaminocarbonyl, $C(O)N(-CH_2Z^2CH_2-)$, C_1–C_4 alkoxy, C_1–C_4 haloalkoxy, C_2–C_6 alkoxyalkyl, $S(O)_nR^{12}$, $S(O)_2R^{13}$, C_1–C_4 alkylamino and C_2–C_6 dialkylamino;

Q^1 is phenyl or a 5- or 6-membered heteroaromatic ring, each optionally substituted with 1 to 3 substituents independently selected from the group consisting of halogen, cyano, nitro, C_1–C_4 alkyl, C_2–C_4 alkenyl, C_2–C_4 alkynyl, C_1–C_4 haloalkyl, C_2–C_4 alkylcarbonyl, C_2–C_4 haloalkylcarbonyl, C_2–C_4 alkoxycarbonyl, C_2–C_4 alkylaminocarbonyl, C_3–C_7 dialkylaminocarbonyl, C(O)N-(-$CH_2Z^2CH_2$-)-, C_1–C_4 alkoxy, C_1–C_4 haloalkoxy, C_2–C_6 alkoxyalkyl, $S(O)_nR^{12}$, $S(O)_2R^{13}$, C_1–C_4 alkylamino, C_2–C_6 dialkylamino, SF_5, $Si(CH_3)_3$, CHO, hydroxy, $OC(O)R^{19}$ and $N(R^{20})C(O)R^{19}$;

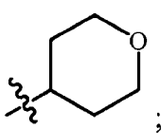
Q^2 is phenyl or a 5- or 6-membered heteroaromatic ring, each optionally substituted with 1 or 2 substituents independently selected from the group consisting of halogen, cyano, nitro, C_1–C_4 alkyl, C_2–C_4 alkenyl, C_2–C_4 alkynyl, C_1–C_4 haloalkyl, C_2–C_4 alkylcarbonyl, C_2–C_4 haloalkylcarbonyl, C_2–C_4 alkoxycarbonyl, C_2–C_4 alkylaminocarbonyl, C_3–C_7 dialkylaminocarbonyl, C(O)N-(-$CH_2Z^2CH_2$-)-, C_1–C_4 alkoxy, C_1–C_4 haloalkoxy, C_2–C_6 alkoxyalkyl, $S(O)_nR^{12}$, $S(O)_2R^{13}$, C_1–C_4 alkylamino and C_2–C_6 dialkylamino;

R^2 is C_2–C_6 alkyl, C_1–C_6 haloalkyl, C_3–C_6 alkenyl, C_3–C_6 haloalkenyl, C_3–C_6 alkynyl, C_3–C_6 haloalkynyl, $CH_2CO_2R^{21}$, $CR^5R^6CH_2OR^{21}$, $CR^5R^6CH_2CH_2OR^{21}$, $CR^5R^6CH_2S(O)_nR^{21}$ or $CR^5R^6CH_2CH_2S(O)_nR^{21}$; or

R^2 is C_3–C_6 cycloalkyl or C_4–C_7 cycloalkylalkyl, each optionally substituted with 1 to 4 substituents selected from the group consisting of halogen, C_1–C_2 alkyl, 1 cyclopropyl and 1 CF_3; or

R^2 is CR^5R^6Q; or

R^2 is



;

R^3 is H, C_1–C_6 alkyl, C_1–C_6 haloalkyl, C_2–C_6 alkenyl, C_2–C_6 haloalkenyl, C_2–C_6 alkynyl, C_2–C_6 haloalkynyl or $C{\equiv}CR^{10}$; or

R^3 is C_3–C_6 cycloalkyl or C_4–C_7 cycloalkylalkyl, each optionally substituted with 1 to 4 substituents independently selected from the group consisting of halogen, C_1–C_2 alkyl, 1 cyclopropyl and 1 CF_3; or

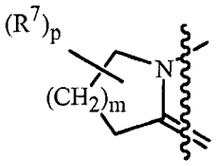
R^3 is phenyl, naphthalenyl or a 5- or 6-membered heteroaromatic ring, each optionally substituted with 1 or 2 substituents independently selected from the group consisting of halogen, cyano, nitro, C_1–C_4 alkyl, C_2–C_4 alkenyl, C_2–C_4 alkynyl, C_1–C_4 haloalkyl, C_2–C_4 alkylcarbonyl, C_2–C_4 haloalkylcarbonyl, C_2–C_4 alkoxycarbonyl, C_2–C_4 alkylaminocarbonyl, C_3–C_7 dialkylaminocarbonyl, C(O)N-(-$CH_2Z^2CH_2$-)-, C_1–C_4 alkoxy, C_1–C_4 haloalkoxy, C_2–C_6 alkoxyalkyl, $S(O)_nR^{12}$, $S(O)_2R^{13}$, C_1–C_4 alkylamino and C_2–C_6 dialkylamino;

R^4 is C_1–C_6 alkyl, C_1–C_6 haloalkyl, C_2–C_6 alkenyl, C_2–C_6 haloalkenyl, C_2–C_6 alkynyl, C_2–C_6 haloalkynyl or $C{\equiv}CR^{10}$; or

R^4 is C_3–C_6 cycloalkyl or C_4–C_7 cycloalkylalkyl, each optionally substituted with 1 to 4 substituents independently selected from the group consisting of halogen, C_1–C_2 alkyl, 1 cyclopropyl and 1 CF_3; or

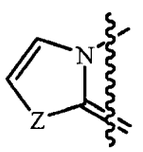
R^4 is phenyl, naphthalenyl or a 5- or 6-membered heteroaromatic ring, each optionally substituted with 1 or 2 substituents independently selected from the group consisting of halogen, cyano, nitro, C_1–C_4 alkyl, C_2–C_4 alkenyl, C_2–C_4 alkynyl, C_1–C_4 haloalkyl, C_2–C_4 alkylcarbonyl, C_2–C_4 haloalkylcarbonyl, C_2–C_4 alkoxycarbonyl, C_2–C_4 alkylaminocarbonyl, C_3–C_7 dialkylaminocarbonyl, $C(O)N(CH_2Z^2CH_2)$, C_1–C_4 alkoxy, C_1–C_4 haloalkoxy, C_2–C_6 alkoxyalkyl, $S(O)_nR^{12}$, $S(O)_2R^{13}$, C_1–C_4 alkylamino and C_2–C_6 dialkylamino; or

R^3 and R^4 are taken together with the contiguous linking nitrogen and carbon atoms to form an optionally substituted ring **R-1**



R-1

or ring **R-2**



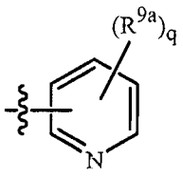
R-2 ;

Z is $C(R^{8a})=C(R^{8b})$, S, O or NCH_3, provided that the $C(R^{8a})=C(R^{8b})$ moiety is oriented so the carbon atom bonded to R^{8b} is connected as R^3 in Formula **1**;

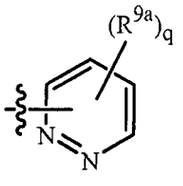
each R^5 is independently H, F, Cl, cyano or C_1–C_4 alkyl;

each R^6 is independently H, F, Cl or CH_3;

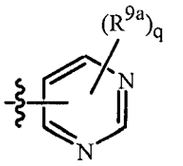
Q is



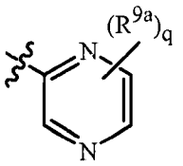
Q-1



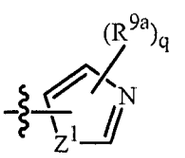
Q-2



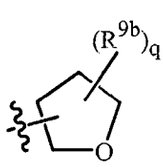
Q-3

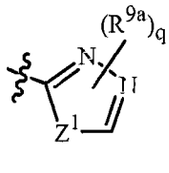


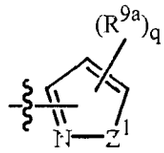
Q-4

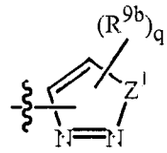


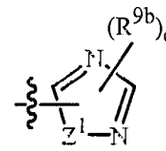
Q-5

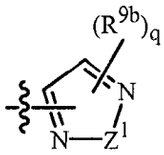

Q-6

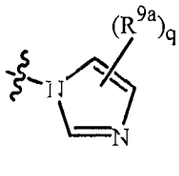

Q-8

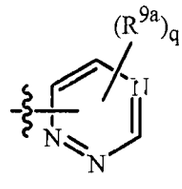

Q-9

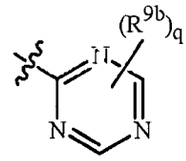

Q-10


Q-11

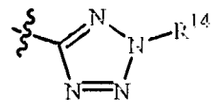

Q-12


Q-13


Q-14


Q-15

or


Q-16

;

Z^1 is O, S or NR^{14};

each R^7 is independently H, halogen, cyano, CF_3, C_1–C_3 alkyl or C_3–C_6 cycloalkyl;

R^{8a} is H or F;

R^{8b} is H, F, CF_2H or CF_3;

each R^{9a} is independently H, halogen, cyano, nitro, 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_1–C_4 alkoxy, C_1–C_4 haloalkoxy, C_2–C_4 alkylcarbonyl, C_2–C_4 haloalkylcarbonyl, C_2–C_4 alkoxycarbonyl, C_2–C_4 haloalkoxycarbonyl, $C(O)NH_2$, C_2–C_4 alkylaminocarbonyl, C_3–C_7 dialkylaminocarbonyl, $C(O)N(CH_2Z^2CH_2)$, C_2–C_4 haloalkylaminocarbonyl, C_3–C_7 halodialkylaminocarbonyl, SF_5, $S(O)_nR^{12}$ or $S(O)_2R^{13}$; or C_3–C_6 cycloalkyl or C_4–C_7 cycloalkylalkyl, each optionally substituted with 1 to 4 substituents selected from the group consisting of halogen, C_1–C_2 alkyl, 1 cyclopropyl and 1 CF_3; or phenyl or a 5- or 6-membered heteroaromatic ring, each optionally substituted with 1 or 2 substituents independently selected from the group consisting of halogen, cyano, nitro, C_1–C_4 alkyl, C_2–C_4 alkenyl, C_2–C_4 alkynyl, C_1–C_4 haloalkyl, C_2–C_4 alkylcarbonyl, C_2–C_4 haloalkylcarbonyl, C_2–C_4 alkoxycarbonyl, C_2–C_4 alkylaminocarbonyl, C_3–C_7 dialkylaminocarbonyl, $C(O)N(CH_2Z^2CH_2)$, C_1–C_4 alkoxy, C_1–C_4 haloalkoxy, C_2–C_6 alkoxyalkyl, $S(O)_nR^{12}$, $S(O)_2R^{13}$, C_1–C_4 alkylamino and C_2–C_6 dialkylamino;

each R^{9b} is independently H, halogen, cyano, C_1–C_4 alkyl, C_1–C_4 haloalkyl, C_1–C_4 alkoxy or C_1–C_4 haloalkoxy; or phenyl or pyridinyl, each optionally substituted with 1 or 2 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, C_1–C_4 haloalkoxy, $S(O)_nR^{12}$ and $S(O)_2R^{13}$;

each R^{10} is independently $Si(R^{11})_3$; or phenyl or pyridinyl, each optionally substituted with 1 to 3 substituents independently selected from the group consisting of halogen, cyano, nitro, SF_5, C_1–C_4 alkyl, C_2–C_4 alkenyl, C_2–C_4 alkynyl, C_1–C_4 haloalkyl, C_2–C_4 alkylcarbonyl, C_2–C_4 haloalkylcarbonyl, C_2–C_4 alkoxycarbonyl, C_2–C_4 alkylaminocarbonyl, C_3–C_7 dialkylaminocarbonyl, $C(O)N(-CH_2Z^2CH_2-)$-, C_2–C_6 alkoxyalkyl, C_1–C_4 alkoxy, C_1–C_4 haloalkoxy, $S(O)_nR^{12}$, $S(O)_2R^{13}$, C_1–C_4 alkylamino and C_2–C_6 dialkylamino;

each R^{11} is independently C_1–C_4 alkyl;

each R^{12} is independently C_1–C_4 alkyl or C_1–C_4 haloalkyl;

each R^{13} is independently C_1–C_4 alkylamino, C_2–C_6 dialkylamino or $-N(-CH_2Z^2CH_2-)$;

R^{14} is H, C_1–C_4 alkyl, C_1–C_4 haloalkyl, C_2–C_5 alkoxycarbonyl, C_2–C_5 alkylaminocarbonyl, C_3–C_7 dialkylaminocarbonyl, $C(O)N(-CH_2Z^2CH_2-)$-, $S(O)_nR^{12}$ or $S(O)_2R^{13}$; or phenyl or pyridinyl, each optionally substituted with 1 to 3 substituents independently selected from the group consisting of halogen, cyano, C_1–C_4 alkyl, C_1–C_4 alkoxy, C_1–C_4 haloalkoxy, $S(O)_nR^{12}$ and $S(O)_2R^{13}$;

each R^{15} is independently C_1–C_4 alkyl;

each R^{16} is independently H or C_1–C_4 alkyl;

each R^{17} is independently H, F or CH_3;

R^{18} is H, C_1–C_6 alkyl, C_1–C_6 haloalkyl, C_3–C_6 cycloalkyl, C_1–C_6 alkoxy, C_1–C_6 alkylamino or C_2–C_7 dialkylamino; or phenyl or a 5- or 6-membered heteroaromatic ring, each optionally substituted with 1 to 3 substituents independently selected from the group consisting of halogen, C_1–C_4 alkyl, C_1–C_4 alkoxy, C_1–C_4 haloalkoxy, $S(O)_nR^{12}$ and $S(O)_2R^{13}$;

R^{18a} is phenyl or a 5- or 6-membered heteroaromatic ring, each optionally substituted with 1 to 3 substituents independently selected from the group consisting of halogen, C_1–C_4 alkyl, C_1–C_4 alkoxy, C_1–C_4 haloalkoxy, $S(O)_nR^{12}$ and $S(O)_2R^{13}$;

each R^{19} is independently C_1–C_4 alkyl;

each R^{20} is independently H or C_1–C_4 alkyl;

each R^{20a} is independently C_1–C_4 alkyl;

each R^{21} is independently H or C_1–C_4 alkyl;

R^{23} is H, C_1–C_6 alkyl, C_1–C_6 haloalkyl, C_3–C_6 alkenyl, C_3–C_6 haloalkenyl, C_3–C_6 alkynyl, C_3–C_6 haloalkynyl or $CH_2CO_2R^{21}$; or

R^{23} is C_3–C_6 cycloalkyl or C_4–C_7 cycloalkylalkyl, each optionally substituted with 1 to 4 substituents independently selected from the group consisting of halogen, C_1–C_2 alkyl, 1 cyclopropyl and 1 CF_3; or

R^{23} is phenyl or a 5- or 6-membered heteroaromatic ring, each optionally substituted with 1 to 3 substituents independently selected from the group consisting of halogen, cyano, nitro, C_1–C_4 alkyl, C_2–C_4 alkenyl, C_2–C_4 alkynyl, C_1–C_4 haloalkyl, C_2–C_4 alkylcarbonyl, C_2–C_4 haloalkylcarbonyl, C_2–C_4 alkoxycarbonyl, C_2–C_4 alkylaminocarbonyl, C_3–C_7 dialkylaminocarbonyl, $C(O)N(-CH_2Z^2CH_2-)$, C_1–C_4 alkoxy, C_1–C_4 haloalkoxy, C_2–C_6 alkoxyalkyl, $S(O)_nR^{12}$, $S(O)_2R^{13}$, C_1–C_4 alkylamino and C_2–C_6 dialkylamino;

R^{23a} is C_1–C_6 alkyl, C_2–C_6 haloalkyl, C_3–C_6 alkenyl or C_3–C_6 cycloalkyl;

each R^{24} is independently H, F or CH_3;

m is 0, 1, 2 or 3;

each n is independently 0, 1 or 2;

p is 0, 1, 2, 3 or 4;

each q is independently 0, 1 or 2;

y is 1 or 2; and

each Z^2 is independently CH_2CH_2, $CH_2CH_2CH_2$ or CH_2OCH_2.

This invention is also directed to such compounds of Formula **1** (including all geometric and stereoisomers) and compositions containing them and their use for controlling invertebrate pests as described above, and further herein, provided that (a) when R^2 is $CH_2CH=CH_2$, R^3 and R^4 are taken together to form ring **R-2**, Z is CH=CH, X is O and Y is O, then R^1 is other than H, $CH(CH_3)_2$, $CH_2CH_2CH_2CH_3$, $CH_2CH=CH_2$ or unsubstituted phenyl; (b) when R^1 is H, R^3 and R^4 are taken together to form ring **R-2**, Z is CH=CH, X is O and Y is O, then R^2 is other than $CH_2CH=CH_2$ or $CH_2C\equiv CH$; (c) when R^1 is CH_2CH_3, R^3 and R^4 are taken together to form ring **R-2**, Z is CH=CH, X is O and Y is O, then R^2 is other than $CH_2(CH_2)_3CH_3$; (d) when R^3 is H, R^2 and R^4 are both cyclohexyl, X is O and Y is O, then R^1 is other than CH_3; (e) when R^1 is H or C_1–C_3 *n*-alkyl, R^3 and R^4 are taken together to form ring **R-2**, Z is S, X is O and Y is O, then R^2 is other than C_1–C_6 alkyl or C_3–C_4 alkoxyalkyl; (f) when R^2 is cyclopropylmethyl, R^3 and R^4 are taken together to form ring **R-2**, Z is S, X is O and Y is O, then R^1 is other than CH_3, CH_2CH_3 or $CH_2CH_2CH_3$; (g) when R^1 is unsubstituted phenyl, R^3 and R^4 are taken together to form ring **R-2**, Z is S, X is O and Y is O, then R^2 is other than C_3–C_4 alkoxyalkyl; and (h) when R^1 is Br, R^3 and R^4 are taken together to form ring **R-2**, Z is S, X is O and Y is O, then R^2 is other than CH_2CH_3.

This invention also provides a composition comprising a compound of Formula **1** 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** (i.e. in a biologically effective amount) and at least one additional component selected from the group consisting of surfactants, solid diluents and liquid diluents, said composition optionally

further comprising at least one additional biologically active compound or agent (i.e. in a biologically effective amount).

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** (i.e. in a parasiticidally effective amount) and at least one carrier.

This invention further provides a spray composition for controlling an invertebrate pest comprising a compound of Formula **1** or the compositions described above (i.e. in a biologically effective amount), and a propellant. This invention also provides a bait composition for controlling an invertebrate pest comprising a compound of Formula **1** or the compositions described in the embodiments above (i.e. in a biologically effective amount), one or more food materials, optionally an attractant, and optionally a humectant.

This invention further provides a trap 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.

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** (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** 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 protecting a seed from an invertebrate pest comprising contacting the seed with a biologically effective amount of a compound of Formula **1** (e.g., as a composition described herein). This invention also relates to the treated seed.

This invention further provides a method for treating, preventing, inhibiting and/or killing ecto and/or endoparasites comprising administering to and/or on the animal a parasiticidally effective amount of a compound of Formula **1** (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** (e.g., as a composition described herein) is administered to the 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" or "containing," or any other variation thereof, are intended to cover a

non-exclusive inclusion. For example, a composition, a mixture, process, method, article, or apparatus that comprises a list of elements is not necessarily limited to only those elements but may include other elements not expressly listed or inherent to such composition, mixture, process, method, article, or apparatus. Further, unless expressly stated to the contrary, "or" refers to an inclusive or and not to an exclusive or. For example, a condition A or B is satisfied by any one of the following: A is true (or present) and B is false (or not present), A is false (or not present) and B is true (or present), and both A and B are true (or present).

Also, 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" includes all of the helminths, such as: roundworms, heartworms, and phytophagous nematodes (Nematoda), flukes (Trematoda), 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.

"Alkoxy" includes, for example, methoxy, ethoxy, *n*-propyloxy, isopropyloxy and the different butoxy, pentoxy and hexyloxy isomers. "Alkoxyalkyl" denotes alkoxy substitution on alkyl. Examples of "alkoxyalkyl" include CH_2OCH_3, $CH_2CH_2OCH_3$, $CH_2OCH_2CH_3$, $CH_2OCH_2CH_2CH_2CH_3$ and $CH_2CH_2OCH_2CH_3$.

"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.

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 "haloalkoxy", "haloalkenyl", "haloalkynyl", and the like, are defined analogously to the term "haloalkyl". Examples of "haloalkoxy" include OCF_3, OCH_2CCl_3, $OCH_2CH_2CHF_2$ and OCH_2CF_3. Examples of "haloalkenyl" include $CH_2CH=C(Cl)_2$ and $CH_2CH=CHCH_2CF_3$. Examples of "haloalkynyl" include $CHClC\equiv CH$, $C\equiv CCF_3$, $C\equiv CCCl_3$ and $CH_2C\equiv CCH_2F$.

"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

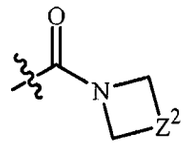
moiety and one straight-chain or branched haloalkyl moiety bonded to an N radical, or two independent straight-chain or branched haloalkyl moieties bonded to an N radical, wherein "haloalkyl" is as defined above. Examples of "halodialkylamino" include $N(CH_2CH_3)(CH_2CH_2Cl)$ and $N(CF_2CF_3)_2$.

"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 and C(O)O as used herein represent an ester moiety. Examples of "alkoxycarbonyl" include $C(O)OCH_3$, $C(O)CH_2CH_3$, $C(O)OCH_2CH_2CH_3$ and $C(O)OCH(CH_3)_2$.

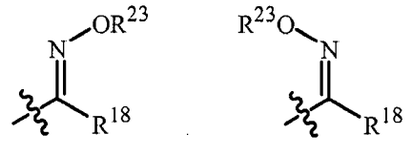
"Alkylaminocarbonyl" denotes a straight-chain or branched alkyl moiety bonded to a C(O)NH moiety. The chemical abbreviations C(O)NH, and C(O)N as used herein represent an amide moiety (i.e. an aminocarbonyl group). Examples of "alkylaminocarbonyl" include $C(O)NHCH_3$, $C(O)NHCH_2CH_2CH_3$ and $C(O)NHCH(CH_3)_2$.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

The chemical abbreviation $C(O)N\text{–}(\text{–}CH_2Z^2CH_2\text{–})\text{–}$ as used herein represents a dialkylaminocarbonyl moiety wherein the two alkyl groups are connected to form a ring as shown below.

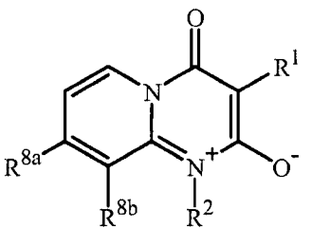


The chemical abbreviation $N\text{–}(\text{–}CH_2Z^2CH_2\text{–})$ is defined analogously.

The chemical abbreviation $C(=NOR^{23})R^{18}$ as used herein represents both geometric isomers of the oxime moiety as shown below.



When R^3 and R^4 are taken together with the contiguous linking nitrogen and carbon atoms to form an optionally substituted ring **R-2** and Z is $C(R^{8a})=C(R^{8b})$, the $C(R^{8a})=C(R^{8b})$ moiety is oriented so the carbon atom bonded to R^{8b} is connected as R^3 in Formula **1** as shown below.



The wavy line in the above structures and elsewhere in the disclosure (e.g., X-24 through X-128 and Y-30 through Y-71 preceding Table 1) indicates the attachment position of the molecular fragment to the remainder of the molecule.

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 7. 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 compound is substituted with a substituent bearing a subscript that indicates the number of said substituents can exceed 1, said substituents (when they exceed 1) are independently selected from the group of defined substituents, e.g., $(R^7)_p$, p is 0, 1, 2, 3 or 4. When a group contains a substituent which can be hydrogen, for example R^1 or R^3, 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.

The term "heteroaromatic ring" denotes an aromatic ring in which at least one atom forming the ring backbone is not carbon, e.g., nitrogen, oxygen or sulfur. 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. "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 in which (4n + 2) π electrons, where n is a positive integer, are associated with the ring to comply with Hückel's rule. 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.

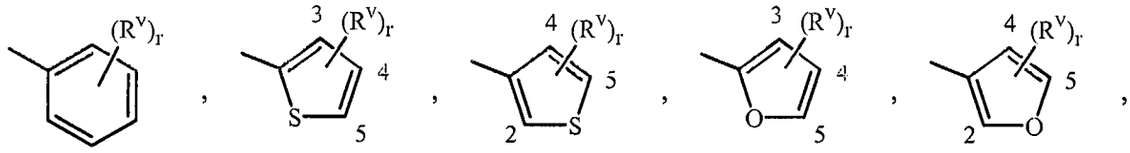
When a radical (e.g., cycloalkyl in the definition of R^1) is optionally substituted with listed substituents with the number of substituents stated (e.g., "1 to 4"), then the radical may be unsubstituted or substituted with a number of substituents ranging up to the high number stated (e.g., "4"), and the attached substituents are independently selected from the

substituents listed. When the substituent list includes a lower limit for a particular substituent (e.g., "1 cyclopropyl"), this accordingly restricts number of instances of that particular substituent among the substituents attached to the radical. Thus in regards to R^1, while up to four substituents may be attached to the cycloalkyl radical, only one of the substituents may be cyclopropyl.

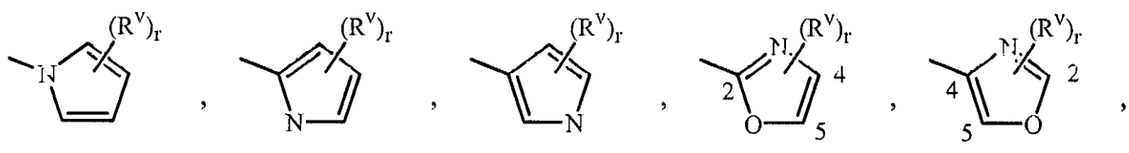
When a substituent (e.g., R^1) is a 5- or 6-membered nitrogen-containing heteroaromatic ring, it can be attached to the remainder of Formula **1** though any available carbon or nitrogen ring atom, unless otherwise described. As noted above, substituents such as R^1 can be (among others) phenyl optionally substituted with one to three substituents selected from a group of substituents as defined in the Summary of the Invention. An example of phenyl optionally substituted with one to three substituents is the ring illustrated as U-1 in Exhibit 1, wherein R^v is as defined in the Summary of the Invention (e.g., for R^1) and r is an integer from 0 to 3.

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 2, 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 $(R^v)_r$.

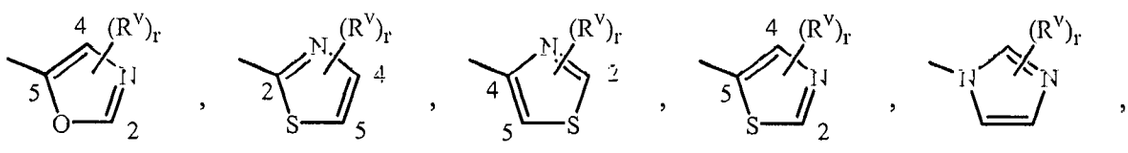
Exhibit 1



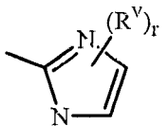
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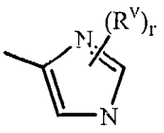


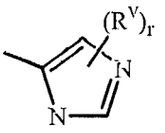
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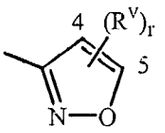


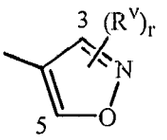
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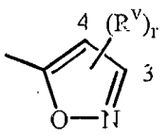

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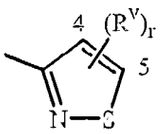

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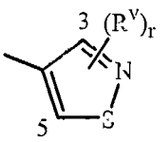

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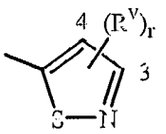

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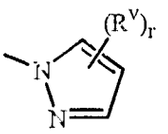

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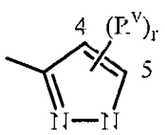

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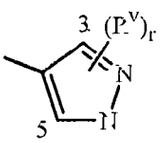

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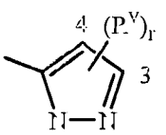

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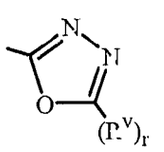

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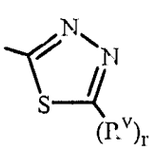

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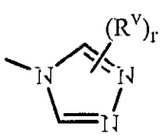

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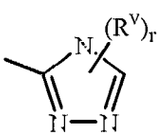

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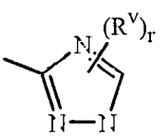

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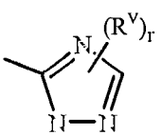

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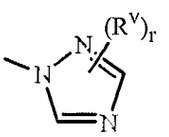

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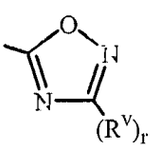

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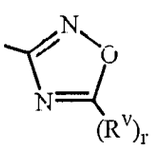

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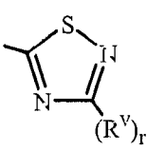

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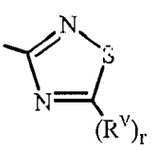

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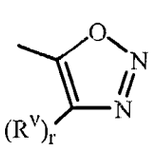

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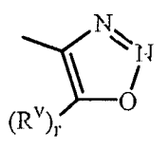

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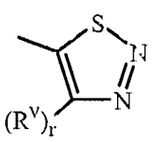

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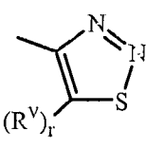

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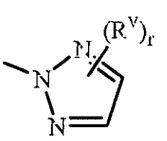

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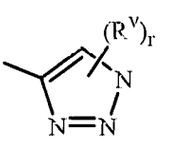

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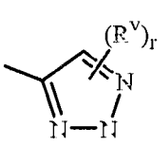

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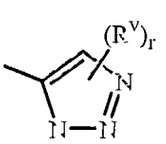

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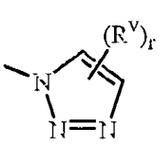

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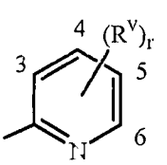

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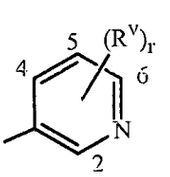

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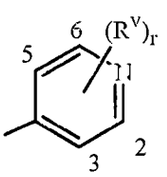

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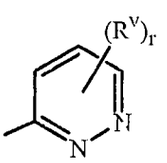

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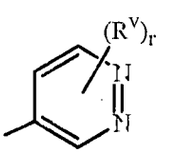

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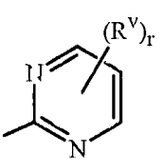

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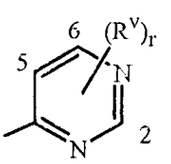

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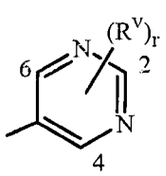
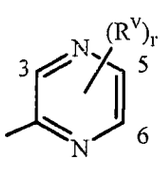
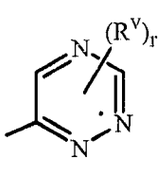
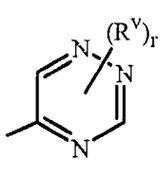
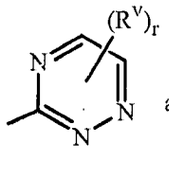
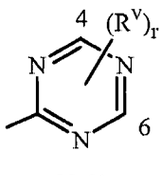

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U-52


U-53

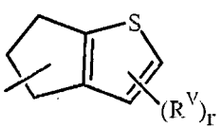
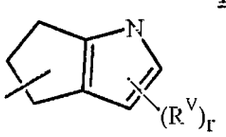
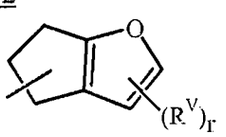
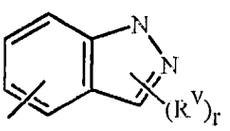
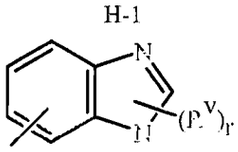
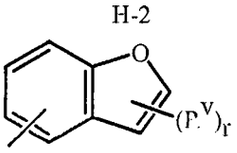
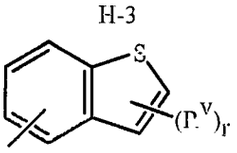
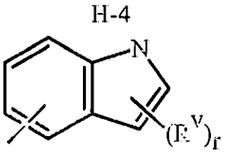
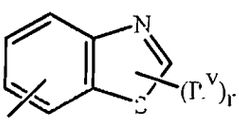
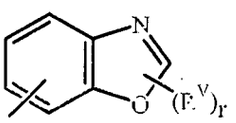
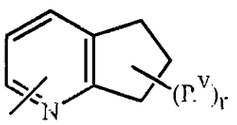
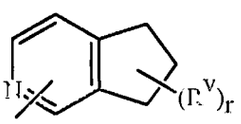

U-54

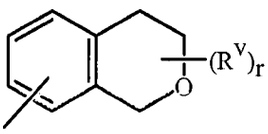

U-55

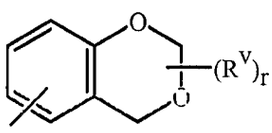

U-56 ,

U-57 ,

U-58 ,

U-59 ,

U-60 and

U-61 .

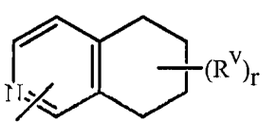
As noted above, substituents such as R^1 can be (among others) an 8-, 9- or 10-membered heteroaromatic bicyclic ring system optionally substituted with up to 3 substituents selected from a group of substituents as defined in the Summary of Invention. Examples of a 8-, 9- or 10-membered heteroaromatic bicyclic ring system optionally substituted with up to 3 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., halogen, cyano, nitro, SF_5, C_1–C_4 alkyl, C_2–C_4 alkenyl, C_2–C_4 alkynyl, C_1–C_4 haloalkyl, C_2–C_4 alkylcarbonyl, C_2–C_4 haloalkylcarbonyl, C_2–C_4 alkoxycarbonyl, C_2–C_4 alkylaminocarbonyl, C_3–C_7 dialkylaminocarbonyl, C_1–C_4 alkoxy, C_1–C_4 haloalkoxy, C_2–C_6 alkoxyalkyl, $S(O)_nR^{12}$, C_1–C_4 alkylamino and C_2–C_6 dialkylamino on carbon ring members and methyl on nitrogen ring members) and r is an integer from 0 to 3, limited by the number of available positions on each H group.

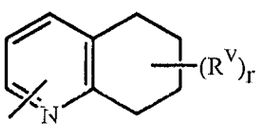
Exhibit 2

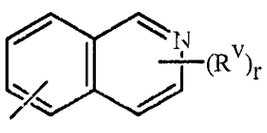

H-1 ,

H-2 ,

H-3 ,

H-4 ,

H-5 ,

H-6 ,

H-7 ,

H-8 ,

H-9 ,

H-10 ,

H-11 ,

H-12 ,

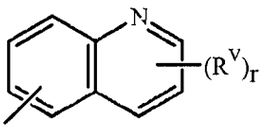

H-13

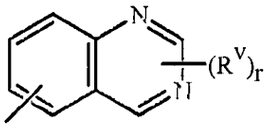

H-14

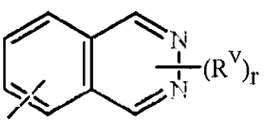

H-15

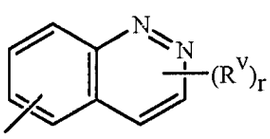

H-16

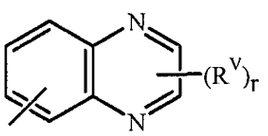

H-17


H-18

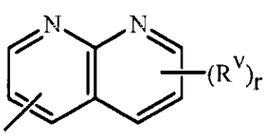

H-19


H-20


H-21


H-22

and

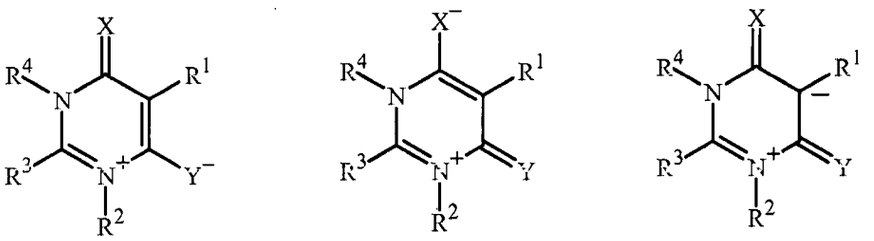

H-23

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. Note that some U groups can only be substituted with less than 2 R^V groups (e.g., U-2 through U-5, U-7 through U-48, and U-52 through U-61).

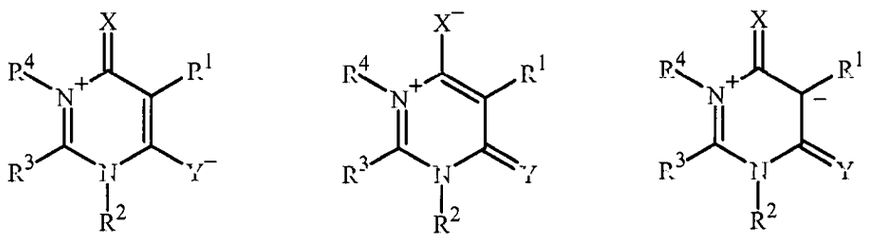
A wide variety of synthetic methods are known in the art to enable preparation of aromatic and nonaromatic heterocyclic rings and ring systems; for extensive reviews see the eight volume set of *Comprehensive Heterocyclic Chemistry*, A. R. Katritzky and C. W. Rees editors-in-chief, Pergamon Press, Oxford, 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 in the present disclosure and claims, 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** in the present disclosure and claims relates to all six applicable valence bond structures and other (e.g., molecular orbital theory) structures unless otherwise specified.



1



Compounds of this invention can exist as one or more stereoisomers. The various stereoisomers include enantiomers, diastereomers, atropisomers and geometric isomers. For example, substituents and other molecular constituents such as R^1 may contain chiral centers. 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. This invention comprises racemic mixtures as well as enriched and essentially pure stereoconfigurations at these chiral centers.

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 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 of Formula **1** can exist in the solid phase as polymorphs (i.e. different crystalline forms). 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 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 of Formula **1** can exhibit beneficial effects (e.g., suitability for preparation of useful formulations) relative to another polymorph or a mixture of polymorphs of the same compound of Formula **1**. Preparation and isolation of a particular polymorph of a compound of Formula **1** can be achieved by methods known to those skilled in the art including, for example, crystallization using selected solvents and temperatures. This invention comprises both individual polymorphs and mixtures of polymorphs, including mixtures enriched in one polymorph relative to others.

Embodiments of the present invention as described in the Summary of the Invention include those described below. In the following Embodiments 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. The compounds of Formulae **1r** and **1s** are various subsets of Formula 1.

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 of Embodiments 1–4 wherein R^1 is H or halogen.

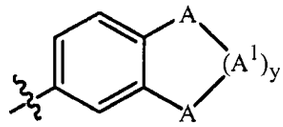
Embodiment 6. A compound of Formula **1** or any of Embodiments 1–4 wherein R^1 is phenyl or a 6-membered heteroaromatic ring, each optionally substituted with 1 to 3 substituents independently selected from the group consisting of halogen, cyano, nitro, C_1–C_4 alkyl, C_2–C_4 alkenyl, C_2–C_4 alkynyl, C_1–C_4 haloalkyl, C_2–C_4 haloalkenyl, C_2–C_4 alkylcarbonyl, C_2–C_4 haloalkylcarbonyl, C_2–C_4 alkoxycarbonyl, C_2–C_4 alkylaminocarbonyl, C_3–C_7 dialkylaminocarbonyl, $C(O)N(-CH_2Z^2CH_2-)$-, C_1–C_4 alkoxy, C_1–C_4 haloalkoxy, C_2–C_6 alkoxyalkyl, $S(O)_nR^{12}$, $S(O)_2R^{13}$, C_1–C_4 alkylamino, C_2–C_6 dialkylamino, SF_5, $Si(CH_3)_3$, CHO, hydroxy, $OC(O)R^{19}$ and $N(R^{20})C(O)R^{19}$.

Embodiment 7. A compound of Embodiment 6 wherein R^1 is phenyl or pyridinyl, each optionally substituted with 1 to 3 substituents independently selected from the group consisting of halogen, cyano, nitro, C_1–C_4 alkyl, C_2–C_4 alkenyl, C_2–C_4 alkynyl, C_1–C_4 haloalkyl, C_2–C_4 haloalkenyl, C_2–C_4 alkylcarbonyl, C_2–C_4 haloalkylcarbonyl, C_2–C_4 alkoxycarbonyl, C_2–C_4 alkylaminocarbonyl, C_3–C_7

dialkylaminocarbonyl, C(O)N(-$CH_2Z^2CH_2$-), C_1–C_4 alkoxy, C_1–C_4 haloalkoxy, C_2–C_6 alkoxyalkyl, $S(O)_nR^{12}$, $S(O)_2R^{13}$, C_1–C_4 alkylamino, C_2–C_6 dialkylamino, SF_5, $Si(CH_3)_3$, CHO, hydroxy, $OC(O)R^{19}$ and $N(R^{20})C(O)R^{19}$.

Embodiment 8. A compound of Embodiment 7 wherein R^1 is phenyl or pyridinyl, each optionally substituted with 1 to 3 substituents independently selected from the group consisting of halogen, cyano, C_1–C_4 alkyl, C_1–C_4 haloalkyl, C_2–C_4 alkylcarbonyl, C_2–C_4 alkoxycarbonyl, C_2–C_4 alkylaminocarbonyl, C_3–C_7 dialkylaminocarbonyl, C(O)N(-$CH_2Z^2CH_2$-), C_1–C_4 alkoxy, C_1–C_4 haloalkoxy, C_2–C_6 alkoxyalkyl, $S(O)_nR^{12}$ and $S(O)_2R^{13}$.

Embodiment 9. A compound of Formula **1** or any of Embodiments 1–4 wherein R^1 is



Embodiment 10. A compound of Formula **1** or any of Embodiments 1–4 wherein R^1 is $C(X^1)R^{18}$ or $C(=NOR^{23})R^{18}$.

Embodiment 11. A compound of Embodiment 10 wherein R^1 is $C(X^1)R^{18}$.

Embodiment 12. A compound of Formula **1** or any of Embodiments 1–11 wherein X^1 is O.

Embodiment 13. A compound of Formula **1** or any of Embodiments 1–11 wherein X^1 is S.

Embodiment 14. A compound of Embodiment 10 wherein R^1 is $C(=NOR^{23})R^{18}$.

Embodiment 15. A compound of Formula **1** or any of Embodiments 1–4 wherein R^1 is an 8- to 10-membered heteroaromatic bicyclic ring system optionally substituted on carbon ring members with up to 3 substituents independently selected from the group consisting of halogen, cyano, nitro, SF_5, C_1–C_4 alkyl, C_2–C_4 alkenyl, C_2–C_4 alkynyl, C_1–C_4 haloalkyl, C_2–C_4 alkylcarbonyl, C_2–C_4 haloalkylcarbonyl, C_2–C_4 alkoxycarbonyl, C_2–C_4 alkylaminocarbonyl, C_3–C_7 dialkylaminocarbonyl, C(O)N(-$CH_2Z^2CH_2$-), C_1–C_4 alkoxy, C_1–C_4 haloalkoxy, C_2–C_6 alkoxyalkyl, $S(O)_nR^{12}$, $S(O)_2R^{13}$, C_1–C_4 alkylamino, C_2–C_6 dialkylamino, $Si(CH_3)_3$, CHO, hydroxy, $OC(O)R^{19}$ and $N(R^{20})C(O)R^{19}$, and optionally substituted on nitrogen ring members with methyl.

Embodiment 16. A compound of Formula **1** or any of Embodiments 1–4 wherein R^1 is phenyl or a 5- or 6-membered heteroaromatic ring, each substituted with GQ^1 and further optionally substituted with 1 or 2 substituents independently selected from the group consisting of halogen, cyano, nitro, SF_5, C_1–C_4 alkyl, C_2–C_4 alkenyl, C_2–C_4 alkynyl, C_1–C_4 haloalkyl, C_2–C_4 alkylcarbonyl, C_2–C_4 haloalkylcarbonyl, C_2–C_4 alkoxycarbonyl, C_2–C_4 alkylaminocarbonyl, C_3–C_7

diallylaminocarbonyl, C(O)N(-$CH_2Z^2CH_2$-), C_1–C_4 alkoxy, C_1–C_4 haloalkoxy, C_2–C_6 alkoxyalkyl, $S(O)_nR^{12}$, $S(O)_2R^{13}$, C_1–C_4 alkylamino, C_2–C_6 diallylamino, $Si(CH_3)_3$, CHO, hydroxy, $OC(O)R^{19}$ and $N(R^{20})C(O)R^{19}$.

Embodiment 17. A compound of Embodiment 16 wherein R^1 is phenyl or pyridinyl, each substituted with GQ^1 and further optionally substituted with 1 or 2 substituents independently selected from the group consisting of halogen, cyano, SF_5, C_1–C_4 alkyl, C_1–C_4 haloalkyl, C_2–C_4 alkylcarbonyl, C_2–C_4 alkoxycarbonyl, C_2–C_4 alkylaminocarbonyl, C_3–C_7 diallylaminocarbonyl, C(O)N(-$CH_2Z^2CH_2$-), C_1–C_4 alkoxy, C_1–C_4 haloalkoxy, C_2–C_6 alkoxyalkyl, $S(O)_nR^{12}$ and $S(O)_2R^{13}$.

Embodiment 18. A compound of Formula **1** or any of Embodiments 1–17 wherein Q^1 is phenyl or pyridinyl, each optionally substituted with 1 to 3 substituents independently selected from the group consisting of halogen, cyano, C_1–C_4 alkyl, C_1–C_4 haloalkyl, C_2–C_4 alkylcarbonyl, C_2–C_4 alkoxycarbonyl, C_2–C_4 alkylaminocarbonyl, C_3–C_7 diallylaminocarbonyl, C(O)N(-$CH_2Z^2CH_2$-), C_1–C_4 alkoxy, C_1–C_4 haloalkoxy, C_2–C_6 alkoxyalkyl, $S(O)_nR^{12}$ and $S(O)_2R^{13}$.

Embodiment 19. A compound of Formula **1** or any of Embodiments 1–4 wherein R^1 is selected from Embodiments 5, 6, 9, 10, 15 and 16.

Embodiment 20. A compound of Formula **1** or any of Embodiments 1–19 wherein R^2 is C_2–C_6 alkyl, C_1–C_6 haloalkyl, $CH_2CO_2R^{21}$, $CR^5R^6CH_2OR^{21}$, $CR^5R^6CH_2CH_2OR^{21}$, $CR^5R^6CH_2S(O)_nR^{21}$ or $CR^5R^6CH_2CH_2S(O)_nR^{21}$.

Embodiment 21. A compound of Formula **1** or any of Embodiments 1–19 wherein R^2 is C_3–C_6 cycloalkyl or C_4–C_7 cycloalkylalkyl, each optionally substituted with 1 to 4 substituents selected from the group consisting of halogen, C_1–C_2 alkyl, 1 cyclopropyl and 1 CF_3.

Embodiment 22. A compound of Formula **1** or any of Embodiments 1–19 wherein R^2 is CR^5R^6Q.

Embodiment 22a. A compound of Formula **1** or any of Embodiments 1–19 wherein R^2 is selected from Embodiments 20, 21 and 22.

Embodiment 23. A compound of Embodiment 20 wherein R^2 is C_2–C_6 alkyl, C_1–C_6 haloalkyl or $CR^5R^6CH_2OR^{21}$.

Embodiment 24. A compound of Embodiment 21 wherein R^2 is C_4–C_7 cycloalkylalkyl optionally substituted with 1 to 4 substituents selected from the group consisting of halogen, C_1–C_2 alkyl, 1 cyclopropyl and 1 CF_3.

Embodiment 24a. A compound of Formula **1** or any of Embodiments 1–19 wherein R^2 is selected from Embodiments 23 and 24.

Embodiment 25. A compound of Formula **1** or any of Embodiments 1–24 wherein G is a direct bond.

Embodiment 26. A compound of Formula **1** or any of Embodiments 1–25 wherein R^3 is H, C_1–C_6 alkyl, C_1–C_6 haloalkyl, C_2–C_6 alkenyl, C_2–C_6 haloalkenyl, C_2–C_6 alkynyl, C_2–C_6 haloalkynyl or $C{\equiv}CR^{10}$.

Embodiment 27. A compound of Formula **1** or any of Embodiments 1–25 wherein R^3 is C_3–C_6 cycloalkyl or C_4–C_7 cycloalkylalkyl, each optionally substituted with 1 to 4 substituents independently selected from the group consisting of halogen, C_1–C_2 alkyl, 1 cyclopropyl and 1 CF_3.

Embodiment 28. A compound of Embodiment 26 wherein R^3 is C_1–C_6 alkyl or C_1–C_6 haloalkyl.

Embodiment 29. A compound of Embodiment 28 wherein R^3 is CH_3.

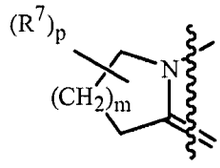
Embodiment 29a. A compound of Formula **1** or any of Embodiments 1–29 wherein R^4 is C_1–C_6 alkyl, C_1–C_6 haloalkyl, C_2–C_6 alkenyl, C_2–C_6 haloalkenyl, C_2–C_6 alkynyl, C_2–C_6 haloalkynyl or $C{\equiv}CR^{10}$.

Embodiment 29b. A compound of Formula **1** or any of Embodiments 1–29 wherein R^4 is C_3–C_6 cycloalkyl or C_4–C_7 cycloalkylalkyl, each optionally substituted with 1 to 4 substituents independently selected from the group consisting of halogen, C_1–C_2 alkyl, 1 cyclopropyl and 1 CF_3.

Embodiment 29c. A compound of Embodiment 29a wherein R^4 is C_1–C_6 alkyl or C_1–C_6 haloalkyl.

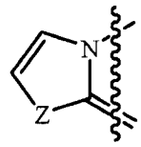
Embodiment 29d. A compound of Embodiment 29c wherein R^4 is CH_3.

Embodiment 30. A compound of Formula **1** or any of Embodiments 1–25 wherein R^3 and R^4 are taken together with the contiguous linking nitrogen and carbon atoms to form an optionally substituted ring **R-1**



R-1

or ring **R-2**



R-2

Embodiment 31. A compound of Embodiment 30 wherein R^3 and R^4 are taken together with the contiguous linking nitrogen and carbon atoms to form an optionally substituted ring **R-1**.

Embodiment 32. A compound of Embodiment 30 wherein R^3 and R^4 are taken together with the contiguous linking nitrogen and carbon atoms to form an optionally substituted ring **R-2**.

Embodiment 32a. A compound of Formula **1** or any of Embodiments 1–25 wherein R^3 is selected from Embodiments 26 and 27, and R^4 is selected from Embodiments 29a and 29b; or R^3 and R^4 are taken together with the contiguous linking nitrogen and carbon atoms to form an optionally substituted ring as described in Embodiment 30.

Embodiment 32b. A compound of Formula **1** or any of Embodiments 1–32a wherein m is 2 or 3.

Embodiment 32c. A compound of Formula **1** or any of Embodiments 1–32b wherein p is 0.

Embodiment 32d. A compound of Formula **1** or any of Embodiments 1–32c wherein Z is $C(R^{8a})=C(R^{8b})$ or S, provided that the $C(R^{8a})=C(R^{8b})$ moiety is oriented so the carbon atom bonded to R^{8b} is connected as R^3 in Formula **1**.

Embodiment 33. A compound of Embodiment 32d wherein Z is CH=CH or CH=CF, provided that the CH=CF moiety is oriented so the carbon atom bonded to F is connected as R^3 in Formula **1**.

Embodiment 34. A compound of Embodiment 32d wherein Z is S.

Embodiment 35. A compound of Formula **1** or any of Embodiments 1–34 wherein each R^5 is independently H or C_1–C_4 alkyl.

Embodiment 36. A compound of Embodiment 35 wherein each R^5 is independently H or methyl.

Embodiment 37. A compound of Formula **1** or any of Embodiments 1–36 wherein R^6 is H.

Embodiment 38. A compound of Formula **1** or any of Embodiments 1–37 wherein Q is **Q-1**, **Q-5**, **Q-6** or **Q-9**.

Embodiment 39. A compound of Embodiment 38 wherein Q is **Q-1**, **Q-5** or **Q-9**.

Embodiment 40. A compound of Embodiment 38 wherein Q is **Q-1**.

Embodiment 41. A compound of Embodiment 38 wherein Q is **Q-5**.

Embodiment 42. A compound of Embodiment 38 wherein Q is **Q-6**.

Embodiment 43. A compound of Embodiment 38 wherein Q is **Q-9**.

Embodiment 44. A compound of any of Embodiments 38, 39, 41 or 43 wherein Z^1 is O.

Embodiment 45. A compound of any of Embodiments 38, 39, 41 or 43 wherein Z^1 is S.

Embodiment 46. A compound of any of Embodiments 38, 39, 41 or 43 wherein Z^1 is NR^{14}.

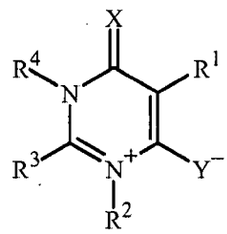
Embodiment 47. A compound of Formula **1** or any of Embodiments 1–46 wherein each R^{9a} is independently H, halogen, cyano, C_1–C_4 alkyl, C_1–C_4 haloalkyl, C_1–C_4 alkoxy, C_1–C_4 haloalkoxy, SF_5 or $S(O)_nR^{12}$; or C_3–C_6 cycloalkyl or C_4–C_7 cycloalkylalkyl, each optionally substituted with 1 to 4 substituents selected from the group consisting of halogen, C_1–C_2 alkyl, 1 cyclopropyl and 1 CF_3.

Embodiment 48. A compound of Embodiment 47 wherein each R^{9a} is independently H, halogen, cyano, C_1–C_4 alkyl, C_1–C_4 haloalkyl, C_1–C_4 alkoxy, C_1–C_4 haloalkoxy, SF_5 or $S(O)_nR^{12}$.

Embodiment 49. A compound of Embodiment 47 wherein each R^{9a} is independently C_3–C_6 cycloalkyl or C_4–C_7 cycloalkylalkyl, each optionally substituted with 1 to 4 substituents selected from the group consisting of halogen, C_1–C_2 alkyl, 1 cyclopropyl and 1 CF_3.

Embodiment 50. A compound of Formula **1** or any of Embodiments 1–49 wherein each R^{9b} is independently H, halogen, cyano, C_1–C_4 alkyl, C_1–C_4 haloalkyl, C_1–C_4 alkoxy or C_1–C_4 haloalkoxy.

Embodiment 51. A compound of Formula **1r**



1r

wherein

X is O or S;

Y is O or S;

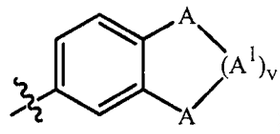
R^1 is H, halogen, C_1–C_6 alkyl, C_1–C_6 haloalkyl, C_2–C_6 alkenyl, C_2–C_6 haloalkenyl, C_2–C_6 alkynyl, C_2–C_6 haloalkynyl or $C{\equiv}CR^{10}$; or

R^1 is C_3–C_6 cycloalkyl or C_4–C_7 cycloalkylalkyl, each optionally substituted with 1 to 4 substituents independently selected from the group consisting of halogen, C_1–C_2 alkyl, 1 cyclopropyl and 1 CF_3; or

R^1 is phenyl, naphthalenyl or a 5- or 6-membered heteroaromatic ring, each optionally substituted with 1 to 3 substituents independently selected from the group consisting of halogen, cyano, nitro, C_1–C_4 alkyl, C_2–C_4 alkenyl, C_2–C_4 alkynyl, C_1–C_4 haloalkyl, C_2–C_4 alkylcarbonyl, C_2–C_4 haloalkylcarbonyl, C_2–C_4

alkoxycarbonyl, C_2–C_4 alkylaminocarbonyl, C_3–C_7 dialkylaminocarbonyl, C_1–C_4 alkoxy, C_1–C_4 haloalkoxy, C_2–C_6 alkoxyalkyl, $S(O)_nR^{12}$, C_1–C_4 alkylamino and C_2–C_6 dialkylamino; or

R^1 is



;

each A is independently $C(R^{16})_2$, O, S or NR^{15};

each A^1 is independently $C(R^{17})_2$;

R^2 is C_2–C_6 alkyl, C_1–C_6 haloalkyl, C_3–C_6 alkenyl, C_3–C_6 haloalkenyl, C_3–C_6 alkynyl, C_3–C_6 haloalkynyl or C_2–C_6 alkoxyalkyl; or

R^2 is C_3–C_6 cycloalkyl or C_4–C_7 cycloalkylalkyl, each optionally substituted with 1 to 4 substituents selected from the group consisting of halogen, C_1–C_2 alkyl, 1 cyclopropyl and 1 CF_3; or

R^2 is CR^5R^6Q;

R^3 is H, C_1–C_6 alkyl, C_1–C_6 haloalkyl, C_2–C_6 alkenyl, C_2–C_6 haloalkenyl, C_2–C_6 alkynyl, C_2–C_6 haloalkynyl or $C{\equiv}CR^{10}$; or

R^3 is C_3–C_6 cycloalkyl or C_4–C_7 cycloalkylalkyl, each optionally substituted with 1 to 4 substituents independently selected from the group consisting of halogen, C_1–C_2 alkyl, 1 cyclopropyl and 1 CF_3; or

R^3 is phenyl, naphthalenyl or a 5- or 6-membered heteroaromatic ring, each optionally substituted with 1 or 2 substituents independently selected from the group consisting of halogen, cyano, nitro, C_1–C_4 alkyl, C_2–C_4 alkenyl, C_2–C_4 alkynyl, C_1–C_4 haloalkyl, C_2–C_4 alkylcarbonyl, C_2–C_4 haloalkylcarbonyl, C_2–C_4 alkoxycarbonyl, C_2–C_4 alkylaminocarbonyl, C_3–C_7 dialkylaminocarbonyl, C_1–C_4 alkoxy, C_1–C_4 haloalkoxy, C_2–C_6 alkoxyalkyl, $S(O)_nR^{12}$, C_1–C_4 alkylamino and C_2–C_6 dialkylamino;

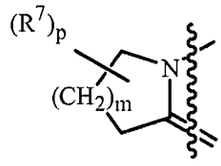
R^4 is C_1–C_6 alkyl, C_1–C_6 haloalkyl, C_2–C_6 alkenyl, C_2–C_6 haloalkenyl, C_2–C_6 alkynyl, C_2–C_6 haloalkynyl or $C{\equiv}CR^{10}$; or

R^4 is C_3–C_6 cycloalkyl or C_4–C_7 cycloalkylalkyl, each optionally substituted with 1 to 4 substituents independently selected from the group consisting of halogen, C_1–C_2 alkyl, 1 cyclopropyl and 1 CF_3; or

R^4 is phenyl, naphthalenyl or a 5- or 6-membered heteroaromatic ring, each optionally substituted with 1 or 2 substituents independently selected from the group consisting of halogen, cyano, nitro, C_1–C_4 alkyl, C_2–C_4 alkenyl, C_2–C_4 alkynyl, C_1–C_4 haloalkyl, C_2–C_4 alkylcarbonyl, C_2–C_4 haloalkylcarbonyl, C_2–C_4 alkoxycarbonyl, C_2–C_4 alkylaminocarbonyl, C_3–C_7 dialkylaminocarbonyl, C_1–

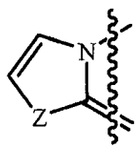
C_4 alkoxy, C_1–C_4 haloalkoxy, C_2–C_6 alkoxyalkyl, $S(O)_nR^{12}$, C_1–C_4 alkylamino and C_2–C_6 dialkylamino; or

R^3 and R^4 are taken together with the contiguous linking nitrogen and carbon atoms to form an optionally substituted ring **R-1**



R-1

or ring **R-2**



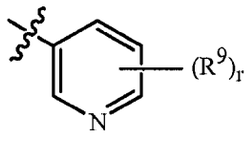
R-2 ;

Z is $C(R^8)=C(R^8)$ or S;

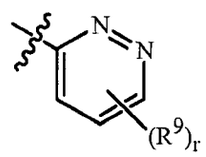
R^5 is H, F, Cl, cyano or C_1–C_4 alkyl;

R^6 is H, F, Cl or CH_3;

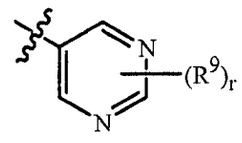
Q is



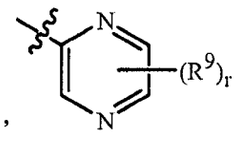
Q-1a



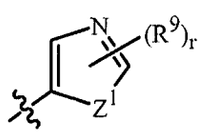
Q-2a



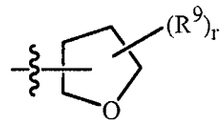
Q-3a



Q-4a

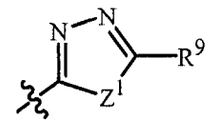


Q-5a



Q-6a

or



Q-8a ;

Z^1 is O, S or NR^{14};

R^7 is H, halogen, cyano, CF_3, C_1–C_3 alkyl or C_3–C_6 cycloalkyl;

each R^8 is independently H or F;

each R^9 is independently H, halogen, cyano, nitro, 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_1–C_4 alkoxy, C_1–C_4 haloalkoxy, C_2–C_4 alkylcarbonyl, C_2–C_4 haloalkylcarbonyl, C_2–

C_4 alkoxycarbonyl, C_2–C_4 haloalkoxycarbonyl, $C(O)NH_2$, C_2–C_4 alkylaminocarbonyl, C_3–C_7 dialkylaminocarbonyl, C_2–C_4 haloalkylaminocarbonyl, C_3–C_7 halodialkylaminocarbonyl or $S(O)_nR^{12}$; or

each R^9 is independently C_3–C_6 cycloalkyl or C_4–C_7 cycloalkylalkyl, each optionally substituted with 1 to 4 substituents selected from the group consisting of halogen, C_1–C_2 alkyl, 1 cyclopropyl and 1 CF_3; or

each R^9 is independently phenyl or a 5- or 6-membered heteroaromatic ring, each optionally substituted with 1 or 2 substituents independently selected from the group consisting of halogen, cyano, nitro, C_1–C_4 alkyl, C_2–C_4 alkenyl, C_2–C_4 alkynyl, C_1–C_4 haloalkyl, C_2–C_4 alkylcarbonyl, C_2–C_4 haloalkylcarbonyl, C_2–C_4 alkoxycarbonyl, C_2–C_4 alkylaminocarbonyl, C_3–C_7 dialkylaminocarbonyl, C_1–C_4 alkoxy, C_1–C_4 haloalkoxy, C_2–C_6 alkoxyalkyl, $S(O)_nR^{12}$, C_1–C_4 alkylamino and C_2–C_6 dialkylamino;

each R^{10} is independently $Si(R^{11})_3$; or phenyl optionally substituted with halogen, cyano, nitro, C_1–C_4 alkyl, C_2–C_4 alkenyl, C_2–C_4 alkynyl, C_1–C_4 haloalkyl, C_2–C_4 alkylcarbonyl, C_2–C_4 haloalkylcarbonyl, C_2–C_4 alkoxycarbonyl, C_2–C_4 alkylaminocarbonyl, C_3–C_7 dialkylaminocarbonyl, C_2–C_6 alkoxyalkyl, C_1–C_4 alkoxy, C_1–C_4 haloalkoxy, $S(O)_nR^{12}$, C_1–C_4 alkylamino or C_2–C_6 dialkylamino;

each R^{11} is independently C_1–C_4 alkyl;

each R^{12} is independently C_1–C_4 alkyl or C_1–C_4 haloalkyl;

R^{14} is C_1–C_4 alkyl;

each R^{15} is independently C_1–C_4 alkyl;

each R^{16} is independently H or C_1–C_4 alkyl;

each R^{17} is independently H or F;

m is 1, 2 or 3;

each n is independently 0, 1 or 2;

p is 0, 1, 2, 3 or 4;

each r is independently 0, 1 or 2; and

v is 1 or 2;

provided that (a) when R^2 is $CH_2CH{=}CH_2$, R^3 and R^4 are taken together to form ring **R-2**, Z is CH=CH, X is O and Y is O, then R^1 is other than H, $CH(CH_3)_2$, $CH_2CH_2CH_2CH_3$, $CH_2CH{=}CH_2$ or unsubstituted phenyl; (b) when R^1 is H, R^3 and R^4 are taken together to form ring **R-2**, Z is CH=CH, X is O and Y is O, then R^2 is other than $CH_2CH{=}CH_2$ or $CH_2C{\equiv}CH$; (c) when R^1 is CH_2CH_3, R^3 and R^4 are taken together to form ring **R-2**, Z is CH=CH, X is O and Y is O, then R^2 is other than $CH_2(CH_2)_3CH_3$; (d) when R^3 is H, R^2 and R^4 are both cyclohexyl, X is O and Y is O, then R^1 is other than CH_3; (e) when R^1 is H or C_1–C_3 *n*-alkyl,

R^3 and R^4 are taken together to form ring **R-2**, Z is S, X is O and Y is O, then R^2 is other than C_1–C_6 alkyl or C_3–C_4 alkoxyalkyl; (f) when R^2 is cyclopropylmethyl, R^3 and R^4 are taken together to form ring **R-2**, Z is S, X is O and Y is O, then R^1 is other than CH_3, CH_2CH_3 or $CH_2CH_2CH_3$; (g) when R^1 is unsubstituted phenyl, R^3 and R^4 are taken together to form ring **R-2**, Z is S, X is O and Y is O, then R^2 is other than C_3–C_4 alkoxyalkyl; and (h) when R^1 is Br, R^3 and R^4 are taken together to form ring **R-2**, Z is S, X is O and Y is O, then R^2 is other than CH_2CH_3.

Embodiment 52. A compound of Embodiment 51 wherein X is O.

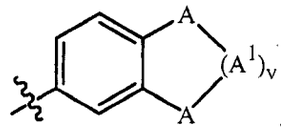
Embodiment 53. A compound of Embodiment 51 wherein Y is O.

Embodiment 54. A compound of Embodiment 51 wherein R^1 is phenyl, naphthalenyl or a 5- or 6-membered heteroaromatic ring, each optionally substituted with 1 to 3 substituents independently selected from the group consisting of halogen, cyano, nitro, C_1–C_4 alkyl, C_2–C_4 alkenyl, C_2–C_4 alkynyl, C_1–C_4 haloalkyl, C_2–C_4 alkylcarbonyl, C_2–C_4 haloalkylcarbonyl, C_2–C_4 alkoxycarbonyl, C_2–C_4 alkylaminocarbonyl, C_3–C_7 dialkylaminocarbonyl, C_1–C_4 alkoxy, C_1–C_4 haloalkoxy, C_2–C_6 alkoxyalkyl, $S(O)_nR^{12}$, C_1–C_4 alkylamino and C_2–C_6 dialkylamino.

Embodiment 55. A compound of Embodiment 54 wherein R^1 is phenyl optionally substituted with 1 or 2 substituents independently selected from the group consisting of halogen, cyano, C_1–C_2 haloalkyl, C_1–C_2 alkoxy and C_1–C_2 haloalkoxy.

Embodiment 56. A compound of Embodiment 54 wherein R^1 is 2-, 3- or 4-pyridinyl optionally substituted with halogen, cyano, C_1–C_2 haloalkyl, C_1–C_2 alkoxy or C_1–C_2 haloalkoxy.

Embodiment 57. A compound of Formula **1r** wherein R^1 is



Embodiment 58. A compound of Embodiment 51 wherein R^2 is C_2–C_6 alkyl, C_1–C_6 haloalkyl, C_3–C_6 alkenyl or C_3–C_6 haloalkenyl.

Embodiment 59. A compound of Embodiment 51 wherein R^2 is CH_2CF_3 or CR^5R^6Q.

Embodiment 60. A compound of Embodiment 59 wherein R^2 is CR^5R^6Q.

Embodiment 61. A compound of Embodiment 59 wherein R^2 is CH_2CF_3.

Embodiment 62. A compound of Embodiment 51 or Embodiment 60 wherein R^5 is H or CH_3.

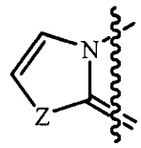
Embodiment 63. A compound of Embodiment 51 or Embodiment 60 wherein R^6 is H.

Embodiment 64. A compound of Embodiment 51 wherein Q is **Q-1a**, **Q-2a**, **Q-3a**, **Q-4a** or **Q-5a**.

Embodiment 65. A compound of Embodiment 64 wherein Q is **Q-1a** or **Q-5a**.

Embodiment 66. A compound of Embodiment 51 wherein R^9 is F or Cl.

Embodiment 67. A compound of Embodiment 51 wherein R^3 and R^4 are taken together with the contiguous linking nitrogen and carbon atoms to form ring **R-2**

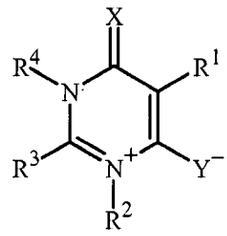


R-2

Embodiment 68. A compound of Embodiment 51 or Embodiment 67 wherein Z is CH=CH.

Embodiment 69. A compound of Embodiment 51 or Embodiment 67 wherein Z is S.

Embodiment 70. A compound of Formula **1s**



1s

wherein

X is O or S;

Y is O or S;

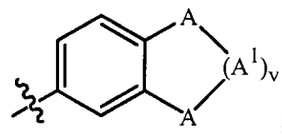
R^1 is H, halogen, C_1–C_6 alkyl, C_1–C_6 haloalkyl, C_2–C_6 alkenyl, C_2–C_6 haloalkenyl, C_2–C_6 alkynyl, C_2–C_6 haloalkynyl or C≡CR^{10}; or

R^1 is C_3–C_6 cycloalkyl or C_4–C_7 cycloalkylalkyl, each optionally substituted with 1 to 4 substituents independently selected from the group consisting of halogen, C_1–C_2 alkyl, 1 cyclopropyl and 1 CF_3; or

R^1 is phenyl, naphthalenyl or a 5- or 6-membered heteroaromatic ring, each optionally substituted with 1 to 3 substituents independently selected from the group consisting of halogen, cyano, nitro, C_1–C_4 alkyl, C_2–C_4 alkenyl, C_2–C_4 alkynyl, C_1–C_4 haloalkyl, C_2–C_4 alkylcarbonyl, C_2–C_4 haloalkylcarbonyl, C_2–C_4 alkoxycarbonyl, C_2–C_4 alkylaminocarbonyl, C_3–C_7 dialkylaminocarbonyl, C_1–

C_4 alkoxy, C_1–C_4 haloalkoxy, C_2–C_6 alkoxyalkyl, $S(O)_nR^{12}$, C_1–C_4 alkylamino and C_2–C_6 dialkylamino; or

R^1 is



;

each A is independently $C(R^{16})_2$, O, S or NR^{15};

each A^1 is independently $C(R^{17})_2$;

R^2 is C_2–C_6 alkyl, C_1–C_6 haloalkyl, C_3–C_6 alkenyl, C_3–C_6 haloalkenyl, C_3–C_6 alkynyl, C_3–C_6 haloalkynyl or C_2–C_6 alkoxyalkyl; or

R^2 is C_3–C_6 cycloalkyl or C_4–C_7 cycloalkylalkyl, each optionally substituted with 1 to 4 substituents selected from the group consisting of halogen, C_1–C_2 alkyl, 1 cyclopropyl and 1 CF_3; or

R^2 is CR^5R^6Q;

R^3 is H, C_1–C_6 alkyl, C_1–C_6 haloalkyl, C_2–C_6 alkenyl, C_2–C_6 haloalkenyl, C_2–C_6 alkynyl, C_2–C_6 haloalkynyl or $C{\equiv}CR^{10}$; or

R^3 is C_3–C_6 cycloalkyl or C_4–C_7 cycloalkylalkyl, each optionally substituted with 1 to 4 substituents independently selected from the group consisting of halogen, C_1–C_2 alkyl, 1 cyclopropyl and 1 CF_3; or

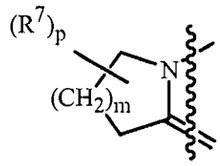
R^3 is phenyl, naphthalenyl or a 5- or 6-membered heteroaromatic ring, each optionally substituted with 1 or 2 substituents independently selected from the group consisting of halogen, cyano, nitro, C_1–C_4 alkyl, C_2–C_4 alkenyl, C_2–C_4 alkynyl, C_1–C_4 haloalkyl, C_2–C_4 alkylcarbonyl, C_2–C_4 haloalkylcarbonyl, C_2–C_4 alkoxycarbonyl, C_2–C_4 alkylaminocarbonyl, C_3–C_7 dialkylaminocarbonyl, C_1–C_4 alkoxy, C_1–C_4 haloalkoxy, C_2–C_6 alkoxyalkyl, $S(O)_nR^{12}$, C_1–C_4 alkylamino and C_2–C_6 dialkylamino;

R^4 is C_1–C_6 alkyl, C_1–C_6 haloalkyl, C_2–C_6 alkenyl, C_2–C_6 haloalkenyl, C_2–C_6 alkynyl, C_2–C_6 haloalkynyl or $C{\equiv}CR^{10}$; or

R^4 is C_3–C_6 cycloalkyl or C_4–C_7 cycloalkylalkyl, each optionally substituted with 1 to 4 substituents independently selected from the group consisting of halogen, C_1–C_2 alkyl, 1 cyclopropyl and 1 CF_3; or

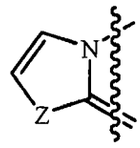
R^4 is phenyl, naphthalenyl or a 5- or 6-membered heteroaromatic ring, each optionally substituted with 1 or 2 substituents independently selected from the group consisting of halogen, cyano, nitro, C_1–C_4 alkyl, C_2–C_4 alkenyl, C_2–C_4 alkynyl, C_1–C_4 haloalkyl, C_2–C_4 alkylcarbonyl, C_2–C_4 haloalkylcarbonyl, C_2–C_4 alkoxycarbonyl, C_2–C_4 alkylaminocarbonyl, C_3–C_7 dialkylaminocarbonyl, C_1–C_4 alkoxy, C_1–C_4 haloalkoxy, C_2–C_6 alkoxyalkyl, $S(O)_nR^{12}$, C_1–C_4 alkylamino and C_2–C_6 dialkylamino; or

R^3 and R^4 are taken together with the contiguous linking nitrogen and carbon atoms to form an optionally substituted ring **R-1**



R-1

or an optionally substituted aromatic ring **R-2**



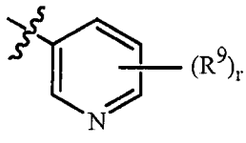
R-2 ;

Z is $C(R^8)=C(R^8)$;

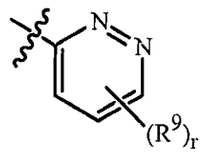
R^5 is H, F, Cl, cyano or C_1–C_4 alkyl;

R^6 is H, F, Cl and CH_3;

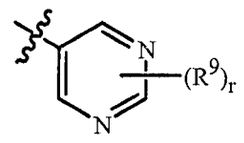
Q is



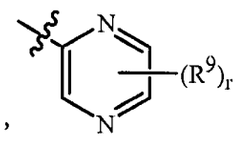
Q-1a



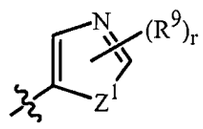
Q-2a



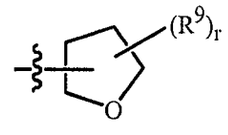
Q-3a



Q-4a



or



Q-5a **Q-6a**

Z^1 is O, S or NR^{14};

R^7 is H, halogen, cyano, CF_3, C_1–C_3 alkyl or C_3–C_6 cycloalkyl;

each R^8 is independently H or F;

each R^9 is independently H, halogen, cyano, nitro, 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_1–C_4 alkoxy, C_1–C_4 haloalkoxy, C_2–C_4 alkylcarbonyl, C_2–C_4 haloalkylcarbonyl, C_2–C_4 alkoxycarbonyl, C_2–C_4 haloalkoxycarbonyl, $C(O)NH_2$, C_2–C_4 alkylaminocarbonyl, C_3–C_7 dialkylaminocarbonyl, C_2–C_4 haloalkylaminocarbonyl, C_3–C_7 halodialkylaminocarbonyl or $S(O)_nR^{12}$; or

each R^9 is independently C_3–C_6 cycloalkyl or C_4–C_7 cycloalkylalkyl, each optionally substituted with 1 to 4 substituents selected from the group consisting of halogen, C_1–C_2 alkyl, 1 cyclopropyl and 1 CF_3;

each R^{10} is independently $Si(R^{11})_3$; or phenyl optionally substituted with halogen, cyano, nitro, C_1–C_4 alkyl, C_2–C_4 alkenyl, C_2–C_4 alkynyl, C_1–C_4 haloalkyl, C_2–C_4 alkylcarbonyl, C_2–C_4 haloalkylcarbonyl, C_2–C_4 alkoxycarbonyl, C_2–C_4 alkylaminocarbonyl, C_3–C_7 dialkylaminocarbonyl, C_2–C_6 alkoxyalkyl, C_1–C_4 alkoxy, C_1–C_4 haloalkoxy, $S(O)_nR^{12}$, C_1–C_4 alkylamino and C_2–C_6 dialkylamino;

each R^{11} is independently C_1–C_4 alkyl;

each R^{12} is independently C_1–C_4 alkyl or C_1–C_4 haloalkyl;

R^{14} is C_1–C_4 alkyl;

each R^{15} is independently C_1–C_4 alkyl;

each R^{16} is independently H or C_1–C_4 alkyl;

each R^{17} is independently H or F;

m is 1, 2 or 3;

each n is independently 0, 1 or 2;

p is 0, 1, 2, 3 or 4;

each r is independently 0, 1 or 2; and

v is 1 or 2;

provided that (a) when R^2 is $CH_2CH=CH_2$, R^3 and R^4 are taken together to form carbocyclic ring **R-2**, Z is CH=CH, X is O and Y is O, then R^1 is other than H, $CH(CH_3)_2$, $CH_2CH_2CH_2CH_3$, $CH_2CH=CH_2$ or unsubstituted phenyl; (b) when R^1 is H, R^3 and R^4 are taken together to form carbocyclic ring **R-2**, Z is CH=CH, X is O and Y is O, then R^2 is other than $CH_2CH=CH_2$ or $CH_2C\equiv CH$; (c) when R^1 is CH_2CH_3, R^3 and R^4 are taken together to form carbocyclic ring **R-2**, Z is CH=CH, X is O and Y is O, then R^2 is other than $CH_2(CH_2)_3CH_3$; and (d) when R^3 is H, R^2 and R^4 are both cyclohexyl, X is O and Y is O, then R^1 is other than CH_3.

Embodiment 71. A compound of Embodiment 70 wherein X is O.

Embodiment 72. A compound of Embodiment 70 wherein Y is O.

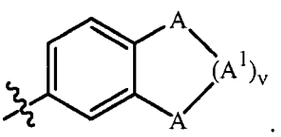
Embodiment 73. A compound of Embodiment 70 wherein R^1 is phenyl, naphthalenyl or a 5- or 6-membered heteroaromatic ring, each optionally substituted with 1 to 3 substituents independently selected from the group consisting of halogen, cyano, nitro, C_1–C_4 alkyl, C_2–C_4 alkenyl, C_2–C_4 alkynyl, C_1–C_4 haloalkyl, C_2–C_4 alkylcarbonyl, C_2–C_4 haloalkylcarbonyl, C_2–C_4 alkoxycarbonyl, C_2–C_4 alkylaminocarbonyl, C_3–C_7 dialkylaminocarbonyl, C_1–C_4 alkoxy, C_1–C_4

haloalkoxy, C_2–C_6 alkoxyalkyl, $S(O)_nR^{12}$, C_1–C_4 alkylamino and C_2–C_6 dialkylamino.

Embodiment 74. A compound of Embodiment 73 wherein R^1 is phenyl optionally substituted with 1 or 2 substituents independently selected from the group consisting of halogen, cyano, C_1–C_2 haloalkyl, C_1–C_2 alkoxy and C_1–C_2 haloalkoxy.

Embodiment 75. A compound of Embodiment 73 wherein R^1 is 2-, 3- or 4-pyridinyl optionally substituted with halogen, cyano, C_1–C_2 haloalkyl, C_1–C_2 alkoxy and C_1–C_2 haloalkoxy.

Embodiment 76. A compound of Embodiment 70 wherein R^1 is



Embodiment 77. A compound of Formula **1s** wherein R^2 is C_2–C_6 alkyl, C_1–C_6 haloalkyl, C_3–C_6 alkenyl or C_3–C_6 haloalkenyl.

Embodiment 78. A compound of Embodiment 70 wherein R^2 is CH_2CF_3 or CR^5R^6Q.

Embodiment 79. A compound of Embodiment 78 wherein R^2 is CR^5R^6Q.

Embodiment 80. A compound of Embodiment 78 wherein R^2 is CH_2CF_3.

Embodiment 81. A compound of Embodiment 70 or Embodiment 79 wherein R^5 is H or CH_3.

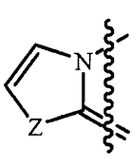
Embodiment 82. A compound of Embodiment 70 or Embodiment 79 wherein R^6 is H.

Embodiment 83. A compound of Embodiment 70 wherein Q is **Q-1a**, **Q-2a**, **Q-3a**, **Q-4a** or **Q-5a**.

Embodiment 84. A compound of Embodiment 83 wherein Q is **Q-1a** or **Q-5a**.

Embodiment 85. A compound of Embodiment 70 wherein R^9 is F or Cl.

Embodiment 86. A compound of Embodiment 70 wherein R^3 and R^4 are taken together with the contiguous linking nitrogen and carbon atoms to form an optionally substituted aromatic ring **R-2**



R-2

Embodiment 87. A compound of Embodiment 70 or Embodiment 86 wherein Z is CH=CH.

Of note are compounds of Formulae **1**, **1r** and **1s** or any one of Embodiments 1–87 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 1–87 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 Formulae **1**, **1r** and **1s** but also to the starting compounds and intermediate compounds useful for preparing the compounds of Formulae **1**, **1r** and **1s**. In addition, embodiments of this invention, including Embodiments 1–87 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 1–50 are illustrated by:

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

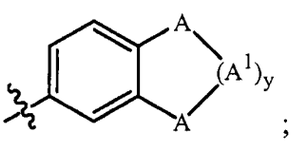
X is O;

Y is O;

R^1 is H or halogen; or

R^1 is phenyl or a 6-membered heteroaromatic ring, each optionally substituted with 1 to 3 substituents independently selected from the group consisting of halogen, cyano, nitro, C_1–C_4 alkyl, C_2–C_4 alkenyl, C_2–C_4 alkynyl, C_1–C_4 haloalkyl, C_2–C_4 haloalkenyl, C_2–C_4 alkylcarbonyl, C_2–C_4 haloalkylcarbonyl, C_2–C_4 alkoxycarbonyl, C_2–C_4 alkylaminocarbonyl, C_3–C_7 dialkylaminocarbonyl, $C(O)N(-CH_2Z^2CH_2-)$, C_1–C_4 alkoxy, C_1–C_4 haloalkoxy, C_2–C_6 alkoxyalkyl, $S(O)_nR^{12}$, $S(O)_2R^{13}$, C_1–C_4 alkylamino, C_2–C_6 dialkylamino, SF_5, $Si(CH_3)_3$, CHO, hydroxy, $OC(O)R^{19}$ and $N(R^{20})C(O)R^{19}$; or

R^1 is



; or

R^1 is $C(X^1)R^{18}$ or $C(=NOR^{23})R^{18}$; or

R^1 is an 8- to 10-membered heteroaromatic bicyclic ring system optionally substituted on carbon ring members with up to 3 substituents independently selected from the group consisting of halogen, cyano, nitro, SF_5, C_1–C_4 alkyl, C_2–C_4 alkenyl, C_2–C_4 alkynyl, C_1–C_4 haloalkyl, C_2–C_4 alkylcarbonyl, C_2–C_4 haloalkylcarbonyl, C_2–C_4 alkoxycarbonyl, C_2–C_4 alkylaminocarbonyl, C_3–C_7 dialkylaminocarbonyl, $C(O)N(-CH_2Z^2CH_2-)$, C_1–C_4 alkoxy, C_1–C_4 haloalkoxy, C_2–C_6 alkoxyalkyl, $S(O)_nR^{12}$, $S(O)_2R^{13}$, C_1–C_4 alkylamino, C_2–C_6 dialkylamino, $Si(CH_3)_3$, CHO, hydroxy, $OC(O)R^{19}$ and $N(R^{20})C(O)R^{19}$, and optionally substituted on nitrogen ring members with methyl; or

R^1 is phenyl or a 5- or 6-membered heteroaromatic ring, each substituted with GQ^1, each optionally substituted with 1 Q^2 and each optionally substituted with 1 or 2 substituents independently selected from the group consisting of halogen, cyano, nitro, SF_5, C_1–C_4 alkyl, C_2–C_4 alkenyl, C_2–C_4 alkynyl, C_1–C_4 haloalkyl, C_2–C_4 alkylcarbonyl, C_2–C_4 haloalkylcarbonyl, C_2–C_4 alkoxycarbonyl, C_2–C_4 alkylaminocarbonyl, C_3–C_7 dialkylaminocarbonyl, $C(O)N(-CH_2Z^2CH_2-)$, C_1–C_4 alkoxy, C_1–C_4 haloalkoxy, C_2–C_6 alkoxyalkyl, $S(O)_nR^{12}$, $S(O)_2R^{13}$, C_1–C_4 alkylamino, C_2–C_6 dialkylamino, $Si(CH_3)_3$, CHO, hydroxy, $OC(O)R^{19}$ and $N(R^{20})C(O)R^{19}$;

G is a direct bond;

Q^1 is phenyl or a 5- or 6-membered heteroaromatic ring, each optionally substituted with 1 to 3 substituents independently selected from the group consisting of halogen, cyano, nitro, C_1–C_4 alkyl, C_2–C_4 alkenyl, C_2–C_4 alkynyl, C_1–C_4 haloalkyl, C_2–C_4 alkylcarbonyl, C_2–C_4 haloalkylcarbonyl, C_2–C_4 alkoxycarbonyl, C_2–C_4 alkylaminocarbonyl, C_3–C_7 dialkylaminocarbonyl, $C(O)N(-CH_2Z^2CH_2-)$, C_1–C_4 alkoxy, C_1–C_4 haloalkoxy, C_2–C_6 alkoxyalkyl, $S(O)_nR^{12}$, $S(O)_2R^{13}$, C_1–C_4 alkylamino, C_2–C_6 dialkylamino, SF_5, $Si(CH_3)_3$, CHO, hydroxy, $OC(O)R^{19}$ and $N(R^{20})C(O)R^{19}$;

R^2 is C_2–C_6 alkyl, C_1–C_6 haloalkyl, $CH_2CO_2R^{21}$, $CR^5R^6CH_2OR^{21}$, $CR^5R^6CH_2CH_2OR^{21}$, $CR^5R^6CH_2S(O)_nR^{21}$ or $CR^5R^6CH_2CH_2S(O)_nR^{21}$; or

R^2 is C_3–C_6 cycloalkyl or C_4–C_7 cycloalkylalkyl, each optionally substituted with 1 to 4 substituents selected from the group consisting of halogen, C_1–C_2 alkyl, 1 cyclopropyl and 1 CF_3; or

R^2 is CR^5R^6Q;

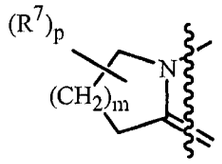
R^3 is H, C_1–C_6 alkyl, C_1–C_6 haloalkyl, C_2–C_6 alkenyl, C_2–C_6 haloalkenyl, C_2–C_6 alkynyl, C_2–C_6 haloalkynyl or $C{\equiv}CR^{10}$; or

R^3 is C_3–C_6 cycloalkyl or C_4–C_7 cycloalkylalkyl, each optionally substituted with 1 to 4 substituents independently selected from the group consisting of halogen, C_1–C_2 alkyl, 1 cyclopropyl and 1 CF_3;

R^4 is C_1–C_6 alkyl, C_1–C_6 haloalkyl, C_2–C_6 alkenyl, C_2–C_6 haloalkenyl, C_2–C_6 alkynyl, C_2–C_6 haloalkynyl or $C{\equiv}CR^{10}$; or

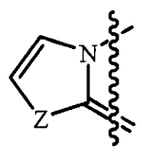
R^4 is C_3–C_6 cycloalkyl or C_4–C_7 cycloalkylalkyl, each optionally substituted with 1 to 4 substituents independently selected from the group consisting of halogen, C_1–C_2 alkyl, 1 cyclopropyl and 1 CF_3; or

R^3 and R^4 are taken together with the contiguous linking nitrogen and carbon atoms to form an optionally substituted ring **R-1**



R-1

or ring **R-2**



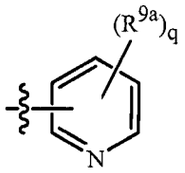
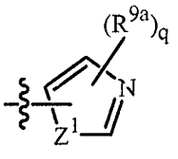
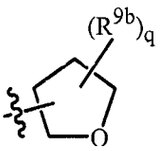
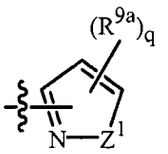
R-2 ;

Z is $C(R^{8a})=C(R^{8b})$ or S, provided that the $C(R^{8a})=C(R^{8b})$ moiety is oriented so the carbon atom bonded to R^{8b} is connected as R^3 in Formula **1**;

each R^5 is independently H, F, Cl, cyano or C_1–C_4 alkyl;

each R^6 is independently H, F, Cl or CH_3; and

Q is


,

,

or

.

Q-1 Q-5 Q-6 Q-9

Embodiment B. A compound of Embodiment A wherein

X is O; and

Y is O.

Embodiment C. A compound of Embodiment B wherein

R^3 is C_1–C_6 alkyl or C_1–C_6 haloalkyl; and

R^4 is C_1–C_6 alkyl or C_1–C_6 haloalkyl.

Embodiment D. A compound of Embodiment C wherein

R^3 is CH_3; and

R^4 is CH_3.

Embodiment E. A compound of Embodiment B wherein

R^3 and R^4 are taken together with the contiguous linking nitrogen and carbon atoms to form an optionally substituted ring **R-1**;

m is 2 or 3; and

p is 0.

Embodiment F. A compound of Embodiment B wherein

R^3 and R^4 are taken together with the contiguous linking nitrogen and carbon atoms to form an optionally substituted ring **R-2**; and

Z is CH=CH or CH=CF, provided that the CH=CF moiety is oriented so the carbon atom bonded to F is connected as R^3 in Formula **1**.

Embodiment G. A compound of Embodiment B wherein

R^3 and R^4 are taken together with the contiguous linking nitrogen and carbon atoms to form an optionally substituted ring **R-2**; and

Z is S.

Embodiment H. A compound of any one of Embodiments C–G wherein

R^1 is H or halogen.

Embodiment I. A compound of any one of Embodiments C–G wherein

R^1 is phenyl or pyridinyl, each optionally substituted with 1 to 3 substituents independently selected from the group consisting of halogen, cyano, nitro, C_1–C_4 alkyl, C_2–C_4 alkenyl, C_2–C_4 alkynyl, C_1–C_4 haloalkyl, C_2–C_4 haloalkenyl, C_2–C_4 alkylcarbonyl, C_2–C_4 haloalkylcarbonyl, C_2–C_4 alkoxycarbonyl, C_2–C_4 alkylaminocarbonyl, C_3–C_7 dialkylaminocarbonyl, $C(O)N(-CH_2Z^2CH_2-)$-, C_1–C_4 alkoxy, C_1–C_4 haloalkoxy, C_2–C_6 alkoxyalkyl, $S(O)_nR^{12}$, $S(O)_2R^{13}$, C_1–C_4 alkylamino, C_2–C_6 dialkylamino, SF_5, $Si(CH_3)_3$, CHO, hydroxy, $OC(O)R^{19}$ and $N(R^{20})C(O)R^{19}$.

Embodiment J. A compound of Embodiment I wherein

R^1 is phenyl or pyridinyl, each optionally substituted with 1 to 3 substituents independently selected from the group consisting of halogen, cyano, C_1–C_4 alkyl, C_1–C_4 haloalkyl, C_2–C_4 alkylcarbonyl, C_2–C_4 alkoxycarbonyl, C_2–C_4 alkylaminocarbonyl, C_3–C_7 dialkylaminocarbonyl, $C(O)N(-CH_2Z^2CH_2-)$-, C_1–C_4 alkoxy, C_1–C_4 haloalkoxy, C_2–C_6 alkoxyalkyl, $S(O)_nR^{12}$ and $S(O)_2R^{13}$.

Embodiment K. A compound of any one of Embodiments C–G wherein

R^1 is $C(X^1)R^{18}$ or $C(=NOR^{23})R^{18}$; and

X^1 is O.

Embodiment L. A compound of any one of Embodiments C–G wherein

R^1 is phenyl or pyridinyl, each substituted with GQ^1 and further optionally substituted with 1 or 2 substituents independently selected from the group consisting of halogen, cyano, nitro, SF_5, C_1–C_4 alkyl, C_2–C_4 alkenyl, C_2–C_4 alkynyl, C_1–C_4 haloalkyl, C_2–C_4 alkylcarbonyl, C_2–C_4 haloalkylcarbonyl, C_2–C_4 alkoxycarbonyl, C_2–C_4 alkylaminocarbonyl, C_3–C_7 dialkylaminocarbonyl, $C(O)N(-CH_2Z^2CH_2-)$-, C_1–C_4 alkoxy, C_1–C_4 haloalkoxy, C_2–C_6 alkoxyalkyl, $S(O)_nR^{12}$, $S(O)_2R^{13}$, C_1–C_4 alkylamino, C_2–C_6 dialkylamino, $Si(CH_3)_3$, CHO, hydroxy, $OC(O)R^{19}$ and $N(R^{20})C(O)R^{19}$.

Embodiment M. A compound of Embodiment L wherein

R^1 is phenyl or pyridinyl, each substituted with GQ^1 and further optionally substituted with 1 or 2 substituents independently selected from the group consisting of halogen, cyano, SF_5, C_1–C_4 alkyl, C_1–C_4 haloalkyl, C_2–C_4 alkylcarbonyl, C_2–C_4 alkoxycarbonyl, C_2–C_4 alkylaminocarbonyl, C_3–C_7 dialkylaminocarbonyl, $C(O)N(-CH_2Z^2CH_2-)$, C_1–C_4 alkoxy, C_1–C_4 haloalkoxy, C_2–C_6 alkoxyalkyl, $S(O)_nR^{12}$ and $S(O)_2R^{13}$; and

Q^1 is phenyl or pyridinyl, each optionally substituted with 1 to 3 substituents independently selected from the group consisting of halogen, cyano, C_1–C_4 alkyl, C_1–C_4 haloalkyl, C_2–C_4 alkylcarbonyl, C_2–C_4 alkoxycarbonyl, C_2–C_4 alkylaminocarbonyl, C_3–C_7 dialkylaminocarbonyl, $C(O)N(-CH_2Z^2CH_2-)$, C_1–C_4 alkoxy, C_1–C_4 haloalkoxy, C_2–C_6 alkoxyalkyl, $S(O)_nR^{12}$ and $S(O)_2R^{13}$.

Embodiment N. A compound of any one of Embodiments C–G wherein

R^2 is C_2–C_6 alkyl, C_1–C_6 haloalkyl or $CR^5R^6CH_2OR^{21}$; or

R^2 is C_4–C_7 cycloalkylalkyl optionally substituted with 1 to 4 substituents selected from the group consisting of halogen, C_1–C_2 alkyl, 1 cyclopropyl and 1 CF_3.

Embodiment O. A compound of any one of Embodiments C–G wherein

R^2 is CR^5R^6Q.

Embodiment P. A compound of Embodiment O wherein

Q is **Q-1**, **Q-5**, **Q-6** or **Q-9**;

R^5 is H or methyl;

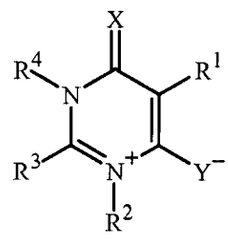
R^6 is H;

each R^{9a} is independently H, halogen, cyano, C_1–C_4 alkyl, C_1–C_4 haloalkyl, C_1–C_4 alkoxy, C_1–C_4 haloalkoxy, SF_5 or $S(O)_nR^{12}$; or C_3–C_6 cycloalkyl or C_4–C_7 cycloalkylalkyl, each optionally substituted with 1 to 4 substituents selected from the group consisting of halogen, C_1–C_2 alkyl, 1 cyclopropyl and 1 CF_3; and

each R^{9b} is independently H, halogen, cyano, C_1–C_4 alkyl, C_1–C_4 haloalkyl, C_1–C_4 alkoxy or C_1–C_4 haloalkoxy.

Combinations of Embodiments 51–69 are illustrated by:

Embodiment A1. A compound of Formula **1r**



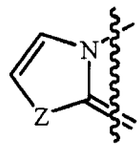
1r

wherein

X is O;

Y is O; and

R^3 and R^4 are taken together with the contiguous linking nitrogen and carbon atoms to form ring **R-2**

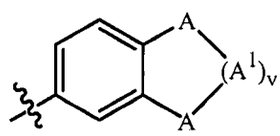


R-2

Embodiment B1. A compound of Embodiment A1 wherein

R^1 is phenyl, naphthalenyl or a 5- or 6-membered heteroaromatic ring, each optionally substituted with 1 to 3 substituents independently selected from the group consisting of halogen, cyano, nitro, C_1–C_4 alkyl, C_2–C_4 alkenyl, C_2–C_4 alkynyl, C_1–C_4 haloalkyl, C_2–C_4 alkylcarbonyl, C_2–C_4 haloalkylcarbonyl, C_2–C_4 alkoxycarbonyl, C_2–C_4 alkylaminocarbonyl, C_3–C_7 dialkylaminocarbonyl, C_1–C_4 alkoxy, C_1–C_4 haloalkoxy, C_2–C_6 alkoxyalkyl, $S(O)_nR^{12}$, C_1–C_4 alkylamino and C_2–C_6 dialkylamino; or

R^1 is



Embodiment C1. A compound of Embodiment B1 wherein

R^2 is C_2–C_6 alkyl, C_1–C_6 haloalkyl, C_3–C_6 alkenyl or C_3–C_6 haloalkenyl; or

R^2 is CR^5R^6Q; and

Q is **Q-1a**, **Q-2a**, **Q-3a**, **Q-4a** or **Q-5a**.

Embodiment D1. A compound of Embodiment C1 wherein

Z is CH=CH;

R^1 is phenyl optionally substituted with 1 or 2 substituents independently selected from the group consisting of halogen, cyano, C_1–C_2 haloalkyl, C_1–C_2 alkoxy and C_1–C_2 haloalkoxy;

R^2 is CH_2CF_3 or CR^5R^6Q;

R^5 is H or CH_3;

Q is **Q-1a** or **Q-5a**;

R^6 is H;

R^9 is F or Cl; and

r is 1.

Embodiment E1. A compound of Embodiment C1 wherein

Z is S;

R^1 is phenyl optionally substituted with 1 or 2 substituents independently selected from the group consisting of halogen, cyano, C_1–C_2 haloalkyl, C_1–C_2 alkoxy and C_1–C_2 haloalkoxy;

R^2 is CH_2CF_3 or CR^5R^6Q;

R^5 is H or CH_3;

Q is **Q-1a** or **Q-5a**;

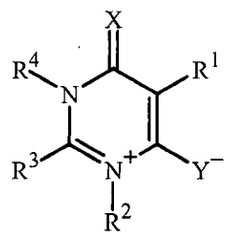
R^6 is H;

R^9 is F or Cl; and

r is 1.

Combinations of Embodiments 70–87 are illustrated by:

Embodiment A2. A compound of Formula **1s**



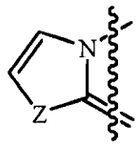
1s

wherein

X is O;

Y is O; and

R^3 and R^4 are taken together with the contiguous linking nitrogen and carbon atoms to form an optionally substituted aromatic ring **R-2**



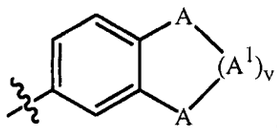
R-2

Embodiment B2. A compound of Embodiment A2 wherein

R^1 is phenyl, naphthalenyl or a 5- or 6-membered heteroaromatic ring, each optionally substituted with 1 to 3 substituents independently selected from the group consisting of halogen, cyano, nitro, C_1–C_4 alkyl, C_2–C_4 alkenyl, C_2–C_4 alkynyl, C_1–C_4 haloalkyl, C_2–C_4 alkylcarbonyl, C_2–C_4 haloalkylcarbonyl, C_2–C_4 alkoxycarbonyl, C_2–C_4 alkylaminocarbonyl, C_3–C_7 dialkylaminocarbonyl, C_1–

C_4 alkoxy, C_1–C_4 haloalkoxy, C_2–C_6 alkoxyalkyl, $S(O)_nR^{12}$, C_1–C_4 alkylamino and C_2–C_6 dialkylamino; or

R^1 is



Embodiment C2. A compound of Embodiment B2 wherein

R^2 is C_2–C_6 alkyl, C_1–C_6 haloalkyl, C_3–C_6 alkenyl or C_3–C_6 haloalkenyl; or

R^2 is CR^5R^6Q; and

Q is **Q-1a**, **Q-2a**, **Q-3a**, **Q-4a** or **Q-5a**.

Embodiment D2. A compound of Embodiment C2 wherein

Z is CH=CH;

R^1 is phenyl optionally substituted with 1 or 2 substituents independently selected from the group consisting of halogen, cyano, C_1–C_2 haloalkyl, C_1–C_2 alkoxy and C_1–C_2 haloalkoxy;

R^2 is CH_2CF_3 or CR^5R^6Q;

R^5 is H or CH_3;

Q is **Q-1a** or **Q-5a**;

R^6 is H;

R^9 is F or Cl; and

r is 1.

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

3-(2,4-difluorophenyl)-2-hydroxy-4-oxo-1-(2,2,2-trifluoroethyl)-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;

3-(4-fluorophenyl)-2-hydroxy-4-oxo-1-(2,2,2-trifluoroethyl)-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;

1-[(6-chloro-3-pyridinyl)methyl]-3-(2,4-difluorophenyl)-2-hydroxy-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;

1-[(6-chloro-3-pyridinyl)methyl]-3-(4-fluorophenyl)-2-hydroxy-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;

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

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

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]-3-(4-fluorophenyl)-2-hydroxy-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*-pyrido[1,2-*a*]pyrimidinium inner salt;
1-[(2-chloro-5-thiazolyl)methyl]-3-(2,4-difluorophenyl)-2-hydroxy-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
1-[(2-chloro-5-thiazolyl)methyl]-2-hydroxy-3-(4-methoxyphenyl)-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
1-[(2-chloro-5-thiazolyl)methyl]-2-hydroxy-3-(3-methoxyphenyl)-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
1-[(6-fluoro-3-pyridinyl)methyl]-3-(4-fluorophenyl)-2-hydroxy-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
3-(3-bromophenyl)-1-[(2-chloro-5-thiazolyl)methyl]-2-hydroxy-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
3-(3-bromophenyl)-1-[(6-chloro-3-pyridinyl)methyl]-2-hydroxy-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
1-[(6-chloro-3-pyridinyl)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-4-oxo-3-[4-(trifluoromethyl)-2-pyridinyl]-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
1-[(2-chloro-5-thiazolyl)methyl]-3-(2-cyanophenyl)-2-hydroxy-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
8-[(6-chloro-3-pyridinyl)methyl]-7-hydroxy-5-oxo-6-phenyl-5*H*-thiazolo[3,2-*a*]pyrimidinium inner salt;
8-[(6-chloro-3-pyridinyl)methyl]-6-(4-fluorophenyl)-7-hydroxy-5-oxo-5*H*-thiazolo[3,2-*a*]pyrimidinium inner salt;
8-[(6-chloro-3-pyridinyl)methyl]-7-hydroxy-5-oxo-6-[3-(trifluoromethoxy)phenyl]-5*H*-thiazolo[3,2-*a*]pyrimidinium inner salt;
8-[(2-chloro-5-thiazolyl)methyl]-7-hydroxy-5-oxo-6-phenyl-5*H*-thiazolo[3,2-*a*]pyrimidinium inner salt;
8-[(2-chloro-5-thiazolyl)methyl]-6-(4-fluorophenyl)-7-hydroxy-5-oxo-5*H*-thiazolo[3,2-*a*]pyrimidinium inner salt;
8-[(2-chloro-5-thiazolyl)methyl]-7-hydroxy-5-oxo-6-[3-(trifluoromethoxy)phenyl]-5*H*-thiazolo[3,2-*a*]pyrimidinium inner salt;
3-[3-(6-chloro-3-pyridinyl)phenyl]-1-[(2-chloro-5-thiazolyl)methyl]-2-hydroxy-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
1-[(6-fluoro-3-pyridinyl)methyl]-2-hydroxy-4-oxo-3-[3-(trifluoromethoxy)phenyl]-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;

3-(5-chloro-2-fluorophenyl)-1-[(2-chloro-5-thiazolyl)methyl]-2-hydroxy-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
1-[(2-chloro-5-thiazolyl)methyl]-3-[2-chloro-5-(trifluoromethoxy)phenyl]-2-hydroxy-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
3-(4-fluorophenyl)-2-hydroxy-1-[(1-methyl-1*H*-pyrazol-4-yl)methyl]-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
2-hydroxy-1-[(1-methyl-1*H*-pyrazol-4-yl)methyl]-4-oxo-3-phenyl-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
1-[(2-chloro-5-thiazolyl)methyl]-3-(3,5-dimethoxyphenyl)-2-hydroxy-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
1-[(2-chloro-5-thiazolyl)methyl]-3-[2-fluoro-5-(trifluoromethoxy)phenyl]-2-hydroxy-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
3-(2-chloro-4-pyridinyl)-1-[(2-chloro-5-thiazolyl)methyl]-2-hydroxy-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
1-[(2-chloro-5-thiazolyl)methyl]-3-(2-fluoro-5-bromophenyl)-2-hydroxy-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
1-[(2-chloro-5-thiazolyl)methyl]-2-hydroxy-4-oxo-3-(2,4,5-trifluorophenyl)-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
3-[3-bromo-5-(trifluoromethoxy)phenyl]-1-[(2-chloro-5-thiazolyl)methyl]-2-hydroxy-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-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
1-[(2-chloro-5-thiazolyl)methyl]-3-[2-fluoro-5-(trifluoromethyl)phenyl]-2-hydroxy-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
1-[(2-chloro-5-thiazolyl)methyl]-2-hydroxy-3-(2-methoxyphenyl)-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
1-[(2-chloro-5-thiazolyl)methyl]-3-(2-fluorophenyl)-2-hydroxy-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
1-[(6-chloro-3-pyridinyl)methyl]-3-(2-fluorophenyl)-2-hydroxy-4-oxo-5*H*-thiazolo[3,2-*a*]pyrimidinium inner salt;
2-hydroxy-4-oxo-3-phenyl-1-(2,2,2-trifluoroethyl)-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
3-[(6-chloro-3-pyridinyl)methyl]-5-(4-fluorophenyl)-3,6-dihydro-4-hydroxy-1,2-dimethyl-6-oxopyrimidinium inner salt;
1-[(2-chloro-5-thiazolyl)methyl]-3-[3-(6-fluoro-3-pyridinyl)-5-(trifluoromethyl)phenyl]-2-hydroxy-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
1-[1-(6-chloro-3-pyridinyl)ethyl]-3-(4-fluorophenyl)-2-hydroxy-4-oxo-4*H*-

pyrido[1,2-*a*]pyrimidinium inner salt;
1-[(2-chloro-5-thiazolyl)methyl]-3-(ethoxycarbonyl)-2-hydroxy-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
3-benzoyl-1-[(2-chloro-5-thiazolyl)methyl]-2-hydroxy-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
3-(2,4-difluorophenyl)-1-[(6-fluoro-3-pyridinyl)methyl]-2-hydroxy-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
1-[(6-chloro-3-pyridinyl)methyl]-2-hydroxy-3-(3-methoxyphenyl)-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
1-[(6-chloro-3-pyridinyl)methyl]-3-(2,3-difluorophenyl)-2-hydroxy-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
1-[(6-chloro-3-pyridinyl)methyl]-3-(2-fluoro-3-methoxyphenyl)-2-hydroxy-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
3-(3,5-dimethoxyphenyl)-1-[(6-fluoro-3-pyridinyl)methyl]-2-hydroxy-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
1-[(6-fluoro-3-pyridinyl)methyl]-2-hydroxy-4-oxo-3-[3-(trifluoromethyl)phenyl]-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
3-(4-fluorophenyl)-2-hydroxy-1-[(2-methyl-5-thiazolyl)methyl]-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
2-hydroxy-4-oxo-3-phenyl-1-[(5-thiazolyl)methyl]-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
3-(4-fluorophenyl)-2-hydroxy-4-oxo-1-[(5-thiazolyl)methyl]-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
3-(2-fluorophenyl)-1-[(6-fluoro-3-pyridinyl)methyl]-2-hydroxy-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
1-[(6-chloro-3-pyridinyl)methyl]-3-[2-chloro-5-(trifluoromethyl)phenyl]-2-hydroxy-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
3-(2-fluoro-4-cyanophenyl)-1-[(6-fluoro-3-pyridinyl)methyl]-2-hydroxy-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
1-[(6-fluoro-3-pyridinyl)methyl]-3-[2-fluoro-5-(trifluoromethyl)phenyl]-2-hydroxy-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt; and
1-[(2-chloro-5-thiazolyl)methyl]-2-hydroxy-4-oxo-3-[3-(6-trifluoromethyl-3-pyridinyl)phenyl]-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt.

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 biologically effective amount of 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 a biologically effective amount of at least one additional biologically active compound or agent.

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 biologically effective amount of a compound 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 biologically effective amount of a compound 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.

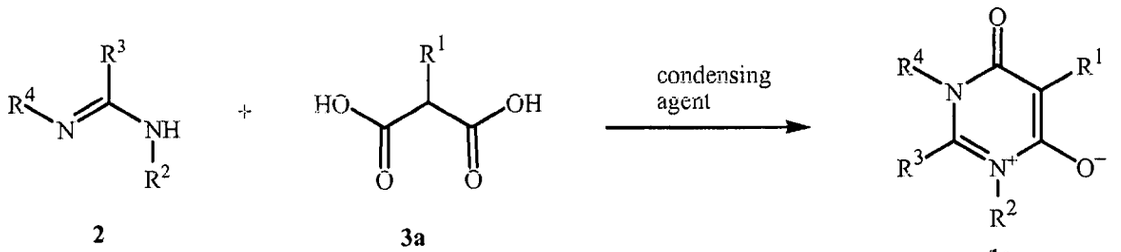
This invention also relates to such methods wherein the invertebrate pest or its environment is contacted with a composition comprising a biologically effective amount of a compound of Formula **1**, an *N*-oxide or a salt thereof, and at least one additional component selected from the group consisting 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 1–19 can be used to prepare the compounds of Formula **1**. The definitions of R^1, R^2, R^3, R^4, R^9, R^{10}, R^{18}, R^{23}, X and Y in the compounds of Formulae **1–22** below are as defined above in the Summary of the Invention unless otherwise noted. Formulae **1a–1l** are various subsets of Formula **1**, and all substituents for Formulae **1a–1l** 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

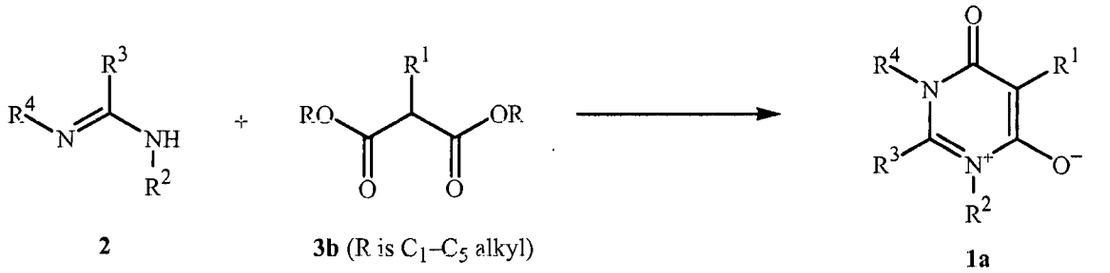


2 **3a** **1a**

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, preferably a C_1–C_4 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 min to several hours.

Compounds of the 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** can be prepared by arylation of malonate esters 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).

Scheme 2

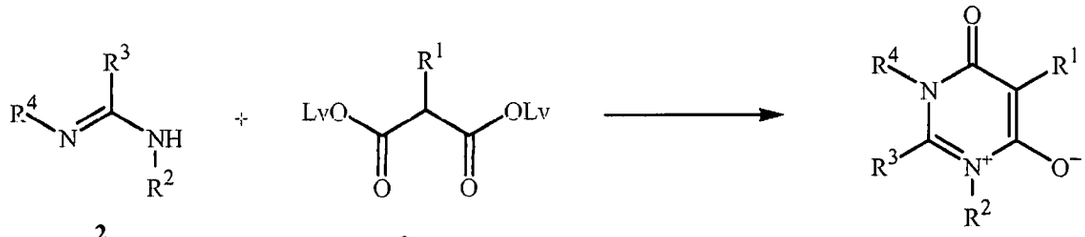


2 **3b** (R is C_1–C_5 alkyl) **1a**

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 2,4,6-trichlorophenyl, pentachlorophenyl or pentafluorophenyl as described in *Archiv der*

Pharmazie (Weinheim, Germany) **1991**, *324*, 863–6. 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 min to 2 h.

Scheme 3

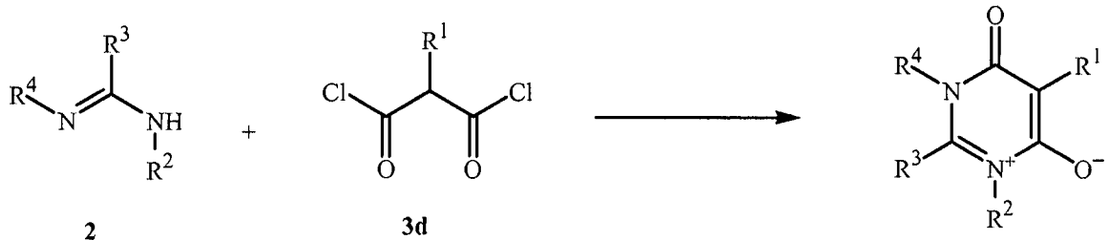


2 **3c** **1a**

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

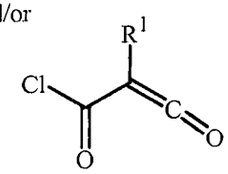
Compounds of Formula **1a** can also be prepared by condensation of compounds of Formula **2** with compounds of Formulas **3d** or **3e**, or by condensation of compounds of Formula **2** with mixtures of compounds of Formulae **3d** and **3e** as shown in Scheme 4. These reactions are typically performed 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), 222–33). Typical acid acceptors include, but are not limited to, triethylamine, *N*,*N*-diisopropylethylamine, pyridine and substituted pyridines.

Scheme 4



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

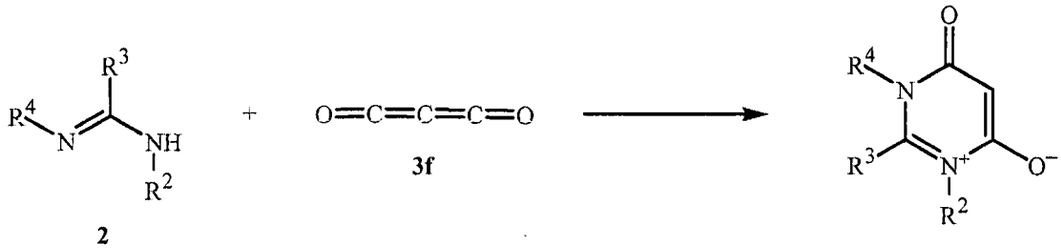
and/or



3e

Compounds of Formula **1b** (i.e. Formula **1a** wherein 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), 1422-5) 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 $AlCl_3$.

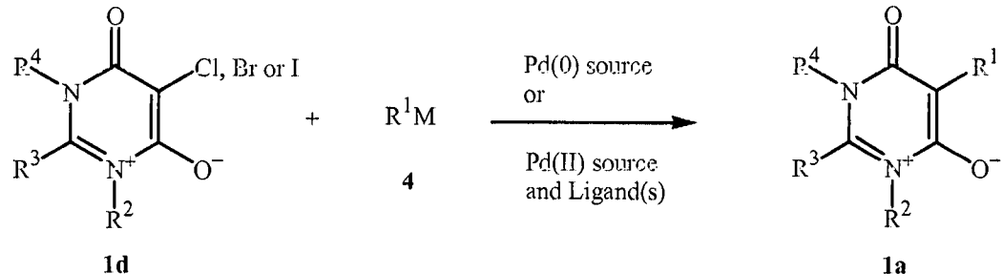
Scheme 5



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)*, 4315–21; Ife, R. et al. *European Journal of Medicinal Chemistry* **1989**, *24(3)*, 249–57; 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.

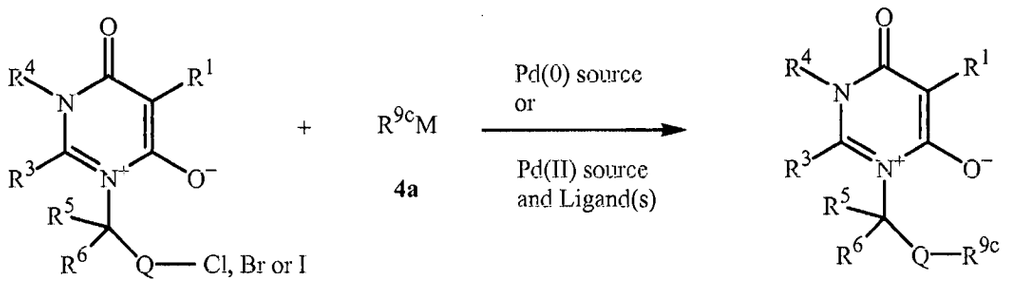
Compounds of Formula **1a** wherein R^1 is $CR^{24}=C(R^{24})R^{10}$, optionally substituted phenyl, naphthalenyl, a 5- or 6-membered heteroaromatic ring or an 8- to 10-membered heteroaromatic bicyclic ring system can be prepared from compounds of Formula **1d** (i.e. Formula **1** wherein R^1 is Cl, Br or I, preferably wherein R^1 is Br or I) and compounds of Formula **4** wherein M with R^1 forms a boronic acid, boronic acid ester or trifluoroborate salt, or M is trialkylstannyl or zinc and R^1 is $CR^{24}=C(R^{24})R^{10}$, optionally substituted phenyl, naphthalenyl, a 5- or 6-membered heteroaromatic ring or an 8- to 10-membered heteroaromatic bicyclic ring system as shown in Scheme 6.

Scheme 6



Compounds of Formula **1e** (i.e. Formula **1a** wherein R^2 is CR^5R^6Q, and Q is a heterocycle substituted with a phenyl or a 5- or 6-membered heteroaromatic ring) can be prepared from compounds of Formula **1f** and compounds of Formula **4a** wherein M with R^{9c} forms a boronic acid, boronic acid ester or trifluoroborate salt, or M is trialkylstannyl or zinc and R^{9c} is a phenyl or a 5- or 6-membered heteroaromatic ring as shown in Scheme 7.

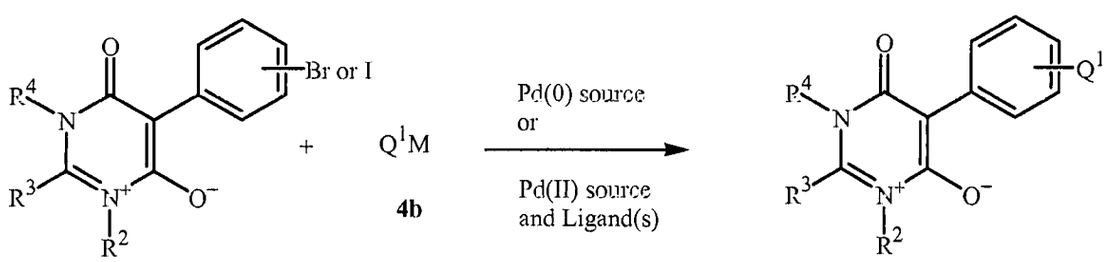
Scheme 7



1f **4a** **1e**

Compounds of Formula **1g** (i.e. Formula **1a** wherein R^1 is phenyl or pyridyl substituted with GQ^1 and G is a direct bond) can be prepared from compounds of Formula **1h** (i.e. Formula **1a** wherein R^1 is phenyl substituted with Br or I) and compounds of Formula **4b** wherein M with Q^1 forms a boronic acid, boronic acid ester or trifluoroborate salt, or M is trialkylstannyl or zinc, and Q^1 is a phenyl or 5- or 6-membered heteroaromatic ring) as shown in Scheme 8.

Scheme 8



1h **4b** **1g**

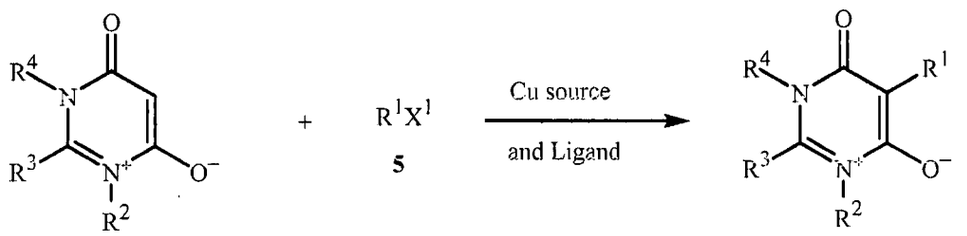
The reactions of Schemes 6, 7 and 8 are typically carried out in the presence of a palladium catalyst and a base optionally under an inert atmosphere. The palladium catalysts used for the reactions of Schemes 6, 7 and 8 typically comprises palladium in a formal oxidation state of either 0 (i.e. Pd(0)) 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 of Schemes 7, 8 and 9 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(dibenzylideneacetone)dipalladium(0)), and [1,1'-bis(diphenyl-

phosphino)ferrocene]dichloropalladium(II). The methods of Schemes 6, 7 and 8 are generally conducted in a liquid phase, and therefore to be most effective 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 methods of Schemes 6, 7 and 8 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 **1a** wherein R^1 is optionally substituted phenyl, naphthalenyl, a 5- or 6-membered heteroaromatic ring or an 8- to 10-membered heteroaromatic bicyclic ring system can be prepared from compounds of Formula **1b** (i.e. Formula **1a** wherein R^1 is H) and compounds of Formula **5** wherein X^1 is Cl, Br or I (preferably wherein X^1 is Br or I) as shown in Scheme 9.

Scheme 9



1b **1a**

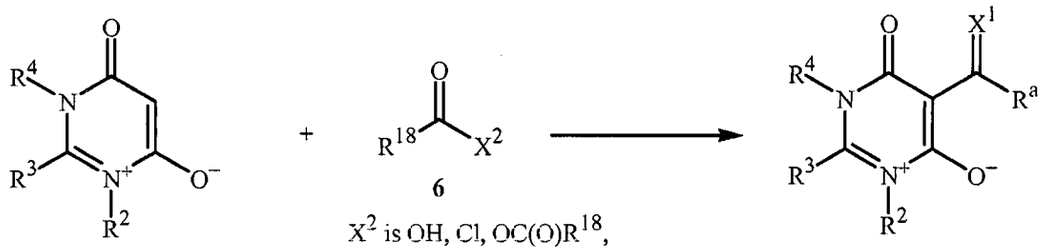
These reactions are typically carried out in the presence of a copper catalyst optionally under an inert atmosphere. The copper catalysts used for the present method typically comprise copper in metallic form (e.g., as a powder) or copper in a formal oxidation state of 1 (i.e. Cu(I)). Examples of copper-containing compounds useful as catalysts in the method of Scheme 9 include, but are not limited to, Cu, CuI, CuBr, CuCl. Useful solvents for the method of Scheme 9 include, for example, ethers such as 1,4-dioxane, amides such as *N,N*-dimethylacetamide and dimethyl sulfoxide.

The method of Scheme 9 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 9 can be conducted in the presence of a ligand. A wide variety of such 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.

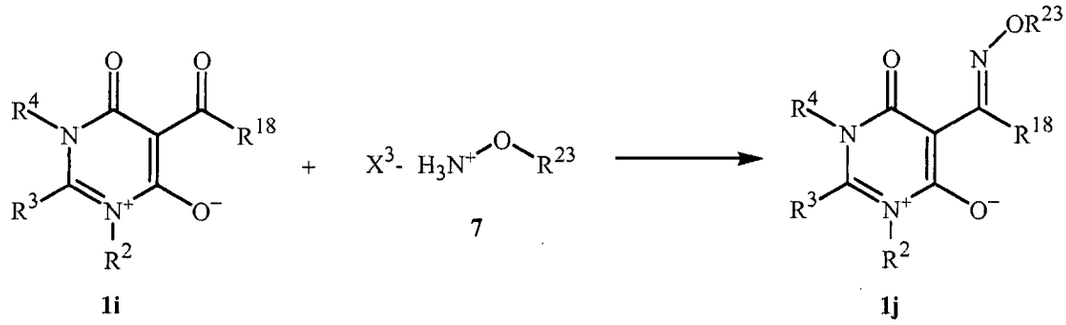
Compounds of Formula **1i** can be prepared from compounds of Formula **1b** by carbonylation with compounds of Formula **6** as shown in Scheme 10. Examples of carbonylation agents of Formula **6** useful in the method of Scheme 10 include, but are not limited to, aliphatic or aromatic carboxylic acids, acid anhydrides, acid 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 10



Compounds of the Formula **1j** can be prepared by reacting compounds of Formula **1i** with an alkoxyamine salt of the Formula **7**, where X^3 is a counterion such as halide or oxalate, as shown in Scheme 11. 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 11

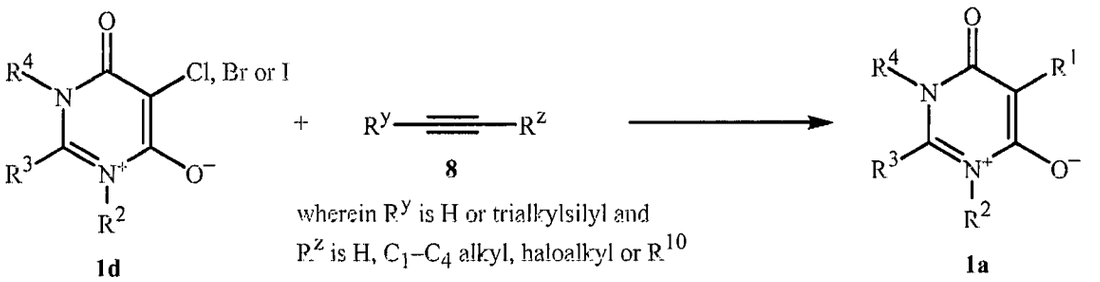


Compounds of Formula **1a** wherein R^1 is $C(=NNR^{20}R^{23})R^{18}$, $C(=NNR^{20}C(O)R^{23})R^{18}$, $C(=NNR^{20}C(O)OR^{23a})R^{18}$ or $C(=NNR^{20}C(O)NR^{20}R^{23})R^{18}$ can

be prepared from compounds of Formula **1i** and the appropriately substituted hydrazine by the method shown in Scheme 11.

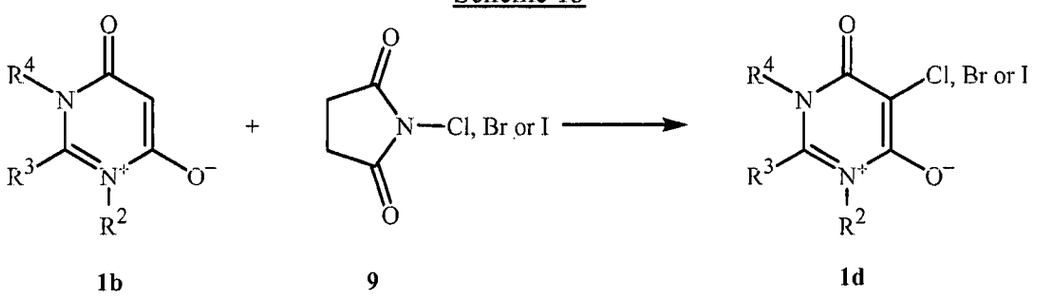
Compounds of Formula **1a** wherein R^1 is C_2–C_6 alkynyl, C_2–C_6 haloalkynyl or C≡CR^{10} can be prepared from compounds of Formula **1d** (i.e. Formula **1a** wherein R^1 is Cl, Br or I) and substituted alkynes of Formula **8** by a Sonigashira coupling reaction as shown in Scheme 12. Sonigashira 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 12



Compounds of Formula **1d** can be prepared from compounds of Formula **1b** by halogenation using, for example, liquid bromine or *N*-halosuccinimides (**9**) as shown in Scheme 13. 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.

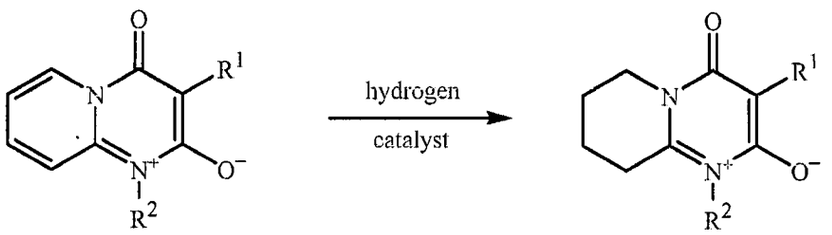
Scheme 13



Compounds of Formula **1k** (i.e. Formula **1a** wherein R^3 and R^4 are taken together to form an optionally substituted carbocyclic ring **R-1** wherein m is 2) can be prepared from compounds of Formula **1l** (i.e. Formula **1** wherein R^3 and R^4 are taken together to form an optionally substituted aromatic ring **R-2** wherein Z is CH=CH) by reduction using hydrogen in the presence of a platinum group metal or metal oxide catalyst as shown in Scheme 14. Typically the platinum group metal is platinum or palladium or their oxides and the reduction is performed in an inert solvent (see, for example, Kappe, Thomas, et al. *Heterocycles* **1995**, *40*, 681-9). Suitable solvents include, but are not limited to, methanol,

ethanol, tetrahydrofuran and methyl *t*-butyl ether. The reaction is typically performed at ambient temperature and at approximately 100 kPa of pressure.

Scheme 14

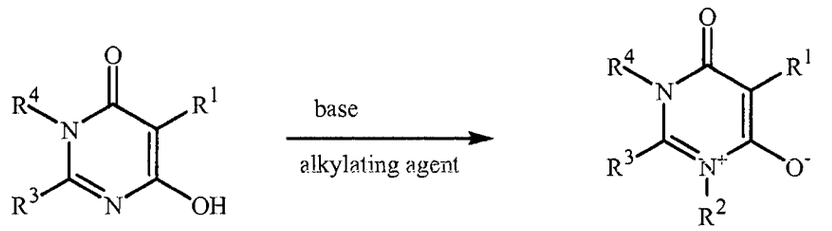


1l **1k**

Compounds of Formula **1l** can be prepared from compounds of Formula **2** (wherein R^3 and R^4 are taken together with the contiguous linking nitrogen and carbon atoms to form ring **R-1** and Z is CH=CH) by the methods shown in Schemes 1 through 5.

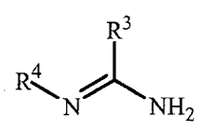
Compounds of Formula **1a** can also be prepared by alkylation of compounds of Formula **10** using appropriately substituted alkylating agents and bases such as potassium carbonate as shown in Scheme 15 (see, for example, Kappe, T. et al. *Monatschefte fur Chemie* **1971**, *102,* 412-424 and Urban, 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 15, and these bases and solvents are well known in the art.

Scheme 15



10 **1a**

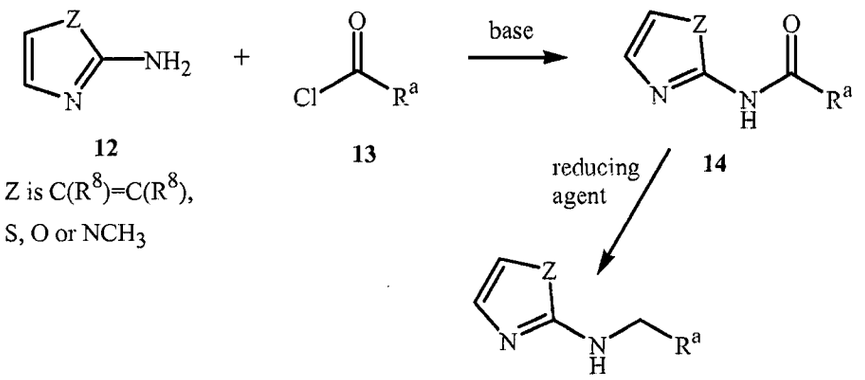
Compounds of Formula **10** can be prepared from compounds of Formula **2a** by methods analogous to those shown in Schemes 1 through 5. Compounds of Formula **2a** are commercially available or can be prepared by general methods well known in the art.



2a

One skilled in the art will appreciate that compounds of Formula **2** wherein R^3 and R^4 are taken together to form ring **R-2** and wherein R^a is the subset of R^2 substituents containing a terminal CH_2 group can be prepared by general methods well known in the art. For example, Scheme 16 illustrates a method wherein a compound of Formula **12** is acylated with a compound of Formula **13** in the presence of an appropriate base. The resulting intermediate of Formula **14** is reduced with an appropriate reagent such as diborane to provide the compound of Formula **11**.

Scheme 16



12

Z is $C(R^8)=C(R^8)$, S, O or NCH_3

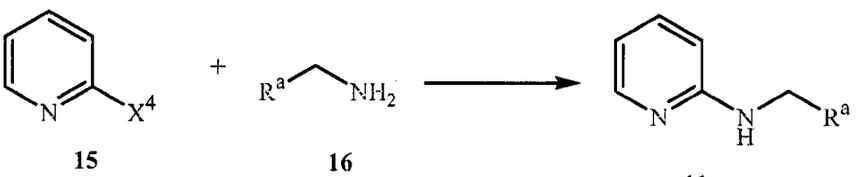
13

14

11

Compounds of Formula **11a** (compounds of Formula **11** where Z is CH=CH) can be prepared by direct displacement reaction of alpha-halopyridine compounds of Formula **15** by suitable amines of Formula **16** as shown in Scheme 17. Examples of alpha-halopyridine compounds useful in the method of Scheme 17 include, but are not limited to, 2-fluoropyridine and 2-chloropyridine. Examples of suitable amines include, but are not limited to, 2,2,2-trifluoroethylamine and 5-aminomethyl-2-chloropyridine. 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 250 °C, more typically at ambient temperature to 150 °C. Alternatively, the reaction can be performed in a sealed tube in a laboratory microwave reactor; typical reaction temperatures are from 200 to 240 °C. The hydrochloride salts of the compounds of Formula **15** are suitable starting materials for this method.

Scheme 17



15

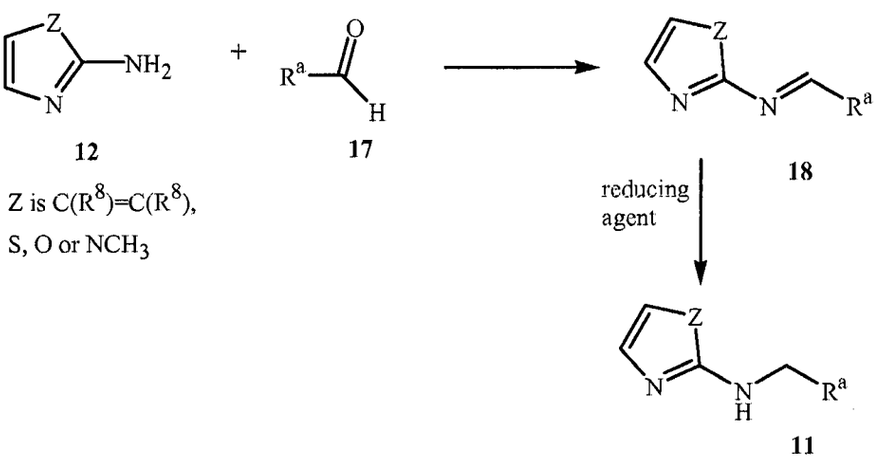
X^4 is halogen

16

11a

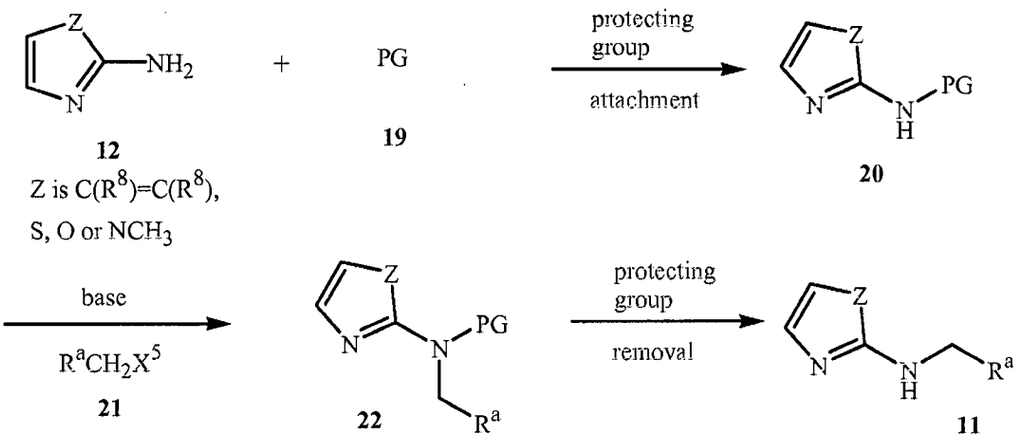
Compounds of Formula **11** can be prepared by reductive amination reaction between amines of Formula **12** and suitable aldehydes of Formula **17**. These reactions are either performed in one-pot or by stepwise reaction via the imine intermediate of Formula **18** as shown in Scheme 18. Examples of amine compounds useful in the method of Scheme 18 include, but are not limited to, 2-aminopyridine and 2-aminothiazole. Examples of suitable aldehydes in the method of Scheme 18 include, but are not limited to, 6-chloronicotinaldehyde. Examples of suitable reducing agents in the method of Scheme 18 include, but are limited to, sodium borohydride, zinc borohydride and sodium cyanoborohydride. General methods and procedures for reductive amination reactions are well known in the literature; see, for example, Abdel-Magid, et al. *J. Org. Chem.* **1996**, *61(11)*, 3849-3862.

Scheme 18



An alternative method for the preparation of compounds of Formula **11** is shown in Scheme 19. In the method of Scheme 19, compounds of Formula **12** are protected with suitable protecting groups such as, but not limited to, *tert*-butoxycarbonyl, acetyl or formyl to form the intermediate of Formula **20** wherein PG is a protecting group. The compound of Formula **20** is then alkylated with an appropriate reagent of Formula **21** (wherein R^a is the subset of R^2 substituents containing a terminal CH_2 group and X^5 is a leaving group such as a halogen) to give an intermediate of Formula **22**. The protecting group is removed to provide a compound of Formula **11**. 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).

Scheme 19



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 19 illustrate methods to prepare compounds of Formula **1** having a variety of substituents noted for R^1, R^2, R^3 and R^4. Compounds of Formula **1** having R^1, R^2, R^3 and R^4 substituents other than those particularly noted for Schemes 1 through 19 can be prepared by general methods known in the art of synthetic organic chemistry, including methods analogous to those described for Schemes 1 to 19.

Examples of intermediates useful in the preparation of compounds of this invention are shown in Tables I-1 through I-19. The following abbreviations are used in the Tables which follow: Me means methyl, Et means ethyl and C(O)O(2,4,6-trichlorophenyl) means

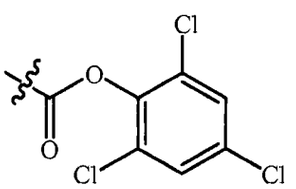
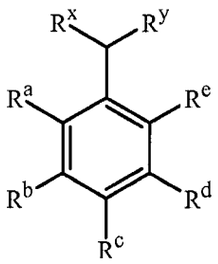
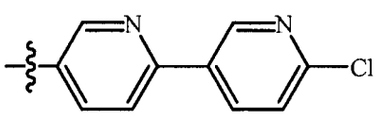


TABLE I-1



R^x is C(O)OH and R^y is H

R^a	R^b	R^c	R^d	R^e
H	H	H	H	H
F	H	H	H	H
H	F	H	H	H
H	H	F	H	H
OMe	H	H	H	H
H	OMe	H	H	H
H	H	OMe	H	H
F	F	H	H	H
F	H	F	H	H
F	H	H	F	H
F	H	H	H	F
Cl	H	H	H	H
H	Cl	H	H	H
H	H	Cl	H	H
H	Br	H	H	H
H	I	H	H	H
H	Cl	H	Cl	H
H	Cl	H	Br	H
H	Cl	H	OCF_3	H
H	Cl	H	CF_3	H
H	Br	H	Br	H
H	Br	H	OCF_3	H
H	Br	H	CF_3	H
H	H	cyano	H	H
F	H	cyano	H	H
H	OCF_3	H	H	H
H	CF_3	H	H	H
H	SCF_3	H	H	H

R^a	R^b	R^c	R^d	R^e
F	H	H	Cl	H
F	H	H	Br	H
F	H	H	OCF_3	H
F	H	H	CF_3	H
F	H	H	OMe	H
F	H	H	SCF_3	H
Cl	H	H	OCF_3	H
Cl	H	H	CF_3	H
H	H	H	SF_5	H
Cl	H	H	SF_5	H
H	OCF_2H	H	H	H
H	H	OCF_2H	H	H
F	F	H	F	H
F	H	F	H	F
F	H	F	F	H
F	F	F	H	H
H	OMe	H	OMe	H
F	OMe	H	H	H
OMe	H	H	Cl	H
OMe	H	H	Br	H
OMe	H	H	OCF_3	H
OMe	H	H	CF_3	H
H	CF_3	H	CF_3	H
Me	H	H	H	H
H	Me	H	H	H
H	H	Me	H	H
H	6-fluoro-3-pyridinyl	H	H	H
H	6-chloro-3-pyridinyl	H	H	H
H	6-bromo-3-pyridinyl	H	H	H
H	6-trifluoromethyl-3-pyridinyl	H	H	H
H		H	H	H
H	6-fluoro-3-pyridinyl	H	OMe	H
H	6-chloro-3-pyridinyl	H	OMe	H
H	6-bromo-3-pyridinyl	H	OMe	H
H	6-trifluoromethyl-3-pyridinyl	H	OMe	H

R^a	R^b	R^c	R^d	R^e
H	6-fluoro-3-pyridinyl	H	CF_3	H
H	6-chloro-3-pyridinyl	H	CF_3	H
H	6-bromo-3-pyridinyl	H	CF_3	H
H	6-trifluoromethyl-3-pyridinyl	H	CF_3	H
H	6-fluoro-3-pyridinyl	H	Br	H
H	6-chloro-3-pyridinyl	H	Br	H
H	6-bromo-3-pyridinyl	H	Br	H
H	6-trifluoromethyl-3-pyridinyl	H	Br	H
H	6-fluoro-3-pyridinyl	H	Cl	H
H	6-chloro-3-pyridinyl	H	Cl	H
H	6-bromo-3-pyridinyl	H	Cl	H
H	6-trifluoromethyl-3-pyridinyl	H	Cl	H
H	6-fluoro-3-pyridinyl	OMe	H	H
H	6-chloro-3-pyridinyl	OMe	H	H
H	6-bromo-3-pyridinyl	OMe	H	H
H	6-trifluoromethyl-3-pyridinyl	OMe	H	H
H	6-fluoro-3-pyridinyl	F	H	H
H	6-chloro-3-pyridinyl	F	H	H
H	6-bromo-3-pyridinyl	F	H	H
H	6-trifluoromethyl-3-pyridinyl	F	H	H
SCF_3	H	H	Cl	H
SCF_3	H	H	Br	H
SCF_3	H	H	OCF_3	H
SCF_3	H	H	CF_3	H
SCF_3	H	H	SCF_3	H
SCF_3	H	H	6-trifluoromethyl-3-pyridinyl	H
SCF_3	H	H	6-fluoro-3-pyridinyl	H
SCF_3	H	H	6-chloro-3-pyridinyl	H
SCF_3	H	H	6-bromo-3-pyridinyl	H

TABLE I-2

Table I-2 is constructed the same as Table I-1, except that R^x is C(O)OMe and R^y is H.

TABLE I-3

Table I-3 is constructed the same as Table I-1, except that R^x is C(O)OEt and R^y is H.

TABLE I-4

Table I-4 is constructed the same as Table I-1, except that R^x is C(O)OH and R^y is C(O)OH.

TABLE I-5

Table I-5 is constructed the same as Table I-1, except that R^x is C(O)OH and R^y is C(O)OMe.

TABLE I-6

Table I-6 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-7

Table I-7 is constructed the same as Table I-1, except that R^x is C(O)OH and R^y is $C(O)OC(CH_3)_3$.

TABLE I-8

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

TABLE I-9

Table I-9 is constructed the same as Table I-1, except that R^x is C(O)OMe and R^y is C(O)OMe.

TABLE I-10

Table I-10 is constructed the same as Table I-1, except that R^x is C(O)OEt and R^y is C(O)OEt.

TABLE I-11

Table I-11 is constructed the same as Table I-1, except that R^x is $C(O)OC(CH_3)_3$ and R^y is $C(O)OC(CH_3)_3$.

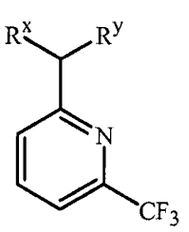
TABLE I-12

Table I-12 is constructed the same as 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-12a

Table I-12a is constructed the same as Table I-1, except that R^x is H and R^y is $C(O)OC(CH_3)_3$.

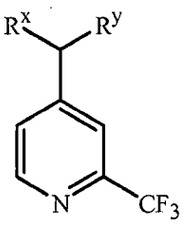
TABLE I-13



R^x	R^y	R^x	R^y
H	C(O)OH	C(O)OMe	C(O)OMe
H	C(O)OMe	C(O)OEt	C(O)OEt

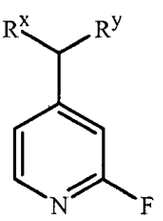
R^x	R^y	R^x	R^y
H	C(O)OEt	$C(O)OC(CH_3)_3$	$C(O)OC(CH_3)_3$
C(O)OH	C(O)OH	C(O)OH	C(O)OMe
C(O)Cl	C(O)Cl	C(O)OH	C(O)OEt
C(O)O(2,4,6-trichlorophenyl)	C(O)O(2,4,6-trichlorophenyl)	C(O)OH	$C(O)OC(CH_3)_3$
H	$C(O)OC(CH_3)_3$		

TABLE I-14



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

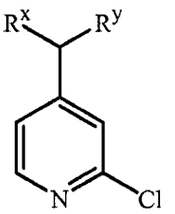
TABLE I-15



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

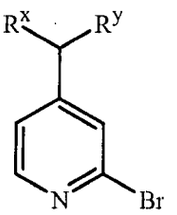
R^x	R^y	R^x	R^y
C(O)O(2,4,6-trichlorophenyl)	C(O)O(2,4,6-trichlorophenyl)	C(O)OH	$C(O)OC(CH_3)_3$
H	$C(O)OC(CH_3)_3$		

TABLE I-16



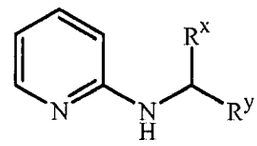
R^x	R^y	R^x	R^y
H	C(O)OH	C(O)OMe	C(O)OMe
H	C(O)OMe	C(O)OEt	C(O)OEt
H	C(O)OEt	$C(O)OC(CH_3)_3$	$C(O)OC(CH_3)_3$
C(O)OH	C(O)OH	C(O)OH	C(O)OMe
C(O)Cl	C(O)Cl	C(O)OH	C(O)OEt
C(O)O(2,4,6-trichlorophenyl)	C(O)O(2,4,6-trichlorophenyl)	C(O)OH	$C(O)OC(CH_3)_3$
H	$C(O)OC(CH_3)_3$		

TABLE I-17



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

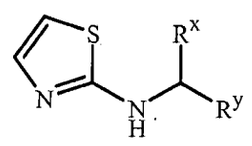
TABLE I-18



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

5

TABLE I-19



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

R^x	R^y	R^x	R^y
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

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. ^{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 2-hydroxy-4-oxo-3-phenyl-1-(2,2,2-trifluoroethyl)-4*H*-pyrido[1,2-*a*]-pyrimidinium inner salt

A mixture of diethyl phenylmalonate (0.62 g, 2.7 mmol) and *N*-(2,2,2-trifluoroethyl)-2-pyridinamine (0.87 g, 2.7 mmol, prepared by the method of Bissell, E. F.; Swanslger, R. W. *J. Chem. Eng. Data.* **1981**, *26*, 234–235) was heated to 180 °C for 2 h. After cooling, the reaction mixture was purified by chromatography on silica gel by elution with ethyl acetate to provide the title compound (compound number 7), a compound of this invention, as a yellow solid (45 mg).

^{1}H NMR ($CDCl_3$) δ 9.61 (dd, 1H), 8.17 (ddd, 1H), 7.74 (d, 2H), 7.55 (d, 1H), 7.45 (t, 1H), 7.39 (m, 2H), 7.21–7.25 (m, 1H), 5.10 (br s, 2H).

SYNTHESIS EXAMPLE 2

Preparation of 1-[(2-chloro-5-thiazolyl)methyl]-2-hydroxy-4-oxo-4*H*-pyrido[1,2-*a*]-pyrimidinium inner salt and 1-[(2-chloro-5-thiazolyl)methyl]-2-hydroxy-4-oxo-3-(2,2,2-trifluoroacetyl)-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt

Step A: Preparation of 1,1-dimethylethyl *N*-[(2-chloro-5-thiazolyl)methyl]-*N*-2-pyridinylcarbamate (alternatively named 2-chlorothiazol-5-ylmethyl)pyridin-2-yl-carbamic acid *t*-butyl ester)

Sodium hydride in mineral oil (60%, 2.22 g, 55.6 mmol) was added portionwise to a solution of 1,1-dimethylethyl *N*-2-pyridinylcarbamate (9.0 g, 46.3 mmol, prepared by the method of Krein, D. M.; Lowary, T. L. *J. Org. Chem.* **2002**, *67*, 4965–4967) in *N*,*N*-dimethylformamide (40 mL) in a round bottom flask cooled to 0 °C in an ice/water bath. The suspension was stirred vigorously for an additional 30 min, followed by the addition of 2-chloro-5-(chloromethyl)thiazole (7.4 g, 55.6 mmol). The reaction mixture was gradually warmed to room temperature and stirred for 16–24 h. Water (200 mL) was then added, and the reaction mixture was extracted three times with 50 mL of ethyl acetate. The combined organic extracts were washed four times with 20 mL of water, dried over Na_2SO_4, and concentrated under reduced pressure. The resulting residue was purified by chromatography on silica gel by elution with ethyl acetate/hexane to provide the title compound as an amber oil (9.3 g).

^{1}H NMR ($CDCl_3$) δ 8.40 (d, 1H), 7.78 (d, 1H), 7.64 (t, 1H), 7.49 (s, 1H), 7.03 (t, 1H), 5.18 (s, 2H), 1.54 (s, 9 H).

Step B: Preparation of *N*-[(chloro-5-thiazolyl)methyl]-2-pyridinamine (alternatively named (2-chlorothiazol-5-ylmethyl)-pyridin-2-yl-amine)

Trifluoroacetic acid (13.2 mL, 171 mmol) was added to a solution of 1,1-dimethylethyl *N*-[(2-chloro-5-thiazolyl)methyl]-*N*-2-pyridinylcarbamate (i.e. the product of Step A) (9.3 g, 28.5 mmol) in dichloromethane:water (60 mL:8 mL) in a round bottom flask, and the mixture was stirred for 66 h. The reaction mixture was then cooled to 0 °C and neutralized with 3 M NaOH to approximately pH 12 before being extracted twice with 100 mL of ethyl acetate. The organic layers were combined, dried over Na_2SO_4, and concentrated under reduced pressure to provide the title compound as a tan solid (5.0 g).
^{1}H NMR ($CDCl_3$) δ 8.19 (d, 1H), 7.43 (m, 2H), 7.65 (t, 1H), 6.42 (d, 1H), 4.80 (s, NH), 4.67 (d, 2H).

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

A solution of dicyclohexylcarbodiimide (1.0 M in dichloromethane, 26.6 mL, 26.6 mmol) was added to a solution of *N*-[(chloro-5-thiazolyl)methyl]-2-pyridinamine (i.e. the product of Step B) (3.0 g, 13.3 mmol) and malonic acid (1.38 g, 13.3 mmol) in dichloromethane (30 mL) in a round bottom flask. The reaction mixture was stirred at room temperature for 16-24 h. The reaction mixture was then filtered through a pad of Celite® diatomaceous filter aid, and the filtration cake was washed with dichloromethane. The combined organic phases were concentrated under reduced pressure, and the resulting residue was purified by chromatography on silica gel by elution with ethyl acetate/hexane to provide the title compound (compound number 125), a compound of this invention, as a pale yellow solid (2.90 g).
^{1}H NMR ($CD_3S(O)CD_3$) δ 9.20 (d, 1H), 8.36 (t, 1H), 8.11 (d, 1H), 7.95 (s, 1H), 7.52 (t, 1H), 5.56 (s, 2H), 4.98 (s, 1H).

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

1-[(2-Chloro-5-thiazolyl)methyl]-2-hydroxy-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt (i.e. the product of Step C) (300 mg, 1.02 mmol), 1,4-diazabicyclo[2.2.2]octane (11.5 mg, 0.102 mmol), and trifluoroacetic anhydride (0.14 mL, 1.02 mmol) were dissolved in *N*-methyl-2-pyrrolidinone (3 mL), and the reaction mixture was stirred at room temperature for 1 h. The mixture was diluted with dichloromethane (30 mL), washed with water (10 mL), saturated aqueous sodium bicarbonate (10 mL) and water (10 mL x 4 times), concentrated and triturated with diethyl ether to yield the title compound (compound number 702), a compound of this invention, as a solid (98 mg).

^{1}H NMR (CD_3COCD_3) δ 9.38 (d, 1H), 8.58 (t, 1H), 8.22 (d, 1H), 7.94 (s, 1H), 7.70 (t, 1H), 5.71 (br s, 2H).

SYNTHESIS EXAMPLE 3

Preparation of 1-[(6-chloro-3-pyridinyl)methyl]-3-[2-fluoro-5-(trifluoromethoxy)phenyl]-2-hydroxy-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt, 1-[(6-chloro-3-pyridinyl)methyl]-2-hydroxy-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt and 1-[(6-chloro-3-pyridinyl)methyl]-2-hydroxy-3-iodo-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt

Step A: Preparation of 6-chloro-*N*-2-pyridinyl-3-pyridinemethanamine

A mixture of 2-fluoropyridine (1.4 g, 15 mmol) and 6-chloro-3-pyridinemethanamine (alternatively named 5-aminomethyl-2-chloropyridine) (2.55 g, 18 mmol) in *N*-methylpyrrolidinone (5 mL) was heated at 230 °C in a microwave reactor for 30 min. This reaction was repeated four times using the same amounts of starting materials for each repetition. All five of the reaction mixtures were then poured into saturated aqueous sodium bicarbonate solution and extracted into ethyl acetate. The organic layer was washed with saturated aqueous sodium bicarbonate solution, dried over Na_2SO_4, and concentrated under reduced pressure. The crude product was then purified by chromatography on silica gel using 10% ethyl acetate in hexanes as the eluent to provide the title compound as an oil (5.1 g).

^{1}H NMR ($CDCl_3$) δ 8.38 (s, 1H), 8.1 (m, 1H), 7.67 (d, 1H), 7.42 (dd, 1H), 7.28 (d, 1H), 6.63 (m, 1H), 6.38 (d, 1H), 4.88 (s, 1H), 4.56 (d, 2H).

Step B: Preparation of 1-[(6-chloro-3-pyridinyl)methyl]-2-hydroxy-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt

A solution of dicyclohexylcarbodiimide (4.12 g, 20 mmol in 10 mL of dichloromethane) was added to a solution of 6-chloro-*N*-2-pyridinyl-3-pyridinemethanamine (i.e. the product of Step A) (2.19 g, 10 mmol) and malonic acid (1.04 g, 10 mmol) in dichloromethane (10 mL) in a round bottom flask. The reaction mixture was stirred at room temperature for 16–24 h. The reaction mixture was then filtered, and the filtration cake was washed with diethyl ether. The filtrate was concentrated under reduced pressure, and the resulting residue was washed with methanol to provide the title compound (compound number 611), a compound of this invention, as a pale yellow solid (2.54 g).

^{1}H NMR (acetone-d_6) δ 9.32 (d, 1H), 8.52 (s, 1H), 8.29 (dd, 1H), 7.79 (m, 2H), 7.52 (t, 1H), 7.42 (d, 1H), 5.63 (s, 2H), 5.03 (s, 1H).

Step C: Preparation of 1-[(6-chloro-3-pyridinyl)methyl]-2-hydroxy-3-iodo-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt

N-iodosuccinimide (1.12 g, 5 mmol) was added to a solution of 1-[(6-chloro-3-pyridinyl)methyl]-2-hydroxy-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt (i.e. the product of Step B) (1.4 g, 5 mmol) in *N*,*N*-dimethylformamide (10 mL) and stirred for 5 min. Water was added, and the mixture was extracted with dichloromethane. The combined organic phases were washed repeatedly with water, dried over Na_2SO_4, and concentrated under reduced pressure. The resulting crude product (compound number 118), a compound of this invention, (1.8 g) was used in the next step without further purification.

^{1}H NMR ($CDCl_3$) δ 9.49 (d, 1H), 8.45 (d, 1H), 8.12 (dd, 1H), 7.40 (m, 2H), 7.32 (d, 1H), 5.50 (s, 2H).

Step D: Preparation of 1-[(6-chloro-3-pyridinyl)methyl]-3-[2-fluoro-5-(trifluoromethoxy)phenyl]-2-hydroxy-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt

1-[(6-Chloro-3-pyridinyl)methyl]-2-hydroxy-3-iodo-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt (i.e. the product of Step C) (206 mg, 0.5 mmol), 2-fluoro-5-(trifluoromethoxy)benzeneboronic acid (224 mg, 1 mmol) and bis(triphenylphosphino)-palladium dichloride (35 mg, 0.005 mmol) were dissolved in dioxane (2 mL). Aqueous sodium carbonate solution (2 N, 1 mL) was added, and the reaction mixture was heated in a microwave reactor for 10 min at 160 °C. The cooled reaction mixture was poured directly onto a silica gel column and eluted successively with hexanes, 30% ethyl acetate in hexanes, 50% ethyl acetate in hexanes, and finally ethyl acetate to yield the title compound (compound number 58), a compound of this invention, as a solid (20 mg).

^{1}H NMR ($CDCl_3$) δ 9.53 (d, 1H), 8.49 (s, 1H), 8.11 (dd, 1H), 7.69 (d, 1H), 7.50 (d, 1H), 7.41 (m, 2H), 7.34 (d, 1H), 7.16 (d, 2H), 7.58 (br s, 2H).

SYNTHESIS EXAMPLE 4

Preparation of 2-hydroxy-4-oxo-3-phenyl-1-(2-propen-1-yl)-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt

N-2-propen-1-yl-2-pyridinamine (670 mg, 5 mmol) and 1,3-bis(2,4,6-trichlorophenyl) 2-phenylpropanedioate (3.0 g, 6 mmol) were dissolved in dioxane (3 mL) and heated at 60 °C for 15 min. The reaction mixture was then poured onto a silica gel column, which was eluted with 50% ethyl acetate in hexanes to provide the title compound (compound number 122), a compound of this invention, as a solid (14 mg).

^{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 5

Preparation of 2-hydroxy-4-oxo-3-phenyl-1-(2,2,2-trifluoroethyl)-4*H*-pyrido[1,2-*a*]-pyrimidinium inner salt

Step A: Preparation of *N*-(2,2,2-trifluoroethyl)-2-pyridinamine

A mixture of 2-fluoropyridine (2.00 g, 20.6 mmol) and 2,2,2-trifluoroethylamine hydrogen chloride (5.00 g, 36.9 mmol) was heated to 220 °C for 30 min in a microwave reactor. The same reaction was repeated 5 times. The reaction mixtures from all 6 reactions were cooled, combined and diluted with ethyl acetate (150 mL). The organic mixture was neutralized by washing with saturated aqueous sodium bicarbonate, water (30 mL) and brine (30 mL). The organic phase was dried over Na_2SO_4 and concentrated, and the resulting residue was purified by chromatography on silica gel using 80% ethyl acetate/hexane as eluant to give the title compound as a white solid (17.0 g).

^{1}H NMR ($CDCl_3$) δ 8.15 (d, 1H), 7.45 (dd, 1H), 6.69 (dd, 1H), 6.49 (d, 1H), 4.58 (br s, 1H), 4.11 (q, 2H).

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

To a slurry of phenylmalonic acid (5.00 g, 27.8 mmol) in dichloromethane (7 mL) at room temperature was added a drop of *N*,*N*-dimethylformamide, followed by the dropwise addition of oxalyl chloride (9.09 g, 71.6 mmol) at such a rate to keep gas evolution under control. The reaction mixture was stirred for an additional hour at room temperature, during which time the reaction mixture clarified. 2,4,6-Trichlorophenol (15 g, 76 mmol) was added, and the reaction mixture was stirred at room temperature for 18 h. The reaction mixture was concentrated under vacuum, and methanol (100 mL) was added to the residue, which resulted in precipitation of a large amount of solid. The solid was collected by filtration, rinsed with methanol (80 mL) and air dried to give the title product as a white solid (13 g).

^{1}H NMR ($CDCl_3$) δ 7.64–7.62 (m, 2H), 7.46–7.43 (m, 3H), 7.36 (s, 4H), 5.32 (s, 1H).

Step C: Preparation of 2-hydroxy-4-oxo-3-phenyl-1-(2,2,2-trifluoroethyl)-4*H*-pyrido[1,2-*a*]-pyrimidinium inner salt

A solution of *N*-(2,2,2-trifluoroethyl)-2-pyridinamine (i.e. the product of Step A) (2.00 g, 11.4 mmol) and 1,3-bis(2,4,6-trichlorophenyl) 2-phenylpropanedioate (i.e. the product of Step B) (6.40 g, 11.9 mmol) in toluene (40 mL) was refluxed for 1 h. The reaction mixture was cooled in an ice-water bath with stirring for 2 h. The solid that precipitated was collected by filtration, rinsed with diethyl ether and air dried to give the title compound (compound number 7), a compound of this invention, as a yellow solid (3.44 g).

^{1}H NMR ($CD_3S(O)CD_3$) δ 9.37 (d, 1H), 8.42 (m, 1H), 8.11 (d, 1H), 7.66 (d, 2H), 7.61 (m, 1H), 7.32 (t, 2H), 7.18 (t, 1H), 5.35 (q, 2H).

SYNTHESIS EXAMPLE 6

Preparation of 8-[(6-chloro-3-pyridinyl)methyl]-7-hydroxy-5-oxo-6-[3-(trifluoromethoxy)phenyl]-5*H*-thiazolo[3,2-*a*]pyrimidinium inner salt

Step A: Preparation of *N*-[(6-chloro-3-pyridinyl)methylene]-2-thiazolamine

2-Aminothiazole (0.75 g, 7.5 mmol) was added to 2-chloropyridine-6-carboxaldehyde (1.0 g, 7.1 mmol) in dichloromethane (25 mL) at room temperature. The suspension was stirred an additional 10 min and then concentrated to dryness under vacuum. The resulting residue was heated to 90 °C on a rotory evaporator with a non-returning bump trap to facilitate water removal. After 30 min the resultant yellow solid was checked by NMR to verify reaction completion (by disappearence of the characteristic aldehyde peak at 10.10 ppm (s, 1H)). The title compound was obtained as a yellow solid (1.55 g) and used in the next step without further purification.

^{1}H NMR ($CDCl_3$) δ 9.10 (s, 1H), 8.84 (d, 1H), 8.35–8.32 (dd, 1H), 7.72–7.70 (d, 1H), 7.48-7.46 (d, 1H), 7.32–7.31 (d, 1H).

Step B: Preparation of 6-chloro-*N*-2-thiazolyl-3-pyridinemethanamine

N-[(6-chloro-3-pyridinyl)methylene]-2-thiazolamine (i.e. the product of Step A) (0.55 g, 2.46 mmol) was added portionwise to a stirred excess of sodium borohydride (0.45 g, 11.8 mmol) in methanol (30 mL). Additional portions of sodium borohydride (2 x 1 equivalent) were added during the addition of the imine to maintain an exothermic reaction. 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. The clear reaction mixture was concentrated, and the resulting residue was partitioned between saturated aqueous sodium carbonate and ethyl acetate. The aqueous phase was extracted with ethyl acetate (3 x 30 mL), and the combined organic phases were washed with brine, dried ($MgSO_4$) and concentrated to give the title compound as a tan powder (0.55 g).

^{1}H NMR ($CDCl_3$) δ 8.39 (d, 1H), 7.71–7.68 (dd, 1H), 7.30–7.28 (d, 1H), 6.98 (d, 1H), 6.48 (d, 1H), 4.48 (s, 2H).

Step C: Preparation of 2-[3-(trifluoromethoxy)phenyl]propanedioic acid

Diethyl 3-trifluoromethoxyphenylmalonate (3.00 g, 9.38 mmol) was stirred in an aqueous sodium hydroxide solution (15 g, 20% by weight) at 65 °C for 10 min. The reaction mixture was then cooled in an ice bath, and ice (7 g) was added to the reaction mixture, followed by 6 N hydrochloric acid to adjust the pH to about 2. The aqueous mixture was saturated with sodium chloride and extracted with ethyl acetate three times. The combined organic phases were dried ($MgSO_4$) and concentrated to give a solid, which was triturated with a mixture of 33% diethyl ether/hexane to give the title compound as a white solid (2.24 g).

^{1}H NMR ($CD_3C(O)CD_3$) δ 11.51 (br s, 2H), 7.54–7.51 (m, 3H), 7.35–7.30 (m, 1H), 4.91 (s, 1H).

Step D: Preparation of 8-[(6-chloro-3-pyridinyl)methyl]-7-hydroxy-5-oxo-6-[3-(trifluoromethoxy)phenyl]-5*H*-thiazolo[3,2-*a*]pyrimidinium inner salt

Oxalyl chloride (1.0 mL, 11 mmol) was added dropwise at ambient temperature to a slurry of 2-[3-(trifluoromethoxy)phenyl]propanedioic acid (i.e. the product of Step C) (0.17 g, 0.66 mmol) in dichloromethane (0.2 mL) containing a catalytic amount of *N*,*N*-dimethylformamide. The reaction mixture was stirred for an additional 10 min during which time gas evolution ceased. The reaction mixture was briefly concentrated under vacuum at ambient temperature. The resultant oil was taken up in dichloromethane (2 mL) and added to a solution of 6-chloro-*N*-2-thiazolyl-3-pyridinemethanamine (i.e. the product of Step B) (0.23 g, 1.02 mmol) and triethylamine (0.40 g, 3.37 mmol) in dichloromethane (4 mL) at 0 °C. After stirring for 15 min, the reaction mixture was concentrated, and the resultant residue was purified by chromatography on silica gel using 50-100% ethyl acetate/hexane as eluant to give the title compound (compound number 138), a compound of this invention, as a solid (0.19 g).

^{1}H NMR ($CDCl_3$) δ 8.50 (s, 1H), 8.25 (d, 1H), 7.87 (d, 1H), 7.75 (d, 1H), 7.70 (s, 1H), 7.41-7.35 (m, 2H), 7.08 (d, 1H), 7.03 (d, 1H), 5.29 (s, 2H).

SYNTHESIS EXAMPLE 7

Preparation of 2-hydroxy-4-oxo-1-propyl-3-[2-(trifluoromethyl)phenyl]-4*H*-pyrido[1,2-*a*]-pyrimidinium inner salt and 2-hydroxy-4-oxo-1-propyl-4*H*-pyrido[1,2-*a*]-pyrimidinium inner salt

Step A: Preparation of 2-hydroxy-4-oxo-1-propyl-4*H*-pyrido[1,2-*a*]-pyrimidinium inner salt

A solution of dicyclohexylcarbodiimide (15.63 g in 45 mL of dichloromethane, 75.76 mmol) was added to a solution of *N*-propyl-2-aminopyridine (5.16 g, 37.8 mmol) and malonic acid (3.94 g, 37.8 mmol) in dichloromethane (90 mL). The reaction mixture was stirred at room temperature for 24 h. The reaction mixture was then filtered through a pad of Celite®, and the filtration cake was washed with dichloromethane. The combined organic phases were concentrated, and the resulting residue was purified by chromatography on silica gel using 50–100% ethyl acetate/hexane as eluant to give the title compound (compound number 609), a compound of this invention, as a pale yellow solid (5.60 g).

^{1}H NMR ($CDCl_3$) δ 9.40 (d, 1H), 8.15 (t, 1H), 7.42 (d, 1H), 7.30 (t, 1H), 5.38 (s, 1H), 4.24 (t, 2H), 1.88 (m, 2H), 1.06 (t, 3H).

Step B: Preparation of 2-hydroxy-4-oxo-1-propyl-3-[2-(trifluoromethyl)phenyl]-4*H*-pyrido[1,2-*a*]-pyrimidinium inner salt

2-Hydroxy-4-oxo-1-propyl-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt (i.e. the product of Step A) (500 mg, 2.45 mmol), 1-iodo-2-(trifluoromethyl)benzene (0.34 mL, 2.45 mmol), copper iodide (46.6 mg, 0.245 mmol), 1,10-phenanthroline (44.1 mg, 0.245 mmol) and cesium carbonate (798 mg, 2.45 mmol) were combined in *N*,*N*-dimethylformamide (3 mL). The reaction mixture was heated at 118 °C under nitrogen for 24 h. The reaction mixture was cooled and concentrated, and the resulting residue was purified by reverse phase liquid chromatography on a XTerra® C18 OBD column (5 micron particle, 30 x 100 mm, manufactured by Waters) using a gradient of 30–90% (1:1 acetonitrile/methanol)/water to provide the title compound (compound number 308), a compound of this invention, as a solid (20 mg).

^{1}H NMR ($CDCl_3$) δ 9.44 (d, 1H), 8.13 (t, 1H), 7.75 (d, 1H), 7.58 (t, 1H), 7.42–7.52 (m, 3H), 7.35 (t, 1H), 4.42–4.35 (m, 1H), 4.24–4.18 (m, 1H), 1.80 (q, 2H), 1.05 (t, 3H).

SYNTHESIS EXAMPLE 8

Preparation of 2-hydroxy-3-iodo-1-[[2-(3-methoxyphenyl)-5-thiazolyl]methyl]-4-oxo-4*H*-pyrido[1,2-*a*]-pyrimidinium inner salt

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

N-iodosuccinimide (2.19 g, 9.76 mmol) was added to a solution of 1-[(2-chloro-5-thiazolyl)methyl]-2-hydroxy-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt (i.e. the product of Example 2, Step C) (2.9 g, 9.76 mmol) in *N*,*N*-dimethylformamide (30 mL), and the mixture was stirred for 5 min. Water was added, and the mixture was extracted with ethyl acetate. The combined organic phases were washed several times with water, dried over Na_2SO_4 and concentrated under reduced pressure. The resulting crude product (compound number 608) (1.2 g), a compound of this invention, was used in the next step without further purification.

^{1}H NMR (CD_3COCD_3) δ 9.36 (d, 1H), 8.45(t, 1H), 8.20 (d, 1H), 7.94 (s, 1H), 7.58 (t, 1H), 5.77 (s, 2H).

Step B: Preparation of 2-hydroxy-3-iodo-1-[[2-(3-methoxyphenyl)-5-thiazolyl]methyl]-4-oxo-4*H*-pyrido[1,2-*a*]-pyrimidinium inner salt

1-[(2-chloro-5-thiazolyl)methyl]-2-hydroxy-3-iodo-4-oxo-4*H*-pyrido[1,2-*a*]-pyrimidinium inner salt (i.e. the product of Step A) (250 mg, 0.59 mmol), 3-methoxybenzeneboronic acid (89 mg, 0.59 mmol) and bis(triphenylphosphine)-palladium(II) dichloride (20 mg, 0.029 mmol) were dissolved in dioxane (3 mL). Aqueous sodium carbonate solution (2 N, 1 mL) was added, and the reaction mixture was heated in a microwave reactor for 15 min at 150 °C. The cooled reaction mixture was poured directly

onto a silica gel column and eluted successively with hexanes, 30% ethyl acetate in hexanes, 50% ethyl acetate in hexanes, and finally ethyl acetate to yield the title compound (compound number 613), a compound of this invention, as a solid (35 mg).

^{1}H NMR (CD_3COCD_3) δ 9.38 (d, 1H), 8.43 (t, 1H), 8.20 (d, 1H), 8.13 (s, 1H), 7.58 (t, 1H), 7.50 (m, 2H), 7.39 (t, 1H), 7.02 (d, 1H), 5.88 (br s, 2H), 3.86 (s, 3H).

SYNTHESIS EXAMPLE 9

Preparation of 2-hydroxy-4-oxo-1-(2,2,2-trifluoroethyl)-3-[2,2,2-trifluoro-1-(methoxyimino)ethyl]-4*H*-pyrido[1,2-*a*]-pyrimidinium inner salt, 2-hydroxy-4-oxo-1-(2,2,2-trifluoroethyl)-4*H*-pyrido[1,2-*a*]-pyrimidinium inner salt and 2-hydroxy-4-oxo-3-(2,2,2-trifluoroacetyl)-1-(2,2,2-trifluoroethyl)-4*H*-pyrido[1,2-*a*]-pyrimidinium inner salt

Step A: Preparation of 2-hydroxy-4-oxo-1-(2,2,2-trifluoroethyl)-4*H*-pyrido[1,2-*a*]-pyrimidinium inner salt

A solution of dicyclohexylcarbodiimide (1.0 M in dichloromethane, 108 mL, 108 mmol) was added to a solution of *N*-(2,2,2-trifluoroethyl)-2-pyridinamine (i.e. the product of Example 5, Step A) (9.51 g, 54.0 mmol) and malonic acid (5.62 g, 54.0 mmol) in dichloromethane (190 mL). The reaction mixture was stirred at room temperature for 24 h. The reaction mixture was then filtered through a pad of Celite®, and the filtration cake washed with dichloromethane. The combined organic phases were concentrated under reduced pressure, and the resulting residue was purified by chromatography on silica gel using 50–100% ethyl acetate/hexane as eluant to give the title compound (compound number 610), a compound of this invention, as a pale yellow solid (7.0 g).

^{1}H NMR ($CD_3S(O)CD_3$) δ 9.22 (d, 1H), 8.42 (t, 1H), 8.11 (d, 1H), 7.59 (t, 1H), 5.25 (q, 2H), 4.96 (s, 1H).

Step B: Preparation of 2-hydroxy-4-oxo-3-(2,2,2-trifluoroacetyl)-1-(2,2,2-trifluoroethyl)-4*H*-pyrido[1,2-*a*]-pyrimidinium inner salt

2-Hydroxy-4-oxo-1-(2,2,2-trifluoroethyl)-4*H*-pyrido[1,2-*a*]-pyrimidinium inner salt (i.e. the product of Step A) (1.12 g, 4.57 mmol), 1,4-diazabicyclo[2.2.2]octane (132.0 mg, 1.12 mmol) and trifluoroacetic anhydride (1.50 mL, 10.92 mmol) were dissolved in 1-methyl-2-pyrrolidinone (10 mL), and the reaction mixture was stirred at room temperature for 18 h. The mixture was diluted with ethyl acetate (250 mL), washed with saturated aqueous sodium bicarbonate (150 mL) water (3 x 100 mL), and concentrated. The residue was triturated with diethyl ether to yield the title compound (compound number 711), a compound of this invention, as a solid (1.10 g).

^{1}H NMR ($CD_3S(O)CD_3$) δ 9.25 (d, 1H), 8.58 (t, 1H), 8.10 (d, 1H), 7.65 (t, 1H), 5.25 (q, 2H).

Step C: Preparation of 2-hydroxy-4-oxo-1-(2,2,2-trifluoroethyl)-3-[2,2,2-trifluoro-1-(methoxyimino)ethyl]-4*H*-pyrido[1,2-*a*]-pyrimidinium inner salt

A solution of 2-hydroxy-4-oxo-3-(2,2,2-trifluoroacetyl)-1-(2,2,2-trifluoroethyl)-4*H*-pyrido[1,2-*a*]-pyrimidinium inner salt (i.e. the product of Step B) (75 mg, 0.22 mmol) and methoxyamine hydrochloride (62 mg, 0.74 mmol) in ethanol (7 mL) was refluxed for 3 h. The solvent was concentrated under vacuum, and ethyl acetate (60 mL) was added. The organic phase was washed successively with a solution of dilute sodium hydroxide (3 mL of 1 N NaOH and 30 mL water) and water (20 mL). The organic phase was then filtered through a Chem Elut™ cartridge (manufactured by Varian) prepacked with Celite® and concentrated to a crude oil. The resultant residue was purified by preparative thin layer chromatography on an Analtech Uniplate™ (20 x 20 cm, 2000 microns layer of silica gel) eluted with ethyl acetate to provide the title compound (compound number 637), a compound of this invention, as a thick oil (53 mg, 1:1 mixture of E and Z isomers).
^{1}H NMR ($CDCl_3$) δ 9.49 (d, 0.5H), 9.47 (d, 0.5H), 8.23 (t, 1H), 7.61 (d, 1H), 7.50 (m, 1H), 5.00 (m, 2H), 4.10 (s, 1.5H), 4.04 (s, 1.5H)

SYNTHESIS EXAMPLE 10

Preparation of 1-[(6-chloro-3-pyridinyl)methyl]-4-hydroxy-2-imino-3-(2-methoxyphenyl)-2*H*-pyrido[1,2-*a*]pyrimidinium inner salt

Step A: Preparation of phenyl α-cyano-2-methoxybenzeneacetate

To a slurry of sodium hydride (3.39 g of 60% in mineral oil, 84.9 mmol) in tetrahydrofuran (200 mL) at room temperature was added 2-(2-methoxyphenyl)acetonitrile (10.0 g, 67.9 mmol) dropwise. The reaction mixture was then heated to reflux and the gray suspension turned dark red over 30 min. Diphenyl carbonate (18.2 g, 84.9 mmol) was added portionwise, and the reaction suspension was heated at reflux for an additional 18 h. The reaction mixture was cooled, poured into 1 N HCl (200 mL) and extracted with ethyl acetate (3 x 200 mL). The organic phases were combined, dried over magnesium sulfate, filtered and concentrated onto Celite® under reduced pressure. The resultant residue was purified by chromatography on silica gel using 10–90% ethyl acetate/hexane as eluant to give the title compound as a light yellow solid (14.3 g).
^{1}H NMR ($CD_3S(O)CD_3$) δ 7.48–7.44 (m, 3H), 7.31 (t, 1H), 7.19–7.03 (m, 4H), 6.75 (d, 1H), 5.97 (s, 1H), 3.93 (s, 3H).

Step B: Preparation of 1-[(6-chloro-3-pyridinyl)methyl]-4-hydroxy-2-imino-3-(2-methoxyphenyl)-2*H*-pyrido[1,2-*a*]pyrimidinium inner salt

To a solution containing 6-chloro-*N*-2-pyridinyl-3-pyridinemethanamine (0.82 g, 3.74 mmol) in xylene (100 mL) under nitrogen was added phenyl α-cyano-2-methoxybenzeneacetate (i.e. the product of Step A) (1.00 g, 3.74 mmol). The reaction mixture was heated to reflux for 24 h. The mixture was cooled, Celite® was added, and the

xylene was evaporated under reduced pressure. The resultant residue was purified by chromatography on silica gel using a gradient of 100% ethyl acetate to 1% triethylamine/40% methanol/59% ethyl acetate as eluant to give the title compound (compound number 662), a compound of this invention, as a cream solid (4.7 mg). MS (AP+) = 393.

^{1}H NMR (CD_3COCD_3) δ 8.47 (d, 1H), 8.28 (s, 1H), 7.83 (t, 1H), 7.76 (d, 1H), 7.38–7.27 (m, 5H), 6.94–6.88 (m, 2H), 5.71 (s, NH), 5.62 (s, 2 H), 3.64 (s, 3H).

SYNTHESIS EXAMPLE 11

Preparation of 1-[(6-chloro-3-pyridinyl)methyl]-2-hydroxy-4-oxo-3-phenyl-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt

Step A: Preparation of *N*-[(6-chloro-3-pyridinyl)methyl]-2-phenyl-*N*-(2-pyridinyl)malonamic acid ethyl ester

2-Phenylmalonic acid monoethyl ester was prepared following the procedure in *Journal of Organic Chemistry* **2000**, *65*, 5834-5836. 2-Phenylmalonic acid monoethyl ester (1.02 g, 5.0 mmol) was dissolved in anhydrous dichloromethane (10 mL), and oxalyl chloride (0.52 mL, 6.0 mmol) was added, followed by one drop of *N*,*N*-dimethylformamide. The reaction mixture was stirred for 30 min, then concentrated, redissolved in anhydrous dichloromethane (5 mL) and added to a solution of 6-chloro-*N*-2-pyridinyl-3-pyridinemethanamine (i.e. the product of Example 3, Step A) (1.1 g, 5.0 mmol) and triethyl amine (0.83 mL, 6.0 mmol) in anhydrous dichloromethane (5 mL) at 0 °C. The stirred reaction mixture was allowed to warm to room temperature over 30 min. The reaction mixture was poured onto a silica gel cartridge (Bond Elute® manufactured by Varian) and purified using a gradient of 0–50% ethyl acetate/hexanes. A mixture of desired product and starting amine was isolated (1.3 g of 33 mol% recovered amine/67 mol% desired product). 2-Phenylmalonic acid monoethyl ester (0.54 g, 2.6 mmol) was dissolved in anhydrous dichloromethane (3 mL), and oxalyl chloride (0.26 mL, 3.0 mmol) was added, followed by one drop of *N*,*N*-dimethylformamide. The reaction mixture was stirred until gas evolution ceased and then concentrated, redissolved in anhydrous dichloromethane (3 mL) and added to the mixture of recovered amine and desired product isolated previously. The reaction mixture was stirred for 30 min and then concentrated, and the crude residue was chromatographed as already described to give the title compound as a solid (0.9 g).

^{1}H NMR ($CDCl_3$) δ 8.50 (m, 1H), 8.18 (s, 1H), 7.60–7.75 (m, 2H), 7.2–7.3 (m, 5H), 7.13 (m, 2H), 6.87 (s, 1H), 5.13–4.88 (dd, 2H), 4.86 (s, 1H), 4.16 (m, 2H), 1.22 (t, 3H).

Step B: Preparation of 1-[(6-chloro-3-pyridinyl)methyl]-2-hydroxy-4-oxo-3-phenyl-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt

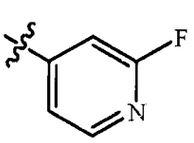
N-[(6-chloro-3-pyridinyl)methyl]-2-phenyl-*N*-(2-pyridinyl)malonamic acid ethyl ester (i.e. the product of Step A) (200 mg, 0.49 mmol) was added to tetralin (0.5 mL) and heated

at 200 °C for 30 min. The reaction mixture was cooled and concentrated, and the resulting residue was purified by chromatography on silica gel using 50–100% ethyl acetate/hexane as eluant to give the title compound (compound number 59), a compound of this invention, as a solid (15 mg).

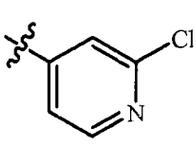
^{1}H NMR ($CDCl_3$) δ 9.55 (dd, 1H), 8.47 (d, 1H), 8.04 (m, 1H), 7.98 (d, 2H), 7.70 (dd, 1H), 7.2–7.4 (m, 6H), 5.58 (s, 2H).

By the procedures described herein together with methods known in the art, the following compounds of Tables 1 to 8 can be prepared. Abbreviations used in Tables 1 to 8 are shown below as X-1 through X-128 and Y-1 through Y-71.

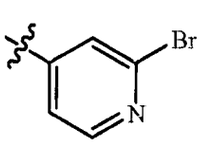
isopropyl	isobutyl	cyclopropyl	cyclobutyl	cyclopentyl
X-1	X-2	X-3	X-4	X-5
cyclohexyl	CF_3	CH_2CF_3	C_2F_5	$C(CF_3)_2F$
X-6	X-7	X-8	X-9	X-10
1-naphthalenyl	2-naphthalenyl	4-fluoro-1-naphthalenyl	C(O)Me	$C(O)CF_3$
X-11	X-12	X-13	X-14	X-15
C(O)Ph	C(O)OMe	C(O)OEt	C(O)NHMe	C(O)NHPh
X-16	X-17	X-18	X-19	X-20
C(S)NHPh	C(O)NH(3-methoxyphenyl)	$C(=NOEt)CF_3$		
X-21	X-22	X-23		



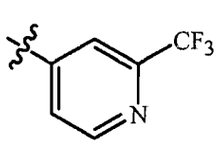
X-24



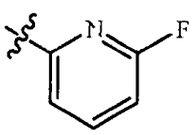
X-25



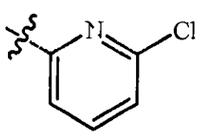
X-26



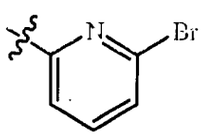
X-27



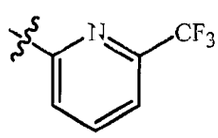
X-28



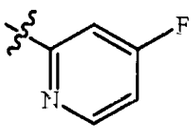
X-29



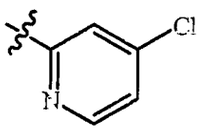
X-30



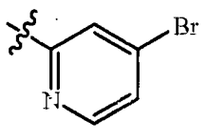
X-31



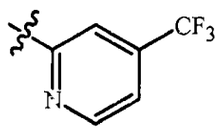
X-32



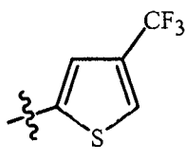
X-33



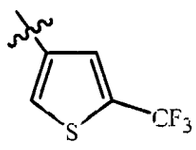
X-34



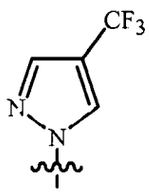
X-35



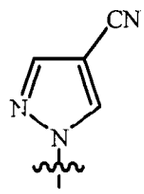
X-36



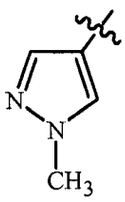
X-37



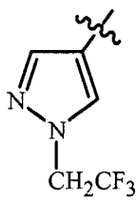
X-38



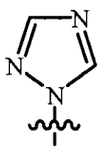
X-39



X-40

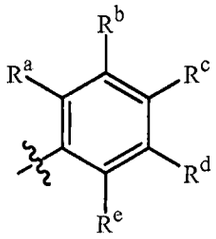


X-41



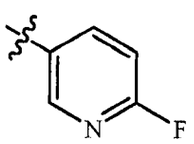
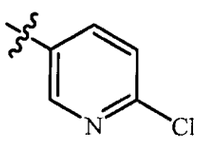
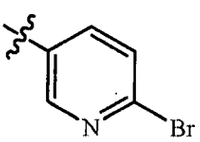
X-42

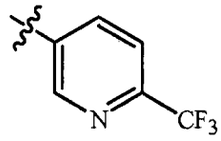
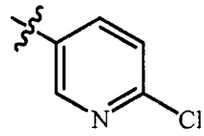
Abbreviations X-50 to X-128 pertain to the substituted phenyl ring as shown below. Blank entries in the table denote a hydrogen atom.



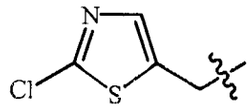
	R^a	R^b	R^c	R^d	R^e
X-50	F				
X-51	OMe				
X-52	Cl				
X-53		F			
X-54		OMe			
X-55		Cl			
X-56			F		
X-57			OMe		

	R^a	R^b	R^c	R^d	R^e
X-58			Cl		
X-59		CF_3			
X-60		OCF_3			
X-61		SCF_3			
X-62		SF_5			
X-63		Br			
X-64			cyano		
X-65	F		CF_3		
X-66	F		OCF_3		
X-67	F		SCF_3		
X-68	F		Br		
X-69	$S(O)CF_3$				
X-70		$S(O)CF_3$			
X-71			$S(O)CF_3$		
X-72	Cl		cyano		
X-73	Cl		CF_3		
X-74	Cl		OCF_3		
X-75	Cl		SCF_3		
X-76	Cl		Br		
X-77		Cl		CF_3	
X-78		Cl		OCF_3	
X-79		Cl		SCF_3	
X-80		Cl		Br	
X-81		Br		CF_3	
X-82		Br		OCF_3	
X-83		Br		SCF_3	
X-84		Br		Br	
X-85	F			CF_3	
X-86	F			OCF_3	
X-87	F			SCF_3	
X-88	F			Br	
X-89	F		F		F
X-90	F		F	F	
X-91		F		CF_3	
X-92		F		OCF_3	
X-93	F	CF_3			
X-94	F	OCF_3			

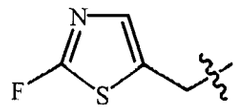
	R^a	R^b	R^c	R^d	R^e
X-95		F		F	
X-96	$OCHF_2$				
X-97		$OCHF_2$			
X-98			$OCHF_2$		
X-99	$SCHF_2$				
X-100		$SCHF_2$			
X-101			$SCHF_2$		
X-102	F		F		
X-103	F	F			
X-104	F				F
X-105		CF_3		CF_3	
X-106	F			OMe	
X-107		OMe		OMe	
X-108	F	OMe			
X-109	F				OMe
X-110		OMe		CF_3	
X-111		OMe		OCF_3	
X-112		OMe		Cl	
X-113		OMe		Br	
X-114	OMe			CF_3	
X-115	OMe			OCF_3	
X-116	OMe			Cl	
X-117	OMe			Br	
X-118	OMe	F			
X-119	OMe	OMe			
X-120	OMe			F	
X-121					
X-122					
X-123					

	R^a	R^b	R^c	R^d	R^e
X-124					
X-125				CF_3	
X-126	$OCHF_2$				
X-127		$OCHF_2$			
X-128			$OCHF_2$		

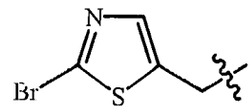
methyl Y-1	ethyl Y-2	*n*-propyl Y-3	*n*-butyl Y-4
CHF_2 Y-5	$CH_2CH_2CF_3$ Y-6	$CH_2CH_2CF_2Cl$ Y-7	isopropyl Y-8
s-butyl Y-9	*i*-butyl Y-10	$CH_2CH_2OCH_3$ Y-11	$CH_2C(O)OCH_3$ Y-12
$CH_2CH_2SCH_3$ Y-13	$CH_2CH_2S(O)CH_3$ Y-14	$CH_2CH{=}CH_2$ Y-15	$CH_2C{\equiv}CH$ Y-16
cyclopropyl Y-17	cyclobutyl Y-18	CH_2CF_3 Y-19	$CH(CH_3)CF_3$ Y-20
$CH_2CF_2CF_3$ Y-21	$CH_2CH_2CHFCF_2Cl$ Y-22		



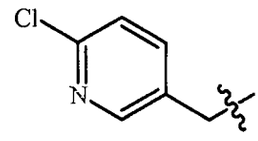
Y-30



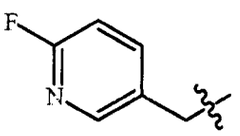
Y-31



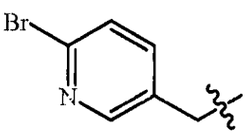
Y-32



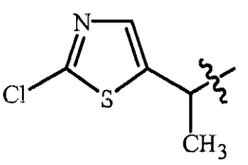
Y-33



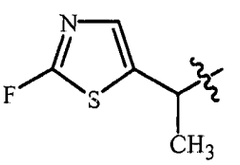
Y-34



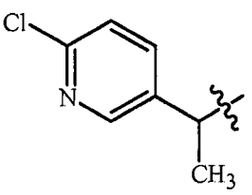
Y-35



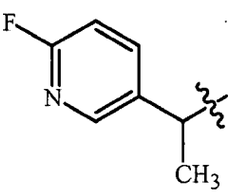
Y-36



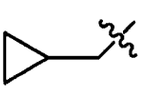
Y-37



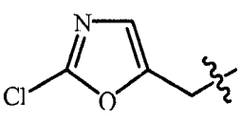
Y-38



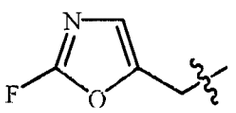
Y-39



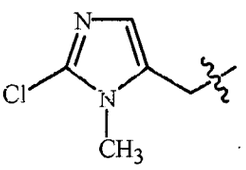
Y-40



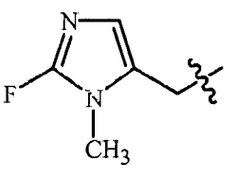
Y-41



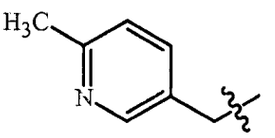
Y-42



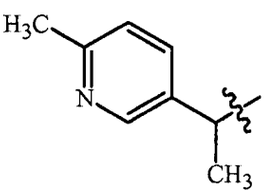
Y-43



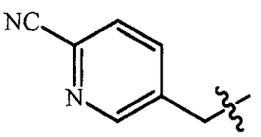
Y-44



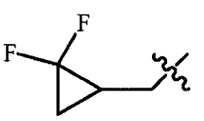
Y-45



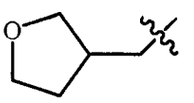
Y-46



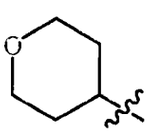
Y-47



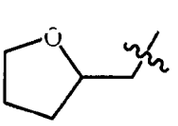
Y-48



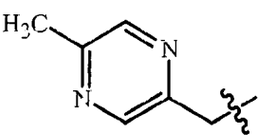
Y-49



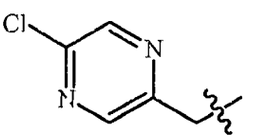
Y-50



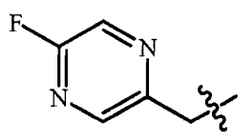
Y-51



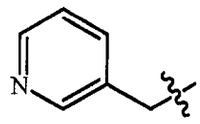
Y-52



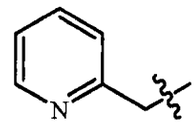
Y-53



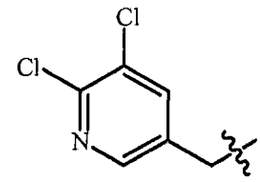
Y-54



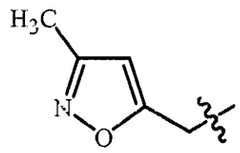
Y-55



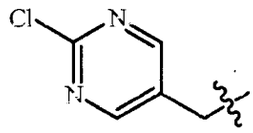
Y-56



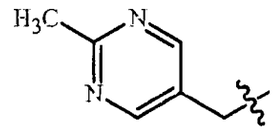
Y-57



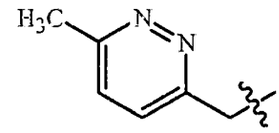
Y-58



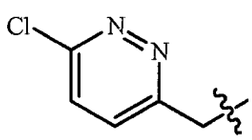
Y-59



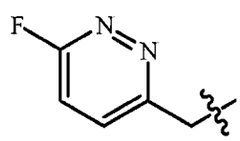
Y-60



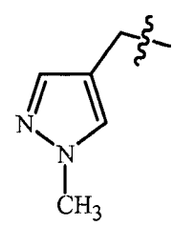
Y-61



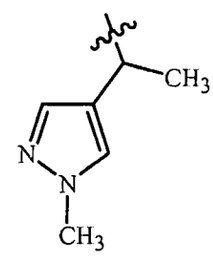
Y-62



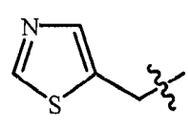
Y-63



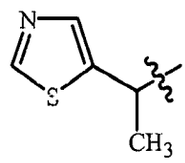
Y-64



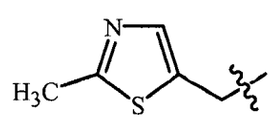
Y-65



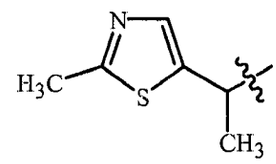
Y-66



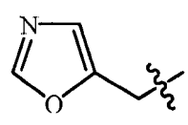
Y-67



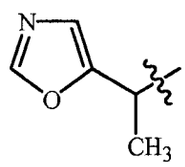
Y-68



Y-69

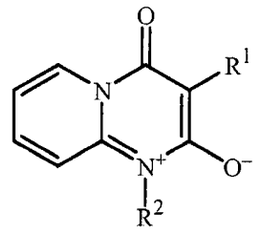


Y-70



Y-71

TABLE 1



R^2 is Y-1

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 is Y-2

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 is Y-3

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 is Y-4

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 is Y-5

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20

X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 is Y-6

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 is Y-7

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77

X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 is Y-8

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 is Y-9

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117

X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 is Y-10

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 is Y-11

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 is Y-12

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 is Y-13

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 is Y-14

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30

X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 is Y-15

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 is Y-16

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87

X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 is Y-17

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 is Y-18

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 is Y-19

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 is Y-20

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 is Y-21

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30

X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 is Y-22

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 is Y-30

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87

X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 is Y-31

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 is Y-32

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 is Y-33

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 is Y-34

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 is Y-35

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30

X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 is Y-36

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 is Y-37

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87

X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 is Y-38

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 is Y-39

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 is Y-40

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 is Y-41

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 is Y-42

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30

X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 is Y-43

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 is Y-44

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87

X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 is Y-45

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 is Y-46

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 is Y-47

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 is Y-48

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 is Y-49

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30

X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 is Y-50

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 is Y-51

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87

X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 is Y-52

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 is Y-53

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 is Y-54

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 is Y-55

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 is Y-56

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30

X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 is Y-57

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 is Y-58

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87

X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 is Y-59

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 is Y-60

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 is Y-61

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 is Y-62

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 is Y-63

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30

X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 is Y-64

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 is Y-65

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87

X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 is Y-66

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 is Y-67

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 is Y-68

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 is Y-69

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 is Y-70

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30

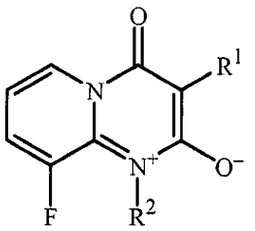
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 is Y-71

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

TABLE 2

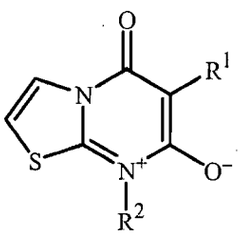
Table 2 is constructed the same as Table 1, except that the chemical structure under the Table 1 heading is replaced with the following structure:



For example, the first compound in Table 2 is the structure shown immediately above wherein R^1 is X-1 and R^2 is Y-1 as defined for Table 1.

TABLE 3

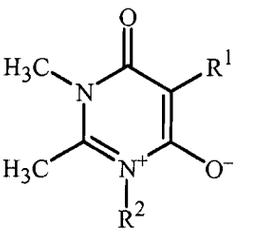
Table 3 is constructed the same as Table 1, except that the chemical structure under the Table 1 heading is replaced with the following structure:



For example, the first compound in Table 3 is the structure shown immediately above wherein R^1 is X-1 and R^2 is Y-1 as defined for Table 1.

TABLE 4

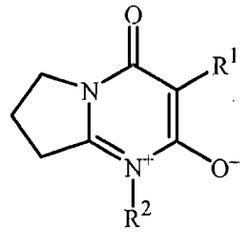
Table 4 is constructed the same as Table 1, except that the chemical structure under the Table 1 heading is replaced with the following structure:



For example, the first compound in Table 4 is the structure shown immediately above wherein R^1 is X-1 and R^2 is Y-1 as defined for Table 1.

TABLE 5

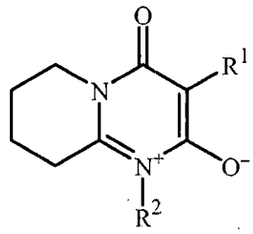
Table 5 is constructed the same as Table 1, except that the chemical structure under the Table 1 heading is replaced with the following structure:



For example, the first compound in Table 5 is the structure shown immediately above wherein R^1 is X-1 and R^2 is Y-1 as defined for Table 1.

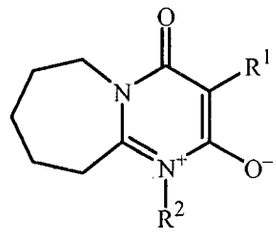
TABLE 6

Table 6 is constructed the same as Table 1, except that the chemical structure under the Table 1 heading is replaced with the following structure:



For example, the first compound in Table 6 is the structure shown immediately above wherein R^1 is X-1 and R^2 is Y-1 as defined for Table 1.

TABLE 7



R^2 is Y-3

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-15	X-17	X-25	X-26	X-27	X-31	X-35	X-50	X-51	X-52
X-53	X-54	X-55	X-56	X-57	X-59	X-60	X-61	X-63	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-93	X-94	X-97	X-100	X-102	X-103	X-105
X-106	X-107	X-108	X-118	X-120	X-121	X-122	X-123	X-124	X-125

R^2 is Y-19

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-15	X-17	X-25	X-26	X-27	X-31	X-35	X-50	X-51	X-52
X-53	X-54	X-55	X-56	X-57	X-59	X-60	X-61	X-63	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-93	X-94	X-97	X-100	X-102	X-103	X-105
X-106	X-107	X-108	X-118	X-120	X-121	X-122	X-123	X-124	X-125

R^2 is Y-20

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-15	X-17	X-25	X-26	X-27	X-31	X-35	X-50	X-51	X-52
X-53	X-54	X-55	X-56	X-57	X-59	X-60	X-61	X-63	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-93	X-94	X-97	X-100	X-102	X-103	X-105
X-106	X-107	X-108	X-118	X-120	X-121	X-122	X-123	X-124	X-125

R^2 is Y-22

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-15	X-17	X-25	X-26	X-27	X-31	X-35	X-50	X-51	X-52
X-53	X-54	X-55	X-56	X-57	X-59	X-60	X-61	X-63	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-93	X-94	X-97	X-100	X-102	X-103	X-105
X-106	X-107	X-108	X-118	X-120	X-121	X-122	X-123	X-124	X-125

R^2 is Y-30

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-15	X-17	X-25	X-26	X-27	X-31	X-35	X-50	X-51	X-52
X-53	X-54	X-55	X-56	X-57	X-59	X-60	X-61	X-63	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-93	X-94	X-97	X-100	X-102	X-103	X-105
X-106	X-107	X-108	X-118	X-120	X-121	X-122	X-123	X-124	X-125

R^2 is Y-31

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-15	X-17	X-25	X-26	X-27	X-31	X-35	X-50	X-51	X-52
X-53	X-54	X-55	X-56	X-57	X-59	X-60	X-61	X-63	X-77

X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-93	X-94	X-97	X-100	X-102	X-103	X-105
X-106	X-107	X-108	X-118	X-120	X-121	X-122	X-123	X-124	X-125

R^2 is Y-33

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-15	X-17	X-25	X-26	X-27	X-31	X-35	X-50	X-51	X-52
X-53	X-54	X-55	X-56	X-57	X-59	X-60	X-61	X-63	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-93	X-94	X-97	X-100	X-102	X-103	X-105
X-106	X-107	X-108	X-118	X-120	X-121	X-122	X-123	X-124	X-125

R^2 is Y-34

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-15	X-17	X-25	X-26	X-27	X-31	X-35	X-50	X-51	X-52
X-53	X-54	X-55	X-56	X-57	X-59	X-60	X-61	X-63	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-93	X-94	X-97	X-100	X-102	X-103	X-105
X-106	X-107	X-108	X-118	X-120	X-121	X-122	X-123	X-124	X-125

5

R^2 is Y-36

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-15	X-17	X-25	X-26	X-27	X-31	X-35	X-50	X-51	X-52
X-53	X-54	X-55	X-56	X-57	X-59	X-60	X-61	X-63	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-93	X-94	X-97	X-100	X-102	X-103	X-105
X-106	X-107	X-108	X-118	X-120	X-121	X-122	X-123	X-124	X-125

R^2 is Y-37

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-15	X-17	X-25	X-26	X-27	X-31	X-35	X-50	X-51	X-52
X-53	X-54	X-55	X-56	X-57	X-59	X-60	X-61	X-63	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-93	X-94	X-97	X-100	X-102	X-103	X-105
X-106	X-107	X-108	X-118	X-120	X-121	X-122	X-123	X-124	X-125

10

R^2 is Y-38

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-15	X-17	X-25	X-26	X-27	X-31	X-35	X-50	X-51	X-52
X-53	X-54	X-55	X-56	X-57	X-59	X-60	X-61	X-63	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-93	X-94	X-97	X-100	X-102	X-103	X-105
X-106	X-107	X-108	X-118	X-120	X-121	X-122	X-123	X-124	X-125

R^2 is Y-39

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-15	X-17	X-25	X-26	X-27	X-31	X-35	X-50	X-51	X-52
X-53	X-54	X-55	X-56	X-57	X-59	X-60	X-61	X-63	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-93	X-94	X-97	X-100	X-102	X-103	X-105
X-106	X-107	X-108	X-118	X-120	X-121	X-122	X-123	X-124	X-125

R^2 is Y-40

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-15	X-17	X-25	X-26	X-27	X-31	X-35	X-50	X-51	X-52
X-53	X-54	X-55	X-56	X-57	X-59	X-60	X-61	X-63	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-93	X-94	X-97	X-100	X-102	X-103	X-105
X-106	X-107	X-108	X-118	X-120	X-121	X-122	X-123	X-124	X-125

R^2 is Y-45

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-15	X-17	X-25	X-26	X-27	X-31	X-35	X-50	X-51	X-52
X-53	X-54	X-55	X-56	X-57	X-59	X-60	X-61	X-63	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-93	X-94	X-97	X-100	X-102	X-103	X-105
X-106	X-107	X-108	X-118	X-120	X-121	X-122	X-123	X-124	X-125

R^2 is Y-49

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-15	X-17	X-25	X-26	X-27	X-31	X-35	X-50	X-51	X-52
X-53	X-54	X-55	X-56	X-57	X-59	X-60	X-61	X-63	X-77

X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-93	X-94	X-97	X-100	X-102	X-103	X-105
X-106	X-107	X-108	X-118	X-120	X-121	X-122	X-123	X-124	X-125

R^2 is Y-52

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-15	X-17	X-25	X-26	X-27	X-31	X-35	X-50	X-51	X-52
X-53	X-54	X-55	X-56	X-57	X-59	X-60	X-61	X-63	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-93	X-94	X-97	X-100	X-102	X-103	X-105
X-106	X-107	X-108	X-118	X-120	X-121	X-122	X-123	X-124	X-125

R^2 is Y-53

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-15	X-17	X-25	X-26	X-27	X-31	X-35	X-50	X-51	X-52
X-53	X-54	X-55	X-56	X-57	X-59	X-60	X-61	X-63	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-93	X-94	X-97	X-100	X-102	X-103	X-105
X-106	X-107	X-108	X-118	X-120	X-121	X-122	X-123	X-124	X-125

5

R^2 is Y-55

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-15	X-17	X-25	X-26	X-27	X-31	X-35	X-50	X-51	X-52
X-53	X-54	X-55	X-56	X-57	X-59	X-60	X-61	X-63	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-93	X-94	X-97	X-100	X-102	X-103	X-105
X-106	X-107	X-108	X-118	X-120	X-121	X-122	X-123	X-124	X-125

R^2 is Y-64

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-15	X-17	X-25	X-26	X-27	X-31	X-35	X-50	X-51	X-52
X-53	X-54	X-55	X-56	X-57	X-59	X-60	X-61	X-63	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-93	X-94	X-97	X-100	X-102	X-103	X-105
X-106	X-107	X-108	X-118	X-120	X-121	X-122	X-123	X-124	X-125

10

R^2 is Y-65

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-15	X-17	X-25	X-26	X-27	X-31	X-35	X-50	X-51	X-52
X-53	X-54	X-55	X-56	X-57	X-59	X-60	X-61	X-63	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-93	X-94	X-97	X-100	X-102	X-103	X-105
X-106	X-107	X-108	X-118	X-120	X-121	X-122	X-123	X-124	X-125

R^2 is Y-66

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-15	X-17	X-25	X-26	X-27	X-31	X-35	X-50	X-51	X-52
X-53	X-54	X-55	X-56	X-57	X-59	X-60	X-61	X-63	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-93	X-94	X-97	X-100	X-102	X-103	X-105
X-106	X-107	X-108	X-118	X-120	X-121	X-122	X-123	X-124	X-125

R^2 is Y-67

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-15	X-17	X-25	X-26	X-27	X-31	X-35	X-50	X-51	X-52
X-53	X-54	X-55	X-56	X-57	X-59	X-60	X-61	X-63	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-93	X-94	X-97	X-100	X-102	X-103	X-105
X-106	X-107	X-108	X-118	X-120	X-121	X-122	X-123	X-124	X-125

R^2 is Y-68

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-15	X-17	X-25	X-26	X-27	X-31	X-35	X-50	X-51	X-52
X-53	X-54	X-55	X-56	X-57	X-59	X-60	X-61	X-63	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-93	X-94	X-97	X-100	X-102	X-103	X-105
X-106	X-107	X-108	X-118	X-120	X-121	X-122	X-123	X-124	X-125

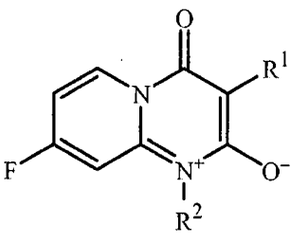
R^2 is Y-69

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-15	X-17	X-25	X-26	X-27	X-31	X-35	X-50	X-51	X-52
X-53	X-54	X-55	X-56	X-57	X-59	X-60	X-61	X-63	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87

X-88	X-89	X-90	X-93	X-94	X-97	X-100	X-102	X-103	X-105
X-106	X-107	X-108	X-113	X-120	X-121	X-122	X-123	X-124	X-125

TABLE 8

Table 8 is constructed the same as Table 7, except that the chemical structure under the Table 7 heading is replaced with the following structure:



For example, the first compound in Table 8 is the structure shown immediately above wherein R^1 is X-15 and R^2 is Y-3 as defined for Table 7.

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 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–F. 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 7	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 138	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 157	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 7	10.0%
polyoxyethylene sorbitol hexoleate	20.0%
C_6–C_{10} fatty acid methyl ester	70.0%

Example F

Microemulsion

Compound 50	5.0%
polyvinylpyrrolidone-vinyl acetate copolymer	30.0%
alkylpolyglycoside	30.0%
glyceryl monooleate	15.0%
water	20.0%

Example G

Seed Treatment

Compound 138	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 157	2.50%
pyrrolidone-styrene copolymer	4.80%
tristyrylphenyl 16-ethoxylate	2.30%
talc	0.80%
corn starch	5.00%
Nitrophoska® Permanent 15-9-15 slow-release fertilizer (BASF)	36.00%
kaolin	38.00%
water	10.60%

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 frugiperda* 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. Also included are 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* Nitzsch), 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). 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.).

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 cincticeps* 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), *Scirtothrips 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*).

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**, 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, bifenthrin, bifenazate, bistrifluron, borate, 3-bromo-1-(3-chloro-2-pyridinyl)-*N*-[4-cyano-2-methyl-6-[(methylamino)carbonyl]phenyl]-1*H*-pyrazole-5-carboxamide (cyantraniliprole), buprofezin, cadusafos, carbaryl, carbofuran, cartap, carzol, chlorantraniliprole, chlorfenapyr, chlorfluazuron, chlorpyrifos, chlorpyrifos-methyl, chromafenozide, clofentezin, clothianidin, 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.

Of note are insecticides such as abamectin, acetamiprid, acrinathrin, amitraz, avermectin, azadirachtin, bifenthrin, 3-bromo-1-(3-chloro-2-pyridinyl)-*N*-[4-cyano-2-methyl-6-[(methylamino)carbonyl]phenyl]-1*H*-pyrazole-5-carboxamide (cyantraniliprole), buprofezin, cadusafos, carbaryl, cartap, chlorantraniliprole, chlorfenapyr, chlorpyrifos, clothianidin, 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 (see U.S. Patent 6,747,047, PCT Publications WO 2003/015518 and WO 2004/067528) and flubendiamide (see U.S. Patent 6,603,044); 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 acibenzolar, aldimorph, amisulbrom, azaconazole, azoxystrobin, benalaxyl, benomyl, benthiavalicarb, benthiavalicarb-isopropyl, binomial, biphenyl, bitertanol, blasticidin-S, Bordeaux mixture (Tribasic copper sulfate), boscalid/nicobifen, bromuconazole, bupirimate, buthiobate, carboxin, carpropamid, captafol, captan, carbendazim, chloroneb, chlorothalonil, chlozolinate, clotrimazole, copper oxychloride, copper salts such as copper sulfate and copper hydroxide, cyazofamid, cyflunamid, cymoxanil, cyproconazole, cyprodinil,

dichlofluanid, diclocymet, diclomezine, dicloran, diethofencarb, difenoconazole, dimethomorph, dimoxystrobin, diniconazole, diniconazole-M, dinocap, discostrobin, dithianon, dodemorph, dodine, econazole, etaconazole, edifenphos, epoxiconazole, ethaboxam, ethirimol, ethridiazole, famoxadone, fenamidone, fenarimol, fenbuconazole, fencaramid, fenfuram, fenhexamide, fenoxanil, fenpiclonil, fenpropidin, fenpropimorph, fentin acetate, fentin hydroxide, ferbam, ferfurazoate, ferimzone, fluazinam, fludioxonil, flumetover, fluopicolide, fluoxastrobin, fluquinconazole, fluquinconazole, flusilazole, flusulfamide, flutolanil, flutriafol, folpet, fosetyl-aluminum, fuberidazole, furalaxyl, furametapyr, hexaconazole, hymexazole, guazatine, imazalil, imibenconazole, iminoctadine, iodicarb, ipconazole, iprobenfos, iprodione, iprovalicarb, isoconazole, isoprothiolane, kasugamycin, kresoxim-methyl, mancozeb, mandipropamid, maneb, mapanipyrin, mefenoxam, mepronil, metalaxyl, metconazole, methasulfocarb, metiram, metominostrobin/fenominostrobin, mepanipyrim, metrafenone, miconazole, myclobutanil, neo-asozin (ferric methanearsonate), nuarimol, octhilinone, ofurace, orysastrobin, oxadixyl, oxolinic acid, oxpoconazole, oxycarboxin, paclobutrazol, penconazole, pencycuron, penthiopyrad, perfurazoate, phosphonic acid, phthalide, picobenzamid, picoxystrobin, polyoxin, probenazole, prochloraz, procymidone, propamocarb, propamocarb-hydrochloride, propiconazole, propineb, proquinazid, prothioconazole, pyraclostrobin, pryazophos, pyrifenox, pyrimethanil, pyrifenox, pyrolnitrine, pyroquilon, quinconazole, quinoxyfen, quintozene, silthiofam, simeconazole, spiroxamine, streptomycin, sulfur, tebuconazole, techrazene, tecloftalam, tecnazene, tetraconazole, thiabendazole, thifluzamide, thiophanate, thiophanate-methyl, thiram, tiadinil, tolclofos-methyl, tolyfluanid, triadimefon, triadimenol, triarimol, triazoxide, tridemorph, trimoprhamide tricyclazole, trifloxystrobin, triforine, triticonazole, uniconazole, validamycin, vinclozolin, zineb, ziram, and zoxamide; nematocides such as 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.

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** 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 the invertebrate pest control agent can be applied relative to a compound of Formula **1** (e.g., "50:1 to 1:50" of abamectin relative to a compound of Formula **1** 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

Invertebrate Pest Control Agent	Mode of Action or Chemical Class	Typical Weight Ratio
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
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
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

Invertebrate Pest Control Agent	Mode of Action or Chemical Class	Typical Weight Ratio
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
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
(a)	ryanodine receptor ligands	100:1 to 1:120

(a) 3-bromo-1-(3-chloro-2-pyridinyl)-*N*-[4-cyano-2-methyl-6-[(methylamino)carbonyl]-phenyl]-1*H*-pyrazole-5-carboxamide (cyantraniliprole)

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**, 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 Table B are embodiments of specific compositions comprising a compound of Formula **1** (compound numbers refer to compounds in Index Tables A–I) and an additional invertebrate pest control agent.

Table B

Mixture No.	Comp. No.	and	Invertebrate Pest Control Agent	Mixture No.	Comp. No.	and	Invertebrate Pest Control Agent
A-1	7	and	Abamectin	B-1	50	and	Abamectin
A-2	7	and	Acetamiprid	B-2	50	and	Acetamiprid
A-3	7	and	Amitraz	B-3	50	and	Amitraz
A-4	7	and	Avermectin	B-4	50	and	Avermectin
A-5	7	and	Azadirachtin	B-5	50	and	Azadirachtin
A-6	7	and	Beta-cyfluthrin	B-6	50	and	Beta-cyfluthrin
A-7	7	and	Bifenthrin	B-7	50	and	Bifenthrin
A-8	7	and	Buprofezin	B-8	50	and	Buprofezin
A-9	7	and	Cartap	B-9	50	and	Cartap
A-10	7	and	Chlorantraniliprole	B-10	50	and	Chlorantraniliprole
A-11	7	and	Chlorfenapyr	B-11	50	and	Chlorfenapyr
A-12	7	and	Chlorpyrifos	B-12	50	and	Chlorpyrifos
A-13	7	and	Clothianidin	B-13	50	and	Clothianidin
A-14	7	and	Cyfluthrin	B-14	50	and	Cyfluthrin
A-15	7	and	Cyhalothrin	B-15	50	and	Cyhalothrin
A-16	7	and	Cypermethrin	B-16	50	and	Cypermethrin
A-17	7	and	Cyromazine	B-17	50	and	Cyromazine
A-18	7	and	Deltamethrin	B-18	50	and	Deltamethrin
A-19	7	and	Dieldrin	B-19	50	and	Dieldrin
A-20	7	and	Dinotefuran	B-20	50	and	Dinotefuran
A-21	7	and	Diofenolan	B-21	50	and	Diofenolan
A-22	7	and	Emamectin	B-22	50	and	Emamectin
A-23	7	and	Endosulfan	B-23	50	and	Endosulfan
A-24	7	and	Esfenvalerate	B-24	50	and	Esfenvalerate
A-25	7	and	Ethiprole	B-25	50	and	Ethiprole

Mixture No.	Comp. No.	and	Invertebrate Pest Control Agent
A-26	7	and	Fenothiocarb
A-27	7	and	Fenoxycarb
A-28	7	and	Fenvalerate
A-29	7	and	Fipronil
A-30	7	and	Flonicamid
A-31	7	and	Flubendiamide
A-32	7	and	Flufenoxuron
A-33	7	and	Hexaflumuron
A-34	7	and	Hydramethylnon
A-35	7	and	Imidacloprid
A-36	7	and	Indoxacarb
A-37	7	and	Lambda-cyhalothrin
A-38	7	and	Lufenuron
A-39	7	and	Metaflumizone
A-40	7	and	Methomyl
A-41	7	and	Methoprene
A-42	7	and	Methoxyfenozide
A-43	7	and	Nitenpyram
A-44	7	and	Nithiazine
A-45	7	and	Novaluron
A-46	7	and	Oxamyl
A-47	7	and	Pymetrozine
A-48	7	and	Pyrethrin
A-49	7	and	Pyridaben
A-50	7	and	Pyridalyl
A-51	7	and	Pyriproxyfen
A-52	7	and	Ryanodine
A-53	7	and	Spinetoram
A-54	7	and	Spinosad
A-55	7	and	Spirodiclofen
A-56	7	and	Spiromesifen
A-57	7	and	Tebufenozide
A-58	7	and	Thiacloprid
A-59	7	and	Thiamethoxam
A-60	7	and	Thiodicarb
A-61	7	and	Thiosultap-sodium

Mixture No.	Comp. No.	and	Invertebrate Pest Control Agent
B-26	50	and	Fenothiocarb
B-27	50	and	Fenoxycarb
B-28	50	and	Fenvalerate
B-29	50	and	Fipronil
B-30	50	and	Flonicamid
B-31	50	and	Flubendiamide
B-32	50	and	Flufenoxuron
B-33	50	and	Hexaflumuron
B-34	50	and	Hydramethylnon
B-35	50	and	Imidacloprid
B-36	50	and	Indoxacarb
B-37	50	and	Lambda-cyhalothrin
B-38	50	and	Lufenuron
B-39	50	and	Metaflumizone
B-40	50	and	Methomyl
B-41	50	and	Methoprene
B-42	50	and	Methoxyfenozide
B-43	50	and	Nitenpyram
B-44	50	and	Nithiazine
B-45	50	and	Novaluron
B-46	50	and	Oxamyl
B-47	50	and	Pymetrozine
B-48	50	and	Pyrethrin
B-49	50	and	Pyridaben
B-50	50	and	Pyridalyl
B-51	50	and	Pyriproxyfen
B-52	50	and	Ryanodine
B-53	50	and	Spinetoram
B-54	50	and	Spinosad
B-55	50	and	Spirodiclofen
B-56	50	and	Spiromesifen
B-57	50	and	Tebufenozide
B-58	50	and	Thiacloprid
B-59	50	and	Thiamethoxam
B-60	50	and	Thiodicarb
B-61	50	and	Thiosultap-sodium

Mixture No.	Comp. No.	and	Invertebrate Pest Control Agent
A-62	7	and	Tralomethrin
A-63	7	and	Triazamate
A-64	7	and	Triflumuron
A-65	7	and	*Bacillus thuringiensis*
A-66	7	and	*Bacillus thuringiensis* delta-endotoxin
A-67	7	and	NPV (e.g., Gemstar)
A-68	7	and	(a)
C-1	138	and	Abamectin
C-2	138	and	Acetamiprid
C-3	138	and	Amitraz
C-4	138	and	Avermectin
C-5	138	and	Azadirachtin
C-6	138	and	Beta-cyfluthrin
C-7	138	and	Bifenthrin
C-8	138	and	Buprofezin
C-9	138	and	Cartap
C-10	138	and	Chlorantraniliprole
C-11	138	and	Chlorfenapyr
C-12	138	and	Chlorpyrifos
C-13	138	and	Clothianidin
C-14	138	and	Cyfluthrin
C-15	138	and	Cyhalothrin
C-16	138	and	Cypermethrin
C-17	138	and	Cyromazine
C-18	138	and	Deltamethrin
C-19	138	and	Dieldrin
C-20	138	and	Dinotefuran
C-21	138	and	Diofenolan
C-22	138	and	Emamectin
C-23	138	and	Endosulfan
C-24	138	and	Esfenvalerate
C-25	138	and	Ethiprole
C-26	138	and	Fenothiocarb
C-27	138	and	Fenoxycarb
C-28	138	and	Fenvalerate

Mixture No.	Comp. No.	and	Invertebrate Pest Control Agent
B-62	50	and	Tralomethrin
B-63	50	and	Triazamate
B-64	50	and	Triflumuron
B-65	50	and	*Bacillus thuringiensis*
B-66	50	and	*Bacillus thuringiensis* delta-endotoxin
B-67	50	and	NPV (e.g., Gemstar)
B-68	50	and	(a)
D-1	157	and	Abamectin
D-2	157	and	Acetamiprid
D-3	157	and	Amitraz
D-4	157	and	Avermectin
D-5	157	and	Azadirachtin
D-6	157	and	Beta-cyfluthrin
D-7	157	and	Bifenthrin
D-8	157	and	Buprofezin
D-9	157	and	Cartap
D-10	157	and	Chlorantraniliprole
D-11	157	and	Chlorfenapyr
D-12	157	and	Chlorpyrifos
D-13	157	and	Clothianidin
D-14	157	and	Cyfluthrin
D-15	157	and	Cyhalothrin
D-16	157	and	Cypermethrin
D-17	157	and	Cyromazine
D-18	157	and	Deltamethrin
D-19	157	and	Dieldrin
D-20	157	and	Dinotefuran
D-21	157	and	Diofenolan
D-22	157	and	Emamectin
D-23	157	and	Endosulfan
D-24	157	and	Esfenvalerate
D-25	157	and	Ethiprole
D-26	157	and	Fenothiocarb
D-27	157	and	Fenoxycarb
D-28	157	and	Fenvalerate

Mixture No.	Comp. No.	and	Invertebrate Pest Control Agent
C-29	138	and	Fipronil
C-30	138	and	Flonicamid
C-31	138	and	Flubendiamide
C-32	138	and	Flufenoxuron
C-33	138	and	Hexaflumuron
C-34	138	and	Hydramethylnon
C-35	138	and	Imidacloprid
C-36	138	and	Indoxacarb
C-37	138	and	Lambda-cyhalothrin
C-38	138	and	Lufenuron
C-39	138	and	Metaflumizone
C-40	138	and	Methomyl
C-41	138	and	Methoprene
C-42	138	and	Methoxyfenozide
C-43	138	and	Nitenpyram
C-44	138	and	Nithiazine
C-45	138	and	Novaluron
C-46	138	and	Oxamyl
C-47	138	and	Pymetrozine
C-48	138	and	Pyrethrin
C-49	138	and	Pyridaben
C-50	138	and	Pyridalyl
C-51	138	and	Pyriproxyfen
C-52	138	and	Ryanodine
C-53	138	and	Spinetoram
C-54	138	and	Spinosad
C-55	138	and	Spirodiclofen
C-56	138	and	Spiromesifen
C-57	138	and	Tebufenozide
C-58	138	and	Thiacloprid
C-59	138	and	Thiamethoxam
C-60	138	and	Thiodicarb
C-61	138	and	Thiosultap-sodium
C-62	138	and	Tralomethrin
C-63	138	and	Triazamate
C-64	138	and	Triflumuron

Mixture No.	Comp. No.	and	Invertebrate Pest Control Agent
D-29	157	and	Fipronil
D-30	157	and	Flonicamid
D-31	157	and	Flubendiamide
D-32	157	and	Flufenoxuron
D-33	157	and	Hexaflumuron
D-34	157	and	Hydramethylnon
D-35	157	and	Imidacloprid
D-36	157	and	Indoxacarb
D-37	157	and	Lambda-cyhalothrin
D-38	157	and	Lufenuron
D-39	157	and	Metaflumizone
D-40	157	and	Methomyl
D-41	157	and	Methoprene
D-42	157	and	Methoxyfenozide
D-43	157	and	Nitenpyram
D-44	157	and	Nithiazine
D-45	157	and	Novaluron
D-46	157	and	Oxamyl
D-47	157	and	Pymetrozine
D-48	157	and	Pyrethrin
D-49	157	and	Pyridaben
D-50	157	and	Pyridalyl
D-51	157	and	Pyriproxyfen
D-52	157	and	Ryanodine
D-53	157	and	Spinetoram
D-54	157	and	Spinosad
D-55	157	and	Spirodiclofen
D-56	157	and	Spiromesifen
D-57	157	and	Tebufenozide
D-58	157	and	Thiacloprid
D-59	157	and	Thiamethoxam
D-60	157	and	Thiodicarb
D-61	157	and	Thiosultap-sodium
D-62	157	and	Tralomethrin
D-63	157	and	Triazamate
D-64	157	and	Triflumuron

Mixture No.	Comp. No.	and	Invertebrate Pest Control Agent
C-65	138	and	*Bacillus thuringiensis*
C-66	138	and	*Bacillus thuringiensis* delta-endotoxin
C-67	138	and	NPV (e.g., Gemstar)
C-68	138	And	(a)

Mixture No.	Comp. No.	and	Invertebrate Pest Control Agent
D-65	157	and	*Bacillus thuringiensis*
D-66	157	and	*Bacillus thuringiensis* delta-endotoxin
D-67	157	and	NPV (e.g., Gemstar)
D-68	157	And	(a)

(a) 3-bromo-1-(3-chloro-2-pyridinyl)-*N*-[4-cyano-2-methyl-6-[(methylamino)carbonyl]phenyl]-1*H*-pyrazole-5-carboxamide (cyantraniliprole)

The specific mixtures listed in Table B typically combine a compound of Formula 1 with the other invertebrate pest agent in the ratios specified in Table A.

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.

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** 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; (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*, (*5th 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 Cutercbra 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 scabici; 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; 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; trifluoromethanesulfonanilide oxime ether derivatives, as described by U.S. application Ser. No. 11/231,423, filed on Sep. 21, 2005; 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.

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, and U.S. patent application Ser. No. 11/016,794).

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** 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** 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

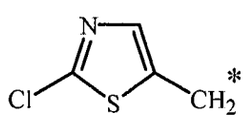
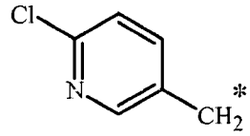
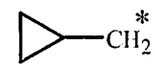
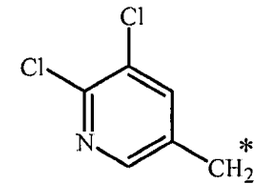
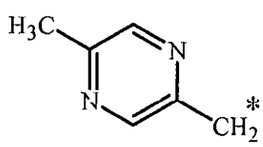
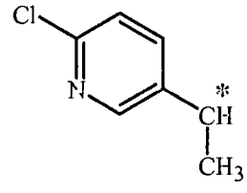
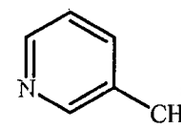
pest. One skilled in the art will appreciate that the parasitically effective dose can vary for the various compounds and compositions of the present invention, the desired parasitical effect and duration, the target invertebrate pest species, the animal to be protected, the mode of application and the like, and the amount needed to achieve a particular result can be determined through simple experimentation.

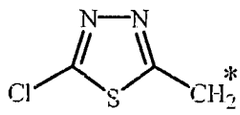
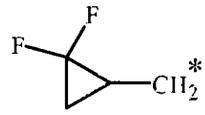
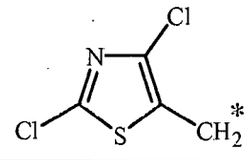
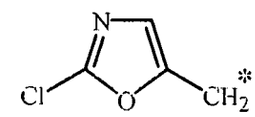
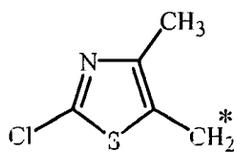
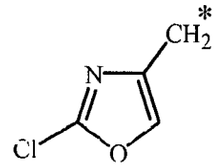
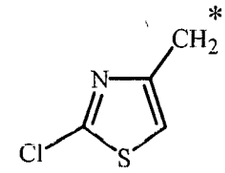
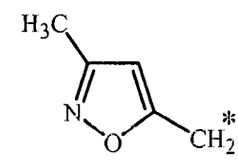
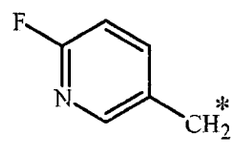
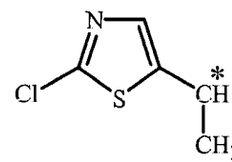
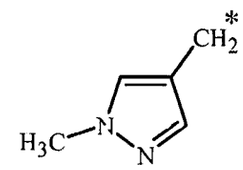
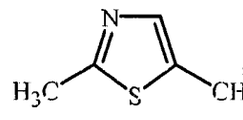
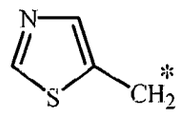
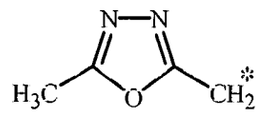
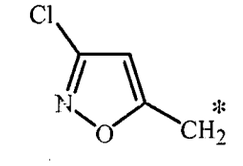
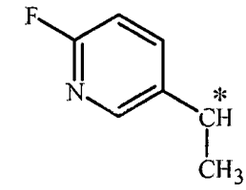
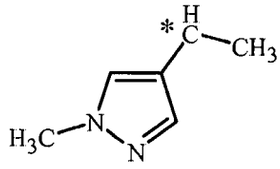
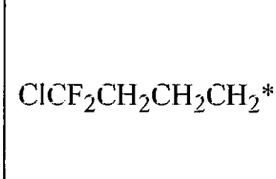
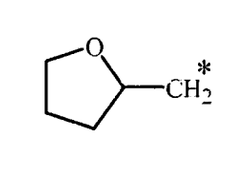
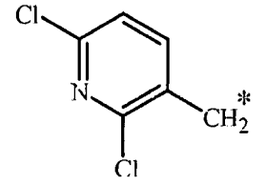
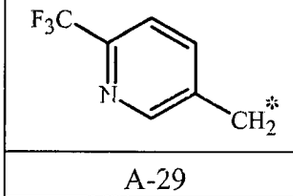
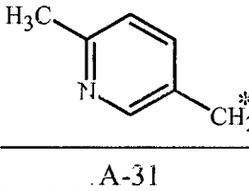
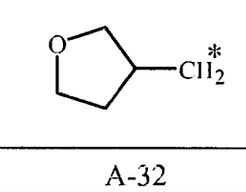
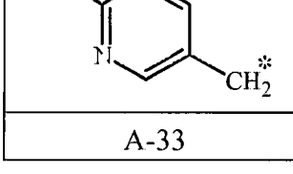
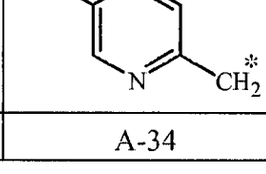
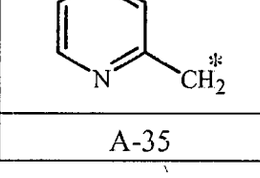
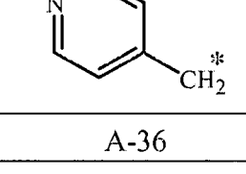
For oral administration to homeothermic animals, the daily dosage of a compound of the present invention typically ranges from about 0.01 mg/kg to about 100 mg/kg, more typically from about 0.5 mg/kg to about 100 mg/kg, of animal body weight. For topical (e.g., dermal) administration, dips and sprays 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.

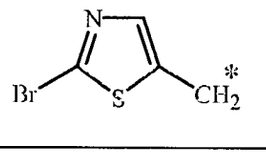
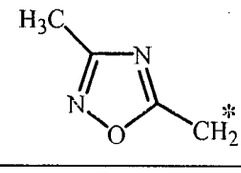
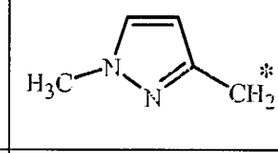
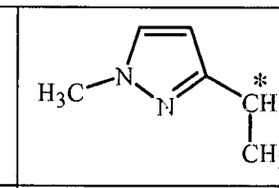
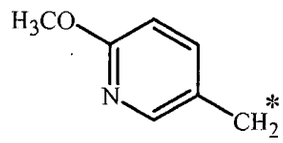
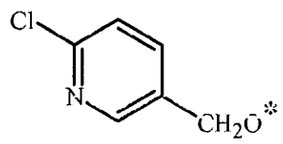
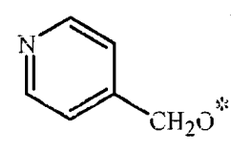
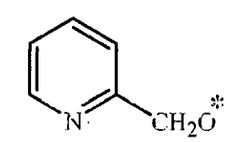
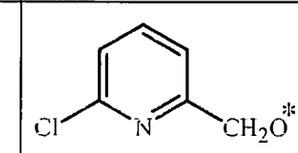
The compounds of this invention prepared by the methods described herein are shown in Index Tables A–F. See Index Table G for ^{1}H NMR data. For mass spectral data (AP^+ (M+1)), the numerical value reported is the molecular weight of the parent molecular ion (M) formed by addition of H^+ (molecular 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 Table C represents one or a combination of substituents as listed in Index Table C.

The following additional 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.

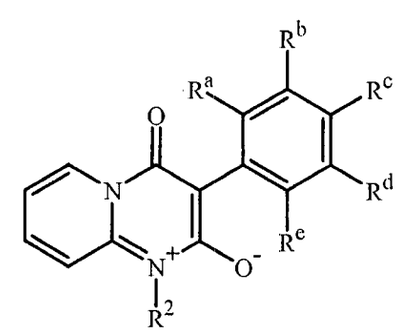
Fragments A-1 through A-42 and B-1 through B-4 shown below are referred to in the Index Tables. The asterisk * denotes the attachment point of the fragment to the remainder of the molecule.

			
A-1	A-2	A-3	A-4
			$ClCF_2CHFCH_2CH_2$*
A-5	A-6	A-7	A-8

			
A-9	A-10	A-11	A-12
			
A-13	A-14	A-15	A-16
			
A-17	A-18	A-19	A-20
			
A-21	A-22	A-23	A-24
			
A-25	A-26	A-27	A-28
	$ClCF_2CH_2CH_2CH_2$*		
A-29	A-30	A-31	A-32
			
A-33	A-34	A-35	A-36

			
A-37	A-38	A-39	A-40
			
A-41			
			
B-1	B-2	B-3	B-4

INDEX TABLE A



Cmpd	R^2	R^a	R^b	R^c	R^d	R^e	m.p. (°C)	AP+ (M+1)
1	CH_2CF_3	H	Br	H	H	H	233-234	
2	CH_2CF_3	H	OCH_3	H	H	H	124-125	
3	CH_2CF_3	H	Cl	H	H	H	*	
5	CH_2CF_3	H	H	F	H	H	205-206	
6	CH_2CF_3	H	CF_3	H	H	H	178-179	
7	CH_2CF_3	H	H	H	H	H	**	
8	$CH_2CH_2CH_3$	H	OCF_3	H	H	H	*	
9	CH_2CF_3	Cl	Cl	H	H	H	*	
10	CH_2CF_3	F	H	F	H	H	*	
11	CH_2CF_3	F	H	H	H	H	211-212	

Cmpd	R^2	R^a	R^b	R^c	R^d	R^e	m.p. (°C)	AP+ (M+1)
12	$CH_2CH_2CH_3$	H	CF_3	H	H	H	*	
13	CH_2CF_3	H	OCH_2CF_3	H	H	H	162-163	
14	CH_2CF_3	F	H	H	OCF_3	H	*	
15	CH_2CF_3	H	Cl	H	Cl	H	*	
16	CH_2CF_3	H	CH_3	F	H	H	239-240	
17	CH_2CF_3	OCH_3	H	H	H	H	*	
18	A-3	H	OCF_3	H	H	H	*	
19	A-3	H	H	F	H	H	188-189	
20	$CH_2CH_2CH_3$	H	F	H	F	H	*	
21	CH_2CF_3	F	H	Cl	H	H	*	
22	A-8	H	OCF_3	H	H	H	*	
23	CH_2CF_3	H	F	F	H	H	118-119	
24	CH_2CF_3	H	Br	F	H	H	213-214	
25	CH_2CF_3	OCH_3	H	H	Cl	H	*	
26	CH_2CF_3	H	H	Cl	H	H	226-227	
27	A-8	H	H	F	H	H	*	
28	$CH_2CH_2CH_3$	H	H	H	H	H	*	
29	A-3	Cl	H	F	H	H	191-192	
30	A-8	F	H	F	H	H	*	
31	A-3	F	H	F	H	H	204-205	
32	CH_2CF_3	H	F	H	F	H	*	
33	$CH_2CF_2CF_3$	H	OCF_3	H	H	H	*	
34	$CH_2CF_2CF_3$	H	H	H	H	H	*	
35	$CH_2CF_2CF_3$	H	H	F	H	H	*	
36	$CH_2CF_2CF_3$	F	H	F	H	H	*	
37	$CH_2CF{=}CF_2$	H	H	H	H	H	*	
38	$CH_2CH_2CF_3$	H	H	H	H	H	*	
39	A-3	H	H	H	H	H	*	
40	CH_2CF_3	H	OCF_3	H	H	H	140-141	
41	$CH_2CH_2CH_3$	H	H	cyano	H	H	*	
122	$CH_2CH{=}CH_2$	H	H	H	H	H	**	
42	A-1	H	H	F	H	H	*	
43	A-1	H	H	H	H	H	233-235	
44	A-1	F	H	F	H	H	*	
45	A-1	H	OCF_3	H	H	H	123-125	
46	A-1	H	CF_3	H	H	H	152-153	

Cmpd	R^2	R^a	R^b	R^c	R^d	R^e	m.p. (°C)	AP+ (M+1)
47	A-1	H	Cl	H	H	H	235-237	
48	A-1	H	H	$OCHF_2$	H	H	182-183	
49	A-1	H	H	OCH_3	H	H	215-217	
50	A-1	F	H	H	H	H	*	
51	A-2	H	Br	H	H	H	*	
52	A-2	H	Cl	H	CF_3	H	*	
53	A-2	H	CF_3	H	H	H	*	
54	A-2	H	OCF_3	H	H	H	*	
55	A-2	H	Br	H	OCF_3	H	*	
56	A-2	H	Cl	H	H	H	*	
57	A-2	H	H	F	H	H	*	
58	A-2	F	H	H	OCF_3	H	**	
59	A-2	H	H	H	H	H	**	
60	A-2	H	H	$OCHF_2$	H	H	*	
61	A-2	H	F	H	H	H	*	
62	A-2	F	H	H	Br	H	*	
63	A-2	F	H	F	H	H	*	
64	A-2	Cl	H	F	H	H	*	
65	A-2	H	H	F	H	H	211-213	
66	A-2	F	H	H	CF_3	H	*	
67	A-2	Cl	H	H	OCF_3	H	*	
68	A-2	F	CF_3	H	H	H	*	
70	A-2	F	H	H	Cl	H	*	
71	A-2	F	H	F	H	H	226-228	
72	A-2	F	H	H	H	H	*	
73	A-2	H	H	OCF_3	H	H	*	
74	A-2	H	CF_3	F	H	H	*	
75	A-2	H	H	OCH_3	H	H	*	
76	A-2	H	H	CF_3	H	H	*	
77	A-2	Cl	H	Cl	H	H	*	
78	A-2	F	H	F	F	H	*	
79	A-2	F	H	CF_3	H	H	*	
80	A-2	F	F	F	H	H	*	
81	A-2	H	H	H	H	H	241-243	
82	A-2	H	F	F	H	H	*	
83	A-2	H	OCH_3	H	H	H	*	

Cmpd	R^2	R^a	R^b	R^c	R^d	R^e	m.p. (°C)	AP+ (M+1)
84	A-2	H	H	CH_3	H	H	*	
85	A-2	F	H	Br	H	H	*	
86	A-2	H	CH_2CH_2O		H	H	*	
87	A-4	H	H	F	H	H	*	
88	A-2	F	H	H	F	H	*	
89	A-2	F	F	H	H	H	*	
90	A-2	CH_3	H	cyano	H	H	*	
91	A-2	CH_3	H	Br	H	H	*	
92	A-2	H	Br	F	H	H	*	
93	A-2	H	Br	H	CF_3	H	*	
94	A-2	F	H	cyano	H	H	*	
95	A-2	F	H	OCH_3	H	H	*	
96	A-2	CH_3	H	F	H	H	*	
97	A-5	H	OCF_3	H	H	H	*	
98	A-2	H	OCH_2O		H	H	*	
99	A-2	Br	H	F	H	H	*	
100	A-4	H	H	H	H	H	*	
101	A-2	H	OCH_3	F	H	H	*	
102	A-2	H	F	OCH_3	H	H	*	
103	A-7	H	Br	H	OCF_3	H	*	
104	A-2	H	H	Br	H	H	*	
105	A-2	H	H	cyano	H	H	*	
106	A-2	H	H	Cl	H	H	*	
107	A-2	H	cyano	H	H	H	*	
108	A-2	F	H	F	H	F	*	
109	A-6	H	H	F	H	H	*	
123	A-1	H	OCH_3	H	H	H	184-186	
124	A-1	H	Br	H	H	H	224-226	
161	$CH_2CH_2CH_3$	H	Br	H	H	H		359
162	$CH_2CH_2CH_3$	F	F	H	H	H		317
163	$CH_2CH_2CH_3$	F	H	F	H	H		317
164	$CH_2CH_2CH_3$	H	H	*i*-Pr	H	H		323
165	$CH_2CH_2CH_3$	H	H	Ph	H	H		357
166	A-1	F	H	H	CF_3	H		456
167	A-2	F	H	H	CF_3	H		434
168	A-1	F	H	H	H	F		406

Cmpd	R^2	R^a	R^b	R^c	R^d	R^e	m.p. (°C)	AP+ (M+1)
169	A-1	H	Cl	H	Cl	H		438
170	A-9	H	H	H	H	H	208-210	
171	A-3	F	H	cyano	H	H	*	
172	A-10	F	H	F	H	H		365
173	A-1	F	H	H	Cl	H		422
174	A-11	H	H	H	H	H		404
175	A-11	H	H	F	H	H		422
176	A-11	F	H	F	H	H		440
177	A-11	H	CF_3	H	H	H		472
178	A-12	H	H	H	H	H		354
179	A-1	H	OCH_3	H	Br	H		478
180	A-1	H	OCH_3	H	H	F		418
181	A-13	H	H	H	H	H		384
182	A-13	H	H	F	H	H		402
183	A-13	F	H	F	H	H		420
184	A-13	H	CF_3	H	H	H		452
185	A-1	H	OCH_3	H	OCH_3	H		430
186	A-1	H	CF_3	H	CF_3	H		506
187	A-3	H	CF_3	H	CF_3	H		429
188	A-3	H	CF_3	H	Br	H		439
189	CH_2CF_3	H	CF_3	H	Br	H	189-190	
190	A-14	H	H	H	H	H		354
191	A-14	H	H	F	H	H		372
192	A-1	F	OCH_3	H	H	H		418
193	A-1	H	OCF_3	H	H	F		472
194	A-15	H	H	H	H	H		370
195	A-15	H	H	F	H	H		388
196	A-16	H	H	H	H	H		334
197	A-16	H	H	F	H	H	175-177	
198	A-2	H	OCH_3	H	OCH_3	H		424
199	CH_2CF_3	H	OCH_3	H	OCH_3	H		381
200	A-1	OCH_3	H	H	H	H		400
201	A-1	OCH_3	H	OCH_3	H	H		430
202	A-17	H	OCH_3	H	OCH_3	H		408
203	A-17	H	CF_3	H	H	H		416
204	A-17	F	H	F	F	H	215-217	

Cmpd	R^2	R^a	R^b	R^c	R^d	R^e	m.p. (°C)	AP+ (M+1)
205	$CH_2CF=CH_2$	H	H	F	H	H		315
206	$CH_2CF=CH_2$	H	OCF_3	H	H	H		381
207	A-17	H	H	cyano	H	H		373
208	A-17	H	OCH_3	H	H	H		378
209	CH_2CF_3	F	OCH_3	H	H	H	*	
210	A-2	F	OCH_3	H	H	H		412
211	A-18	H	H	H	H	H		384
212	A-18	H	H	F	H	H		402
213	A-19	H	H	H	H	H		333
214	A-19	H	H	F	H	H	210-211	
215	A-1	H	CF_3	H	F	H		456
216	$CH(CH_3)CF_3$	H	H	F	H	H		353
217	$CH(CH_3)CF_3$	H	OCF_3	H	H	H		419
218	A-20	H	H	H	H	H		350
219	A-20	H	H	F	H	H		368
220	A-21	H	H	H	H	H		336
221	A-21	H	H	F	H	H		354
222	$CH(CH_3)CF_3$	F	H	F	H	H		371
223	CH_2CF_3	F	H	cyano	H	H		364
224	A-16	F	H	H	H	H		352
225	A-17	F	H	H	H	H		366
226	A-17	H	OCF_3	H	H	H		432
227	CH_2CHFCF_2Cl	H	H	F	H	H		387
228	CH_2CHFCF_2Cl	F	H	F	H	H		405
229	A-18	F	H	H	H	H	*	
230	A-19	H	H	cyano	H	H		358
231	A-19	F	H	F	H	H		369
232	A-19	H	OCF_3	H	H	H		417
233	A-19	F	H	H	H	H		431
234	A-19	OCH_3	H	H	H	H		363
235	A-2	OCH_3	H	H	Br	H		474
236	A-2	Cl	H	H	CF_3	H		466
237	$CH(CH_3)CF_3$	F	H	H	H	H		353
238	A-19	F	H	H	CF_3	H		419
239	A-19	F	H	cyano	H	H		376
240	$CH(CH_3)CF_3$	H	Br	H	H	H		353

Cmpd	P^2	P^a	P^b	P^c	P^d	P^e	m.p. (°C)	AP+ (M+1)
241	A-22	H	H	H	H	H		335
242	$CH(CH_3)CF_3$	H	Br	H	CF_3	H		481
243	$CH(CH_3)CF_3$	H	OCH_3	H	H	H		365
244	$CH(CH_3)CF_3$	OCH_3	H	H	H	H		365
245	A-1	H	SF_5	H	H	Cl		530
246	A-2	OCH_3	H	H	CF_3	H		549
247	A-2	OCH_3	H	H	Cl	H		428
248	A-23	H	OCF_3	H	H	H		438
249	A-17	OCH_3	H	H	H	H		378
250	A-2	CH_3	H	CH_3	H	CH_3		406
251	A-1	CH_3	H	CH_3	H	CH_3		412
252	$CH(CH_3)CF_3$	Cl	H	H	OCF_3	H		453
253	$CH(CH_3)CF_3$	H	Br	H	OCF_3	H		497
254	A-2	H	SF_5	H	H	H		490
255	CH_2CF_3	H	SF_5	H	H	H		447
256	A-19	H	Br	H	OCF_3	H		495
257	A-24	F	H	H	H	H		380
258	A-24	OCH_3	H	H	H	H		392
259	A-24	H	OCF_3	H	H	H		446
260	A-24	F	H	F	H	H		398
261	A-6	H	Br	H	CF_3	H		524
262	A-6	OCH_3	H	H	H	H		408
263	A-1	H	SF_5	H	H	H		497
264	A-17	Cl	H	H	OCF_3	H		466
265	A-25	H	H	H	H	H	181-183	
266	A-17	F	CF_3	H	H	H		434
267	A-25	H	OCF_3	H	H	H		431
268	A-17	OCF_3	H	H	H	H		432
269	A-17	CF_3	H	H	H	H		416
270	A-1	OCF_3	H	H	H	H		454
271	A-1	CF_3	H	H	H	H		438
272	A-6	H	OCF_3	H	H	H		462
273	A-6	F	H	H	H	H		396
274	A-1	I	H	H	H	H		496
275	A-1	H	I	H	H	H		496
276	A-1	H	Br	OCH_3	H	H		478

Cmpd	R^2	R^a	R^b	R^c	R^d	R^e	m.p. (°C)	AP+ (M+1)
277	A-1	OCH_3	H	H	Br	H		478
278	CH_2CH_3	H	H	H	H	H		267
279	$CH_2CH(CH_3)_2$	H	H	H	H	H		295
280	$CH_2CH_2CH_3$	F	H	H	H	H		299
281	$CH_2CH_2CH_3$	H	H	F	H	H		299
282	$CH_2CH_2CH_3$	H	cyano	H	H	H		306
283	$CH_2CH_2CH_3$	cyano	H	H	H	H		306
284	$CH_2CH_2CH_3$	H	F	H	H	H		299
285	$CH_2CH_2CH_3$	CH_3	H	H	H	H		295
286	$CH_2CH_2CH_3$	H	H	CH_3	H	H		295
287	$CH_2CH_2CH_3$	H	CF_3	H	CF_3	H		417
288	$CH_2CH_2CH_3$	H	H	CF_3	H	H		349
289	$CH_2CH_2CH_3$	H	CH_3	H	H	H		295
290	$CH_2CH_2CH_2CH_3$	H	H	H	H	H		295
291	$CH_2CH_2OCH_3$	H	H	H	H	H		297
292	$CH_2CH_2CH_3$	OCH_3	H	H	H	H		311
293	$CH_2CH_2CH_3$	H	H	OCH_3	H	H		311
294	$CH_2CH_2CH_3$	H	H	Cl	H	H		315
295	$CH_2CH_2CH_3$	H	OCH_3	H	H	H		311
296	CH_2CO_2Et	H	H	H	H	H		325
297	$CH(CH_3)_2$	H	H	H	H	H		281
298	$CH_2CH_2CH_3$	H	F	F	F	H		335
299	$CH_2(CH_2)_3CH_3$	H	H	H	H	H		309
300	$CH_2CH_2CH_3$	H	nitro	H	H	H		326
301	$CH_2CH_2CH_3$	H	Cl	H	H	H		315
302	$CH_2CH_2CH_3$	H	H	OCF_3	H	H		365
303	$CH_2CH_2CH_3$	H	NHAc	H	H	H		338
304	$CH_2CO_2CH_3$	H	H	H	H	H		311
305	$CH_2CH_2CH_3$	H	CHO	H	H	H		309
306	$CH_2CH_2CH_3$	H	Ac	H	H	H		323
307	$CH_2CH_2CH_3$	H	CO_2Et	H	H	H		353
308	$CH_2CH_2CH_3$	CF_3	H	H	H	H	**	349
309	$CH_2CH_2CH_3$	F	H	H	F	H		317
310	$CH_2CH_2CH_3$	H	Cl	H	Cl	H		349
311	CH_2CF_3	H	H	CF_3	H	H		389
312	CH_2CF_3	H	CF_3	H	CF_3	H		457

Cmpd	R^2	R^a	R^b	R^c	R^d	R^e	m.p. (°C)	AP+ (M+1)
313	CH_2CF_3	H	F	H	F	H		357
314	$CH_2CH_2CH_3$	F	H	H	Br	H		377
315	$CH_2CH_2CH_3$	H	OCH_3	H	Br	H		389
316	$CH_2CH_2CH_3$	H	OCH_3	OCH_3	H	H		341
317	$CH_2CH_2CH_3$	OCH_3	H	H	F	H		329
318	$CH_2CH_2CH_3$	OCH_3	H	H	Cl	H		345
319	$CH_2CH_2CH_3$	F	CH_3	H	Cl	H		347
320	CH_2CF_3	H	OCH_3	H	Br	H		429
321	CH_2CF_3	CF_3	H	H	H	H		389
322	$CH_2CH_2CH_3$	OCF_3	H	H	H	H		365
323	CH_2CF_3	OCF_3	H	H	H	H		405
324	CH_2CF_3	H	H	OCF_3	H	H		405
325	CH_2CF_3	H	H	cyano	H	H		346
326	$CH_2CH_2CH_3$	OCH_3	OCH_3	H	H	H		341
327	A-8	H	H	H	H	H		383
328	CH_2CF_3	H	OCH_3	OCH_3	H	H		381
329	CH_2CF_3	F	CH_3	H	Cl	H		387
330	CH_2CF_3	F	F	H	H	H		357
331	$CH_2CH_2CH_3$	H	H	SCH_3	H	H		327
332	$CH_2CH_2CH_3$	H	OCH_3	Cl	H	F		363
333	$CH_2CH_2CH_3$	H	H	*t*-Bu	H	H		337
334	$CH_2CH_2CH_3$	NHCO*t*-Bu	H	H	CH_3	H		394
335	$CH_2CH_2CH_3$	H	H	SO_2Me	H	H		359
336	CH_2CF_3	H	OCH_3	Cl	H	F		403
337	$CH_2CH_2CH_3$	H	CF_3	OCH_3	H	H		379
338	CH_2CF_3	H	CF_3	OCH_3	H	H		419
339	$CH_2CH_2CH_3$	H	*n*-Bu	H	H	H		337
340	$CH_2CH_2CH_3$	F	H	CF_3	H	H		367
341	$CH_2CH_2CH_3$	H	OCF_3	H	H	F		383
342	$CH_2CH_2CH_3$	H	H	CO_2Et	H	H		353
343	$CH_2CH_2CH_3$	H	CH_3	H	CH_3	H		309
344	$CH_2CH_2CH_3$	OCH_3	H	H	CF_3	H		379
345	$CH_2CH_2CH_3$	OCH_2CH_3	H	H	CF_3	H	*	
346	CH_2CF_3	OCH_2CH_3	H	H	CF_3	H	*	
347	$CH_2CH_2CH_3$	F	CF_3	H	H	H		367
348	$CH_2CH_2CH_3$	OCH_3	Br	H	CH_3	H	*	

Cmpd	R^2	R^a	R^b	R^c	R^d	R^e	m.p. (°C)	AP+ (M+1)
349	$CH_2CH_2CH_3$	CH_3	H	H	CH_3	H	*	
350	CH_2CF_3	F	CF_3	H	H	H		407
351	A-8	H	H	cyano	H	H	*	
352	CH_2CF_3	F	H	H	F	H	*	
353	CH_2CF_3	H	Cl	F	H	H		373
354	CH_2CF_3	H	H	*n*-Bu	H	H		377
355	CH_2CF_3	H	CF_3	F	H	H		407
356	CH_2CF_3	H	F	cyano	H	H		338
357	CH_2CF_3	Cl	H	F	H	H		373
358	CH_2CF_3	OCH_3	H	F	H	H		368
359	CH_2CF_3	OCH_3	H	H	CF_3	H		419
360	CH_2CF_3	H	F	H	H	H		339
361	CH_2CF_3	H	H	CH_3	H	H		335
362	CH_2CF_3	H	H	OCH_3	H	H		351
363	CH_2CF_3	H	CH_3	H	H	H		335
364	CH_2CF_3	H	H	Br	H	H		399
365	$CH_2CH_2CF_3$	H	H	F	H	H		353
366	$CH_2CH_2CF=CF_2$	H	H	F	H	H		365
367	$CH_2CH_2CF=CF_2$	H	OCF_3	H	H	H		431
368	$CH_2CH_2CF=CF_2$	F	H	F	H	H		383
369	CH_2CF_3	H	cyano	F	H	H	*	
370	$CH_2CF_2CF_3$	H	CF_3	H	H	H		439
371	$CH_2CH_2CF=CF_2$	H	CF_3	H	H	H		415
372	A-8	H	CF_3	H	H	H		451
373	$CH_2CH_2CF=CF_2$	Cl	H	Cl	H	H		415
374	A-8	Cl	H	Cl	H	H		451
375	$CH_2CF_2CF_3$	Cl	H	Cl	H	H		439
376	CH_2CF_3	CH_3	H	H	H	H		335
377	CH_2CF_3	Br	H	H	H	H		399
378	CH_2CF_3	Cl	H	H	H	H		355
379	CH_2CF_3	H	*n*-Bu	I	H	H		503
380	CH_2CF_3	H	*n*-Bu	H	H	H		377
381	CH_2CF_3	Cl	H	Cl	H	Cl		423
382	$CH_2CH_2CF=CF_2$	Cl	H	Cl	H	Cl		449
383	A-8	Cl	H	Cl	H	Cl		485
384	CH_2CF_3	Cl	H	H	H	Cl		389

Cmpd	R^2	R^a	R^b	R^c	R^d	R^e	m.p. (°C)	AP+ (M+1)
385	CH_2CF_3	CH_3	H	CH_3	H	H		349
386	CH_2CF_3	H	cyano	H	H	H		346
387	CH_2CF_3	*n*-Bu	H	H	H	H		377
388	$CH_2CF_2CF_3$	Cl	H	Cl	H	Cl		473
389	A-26	H	H	F	H	H		383
390	A-26	F	H	F	H	H		401
391	A-26	H	OCF_3	H	H	H		449
392	CH_2CF_3	F	F	F	H	H		375
393	CH_2CF_3	F	H	F	F	H		375
394	CH_2CF_3	F	H	F	H	F		375
395	CH_2CF_3	H	F	F	F	H		375
396	CH_2CF_3	CF_3	H	F	H	H		407
397	CH_2CF_3	H	OCF_3	H	H	Cl		439
398	CH_2CF_3	H	CHO	F	H	H		367
399	CH_2CF_3	cyano	H	H	H	H		346
400	$CH_2CH_2CH_3$	H	Br	F	H	H		377
401	$CH_2CH_2CH_3$	H	CF_3	F	H	H		367
402	$CH_2CO_2CH_3$	H	H	F	H	H		329
403	CH_2CF_3	F	H	Br	H	H		417
404	CH_2CF_3	F	H	F	H	Br		435
405	$CH_2CH_2CH_3$	H	F	F	H	H		317
406	$CH_2CH_2CH_3$	H	Cl	F	H	H		333
407	$CH_2CH_2CH_3$	Cl	H	F	H	H		333
408	$CH_2CH_2CH_3$	F	H	F	H	H		317
409	$CH_2CH_2CH_3$	OCH_3	H	F	H	H		329
410	A-8	H	cyano	F	H	H		426
411	A-7	H	OCF_3	H	H	H		414
412	A-7	H	H	F	H	H		348
413	A-7	F	H	F	H	H		365
414	A-7	H	H	H	H	H		330
415	A-2	CF_3	H	F	H	H		450
416	A-34	H	H	H	H	H	*	
417	A-2	H	H	SCH_3	H	H		410
418	A-2	H	Cl	H	Cl	H	*	
419	A-2	Cl	H	F	H	H		398
420	A-2	CH_3	H	H	H	H		378

Cmpd	R^2	R^a	R^b	R^c	R^d	R^e	m.p. (°C)	AP+ (M+1)
421	A-2	OCF_3	H	H	H	H		448
422	A-2	cyano	H	H	H	H		389
423	A-2	OCH_3	H	H	H	H		394
424	A-3	H	OCH_3	H	H	H		323
425	A-2	H	SCH_3	H	H	H	*	
426	CH_2CF_3	H	nitro	H	H	H	*	
427	A-2	H	$Si(CH_3)_3$	H	H	H	*	
428	A-27	H	H	H	H	H		323
429	A-27	F	H	F	H	H		359
430	A-2	H	H	$Si(CH_3)_3$	H	H	*	
431	CH_2CF_3	H	OCH_2O		H	H		365
432	CH_2CF_3	H	OH	H	H	H		337
433	CH_2CF_3	CH_3	H	F	H	H	*	
434	CH_2CF_3	H	OAc	H	H	H		379
435	CH_2CF_3	H	CH_2CH_2O		H	H		363
436	A-4	H	OCF_3	H	H	H	*	
437	A-4	F	H	F	H	H		434
438	CH_2CF_3	H	OCF_2O		H	H		401
439	A-27	H	H	F	H	H		341
440	A-2	H	CF_3	H	CF_3	H		500
441	A-2	H	nitro	H	H	H		409
442	A-2	OCH_3	H	OCH_3	H	H		424
443	A-4	H	H	OCH_3	H	H	*	
444	A-4	F	H	H	H	H		416
445	A-2	H	CF_3	OCH_3	H	H		462
446	A-2	H	OCF_2O		H	H		444
447	A-2	H	OAc	H	H	H		422
448	A-2	F	F	OCH_3	H	H		430
449	A-5	H	H	F	H	H		363
450	A-5	H	H	H	H	H		345
451	A-28	H	H	F	H	H		416
452	A-29	H	H	H	H	H		398
453	A-28	H	OCF_3	H	H	H	*	
454	A-29	H	H	F	H	H		416
455	A-29	F	H	F	H	H		434
456	A-30	H	H	H	H	H		355

Cmpd	R^2	R^a	R^b	R^c	R^d	R^e	m.p. (°C)	AP+ (M+1)
457	A-30	H	H	F	H	H		373
458	A-30	F	H	F	H	H		391
459	A-2	H	OCH_2CF_3	H	H	H	*	
460	A-6	H	H	H	H	H		378
461	A-2	F	H	H	H	F		400
462	A-17	F	H	cyano	H	H		391
463	A-31	H	H	H	H	H		344
464	A-31	H	H	F	H	H		362
465	A-31	F	H	F	H	H		380
466	A-32	H	H	H	H	H		323
467	A-32	H	H	F	H	H		341
468	A-32	F	H	F	H	H		359
469	A-1	F	H	cyano	H	H		413
470	A-33	H	H	H	H	H		408
471	A-33	H	H	F	H	H		426
472	A-33	F	H	F	H	H		444
473	A-1	H	CF_3	F	H	H		455
474	A-1	Cl	H	F	H	H		422
475	A-1	H	H	cyano	H	H		395
476	A-2	H	F	H	F	H		400
477	A-1	H	F	H	H	H		388
478	A-1	H	H	CF_3	H	H		438
479	A-1	H	H	OCF_3	H	H		454
480	A-1	H	H	CH_3	H	H		384
481	A-1	cyano	H	H	H	H		395
482	A-1	H	F	F	H	H		406
483	A-10	H	H	F	H	H	*	
484	A-10	H	OCF_3	H	H	H		413
485	A-10	H	H	H	H	H		329
486	A-1	H	OCH_3	F	H	H	*	
487	A-1	Br	H	F	H	H		466
488	A-1	CH_3	H	cyano	H	H		409
489	A-1	Cl	H	Cl	H	H		438
490	A-1	H	OCF_3	H	H	Cl		488
491	A-1	H	OCF_3	H	Br	H	209-210	
492	A-1	F	F	F	H	H	196-198	424

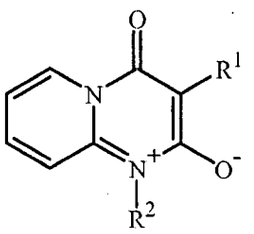
Cmpd	R^2	R^a	R^b	R^c	R^d	R^e	m.p. (°C)	AP+ (M+1)
493	A-1	F	H	F	F	H	195-197	424
494	A-1	H	OCH_2O		H	H	>250	414
495	A-1	H	CH_2CH_2O		H	H	188-190	412
496	A-1	F	H	H	Br	H		466
497	A-6	F	H	F	H	H		414
498	A-1	H	CF_3	H	Br	H	188-190	516
499	A-1	H	F	H	F	H		406
500	A-1	H	F	OCH_3	H	H	205-207	418
501	A-1	F	H	F	H	F	*	
502	A-33	H	OCF_3	H	H	H	153-155	504
503	A-33	H	OCH_3	H	H	H		438
604	A-35	H	H	H	H	H		330
605	A-36	H	H	H	H	H		330
606	A-35	H	H	F	H	H	*	
618	A-1	F	F	H	H	F		424
619	A-1	H	CF_3	H	Cl	F		490
621	A-2	H	SF_5	H	H	Cl		524
622	A-1	H	OCF_3	H	Cl	H		488
623	A-37	F	H	H	H	H		432
624	A-37	H	H	F	H	H		432
625	A-37	H	OCF_3	H	H	H		498
627	A-37	H	CF_3	H	Br	H		560
629	CH_2CO_2H	H	H	H	H	H		297
631	A-38	H	H	H	H	H		335
632	A-2	I	H	H	H	H		490
634	A-1	H	CF_3	H	Cl	H		472
642	A-40	F	H	F	H	H	159-160	
648	A-40	OCH_3	H	H	H	H	*	
649	$CH_2CH_2CH(OMe)_2$	H	H	H	H	H		341
650	$CH_2CH(OMe)_2$	H	H	H	H	H		327
651	A-2	F	F	H	H	F		418
652	A-2	H	CF_3	H	Cl	F		484
654	A-1	F	H	OCH_3	H	H		418
663	A-1	F	Cl	H	H	F		440
664	A-1	OCH_3	H	H	F	F		436
665	A-2	OCH_3	H	H	F	F		430

Cmpd	R^2	R^a	R^b	R^c	R^d	R^e	m.p. (°C)	AP+ (M+1)
666	A-2	F	Cl	H	H	F		434
673	A-41	H	H	H	H	H		360
674	A-41	F	H	H	H	H		378
675	A-40	F	H	H	H	H		365
676	A-41	H	H	F	H	H		378
677	A-17	H	H	F	H	H		372
678	A-41	F	H	F	H	H		396
679	A-41	H	OCF_3	H	H	H		444
720	CH_2CF_3	H	H	SF_5	H	H		447
721	A-1	H	H	SF_5	H	H		496
722	A-2	H	H	SF_5	H	H		490
737	A-37	H	Br	H	OCF_3	H		576
740	A-2	OCH_3	F	H	F	H		430
741	A-2	F	OCH_3	H	H	F		430
742	A-1	OCH_3	F	H	F	H		436
743	A-1	F	OCH_3	H	H	F		436
744	A-2	F	H	H	OCH_3	H		412
745	CH_2CF_3	F	H	H	OCH_3	H		369
746	A-17	F	F	H	H	H		384

* See Index Table G for ^{1}H NMR data.

** See synthesis example for ^{1}H NMR data.

INDEX TABLE B



Compound	R^1	R^2	m.p. (°C)	AP+ (M+1)
110	Br	$CH_2CH_2CH_3$	*	
111	I	CH_2CF_3	*	
112	I	$CH_2CH_2CH_3$	*	

Compound	R^1	R^2	m.p. (°C)	AP+ (M+1)
113	I	A-8	*	
114	I	$CH_2CF_2CF_3$	*	
115	$CH(CH_3)_2$	CH_2CF_3	*	
116	$CH_2CH(CH_3)_2$	CH_2CF_3	*	
117	$CH_2CH_2CF=CF_2$	CH_2CF_3	*	
118	I	A-2	**	
125	H	A-1	**	
119	6-fluoro-3-pyridinyl	A-2	*	
120	6-chloro-3-pyridinyl	A-2	*	
121	3-chloro-4-pyridinyl	CH_2CF_3	248-249	
521	4-trifluoromethyl-2-pyridinyl	CH_2CF_3	*	
522	4-trifluoromethyl-2-pyridinyl	A-17		417
537	2-bromo-4-pyridinyl	A-2		443
540	2-bromo-4-pyridinyl	A-1		449
547	6-trifluoromethyl-2-pyridinyl	A-17	*	
550	2-cyano-4-pyridinyl	A-1		396
552	2-pyridinyl	$CH_2CH_2CH_3$		282
553	3-pyridinyl	$CH_2CH_2CH_3$		282
554	phenyl	$CH_2CO_2CH(CH_3)_2$		339
555	2-naphthalenyl	$CH_2CH_2CH_3$		331
556	1-naphthalenyl	$CH_2CH_2CH_3$		331
561	4-pyridinyl	$CH_2CH_2CH_3$		282
562	2-chloro-4-pyridinyl	$CH_2CH_2CH_3$		316
564	4-fluoro-1-naphthalenyl	$CH_2CH_2CH_3$	*	
570	6-chloro-3-pyridinyl	CH_2CF_3		356
571	6-fluoro-3-pyridinyl	CH_2CF_3		340
573	4-fluoro-1-naphthalenyl	A-2		432
574	6-methoxy-2-naphthalenyl	A-2		444
575	6-methoxy-3-pyridinyl	A-2		395
576	5-fluoro-2-pyridinyl	A-2		383
577	5-trifluoromethyl-3-pyridinyl	A-2		433
579	2-fluoro-3-pyridinyl	A-2		383
584	3-fluoro-4-pyridinyl	A-2		383
585	5-methoxy-2-pyridinyl	A-2		395
586	3-fluoro-2-pyridinyl	A-2		383
587	3-chloro-2-pyridinyl	A-2		399

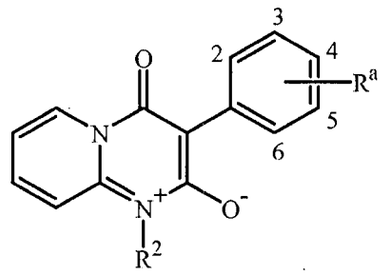
Compound	R^1	R^2	m.p. (°C)	AP+ (M+1)
591	4-trifluoromethyl-2-pyridinyl	A-2		433
593	6-trifluoromethyl-2-pyridinyl	A-2		433
597	4-trifluoromethyl-2-pyridinyl	A-1	225-227	439
598	2-chloro-4-pyridinyl	A-1	242-243	405
600	6-trifluoromethyl-2-pyridinyl	A-1	*	
608	I	A-1	**	
609	H	$CH_2CH_2CH_3$	**	
610	H	CH_2CF_3	214-215	
611	H	A-2	**	
612	H	A-7	*	
628	2-bromo-4-pyridinyl	A-37		493
636	2-methoxy-4-pyridinyl	A-1		401
637	$C(=NOCH_3)CF_3$	CH_2CF_3	**	
638	$C(=NOCH_2CH_3)CF_3$	CH_2CF_3	*	
653	2-trifluoromethyl-4-pyridinyl	A-1		439
656	$C(=NOCH_2CHMe_2)CF_3$	CH_2CF_3	*	
657	$C(=NOCMe_3)CF_3$	CH_2CF_3	*	
658	$C(=NOCH_2CMe_3)CF_3$	CH_2CF_3	*	
659	$C(=NOCH_2CO_2Et)CF_3$	CH_2CF_3	*	
660	C(O)NHphenyl	A-1		413
661	C(O)NH(3-methoxyphenyl)	A-1		443
687	C(O)OEt	$CH_2CH_2CH_3$		277
688	C(O)NH(4-fluorophenyl)	$CH_2CH_2CH_3$		342
689	C(O)NH(2-chlorophenyl)	$CH_2CH_2CH_3$		358
690	C(O)NH(3-chlorophenyl)	$CH_2CH_2CH_3$		358
691	C(O)NH(4-chlorophenyl)	$CH_2CH_2CH_3$		358
692	C(O)NHphenyl	$CH_2CH_2CH_3$		324
693	C(O)O(4-nitrophenyl)	$CH_2CH_2CH_3$		370
694	C(O)phenyl	$CH_2CH_2CH_3$		309
695	C(O)(2-fluorophenyl)	$CH_2CH_2CH_3$		327
696	C(O)(3-fluorophenyl)	$CH_2CH_2CH_3$		327
697	C(O)(4-fluorophenyl)	$CH_2CH_2CH_3$		327
698	C(O)(2-methylphenyl)	$CH_2CH_2CH_3$		323
699	C(O)(3-methylphenyl)	$CH_2CH_2CH_3$		323
700	C(O)OEt	A-1	*	
701	C(O)phenyl	A-1	*	

Compound	R^1	R^2	m.p. (°C)	AP+ (M+1)
702	$C(O)CF_3$	A-1	236-238	
703	C(O)(4-fluorophenyl)	A-1	235-237	
704	C(O)(3-fluorophenyl)	A-1	226-228	
706	C(O)(2-fluorophenyl)	A-1	190-192	
707	C(O)[3-(trifluoromethyl)phenyl]	A-1	225-226	
708	C(O)(2-thienyl)	A-1	214-216	
709	C(O)[4-(trifluoromethyl)phenyl]	A-1	242-243	
710	$C(O)CH_3$	A-1	183-185	
711	$C(O)CF_3$	CH_2CF_3	202-203	
712	$C(O)CF_3$	A-2	209-210	
713	$C(O)CF_2CF_3$	A-1	204-205	
714	$C(O)CF_2CF_3$	A-2	189-190	
715	$C(O)CF_2CF_2CF_3$	A-1	156-157	
716	$C(O)CF_2CF_2CF_3$	A-2	134-135	
729	$C(=NOC(CH_3)_3)H$	CH_2CF_3	*	
730	$C(=NOCH_2CH_3)H$	CH_2CF_3	*	
731	$C(=NOCH_2C(CH_3)_3)H$	CH_2CF_3	*	
758	$C(=NOCH_3)H$	CH_2CF_3	*	
759	$C(=NOCH_2Ph)H$	CH_2CF_3	*	

* See Index Table G for ^1H NMR data.

** See synthesis example for ^1H NMR data.

INDEX TABLE C

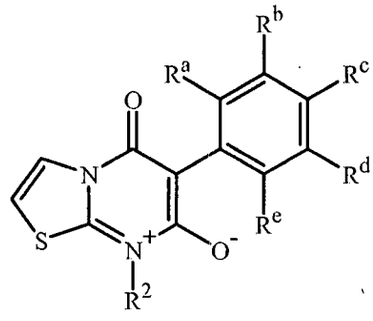


Compound	R^a	R^2	m.p. (°C)	AP+ (M+1)
523	3-(3-pyridinyl)	A-2		441
524	3-(6-chloro-3-pyridinyl)	A-2		474

Compound	R^a	R^2	m.p. (°C)	AP+ (M+1)
525	3-(6-fluoro-3-pyridinyl)	A-2		459
527	3-(6-fluoro-3-pyridinyl), 6-fluoro	A-2		477
528	4-(6-chloro-3-pyridinyl)	A-2		475
529	3-(6-methoxy-3-pyridinyl)	A-2		471
530	3-(6-chloro-3-pyridinyl)	A-1		481
531	3-(6-fluoro-3-pyridinyl), 5-trifluoromethyl	A-2		527
534	3-(6-chloro-3-pyridinyl)	$CH(CH_3)CF_3$		446
536	3-(6-fluoro-3-pyridinyl), 4-fluoro	A-2		
539	3-(6-chloro-3-pyridinyl), 6-methoxy	A-2		505
541	3-(6-chloro-3-pyridinyl), 4-fluoro	A-1		499
542	3-(6-fluoro-3-pyridinyl)	A-1		465
543	3-(6-chloro-3-pyridinyl), 5-trifluoromethyl	A-1		549
544	3-(6-fluoro-3-pyridinyl), 5-trifluoromethyl	A-1		533
545	3-(6-fluoro-3-pyridinyl)	A-19		428
546	3-(6-fluoro-3-pyridinyl)	A-6		473
549	3-(6-fluoro-3-pyridinyl), 5-trifluoromethoxy	A-1		549
551	2-(6-chloro-3-pyridinyl)	A-1		481
557	3-phenyl	$CH_2CH_2CH_3$		357
558	4-phenoxy	$CH_2CH_2CH_3$		373
559	2-phenoxy	$CH_2CH_2CH_3$		373
560	2-phenyl	$CH_2CH_2CH_3$		357
563	3-phenoxy	$CH_2CH_2CH_3$		373
565	3-benzyloxy	$CH_2CH_2CH_3$		387
566	3-benzyloxy	CH_2CF_3	178-179	427
567	4-benzyloxy	CH_2CF_3	203-204	427
568	2-benzyloxy	CH_2CF_3	165-166	427
578	2-(B-1), 4-fluoro	CH_2CF_3		480
580	3-(B-2)	CH_2CF_3	223-225	428
581	3-(B-1)	CH_2CF_3		462
582	3-(B-1)	A-2		505
594	3-(B-3), 4-fluoro	A-2		446
595	3-(B-3), 4-fluoro	CH_2CF_3		446

Compound	R^a	R^2	m.p. (°C)	AP+ (M+1)
596	3-(B-4)	CH_2CF_3	170-172	462
616	3-(6-bromo-3-pyridinyl)	A-1		525
617	3-(6-trifluoromethyl-3-pyridinyl)	A-1		515
626	3-(6-chloro-3-pyridinyl)	A-37		525
635	3-(6-chloro-3-pyridinyl), 5-trifluoromethoxy	A-1		565
655	3-(6-chloro-3-pyridinyl), 5-methoxy	A-1		511
682	3-(6-trifluoromethyl-3-pyridinyl), 5-trifluoromethoxy	A-1		599
684	3-(6-trifluoromethyl-3-pyridinyl), 5-trifluoromethyl	A-1		583
685	3-(6-trifluoromethyl-3-pyridinyl), 4-methoxy	A-1		545
717	3-(6-chloro-3-pyridinyl), 4-methoxy	A-1		511
734	3-(6-trifluoromethyl-3-pyridinyl)	A-17		493
735	3-(6-trifluoromethyl-3-pyridinyl)	A-2		509
736	3-(6-trifluoromethyl-3-pyridinyl)	A-37		559
738	3-(6-trifluoromethyl-3-pyridinyl), 6-fluoro	A-1		533
739	3-(6-trifluoromethyl-3-pyridinyl), 6-fluoro	A-37		577

INDEX TABLE D



5

Cmpd	R^2	R^a	R^b	R^c	R^d	R^e	m.p. (°C)	AP+ (M+1)
126	$CH_2CH_2CH_3$	H	H	H	H	H	161-168	
127	$CH_2CH_2CH_3$	F	H	H	H	Cl	216-219	

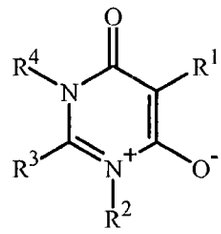
Cmpd	R^2	R^a	R^b	R^c	R^d	R^e	m.p. (°C)	AP+ (M+1)
128	$CH_2CH_2CH_3$	H	Cl	H	Cl	H	180-183	
129	$CH_2CH_2CH_3$	Cl	Cl	H	H	H	188-192	
130	$CH_2CH_2CH_3$	F	H	H	H	F	207-210	
131	$CH_2CH_2CH_3$	CH_3	H	H	H	H	223-225	
132	$CH_2CH_2CH_3$	H	H	*i*-Pr	H	H	*	
133	CH_2CF_3	F	H	F	H	H	*	
134	CH_2CF_3	H	H	H	H	H	*	
135	CH_2CF_3	H	OCF_3	H	H	H	*	
136	A-2	H	H	H	H	H	*	
137	A-2	H	H	F	H	H	*	
138	A-2	H	OCF_3	H	H	H	**	
139	A-1	H	H	H	H	H	*	
140	A-1	H	H	F	H	H	*	
141	A-1	H	OCF_3	H	H	H	*	
142	$CH_2CH_2CH_3$	F	H	F	H	H	*	
143	$CH_2CH_2CH_3$	H	H	Ph	H	H		363
144	$CH_2CO_2CH_2CH_3$	H	H	H	H	H		331
145	A-1	H	OCF_3	H	Br	H		538
146	A-1	F	H	F	H	H		412
147	A-1	H	OCH_3	H	H	H	*	
148	A-1	F	H	H	Cl	H		428
149	A-2	H	OCH_3	H	H	H		400
150	A-2	H	OCH_3	H	OCH_3	H		430
151	A-2	F	H	F	H	H		406
152	A-1	F	H	H	CF_3	H	*	
153	A-2	F	H	H	CF_3	H		456
154	A-2	F	H	H	Cl	H		422
155	A-2	H	OCF_3	H	Br	H		532
156	A-1	H	OCH_3	H	OCH_3	H		436
157	A-2	F	H	H	H	H	216-218	388
158	A-2	OCH_3	H	H	H	H	99-100	
159	A-1	F	H	H	H	H	192-194	
160	A-1	OCH_3	H	H	H	H	*	
630	A-17	H	H	H	H	H	223-225	
633	A-2	I	H	H	H	H		496
639	A-17	H	OCF_3	H	H	H	*	

Cmpd	R^2	R^a	R^b	R^c	R^d	R^e	m.p. (°C)	AP+ (M+1)
640	A-39	H	OCF_3	H	H	H	147-149	
641	A-17	F	H	F	H	H	235-237	
643	A-17	F	H	H	H	H	223-225	
644	A-39	F	H	H	H	H	169-171	
645	A-39	H	H	H	H	H	190-192	
646	A-17	OCH_3	H	H	H	H	*	
647	A-39	OCH_3	H	H	H	H	*	
747	A-17	H	OCH_3	H	H	H		384
748	A-2	F	F	H	H	H		406
749	A-1	F	F	H	H	H		412
750	A-17	F	F	H	H	H		390

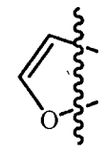
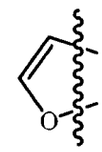
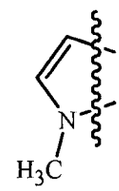
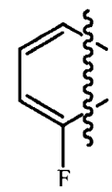
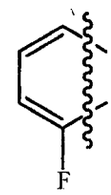
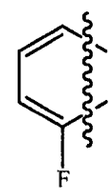
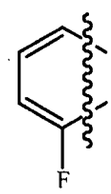
* See Index Table G for ^1H NMR data.

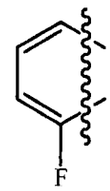
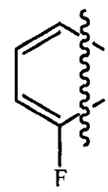
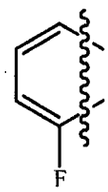
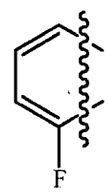
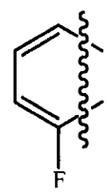
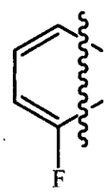
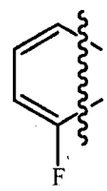
** See synthesis example for ^1H NMR data.

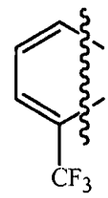
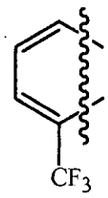
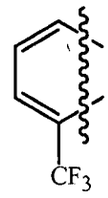
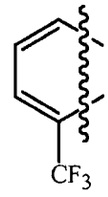
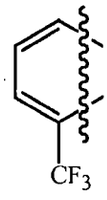
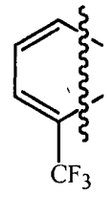
INDEX TABLE E



Cmpd	R^1	R^2	R^{3} **	R^{4} **	m.p. (°C)	AP+ (M+1)
504	phenyl	A-2	CH_3	phenyl		404
505	phenyl	A-2	phenyl	CH_3		404
506	4-fluorophenyl	A-2	CH_3	phenyl		422
507	phenyl	CH_2CF_3	phenyl	CH_3		361
508	4-fluorophenyl	CH_2CF_3	phenyl	CH_3		379
509	phenyl	$CH_2CH_2CH_3$	CH_3	CH_3		259
510	4-fluorophenyl	$CH_2CH_2CH_3$	CH_3	CH_3		276
511	phenyl	A-2	CH_3	CH_3	*	
512	phenyl	CH_2CF_3	CH_3	CH_3		299
513	4-fluorophenyl	A-2	CH_3	CH_3		360
514	phenyl	A-1	CH_3	CH_3	222-224	388

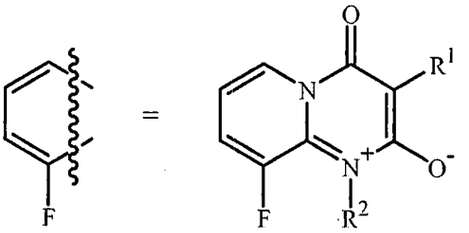
Cmpd	R^1	R^2	R^3 ** R^4 **	m.p. (°C)	AP+ (M+1)
515	3-(trifluoromethoxy)-phenyl	$CH_2CH_2CH_3$	$CH_2CH_2CH_2CH_2$		369
516	4-fluorophenyl	$CH_2CH_2CH_3$	$CH_2CH_2CH_2CH_2$		303
517	phenyl	A-2			354
518	3-(trifluoromethoxy)-phenyl	A-2		*	
519	phenyl	$CH_2CH_2CH_3$			284
588	4-fluorophenyl	A-2			400
589	3-(trifluoromethoxy)-phenyl	A-2			466
590	2,4-difluorophenyl	A-2			418
592	phenyl	A-2			382

Cmpd	R^1	R^2	R^3 **	R^4 **	m.p. (°C)	AP+ (M+1)
667	2-fluorophenyl	A-1				406
668	2-fluorophenyl	A-2				400
669	2-methoxyphenyl	A-2				412
670	2-methoxyphenyl	A-1				418
671	4-fluorophenyl	A-1				406
672	3-(trifluoromethoxy)-phenyl	A-1				472
683	3-bromo-5-(trifluoromethoxy) phenyl	A-1				550
718	2-fluorophenyl	A-2	CH_3	CH_3	249-252	360
719	2-fluorophenyl	A-1	CH_3	CH_3	190-193	366

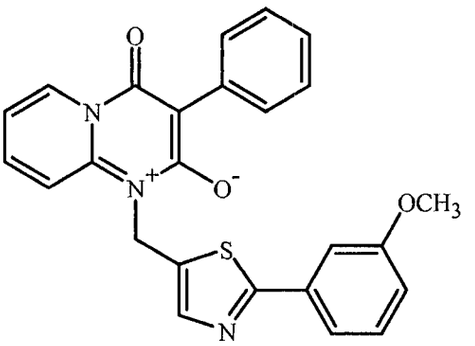
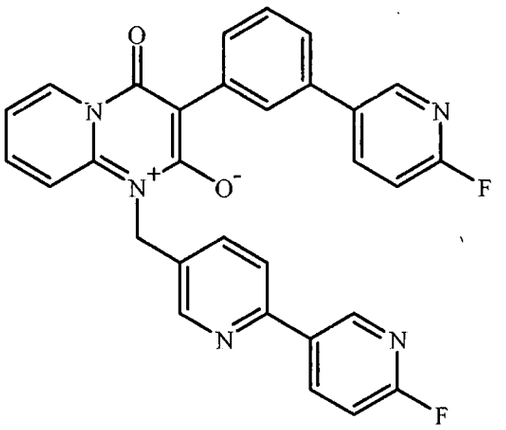
Cmpd	R^1	R^2	R^3 **	R^4 **	m.p. (°C)	AP+ (M+1)
751	2-fluorophenyl	A-2				450
752	4-fluorophenyl	A-2				450
753	3-(trifluoromethoxy)-phenyl	A-2				516
754	3-(trifluoromethoxy)-phenyl	A-1				522
755	2-fluorophenyl	A-1				456
756	4-fluorophenyl	A-1				456

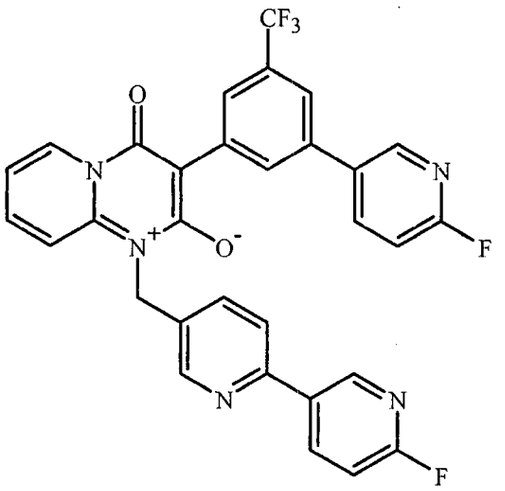
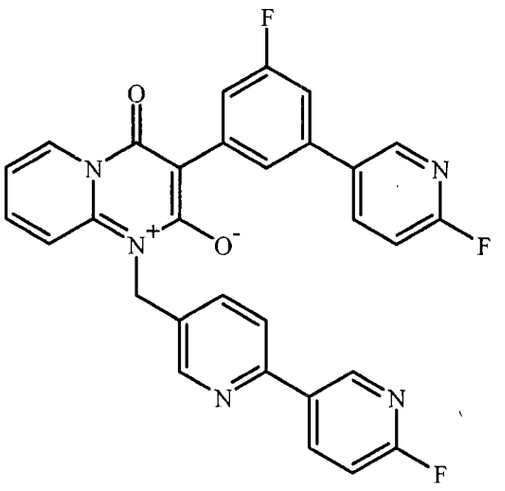
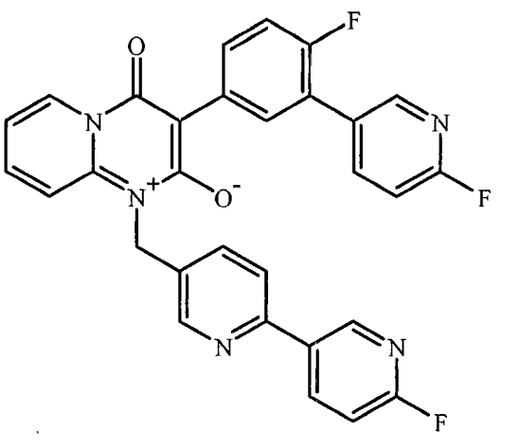
* See Index Table G for ^{1}H NMR data.

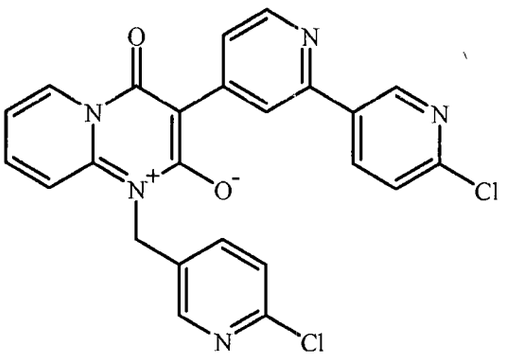
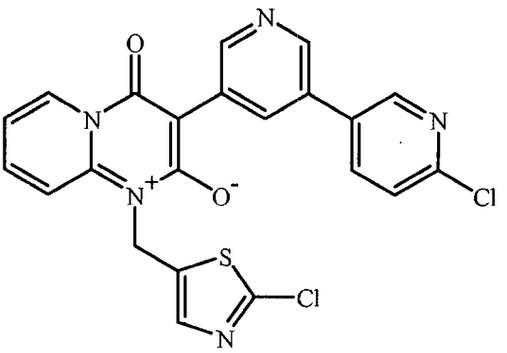
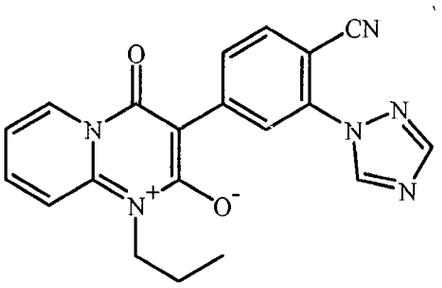
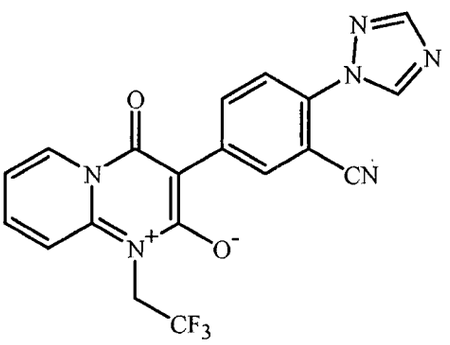
** When R^3 and R^4 are taken together with the contiguous linking nitrogen and carbon atoms to form a ring, the wavy line indicates that the ring is attached to the remainder of the molecule with the orientation shown below.

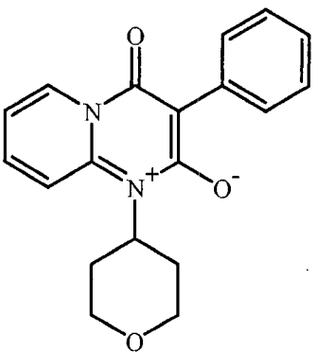
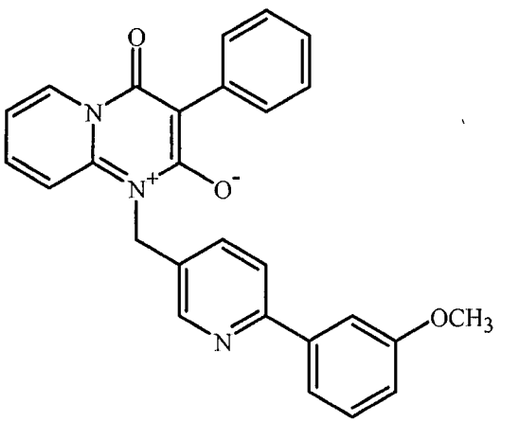
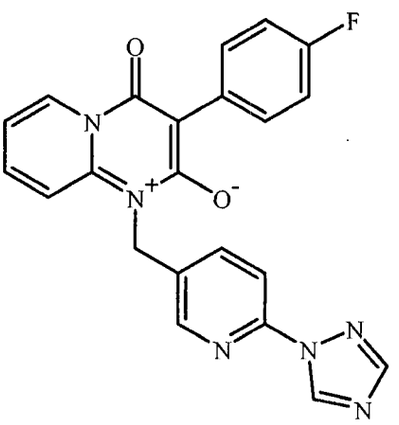
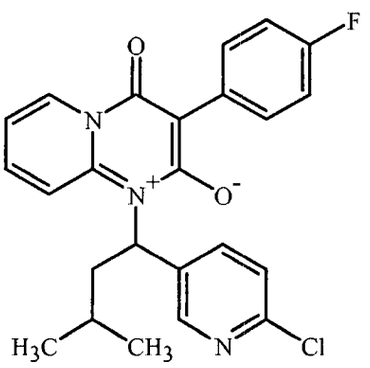


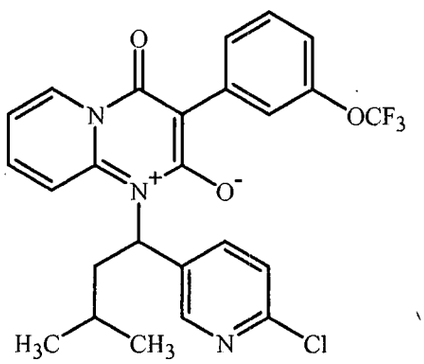
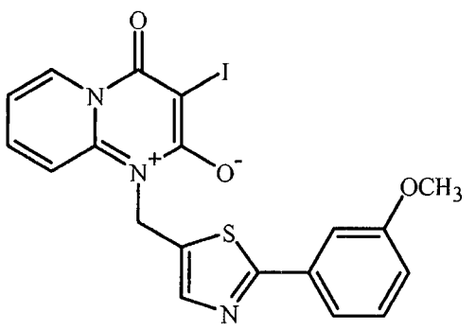
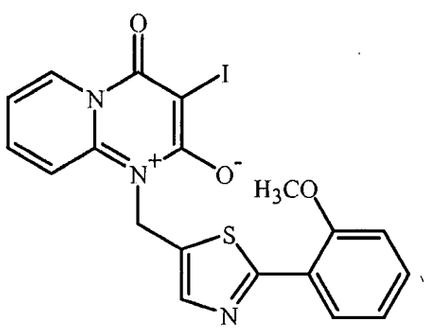
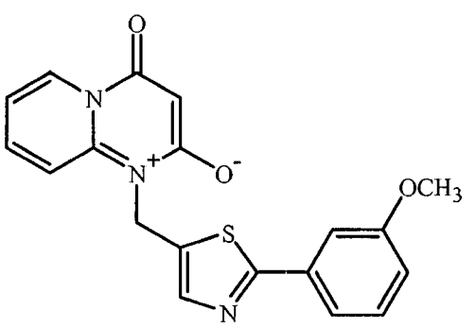
INDEX TABLE F

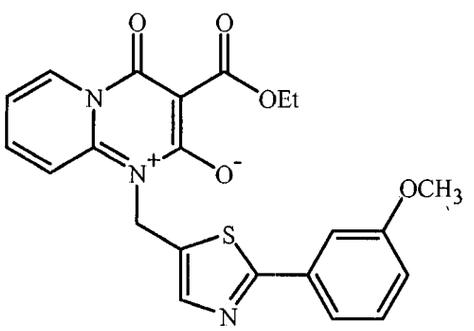
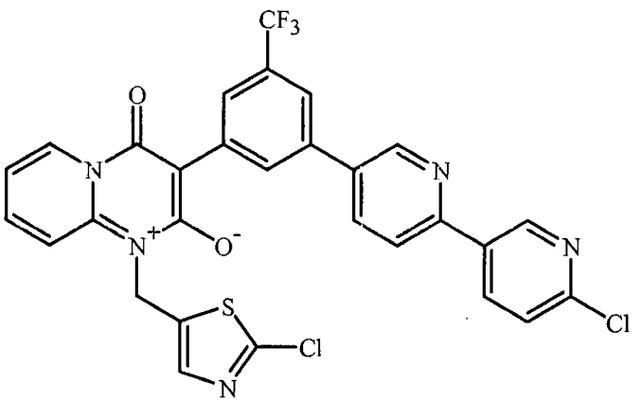
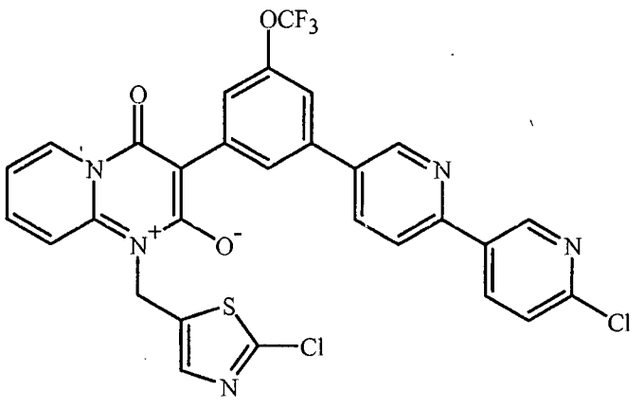
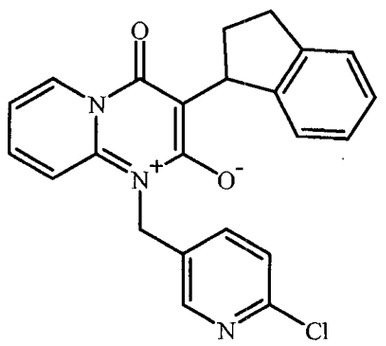
Cmpd	Structure	m.p. (°C)	AP+ (M+1)
520			442
526			520

Cmpd	Structure	m.p. (°C)	AP+ (M+1)
532			588
533			538
535			538

Cmpd	Structure	m.p. (°C)	AP+ (M+1)
538			476
548			482
569		*	
572			413

Cmpd	Structure	m.p. (°C)	AP+ (M+1)
583		*	
599		*	
601		*	
602			438

Cmpd	Structure	m.p. (°C)	AP+ (M+1)
603			504
613		**	
614			492
615			366

Cmpd	Structure	m.p. (°C)	AP+ (M+1)
705			438
732			626
733			642
757			404

* See Index Table G for ^{1}H NMR data.

** See synthesis example for ^{1}H NMR data.

INDEX TABLE G

Cmpd No.	^{1}H NMR Data [a, b]
3	δ (acetone-d_6) 9.5 (m, 1H), 8.5 (m, 1H), 8.1 (m, 1H), 7.97 (s, 1H), 7.75 (dd, 1H), 7.69 (m, 1H), 7.31 (t, 1H), 7.15 (m, 1H), 5.35 (br s, 2H).
8	δ 9.51 (d, 1H), 8.09 (m, 1H), 7.85-7.69 (m, 2H), 7.45 (d, 1H), 7.38 (t, 1H), 7.32 (t, 1H), 7.07 (d, 1H), 4.29 (t, 2H), 1.87-1.75 (m, 2H), 1.08 (t, 3H).
9	δ 9.57 (d, 1H), 8.23 (t, 1H), 7.61 (d, 1H), 7.49 (t, 2H), 7.41 (d, 1H), 7.29 (d, 1H), 5.2 (br s, 2H).
10	δ 9.57 (d, 1H), 8.23 (t, 1H), 7.61 (d, 1H), 7.49 (m, 2H), 6.8-6.95 (m, 2H), 5.2 (br s, 2H).
12	δ 9.52 (dd, 1H), 8.15-8.07 (m, 2H), 8.03-7.98 (m, 1H), 7.47 (t, 3H), 7.34 (m, 1H), 4.30 (t, 2H), 1.91-1.74 (m, 2H), 1.09 (t, 3H).
14	δ 9.58 (d, 1H), 8.23 (t, 1H), 7.61 (d, 1H), 7.51 (t, 1H), 7.48 (d, 1H), 7.14 (m, 2H).
15	δ 9.60 (d, 1H), 8.23 (t, 1H), 7.75 (d, 2H), 7.59 (d, 1H), 7.51 (t, 2H), 7.24 (m, 2H).
17	δ (acetone-d_6) 9.45 (d, 1H), 8.45 (m, 1H), 8.1 (d, 1H), 7.63 (t, 1H), 7.3 (d, 1H), 7.22 (m, 1H), 7.95 (dd, 1H), 6.9 (t, 1H), 5.35 (br s, 2H), 3.73 (s, 3H).
18	δ 9.5 (m, 1H), 8.1 (m, 1H), 7.75 (m, 2H), 7.63 (dd, 1H), 7.4-7.3 (m, 2H), 7.05 (m, 1H), 4.30 (m, 2H), 1.2 (m, 1H), 0.62 (m, 4H).
20	δ 9.51 (dd, 1H), 8.12 (ddd, 2H), 7.51-7.42 (m, 3H), 7.38-7.31 (m, 1H), 6.72-6.60 (m, 1H), 4.29 (t, 2H), 1.93-1.68 (m, 2H), 1.09 (t, 3H).
21	δ 9.56 (d, 1H), 8.23 (t, 1H), 7.59 (d, 1H), 7.49 (m, 2H), 7.16 (t, 2H), 5.2 (br s, 2H).
22	δ 9.57 (d, 1H), 8.19 (t, 1H), 7.78 (dd, 1H), 7.67 (dd, 1H), 7.35-7.55 (m, 3H), 7.09 (d, 1H), 4.7-5.05 (m, 2H), 4.37 (m, 1H), 2.2-2.55 (m, 2H).
25	δ 9.57 (d, 1H), 8.23 (t, 1H), 7.58 (d, 1H), 7.46 (t, 2H), 7.38(s, 1H), 6.90 (d, 1H), 3.79 (s, 3H).
27	δ 9.57 (d, 1H), 8.17 (t, 1H), 7.74 (m, 2H), 7.49 (d, 1H), 7.41 (t, 1H), 7.08 (t, 2H), 4.7-5.05 (m, 2H), 4.37 (m, 1H), 2.2-2.55 (m, 2H).
28	δ 9.53 (dd, 1H), 8.07 (ddd, 1H), 7.81-7.72 (m, 2H), 7.45 (d, 1H), 7.42-7.36 (m, 2H), 7.31 (m, 1H), 7.25-7.20 (m, 1H), 4.30 (d, 1H), 1.90-1.73 (m, 2H), 1.08 (t, 3H).
30	δ 9.54 (d, 1H), 8.21 (t, 1H), 7.51 (m, 2H), 7.42 (t, 1H), 6.9 (m, 2H), 4.7-5.05 (m, 2H), 4.37 (m, 1H), 2.2-2.55 (m, 2H).
32	δ 9.61 (d, 1H), 8.24 (t, 1H), 7.78 (dd, 1H), 7.67 (d, 1H), 7.50 (t, 1H), 7.44 (d, 2H), 6.69 (t, 1H).
33	δ 9.61 (d, 1H), 8.21 (t, 1H), 7.76 (d, 1H), 7.71 (s, 1H), 7.55 (dt, 1H), 7.49 (t, 1H), 7.39 (t, 1H), 7.10 (d, 1H).
34	δ 9.59 (d, 1H), 8.15 (t, 1H), 7.72 (d, 2H), 7.52 (d, 1H), 7.44 (t, 1H), 7.38 (t, 2H), 7.23 (t, 1H), 5.5 (br s, 2H).
35	δ 9.61 (d, 1H), 8.21 (t, 1H), 7.73 (m, 2H), 7.56 (d, 1H), 7.49 (t, 1H), 7.08 (t, 2H).
36	δ 9.59 (d, 1H), 8.23 (t, 1H), 7.58 (d, 1H), 7.50 (m, 2H), 7.50 (t, 1H), 6.85-6.95 (m, 2H).

37	δ 9.57 (d, 1H), 8.13 (t, 1H), 7.74 (d, 2H), 7.49 (d, 1H), 7.40 (m, 3H), 7.26 (m, 1H), 4.54 (t, 2H), 2.85 (m, 2H).
38	δ 9.58 (d, 1H), 8.16 (t, 1H), 7.74 (d, 2H), 7.49 (d, 1H), 7.40 (t, 3H), 7.25 (m, 1H), 4.58 (dd, 2H), 2.85 (m, 2H).
39	δ 9.49 (dd, 1H), 8.03 (ddd, 1H), 7.73 (d, 2H), 7.58 (d, 1H), 7.36 (t, 2H), 7.28 (m, 1H), 7.23-7.17 (m, 1H), 4.28 (d, 2H), 1.24-1.09 (m, 1H), 0.69-0.45 (m, 4H).
41	δ 9.51 (dd, 1H), 8.13 (ddd, 1H), 8.03-7.97 (m, 2H), 7.68-7.62 (m, 2H), 7.48 (d, 1H), 7.39-7.33 (m, 1H), 4.29 (t, 2H), 1.90-1.72 (m, 2H), 1.09 (t, 3H).
42	δ 9.55 (m, 1H), 8.15 (m, 1H), 7.75 (m, 2H), 7.67 (s, 1H), 7.6 (dd, 1H), 7.42 (m, 1H), 7.1 (m, 2H), 5.58 (br s, 2H).
44	δ 9.5 (m, 1H), 8.15 (m, 1H), 7.65 (s, 1H), 7.6 (dd, 1H), 7.5 (m, 1H), 7.4 (m, 1H), 6.9 (m, 2H), 5.55 (br s, 2H).
50	δ (acetone-d_6) 9.4 (m, 1H), 8.45 (m, 1H), 8.1 (dd, 1H), 7.95 (s, 1H), 7.6 (m, 1H), 7.55 (m, 1H), 7.3 (m, 1H), 7.1 (m, 2H), 5.74 (d, 2H).
51	δ 9.54 (d, 1H), 8.47 (s, 1H), 8.07 (dd, 1H), 7.99 (s, 1H), 7.76 (d, 1H), 7.68 (d, 1H), 7.25-7.45 (m, 5H), 5.58 (br s, 2H).
52	δ 9.55 (d, 1H), 8.48 (s, 1H), 8.10 (m, 3H), 7.67 (d, 1H), 7.48 (s, 1H), 7.42 (m, 2H), 7.36 (d, 1H), 5.59 (br s, 2H).
53	δ 9.56 (d, 1H), 8.48 (s, 1H), 8.14 (s, 1H), 8.09 (dd, 1H), 8.07 (d, 1H), 7.69 (dd, 1H), 7.51 (m, 2H), 7.40 (m, 2H), 5.6 (br s, 2H).
54	δ 9.56 (d, 1H), 8.47 (s, 1H), 8.08 (dd, 1H), 7.81 (d, 1H), 7.77 (s, 1H), 7.68 (dd, 1H), 7.3-7.45 (m, 4H), 7.12 (d, 1H), 5.59 (br s, 2H).
55	δ 9.52 (d, 1H), 8.47 (s, 1H), 8.10 (dd, 1H), 8.04 (s, 1H), 7.78 (s, 1H), 7.66 (dd, 1H), 7.3-7.45 (m, 4H), 5.57 (br s, 2H).
56	δ 9.55 (d, 1H), 8.48 (s, 1H), 8.08 (dd, 1H), 7.83 (d, 1H), 7.77 (dd, 1H), 7.3-7.45 (m, 4H), 7.24 (d, 1H), 5.59 (br s, 2H).
57	δ 9.56 (d, 1H), 8.48 (s, 1H), 8.05 (dd, 1H), 7.79 (d, 1H), 7.77 (dd, 1H), 7.3-7.45 (m, 4H), 7.24 (d, 1H), 5.59 (br s, 2H).
59	δ 9.56 (d, 1H), 8.48 (s, 1H), 8.05 (dd, 1H), 7.79 (d, 2H), 7.70 (dd, 1H), 7.2-7.45 (m, 6H), 5.59 (br s, 2H).
60	δ 9.56 (d, 1H), 8.48 (s, 1H), 8.05 (dd, 1H), 7.81 (d, 2H), 7.69 (dd, 1H), 7.3-7.45 (m, 3H), 7.17 (d, 2H), 6.52 (t, 1H), 5.59 (br s, 2H).
61	δ 9.56 (d, 1H), 8.48 (s, 1H), 8.08 (dd, 1H), 7.70 (dd, 1H), 7.63 (d, 1H), 7.58 (m, 1H), 7.3-7.45 (m, 4H), 6.95 (td, 1H), 5.58 (br s, 2H).
62	δ 9.51 (d, 1H), 8.48 (s, 1H), 8.10 (dd, 1H), 7.10 (m, 2H), 7.3-7.45 (m, 4H), 7.03 (dd, 1H), 5.58 (br s, 2H).
63	δ 9.51 (d, 1H), 8.48 (s, 1H), 8.08 (dd, 1H), 7.69 (ddd, 1H), 7.54 (q, 1H), 7.3-7.45 (m, 4H), 6.85-7.0 (m, 2H), 5.57 (br s, 2H).

64 δ 9.52 (d, 1H), 8.48 (s, 1H), 8.10 (dd, 1H), 7.70 (d, 1H), 7.48 (dd, 1H), 7.42 (m, 2H), 7.34 (d, 1H), 7.25 (d, 1H), 7.06 (td, 1H), 5.59 (br s, 2H).

66 δ 9.53 (d, 1H), 8.49 (s, 1H), 8.12 (dd, 1H), 7.90 (d, 1H), 7.69 (dd, 1H), 7.65 (m, 1H), 7.2-7.45 (m, 4H), 5.59 (br s, 2H).

67 δ 9.53 (d, 1H), 8.48 (s, 1H), 8.11 (dd, 1H), 7.79 (d, 1H), 7.70 (dd, 1H), 7.51 (d, 1H), 7.4 (m, 3H), 7.35 (d, 1H), 7.15 (d, 1H), 5.75 (br d, 1H), 5.4 (br d, 1H).

68 δ 9.53 (d, 1H), 8.48 (s, 1H), 8.11 (dd, 1H), 7.79 (t, 1H), 7.69 (dd, 1H), 7.25-7.45 (m, 4H), 5.58 (br s, 2H).

70 δ 9.52 (d, 1H), 8.49 (s, 1H), 8.10 (dd, 1H), 7.69 (dd, 1H), 7.56 (dd, 1H), 7.4 (m, 2H), 7.09 (t, 1H), 5.58 (br s, 2H).

72 δ 9.50 (d, 1H), 8.47 (s, 1H), 8.03 (dd, 1H), 7.69 (dd, 1H), 7.57 (td, 1H), 7.26-7.45 (m, 4H), 7.19 (t, 1H), 7.12 (dd, 1H), 5.56 (br s, 2H).

73 δ 9.56 (d, 1H), 8.48 (s, 1H), 8.03 (dd, 1H), 7.86 (d, 2H), 7.69 (dd, 1H), 7.3-7.45 (m, 3H), 7.26 (d, 1H), 5.59 (br s, 2H).

74 δ 9.54 (d, 1H), 8.47 (s, 1H), 8.0-8.15 (m, 3H), 7.67 (dd, 1H) , 7.4 (m, 2H), 7.33 (d, 1H), 7.21 (dd, 1H), 5.59 (br s, 2H).

75 δ 9.56 (d, 1H), 8.48 (s, 1H), 8.03 (dd, 1H), 7.74 (d, 2H), 7.69 (dd, 1H), 7.3-7.4 (m, 3H), 6.97 (d, 2H), 5.59 (br s, 2H).

76 δ 9.56 (d, 1H), 8.48 (s, 1H), 8.10 (dd, 1H), 7.97 (d, 2H), 7.6-7.75 (m, 3H), 7.41 (m, 2H), 7.33 (d, 1H), 5.60 (br s, 2H).

77 δ 9.50 (d, 1H), 8.47 (s, 1H), 8.03 (dd, 1H), 7.68 (dd, 1H), 7.50 (d, 1H), 7.3-7.45 (m, 5H), 5.7 (br d, 1H) , 5.4 (br d, 1H).

78 δ 9.52 (d, 1H), 8.48 (s, 1H), 8.11 (dd, 1H), 7.68 (dd, 2H), 7.35-7.45 (m, 3H), 7.34 (d, 1H), 6.95-7.05 (m, 1H), 5.57 (br s, 2H).

79 δ 9.52 (d, 1H), 8.48 (s, 1H), 8.12 (dd, 1H), 7.65-7.75 (m, 2H), 7.47 (d, 1H), 7.42 (t, 2H), 7.37 (d, 1H), 5.58 (br s, 2H).

80 δ 9.51 (d, 1H), 8.48 (s, 1H), 8.12 (dd, 1H), 7.69 (dd, 1H), 7.4-7.5 (m, 2H), 7.33 (d, 1H), 7.27 (m, 1H), 7.02 (dd, 1H), 5.58 (br s, 2H).

82 δ 9.55 (d, 1H), 8.48 (s, 1H), 8.09 (dd, 1H), 7.65-7.75 (m, 2H), 7.6 (m, 1H), 7.41 (m, 2H), 7.33 (d, 1H), 7.17 (q, 1H), 5.58 (br s, 2H).

83 δ 9.56 (d, 1H), 8.48 (s, 1H), 8.05 (dd, 1H), 7.70 (d, 1H), 7.28-7.40 (m, 6H), 6.84 (m, 1H), 5.59 (br s, 2H), 3.84 (s, 3H).

84 δ 9.56 (d, 1H), 8.48 (s, 1H), 8.03 (dd, 1H), 7.68 (m, 3H), 7.36 (m, 1H), 7.31 (d, 1H), 7.23 (d, 1H), 5.58 (br s, 2H), 2.37 (s, 3H).

85 δ 9.52 (d, 1H), 8.48 (s, 1H), 8.10 (dd, 1H), 7.68 (dd, 2H), 7.3-7.5 (m, 6H), 5.57 (br s, 2H).

86 δ (acetone-d_6) 9.45 (d, 1H), 8.55 (d, 1H), 8.25 (m, 1H), 7.9-7.8 (m, 2H), 7.75 (s, 1H), 7.6 (dd, 1H), 7.5 (m, 1H), 7.4 (d, 1H), 6.65 (d, 1H), 5.72 (br s, 2H), 4.53 (m, 2H), 3.2 (m, 2H).

87 δ 9.59 (d, 1H), 8.38 (s, 1H), 8.10 (dd, 1H), 7.8 (m, 3H), 7.42 (t, 1H), 7.36 (d, 1H), 7.11 (t, 2H), 5.59 (br s, 2H).

88 δ 9.53 (d, 1H), 8.49 (s, 1H), 8.10 (dd, 1H), 7.70 (dd, 1H), 7.26-7.45 (m, 4H), 7.10 (td, 1H), 7.00 (m, 1H), 5.58 (br s, 2H).

89 δ 9.51 (d, 1H), 8.48 (s, 1H), 8.10 (dd, 1H), 7.70 (dd, 1H), 7.28-7.45 (m, 4H), 7.12 (m, 2H), 5.57 (br s, 2H).

90 δ 9.53 (d, 1H), 8.47 (s, 1H), 8.13 (dd, 1H), 7.68 (d, 1H), 7.60 (s, 1H), 7.53 (s, 2H), 7.43 (m, 2H), 7.35 (d, 1H) 5.60 (br d, 2H).

91 δ 9.52 (d, 1H), 8.46 (s, 1H), 8.06 (dd, 1H), 7.68 (d, 1H), 7.3-7.55 (m, 5H), 7.25 (d, 1H), 5.6 (br dd, 2H), 2.26 (s, 3H).

92 δ 9.54 (d, 1H), 8.48 (s, 1H), 8.1 (m, 2H), 7.75 (m, 1H), 7.68 (dd, 1H), 7.4 (m, 3H), 7.37 (d, 1H), 7.15 (t, 1H), 5.58 (br s, 2H).

93 δ 9.55 (d, 1H), 8.48 (s, 1H), 8.25 (s, 1H), 8.14 (s, 1H), 8.11 (dd, 1H), 7.66 (m, 2H), 7.4 (m, 2H), 7.34 (d, 1H), 5.59 (br s, 2H).

94 δ 9.53 (d, 1H), 8.48 (s, 1H), 8.14 (t, 1H), 7.75 (t, 1H), 7.68 (dd, 1H), 7.51 (d, 1H), 7.4 (m, 3H), 7.34 (d, 1H), 5.58 (br s, 2H).

95 δ 9.53 (d, 1H), 8.48 (s, 1H), 8.07 (t, 1H), 7.71 (d, 1H), 7.47 (t, 1H), 7.38 (m, 2H), 7.32 (d, 1H), 6.75 (m, 2H), 5.58 (br s, 2H).

96 δ 9.53 (d, 1H), 8.47 (s, 1H), 8.08 (dd, 1H), 7.69 (t, 1H), 7.3-7.45 (m, 4H), 6.9-7.05 (m, 2H), 5.6 (br dd, 2H), 2.28 (s, 3H).

97 δ 9.52 (d, 1H), 8.77 (s, 1H), 8.34 (t, 1H), 8.08 (dd, 1H), 7.98 (d, 1H), 7.78 (m, 2H), 7.4 (m, 2H), 7.10 (d, 1H), 5.67 (br s, 2H), 2.55 (s, 3H).

98 δ 9.55 (dd, 1H), 8.48 (d, 1H), 8.04 (ddd, 1H), 7.69 (dd, 1H), 7.40-7.34 (m, 2H), 7.32 (d, 1H), 7.29-7.26 (m, 2H), 6.88 (d, 1H), 5.95 (s, 2H), 5.58 (br s, 2H).

99 δ 9.52 (d, 1H), 8.48 (s, 1H), 8.10 (dd, 1H), 7.72 (dd, 1H), 7.3-7.5 (m, 5H), 7.11 (td, 1H), 5.8 (br d, 1H), 5.4 (br d, 1H).

100 δ 9.58 (d, 1H), 8.38 (s, 1H), 8.07 (dd, 1H), 7.8 (m, 3H), 7.3-7.45 (m, 4H), 7.26 (m, 1H), 5.57 (br s, 2H).

101 δ 9.56 (d, 1H), 8.48 (s, 1H), 8.07 (dd, 1H), 7.69 (d, 1H), 7.49 (d, 1H), 7.3-7.45 (m, 4H), 7.12 (dd, 1H), 5.59 (br s, 2H), 3.93 (s, 3H).

102 δ 9.55 (d, 1H), 8.48 (s, 1H), 8.05 (dd, 1H), 7.55-7.95 (m, 3H), 7.3-7.45 (m, 3H), 7.02 (dd, 1H), 5.58 (br s, 2H), 3.91 (s, 3H).

103 δ 9.53 (d, 1H), 8.69 (s, 1H), 8.60 (d, 1H), 8.07 (m, 2H), 7.80 (s, 1H), 7.66 (d, 1H), 7.4 (m, 2H), 7.25 (m, 2H), 5.63 (br s, 2H).

104 δ 9.55 (d, 1H), 8.47 (s, 1H), 8.07 (dd, 1H), 7.65-7.75 (m, 3H), 7.53 (d, 2H), 7.51 (d, 1H), 7.4 (m, 2H), 7.32 (d, 1H), 5.58 (br s, 2H).

105 δ 9.56 (d, 1H), 8.48 (s, 1H), 8.12 (dd, 1H), 8.02 (d, 2H), 7.67 (d, 2H), 7.4 (m, 3H), 7.34 (d, 1H), 5.59 (br s, 2H).

106 δ 9.55 (d, 1H), 8.48 (s, 1H), 8.07 (dd, 1H), 7.78 (d, 2H), 7.69 (dd, 1H), 7.4 (m, 4H), 7.32 (d, 1H), 5.59 (br s, 2H).

107 δ 9.53 (d, 1H), 8.48 (s, 1H), 8.20 (s, 1H), 8.11 (m, 2H), 7.68 (dd, 1H), 7.4-7.55 (m, 4H), 7.34 (d, 1H), 5.59 (br s, 2H).

108 δ 9.51 (d, 1H), 8.48 (s, 1H), 8.11 (dd, 1H), 7.68 (dd, 1H), 7.4 (m, 3H), 7.34 (d, 1H), 6.75 (dd, 2H), 5.58 (br s, 2H).

109 δ 9.57 (d, 1H), 8.46 (s, 1H), 7.88 (dd, 1H), 7.77 (m, 2H), 7.57 (dd, 1H), 7.3 (m, 3H), 7.1 (m, 3H), 5.35 (br m, 1H), 2.00 (s, 3H).

110 δ 9.46 (dd, 1H), 8.14 (ddd, 1H), 7.47 (d, 1H), 7.36 (m, 1H), 4.31 (t, 2H), 1.87-1.70 (m, 2H), 1.07 (t, 3H).

111 δ 9.54 (d, 1H), 8.26 (dd, 1H), 7.61 (d, 1H), 7.49 (t, 1H), 5.12 (br s, 2H).

112 δ 9.45 (d, 1H), 8.14 (dd, 1H), 7.49 (d, 1H), 7.33 (t, 1H), 4.32 (t, 2H), 1.78 (m, 2H), 1.07 (t, 3H).

113 δ 9.50 (d, 1H), 8.23 (dd, 1H), 7.52 (d, 1H), 7.41 (t, 1H), 4.96 (m, 1H), 4.77 (m, 1H), 4.40 (m, 1H), 2.40 (m, 1H), 2.25 (m, 1H).

114 δ (acetone-d_6) 9.42 (d, 1H), 8.53 (m, 1H), 8.09 (d, 1H), 7.69 (t, 1H), 5.42 (br s, 2H).

115 δ 8.12 (d, 1H), 7.45 (dd, 1H), 6.68 (dd, 1H), 6.48 (d, 1H), 4.6 (br s, 2H), 2.4 (m, 1H), 1.01 (d, 6H).

116 δ 8.12 (d, 1H), 7.45 (dd, 1H), 6.68 (dd, 1H), 6.49 (d, 1H), 4.6 (br s, 2H), 1.6 (m, 1H), 0.92 (d, 6H).

117 δ 9.51 (d, 1H), 8.18 (dd, 1H), 7.58 (d, 1H), 7.46 (t, 1H), 5.10 (br s, 2H), 2.91 (t, 2H), 2.60 (m, 2H).

118 δ 9.49 (d, 1H), 8.45 (s, 1H), 8.12 (dd, 1H), 7.65 (dd, 1H), 7.4 (m, 2H), 7.32 (d, 1H), 5.60 (br s, 2H).

119 δ 9.55 (d, 1H), 8.71 (s, 1H), 8.47 (s, 1H), 8.30 (dd, 1H), 8.11 (dd, 1H), 7.67 (dd, 1H), 7.42 (m, 2H), 7.33 (d, 1H), 5.60 (br s, 2H).

120 δ 9.56 (d, 1H), 8.92 (s, 1H), 8.47 (s, 1H), 8.21 (dd, 1H), 8.11 (dd, 1H), 7.3-7.45 (m, 4H), 5.59 (br s, 2H).

132 δ 8.23 (d, 1H), 7.64 (d, 2H), 7.23 (d, 2H), 4.06 (dd, 2H), 2.89 (m, 1H), 1.89 (q, 2H), 1.25 (d, 6H), 1.06 (t, 3H).

133 δ 8.30 (d, 1H), 7.46 (m, 1H), 7.16 (d, 1H), 6.8-6.9 (m, 2H), 4.8 (br s, 2H).

134 δ 8.32 (d, 1H), 7.72 (d, 2H), 7.38 (dd, 2H), 7.23 (dd, 1H), 7.13 (d, 1H), 4.81 (q, 2H).

135 δ 8.32 (d, 1H), 7.73 (d, 1H), 7.69 (s, 1H), 7.37 (t, 1H), 7.15 (d, 1H), 7.08 (d, 1H), 4.81 (q, 2H).

136 δ 8.52 (d, 1H), 8.28 (d, 1H), 7.91 (dd, 1H), 7.72 (d, 2H), 7.35-7.4 (m, 3H), 7.25 (m, 1H, partially obscured by solvent peak), 7.03 (d, 1H), 5.31 (s, 2H).

137	δ (acetone-d_6) 8.61 (d, 1H), 8.20 (d, 1H), 7.98 (d, 1H), 7.89 (m, 2H), 7.55 (dd, 1H), 7.47 (m, 1H), 7.03 (dd, 2H), 5.46 (s, 2H).
138	δ 8.49 (d, 1H), 8.23 (d, 1H), 7.86 (dd, 1H), 7.75 (d, 1H), 7.70 (s, 1H), 7.37 (dd, 2H), 7.08 (d, 1H), 7.03 (d, 1H), 5.29 (s, 2H).
139	δ 8.29 (d, 1H), 7.67-7.72 (m, 3H), 7.25 (m, 1H, partially obscured by solvent peak), 7.09 (d, 1H), 5.34 (s, 2H).
140	δ 8.28 (d, 1H), 7.67-7.73 (m, 3H), 7.04-7.11 (m, 3H), 5.33 (s, 2H).
141	δ 8.25 (s, 1H), 7.65-7.75 (m, 3H), 7.38 (dd, 1H), 7.08 (d, 1H), 5.32 (d, 1H).
142	δ 8.01 (d, 1H), 7.39 (m, 1H), 6.95 (d, 1H), 6.92-6.88 (m, 2H), 4.31 (m, 2H), 1.70 (m, 2H), 0.92 (t, 3H).
147	δ 8.27 (d, 1H), 7.67 (s, 1H), 7.31 (m, 3H), 7.07 (d, 1H), 6.80 (m, 1H), 5.33 (s, 2H), 3.82 (s, 3H).
152	δ 8.27 (d, 1H), 7.82 (m, 1H), 7.69 (s, 1H), 7.56 (m, 1H), 7.23 (m, 1H), 7.14 (d, 1H), 5.35 (s, 2H).
160	δ (acetone-d_6) 8.17 (d, 1H), 7.88 (s, 1 H), 7.59 (d, 1H), 7.21-7.29 (m, 2H), 6.99 (d, 1H), 6.88 (t, 1H), 5.45 (d, 2H), 3.76 (s, 3H).
171	δ 9.49 (dd, 1H), 8.18 (t, 1H), 7.65-7.75 (m, 2H), 7.38-7.49 (m, 2H), 4.31 (d, 2H), 1.15-1.22 (m, 1H), 0.62 (m, 4H).
209	δ (acetone-d_6) 9.45 (d, 1H), 8.48 (t, 1 H), 8.13 (d, 1H), 7.63 (t, 1H), 6.98-7.08 (m, 3H), 5.38 (br d, 2H), 3.87 (s, 3H).
229	δ 9.58 (d, 1H), 8.02 (t, 1 H), 7.47-7.59 (m, 3H), 7.38 (t, 1H), 7.15-7.35 (m, 4H), 2.01 (d, 3H).
345	δ 9.47 (dd, 1H), 8.08 (d, 1H), 7.68 (m, 1H), 7.45-7.55 (m, 3H), 7.31 (t, 2H), 7.00 (d, 2H), 4.15-4.4 (m, 2H), 4.11 (q, 2H), 1.7-1.85 (m, 2H), 1.31 (t, 3H), 1.07 (t, 3H).
346	δ 9.55 (dd, 1H), 8.17 (t, 1H), 7.67 (d, 1H), 7.59 (d, 1H), 7.52 (dd, 1H), 7.45 (t, 1H), 6.99 (d, 1h), 5.3 (br s, 1H), 4.9 (br s, 1H), 4.10 (q, 2H), 1.31 (t, 3H).
348	δ 9.49 (dd, 1H), 8.11 (t, 1H), 7.48 (d, 1H), 7.34 (m, 2H), 7.13 (s, 1H), 4.32 (m, 2H), 3.71 (s, 3H), 2.29 (s, 3H),1.7-1.85 (m, 2H), 1.06 (t, 3H).
349	δ 9.49 (d, 1H), 8.05 (t, 1H), 7.48 (d, 1H), 7.29 (t, 1H), 7.16 (m, 2H), 7.01 (d, 1H) 4.31 (m, 2H), 2.31 (s, 3H), 2.20 (s, 3H) 1.7-1.85 (m, 2H), 1.06 (t, 3H).
351	δ 9.55 (d, 1H), 8.23 (t, 1H), 7.98 (d, 2H), 7.66 (d, 2H), 7.52 (d, 1H), 7.44 (t, 1H), 4.85-5.05 (m, 1H), 4.75 (m, 1H), 4.40 (m, 1H), 2.2-2.6 (m, 2H).
352	δ 9.59 (dd, 1H), 8.25 (t, 1H), 7.59 (d, 1H), 7.50 (t, 1H), 7.23-7.28 (m, 1H), 7.07 (td, 1H), 7.04 (m, 1H), 5.10 (br s, 2H).
369	δ 9.60 (dd, 1H), 8.26 (t, 1H), 8.16 (d, 1H), 8.12 (m, 1H), 7.62 (d, 1H), 7.53 (t, 1H), 7.20 (t, 1H), 5.10 (br s, 2H).
416	δ 9.51 (d, 1H), 8.50 (s, 1H), 8.08 (d, 2H), 7.75 (d, 2H), 7.66 (dd, 2H), 7.3-7.45 (m, 3H), 7.2-7.25 (m, 1H), 5.69 (s, 2H).

418	δ 9.54 (dd, 1H), 8.47 (d, 1H), 8.10 (m, 1H), 7.79 (d, 1H), 7.67(dd, 1H), 7.33-7.43 (m, 2H), 7.2-7.3 (m, 3H), 5.58 (br s, 2H).
425	δ 9.56 (d, 1H), 8.48 (s, 1H), 8.06 (t, 1H), 7.74 (s, 1H), 7.66 (dd, 2H), 7.3-7.4 (m, 3H), 7.19 (d, 1H), 5.59 (br s, 1H), 2.51 (s, 3H).
426	δ 9.62 (dd, 1H), 8.75 (t, 1H), 8.26 (m, 1H), 8.20 (d, 1H), 7.63 (d, 1H), 7.53 (m, 2H), 5.3 (br s, 2H).
427	δ 9.57 (dd, 1H), 8.48 (s, 1H), 8.04 (m, 1H), 7.93 (s, 1H), 7.70 (dd, 2H), 7.42 (s, 2H), 7.35 (m, 3H), 5.60 (br s, 2H), 0.29 (s, 9H).
430	δ 9.56 (dd, 1H), 8.76 (s, 1H), 8.04 (m, 1H), 7.93 (d, 1H), 7.7-7.8 (m, 3H), 7.60 (m, 3H), 7.34 (m, mH), 5.65 (br s, 2H), 0.28 (s, 9H).
433	δ 9.58 (d, 1H), 8.22 (m, 1H), 7.61 (d, 1H), 7.48 (t, 1H), 7.30 (m, 1H), 6.98 (d, 1H), 6.93 (m, 1H), 5.2 (br s, 2H), 2.24 (s, 3H).
436	δ 9.58 (dd, 1H), 8.38 (s, 1H), 8.11 (t, 1H), 7.80 (m, 3H), 7.42 (m, 2H), 7.36 (d, 1H), 7.11 (d, 1H), 5.58 (br s, 2H).
443	δ 9.59 (dd, 1H), 8.38 (s, 1H), 8.07 (t, 1H), 7.82 (s, 1H), 7.74 (d, 2H), 7.40 (t, 1H), 7.37 (d, 1H), 6.98 (d, 2H), 5.58 (br s, 2H), 3.84 (s, 3H).
453	δ 9.58 (dd, 1H), 8.12 (m, 1H), 7.82 (d, 1H), 7.78 (s, 1H), 7.49 (d, 1H), 7.42 (m, 2H), 7.26 (m, 2H), 7.12 (d, 1H), 5.67 (br s, 2H).
459	δ 9.55 (d, 1H), 8.45 (d, 1H), 8.05 (t, 1H), 7.66 (dd, 1H), 7.52 (d, 1H), 7.42 (s, 1H), 7.31-7.39 (m, 4H), 6.85 (d, 1H), 5.59 (br s, 2H), 4.38 (q, 2H).
483	δ 9.57 (dd, 1H), 8.15 (m, 1H), 7.74 (m, 2H), 7.59 (d, 1H), 7.40 (t, 1H), 7.08(t, 2H), 5.0 (m, 1H), 4.0 (m, 1H), 2.07 (m, 1H), 1.5-1.65 (m, 4H).
486	δ (acetone-d_6) 9.43 (d, 1H), 8.41 (t, 1H), 8.18 (d, 1H), 7.96 (s, 1H), 7.75 (d, 1H), 7.59 (t, 1H), 7.50-7.56 (m, 1H), 7.05 (dd, 1H), 5.77 (s, 2H), 3.88 (s, 3H).
501	δ 9.51 (d, 1H), 8.21 (t, 1H), 7.67 (s, 1H), 7.62 (d, 1H), 7.42 (t, 1H), 6.75 (t, 2H), 5.59 (s, 2H).
511	δ (acetone-d_6) 7.87 (dd, 1H), 7.84-7.82 (m, 2H), 7.50 (d, 1H), 7.45 (d, 1H), 7.25-7.21 (m, 2H), 7.10-7.05 (m, 1H), 5.58 (s, 2H), 3.64 (s, 3H), 2.29 (s, 3H).
518	δ (acetone-d_6) 8.60 (d, 1H), 8.13 (s, 1 H), 8.04 (s, 1H), 8.00 (d, 1H), 7.92-7.97 (m, 2H), 7.43 (d, 1H), 7.38 (t, 1H), 7.03 (d, 1H), 5.42 (s, 2H).
521	δ (acetone-d_6) 9.50 (d, 1H), 8.85 (d, 1 H), 8.55 (t, 1H), 8.17 (d, 1H), 7.92 (s, 1H), 7.71 (t, 1H), 7.45 (d, 1H), 5.39 (br d, 2H).
547	δ (acetone-d_6) 9.41 (d, 1H), 8.35-8.43 (m, 2H), 7.98-8.05 (m, 2H), 7.88-7.92 (m, 2H), 7.63 (d, 1H), 7.59 (t, 1H), 7.05 (d, 1H), 5.74 (s, 2H).
564	δ 9.53 (dd, 1H), 8.14 (m, 1H), 7.73 (m, 2H), 7.4-7.6 (m, 4H), 7.36 (t, 2H), 7.20 (m, 1H), 5.58 (br s, 2H), 4.32 (m, 2H), 1.84 (m, 2H), 1.09 (t, 3H).
569	δ 9.48 (dd, 1H), 8.70 (s, 1H), 8.43 (d, 1H), 8.22 (d, 1H), 8.17 (m, 2H), 7.78 (d, 1H), 7.52 (d, 1h), 7.37 (t, 1H) 4.3 (t, 2H), 1.83 (m, 2H), 1.09 (t, 3H).

583	δ (acetone-d_6) 9.42 (dd, 1H), 8.35 (t, 1H), 8.15 (d, 1H), 7.82 (d, 2H), 7.52 (t, 1H), 7.25-7.31 (m, 2H), 7.13 (t, 1H), 5.34 (Br s, 1H), 4.05 (dd, 2H), 3.58 (td, 2H), 2.91 (qd, 2H), 1.80 (dd, 2H).
599	δ 9.57 (dd, 1H), 8.76 (d, 1H), 8.03 (m, 1H), 7.7-7.83 (m, 4H), 7.34-7.57 (m, 6H), 7.2-7.3 (m, 2H), 6.98 (dd, 1H), 5.65 (br s, 2H).
600	δ (acetone-d_6) 9.41 (d, 1H), 8.45 (t, 1H), 8.20 (d, 1H), 7.95-8.05 (m, 2H), 7.88 (d, 1H), 7.59-7.65 (m, 2H), 5.75 (br s, 2H).
601	δ 9.57 (dd, 1H), 9.14 (s, 1H), 8.52 (s, 1H), 8.05-8.10 (m, 2H), 7.91 (s, 26H), 7.78-7.82 (m, 2H), 7.38-7.43 (d, 2H), 7.11 (t, 2H), 5.67 (Br s, 2H).
606	δ 9.49 (d, 1H), 8.53 (d, 1H), 8.05 (s, 2H), 7.78 (m, 2H), 7.68 (t, 1H), 7.55 (d, 1H), 7.33 (m, 1H), 7.09 (t, 2H), 5.68 (br s, 2H).
612	δ 9.44 (dd, 1H), 8.65 (d, 1H), 8.57 (dd, 1H), 8.03 (m, 1H), 7.62 (dt, 1H), 7.27-7.37 (m, 3H), 5.55 (br s, 2H), 5.47 (s, 1H).
638	δ 9.49 (d, 0.5H), 9.47 (d, 0.5H), 8.23 (t, 1H), 7.61 (d, 1H), 7.50 (m, 1H), 5.00 (m, 2H), 4.35 (q, 1H), 4.32 (q, 1H), 1.35 (t, 1.5H), 1.28 (t, 1.5H) (1:1 mix of E and Z isomers).
639	δ (acetone-d_6) 8.45 (d, 1H), 8.19 (m, 1H), 8.10 (m, 1H), 8.00 (m, 2H), 7.53 (m, 1H), 7.38 (m, 1H), 7.10-7.00 (m, 2H), 5.45 (s, 2H).
646	δ (acetone-d_6) 8.45 (s, 1H), 8.10 (m, 2H), 7.50 (m, 1H), 7.30 (m, 1H), 7.20 (m, 1H), 7.15 (m, 1H), 6.95 (m, 1H), 6.90 (m, 1H), 5.50-5.30 (dd, 2H), 3.76 (s, 3H).
647	δ (acetone-d_6) 8.10 (m, 1H), 7.70 (s, 1H), 7.55 (s, 1H), 7.50 (d, 1H), 7.25 (m, 1H), 7.20 (m, 1H), 6.95 (m, 1H), 6.90 (m, 1H), 5.13 (m, 2H), 3.83 (s, 3H), 3.74 (s, 3H).
648	δ (acetone-d_6) 9.40 (m, 1H), 8.10 (m, 1H), 7.70 (m, 1H), 7.60 (dd, 1H), 7.45-7.35 (m, 3H), 7.20 (m, 1H), 7.05 (m, 1H), 6.95 (m, 1H), 6.90 (m, 1H), 3.83 (m, 3H), 3.75 (m, 3H), 1.90 (m, 3H).
656	δ 9.50 (m, 1H), 8.23 (t, 1H), 7.61 (d, 1H), 7.50 (m, 1H), 5.00 (m, 2H), 4.09 (d, 2H), 2.00 (m, 1H), 0.98 (d, 4H), 0.89 (d, 2H) (2:1 mix of E and Z isomers).
657	δ 9.50 (d, 1H), 8.23 (t, 1H), 7.61 (d, 1H), 7.50 (t, 1H), 5.00 (m, 2H), 1.38 (s, 9H) (single isomer).
658	δ 9.50 (m, 1H), 8.23 (t, 1H), 7.61 (d, 1H), 7.50 (m, 1H), 5.00 (m, 2H), 4.00 (s, 2H), 0.99 (s, 6H), 0.89 (s, 3H) (2:1 mix of E and Z isomers).
659	δ 9.50 (m, 1H), 8.23 (t, 1H), 7.61 (d, 1H), 7.50 (m, 1H), 5.00 (m, 2H), 4.82 (s, 0.66H), 4.76 (s, 1.34H), 4.22 (q, 0.66H), 4.20 (q, 1.34H), 1.29 (t, 1H), 1.27 (t, 2H) (2:1 mix of E and Z isomers).
700	δ 9.44 (d, 1H), 8.22 (t, 1H), 7.64 (s, 1H), 7.58 (d, 1H), 7.42 (t, 1H), 5.55 (br s, 2H), 4.42 (q, 2H), 1.04 (t, 3H).
701	δ 9.44 (d, 1H), 8.26 (t, 1H), 7.91 (d, 2H), 7.65 (s, 1H), 7.63 (d, 1H), 7.55 (t, 1H), 7.44 (m, 3H), 5.56 (br s, 2H).

729	δ 9.49 (d, 1H), 8.23 (s, 1H), 8.21 (t, 1H), 7.52 (d, 1H), 7.47 (t, 1H), 5.05 (br m, 2H), 1.38 (s, 9H).
730	δ 9.53 (d, 1H), 8.42 (s, 1H), 8.21 (t, 1H), 7.53 (d, 1H), 7.46 (t, 1H), 5.05 (br m, 2H), 4.22 (q, 2H), 1.32 (t, 3H).
731	δ 9.53 (d, 1H), 8.44 (s, 1H), 8.21 (t, 1H), 7.53 (d, 1H), 7.49 (t, 1H), 5.05 (br m, 2H), 3.93 (s, 2H), 0.98 (s, 9H).
758	δ 9.53 (d, 1H), 8.40 (s, 1H), 8.22 (t, 1H), 7.54 (d, 1H), 7.50 (t, 1H), 5.05 (br m, 2H), 3.91 (s, 3H).
759	δ 9.53 (d, 1H), 8.41 (s, 1H), 8.18 (t, 1H), 7.47 (m, 3H), 7.37 (m, 3H), 7.30 (t, 1H), 5.24 (s, 2H), 5.05 (br m, 2H).

[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, (ddd)-doublet of doublet of doublets, (dt)-doublet of triplets, (td)-triplet of doublets, (br)-broad.

[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. See Index Tables A–F for compound descriptions.

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 250 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 the following provided very good to excellent levels of control efficacy (40% or less feeding damage and/or 100% mortality): 1, 2, 3, 5, 6, 7, 8, 9, 10, 12, 13, 14, 15, 16, 17, 18, 19, 20, 22, 23, 24, 25, 26, 27, 28, 32, 33, 37, 39, 40, 42, 43, 44, 45, 46, 47, 48, 49, 50, 51, 52, 53, 54, 55, 56, 57, 58, 59, 60, 61, 62, 63, 64, 65, 66, 67, 68, 70, 72, 73, 74, 75, 76, 77, 78, 79, 80, 81, 82, 83, 84, 85, 86, 87, 88, 89, 90, 91, 92, 93, 95, 97, 98, 100, 101, 102, 103, 104, 106, 107, 108, 109, 120, 121, 122, 123, 128, 135, 136, 137, 138, 139, 140, 141, 145, 146, 147, 148, 149, 150, 152, 153, 154, 155, 156, 159, 161, 162, 164, 166, 167, 168, 169, 170, 173, 178, 179, 180, 185, 186, 187, 188, 189, 190, 192, 193, 196, 197, 198, 199, 200, 201, 202, 203, 205, 206, 207, 208, 209, 210, 211, 212, 215, 216, 217, 218, 219, 220, 221, 222, 226, 227, 229, 232, 235, 236, 237, 240, 242, 243, 245, 246, 247, 248, 252, 253, 254, 255, 256, 257, 259, 261, 262, 263, 264, 266, 267, 270, 271, 272, 273, 274, 275, 276, 277, 278, 279, 281, 282, 284, 286, 287, 288, 289, 290, 291, 293, 294, 295, 297, 298, 299, 300, 301, 302, 307, 310, 311, 312, 313, 314, 319, 321, 323, 324, 327, 330, 332, 339, 340, 341, 342, 343, 347, 350, 351, 352, 353, 355, 359, 361, 362, 363, 364, 366, 367, 370, 371, 372, 374, 377, 379, 380, 386, 389, 391, 400, 401, 405, 406, 412, 414, 418, 421, 422, 424, 426, 427, 431, 436, 438, 440, 441, 446, 459, 460, 461, 463, 469, 470, 471, 472, 473, 474, 475, 476, 477, 478, 479, 480, 481, 482, 483, 484, 485, 486, 487, 488, 489, 490, 491, 492, 493, 494, 495, 496, 498, 499, 500, 501, 502, 503, 511, 515, 524, 525, 527, 528, 529, 530, 531, 532, 534, 536, 537, 539, 540, 541, 542, 544, 545, 546, 548, 549, 550, 551, 557, 562, 563, 566, 567, 575, 581, 582, 589, 596, 597, 598, 600, 603, 608, 609, 613, 616, 617, 618, 619, 620, 621, 622, 623, 624, 625, 626, 627, 628, 630, 632, 634, 635, 636, 639, 640, 651, 652, 653, 654, 655, 663, 664, 666, 667, 670, 671, 672, 679, 682, 683, 684, 685, 701, 702, 713, 715, 717, 732, 733, 753, 754, 755 and 756.

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 250 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 the following provided very good to excellent levels of control efficacy (40% or less feeding damage and/or 100% mortality): 1, 3, 8, 15, 18, 22, 40, 42, 43, 44, 45, 46, 47, 48, 49, 50, 51, 53, 54, 55, 56, 58, 59, 60, 62, 65, 66, 68, 70, 73, 74, 75, 76, 79, 81, 83, 84, 92, 93, 95, 103, 123, 141, 145, 166, 168, 169, 173, 179, 180,

185, 186, 187, 188, 189, 192, 193, 196, 200, 215, 217, 226, 232, 240, 242, 245, 252, 253, 254, 255, 256, 261, 270, 272, 275, 276, 277, 310, 312, 372, 418, 427, 436, 440, 451, 461, 473, 475, 476, 477, 478, 479, 480, 481, 482, 484, 486, 489, 490, 491, 493, 495, 496, 498, 499, 500, 501, 502, 524, 525, 530, 531, 534, 540, 541, 542, 544, 549, 550, 574, 598, 609, 616, 617, 618, 619, 620, 622, 623, 624, 625, 626, 627, 628, 634, 635, 636, 652, 653, 654, 655, 663, 671, 672, 682, 683, 684, 685, 717, 733 and 754.

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 250 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, the following resulted in at least 80% mortality: 5, 10, 19, 29, 30, 31, 42, 43, 44, 49, 50, 53, 57, 59, 60, 63, 64, 65, 66, 68, 71, 72, 74, 75, 77, 78, 79, 80, 82, 83, 87, 94, 99, 100, 101, 102, 105, 108, 109, 111, 118, 119, 120, 123, 139, 140, 151, 153, 157, 166, 171, 172, 173, 178, 180, 185, 192, 193, 196, 197, 198, 200, 203, 207, 213, 214, 216, 218, 220, 224, 231, 232, 238, 256, 277, 357, 365, 373, 389, 390, 394, 396, 397, 404, 407, 411, 422, 437, 443, 444, 445, 463, 464, 469, 471, 472, 476, 477, 481, 482, 483, 486, 491, 492, 493, 495, 496, 498, 499, 500, 501, 503, 513, 530, 531, 536, 537, 540, 544, 549, 550, 575, 577, 590, 593, 597, 598, 600, 608, 617, 623, 626, 627, 628, 636, 651, 653, 654, 655, 660, 700, 714 and 717.

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 250 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, the following resulted in at least 80% mortality: 5, 10, 30, 31, 49, 54, 66, 75, 87, 94, 95, 166, 167, 192, 193, 198, 204, 210, 213, 214, 220, 230, 231, 235, 238, 264, 277, 486, 490, 540, 544, 550, 617, 619, 623, 627, 636, 653 and 654.

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 250 and 50 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 the cylinder. 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 250 ppm, the following resulted in at least 80% mortality: 1, 2, 3, 5, 6, 7, 8, 9, 10, 11, 12, 13, 14, 15, 16, 17, 18, 19, 21, 22, 23, 24, 25, 26, 27, 29, 30, 31, 32, 34, 35, 36, 37, 38, 39, 40, 41, 42, 43, 44, 45, 46, 47, 48, 49, 50, 51, 52, 53, 54, 55, 56, 57, 58, 59, 60, 61, 62, 63, 64, 65, 66, 67, 68, 70, 71, 72, 73, 74, 75, 76, 77, 78, 79, 80, 81, 82, 83, 84, 85, 86, 87, 88, 89, 90, 91, 93, 94, 95, 96, 97, 98, 99, 100, 101, 103, 104, 105, 106, 107, 108, 109, 111, 114, 115, 116, 118, 119, 120, 121, 122, 123, 161, 162, 163, 237, 278, 279, 280, 281, 282, 283, 284, 285, 289, 290, 291, 292, 293, 294, 295, 296, 297, 298, 299, 301, 302, 304, 306, 308, 309, 314, 317, 318, 319, 320, 321, 322, 323, 324, 325, 327, 329, 330, 336, 340, 341, 343, 344, 345, 346, 347, 348, 349, 350, 351, 352, 353, 356, 357, 358, 359, 360, 361, 362, 363, 364, 365, 366, 368, 375, 376, 377, 378, 384, 386, 389, 390, 392, 393, 394, 396, 397, 399, 400, 402, 403, 404, 406, 407, 408, 409, 411, 412, 413, 414, 415, 416, 419, 420, 421, 422, 423, 424, 425, 426, 428, 431, 433, 435, 436, 437, 438, 441, 442, 443, 444, 445, 446, 447, 449, 450, 459, 460, 461, 462, 463, 464, 465, 466, 467, 468, 469, 470, 471, 472, 474, 475, 476, 477, 479, 481, 482, 483, 484, 485, 519, 556, 562, 564, 568, 570, 571, 573, 574, 575, 576, 577, 579, 582, 583, 584, 586, 587, 588, 590, 591, 592, 593, 594, 604, 606, 608, 611, 612, 613, 687 and 757.

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, 2, 3, 5, 6, 7, 8, 9, 10, 11, 12, 13, 14, 16, 17, 18, 19, 20, 21, 23, 24, 25, 26, 27, 28, 29, 30, 31, 32, 36, 38, 39, 40, 42, 43, 44, 45, 46, 47, 48, 49, 50, 51, 52, 53, 54, 55, 56, 57, 58, 59, 60, 61, 62, 63, 64, 65, 66, 67, 68, 70, 71, 72, 73, 74, 75, 76, 77, 78, 79, 80, 81, 82, 83, 84, 85, 86, 87, 88, 89, 90, 91, 92, 93, 94, 95, 96, 97, 98, 99, 100, 101, 102, 103, 104, 105, 107, 108, 109, 111, 118, 119, 120, 121, 123, 124, 125, 134, 136, 137, 138, 139, 140, 141, 146, 147, 148, 149, 150, 151, 154, 156, 157, 161, 166, 167, 168, 171, 172, 173, 180, 185, 192, 193, 196, 197, 198, 199, 200, 201, 202,

203, 204, 207, 208, 209, 210, 212, 213, 214, 215, 216, 217, 218, 219, 220, 221, 222, 223, 224, 225, 226, 229, 230, 231, 232, 233, 234, 235, 236, 237, 238, 239, 240, 241, 243, 244, 245, 246, 247, 248, 249, 252, 254, 255, 256, 257, 259, 260, 262, 264, 266, 278, 280, 284, 289, 290, 291, 292, 293, 295, 297, 301, 304, 314, 318, 319, 320, 321, 323, 324, 325, 327, 330, 343, 344, 345, 346, 347, 348, 349, 350, 351, 352, 357, 359, 360, 361, 362, 363, 365, 376, 377, 378, 390, 392, 393, 394, 399, 400, 403, 404, 408, 411, 412, 413, 414, 419, 420, 421, 422, 423, 424, 425, 426, 431, 433, 435, 436, 437, 438, 441, 442, 443, 444, 446, 448, 449, 450, 456, 459, 460, 461, 462, 463, 464, 466, 468, 469, 470, 471, 472, 473, 474, 475, 476, 477, 481, 482, 483, 485, 486, 487, 488, 489, 490, 491, 492, 493, 495, 496, 497, 498, 499, 500, 501, 502, 503, 511, 513, 514, 522, 523, 524, 525, 527, 530, 531, 536, 537, 539, 540, 542, 544, 546, 547, 570, 571, 573, 574, 575, 576, 577, 579, 584, 586, 587, 588, 591, 592, 593, 597, 598, 599, 600, 604, 608, 613, 621, 622, 623, 625, 626, 630, 631, 632, 634, 636, 637, 639, 640, 641, 643, 645, 651, 652, 663, 664, 665, 666, 677, 700, 701, 702, 708, 713, 718, 751, 752, 756 and 757.

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 250 and 50 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 the cylinder. 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 250 ppm the following provided very good to excellent levels of control efficacy (80% or more mortality): 1, 2, 3, 5, 6, 7, 8, 9, 10, 11, 12, 13, 14, 16, 17, 18, 19, 21, 23, 24, 25, 26, 27, 28, 29, 30, 31, 36, 37, 38, 39, 40, 41, 42, 43, 44, 45, 46, 47, 48, 49, 50, 51, 52, 53, 54, 55, 56, 57, 57, 58, 59, 60, 61, 62, 63, 64, 65, 66, 67, 67, 68, 70, 71, 72, 73, 73, 74, 75, 76, 77, 78, 79, 80, 81, 82, 83, 84, 86, 87, 88, 89, 90, 91, 92, 93, 94, 95, 96, 97, 98, 99, 100, 101, 102, 104, 105, 106, 108, 109, 111, 113, 116, 117, 118, 120, 120, 122, 123, 163, 163, 237, 278, 279, 280, 281, 283, 285, 286, 288, 289, 291, 292, 295, 297, 302, 308, 311, 314, 315, 317, 318, 324, 325, 327, 328, 330, 340, 341, 343, 344, 345, 347, 349, 352, 357, 358, 359, 360, 361, 362, 363, 364, 365, 369, 375, 376, 377, 378, 381, 385, 389, 390, 392, 393, 394, 396, 397, 399, 400, 403, 404, 405, 406, 407, 408, 409, 410, 411, 415, 416, 417, 419, 422, 423, 424, 425, 426, 431, 433, 435, 437, 438, 443, 444, 445, 446, 449, 450, 459, 460, 461, 462, 463, 464, 465, 466, 467, 468, 469, 470, 471, 472, 473,

474, 475, 476, 477, 478, 479, 480, 481, 482, 483, 484, 485, 515, 568, 570, 571, 573, 574, 575, 581, 588, 591, 593, 604, 606, 608, 609 and 613.

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, 2, 3, 5, 6, 7, 9, 10, 11, 12, 13, 14, 16, 17, 19, 21, 23, 24, 25, 26, 27, 28, 29, 30, 31, 40, 41, 42, 43, 44, 45, 46, 47, 48, 49, 50, 51, 52, 53, 54, 55, 56, 57, 58, 59, 60, 61, 62, 63, 64, 65, 67, 68, 70, 71, 72, 73, 74, 75, 76, 77, 78, 79, 80, 81, 82, 83, 84, 85, 86, 87, 88, 89, 90, 91, 92, 93, 94, 95, 96, 97, 98, 99, 100, 101, 102, 104, 105, 106, 108, 109, 111, 118, 119, 120, 123, 124, 136, 137, 138, 139, 140, 141, 146, 147, 151, 153, 155, 156, 157, 160, 166, 167, 168, 171, 172, 173, 178, 180, 185, 186, 189, 192, 193, 194, 196, 197, 198, 199, 200, 201, 202, 203, 204, 207, 208, 209, 210, 211, 212, 213, 214, 215, 216, 217, 218, 219, 220, 222, 223, 224, 225, 226, 232, 233, 235, 236, 237, 238, 240, 243, 244, 245, 246, 247, 252, 254, 255, 256, 258, 259, 260, 261, 262, 264, 266, 273, 274, 277, 280, 318, 324, 327, 330, 340, 341, 357, 359, 361, 362, 363, 364, 369, 374, 377, 381, 389, 390, 392, 394, 396, 397, 399, 403, 404, 407, 408, 411, 416, 417, 423, 424, 431, 433, 435, 437, 449, 460, 461, 462, 463, 464, 466, 467, 469, 470, 471, 472, 473, 474, 475, 476, 477, 479, 480, 481, 482, 483, 486, 487, 488, 489, 490, 491, 492, 493, 494, 495, 496, 497, 498, 500, 501, 502, 503, 514, 515, 524, 525, 530, 531, 534, 536, 537, 539, 540, 541, 542, 544, 545, 551, 570, 575, 591, 597, 598, 600, 608, 609, 617, 618, 619, 620, 621, 622, 623, 624, 627, 634, 635, 641, 645, 651, 652, 653, 654, 663, 666, 671, 672, 682, 702, 711, 713, 715, 717, 753, 755 and 756.

TEST G

For evaluating control of the Western Flower Thrip (*Frankliniellla 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 ppm and 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 and then a black, screened cap was placed on top. The test units were held for 7 days at 25 °C and 45–55% relative humidity.

Of the compounds of Formula **1** tested the following provided very good to excellent levels of control efficacy (30% or less plant damage and/or 100% mortality): 158, 211, 212, 229, 235, 257, 258, 262, 273, 497, 528, 531, 544, 549, 579, 608, 617, 635 and 682.

TEST H

For evaluating control of the cat flea (*Ctenocephalides felis*), a test compound was solubilized in propylene glycol/glycerol formal (60:40) and then diluted in bovine blood to a final test rate of 30 ppm. The treated blood was placed in a tube with the bottom of the tube covered with a membrane. Approximately 10 adult cat fleas were allowed to feed through the membrane on the treated blood. The adult fleas were evaluated for mortality 72 h later.

Of the compounds of Formula **1** tested, the following compounds resulted in 50% or greater mortality: 1, 2, 3, 5, 6, 8, 9, 10, 12, 14, 16, 17, 19, 21, 26, 29, 34, 36, 37, 38, 39, 41, 42, 43, 44, 45, 46, 47, 48, 49, 50, 52, 53, 54, 55, 56, 57, 58, 59, 61, 62, 65, 66, 71, 72, 75, 76, 78, 81, 82, 83, 88, 90, 92, 98, 100, 101, 103, 104, 105, 106, 107, 114, 118, 119, 120, 121, 123, 124, 125, 134, 135, 136, 137, 138, 149, 150, 153, 154, 155, 156, 157, 161, 166, 167, 169, 172, 179, 186, 188, 189, 190, 191, 192, 193, 196, 199, 203, 204, 206, 207, 208, 210, 211, 216, 217, 218, 219, 223, 224, 225, 230, 280, 281, 289, 290, 294, 295, 301, 312, 314, 318, 319, 323, 324, 327, 331, 343, 346, 348, 350, 360, 361, 362, 363, 364, 369, 371, 372, 373, 374, 378, 382, 383, 385, 389, 391, 393, 406, 411, 420, 421, 422, 423, 431, 435, 438, 440, 461, 466, 468, 469, 470, 471, 473, 475, 476, 477, 478, 479, 480, 490, 491, 492, 493, 494, 495, 496, 497, 498, 500, 503, 511, 513, 521, 528, 589, 590, 592, 596, 597, 599, 604 and 606.

TEST I

For evaluating control of the cat flea (*Ctenocephalides felis*), a test compound was solubilized in acetone:water (75:25) to a final test rate of 500 ppm. Then 20 µL of the 500 ppm solution was applied to filter paper in the bottom of a tube. The tube was allowed to dry for 3 hours. Then approximately 10 adult fleas were added to the tube and the tube was capped. The fleas were evaluated for mortality 48 hours later.

Of the compounds of Formula **1** tested, the following compounds resulted in 50% or greater mortality: 5, 6, 10, 12, 19, 21, 22, 23, 26, 27, 28, 38, 39, 40, 41, 42, 43, 44, 45, 47, 48, 50, 54, 56, 57, 59, 61, 62, 63, 65, 70, 71, 72, 75, 81, 82, 83, 102, 107, 108, 114, 115, 121, 124, 136, 138, 141, 151, 154, 161, 166, 173, 186, 193, 196, 197, 203, 204, 208, 211, 216, 218, 224, 229, 232, 281, 290, 291, 295, 301, 310, 321, 343, 350, 353, 357, 360, 361, 364, 408, 419, 424, 437, 446, 450, 461, 464, 466, 468, 470, 471, 474, 476, 483, 485, 487, 490, 491, 492, 493, 494, 496, 498, 501, 507, 520, 521, 576, 597 and 599.

TEST J

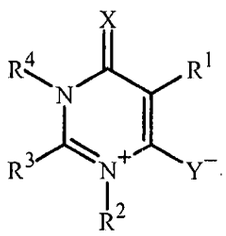
For evaluating control of the cat flea (*Ctenocephalides felis*) following oral adminstration of the test compound to a mouse, a CD-1® mouse (about 30 g, male, obtained from Charles River Laboratories, Wilmington, MA) was orally dosed with a test compound in an amount of 10 mg/kg solubilized in propylene glycol/glycerol formal (60:40). Two hours after oral administration of the test compound, approximately 8 to 16 adult fleas were applied to each mouse. The fleas were then evaluated for mortality 48 hours after flea application to the mouse.

Of the compounds of Formula **1** tested, the following compounds resulted in 20% or greater mortality: 2, 10, 19, 41, 42, 43, 44, 45, 46, 47, 51, 56, 57, 59, 61, 63, 72, 75, 80, 81, 82, 83, 88, 92, 96, 101, 104, 105, 106, 107, 111, 119, 120, 121, 123, 124, 136, 137, 140, 148, 151, 154, 169, 186, 319, 461, 475, 476, 477, 493, 497, 498, 588 and 599.

CLAIMS

What is claimed is:

1. A compound of Formula **1**,



1

wherein

X is O or S;

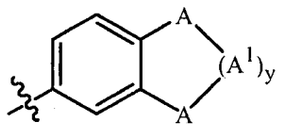
Y is O or S;

R^1 is H, halogen, C_1–C_6 alkyl, C_1–C_6 haloalkyl, C_2–C_6 alkenyl, C_2–C_6 haloalkenyl, C_2–C_6 alkynyl, C_2–C_6 haloalkynyl, CR^{24}=$C(R^{24})R^{10}$ or C≡CR^{10}; or

R^1 is C_3–C_7 cycloalkyl, C_4–C_8 cycloalkylalkyl or C_5–C_7 cycloalkenyl, each optionally substituted with 1 to 4 substituents independently selected from the group consisting of halogen, C_1–C_2 alkyl, C_1–C_2 alkoxy, 1 cyclopropyl, 1 CF_3 and 1 OCF_3; or

R^1 is phenyl, naphthalenyl or a 5- or 6-membered heteroaromatic ring, each optionally substituted with 1 to 3 substituents independently selected from the group consisting of halogen, cyano, nitro, C_1–C_4 alkyl, C_2–C_4 alkenyl, C_2–C_4 alkynyl, C_1–C_4 haloalkyl, C_2–C_4 haloalkenyl, C_2–C_4 alkylcarbonyl, C_2–C_4 haloalkylcarbonyl, C_2–C_4 alkoxycarbonyl, C_2–C_4 alkylaminocarbonyl, C_3–C_7 dialkylaminocarbonyl, C(O)N-(-$CH_2Z^2CH_2$-)-, C_1–C_4 alkoxy, C_1–C_4 haloalkoxy, C_2–C_6 alkoxyalkyl, $S(O)_nR^{12}$, $S(O)_2R^{13}$, C_1–C_4 alkylamino, C_2–C_6 dialkylamino, SF_5, $Si(CH_3)_3$, CHO, hydroxy, $OC(O)R^{19}$ and $N(R^{20})C(O)R^{19}$; or

R^1 is



; or

R^1 is $C(X^1)R^{18}$, $C(=NOR^{23})R^{18}$, $C(O)NR^{16}R^{18a}$, $C(=NNR^{20a}R^{23})R^{18}$, $C(=NNR^{20a}C(O)R^{23})R^{18}$, $C(=NNR^{20a}C(O)OR^{23a})R^{18}$ or $C(=NNR^{20a}C(O)NR^{20a}R^{23})R^{18}$; or

R^1 is an 8- to 10-membered heteroaromatic bicyclic ring system optionally substituted on carbon ring members with up to 3 substituents independently selected from the group consisting of halogen, cyano, nitro, SF_5, C_1–C_4 alkyl, C_2–C_4 alkenyl, C_2–C_4 alkynyl, C_1–C_4 haloalkyl, C_2–C_4 alkylcarbonyl, C_2–C_4 haloalkylcarbonyl, C_2–C_4 alkoxycarbonyl, C_2–C_4 alkylaminocarbonyl, C_3–C_7 dialkylaminocarbonyl, C(O)N-(-$CH_2Z^2CH_2$-)-, C_1–C_4 alkoxy C_1–C_4 haloalkoxy, C_2–C_6 alkoxyalkyl, $S(O)_nR^{12}$, $S(O)_2R^{13}$, C_1–C_4 alkylamino, C_2–C_6 dialkylamino, $Si(CH_3)_3$, CHO, hydroxy, $OC(O)R^{19}$ and $N(R^{20})C(O)R^{19}$, and optionally further substituted on nitrogen ring members with methyl; or

R^1 is phenyl or a 5- or 6-membered heteroaromatic ring, each substituted with GQ^1, each optionally substituted with 1 Q^2 and each optionally substituted with 1 or 2 substituents independently selected from the group consisting of halogen, cyano, nitro, SF_5, C_1–C_4 alkyl, C_2–C_4 alkenyl, C_2–C_4 alkynyl, C_1–C_4 haloalkyl, C_2–C_4 alkylcarbonyl, C_2–C_4 haloalkylcarbonyl, C_2–C_4 alkoxycarbonyl, C_2–C_4 alkylaminocarbonyl, C_3–C_7 dialkylaminocarbonyl, C(O)N-(-$CH_2Z^2CH_2$-)-, C_1–C_4 alkoxy, C_1–C_4 haloalkoxy, C_2–C_6 alkoxyalkyl, $S(O)_nR^{12}$, $S(O)_2R^{13}$, C_1–C_4 alkylamino, C_2–C_6 dialkylamino, $Si(CH_3)_3$, CHO, hydroxy, $OC(O)R^{19}$ and $N(R^{20})C(O)R^{19}$; or

R^1 is phenyl or a 5- or 6-membered heteroaromatic ring, each substituted with LQ^1 and optionally substituted with 1 or 2 substituents independently selected from the group consisting of halogen, cyano, nitro, C_1–C_4 alkyl, C_2–C_4 alkenyl, C_2–C_4 alkynyl, C_1–C_4 haloalkyl, C_2–C_4 alkylcarbonyl, C_2–C_4 haloalkylcarbonyl, C_2–C_4 alkoxycarbonyl, C_2–C_4 alkylaminocarbonyl, C_3–C_7 dialkylaminocarbonyl, C(O)N-(-$CH_2Z^2CH_2$-)-, C_1–C_4 alkoxy, C_1–C_4 haloalkoxy, C_2–C_6 alkoxyalkyl, $S(O)_nR^{12}$, $S(O)_2R^{13}$, C_1–C_4 alkylamino and C_2–C_6 dialkylamino;

each A is independently $C(R^{16})_2$, O, $S(O)_n$ or NR^{15};

each A^1 is independently $C(R^{17})_2$;

X^1 is O or S;

G is a direct bond, O, $S(O)_n$, NH, $N(CH_3)$, CH_2, CH_2O, OCH_2, C(O), C(O)O, OC(O), C(O)NH or NHC(O);

L is a phenyl or 5- or 6-membered heteroaromatic ring optionally substituted with 1 or 2 substituents independently selected from the group consisting of halogen, cyano, nitro, C_1–C_4 alkyl, C_2–C_4 alkenyl, C_2–C_4 alkynyl, C_1–C_4 haloalkyl, C_2–C_4 alkylcarbonyl, C_2–C_4 haloalkylcarbonyl, C_2–C_4 alkoxycarbonyl, C_2–C_4 alkylaminocarbonyl, C_3–C_7 dialkylaminocarbonyl, C(O)N-(-$CH_2Z^2CH_2$-)-, C_1–

C_4 alkoxy, C_1–C_4 haloalkoxy, C_2–C_6 alkoxyalkyl, $S(O)_nR^{12}$, $S(O)_2R^{13}$, C_1–C_4 alkylamino and C_2–C_6 dialkylamino;

Q^1 is phenyl or a 5- or 6-membered heteroaromatic ring, each optionally substituted with 1 to 3 substituents independently selected from the group consisting of halogen, cyano, nitro, C_1–C_4 alkyl, C_2–C_4 alkenyl, C_2–C_4 alkynyl, C_1–C_4 haloalkyl, C_2–C_4 alkylcarbonyl, C_2–C_4 haloalkylcarbonyl, C_2–C_4 alkoxycarbonyl, C_2–C_4 alkylaminocarbonyl, C_3–C_7 dialkylaminocarbonyl, $C(O)N(-CH_2Z^2CH_2-)$-, C_1–C_4 alkoxy, C_1–C_4 haloalkoxy, C_2–C_6 alkoxyalkyl, $S(O)_nR^{12}$, $S(O)_2R^{13}$, C_1–C_4 alkylamino, C_2–C_6 dialkylamino, SF_5, $Si(CH_3)_3$, CHO, hydroxy, $OC(O)R^{19}$ and $N(R^{20})C(O)R^{19}$;

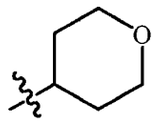
Q^2 is phenyl or a 5- or 6-membered heteroaromatic ring, each optionally substituted with 1 or 2 substituents independently selected from the group consisting of halogen, cyano, nitro, C_1–C_4 alkyl, C_2–C_4 alkenyl, C_2–C_4 alkynyl, C_1–C_4 haloalkyl, C_2–C_4 alkylcarbonyl, C_2–C_4 haloalkylcarbonyl, C_2–C_4 alkoxycarbonyl, C_2–C_4 alkylaminocarbonyl, C_3–C_7 dialkylaminocarbonyl, $C(O)N(-CH_2Z^2CH_2-)$-, C_1–C_4 alkoxy, C_1–C_4 haloalkoxy, C_2–C_6 alkoxyalkyl, $S(O)_nR^{12}$, $S(O)_2R^{13}$, C_1–C_4 alkylamino and C_2–C_6 dialkylamino;

R^2 is C_2–C_6 alkyl, C_1–C_6 haloalkyl, C_3–C_6 alkenyl, C_3–C_6 haloalkenyl, C_3–C_6 alkynyl, C_3–C_6 haloalkynyl, $CH_2CO_2R^{21}$, $CR^5R^6CH_2OR^{21}$, $CR^5R^6CH_2CH_2OR^{21}$, $CR^5R^6CH_2S(O)_nR^{21}$ or $CR^5R^6CH_2CH_2S(O)_nR^{21}$; or

R^2 is C_3–C_6 cycloalkyl or C_4–C_7 cycloalkylalkyl, each optionally substituted with 1 to 4 substituents selected from the group consisting of halogen, C_1–C_2 alkyl, 1 cyclopropyl and 1 CF_3; or

R^2 is CR^5R^6Q; or

R^2 is



;

R^3 is H, C_1–C_6 alkyl, C_1–C_6 haloalkyl, C_2–C_6 alkenyl, C_2–C_6 haloalkenyl, C_2–C_6 alkynyl, C_2–C_6 haloalkynyl or $C{\equiv}CR^{10}$; or

R^3 is C_3–C_6 cycloalkyl or C_4–C_7 cycloalkylalkyl, each optionally substituted with 1 to 4 substituents independently selected from the group consisting of halogen, C_1–C_2 alkyl, 1 cyclopropyl and 1 CF_3; or

R^3 is phenyl, naphthalenyl or a 5- or 6-membered heteroaromatic ring, each optionally substituted with 1 or 2 substituents independently selected from the group consisting of halogen, cyano, nitro, C_1–C_4 alkyl, C_2–C_4 alkenyl, C_2–C_4 alkynyl,

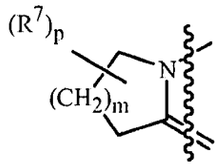
C_1–C_4 haloalkyl, C_2–C_4 alkylcarbonyl, C_2–C_4 haloalkylcarbonyl, C_2–C_4 alkoxycarbonyl, C_2–C_4 alkylaminocarbonyl, C_3–C_7 dialkylaminocarbonyl, C(O)N-(-$CH_2Z^2CH_2$-)-, C_1–C_4 alkoxy, C_1–C_4 haloalkoxy, C_2–C_6 alkoxyalkyl, $S(O)_nR^{12}$, $S(O)_2R^{13}$, C_1–C_4 alkylamino and C_2–C_6 dialkylamino;

R^4 is C_1–C_6 alkyl, C_1–C_6 haloalkyl, C_2–C_6 alkenyl, C_2–C_6 haloalkenyl, C_2–C_6 alkynyl, C_2–C_6 haloalkynyl or C≡CR^{10}; or

R^4 is C_3–C_6 cycloalkyl or C_4–C_7 cycloalkylalkyl, each optionally substituted with 1 to 4 substituents independently selected from the group consisting of halogen, C_1–C_2 alkyl, 1 cyclopropyl and 1 CF_3; or

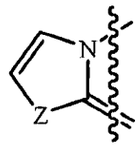
R^4 is phenyl, naphthalenyl or a 5- or 6-membered heteroaromatic ring, each optionally substituted with 1 or 2 substituents independently selected from the group consisting of halogen, cyano, nitro, C_1–C_4 alkyl, C_2–C_4 alkenyl, C_2–C_4 alkynyl, C_1–C_4 haloalkyl, C_2–C_4 alkylcarbonyl, C_2–C_4 haloalkylcarbonyl, C_2–C_4 alkoxycarbonyl, C_2–C_4 alkylaminocarbonyl, C_3–C_7 dialkylaminocarbonyl, C(O)N-(-$CH_2Z^2CH_2$-)-, C_1–C_4 alkoxy, C_1–C_4 haloalkoxy, C_2–C_6 alkoxyalkyl, $S(O)_nR^{12}$, $S(O)_2R^{13}$, C_1–C_4 alkylamino and C_2–C_6 dialkylamino; or

R^3 and R^4 are taken together with the contiguous linking nitrogen and carbon atoms to form an optionally substituted ring **R-1**



R-1

or ring **R-2**



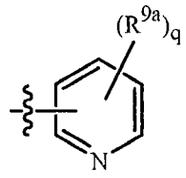
R-2 ;

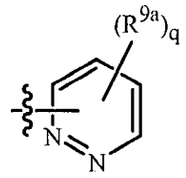
Z is C(R^{8a})=C(R^{8b}), S, O or NCH_3, provided that the C(R^{8a})=C(R^{8b}) moiety is oriented so the carbon atom bonded to R^{8b} is connected as R^3 in Formula **1**;

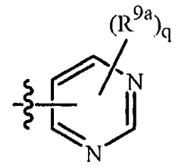
each R^5 is independently H, F, Cl, cyano or C_1–C_4 alkyl;

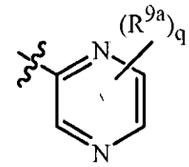
each R^6 is independently H, F, Cl or CH_3;

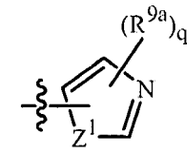
Q is

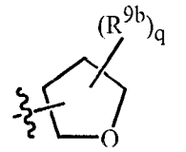

Q-1

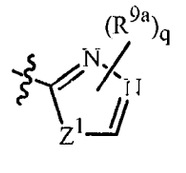

Q-2

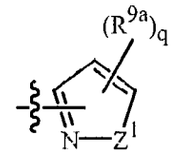

Q-3

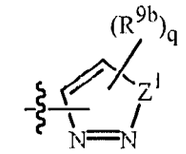

Q-4

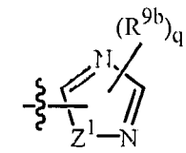

Q-5

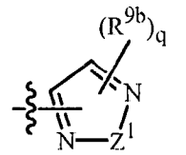

Q-6

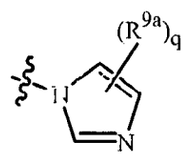

Q-8

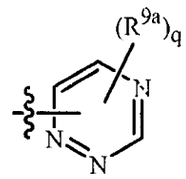

Q-9

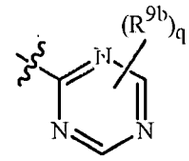

Q-10


Q-11

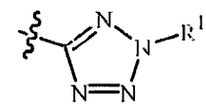

Q-12


Q-13


Q-14


Q-15

or


Q-16

;

Z^1 is O, S or NR^{14};

each R^7 is independently H, halogen, cyano, CF_3, C_1–C_3 alkyl or C_3–C_6 cycloalkyl;

R^{8a} is H or F;

R^{8b} is H, F, CF_2H or CF_3;

each R^{9a} is independently H, halogen, cyano, nitro, 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_1–C_4 alkoxy, C_1–C_4 haloalkoxy, C_2–C_4 alkylcarbonyl, C_2–C_4 haloalkylcarbonyl, C_2–C_4 alkoxycarbonyl, C_2–C_4 haloalkoxycarbonyl, $C(O)NH_2$, C_2–C_4 alkylaminocarbonyl, C_3–C_7 dialkylaminocarbonyl, $C(O)N(CH_2Z^2CH_2)$-, C_2–C_4 haloalkylaminocarbonyl, C_3–C_7 halodialkylaminocarbonyl, SF_5, $S(O)_nR^{12}$ or $S(O)_2R^{13}$; or C_3–C_6 cycloalkyl or C_4–C_7 cycloalkylalkyl, each optionally substituted with 1 to 4 substituents selected from the group consisting of halogen, C_1–C_2 alkyl, 1 cyclopropyl and 1 CF_3; or phenyl or a 5- or 6-membered heteroaromatic ring, each optionally substituted with 1 or 2 substituents independently selected from the group consisting of halogen, cyano, nitro, C_1–C_4 alkyl, C_2–C_4 alkenyl, C_2–C_4 alkynyl, C_1–C_4 haloalkyl, C_2–C_4 alkylcarbonyl, C_2–C_4 haloalkylcarbonyl, C_2–C_4 alkoxycarbonyl, C_2–C_4 alkylaminocarbonyl, C_3–C_7 dialkylaminocarbonyl, $C(O)N(CH_2Z^2CH_2)$-, C_1–C_4 alkoxy, C_1–C_4

haloalkoxy, C_2–C_6 alkoxyalkyl, $S(O)_nR^{12}$, $S(O)_2R^{13}$, C_1–C_4 alkylamino and C_2–C_6 dialkylamino;

each R^{9b} is independently H, halogen, cyano, C_1–C_4 alkyl, C_1–C_4 haloalkyl, C_1–C_4 alkoxy or C_1–C_4 haloalkoxy; or phenyl or pyridinyl, each optionally substituted with 1 or 2 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, C_1–C_4 haloalkoxy, $S(O)_nR^{12}$ and $S(O)_2R^{13}$;

each R^{10} is independently $Si(R^{11})_3$; or phenyl or pyridinyl, each optionally substituted with 1 to 3 substituents independently selected from the group consisting of halogen, cyano, nitro, SF_5, C_1–C_4 alkyl, C_2–C_4 alkenyl, C_2–C_4 alkynyl, C_1–C_4 haloalkyl, C_2–C_4 alkylcarbonyl, C_2–C_4 haloalkylcarbonyl, C_2–C_4 alkoxycarbonyl, C_2–C_4 alkylaminocarbonyl, C_3–C_7 dialkylaminocarbonyl, $C(O)N(CH_2Z^2CH_2)$-, C_2–C_6 alkoxyalkyl, C_1–C_4 alkoxy, C_1–C_4 haloalkoxy, $S(O)_nR^{12}$, $S(O)_2R^{13}$, C_1–C_4 alkylamino and C_2–C_6 dialkylamino;

each R^{11} is independently C_1–C_4 alkyl;

each R^{12} is independently C_1–C_4 alkyl or C_1–C_4 haloalkyl;

each R^{13} is independently C_1–C_4 alkylamino, C_2–C_6 dialkylamino or $-N(CH_2Z^2CH_2)$;

R^{14} is H, C_1–C_4 alkyl, C_1–C_4 haloalkyl, C_2–C_5 alkoxycarbonyl, C_2–C_5 alkylaminocarbonyl, C_3–C_7 dialkylaminocarbonyl, $C(O)N(CH_2Z^2CH_2)$, $S(O)_nR^{12}$ or $S(O)_2R^{13}$; or phenyl or pyridinyl, each optionally substituted with 1 to 3 substituents independently selected from the group consisting of halogen, cyano, C_1–C_4 alkyl, C_1–C_4 alkoxy, C_1–C_4 haloalkoxy, $S(O)_nR^{12}$ and $S(O)_2R^{13}$;

each R^{15} is independently C_1–C_4 alkyl;

each R^{16} is independently H or C_1–C_4 alkyl;

each R^{17} is independently H, F or CH_3;

R^{18} is H, C_1–C_6 alkyl, C_1–C_6 haloalkyl, C_3–C_6 cycloalkyl, C_1–C_6 alkoxy, C_1–C_6 alkylamino or C_2–C_7 dialkylamino; or phenyl or a 5- or 6-membered heteroaromatic ring, each optionally substituted with 1 to 3 substituents independently selected from the group consisting of halogen, C_1–C_4 alkyl, C_1–C_4 alkoxy, C_1–C_4 haloalkoxy, $S(O)_nR^{12}$ and $S(O)_2R^{13}$;

R^{18a} is phenyl or a 5- or 6-membered heteroaromatic ring, each optionally substituted with 1 to 3 substituents independently selected from the group consisting of halogen, C_1–C_4 alkyl, C_1–C_4 alkoxy, C_1–C_4 haloalkoxy, $S(O)_nR^{12}$ and $S(O)_2R^{13}$;

each R^{19} is independently C_1–C_4 alkyl;

each R^{20} is independently H or C_1–C_4 alkyl;

each R^{20a} is independently C_1–C_4 alkyl;

each R^{21} is independently H or C_1–C_4 alkyl;

R^{23} is H, C_1–C_6 alkyl, C_1–C_6 haloalkyl, C_3–C_6 alkenyl, C_3–C_6 haloalkenyl, C_3–C_6 alkynyl, C_3–C_6 haloalkynyl or $CH_2CO_2R^{21}$; or

R^{23} is C_3–C_6 cycloalkyl or C_4–C_7 cycloalkylalkyl, each optionally substituted with 1 to 4 substituents independently selected from the group consisting of halogen, C_1–C_2 alkyl, 1 cyclopropyl and 1 CF_3; or

R^{23} is phenyl or a 5- or 6-membered heteroaromatic ring, each optionally substituted with 1 to 3 substituents independently selected from the group consisting of halogen, cyano, nitro, C_1–C_4 alkyl, C_2–C_4 alkenyl, C_2–C_4 alkynyl, C_1–C_4 haloalkyl, C_2–C_4 alkylcarbonyl, C_2–C_4 haloalkylcarbonyl, C_2–C_4 alkoxycarbonyl, C_2–C_4 alkylaminocarbonyl, C_3–C_7 dialkylaminocarbonyl, $C(O)N(-CH_2Z^2CH_2-)$-, C_1–C_4 alkoxy, C_1–C_4 haloalkoxy, C_2–C_6 alkoxyalkyl, $S(O)_nR^{12}$, $S(O)_2R^{13}$, C_1–C_4 alkylamino and C_2–C_6 dialkylamino;

R^{23a} is C_1–C_6 alkyl, C_2–C_6 haloalkyl, C_3–C_6 alkenyl or C_3–C_6 cycloalkyl;

each R^{24} is independently H, F or CH_3;

m is 0, 1, 2 or 3;

each n is independently 0, 1 or 2;

p is 0, 1, 2, 3 or 4;

each q is independently 0, 1 or 2;

y is 1 or 2; and

each Z^2 is independently CH_2CH_2, $CH_2CH_2CH_2$ or CH_2OCH_2;

provided that

(a) when R^2 is $CH_2CH{=}CH_2$, R^3 and R^4 are taken together to form ring **R-2**, Z is CH=CH, X is O and Y is O, then R^1 is other than H, $CH(CH_3)_2$, $CH_2CH_2CH_2CH_3$, $CH_2CH{=}CH_2$ or unsubstituted phenyl;

(b) when R^1 is H, R^3 and R^4 are taken together to form ring **R-2**, Z is CH=CH, X is O and Y is O, then R^2 is other than $CH_2CH{=}CH_2$ or $CH_2C{\equiv}CH$;

(c) when R^1 is CH_2CH_3, R^3 and R^4 are taken together to form ring **R-2**, Z is CH=CH, X is O and Y is O, then R^2 is other than $CH_2(CH_2)_3CH_3$;

(d) when R^3 is H, R^2 and R^4 are both cyclohexyl, X is O and Y is O, then R^1 is other than CH_3;

(e) when R^1 is H or C_1–C_3 *n*-alkyl, R^3 and R^4 are taken together to form ring **R-2**, Z is S, X is O and Y is O, then R^2 is other than C_1–C_6 alkyl or C_3–C_4 alkoxyalkyl;

(f) when R^2 is cyclopropylmethyl, R^3 and R^4 are taken together to form ring **R-2**, Z is S, X is O and Y is O, then R^1 is other than CH_3, CH_2CH_3 or $CH_2CH_2CH_3$;

(g) when R^1 is unsubstituted phenyl, R^3 and R^4 are taken together to form ring **R-2**, Z is S, X is O and Y is O, then R^2 is other than C_3–C_4 alkoxyalkyl; and

(h) when R^1 is Br, R^3 and R^4 are taken together to form ring **R-2**, Z is S, X is O and Y is O, then R^2 is other than CH_2CH_3.

2. A compound of Claim 1 wherein

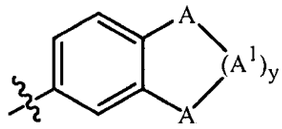
X is O;

Y is O;

R^1 is H or halogen; or

R^1 is phenyl or a 6-membered heteroaromatic ring, each optionally substituted with 1 to 3 substituents independently selected from the group consisting of halogen, cyano, nitro, C_1–C_4 alkyl, C_2–C_4 alkenyl, C_2–C_4 alkynyl, C_1–C_4 haloalkyl, C_2–C_4 haloalkenyl, C_2–C_4 alkylcarbonyl, C_2–C_4 haloalkylcarbonyl, C_2–C_4 alkoxycarbonyl, C_2–C_4 alkylaminocarbonyl, C_3–C_7 dialkylaminocarbonyl, $C(O)N(-CH_2Z^2CH_2-)$, C_1–C_4 alkoxy, C_1–C_4 haloalkoxy, C_2–C_6 alkoxyalkyl, $S(O)_nR^{12}$, $S(O)_2R^{13}$, C_1–C_4 alkylamino, C_2–C_6 dialkylamino, SF_5, $Si(CH_3)_3$, CHO, hydroxy, $OC(O)R^{19}$ and $N(R^{20})C(O)R^{19}$; or

R^1 is



; or

R^1 is $C(X^1)R^{18}$ or $C(=NOR^{23})R^{18}$; or

R^1 is an 8- to 10-membered heteroaromatic bicyclic ring system optionally substituted on carbon ring members with up to 3 substituents independently selected from the group consisting of halogen, cyano, nitro, SF_5, C_1–C_4 alkyl, C_2–C_4 alkenyl, C_2–C_4 alkynyl, C_1–C_4 haloalkyl, C_2–C_4 alkylcarbonyl, C_2–C_4 haloalkylcarbonyl, C_2–C_4 alkoxycarbonyl, C_2–C_4 alkylaminocarbonyl, C_3–C_7 dialkylaminocarbonyl, $C(O)N(-CH_2Z^2CH_2-)$, C_1–C_4 alkoxy, C_1–C_4 haloalkoxy, C_2–C_6 alkoxyalkyl, $S(O)_nR^{12}$, $S(O)_2R^{13}$, C_1–C_4 alkylamino, C_2–C_6 dialkylamino, $Si(CH_3)_3$, CHO, hydroxy, $OC(O)R^{19}$ and $N(R^{20})C(O)R^{19}$, and optionally substituted on nitrogen ring members with methyl; or

R^1 is phenyl or a 5- or 6-membered heteroaromatic ring, each substituted with GQ^1, each optionally substituted with 1 Q^2 and each optionally substituted with 1 or 2 substituents independently selected from the group consisting of halogen, cyano,

nitro, SF_5, C_1–C_4 alkyl, C_2–C_4 alkenyl, C_2–C_4 alkynyl, C_1–C_4 haloalkyl, C_2–C_4 alkylcarbonyl, C_2–C_4 haloalkylcarbonyl, C_2–C_4 alkoxycarbonyl, C_2–C_4 alkylaminocarbonyl, C_3–C_7 dialkylaminocarbonyl, C(O)N-(-$CH_2Z^2CH_2$-)-, C_1–C_4 alkoxy, C_1–C_4 haloalkoxy, C_2–C_6 alkoxyalkyl, $S(O)_nR^{12}$, $S(O)_2R^{13}$, C_1–C_4 alkylamino, C_2–C_6 dialkylamino, $Si(CH_3)_3$, CHO, hydroxy, $OC(O)R^{19}$ and $N(R^{20})C(O)R^{19}$;

G is a direct bond;

Q^1 is phenyl or a 5- or 6-membered heteroaromatic ring, each optionally substituted with 1 to 3 substituents independently selected from the group consisting of halogen, cyano, nitro, C_1–C_4 alkyl, C_2–C_4 alkenyl, C_2–C_4 alkynyl, C_1–C_4 haloalkyl, C_2–C_4 alkylcarbonyl, C_2–C_4 haloalkylcarbonyl, C_2–C_4 alkoxycarbonyl, C_2–C_4 alkylaminocarbonyl, C_3–C_7 dialkylaminocarbonyl, C(O)N-(-$CH_2Z^2CH_2$-)-, C_1–C_4 alkoxy, C_1–C_4 haloalkoxy, C_2–C_6 alkoxyalkyl, $S(O)_nR^{12}$, $S(O)_2R^{13}$, C_1–C_4 alkylamino, C_2–C_6 dialkylamino, SF_5, $Si(CH_3)_3$, CHO, hydroxy, $OC(O)R^{19}$ and $N(R^{20})C(O)R^{19}$;

R^2 is C_2–C_6 alkyl, C_1–C_6 haloalkyl, $CH_2CO_2R^{21}$, $CR^5R^6CH_2OR^{21}$, $CR^5R^6CH_2CH_2OR^{21}$, $CR^5R^6CH_2S(O)_nR^{21}$ or $CR^5R^6CH_2CH_2S(O)_nR^{21}$; or

R^2 is C_3–C_6 cycloalkyl or C_4–C_7 cycloalkylalkyl, each optionally substituted with 1 to 4 substituents selected from the group consisting of halogen, C_1–C_2 alkyl, 1 cyclopropyl and 1 CF_3; or

R^2 is CR^5R^6Q;

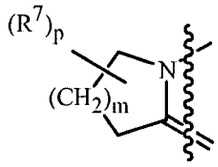
R^3 is H, C_1–C_6 alkyl, C_1–C_6 haloalkyl, C_2–C_6 alkenyl, C_2–C_6 haloalkenyl, C_2–C_6 alkynyl, C_2–C_6 haloalkynyl or $C{\equiv}CR^{10}$; or

R^3 is C_3–C_6 cycloalkyl or C_4–C_7 cycloalkylalkyl, each optionally substituted with 1 to 4 substituents independently selected from the group consisting of halogen, C_1–C_2 alkyl, 1 cyclopropyl and 1 CF_3;

R^4 is C_1–C_6 alkyl, C_1–C_6 haloalkyl, C_2–C_6 alkenyl, C_2–C_6 haloalkenyl, C_2–C_6 alkynyl, C_2–C_6 haloalkynyl or $C{\equiv}CR^{10}$; or

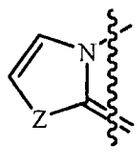
R^4 is C_3–C_6 cycloalkyl or C_4–C_7 cycloalkylalkyl, each optionally substituted with 1 to 4 substituents independently selected from the group consisting of halogen, C_1–C_2 alkyl, 1 cyclopropyl and 1 CF_3; or

R^3 and R^4 are taken together with the contiguous linking nitrogen and carbon atoms to form an optionally substituted ring **R-1**



R-1

or ring **R-2**



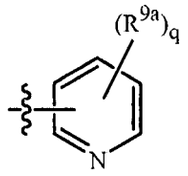
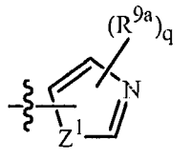
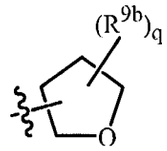
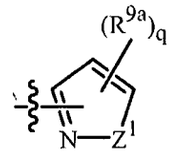
R-2 ;

Z is $C(R^{8a})=C(R^{8b})$ or S, provided that the $C(R^{8a})=C(R^{8b})$ moiety is oriented so the carbon atom bonded to R^{8b} is connected as R^3 in Formula **1**;

each R^5 is independently H, F, Cl, cyano or C_1–C_4 alkyl;

each R^6 is independently H, F, Cl or CH_3; and

Q is

Q-1 Q-5 Q-6 Q-9

3. A compound of Claim 2 wherein

R^3 is C_1–C_6 alkyl or C_1–C_6 haloalkyl; and

R^4 is C_1–C_6 alkyl or C_1–C_6 haloalkyl.

4. A compound of Claim 3 wherein

R^3 is CH_3; and

R^4 is CH_3.

5. A compound of Claim 2 wherein

R^3 and R^4 are taken together with the contiguous linking nitrogen and carbon atoms to form an optionally substituted ring **R-1**;

m is 2 or 3; and

p is 0.

6. A compound of Claim 2 wherein

R^3 and R^4 are taken together with the contiguous linking nitrogen and carbon atoms to form an optionally substituted ring **R-2**; and

Z is CH=CH or CH=CF, provided that the CH=CF moiety is oriented so the carbon atom bonded to F is connected as R^3 in Formula **1**.

7. A compound of Claim 2 wherein

R^3 and R^4 are taken together with the contiguous linking nitrogen and carbon atoms to form an optionally substituted ring **R-2**; and

Z is S.

8. A compound of Claim 2 wherein

R^1 is H or halogen.

9. A compound of any one of Claims 3 through 7 wherein

R^1 is phenyl or pyridinyl, each optionally substituted with 1 to 3 substituents independently selected from the group consisting of halogen, cyano, nitro, C_1–C_4 alkyl, C_2–C_4 alkenyl, C_2–C_4 alkynyl, C_1–C_4 haloalkyl, C_2–C_4 haloalkenyl, C_2–C_4 alkylcarbonyl, C_2–C_4 haloalkylcarbonyl, C_2–C_4 alkoxycarbonyl, C_2–C_4 alkylaminocarbonyl, C_3–C_7 dialkylaminocarbonyl, C(O)N-(-$CH_2Z^2CH_2$-)-, C_1–C_4 alkoxy, C_1–C_4 haloalkoxy, C_2–C_6 alkoxyalkyl, $S(O)_nR^{12}$, $S(O)_2R^{13}$, C_1–C_4 alkylamino, C_2–C_6 dialkylamino, SF_5, $Si(CH_3)_3$, CHO, hydroxy, $OC(O)R^{19}$ and $N(R^{20})C(O)R^{19}$.

10. A compound of Claim 9 wherein

R^1 is phenyl or pyridinyl, each optionally substituted with 1 to 3 substituents independently selected from the group consisting of halogen, cyano, C_1–C_4 alkyl, C_1–C_4 haloalkyl, C_2–C_4 alkylcarbonyl, C_2–C_4 alkoxycarbonyl, C_2–C_4 alkylaminocarbonyl, C_3–C_7 dialkylaminocarbonyl, C(O)N-(-$CH_2Z^2CH_2$-)-, C_1–C_4 alkoxy, C_1–C_4 haloalkoxy, C_2–C_6 alkoxyalkyl, $S(O)_nR^{12}$ and $S(O)_2R^{13}$.

11. A compound of any one of Claims 3 through 7 wherein

R^1 is $C(X^1)R^{18}$ or $C(=NOR^{23})R^{18}$; and
X^1 is O.

12. A compound of any one of Claims 3 through 7 wherein
R^1 is phenyl or pyridinyl, each substituted with GQ^1 and further optionally substituted with 1 or 2 substituents independently selected from the group consisting of halogen, cyano, nitro, SF_5, C_1–C_4 alkyl, C_2–C_4 alkenyl, C_2–C_4 alkynyl, C_1–C_4 haloalkyl, C_2–C_4 alkylcarbonyl, C_2–C_4 haloalkylcarbonyl, C_2–C_4 alkoxycarbonyl, C_2–C_4 alkylaminocarbonyl, C_3–C_7 dialkylaminocarbonyl, $C(O)N(-CH_2Z^2CH_2-)$-, C_1–C_4 alkoxy, C_1–C_4 haloalkoxy, C_2–C_6 alkoxyalkyl, $S(O)_nR^{12}$, $S(O)_2R^{13}$, C_1–C_4 alkylamino, C_2–C_6 dialkylamino, $Si(CH_3)_3$, CHO, hydroxy, $OC(O)R^{19}$ and $N(R^{20})C(O)R^{19}$.

13. A compound of Claim 12 wherein
R^1 is phenyl or pyridinyl, each substituted with GQ^1 and further optionally substituted with 1 or 2 substituents independently selected from the group consisting of halogen, cyano, SF_5, C_1–C_4 alkyl, C_1–C_4 haloalkyl, C_2–C_4 alkylcarbonyl, C_2–C_4 alkoxycarbonyl, C_2–C_4 alkylaminocarbonyl, C_3–C_7 dialkylaminocarbonyl, $C(O)N(-CH_2Z^2CH_2-)$-, C_1–C_4 alkoxy, C_1–C_4 haloalkoxy, C_2–C_6 alkoxyalkyl, $S(O)_nR^{12}$ and $S(O)_2R^{13}$; and
Q^1 is phenyl or pyridinyl, each optionally substituted with 1 to 3 substituents independently selected from the group consisting of halogen, cyano, C_1–C_4 alkyl, C_1–C_4 haloalkyl, C_2–C_4 alkylcarbonyl, C_2–C_4 alkoxycarbonyl, C_2–C_4 alkylaminocarbonyl, C_3–C_7 dialkylaminocarbonyl, $C(O)N(-CH_2Z^2CH_2-)$-, C_1–C_4 alkoxy, C_1–C_4 haloalkoxy, C_2–C_6 alkoxyalkyl, $S(O)_nR^{12}$ and $S(O)_2R^{13}$.

14. A compound of any one of Claims 3 through 7 wherein
R^2 is C_2–C_6 alkyl, C_1–C_6 haloalkyl or $CR^5R^6CH_2OR^{21}$; or
R^2 is C_4–C_7 cycloalkylalkyl optionally substituted with 1 to 4 substituents selected from the group consisting of halogen, C_1–C_2 alkyl, 1 cyclopropyl and 1 CF_3.

15. A compound of any one of Claims 3 through 7 wherein R^2 is CR^5R^6Q.

16. A compound of Claim 15 wherein
Q is **Q-1**, **Q-5**, **Q-6** or **Q-9**;
R^5 is H or methyl;

R^6 is H;

each R^{9a} is independently H, halogen, cyano, C_1–C_4 alkyl, C_1–C_4 haloalkyl, C_1–C_4 alkoxy, C_1–C_4 haloalkoxy, SF_5 or $S(O)_nR^{12}$; or C_3–C_6 cycloalkyl or C_4–C_7 cycloalkylalkyl, each optionally substituted with 1 to 4 substituents selected from the group consisting of halogen, C_1–C_2 alkyl, 1 cyclopropyl and 1 CF_3; and

each R^{9b} is independently H, halogen, cyano, C_1–C_4 alkyl, C_1–C_4 haloalkyl, C_1–C_4 alkoxy or C_1–C_4 haloalkoxy.

17. A compound of Claim 1 that is selected from the group consisting of

3-(2,4-difluorophenyl)-2-hydroxy-4-oxo-1-(2,2,2-trifluoroethyl)-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;

3-(4-fluorophenyl)-2-hydroxy-4-oxo-1-(2,2,2-trifluoroethyl)-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;

1-[(6-chloro-3-pyridinyl)methyl]-3-(2,4-difluorophenyl)-2-hydroxy-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;

1-[(6-chloro-3-pyridinyl)methyl]-3-(4-fluorophenyl)-2-hydroxy-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;

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

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

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]-3-(4-fluorophenyl)-2-hydroxy-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*-pyrido[1,2-*a*]pyrimidinium inner salt;

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

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

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

1-[(6-fluoro-3-pyridinyl)methyl]-3-(4-fluorophenyl)-2-hydroxy-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;

3-(3-bromophenyl)-1-[(2-chloro-5-thiazolyl)methyl]-2-hydroxy-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
3-(3-bromophenyl)-1-[(6-chloro-3-pyridinyl)methyl]-2-hydroxy-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
1-[(6-chloro-3-pyridinyl)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-4-oxo-3-[4-(trifluoromethyl)-2-pyridinyl]-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
1-[(2-chloro-5-thiazolyl)methyl]-3-(2-cyanophenyl)-2-hydroxy-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
8-[(6-chloro-3-pyridinyl)methyl]-7-hydroxy-5-oxo-6-phenyl-5*H*-thiazolo[3,2-*a*]pyrimidinium inner salt;
8-[(6-chloro-3-pyridinyl)methyl]-6-(4-fluorophenyl)-7-hydroxy-5-oxo-5*H*-thiazolo[3,2-*a*]pyrimidinium inner salt;
8-[(6-chloro-3-pyridinyl)methyl]-7-hydroxy-5-oxo-6-[3-(trifluoromethoxy)phenyl]-5*H*-thiazolo[3,2-*a*]pyrimidinium inner salt;
8-[(2-chloro-5-thiazolyl)methyl]-7-hydroxy-5-oxo-6-phenyl-5*H*-thiazolo[3,2-*a*]pyrimidinium inner salt;
8-[(2-chloro-5-thiazolyl)methyl]-6-(4-fluorophenyl)-7-hydroxy-5-oxo-5*H*-thiazolo[3,2-*a*]pyrimidinium inner salt;
8-[(2-chloro-5-thiazolyl)methyl]-7-hydroxy-5-oxo-6-[3-(trifluoromethoxy)phenyl]-5*H*-thiazolo[3,2-*a*]pyrimidinium inner salt;
3-[3-(6-chloro-3-pyridinyl)phenyl]-1-[(2-chloro-5-thiazolyl)methyl]-2-hydroxy-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
1-[(6-fluoro-3-pyridinyl)methyl]-2-hydroxy-4-oxo-3-[3-(trifluoromethoxy)phenyl]-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
3-(5-chloro-2-fluorophenyl)-1-[(2-chloro-5-thiazolyl)methyl]-2-hydroxy-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
1-[(2-chloro-5-thiazolyl)methyl]-3-[2-chloro-5-(trifluoromethoxy)phenyl]-2-hydroxy-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
3-(4-fluorophenyl)-2-hydroxy-1-[(1-methyl-1*H*-pyrazol-4-yl)methyl]-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
2-hydroxy-1-[(1-methyl-1*H*-pyrazol-4-yl)methyl]-4-oxo-3-phenyl-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
1-[(2-chloro-5-thiazolyl)methyl]-3-(3,5-dimethoxyphenyl)-2-hydroxy-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;

1-[(2-chloro-5-thiazolyl)methyl]-3-[2-fluoro-5-(trifluoromethoxy)phenyl]-2-hydroxy-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
3-(2-chloro-4-pyridinyl)-1-[(2-chloro-5-thiazolyl)methyl]-2-hydroxy-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
1-[(2-chloro-5-thiazolyl)methyl]-3-(2-fluoro-5-bromophenyl)-2-hydroxy-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
1-[(2-chloro-5-thiazolyl)methyl]-2-hydroxy-4-oxo-3-(2,4,5-trifluorophenyl)-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
3-[3-bromo-5-(trifluoromethoxy)phenyl]-1-[(2-chloro-5-thiazolyl)methyl]-2-hydroxy-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-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
1-[(2-chloro-5-thiazolyl)methyl]-3-[2-fluoro-5-(trifluoromethyl)phenyl]-2-hydroxy-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
1-[(2-chloro-5-thiazolyl)methyl]-2-hydroxy-3-(2-methoxyphenyl)-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
1-[(2-chloro-5-thiazolyl)methyl]-3-(2-fluorophenyl)-2-hydroxy-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
1-[(6-chloro-3-pyridinyl)methyl]-3-(2-fluorophenyl)-2-hydroxy-4-oxo-5*H*-thiazolo[3,2-*a*]pyrimidinium inner salt;
2-hydroxy-4-oxo-3-phenyl-1-(2,2,2-trifluoroethyl)-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt; and
3-[(6-chloro-3-pyridinyl)methyl]-5-(4-fluorophenyl)-3,6-dihydro-4-hydroxy-1,2-dimethyl-6-oxopyrimidinium inner salt;
1-[(2-chloro-5-thiazolyl)methyl]-3-[3-(6-fluoro-3-pyridinyl)-5-(trifluoromethyl)phenyl]-2-hydroxy-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
1-[1-(6-chloro-3-pyridinyl)ethyl]-3-(4-fluorophenyl)-2-hydroxy-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
1-[(2-chloro-5-thiazolyl)methyl]-3-(ethoxycarbonyl)-2-hydroxy-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
3-benzoyl-1-[(2-chloro-5-thiazolyl)methyl]-2-hydroxy-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
3-(2,4-difluorophenyl)-1-[(6-fluoro-3-pyridinyl)methyl]-2-hydroxy-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
1-[(6-chloro-3-pyridinyl)methyl]-2-hydroxy-3-(3-methoxyphenyl)-4-oxo-4*H*-

pyrido[1,2-*a*]pyrimidinium inner salt;
1-[(6-chloro-3-pyridinyl)methyl]-3-(2,3-difluorophenyl)-2-hydroxy-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
1-[(6-chloro-3-pyridinyl)methyl]-3-(2-fluoro-3-methoxyphenyl)-2-hydroxy-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
3-(3,5-dimethoxyphenyl)-1-[(6-fluoro-3-pyridinyl)methyl]-2-hydroxy-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
1-[(6-fluoro-3-pyridinyl)methyl]-2-hydroxy-4-oxo-3-[3-(trifluoromethyl)phenyl]-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
3-(4-fluorophenyl)-2-hydroxy-1-[(2-methyl-5-thiazolyl)methyl]-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
2-hydroxy-4-oxo-3-phenyl-1-[(5-thiazolyl)methyl]-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
3-(4-fluorophenyl)-2-hydroxy-4-oxo-1-[(5-thiazolyl)methyl]-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
3-(2-fluorophenyl)-1-[(6-fluoro-3-pyridinyl)methyl]-2-hydroxy-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
1-[(6-chloro-3-pyridinyl)methyl]-3-[2-chloro-5-(trifluoromethyl)phenyl]-2-hydroxy-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
3-(2-fluoro-4-cyanophenyl)-1-[(6-fluoro-3-pyridinyl)methyl]-2-hydroxy-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt;
1-[(6-fluoro-3-pyridinyl)methyl]-3-[2-fluoro-5-(trifluoromethyl)phenyl]-2-hydroxy-4-oxo-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt; and
1-[(2-chloro-5-thiazolyl)methyl]-2-hydroxy-4-oxo-3-[3-(6-trifluoromethyl-3-pyridinyl)phenyl]-4*H*-pyrido[1,2-*a*]pyrimidinium inner salt.

18. 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, said composition optionally further comprising at least one additional biologically active compound or agent.

19. The composition of Claim 18 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, bifenthrin, bifenazate, bistrifluron, borate, 3-bromo-1-(3-chloro-2-pyridinyl)-*N*-[4-cyano-2-methyl-6-[(methylamino)carbonyl]phenyl]-1*H*-pyrazole-5-

carboxamide (cyantraniliprole), buprofezin, cadusafos, carbaryl, carbofuran, cartap, carzol, chlorantraniliprole, chlorfenapyr, chlorfluazuron, chlorpyrifos, chlorpyrifos-methyl, chromafenozide, clofentezin, clothianidin, 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.

20. The composition of Claim 19 wherein the at least one additional biologically active compound or agent is selected from the group consisting of abamectin, acetamiprid, acrinathrin, amitraz, avermectin, azadirachtin, bifenthrin, 3-bromo-1-(3-chloro-2-pyridinyl)-*N*-[4-cyano-2-methyl-6-[(methylamino)carbonyl]phenyl]-1*H*-pyrazole-5-carboxamide (cyantraniliprole), buprofezin, cadusafos, carbaryl, cartap, chlorantraniliprole, chlorfenapyr, chlorpyrifos, clothianidin, 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.

21. 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.

22. The composition of Claim 21 in a form for oral administration.

23. The composition of Claim 21 in a form for topical administration.

24. 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.

25. The method of Claim 24 wherein the environment is a plant.

26. The method of Claim 24 wherein the environment is an animal.

27. The method of Claim 24 wherein the environment is a seed.

28. The method of Claim 27 wherein the seed is coated with a composition comprising a compound of Claim 1 and optionally a film former or adhesive agent.

29. 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.

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Industria y Comercio

SUPERINTENDENCIA

DELEGATURA DE PROPIEDAD INDUSTRIAL

División de Nuevas Creaciones

SOLICITUD
PATENTE DE INVENCIÓN

21. **EXPEDIENTE No.** 10-95278

54. **TÍTULO** 2/3

51. **CLASIFICACIÓN INTERNACIONAL**

71. **SOLICITANTE**

DOMICILIO

74. **APODERADO**

22. **BOGOTÁ, D.C.,**

(FORMA P-10)

PESTICIDAS MESOIONICOS

CAMPO DE LA INVENCIÓN

Esta invención se refiere a ciertos compuestos de pirimidinio y sus composiciones adecuadas para usos agronómicos, no agronómicos y de salud animal, métodos para su uso en el control de plagas de invertebrados, tales como artrópodos, en ambientes agronómicos y no agronómicos, y para el tratamiento de infecciones parásitas en animales o infestaciones en el ambiente en general.

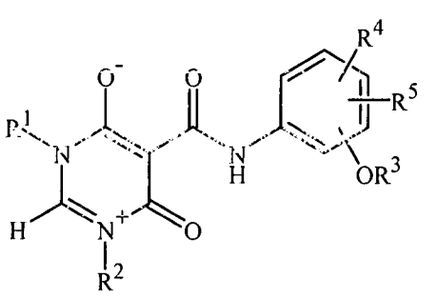
ANTECEDENTES DE LA INVENCIÓN

El control de plagas de invertebrados es de vital importancia para obtener cultivos altamente eficientes. Los daños causados por invertebrados 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 de invertebrados en silvicultura, cultivos de invernaderos, plantas ornamentales, cultivos de viveros, productos de fibra y alimentos almacenados, ganado, casas de familia, céspedes, productos de madera, y en la salud pública. Existe un gran número de productos que están comercialmente disponibles para estos fines, 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.

En la salud animal, es fundamental el control de parásitos en animales, sobre todo en las áreas de producción de alimentos y animales de compañía. Los métodos de tratamiento y control parasitario existentes se ven comprometidos debido a la resistencia cada vez mayor a muchos de los parasiticidas disponibles actualmente en el mercado. El descubrimiento de maneras más eficaces para controlar los parásitos en animales es, por consiguiente, imperativo

La solicitud de patente de los Estados Unidos núm. 5.151.427 describe los compuestos de pirimidinio mesoiónicos de la Fórmula **i** como antihelmínticos



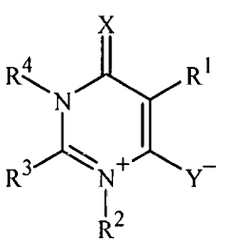
i

en donde, *entre otros*, R^4 y R^2 son independientemente alquilo de C_1-C_6, R^3 es un anillo heteroaromático de 6 miembros, y R^4 y R1 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

Esta invención está dirigida a los compuesto de la Fórmula **1** (incluso todos los isómeros geométricos y estereoisómeros) y las composiciones que los contienen y su uso para controlar plagas de invertebrados:



1

en donde

X es O o S;

Y es O o S;

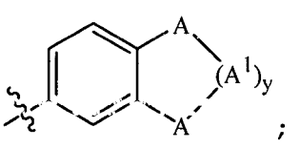
R^1 es H, halógeno, alquilo de C_1-C_6, haloalquilo de C_1-C_6, alquenilo de C_2-C_6, haloalquenilo de C_2-C_6, alquinilo de C_2-C_6, haloalquinilo de C_2-C_6, $CR^{24}=C(R^{24})R^{10}$ o $C\equiv CR^{10}$; o

R^1 es cicloalquilo de C_3-C_7, cicloalquiloalquilo de C_4-C_8 o cicloalquenilo de C_5-C_7, cada uno opcionalmente sustituido con 1 a 4 sustituyentes independientemente seleccionados

del grupo que consiste de halógeno, alquilo de C_1-C_2, alcoxi de C_1-C_2, 1 ciclopropilo, 1 CF_3 y 1 OCF_3; o

R^1 es fenilo, naftalenilo o un anillo heteroaromático de 5 ó 6 miembros, cada uno opcionalmente sustituido con 1 a 3 sustituyentes independientemente seleccionados del grupo que consiste de halógeno, ciano, nitro, alquilo de C_1-C_4, alquenilo de C_2-C_4, alquenilo de C_2-C_4, haloalquilo de C_1-C_4, haloalquenilo de C_2-C_4, alquilcarbonilo de C_2-C_4, haloalquilcarbonilo de C_2-C_4, alcoxicarbonilo de C_2-C_4, alquilaminocarbonilo de C_2-C_4, dialquilaminocarbonilo de C_3-C_7, $C(O)N$-$(-CH_2Z^2CH_2-)$-, alcoxi de C_1-C_4, haloalcoxi de C_1-C_4, alcoxialquilo de C_2-C_6, $S(O)_nR^{12}$, $S(O)_2R^{13}$, alquilamino de C_1-C_4, dialquilamino de C_2-C_6, SF_5, $Si(CH_3)_3$, CHO, hidroxi, $OC(O)R^{19}$ y $N(R^{20})C(O)R^{19}$; o

R^1 es



; o

R^1 es $C(X^1)R^{18}$, $C(=NOR^{23})R^{18}$, $C(O)NR^{16}R^{18a}$, $C(=NNR^{20a}R^{23})R^{18}$, $C(=NNR^{20a}C(O)R^{23})R^{18}$, $C(=NNR^{20a}C(O)OR^{23a})R^{18}$ o $C(=NNR^{20a}C(O)NR^{20a}R^{23})R^{18}$; o

R^1 es un sistema anular bicíclico heteroaromático de 8 a 10 miembros opcionalmente sustituido en miembros del

anillo de carbono con hasta 3 sustituyentes independientemente seleccionados del grupo que consiste de halógeno, ciano, nitro, SF_5, alquilo de C_1-C_4, alquenilo de C_2-C_4, alquinilo de C_2-C_4, haloalquilo de C_1-C_4, alquilcarbonilo de C_2-C_4, haloalquilcarbonilo de C_2-C_4, alcoxicarbonilo de C_2-C_4, alquilaminocarbonilo de C_2-C_4, dialquilaminocarbonilo de C_3-C_7, $C(O)N$-(-$CH_2Z^2CH_2$-)-, alcoxi de C_1-C_4, haloalcoxi de C_1-C_4, alcoxialquilo de C_2-C_6, $S(O)_nR^{12}$, $S(O)_2R^{13}$, alquilamino de C_1-C_4, dialquilamino de C_2-C_6, $Si(CH_3)_3$, CHO, hidroxi, $OC(O)R^{19}$ y $N(R^{20})C(O)R^{19}$, y opcionalmente sustituido en los miembros del anillo de nitrógeno con metilo; o

R^1 es fenilo o un anillo heteroaromático de 5 ó 6 miembros, cada uno sustituido con GQ^1, cada uno opcionalmente sustituido con 1 Q^2 y cada uno opcionalmente sustituido con 1 ó 2 sustituyentes independientemente seleccionados del grupo que consiste de halógeno, ciano, nitro, SF_5, alquilo de C_1-C_4, alquenilo de C_2-C_4, alquinilo de C_2-C_4, haloalquilo de C_1-C_4, alquilcarbonilo de C_2-C_4, haloalquilcarbonilo de C_2-C_4, alcoxicarbonilo de C_2-C_4, alquilaminocarbonilo de C_2-C_4, dialquilaminocarbonilo de C_3-C_7, $C(O)N$-(-$CH_2Z^2CH_2$-)-, alcoxi de C_1-C_4, haloalcoxi de C_1-C_4, alcoxialquilo de C_2-C_6, $S(O)_nR^{12}$, $S(O)_2R^{13}$, alquilamino de C_1-C_4, dialquilamino de C_2-C_6, $Si(CH_3)_3$, CHO, hidroxi, $OC(O)R^{19}$ y $N(R^{20})C(O)R^{19}$; o

R^1 es fenilo o un anillo heteroaromático de 5 ó 6 miembros, cada uno sustituido con LQ^1 y opcionalmente sustituido con 1 ó 2 sustituyentes independientemente seleccionados del grupo que consiste de halógeno, ciano, nitro, alquilo de C_1-C_4, alquenilo de C_2-C_4, alquinilo de C_2-C_4, haloalquilo de C_1-C_4, alquilcarbonilo de C_2-C_4, haloalquilcarbonilo de C_2-C_4, alcoxicarbonilo de C_2-C_4, alquilaminocarbonilo de C_2-C_4, dialquilaminocarbonilo de C_3-C_7, $C(O)N$-$(-CH_2Z^2CH_2-)$-, alcoxi de C_1-C_4, haloalcoxi de C_1-C_4, alcoxialquilo de C_2-C_6, $S(O)_nR^{12}$, $S(O)_2R^{13}$, alquilamino de C_1-C_4 y dialquilamino de C_2-C_6;

cada A es independientemente $C(R^{16})_2$, O, $S(O)_n$ o NR^{15};

cada A^1 es independientemente $C(R^{17})_2$;

X^1 es O o S;

G es un enlace directo, O, $S(O)_n$, NH, $N(CH_3)$, CH_2, CH_2O, OCH_2, C(O), C(O)O, OC(O), C(O)NH o NHC(O);

L es un fenilo o anillo heteroaromático de 5 ó 6 miembros opcionalmente sustituido con 1 ó 2 sustituyentes independientemente seleccionados del grupo que consiste de halógeno, ciano, nitro, alquilo de C_1-C_4, alquenilo de C_2-C_4, alquinilo de C_2-C_4, haloalquilo de C_1-C_4, alquilcarbonilo de C_2-C_4, haloalquilcarbonilo de C_2-C_4, alcoxicarbonilo de C_2-C_4, alquilaminocarbonilo de C_2-C_4, dialquilaminocarbonilo de C_3-C_7, $C(O)N$-$(-CH_2Z^2CH_2-)$-, alcoxi de C_1-C_4, haloalcoxi

de C_1-C_4, alcoxialquilo de C_2-C_6, $S(O)_nR^{12}$, $S(O)_2R^{13}$, alquilamino de C_1-C_4 y dialquilamino de C_2-C_6;

Q^1 es fenilo o un anillo heteroaromático de 5 ó 6 miembros, cada uno opcionalmente sustituido con 1 a 3 sustituyentes independientemente seleccionados del grupo que consiste de halógeno, ciano, nitro, alquilo de C_1-C_4, alquenilo de C_2-C_4, alquinilo de C_2-C_4, haloalquilo de C_1-C_4, alquilcarbonilo de C_2-C_4, haloalquilcarbonilo de C_2-C_4, alcoxicarbonilo de C_2-C_4, alquilaminocarbonilo de C_2-C_4, dialquilaminocarbonilo de C_3-C_7, $C(O)N$-$(-CH_2Z^2CH_2-)$-, alcoxi de C_1-C_4, haloalcoxi de C_1-C_4, alcoxialquilo de C_2-C_6, $S(O)_nR^{12}$, $S(O)_2R^{13}$, alquilamino de C_1-C_4, dialquilamino de C_2-C_6, SF_5, $Si(CH_3)_3$, CHO, hidroxi, $OC(O)R^{19}$ y $N(R^{20})C(O)R^{19}$;

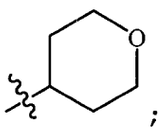
Q^2 es fenilo o un anillo heteroaromático de 5 ó 6 miembros, cada uno opcionalmente sustituido con 1 ó 2 sustituyentes independientemente seleccionados del grupo que consiste de halógeno, ciano, nitro, alquilo de C_1-C_4, alquenilo de C_2-C_4, alquinilo de C_2-C_4, haloalquilo de C_1-C_4, alquilcarbonilo de C_2-C_4, haloalquilcarbonilo de C_2-C_4, alcoxicarbonilo de C_2-C_4, alquilaminocarbonilo de C_2-C_4, dialquilaminocarbonilo de C_3-C_7, $C(O)N$-$(-CH_2Z^2CH_2-)$-, alcoxi de C_1-C_4, haloalcoxi de C_1-C_4, alcoxialquilo de C_2-C_6, $S(O)_nR^{12}$, $S(O)_2R^{13}$, alquilamino de C_1-C_4 y dialquilamino de C_2-C_6;

R^2 es alquilo de C_2-C_6, haloalquilo de C_1-C_6, alquenilo de C_3-C_6, haloalquenilo de C_3-C_6, alquinilo de C_3-C_6, haloalquinilo de C_3-C_6, $CH_2CO_2R^{21}$, $CR^5R^6CH_2OR^{21}$, $CR^5R^6CH_2CH_2OR^{21}$, $CR^5R^6CH_2S(O)_nR^{21}$ o $CR^5R^6CH_2CH_2S(O)_nR^{21}$; o

R^2 es cicloalquilo de C_3-C_6 o cicloalquiloalquilo de C_4-C_7, cada uno opcionalmente sustituido con 1 a 4 sustituyentes seleccionados del grupo que consiste de halógeno, alquilo de C_1-C_2, 1 ciclopropilo y 1 CF_3; o

R^2 es CR^5R^6Q; o

R^2 es



;

R^3 es H, alquilo de C_1-C_6, haloalquilo de C_1-C_6, alquenilo de C_2-C_6, haloalquenilo de C_2-C_6, alquinilo de C_2-C_6, haloalquinilo de C_2-C_6 o $C{\equiv}CR^{10}$; o

R^3 es cicloalquilo de C_3-C_6 o cicloalquiloalquilo de C_4-C_7, cada uno opcionalmente sustituido con 1 a 4 sustituyentes independientemente seleccionados del grupo que consiste de halógeno, alquilo de C_1-C_2, 1 ciclopropilo y 1 CF_3; o

R^3 es fenilo, naftalenilo o un anillo heteroaromático de 5 ó 6 miembros, cada uno opcionalmente sustituido con 1 ó 2 sustituyentes independientemente

seleccionados del grupo que consiste de halógeno, ciano, nitro, alquilo de C_1-C_4, alquenilo de C_2-C_4, alquinilo de C_2-C_4, haloalquilo de C_1-C_4, alquilcarbonilo de C_2-C_4, haloalquilcarbonilo de C_2-C_4, alcoxicarbonilo de C_2-C_4, alquilaminocarbonilo de C_2-C_4, dialquilaminocarbonilo de C_3-C_7, $C(O)N$-$(-CH_2Z^2CH_2-)$-, alcoxi de C_1-C_4, haloalcoxi de C_1-C_4, alcoxialquilo de C_2-C_6, $S(O)_nR^{12}$, $S(O)_2R^{13}$, alquilamino de C_1-C_4 y dialquilamino de C_2-C_6;

R^4 es alquilo de C_1-C_6, haloalquilo de C_1-C_6, alquenilo de C_2-C_6, haloalquenilo de C_2-C_6, alquinilo de C_2-C_6, haloalquinilo de C_2-C_6o $C{\equiv}CR^{10}$; o

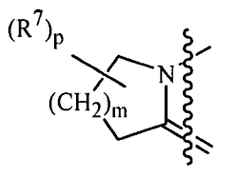
R^4 es cicloalquilo de C_3-C_6 o cicloalquiloalquilo de C_4-C_7, cada uno opcionalmente sustituido con 1 a 4 sustituyentes independientemente seleccionados del grupo que consiste de halógeno, alquilo de C_1-C_2, 1 ciclopropilo y 1 CF_3; o

R^4 es fenilo, naftalenilo o un anillo heteroaromático de 5 ó 6 miembros, cada uno opcionalmente sustituido con 1 ó 2 sustituyentes independientemente seleccionados del grupo que consiste de halógeno, ciano, nitro, alquilo de C_1-C_4, alquenilo de C_2-C_4, alquinilo de C_2-C_4, haloalquilo de C_1-C_4, alquilcarbonilo de C_2-C_4, haloalquilcarbonilo de C_2-C_4, alcoxicarbonilo de C_2-C_4, alquilaminocarbonilo de C_2-C_4, dialquilaminocarbonilo de C_3-C_7, $C(O)N$-$(-CH_2Z^2CH_2-)$-,

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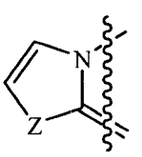
alcoxi de C_1-C_4, haloalcoxi de C_1-C_4, alcoxialquilo de C_2-C_6, $S(O)_nR^{12}$, $S(O)_2R^{13}$, alquilamino de C_1-C_4 y dialquilamino de C_2-C_6; o

R^3 y R^4 se toman juntos con los átomos de nitrógeno y carbono de enlaces contiguos para formar un anillo opcionalmente sustituido **R-1**



R-1

o anillo **R-2**



R-2 ;

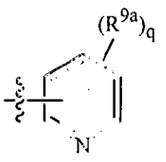
Z es $C(R^{8a})=C(R^{8b})$, S, O o NCH_3, siempre y cuando la porción de $C(R^{8a})=C(R^{8b})$ se oriente de manera que el átomo de carbono se enlace a R^{8b} se conecte como R^3 en la Fórmula **1**;

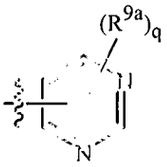
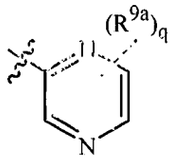
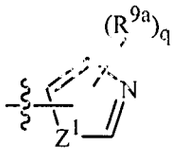
000247

cada R^5 es independientemente H, F, Cl, ciano o alquilo de C_1-C_4;

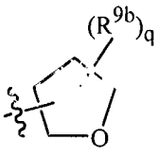
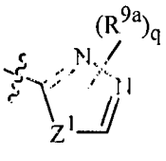
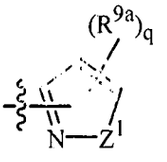
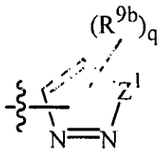
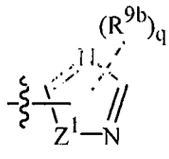
cada R^6 es independientemente H, F, Cl o CH_3;

Q es

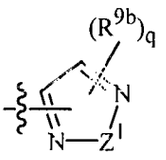
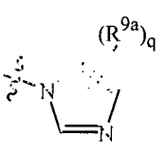
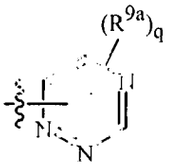
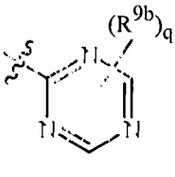
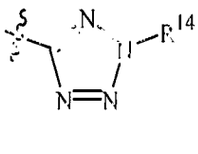


Q-1 Q-2 Q-3 Q-4 Q-5

Q-6 Q-8 Q-9 Q-10 Q-11

Q-12 Q-13 Q-14 Q-15 Q-16

Z^1 es O, S o NR^{14};

cada R^7 es independientemente H, halógeno, ciano, CF_3, alquilo de C_1-C_3 o cicloalquilo de C_3-C_6;

R^{8a} es H o F;

R^{8b} es H, F, CF_2H o CF_3;

cada R^{9a} es independientemente H, halógeno, ciano, nitro, 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, alcoxi de C_1-C_4, haloalcoxi de C_1-C_4, alquilcarbonilo de C_2-C_4, haloalquilcarbonilo de C_2-C_4, alcoxicarbonilo de C_2-C_4, haloalcoxicarbonilo de C_2-C_4, $C(O)NH_2$, alquilaminocarbonilo de C_2-C_4, dialquilaminocarbonilo de C_3-C_7, $C(O)N$-$(-CH_2Z^2CH_2-)$-, haloalquilaminocarbonilo de C_2-C_4, halodialquilaminocarbonilo de C_3-C_7, SF_5, $S(O)_nR^{12}$ o $S(O)_2R^{13}$; o cicloalquilo de C_3-C_6 o cicloalquiloalquilo de C_4-C_7, cada uno opcionalmente sustituido con 1 a 4 sustituyentes seleccionados del grupo que consiste de halógeno, alquilo de C_1-C_2, 1 ciclopropilo y 1 CF_3; o fenilo o un anillo heteroaromático de 5 ó 6 miembros, cada uno opcionalmente sustituido con 1 ó 2 sustituyentes independientemente seleccionados del grupo que consiste de halógeno, ciano, nitro, alquilo de C_1-C_4, alquenilo de C_2-C_4, alquinilo de C_2-C_4, haloalquilo de C_1-C_4, alquilcarbonilo de C_2-C_4, haloalquilcarbonilo de C_2-C_4, alcoxicarbonilo de C_2-C_4, alquilaminocarbonilo de C_2-C_4, dialquilaminocarbonilo de C_3-C_7, $C(O)N$-$(-CH_2Z^2CH_2-)$-, alcoxi de C_1-C_4, haloalcoxi de C_1-C_4, alcoxialquilo de C_2-C_6, $S(O)_nR^{12}$, $S(O)_2R^{13}$, alquilamino de C_1-C_4 y dialquilamino de C_2-C_6;

cada R^{9b} es independientemente H, halógeno, ciano, alquilo de C_1-C_4, haloalquilo de C_1-C_4, alcoxi de C_1-C_4 o haloalcoxi

de C_1-C_4; o fenilo o piridinilo, cada uno opcionalmente sustituido con 1 ó 2 sustituyentes independientemente seleccionados del grupo que consiste de halógeno, ciano, alquilo de C_1-C_4, haloalquilo de C_1-C_4, alcoxi de C_1-C_4, haloalcoxi de C_1-C_4, $S(O)_nR^{12}$ y $S(O)_2R^{13}$;

cada R^{10} es independientemente $Si(R^{11})_3$; o fenilo o piridinilo, cada uno opcionalmente sustituido con 1 a 3 sustituyentes independientemente seleccionados del grupo que consiste de halógeno, ciano, nitro, SF_5, alquilo de C_1-C_4, alquenilo de C_2-C_4, alquinilo de C_2-C_4, haloalquilo de C_1-C_4, alquilcarbonilo de C_2-C_4, haloalquilcarbonilo de C_2-C_4, alcoxicarbonilo de C_2-C_4, alquilaminocarbonilo de C_2-C_4, dialquilaminocarbonilo de C_3-C_7, $C(O)N$-$(-CH_2Z^2CH_2-)$-, alcoxialquilo de C_2-C_6, alcoxi de C_1-C_4, haloalcoxi de C_1-C_4, $S(O)_nR^{12}$, $S(O)_2R^{13}$, alquilamino de C_1-C_4 y dialquilamino de C_2-C_6;

cada R^{11} es independientemente alquilo de C_1-C_4;

cada R^{12} es independientemente alquilo de C_1-C_4 o haloalquilo de C_1-C_4;

cada R^{13} es independientemente alquilamino de C_1-C_4, dialquilamino de C_2-C_6 o

$-N-(-CH_2Z^2CH_2-)-$;

R^{14} es H, alquilo de C_1-C_4, haloalquilo de C_1-C_4, alcoxicarbonilo de C_2-C_5, alquilaminocarbonilo de C_2-C_5, dialquilaminocarbonilo de C_3-C_7, $C(O)N$-$(-CH_2Z^2CH_2-)$-,

$S(O)_nR^{12}$ o $S(O)_2R^{13}$; o fenilo o piridinilo, cada uno opcionalmente sustituido con 1 a 3 sustituyentes independientemente seleccionados del grupo que consiste de halógeno, ciano, alquilo de C_1-C_4, alcoxi de C_1-C_4, haloalcoxi de C_1-C_4, $S(O)_nR^{12}$ y $S(O)_2R^{13}$;

cada R^{15} es independientemente alquilo de C_1-C_4;

cada R^{16} es independientemente H o alquilo de C_1-C_4;

cada R^{17} es independientemente H, F o CH_3;

R^{18} es H, alquilo de C_1-C_6, haloalquilo de C_1-C_6, cicloalquilo de C_3-C_6, alcoxi de C_1-C_6, alquilamino de C_1-C_6 o dialquilamino de C_2-C_7; o fenilo o un anillo heteroaromático de 5 ó 6 miembros, cada uno opcionalmente sustituido con 1 a 3 sustituyentes independientemente seleccionados del grupo que consiste de halógeno, alquilo de C_1-C_4, alcoxi de C_1-C_4, haloalcoxi de C_1-C_4, $S(O)_nR^{12}$ y $S(O)_2R^{13}$;

R^{18a} es fenilo o un anillo heteroaromático de 5 ó 6 miembros, cada uno opcionalmente sustituido con 1 a 3 sustituyentes independientemente seleccionados del grupo que consiste de halógeno, alquilo de C_1-C_4, alcoxi de C_1-C_4, haloalcoxi de C_1-C_4, $S(O)_nR^{12}$ y $S(O)_2R^{13}$;

cada R^{19} es independientemente alquilo de C_1-C_4;

cada R^{20} es independientemente H o alquilo de C_1-C_4;

cada R^{20a} es independientemente alquilo de C_1-C_4;

cada R^{21} es independientemente H o alquilo de C_1-C_4;

R^{23} es H, alquilo de C_1-C_6, haloalquilo de C_1-C_6, alquenilo de C_3-C_6, haloalquenilo de C_3-C_6, alquinilo de C_3-C_6, haloalquinilo de C_3-C_6 o $CH_2CO_2R^{21}$; o

R^{23} es cicloalquilo de C_3-C_6 o cicloalquiloalquilo de C_4-C_7, cada uno opcionalmente sustituido con 1 a 4 sustituyentes independientemente seleccionados del grupo que consiste de halógeno, alquilo de C_1-C_2, 1 ciclopropilo y 1 CF_3; o

R^{23} es fenilo o un anillo heteroaromático de 5 ó 6 miembros, cada uno opcionalmente sustituido con 1 a 3 sustituyentes independientemente seleccionados del grupo que consiste de halógeno, ciano, nitro, alquilo de C_1-C_4, alquenilo de C_2-C_4, alquinilo de C_2-C_4, haloalquilo de C_1-C_4, alquilcarbonilo de C_2-C_4, haloalquilcarbonilo de C_2-C_4, alcoxicarbonilo de C_2-C_4, alquilaminocarbonilo de C_2-C_4, dialquilaminocarbonilo de C_3-C_7, $C(O)N$-(-$CH_2Z^2CH_2$-)-, alcoxi de C_1-C_4, haloalcoxi de C_1-C_4, alcoxialquilo de C_2-C_6, $S(O)_nR^{12}$, $S(O)_2R^{13}$, alquilamino de C_1-C_4 y dialquilamino de C_2-C_6;

R^{23a} es alquilo de C_1-C_6, haloalquilo de C_2-C_6, alquenilo de C_3-C_6 o cicloalquilo de C_3-C_6;

cada R^{24} es independientemente H, F o CH_3;

m es 0, 1, 2 ó 3;

cada n es independientemente 0, 1 ó 2;

p es 0, 1, 2, 3 ó 4;

cada q es independientemente 0, 1 ó 2;

y es 1 ó 2; y

cada Z^2 es independientemente CH_2CH_2, $CH_2CH_2CH_2$ o CH_2OCH_2.

Esta invención se dirige también a esos compuestos de la Fórmula **1** (que incluyen todos los geométricos y estereoisómeros) y las composiciones que los contienen y su uso para controlar plagas de invertebrados como se describió anteriormente, y más aun en la presente, siempre y cuando (a) cuando R^2 es $CH_2CH=CH_2$, R^3 y R^4 se toman juntos para formar el anillo **R-2**, Z es CH=CH, X es O y Y es O, entonces R^1 es distinto de H, $CH(CH_3)_2$, $CH_2CH_2CH_2CH_3$, $CH_2CH=CH_2$ o fenilo insustituido; (b) cuando R^1 es H, R^3 y R^4 se toman juntos para formar el anillo **R-2**, Z es CH=CH, X es O y Y es O, entonces R^2 es distinto de $CH_2CH=CH_2$ o $CH_2C\equiv CH$; (c) cuando R^1 es CH_2CH_3, R^3 y R^4 se toman juntos para formar el anillo **R-2**, Z es CH=CH, X es O y Y es O, entonces R^2 es distinto de $CH_2(CH_2)_3CH_3$; (d) cuando R^3 es H, R^2 y R^4 son ambos ciclohexilo, X es O y Y es O, entonces R^1 es distinto de CH_3; (e) cuando R^1 es H o *n*-alquilo de C_1-C_3, R^3 y R^4 se toman juntos para formar el anillo **R-2**, Z es S, X es O y Y es O, entonces R^2 es distinto de alquilo de C_1-C_6 o alcoxialquilo C_3-C_4; (f) cuando R^2 es ciclopropilmetilo, R^3 y R^4 se toman juntos para formar el anillo **R-2**, Z es S, X es O y Y es O, entonces R^1 es distinto de CH_3, CH_2CH_3 o $CH_2CH_2CH_3$; (g) cuando R^1 es fenilo insustituido, R^3 y R^4 se toman juntos para formar el anillo **R-2**, Z es S, X es O y Y es O, entonces R^2

es distinto de alcoxialquilo de C_3-C_4; y (h) cuando R^1 es Br, R^3 y R^4 se toman juntos para formar el anillo **R-2**, Z es S, X es O y Y es O, entonces R^2 es distinto de CH_2CH_3.

Esta invención también proporciona una composición que comprende un compuesto de Fórmula **1** y por lo menos un componente adicional seleccionado del grupo que consiste de surfactantes, diluyentes sólidos y diluyentes líquidos. En una modalidad, esta invención también proporciona una composición para controlar una plaga de invertebrados que comprende un compuesto de la Fórmula **1** (es decir, en una cantidad biológicamente eficaz) y al menos un componente adicional seleccionado del grupo que consiste de surfactantes, diluyentes sólidos y diluyentes líquidos, dicha composición opcionalmente comprende, además, al menos un compuesto o agente biológicamente activo adicional (es decir, en una cantidad biológicamente eficaz).

Esta invención proporciona, además, una composición para proteger un animal de un plaga de parásitos invertebrados que comprende una cantidad eficaz como parasiticida de un compuesto de la Fórmula **1** (es decir, en una cantidad eficaz como parasiticida) y al menos un portador.

Esta invención proporciona, además, una composición de aerosol para controlar una plaga de invertebrados que comprende un compuesto de la Fórmula **1** o las composiciones descritas anteriormente (es decir, en una cantidad

biológicamente eficaz), y un propulsor. Esta invención también proporciona una composición cebo para controlar una plaga de invertebrados que comprende un compuesto de la Fórmula **1** o las composiciones descritas en las modalidades anteriores (es decir, en una cantidad biológicamente eficaz), uno o más materiales alimenticios, opcionalmente un agente atrayente, y opcionalmente un humectante.

Esta invención también proporciona un dispositivo trampa 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 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 de la plaga de invertebrados.

Esta invención proporciona 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 Fórmula **1** (por ejemplo, como una composición descrita en la presente). Esta invención también se refiere a un método en donde la plaga de invertebrados o su hábitat se pone en contacto con una composición que comprende una cantidad biológicamente

eficaz de un compuesto de Fórmula **1** y por lo menos un compuesto adicional seleccionado del grupo que consiste de surfactantes, diluyentes sólidos y diluyentes líquidos; la composición también comprende, opcionalmente, una cantidad biológicamente eficaz de por lo menos un compuesto o agente adicional biológicamente activo.

Esta invención también proporciona 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 Fórmula **1** (por ejemplo, como una composición descrita en la presente). Esta invención también se refiere a la semilla tratada.

La presente invención también proporciona un método para tratar, prevenir, inhibir y/o matar ecto y/o endoparásitos; el método comprende administrar a y/o sobre el animal una cantidad eficaz como parasiticida de un compuesto de la Fórmula **1** (por ejemplo, como una composición descrita en la presente). Esta invención también se refiere a un método, en donde una cantidad eficaz como parasiticida de un compuesto de Fórmula **1** (por ejemplo, como una composición descrita en la presente) se administra al entorno (por ejemplo, un establo o una manta) en el que se encuentra el animal.

DESCRIPCIÓN DETALLADA DE LA INVENCIÓN

Como se usa en la presente, los términos "comprende", "que comprende", "incluye", "que incluye", "tiene", "que tiene", "contiene" o "que contiene", o cualquier otra variante de éstos, pretenden abarcar una inclusión no excluyente. Por ejemplo, una composición, una mezcla, un proceso, método, artículo o aparato que comprende una lista de elementos no se limita necesariamente sólo a esos elementos, sino que puede incluir otros que no estén expresamente listados o sean inherentes a tal composición, mezcla, proceso, método, artículo o aparato. 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 satisface mediante cualquiera de los siguientes criterios: 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).

Asimismo, los artículos indefinidos "un(a)" y "unos(as)" que preceden un elemento o componente de la invención están previstos para ser no restrictivos con respecto a la cantidad de instancias (es decir, incidencias) del elemento o componente. Por consiguiente, "un(a)" o "unos(as)" deben interpretarse para incluir uno o por lo menos uno, y la forma singular de la palabra del elemento o componente

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también incluye el plural, a menos que el número obviamente indique que es singular.

Como se hace referencia en esta descripción, la frase "plaga de invertebrados" incluye artrópodos, gastrópodos y nemátodos de importancia económica como plagas. El término "artrópodo" incluye insectos, ácaros, arañas, escorpiones, centípedos, milípedos, cochinillas de la humedad y sinfilas. El término "gasterópodo" incluye caracoles terrestres, babosas y otros del orden de los estilomatóforos. El término "nemátodo" incluye todos los helmintos, tales como: ascáridos, parásitos cardíacos de los perros, y nemátodos 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 de los de campo, tales como cultivos hortícolas (por ejemplo, plantas de invernadero, de vivero u ornamentales que no se cultivan en un campo), aplicaciones de estructuras residenciales, agrícolas, comerciales e industriales, césped (por ejemplo, granjas de césped, pastizales, campos de golf, jardines, campos deportivos, etc.), productos de madera, productos almacenados, administración de recursos de vegetación y agrosilvicultura, salud pública (es decir, humana) y salud animal (por ejemplo, animales domésticos, tales como mascotas, ganado y aves de corral, y animales no domesticados, tales como la fauna silvestre).

Las aplicaciones no agronómicas incluyen proteger a un animal de una plaga de parásitos invertebrados mediante la administración de una cantidad eficaz como parasiticida (es decir, biológicamente eficaz) de un compuesto de la invención, típicamente en forma de una composición formulada para uso veterinario, al animal a ser protegido. Como se usan en la presente descripción y en las reivindicaciones, los términos "parasiticida" y "parasiticidamente" se refieren a

los efectos observables en una plaga de parásitos invertebrados para proporcionar protección a un animal contra la plaga. Los efectos parasiticidas se relacionan, por lo general, con la disminución de la aparición o actividad de la plaga parasítica invertebrada objetivo. Los efectos sobre la plaga incluyen necrosis, muerte, crecimiento retardado, disminución de la movilidad o menor capacidad para permanecer dentro del animal huésped, o sobre éste, reducción de la alimentación e inhibición de la reproducción. Estos efectos sobre las plagas de parásitos invertebrados proporcionan control (que incluye la prevención, reducción o eliminación) de la infestación parasítica o infección 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, tales 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" también incluye polienos, tales como 1,2-propadienil y 2,4-hexadienil. "Alquinilo" incluye alquinos de cadena recta o ramificada, tales como etinilo, 1-propinilo, 2-propinilo y los distintos isómeros butinilo, pentinilo y hexinilo. "Alquinilo"

también puede incluir entidades comprendidas de múltiples enlaces triples, tales como 2,5-hexadiinilo.

"Alcoxi" incluye, por ejemplo, metoxi, etoxi, *n*-propiloxi, isopropiloxi y los diferentes isómeros butoxi, pentoxi y hexiloxi. "Alcoxialquilo" denota una sustitución alcoxi en el alquilo. Los ejemplos de "alcoxialquilo" incluyen CH_2OCH_3, $CH_2CH_2OCH_3$, $CH_2OCH_2CH_3$, $CH_2OCH_2CH_2CH_2CH_3$ y $CH_2CH_2OCH_2CH_3$.

"Cicloalquilo" incluye, por ejemplo, ciclopropilo, ciclobutilo, ciclopentilo y ciclohexilo. El término "cicloalquilalquilo" indica una sustitución cicloalquilo en una porción alquilo. Ejemplos de "cicloalquilalquilo" incluyen ciclopropilmetilo, ciclopentiletilo y otras porciones cicloalquilo enlazadas 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 sustituidos con halógeno" incluyen CF_3, CH_2Cl, CH_2CF3 y CCl_2CF_3. Los términos

"haloalcoxi", "haloalquenilo", "haloalquinilo", y similares, se definen de manera análoga al término "haloalquilo". Los ejemplos de "haloalcoxi" incluyen OCF_3, OCH_2CCl_3, $OCH_2CH_2CHF_2$ y OCH_2CF_3. Los ejemplos de "haloalquenilo" incluyen $CH_2CH=C(Cl)_2$ y $CH_2CH=CHCH_2CF_3$. Los ejemplos de "haloalquinilo" incluyen $CHClC\equiv CH$, $C\equiv CCF_3$, $C\equiv CCCl_3$ y $CH_2C\equiv CCH_2F$.

"alquilamino" denota 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" denota 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" denota una porción alquilo de cadena recta o ramificada y una porción haloalquilo de cadena recta o ramificada unida a un radical, o dos porciones haloalquilo de cadena recta o ramificada independientes unidas a un radical N, donde el "haloalquilo" es como se definió anteriormente. Los ejemplos de "halodialquilamino" incluyen $N(CH_2CH_3)(CH_2CH_2Cl)$ y $N(CF_2CF_3)_2$.

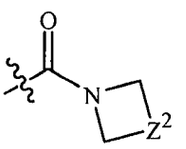
"Alquilcarbonilo" designa una porción alquilo de cadena recta o ramificada unida a una porción C(O). Las abreviaturas químicas C(O) y C(=O), como se usan en la presente, representan una porción carbonilo. Los ejemplos de "alquilcarbonilo" incluyen $C(O)CH_3$, $C(O)CH_2CH_2CH_3$ y

$C(O)CH(CH_3)_2$. 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 recta o ramificada unida a una porción CO_2. Las abreviaturas químicas CO_2 y C(O)O, como se usan en la presente, representan una porción éster. Los ejemplos de "alcoxicarbonilo" incluyen $C(O)OCH_3$, $C(O)CH_2CH_3$, $C(O)OCH_2CH_2CH_3$ y $C(O)OCH(CH_3)_2$.

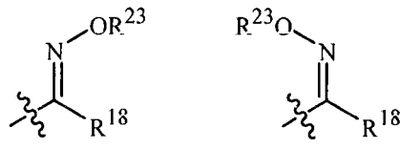
"Alquilaminocarbonilo" designa una porción alquilo de cadena recta o ramificada unida a una porción C(O)NH. La abreviaturas químicas C(O)NH, y C(O)N como se usan en la presente, representan una porción amida (es decir, un grupo aminocarbonilo). Los ejemplos de "alquilaminocarbonilo" incluyen $C(O)NHCH_3$, $C(O)NHCH_2CH_2CH_3$ y $C(O)NHCH(CH_3)_2$. "Dialquilaminocarbonilo" designa dos porciones alquilo independientes de cadena recta o ramificada unidas a una porción C(O)N. Los ejemplos de "dialquilaminocarbonilo" incluyen $C(O)N(CH_3)_2$ y $C(O)N(CH_3)(CH_2CH_3)$.

La abreviatura química $C(O)N\text{-}(\text{-}CH_2Z^2CH_2\text{-})\text{-}$ como se usa en la presente, representa una porción dialquilaminocarbonilo en donde los dos grupos alquilo se conectan para formar un anillo como se muestra a continuación.

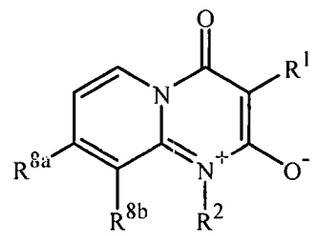


La abreviatura química N-(-$CH_2Z^2CH_2$-)- se define análogamente.

La abreviatura química C(=NOR^{23})R^{18} como se usa en la presente, representa ambos isómeros geométricos de la porción oxima como se muestra a continuación.



Cuando R^3 y R^4 se toman juntos con los átomos de carbono y nitrógeno de enlace contiguos para formar un anillo opcionalmente sustituido **R-2** y Z es C(R^{8a})=C(R^{8b}), la porción C(R^{8a})=C(R^{8b}) se orienta de manera que el átomo de carbono enlazado a R^{8b} se conecte como R^3 en la Fórmula **1** como se muestra a continuación.



La línea ondulada en las estructuras anteriores y en cualquier lugar en la descripción (por ejemplo, X-24 a X-128

y Y-30 a Y-71 Tabla 1) indica la posición de la unión del fragmento molecular al resto de la molécula.

El número total de átomos de carbono en un grupo sustituyente se indica por el prefijo "C_i-C_j" donde i y j son números de 1 a 7. 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 varios 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 $CH_2CH_2OCH_2CH_3$.

Cuando un compuesto es sustituido con un sustituyente que porta un subíndice eso indica que el número de dichos sustituyentes puede exceder de 1, dichos sustituyentes (cuando exceden de 1) son independientemente seleccionados del grupo de los sustituyentes definidos, por ejemplo, $(R^7)_p$, p es 0, 1, 2, 3 ó 4. Cuando un grupo contiene un sustituyente que puede ser hidrógeno, por ejemplo R^1 o R^3, entonces cuando este sustituyente se toma como hidrógeno, se reconoce que este es equivalente a dicho grupo que está insustituido. Cuando un grupo variable se muestra opcionalmente unido en una posición, por ejemplo $(R^v)_r$ en U-36 de la Exhibición 1 en donde r puede ser 0, entonces el hidrógeno puede estar en la posición aun si no se enumera en la definición de 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 están unidos para ocupar cualquier valencia libre.

El término "anillo heteroaromático" denota un anillo aromático en el cual al menos un átomo que forma el esqueleto del anillo no es carbono, por ejemplo, nitrógeno, oxigeno o azufre. Típicamente, un anillo heteroaromático contiene no más de 4 nitrógenos, no más de 1 oxigeno y no más de 1 azufre. A menos que se indique de otra forma, los anillos heteroaromáticos pueden unirse a través de cualquier carbono o nitrógeno disponible por reemplazo de un hidrógeno en dicho carbono o nitrógeno. "Aromático" indica que cada uno de los átomos del anillo está esencialmente en el mismo plano y tiene un orbital-*p* perpendicular al anillo plano del anillo, y en el cual $(4n + 2)$ π electrones, donde n es un número entero positivo, se asocian con el anillo para cumplir con la regla de Hückel. El término "sistema anular bicíclico heteroaromático" denota un sistema anular consistente de dos anillos fundidos, en los cuales al menos uno de los dos anillos es un anillo heteroaromático como se definió anteriormente.

Cuando un radical (por ejemplo, cicloalquilo en la definición de R^1) es opcionalmente sustituido con los sustituyentes enumerados con el número de sustituyentes establecido (por ejemplo, "1 a 4"), entonces el radical puede

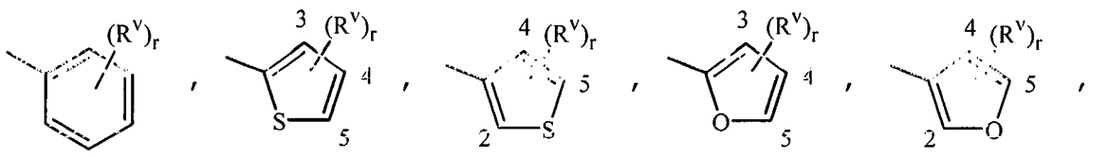
ser insustituido o sustituido con un número de sustituyentes que puede variar hasta el número más alto establecido (por ejemplo, "4"), y los sustituyentes unidos son independientemente seleccionados de los sustituyentes enumerados. Cuando la lista de sustituyentes incluye un límite inferior para un sustituyente particular (por ejemplo, "1 ciclopropilo"), esto restringe, en consecuencia, el número de apariciones de ese sustituyente particular entre los sustituyentes unidos al radical. Así, con relación a R^1, aunque hasta cuatro sustituyentes pueden estar unidos al radical cicloalquilo, solamente uno de los sustituyentes puede ser ciclopropilo.

Cuando un sustituyente (por ejemplo, R^1) es un anillo heteroaromático que contiene nitrógeno de 5- o 6-miembros, éste puede unirse al resto de la Fórmula **1** a través de cualquier átomo del anillo de carbono o nitrógeno disponible, a menos que se indique de otra forma. Como se denotó anteriormente, los sustituyente tales como R^1 pueden ser (entre otros) fenilo opcionalmente sustituido con uno o tres sustituyentes seleccionado de un grupo de sustituyentes como se definió en la breve descripción de la invención. Un ejemplo de fenilo opcionalmente sustituido con uno a tres sustituyente es el anillo ilustrado como U-1 en la Exhibición 1, en donde R^v es

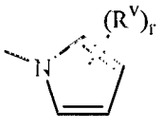
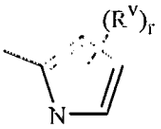
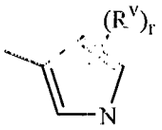
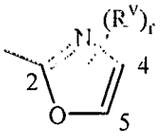
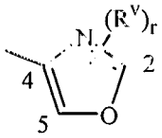
tal como se definió en la Breve descripción de la invención (por ejemplo, para R^1) y r es un número entero de 0 a 3.

Tal como se indicó anteriormente, los sustituyente tales como R^1 pueden ser entre otros) un anillo heteroaromático de 5 ó 6 miembros, opcionalmente sustituidos 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 ó 6 miembros opcionalmente sustituido 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 como se definió en la Breve descripción de la invención (por ejemplo, para R^1) y r es un número entero de 0 a 2, 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 ó 1, y R es 0 significa que el grupo U no está sustituido y que un hidrógeno está presente en la posición indicada por $(R^v)_r$.

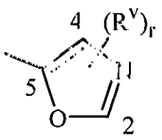
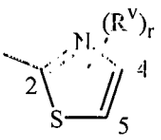
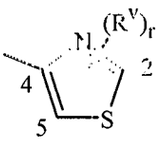
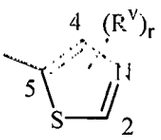
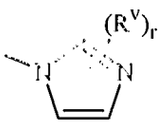
Exhibición 1



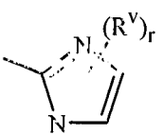
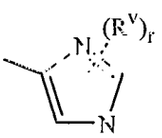
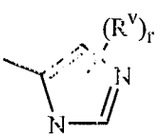
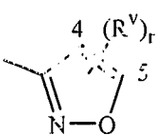
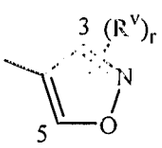
U-1 U-2 U-3 U-4 U-5

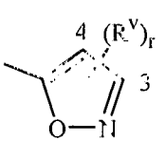
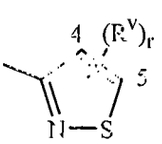
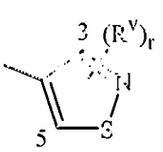
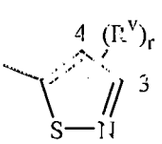
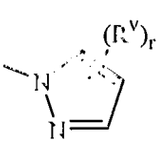
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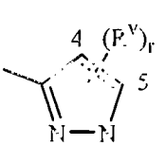
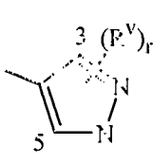
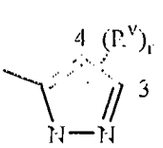
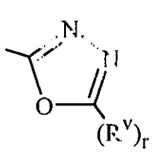
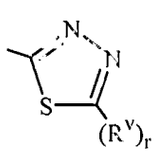
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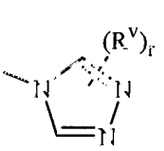
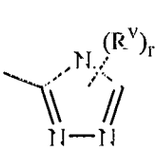
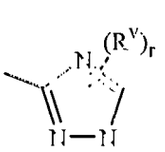
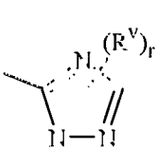
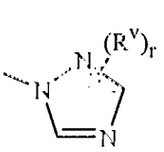
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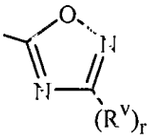
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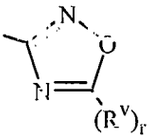






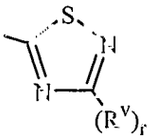
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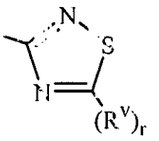






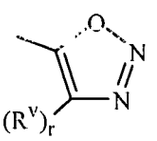
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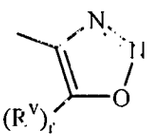

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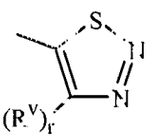

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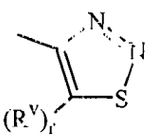

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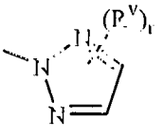

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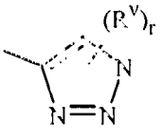

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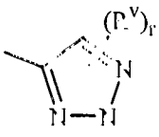

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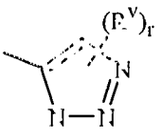

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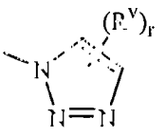

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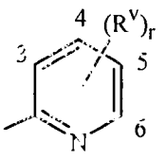

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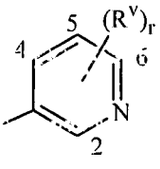

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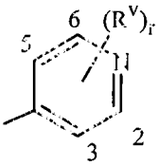

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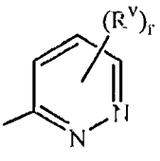

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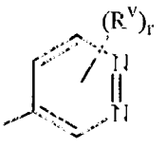

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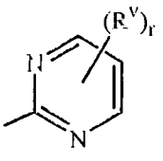

U-49 ,


U-50 ,

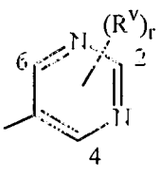

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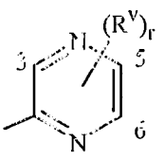

U-52 ,

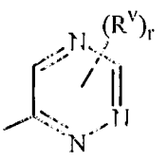

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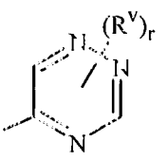

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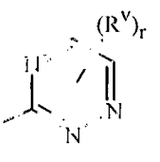

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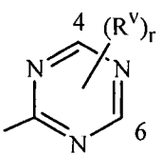

U-56 ,


U-57 ,


U-58 ,

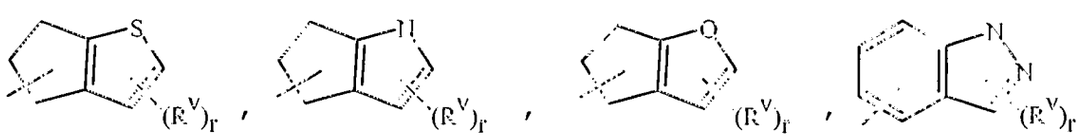

U-59 ,


U-60 y

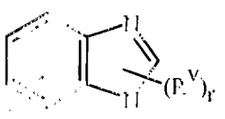
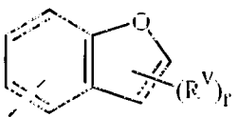
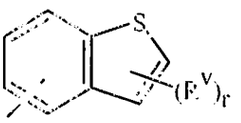
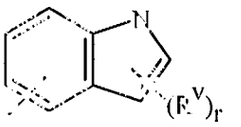

U-61 .

Tal como se indicó anteriormente, los sustituyentes tales como R^1 pueden ser (entre otros) un sistema anular bicíclico heteroaromático de 8, 9 ó 10 miembros opcionalmente sustituido con hasta 3 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 ó 10 miembros opcionalmente sustituido con hasta 3 sustituyentes incluye 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, halógeno, ciano, nitro, SF_5, alquilo de C_1-C_4, alquenilo de C_2-C_4, alquinilo de C_2-C_4, haloalquilo de C_1-C_4, alquilcarbonilo de C_2-C_4, haloalquilcarbonilo de C_2-C_4, alcoxicarbonilo de C_2-C_4, alquilaminocarbonilo de C_2-C_4, dialquilaminocarbonilo de C_3-C_7, alcoxi de C_1-C_4, haloalcoxi de C_1-C_4, alcoxialquilo de C_2-C_6, $S(O)_nR^{12}$, alquilamino de C_1-C_4 y dialquilamino de C_2-C_6 en los miembros del anillo de carbono y metilo en los miembros del anillo de nitrógeno) y r es un número entero de 0 a 3, limitado por el número de posiciones disponibles en cada grupo H.

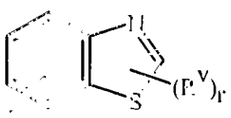
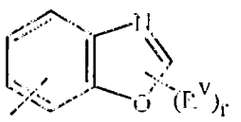
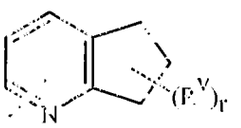
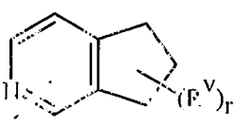
Exhibición 2



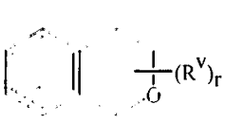
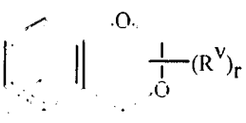
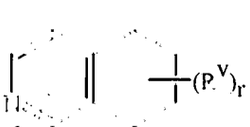
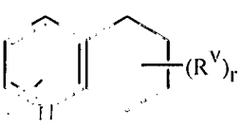
H-1 H-2 H-3 H-4

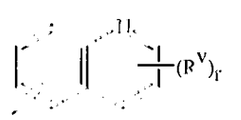
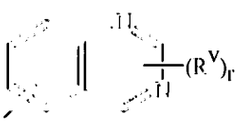
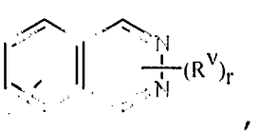
H-5 H-6 H-7 H-8

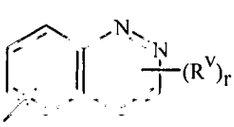
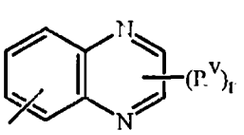
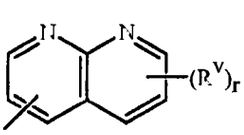
H-9 H-10 H-11 H-12

H-13 H-14 H-15 H-16

H-17 H-18 H-19 H-20



y


H-21 H-22 H-23

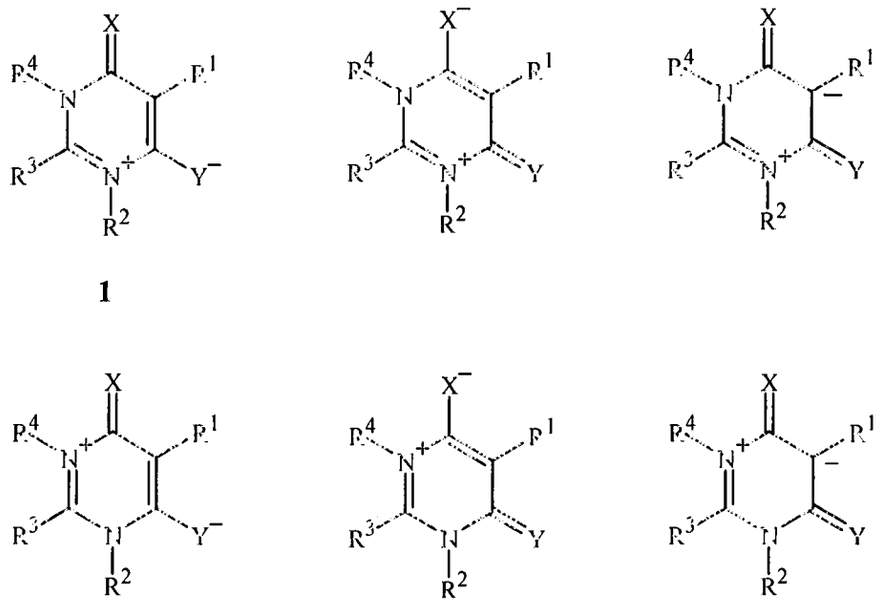
Aunque los grupos R^v se muestran en las estructuras U-1 a U-61 y H-1 a H-23, no es necesario que dichos grupos estén presentes ya que son sustituyentes opcionales. Los átomos de nitrógeno que requieren sustitución para completar su valencia están sustituidos con H o R^v. Debe mencionarse que cuando 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 de nitrógeno del grupo U o H. Debe mencionarse que cuando el punto de unión en el grupo U o H se ilustra como un punto flotante, el grupo U o H puede unirse al resto de la Fórmula **1** a través de cualquier carbono o nitrógeno disponible del grupo U o H mediante el reemplazo de un átomo de hidrógeno. Debe mencionarse que algunos grupos U pueden sustituirse solamente con menos de 2 grupos R^v (por ejemplo, U-2 a U-5, U-7 a U-48 y U-52 a U-61).

En la técnica se conoce una gran variedad de métodos sintéticos para la preparación de sistemas anulares y anillos heterocíclicos aromáticos y no aromáticos; para una revisión exhaustiva ver el conjunto 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 neutrales 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, 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 por razones de simplicidad, la estructura molecular de la Fórmula **1** se representa como una estructura de enlace de valencia simple en la presente descripción y reivindicaciones, esta estructura de enlace de valencia particular ha de entenderse como que es representativa de las seis estructuras de enlace de valencia 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 y reivindicaciones se refiere a las seis estructuras de enlace de valencia aplicables y otras estructuras (por ejemplo, teoría orbital molecular) a menos que se especifique de 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. Por ejemplo, los sustituyentes y otros constituyentes moleculares, tales como R^1 pueden contener centros quirales. 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 o preparar selectivamente tales estereoisómeros. Esta invención comprende mezclas

racémicas así como estereoconfiguraciones enriquecidas y esencialmente puras en estos centros quirales adicionales.

Los compuestos de esta invención pueden existir como uno o más isómeros conformacionales debido a la rotación restringida del enlace provocada por bloqueo estérico. Por ejemplo, un compuesto de la Fórmula **1** en donde R^1 es fenilo sustituido en la posición orto con un grupo alquilo estéricamente demandante (por ejemplo, isopropil) puede existir como dos rotámeros debido a la rotación restringida alrededor del enlace del anillo de R^1-pirimidinio. Esta invención comprende mezclas de isómeros conformacionales. Además, esta invención incluye compuestos que están enriquecidos en un conformador respecto de otros.

Los compuestos de la Fórmula **1** pueden existir en la fase sólida como polimorfos (es decir, diferentes formas cristalinas). El término "polimorfo" se refiere a una forma cristalina particular de un compuesto químico que puede cristalizar en diferentes formas cristalinas, estas formas tienen diferentes arreglos y/o conformaciones 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, como en la forma, densidad, dureza, color, estabilidad química, punto de fusión, higroscopicidad, suspensibilidad, velocidad de disolución y disponibilidad biológica del cristal. Una persona con experiencia en la técnica apreciará que un polimorfo de un compuesto de la Fórmula **1** puede exhibir efectos beneficiosos (por ejemplo, factibilidad para la preparación de formulaciones útiles) en relación a otro polimorfo o una mezcla de polimorfos del mismo compuesto de la Fórmula **1**. La preparación y el aislamiento de un polimorfo particular de un compuesto de la Fórmula **1** se puede lograr por los métodos conocidos por aquellos con experiencia en la técnica, por ejemplo, cristalización usando solventes y temperaturas seleccionadas. Esta invención comprende tanto polimorfos individuales como mezclas de polimorfos, que incluyen mezclas enriquecidas en un polimorfo respecto de otros.

Las modalidades de la presente invención, tal como se describe en la Breve descripción de la invención, incluyen las que se describen a continuación. En las siguientes modalidades la referencia a "un compuesto de la Fórmula **1**" incluye las definiciones de sustituyentes especificadas en la Breve Descripción de la Invención, a menos que se definan adicionalmente en las modalidades. Los compuestos de las Fórmulas **1r** y **1s** son varios subconjuntos de la Fórmula 1.

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 modalidades 1 ó 2 en donde Y es O.

Modalidad 4. Un compuesto de la Fórmula **1** o modalidades 1 ó 2 en donde Y es S.

Modalidad 5. Un compuesto de la Fórmula **1** o cualquiera de las Modalidades 1-4 en donde R^1 es H o halógeno.

Modalidad 6. Un compuesto de la Fórmula **1** o cualquiera de las Modalidades 1-4 en donde R^1 es fenilo o un anillo heteroaromático de 6 miembros, cada uno opcionalmente sustituido con 1 a 3 sustituyentes independientemente seleccionados del grupo que consiste de halógeno, ciano, nitro, alquilo de C_1-C_4, alquenilo de C_2-C_4, alquinilo de C_2-C_4, haloalquilo de C_1-C_4, haloalquenilo de C_2-C_4, alquilcarbonilo de C_2-C_4, haloalquilcarbonilo de C_2-C_4, alcoxicarbonilo de C_2-C_4, alquilaminocarbonilo de C_2-C_4, dialquilaminocarbonilo de C_3-C_7, $C(O)N$-$(-CH_2Z^2CH_2-)$-, alcoxi de C_1-C_4, haloalcoxi de C_1-C_4, alcoxialquilo de C_2-C_6, $S(O)_nR^{12}$, $S(O)_2R^{13}$, alquilamino de C_1-C_4, dialquilamino de C_2-C_6, SF_5, $Si(CH_3)_3$, CHO, hidroxi, $OC(O)R^{19}$ y $N(R^{20})C(O)R^{19}$.

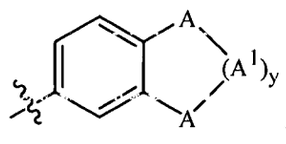
Modalidad 7. Un compuesto de la Modalidad 6 en donde R^1 es fenilo o piridinilo, cada uno opcionalmente sustituido con 1 a 3 sustituyentes independientemente seleccionados del grupo que consiste de halógeno, ciano, nitro, alquilo de

C_1-C_4, alquenilo de C_2-C_4, alquinilo de C_2-C_4, haloalquilo de C_1-C_4, haloalquenilo de C_2-C_4, alquilcarbonilo de C_2-C_4, haloalquilcarbonilo de C_2-C_4, alcoxicarbonilo de C_2-C_4, alquilaminocarbonilo de C_2-C_4, dialquilaminocarbonilo de C_3-C_7, C(O)N-(-$CH_2Z^2CH_2$-)-, alcoxi de C_1-C_4, haloalcoxi de C_1-C_4, alcoxialquilo de C_2-C_6, $S(O)_nR^{12}$, $S(O)_2R^{13}$, alquilamino de C_1-C_4, dialquilamino de C_2-C_6, SF_5, $Si(CH_3)_3$, CHO, hidroxi, $OC(O)R^{19}$ y $N(R^{20})C(O)R^{19}$.

Modalidad 8. Un compuesto de la Modalidad 7 en donde R^1 es fenilo o piridinilo, cada uno opcionalmente sustituido con 1 a 3 sustituyentes independientemente seleccionados del grupo que consiste de halógeno, ciano, alquilo de C_1-C_4, haloalquilo de C_1-C_4, alquilcarbonilo de C_2-C_4, alcoxicarbonilo de C_2-C_4, alquilaminocarbonilo de C_2-C_4, dialquilaminocarbonilo de C_3-C_7, C(O)N-(-$CH_2Z^2CH_2$-)-, alcoxi de C_1-C_4, haloalcoxi de C_1-C_4, alcoxialquilo de C_2-C_6, $S(O)_nR^{12}$ y $S(O)_2R^{13}$.

Modalidad 9. Un compuesto de la Fórmula **1** o cualquiera de las Modalidades 1-4 en donde

R^1 es



Modalidad 10. Un compuesto de la Fórmula **1** o cualquiera de las Modalidades 1-4 en donde R^1 es $C(X^1)R^{18}$ o $C(=NOR^{23})R^{18}$.

Modalidad 11. Un compuesto de la Modalidad 10 en donde R^1 es $C(X^1)R^{18}$.

Modalidad 12. Un compuesto de la Fórmula **1** o cualquiera de las Modalidades 1-11 en donde X^1 es O.

Modalidad 13. Un compuesto de la Fórmula **1** o cualquiera de las Modalidades 1-11 en donde X^1 es S.

Modalidad 14. Un compuesto de la Modalidad 10 en donde R^1 es $C(=NOR^{23})R^{18}$.

Modalidad 15. Un compuesto de la Fórmula **1** o cualquiera de las Modalidades 1-4 en donde R^1 es un sistema anular bicíclico heteroaromático de 8 a 10 miembros opcionalmente sustituido en los miembros del anillo de carbono con hasta 3 sustituyentes independientemente seleccionados del grupo que consiste de halógeno, ciano, nitro, SF_5, alquilo de C_1-C_4, alquenilo de C_2-C_4, alquinilo de C_2-C_4, haloalquilo de C_1-C_4, alquilcarbonilo de C_2-C_4, haloalquilcarbonilo de C_2-C_4, alcoxicarbonilo de C_2-C_4, alquilaminocarbonilo de C_2-C_4, dialquilaminocarbonilo de C_3-C_7, $C(O)N$-$(-CH_2Z^2CH_2-)$-, alcoxi de C_1-C_4, haloalcoxi de C_1-C_4, alcoxialquilo de C_2-C_6, $S(O)_nR^{12}$, $S(O)_2R^{13}$, alquilamino de C_1-C_4, dialquilamino de C_2-C_6, $Si(CH_3)_3$, CHO, hidroxi, $OC(O)R^{19}$

y $N(R^{20})C(O)R^{19}$, y opcionalmente sustituido en los miembros del anillo de nitrógeno con metil.

Modalidad 16. Un compuesto de la Fórmula **1** o cualquiera de las Modalidades 1-4 en donde R^1 es fenilo o un anillo heteroaromático de 5 ó 6 miembros, cada uno sustituido con GQ^1 y opcionalmente sustituido, además, con 1 ó 2 sustituyentes independientemente seleccionados del grupo que consiste de halógeno, ciano, nitro, SF_5, alquilo de C_1-C_4, alquenilo de C_2-C_4, alquinilo de C_2-C_4, haloalquilo de C_1-C_4, alquilcarbonilo de C_2-C_4, haloalquilcarbonilo de C_2-C_4, alcoxicarbonilo de C_2-C_4, alquilaminocarbonilo de C_2-C_4, dialquilaminocarbonilo de C_3-C_7, $C(O)N$-$(-CH_2Z^2CH_2-)$-, alcoxi de C_1-C_4, haloalcoxi de C_1-C_4, alcoxialquilo de C_2-C_6, $S(O)_nR^{12}$, $S(O)_2R^{13}$, alquilamino de C_1-C_4, dialquilamino de C_2-C_6, $Si(CH_3)_3$, CHO, hidroxi, $OC(O)R^{19}$ y $N(R^{20})C(O)R^{19}$.

Modalidad 17. Un compuesto de la Modalidad 16 en donde R^1 es fenilo o piridinilo, cada uno sustituido con GQ^1 y opcionalmente sustituido, además, con 1 ó 2 sustituyentes independientemente seleccionados del grupo que consiste de halógeno, ciano, SF_5, alquilo de C_1-C_4, haloalquilo de C_1-C_4, alquilcarbonilo de C_2-C_4, alcoxicarbonilo de C_2-C_4, alquilaminocarbonilo de C_2-C_4, dialquilaminocarbonilo de C_3-C_7, $C(O)N$-$(-CH_2Z^2CH_2-)$-, alcoxi de C_1-C_4, haloalcoxi de C_1-C_4, alcoxialquilo de C_2-C_6, $S(O)_nR^{12}$ y $S(O)_2R^{13}$.

Modalidad 18. Un compuesto de la Fórmula **1** o cualquiera de las Modalidades 1-17 en donde Q^1 es fenilo o piridinilo, cada uno opcionalmente sustituido con 1 a 3 sustituyentes independientemente seleccionados del grupo que consiste de halógeno, ciano, alquilo de C_1-C_4, haloalquilo de C_1-C_4, alquilcarbonilo de C_2-C_4, alcoxicarbonilo de C_2-C_4, alquilaminocarbonilo de C_2-C_4, dialquilaminocarbonilo de C_3-C_7, $C(O)N$-$(-CH_2Z^2CH_2-)$-, alcoxi de C_1-C_4, haloalcoxi de C_1-C_4, alcoxialquilo de C_2-C_6, $S(O)_nR^{12}$ y $S(O)_2R^{13}$.

Modalidad 19. Un compuesto de la Fórmula **1** o cualquiera de las Modalidades 1-4 en donde R^1 es seleccionado de las Modalidades 5, 6, 9, 10, 15 y 16.

Modalidad 20. Un compuesto de la Fórmula **1** o cualquiera de las Modalidades 1-19 en donde R^2 es alquilo de C_2-C_6, haloalquilo de C_1-C_6, $CH_2CO_2R^{21}$, $CR^5R^6CH_2OR^{21}$, $CR^5R^6CH_2CH_2OR^{21}$, $CR^5R^6CH_2S(O)_nR^{21}$ o $CR^5R^6CH_2CH_2S(O)_nR^{21}$.

Modalidad 21. Un compuesto de la Fórmula **1** o cualquiera de las Modalidades 1-19 en donde R^2 es cicloalquilo de C_3-C_6 o cicloalquilalquilo de C_4-C_7, cada uno opcionalmente sustituido con 1 a 4 sustituyentes seleccionados del grupo que consiste de halógeno, alquilo de C_1-C_2, 1 ciclopropilo y 1 CF_3.

Modalidad 22. Un compuesto de la Fórmula **1** o cualquiera de las Modalidades 1-19 en donde R^2 es CR^5R^6Q.

Modalidad 22a. Un compuesto de la Fórmula **1** o cualquiera de las Modalidades 1-19 en donde R^2 es seleccionado de las Modalidades 20, 21 y 22.

Modalidad 23. Un compuesto de la Modalidad 20 en donde R^2 es alquilo de C_2-C_6, haloalquilo de C_1-C_6 o $CR^5R^6CH_2OR^{21}$.

Modalidad 24. Un compuesto de la Modalidad 21 en donde R^2 es cicloalquilalquilo de C_4-C_7 opcionalmente sustituido con 1 a 4 sustituyentes seleccionados del grupo que consiste de halógeno, alquilo de C_1-C_2, 1 ciclopropilo y 1 CF_3.

Modalidad 24a. Un compuesto de la Fórmula **1** o cualquiera de las Modalidades 1-19 en donde R^2 es seleccionado de las Modalidades 23 y 24.

Modalidad 25. Un compuesto de la Fórmula **1** o cualquiera de las Modalidadas1 1-24 en donde G es un enlace directo.

Modalidad 26. Un compuesto de la Fórmula **1** o cualquiera de las Modalidades 1-25 en donde R^3 es H, alquilo de C_1-C_6, haloalquilo de C_1-C_6, alquenilo de C_2-C_6, haloalquenilo de C_2-C_6, alquinilo de C_2-C_6, haloalquinilo de C_2-C_6 o $C{\equiv}CR^{10}$.

Modalidad 27. Un compuesto de la Fórmula **1** o cualquiera de las Modalidades 1-25 en donde R^3 es cicloalquilo de C_3-C_6 o cicloalquilalquilo de C_4-C_7, cada uno opcionalmente sustituido con 1 a 4 sustituyentes independientemente seleccionados del grupo que consiste de halógeno, alquilo de C_1-C_2, 1 ciclopropilo y 1 CF_3.

Modalidad 28. Un compuesto de la Modalidad 26 en donde R^3 es alquilo de C_1-C_6 o haloalquilo de C_1-C_6.

Modalidad 29. Un compuesto de la Modalidad 28 en donde R^3 es CH_3.

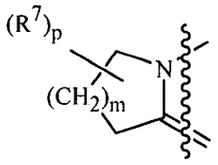
Modalidad 29a. Un compuesto de la Fórmula **1** o cualquiera de las Modalidades 1-29 en donde R^4 es alquilo de C_1-C_6, haloalquilo de C_1-C_6, alquenilo de C_2-C_6, haloalquenilo de C_2-C_6, alquinilo de C_2-C_6, haloalquinilo de C_2-C_6 o $C{\equiv}CR^{10}$.

Modalidad 29b. Un compuesto de la Fórmula **1** o cualquiera de las Modalidades 1-29 en donde R^4 es cicloalquilo de C_3-C_6 o cicloalquilalquilo de C_4-C_7, cada uno opcionalmente sustituido con 1 a 4 sustituyentes independientemente seleccionados del grupo que consiste de halógeno, alquilo de C_1-C_2, 1 ciclopropilo y 1 CF_3.

Modalidad 29c. Un compuesto de la Modalidad 29a en donde R^4 es alquilo de C_1-C_6 o haloalquilo de C_1-C_6.

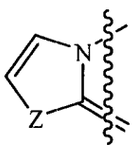
Modalidad 29d. Un compuesto de la Modalidad 29c en donde R^4 es CH_3.

Modalidad 30. Un compuesto de la Fórmula **1** o cualquiera de las Modalidades 1-25 en donde R^3 y R^4 se toman juntos con los átomos de nitrógeno y carbono de enlace contiguo para formar un anillo opcionalmente sustituido **R-1**



R-1

o un anillo **R-2**



R-2

Modalidad 31. Un compuesto de la Modalidad 30 en donde R^3 y R^4 se toman juntos con los átomos de nitrógeno y carbono de enlace contiguo para formar un anillo opcionalmente sustituido **R-1**.

Modalidad 32. Un compuesto de la Modalidad 30 en donde R^3 y R^4 se toman juntos con los átomos de nitrógeno y carbono de enlace contiguo para formar un anillo opcionalmente sustituido **R-2**.

Modalidad 32a. Un compuesto de la Fórmula **1** o cualquiera de las Modalidades 1-25 en donde R^3 es seleccionado de las Modalidades 26 y 27, y R^4 es seleccionado de las Modalidades 29a y 29b; o R^3 y R^4 se

toman juntos con los átomos de nitrógeno y carbono de enlace contiguo para formar un anillo opcionalmente sustituido como se describió en la Modalidad 30.

Modalidad 32b. Un compuesto de la Fórmula **1** o cualquiera de las Modalidades 1-32a en donde m es 2 ó 3.

Modalidad 32c. Un compuesto de la Fórmula **1** o cualquiera de las Modalidades 1-32b en donde p es 0.

Modalidad 32d. Un compuesto de la Fórmula **1** o cualquiera de las Modalidades 1-32c en donde Z es $C(R^{8a})=C(R^{8b})$ o S, siempre y cuando la porción de $C(R^{8a})=C(R^{8b})$ se oriente de manera que el átomo de carbono enlazado a R^{8b} se conecte como R^3 en la Fórmula **1**.

Modalidad 33. Un compuesto de la Modalidad 32d en donde Z es CH=CH o CH=CF, siempre y cuando la porción CH=CF se oriente de manera que el átomo de carbono enlazado a F se conecte como R^3 en la Fórmula **1**.

Modalidad 34. Un compuesto de la Modalidad 32d en donde Z es S.

Modalidad 35. Un compuesto de la Fórmula **1** o cualquiera de las Modalidades 1-34 en donde cada R^5 es independientemente H o alquilo de C_1-C_4.

Modalidad 36. Un compuesto de la Modalidad 35 en donde cada R^5 es independientemente H o metilo.

Modalidad 37. Un compuesto de la Fórmula **1** o cualquiera de las Modalidades 1-36 en donde R^6 es H.

Modalidad 38. Un compuesto de la Fórmula **1** o cualquiera de las Modalidades 1-37 en donde Q es **Q-1, Q-5, Q-6** o **Q-9.**

Modalidad 39. Un compuesto de la Modalidad 38 en donde Q es **Q-1, Q-5** o **Q-9.**

Modalidad 40. Un compuesto de la Modalidad 38 en donde Q es **Q-1.**

Modalidad 41. Un compuesto de la Modalidad 38 en donde Q es **Q-5.**

Modalidad 42. Un compuesto de la Modalidad 38 en donde Q es **Q-6.**

Modalidad 43. Un compuesto de la Modalidad 38 en donde Q es **Q-9.**

Modalidad 44. Un compuesto de cualquiera de las Modalidades 38, 39, 41 ó 43 en donde Z^1 es O.

Modalidad 45. Un compuesto de cualquiera de las Modalidades 38, 39, 41 ó 43 en donde Z^1 es S.

Modalidad 46. Un compuesto de cualquiera de las Modalidades 38, 39, 41 ó 43 en donde Z^1 es NR^{14}.

Modalidad 47. Un compuesto de la Fórmula **1** o cualquiera de las Modalidades 1-46 en donde cada R^{9a} es independientemente H, halógeno, ciano, alquilo de C_1-C_4, haloalquilo de C_1-C_4, alcoxi de C_1-C_4, haloalcoxi de C_1-C_4, SF_5 o $S(O)_nR^{12}$; o cicloalquilo de C_3-C_6 o cicloalquilalquilo de C_4-C_7, cada uno opcionalmente sustituido con 1 a 4

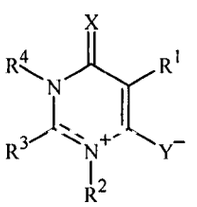
sustituyentes seleccionados del grupo que consiste de halógeno, alquilo de C_1-C_2, 1 ciclopropilo y 1 CF_3.

Modalidad 48. Un compuesto de la Modalidad 47 en donde cada R^{9a} es independientemente H, halógeno, ciano, alquilo de C_1-C_4, haloalquilo de C_1-C_4, alcoxi de C_1-C_4, haloalcoxi de C_1-C_4, SF_5 o $S(O)_nR^{12}$.

Modalidad 49. Un compuesto de la Modalidad 47 en donde cada R^{9a} es independientemente cicloalquilo de C_3-C_6 o cicloalquilalquilo de C_4-C_7, cada uno opcionalmente sustituido con 1 a 4 sustituyentes seleccionados del grupo que consiste de halógeno, alquilo de C_1-C_2, 1 ciclopropilo y 1 CF_3.

Modalidad 50. Un compuesto de la Fórmula **1** o cualquiera de las Modalidades 1-49 en donde cada R^{9b} es independientemente H, halógeno, ciano, alquilo de C_1-C_4, haloalquilo de C_1-C_4, alcoxi de C_1-C_4 o haloalcoxi de C_1-C_4.

Modalidad 51. Un compuesto de la Fórmula **1r**



1r

en donde

X es O o S;

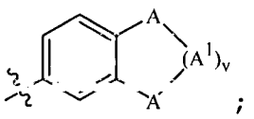
Y es O o S;

R^1 es H, halógeno, alquilo de C_1-C_6, haloalquilo de C_1-C_6, alquenilo de C_2-C_6, haloalquenilo de C_2-C_6, alquinilo de C_2-C_6, haloalquinilo de C_2-C_6 o $C{\equiv}CR^{10}$; o

R^1 es cicloalquilo de C_3-C_6 o cicloalquilalquilo de C_4-C_7, cada uno opcionalmente sustituido con 1 a 4 sustituyentes independientemente seleccionados del grupo que consiste de halógeno, alquilo de C_1-C_2, 1 ciclopropilo y 1 CF_3; o

R^1 es fenilo, naftalenilo o un anillo heteroaromático de 5 ó 6 miembros, cada uno opcionalmente sustituido con 1 a 3 sustituyentes independientemente seleccionados del grupo que consiste de halógeno, ciano, nitro, alquilo de C_1-C_4, alquenilo de C_2-C_4, alquinilo de C_2-C_4, haloalquilo de C_1-C_4, alquilcarbonilo de C_2-C_4, haloalquilcarbonilo de C_2-C_4, alcoxicarbonilo de C_2-C_4, alquilaminocarbonilo de C_2-C_4, dialquilaminocarbonilo de C_3-C_7, alcoxi de C_1-C_4, haloalcoxi de C_1-C_4, alcoxialquilo de C_2-C_6, $S(O)_nR^{12}$, alquilamino de C_1-C_4 y dialquilamino de C_2-C_6; o

R^1 es



;

cada A es independientemente $C(R^{16})_2$, O, S o NR^{15};

cada A^1 es independientemente $C(R^{17})_2$;

R^2 es alquilo de C_2-C_6, haloalquilo de C_1-C_6, haloalquilo de C_3-C_6, haloalquenilo de C_3-C_6, alquinilo de C_3-C_6, haloalquinilo de C_3-C_6 o alcoxialquilo de C_2-C_6; o

R^2 es cicloalquilo de C_3-C_6 o cicloalquilalquilo de C_4-C_7, cada uno opcionalmente sustituido con 1 a 4 sustituyentes seleccionados del grupo que consiste de halógeno, alquilo de C_1-C_2, 1 ciclopropilo y 1 CF_3; o

R^2 es CR^5R^6Q;

R^3 es H, alquilo de C_1-C_6, haloalquilo de C_1-C_6, alquenilo de C_2-C_6, haloalquenilo de C_2-C_6, alquinilo de C_2-C_6, haloalquinilo de C_2-C_6 o $C{\equiv}CR^{10}$; o

R^3 es cicloalquilo de C_3-C_6 o cicloalquilalquilo de C_4-C_7, cada uno opcionalmente sustituido con 1 a 4 sustituyentes independientemente seleccionados del grupo que consiste de halógeno, alquilo de C_1-C_2, 1 ciclopropilo y 1 CF_3; o

R^3 es fenilo, naftalenilo o un anillo heteroaromático de 5 ó 6 miembros, cada uno opcionalmente sustituido con 1 ó 2 sustituyentes independientemente seleccionados del grupo que consiste de halógeno, ciano, nitro, alquilo de C_1-C_4, alquenilo de C_2-C_4, alquinilo de C_2-C_4, haloalquilo de C_1-C_4, alquilcarbonilo de C_2-C_4, haloalquilcarbonilo de C_2-C_4, alcoxicarbonilo de C_2-C_4, alquilaminocarbonilo de C_2-C_4, dialquilaminocarbonilo de C_3-C_7, alcoxi de C_1-C_4, haloalcoxi de C_1-C_4, alcoxialquilo de C_2-C_6, $S(O)_nR^{12}$, alquilamino de C_1-C_4 y dialquilamino de C_2-C_6;

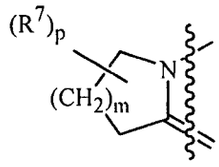
R^4 es alquilo de C_1-C_6, haloalquilo de C_1-C_6, alquenilo de C_2-C_6, haloalquenilo de C_2-C_6, alquinilo de C_2-C_6, haloalquinilo de C_2-C_6 o $C{\equiv}CR^{10}$; o

R^4 es cicloalquilo de C_3-C_6 o cicloalquilalquilo de C_4-C_7, cada uno opcionalmente sustituido con 1 a 4 sustituyentes independientemente seleccionados del grupo que consiste de halógeno, alquilo de C_1-C_2, 1 ciclopropilo y 1 CF_3; o

R^4 es fenilo, naftalenilo o un anillo heteroaromático de 5 ó 6 miembros, cada uno opcionalmente sustituido con 1 ó 2 sustituyentes independientemente seleccionados del grupo que consiste de halógeno, ciano, nitro, alquilo de C_1-

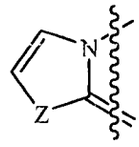
C_4, alquenilo de C_2-C_4, alquinilo de C_2-C_4, haloalquilo de C_1-C_4, alquilcarbonilo de C_2-C_4, haloalquilcarbonilo de C_2-C_4, alcoxicarbonilo de C_2-C_4, alquilaminocarbonilo de C_2-C_4, dialquilaminocarbonilo de C_3-C_7, alcoxi de C_1-C_4, haloalcoxi de C_1-C_4, alcoxialquilo de C_2-C_6, $S(O)_nR^{12}$, alquilamino de C_1-C_4 y dialquilamino de C_2-C_6; o

R^3 y R^4 se toman juntos con los átomos de nitrógeno y carbono de enlace contiguo para formar un anillo opcionalmente sustituido **R-1**



R-1

o un anillo **R-2**



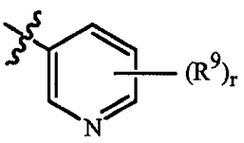
R-2 ;

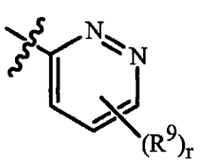
Z es $C(R^8)=C(R^8)$ o S;

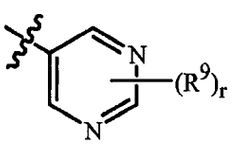
R^5 es H, F, Cl, ciano o alquilo de C_1-C_4;

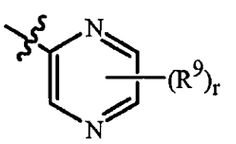
R^6 es H, F, Cl o CH_3;

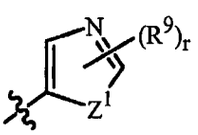
Q es

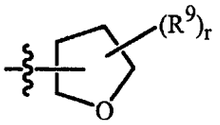

Q-1a


Q-2a

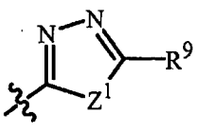

Q-3a


Q-4a


Q-5a


Q-6a

o


Q-8a

;

Z^1 es O, S o NR^{14};

R^7 es H, halógeno, ciano, CF_3, alquilo de C_1-C_3 o cicloalquilo de C_3-C_6;

cada R^8 es independientemente H o F;

cada R^9 es independientemente H, halógeno, ciano, nitro, 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, alcoxi de C_1-C_4, haloalcoxi de C_1-C_4, alquilcarbonilo de C_2-C_4, haloalquilcarbonilo de C_2-C_4, alcoxicarbonilo de C_2-C_4, haloalcoxicarbonilo de C_2-C_4, $C(O)NH_2$, alquilaminocarbonilo de C_2-C_4,

dialquilaminocarbonilo de C_3-C_7, haloalquilaminocarbonilo de C_2-C_4, halodialquilaminocarbonilo de C_3-C_7 o $S(O)_nR^{12}$; o

cada R^9 es independientemente cicloalquilo de C_3-C_6 o cicloalquilalquilo de C_4-C_7, cada uno opcionalmente sustituido con 1 a 4 sustituyentes seleccionados del grupo que consiste de halógeno, alquilo de C_1-C_2, 1 ciclopropilo y 1 CF_3; o

cada R^9 es independientemente fenilo o un anillo heteroaromático de 5 ó 6 miembros, cada uno opcionalmente sustituido con 1 ó 2 sustituyentes independientemente seleccionados del grupo que consiste de halógeno, ciano, nitro, alquilo de C_1-C_4, alquenilo de C_2-C_4, alquinilo de C_2-C_4, haloalquilo de C_1-C_4, alquilcarbonilo de C_2-C_4, haloalquilcarbonilo de C_2-C_4, alcoxicarbonilo de C_2-C_4, alquilaminocarbonilo de C_2-C_4, dialquilaminocarbonilo de C_3-C_7, alcoxi de C_1-C_4, haloalcoxi de C_1-C_4, alcoxialquilo de C_2-C_6, $S(O)_nR^{12}$, alquilamino de C_1-C_4 y dialquilamino de C_2-C_6;

cada R^{10} es independientemente $Si(R^{11})_3$; o fenilo opcionalmente sustituido con halógeno, ciano, nitro, alquilo de C_1-C_4, alquenilo de C_2-C_4, alquinilo de C_2-C_4, haloalquilo de C_1-C_4, alquilcarbonilo de C_2-C_4, haloalquilcarbonilo de C_2-C_4, alcoxicarbonilo de C_2-

C_4, alquilaminocarbonilo de C_2-C_4, dialquilaminocarbonilo de C_3-C_7, alcoxialquilo de C_2-C_6, alcoxi de C_1-C_4, haloalcoxi de C_1-C_4, $S(O)_nR^{12}$, alquilamino de C_1-C_4 o dialquilamino de C_2-C_6;

cada R^{11} es independientemente alquilo de C_1-C_4;

cada R^{12} es independientemente alquilo de C_1-C_4 o haloalquilo de C_1-C_4;

R^{14} es alquilo de C_1-C_4;

cada R^{15} es independientemente alquilo de C_1-C_4;

cada R^{16} es independientemente H o alquilo de C_1-C_4;

cada R^{17} es independientemente H o F;

m es 1, 2 ó 3;

cada n es independientemente 0, 1 ó 2;

p es 0, 1, 2, 3 ó 4;

cada r es independientemente 0, 1 ó 2; y

v es 1 ó 2;

siempre y cuando (a) R^2 es $CH_2CH=CH_2$, R^3 y R^4 se toman juntos para formar el anillo **R-2**, Z es CH=CH, X es O y Y es O, entonces R^1 es distinto de H, $CH(CH_3)_2$, $CH_2CH_2CH_2CH_3$, $CH_2CH=CH_2$ o fenilo insustituido; (b) cuando R^1 es H, R^3 y R^4 se toman juntos para formar el anillo **R-2**, Z es CH=CH, X es O y Y es O, entonces R^2 es distinto de $CH_2CH=CH_2$ o $CH_2C\equiv CH$; (c) cuando R^1 es CH_2CH_3, R^3 y R^4 se toman juntos para formar el anillo **R-2**, Z es CH=CH, X es

O y Y es O, entonces R^2 es distinto de $CH_2(CH_2)_3CH_3$; (d) cuando R^3 es H, R^2 y R^4 son ambos ciclohexilo, X es O y Y es O, entonces R^1 es distinto de CH_3; (e) cuando R^1 es H o C_1-C_3 *n*-alquilo, R^3 y R^4 se toman juntos para formar el anillo **R-2**, Z es S, X es O y Y es O, entonces R^2 es distinto de alquilo de C_1-C_6 o alcoxialquilo de C_3-C_4; (f) cuando R^2 es ciclopropilmetilo, R^3 y R^4 se toman juntos para formar el anillo **R-2**, Z es S, X es O y Y es O, entonces R^1 es distinto de CH_3, CH_2CH_3 o $CH_2CH_2CH_3$; (g) cuando R^1 es fenilo insustituido, R^3 y R^4 se toman juntos para formar el anillo **R-2**, Z es S, X es O y Y es O, entonces R^2 es distinto de alcoxialquilo de C_3-C_4; y (h) cuando R^1 es Br, R^3 y R^4 se toman juntos para formar el anillo **R-2**, Z es S, X es O y Y es O, entonces R^2 es distinto de CH_2CH_3.

Modalidad 52. Un compuesto de la Modalidad 51 en donde X es O.

Modalidad 53. Un compuesto de la Modalidad 51 en donde Y es O.

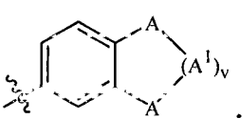
Modalidad 54. Un compuesto de la Modalidad 51 en donde R^1 es fenilo, naftalenilo o un anillo heteroaromático de 5 ó 6 miembros, cada uno opcionalmente sustituido con 1 a 3 sustituyentes independientemente seleccionados del

grupo que consiste de halógeno, ciano, nitro, alquilo de C_1-C_4, alquenilo de C_2-C_4, alquinilo de C_2-C_4, haloalquilo de C_1-C_4, alquilcarbonilo de C_2-C_4, haloalquilcarbonilo de C_2-C_4, alcoxicarbonilo de C_2-C_4, alquilaminocarbonilo de C_2-C_4, dialquilaminocarbonilo de C_3-C_7, alcoxi de C_1-C_4, haloalcoxi de C_1-C_4, alcoxialquilo de C_2-C_6, $S(O)_nR^{12}$, alquilamino de C_1-C_4 y dialquilamino de C_2-C_6.

Modalidad 55. Un compuesto de la Modalidad 54 en donde R^1 es fenilo opcionalmente sustituido con 1 ó 2 sustituyentes independientemente seleccionados del grupo que consiste de halógeno, ciano, haloalquilo de C_1-C_2, alcoxi de C_1-C_2 y haloalcoxi de C_1-C_2.

Modalidad 56. Un compuesto de la Modalidad 54 en donde R^1 es 2-, 3- ó 4-piridinilo opcionalmente sustituido con halógeno, ciano, haloalquilo de C_1-C_2, alcoxi de C_1-C_2 o haloalcoxi de C_1-C_2.

Modalidad 57. Un compuesto de la Fórmula **1r** en donde R^1 es



.

Modalidad 58. Un compuesto de la Modalidad 51 en donde R^2 es alquilo de C_2-C_6, haloalquilo de C_1-C_6, alquenilo de C_3-C_6 o haloalquenilo de C_3-C_6.

Modalidad 59. Un compuesto de la Modalidad 51 en donde R^2 es CH_2CF_3 o CR^5R^6Q.

Modalidad 60. Un compuesto de la Modalidad 59 en donde R^2 es CR^5R^6Q.

Modalidad 61. Un compuesto de la Modalidad 59 en donde R^2 es CH_2CF_3.

Modalidad 62. Un compuesto de la Modalidad 51 o Modalidad 60 en donde R^5 es H o CH_3.

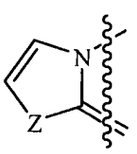
Modalidad 63. Un compuesto de la Modalidad 51 o Modalidad 60 en donde R^6 es H.

Modalidad 64. Un compuesto de la Modalidad 51 en donde Q es **Q-1a, Q-2a, Q-3a, Q-4a** o **Q-5a.**

Modalidad 65. Un compuesto de la Modalidad 64 en donde Q es **Q-1a** o **Q-5a.**

Modalidad 66. Un compuesto de la Modalidad 51 en donde R^9 es F o Cl.

Modalidad 67. Un compuesto de la Modalidad 51 en donde R^3 y R^4 se toman juntos con los átomos de nitrógeno y carbono de enlace contiguo para formar el anillo **R-2**

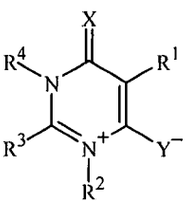


R-2

Modalidad 68. Un compuesto de la Modalidad 51 o Modalidad 67 en donde Z es CH=CH.

Modalidad 69. Un compuesto de la Modalidad 51 o Modalidad 67 en donde Z es S.

Modalidad 70. Un compuesto de la Fórmula **1s**



1s

en donde

X es O o S;

Y es O o S;

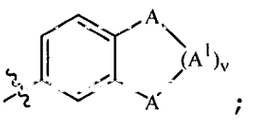
R^1 es H, halógeno, alquilo de C_1-C_6, haloalquilo de C_1-C_6, alquenilo de C_2-C_6, haloalquenilo de C_2-C_6, alquinilo de C_2-C_6, haloalquinilo de C_2-C_6 o $C{\equiv}CR^{10}$; o

R^1 es cicloalquilo de C_3-C_6 o cicloalquilalquilo de C_4-C_7, cada uno opcionalmente sustituido con 1 a 4 sustituyentes independientemente seleccionados del grupo que consiste de halógeno, alquilo de C_1-C_2, 1 ciclopropilo y 1 CF_3; o

R^1 es fenilo, naftalenilo o un anillo heteroaromático de 5 ó 6 miembros, cada uno

opcionalmente sustituido con 1 a 3 sustituyentes independientemente seleccionados del grupo que consiste de halógeno, ciano, nitro, alquilo de C_1-C_4, alquenilo de C_2-C_4, alquinilo de C_2-C_4, haloalquilo de C_1-C_4, alquilcarbonilo de C_2-C_4, haloalquilcarbonilo de C_2-C_4, alcoxicarbonilo de C_2-C_4, alquilaminocarbonilo de C_2-C_4, dialquilaminocarbonilo de C_3-C_7, alcoxi de C_1-C_4, haloalcoxi de C_1-C_4, alcoxialquilo de C_2-C_6, $S(O)_nR^{12}$, alquilamino de C_1-C_4 y dialquilamino de C_2-C_6; o

R^1 es



;

cada A es independientemente $C(R^{16})_2$, O, S o NR^{15};

cada A^1 es independientemente $C(R^{17})_2$;

R^2 es alquilo de C_2-C_6, haloalquilo de C_1-C_6, alquenilo de C_3-C_6, haloalquenilo de C_3-C_6, alquinilo de C_3-C_6, haloalquinilo de C_3-C_6 o alcoxialquilo de C_2-C_6; o

R^2 es cicloalquilo de C_3-C_6 o cicloalquilalquilo de C_4-C_7, cada uno opcionalmente sustituido con 1 a 4 sustituyentes seleccionados del grupo que consiste

de halógeno, alquilo de C_1-C_2, 1 ciclopropilo y 1 CF_3; o

R^2 es CR^5R^6Q;

R^3 es H, alquilo de C_1-C_6, haloalquilo de C_1-C_6, alquenilo de C_2-C_6, haloalquenilo de C_2-C_6, alquinilo de C_2-C_6, haloalquinilo de C_2-C_6 o $C{\equiv}CR^{10}$; o

R^3 es cicloalquilo de C_3-C_6 o cicloalquilalquilo de C_4-C_7, cada uno opcionalmente sustituido con 1 a 4 sustituyentes independientemente seleccionados del grupo que consiste de halógeno, alquilo de C_1-C_2, 1 ciclopropilo y 1 CF_3; o

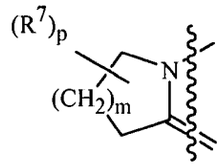
R^3 es fenilo, naftalenilo o un anillo heteroaromático de 5 ó 6 miembros, cada uno opcionalmente sustituido con 1 ó 2 sustituyentes independientemente seleccionados del grupo que consiste de halógeno, ciano, nitro, alquilo de C_1-C_4, alquenilo de C_2-C_4, alquinilo de C_2-C_4, haloalquilo de C_1-C_4, alquilcarbonilo de C_2-C_4, haloalquilcarbonilo de C_2-C_4, alcoxicarbonilo de C_2-C_4, alquilaminocarbonilo de C_2-C_4, dialquilaminocarbonilo de C_3-C_7, alcoxi de C_1-C_4, haloalcoxi de C_1-C_4, alcoxialquilo de C_2-C_6, $S(O)_nR^{12}$, alquilamino de C_1-C_4 y dialquilamino de C_2-C_6;

R^4 es alquilo de C_1-C_6, haloalquilo de C_1-C_6, alquenilo de C_2-C_6, haloalquenilo de C_2-C_6, alquinilo de C_2-C_6, haloalquinilo de C_2-C_6 o $C{\equiv}CR^{10}$; o

R^4 es cicloalquilo de C_3-C_6 o cicloalquilalquilo de C_4-C_7, cada uno opcionalmente sustituido con 1 a 4 sustituyentes independientemente seleccionados del grupo que consiste de halógeno, alquilo de C_1-C_2, 1 ciclopropilo y 1 CF_3; o

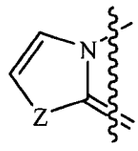
R^4 es fenilo, naftalenilo o un anillo heteroaromático de 5 ó 6 miembros, cada uno opcionalmente sustituido con 1 ó 2 sustituyentes independientemente seleccionados del grupo que consiste de halógeno, ciano, nitro, alquilo de C_1-C_4, alquenilo de C_2-C_4, alquinilo de C_2-C_4, haloalquilo de C_1-C_4, alquilcarbonilo de C_2-C_4, haloalquilcarbonilo de C_2-C_4, alcoxicarbonilo de C_2-C_4, alquilaminocarbonilo de C_2-C_4, dialquilaminocarbonilo de C_3-C_7, alcoxi de C_1-C_4, haloalcoxi de C_1-C_4, alcoxialquilo de C_2-C_6, $S(O)_nR^{12}$, alquilamino de C_1-C_4 y dialquilamino de C_2-C_6; o

R^3 y R^4 se toman juntos con los átomos de nitrógeno y carbono de enlace contiguo para formar un anillo opcionalmente sustituido **R-1**



R-1

o un anillo aromático opcionalmente sustituido **R-2**



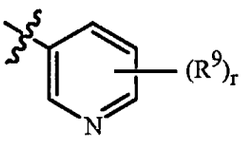
R-2 ;

Z es $C(R^8)=C(R^8)$;

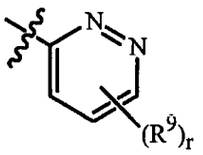
R^5 es H, F, Cl, ciano o alquilo de C_1-C_4;

R^6 es H, F, Cl y CH_3;

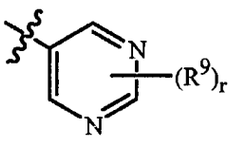
Q es



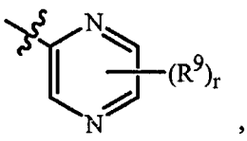
Q-1a



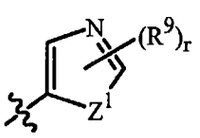
Q-2a



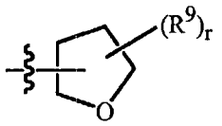
Q-3a



Q-4a



o



;

Q-5a

Q-6a

Z^1 es O, S o NR^{14};

R^7 es H, halógeno, ciano, CF_3, alquilo de C_1-C_3 o cicloalquilo de C_3-C_6;

cada R^8 es independientemente H o F;

cada R^9 es independientemente H, halógeno, ciano, nitro, 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, alcoxi de C_1-C_4, haloalcoxi de C_1-C_4, alquilcarbonilo de C_2-C_4, haloalquilcarbonilo de C_2-C_4, alcoxicarbonilo de C_2-C_4, haloalcoxicarbonilo de C_2-C_4, $C(O)NH_2$, alquilaminocarbonilo de C_2-C_4, dialquilaminocarbonilo de C_3-C_7, haloalquilaminocarbonilo de C_2-C_4, halodialquilaminocarbonilo de C_3-C_7 o $S(O)_nR^{12}$; o

cada R^9 es independientemente cicloalquilo de C_3-C_6 o cicloalquilalquilo de C_4-C_7, cada uno opcionalmente sustituido con 1 a 4 sustituyentes seleccionados del grupo que consiste de halógeno, alquilo de C_1-C_2, 1 ciclopropilo y 1 CF_3;

cada R^{10} es independientemente $Si(R^{11})_3$; o fenilo opcionalmente sustituido con halógeno, ciano, nitro, alquilo de C_1-C_4, alquenilo de C_2-C_4, alquinilo de C_2-C_4, haloalquilo de C_1-C_4, alquilcarbonilo de C_2-C_4, haloalquilcarbonilo de C_2-C_4, alcoxicarbonilo de C_2-C_4, alquilaminocarbonilo de C_2-C_4, dialquilaminocarbonilo de C_3-C_7, alcoxialquilo de C_2-C_6, alcoxi de C_1-C_4, haloalcoxi de C_1-C_4, $S(O)_nR^{12}$, alquilamino de C_1-C_4 y dialquilamino de C_2-C_6;

cada R^{11} es independientemente alquilo de C_1-C_4;

cada R^{12} es independientemente alquilo de C_1-C_4 o haloalquilo de C_1-C_4;

R1 es alquilo de C_1-C^{14};

cada R^{15} es independientemente alquilo de C_1-C_4;

cada R^{16} es independientemente H o alquilo de C_1-C_4;

cada R^{17} es independientemente H o F;

m es 1, 2 ó 3;

cada n es independientemente 0, 1 ó 2;

p es 0, 1, 2, 3 ó 4;

cada R es independientemente 0, 1 ó 2; y

v es 1 ó 2;

siempre y cuando (a) R^2 es $CH_2CH=CH_2$, R^3 y R^4 se toman juntos para formar un anillo carbocíclico **R-2**, Z es CH=CH, X es O y Y es O, entonces R^1 es distinto de H, $CH(CH_3)_2$, $CH_2CH_2CH_2CH_3$, $CH_2CH=CH_2$ o fenilo insustituido; (b) cuando R^1 es H, R^3 y R^4 se toman juntos para formar un anillo carbocíclico **R-2**, Z es CH=CH, X es O y Y es O, entonces R^2 es distinto de $CH_2CH=CH_2$ o $CH_2C\equiv CH$; (c) cuando R^1 es CH_2CH_3, R^3 y R^4 se toman juntos para formar un anillo carbocíclico **R-2**, Z es CH=CH, X es O y Y es O, entonces R^2 es distinto de $CH_2(CH_2)_3CH_3$; y (d) cuando R^3 es H, R^2 y R^4 son ambos ciclohexilo, X es O y Y es O, entonces R^1 es distinto de CH_3.

Modalidad 71. Un compuesto de la Modalidad 70 en donde X es O.

Modalidad 72. Un compuesto de la Modalidad 70 en donde Y es O.

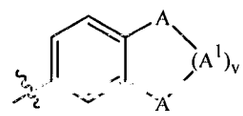
Modalidad 73. Un compuesto de la Modalidad 70 en donde R^1 es fenilo, naftalenilo o un anillo heteroaromático de 5 ó 6 miembros, cada uno opcionalmente sustituido con 1 a 3 sustituyentes independientemente seleccionados del grupo que consiste de halógeno, ciano, nitro, alquilo de C_1-C_4, alquenilo de C_2-C_4, alquinilo de C_2-C_4, haloalquilo de C_1-C_4, alquilcarbonilo de C_2-C_4, haloalquilcarbonilo de C_2-

C_4, alcoxicarbonilo de C_2-C_4, alquilaminocarbonilo de C_2-C_4, dialquilaminocarbonilo de C_3-C_7, alcoxi de C_1-C_4, haloalcoxi de C_1-C_4, alcoxialquilo de C_2-C_6, $S(O)_nR^{12}$, alquilamino de C_1-C_4 y dialquilamino de C_2-C_6.

Modalidad 74. Un compuesto de la Modalidad 73 en donde R^1 es fenilo opcionalmente sustituido con 1 ó 2 sustituyentes independientemente seleccionados del grupo que consiste de halógeno, ciano, haloalquilo de C_1-C_2, alcoxi de C_1-C_2 y haloalcoxi de C_1-C_2.

Modalidad 75. Un compuesto de la Modalidad 73 en donde R^1 es 2-, 3- ó 4-piridinilo opcionalmente sustituido con halógeno, ciano, haloalquilo de C_1-C_2, alcoxi de C_1-C_2 y haloalcoxi de C_1-C_2.

Modalidad 76. Un compuesto de la Modalidad 70 en donde R^1 es



.

Modalidad 77. Un compuesto de la Fórmula **1s** en donde R^2 es alquilo de C_2-C_6, haloalquilo de C_1-C_6, alquenilo de C_3-C_6 o haloalquenilo de C_3-C_6.

Modalidad 78. Un compuesto de la Modalidad 70 en donde R^2 es CH_2CF_3 o CR^5R^6Q.

Modalidad 79. Un compuesto de la Modalidad 78 en donde R^2 es CR^5R^6Q.

Modalidad 80. Un compuesto de la Modalidad 78 en donde R^2 es CH_2CF_3.

Modalidad 81. Un compuesto de la Modalidad 70 o Modalidad 79 en donde R^5 es H o CH_3.

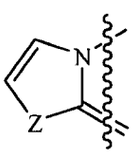
Modalidad 82. Un compuesto de la Modalidad 70 o Modalidad 79 en donde R^6 es H.

Modalidad 83. Un compuesto de la Modalidad 70 en donde Q es **Q-1a, Q-2a, Q-3a, Q-4a** o **Q-5a.**

Modalidad 84. Un compuesto de la Modalidad 83 en donde Q es **Q-1a** o **Q-5a**.

Modalidad 85. Un compuesto de la Modalidad 70 en donde R^9 es F o Cl.

Modalidad 86. Un compuesto de la Modalidad 70 en donde R^3 y R^4 se toman juntos con los átomos de nitrógeno y carbono de enlace contiguo para formar un anillo aromático opcionalmente sustituido **R-2**



• **R-2**

Modalidad 87. Un compuesto de la Modalidad 70 o Modalidad 86 en donde Z es CH=CH.

De interés son los compuestos de las Fórmulas **1**, **1r** y **1s** o cualquiera de las Modalidades 1-87 en donde X y Y son O, una composición que comprende dicho compuesto, y su uso para controlar una plaga de invertebrados.

Las modalidades de esta invención, que incluyen las Modalidades 1-87 anteriores, así como cualquier otra modalidad descrita en la presente, pueden combinarse de cualquier manera, y las descripciones de variables en las modalidades corresponden no sólo a los compuestos de las Fórmulas **1**, **1r** y **1s** sino también a los compuestos de partida y compuestos intermedios útiles para preparar los compuestos de las Fórmulas **1**, **1r** y **1s**. Además, las modalidades de esta invención, que incluyen las Modalidades 1-87 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 1-50 se ilustran mediante las siguientes modalidades:

Modalidad A. Un compuesto de Fórmula **1**, en donde

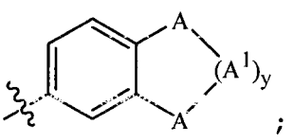
X es O;

Y es O;

R^1 es H o halógeno; o

R^1 es fenilo o un anillo heteroaromático de 6 miembros, cada uno opcionalmente sustituido con 1 a 3 sustituyentes independientemente seleccionados del grupo que consiste de halógeno, ciano, nitro, alquilo de C_1-C_4, alquenilo de C_2-C_4, alquinilo de C_2-C_4, haloalquilo de C_1-C_4, haloalquenilo de C_2-C_4, alquilcarbonilo de C_2-C_4, haloalquilcarbonilo de C_2-C_4, alcoxicarbonilo de C_2-C_4, alquilaminocarbonilo de C_2-C_4, dialquilaminocarbonilo de C_3-C_7, C(O)N-(-$CH_2Z^2CH_2$-)-, alcoxi de C_1-C_4, haloalcoxi de C_1-C_4, alcoxialquilo de C_2-C_6, $S(O)_nR^{12}$, $S(O)_2R^{13}$, alquilamino de C_1-C_4, dialquilamino de C_2-C_6, SF_5, $Si(CH_3)_3$, CHO, hidroxi, $OC(O)R^{19}$ y $N(R^{20})C(O)R^{19}$; o

R^1 es



; o

R^1 es $C(X^1)R^{18}$ o $C(=NOR^{23})R^{18}$; o

R^1 es un sistema anular bicíclico heteroaromático de 8 a 10 miembros opcionalmente sustituido en miembros del anillo de carbono con hasta 3 sustituyentes independientemente seleccionados del grupo que consiste de halógeno, ciano, nitro, SF_5,

alquilo de C_1-C_4, alquenilo de C_2-C_4, alquinilo de C_2-C_4, haloalquilo de C_1-C_4, alquilcarbonilo de C_2-C_4, haloalquilcarbonilo de C_2-C_4, alcoxicarbonilo de C_2-C_4, alquilaminocarbonilo de C_2-C_4, dialquilaminocarbonilo de C_3-C_7, C(O)N-(-$CH_2Z^2CH_2$-)-, alcoxi de C_1-C_4, haloalcoxi de C_1-C_4, alcoxialquilo de C_2-C_6, $S(O)_nR^{12}$, $S(O)_2R^{13}$, alquilamino de C_1-C_4, dialquilamino de C_2-C_6, $Si(CH_3)_3$, CHO, hidroxi, $OC(O)R^{19}$ y $N(R^{20})C(O)R^{19}$, y opcionalmente sustituido en los miembros del anillo de nitrógeno con metilo; o

R^1 es fenilo o un anillo heteroaromático de 5 ó 6 miembros, cada uno sustituido con GQ^1, cada uno opcionalmente sustituido con 1 Q^2 y cada uno opcionalmente sustituido con 1 ó 2 sustituyentes independientemente seleccionados del grupo que consiste de halógeno, ciano, nitro, SF_5, alquilo de C_1-C_4, alquenilo de C_2-C_4, alquinilo de C_2-C_4, haloalquilo de C_1-C_4, alquilcarbonilo de C_2-C_4, haloalquilcarbonilo de C_2-C_4, alcoxicarbonilo de C_2-C_4, alquilaminocarbonilo de C_2-C_4, dialquilaminocarbonilo de C_3-C_7, C(O)N-(-$CH_2Z^2CH_2$-)-, alcoxi de C_1-C_4, haloalcoxi de C_1-C_4, alcoxialquilo de C_2-C_6, $S(O)_nR^{12}$, $S(O)_2R^{13}$,

alquilamino de C_1-C_4, dialquilamino de C_2-C_6, $Si(CH_3)_3$, CHO, hidroxi, $OC(O)R^{19}$ y $N(R^{20})C(O)R^{19}$;

G es un enlace directo;

Q^1 es fenilo o un anillo heteroaromático de 5 ó 6 miembros, cada uno opcionalmente sustituido con 1 a 3 sustituyentes independientemente seleccionados del grupo que consiste de halógeno, ciano, nitro, alquilo de C_1-C_4, alquenilo de C_2-C_4, alquinilo de C_2-C_4, haloalquilo de C_1-C_4, alquilcarbonilo de C_2-C_4, haloalquilcarbonilo de C_2-C_4, alcoxicarbonilo de C_2-C_4, alquilaminocarbonilo de C_2-C_4, dialquilaminocarbonilo de C_3-C_7, $C(O)N$-(-$CH_2Z^2CH_2$-)-, alcoxi de C_1-C_4, haloalcoxi de C_1-C_4, alcoxialquilo de C_2-C_6, $S(O)_nR^{12}$, $S(O)_2R^{13}$, alquilamino de C_1-C_4, dialquilamino de C_2-C_6, SF_5, $Si(CH_3)_3$, CHO, hidroxi, $OC(O)R^{19}$ y $N(R^{20})C(O)R^{19}$;

R^2 es alquilo de C_2-C_6, haloalquilo de C_1-C_6, $CH_2CO_2R^{21}$, $CR^5R^6CH_2OR^{21}$, $CR^5R^6CH_2CH_2OR^{21}$, $CR^5R^6CH_2S(O)_nR^{21}$ o $CR^5R^6CH_2CH_2S(O)_nR^{21}$; o

R^2 es cicloalquilo de C_3-C_6 o cicloalquiloalquilo de C_4-C_7, cada uno opcionalmente sustituido con 1 a 4 sustituyentes seleccionados del grupo que consiste de halógeno, alquilo de C_1-C_2, 1 ciclopropilo y 1 CF_3; o

R^2 es CR^5R^6Q;

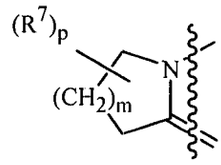
R^3 es H, alquilo de C_1-C_6, haloalquilo de C_1-C_6, alquenilo de C_2-C_6, haloalquenilo de C_2-C_6, alquinilo de C_2-C_6, haloalquinilo de C_2-C_6 o $C{\equiv}CR^{10}$; o

R^3 es cicloalquilo de C_3-C_6 o cicloalquiloalquilo de C_4-C_7, cada uno opcionalmente sustituido con 1 a 4 sustituyentes independientemente seleccionados del grupo que consiste de halógeno, alquilo de C_1-C_2, 1 ciclopropilo y 1 CF_3;

R^4 es alquilo de C_1-C_6, haloalquilo de C_1-C_6, alquenilo de C_2-C_6, haloalquenilo de C_2-C_6, alquinilo de C_2-C_6, haloalquinilo de C_2-C_6o $C{\equiv}CR^{10}$; o

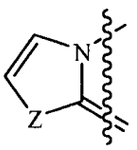
R^4 es cicloalquilo de C_3-C_6 o cicloalquiloalquilo de C_4-C_7, cada uno opcionalmente sustituido con 1 a 4 sustituyentes independientemente seleccionados del grupo que consiste de halógeno, alquilo de C_1-C_2, 1 ciclopropilo y 1 CF_3; o

R^3 y R^4 se toman juntos con los átomos de nitrógeno y carbono de enlaces contiguos para formar un anillo opcionalmente sustituido **R-1**



R-1

o anillo **R-2**



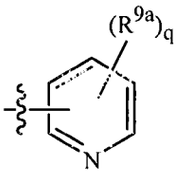
R-2 ;

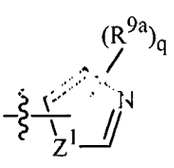
Z es $C(R^{8a})=C(R^{8b})$ o S, siempre y cuando la porción de $C(R^{8a})=C(R^{8b})$ se oriente de manera que el átomo de carbono enlazado a R^{8b} se conecte como R^3 en la Fórmula **1**;

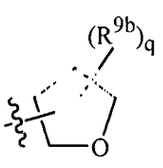
cada R^5 es independientemente H, F, Cl, ciano o alquilo de C_1-C_4;

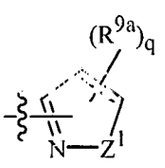
cada R^6 es independientemente H, F, Cl o CH_3; y

Q es


Q-1


Q-5


Q-6


Q-9

Modalidad B. Un compuesto de la Modalidad A, en donde

X es O; y

Y es O.

Modalidad C. Un compuesto de la Modalidad B, en donde

R^3 es alquilo de C_1-C_6 o haloalquilo de C_1-C_6; y

R^4 es alquilo de C_1-C_6 o haloalquilo de C_1-C_6.

Modalidad D. Un compuesto de la Modalidad C, en donde

R3 es CH^3; y

R3 es CH^3

Modalidad E. Un compuesto de la Modalidad B, en donde

R^3 y R^4 se toman juntos con los átomos de nitrógeno y carbono de enlace contiguos para formar un anillo opcionalmente sustituido **R-1**;

m es 2 ó 3; y

p es 0.

Modalidad F. Un compuesto de la Modalidad B, en donde

R^3 y R^4 se toman juntos con los átomos de nitrógeno y carbono de enlace contiguos para formar un anillo opcionalmente sustituido **R-2**; y

Z es CH=CH o CH=CF, siempre y cuando la porción CH=CF se oriente de manera que el átomo de carbono enlazado a F se conecte como R^3 en la Fórmula **1**.

Modalidad G. Un compuesto de la Modalidad B, en donde

R^3 y R^4 se toman juntos con los átomos de nitrógeno y carbono de enlace contiguos para formar un anillo opcionalmente sustituido **R-2**; y

Z es S.

Modalidad H. Un compuesto de cualquiera de las Modalidades C-G en donde

R^1 es H o halógeno.

Modalidad I. Un compuesto de cualquiera de las Modalidades C-G en donde

R^1 es fenilo o piridinilo, cada uno opcionalmente sustituido con 1 a 3 sustituyentes independientemente seleccionados del grupo que consiste de halógeno, ciano, nitro, alquilo de C_1-C_4, alquenilo de C_2-C_4, alquinilo de C_2-C_4, haloalquilo de C_1-C_4, haloalquenilo de C_2-C_4, alquilcarbonilo de C_2-C_4, haloalquilcarbonilo de C_2-C_4, alcoxicarbonilo de C_2-C_4, alquilaminocarbonilo de C_2-C_4, dialquilaminocarbonilo de C_3-C_7, $C(O)N$-(-$CH_2Z^2CH_2$-)-, alcoxi de C_1-C_4, haloalcoxi de C_1-C_4, alcoxialquilo de C_2-C_6, $S(O)_nR^{12}$, $S(O)_2R^{13}$, alquilamino de C_1-C_4, dialquilamino de C_2-C_6, SF_5, $Si(CH_3)_3$, CHO, hidroxi, $OC(O)R^{19}$ y $N(R^{20})C(O)R^{19}$.

Modalidad J. Un compuesto de la Modalidad I en donde

R^1 es fenilo o piridinilo, cada uno opcionalmente sustituido con 1 a 3 sustituyentes independientemente seleccionados del grupo que consiste de halógeno, ciano, alquilo de C_1-C_4, haloalquilo de C_1-C_4, alquilcarbonilo de C_2-C_4, alcoxicarbonilo de C_2-C_4, alquilaminocarbonilo de C_2-C_4, dialquilaminocarbonilo de C_3-C_7 $C(O)N$-(-$CH_2Z^2CH_2$-)-, alcoxi de C_1-C_4, haloalcoxi de C_1-C_4, alcoxialquilo de C_2-C_6, $S(O)_nR^{12}$ y $S(O)_2R^{13}$.

Modalidad K. Un compuesto de cualquiera de las Modalidades C-G en donde

R^1 es $C(X^1)R^{18}$ o $C(=NOR^{23})R^{18}$; y

X^1 es O

Modalidad L. Un compuesto de cualquiera de las Modalidades C-G en donde

R^1 es fenilo o piridinilo, cada uno sustituido con GQ^1 y además opcionalmente sustituido con 1 ó 2 sustituyentes independientemente seleccionados del grupo que consiste de halógeno, ciano, nitro, SF_5, alquilo de C_1-C_4, alquenilo de C_2-C_4, alquinilo de C_2-C_4, haloalquilo de C_1-C_4, alquilcarbonilo de C_2-C_4, haloalquilcarbonilo de C_2-C_4, alcoxicarbonilo de C_2-C_4, alquilaminocarbonilo de C_2-C_4, dialquilaminocarbonilo de C_3-C_7, $C(O)N$-(-$CH_2Z^2CH_2$-)-, alcoxi de C_1-C_4, haloalcoxi de C_1-C_4, alcoxialquilo de C_2-C_6, $S(O)_nR^{12}$, $S(O)_2R^{13}$, alquilamino de C_1-C_4, dialquilamino de C_2-C_6, $Si(CH_3)_3$, CHO, hidroxi, $OC(O)R^{19}$ y $N(R^{20})C(O)R^{19}$.

Modalidad M. Un compuesto de Modalidad L en donde

R^1 es fenilo o piridinilo, cada uno sustituido con GQ^1 y además opcionalmente sustituido con 1 ó 2 sustituyentes independientemente seleccionados del grupo que consiste de halógeno, ciano, SF_5, alquilo de C_1-C_4, haloalquilo de C_1-C_4, alquilcarbonilo de

C_2-C_4, alcoxicarbonilo de C_2-C_4, alquilaminocarbonilo de C_2-C_4, dialquilaminocarbonilo de C_3-C_7, C(O)N-(-$CH_2Z^2CH_2$-)-, alcoxi de C_1-C_4, haloalcoxi de C_1-C_4, alcoxialquilo de C_2-C_6, $S(O)_nR^{12}$ y $S(O)_2R^{13}$; y

Q^1 es fenilo o piridinilo, cada uno opcionalmente sustituido con 1 a 3 sustituyentes independientemente seleccionados del grupo que consiste de halógeno, ciano, alquilo de C_1-C_4, haloalquilo de C_1-C_4, alquilcarbonilo de C_2-C_4, alcoxicarbonilo de C_2-C_4, alquilaminocarbonilo de C_2-C_4, dialquilaminocarbonilo de C_3-C_7 C(O)N-(-$CH_2Z^2CH_2$-)-, alcoxi de C_1-C_4, haloalcoxi de C_1-C_4, alcoxialquilo de C_2-C_6, $S(O)_nR^{12}$ y $S(O)_2R^{13}$.

Modalidad N. Un compuesto de cualquiera de las Modalidades C-G en donde

R^2 es alquilo de C_2-C_6, haloalquilo de C_1-C_6 o $CR^5R^6CH_2OR^{21}$; o

R^2 es cicloalquilalquilo de C_4-C_7 opcionalmente sustituido con 1 a 4 sustituyentes seleccionados del grupo que consiste de halógeno, alquilo de C_1-C_2, 1 ciclopropilo y 1 CF_3.

Modalidad O. Un compuesto de cualquiera de las Modalidades C-G en donde

R^2 es CR^5R^6Q

Modalidad P. Un compuesto de la Modalidad O, en donde

Q es **Q-1**, **Q-5**, **Q-6** o **Q-9**;

R^5 es H o metilo;

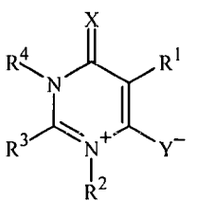
R^6 es H;

cada R^{9a} es independientemente H, halógeno, ciano, alquilo de C_1-C_4, haloalquilo de C_1-C_4, alcoxi de C_1-C_4, haloalcoxi de C_1-C_4, SF_5 o $S(O)_nR^{12}$; o C_3-C_6 cicloalquilo o cicloalquilalquilo de C_4-C_7, cada uno opcionalmente sustituido con 1 a 4 sustituyentes seleccionados del grupo que consiste de halógeno, alquilo de C_1-C_2, 1 ciclopropilo y 1 CF_3; y

cada R^{9b} es independientemente H, halógeno, ciano, alquilo de C_1-C_4, haloalquilo de C_1-C_4, alcoxi de C_1-C_4 o haloalcoxi de C_1-C_4.

Las combinaciones de las Modalidades 51-69 se ilustran mediante las siguientes modalidades:

Modalidad A1. Un compuesto de la Fórmula **1r**



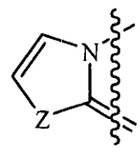
1r

en donde

X es O;

Y es O; y

R^3 y R^4 se toman juntos con los átomos de nitrógeno y carbono de enlace contiguo para formar el anillo **R-2**

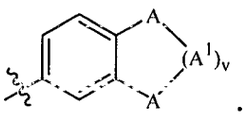


R-2

Modalidad B1. Un compuesto de la Modalidad A1 en donde

R^1 es fenilo, naftalenilo o un anillo heteroaromático de 5 ó 6 miembros, cada uno opcionalmente sustituido con 1 a 3 sustituyentes independientemente seleccionados del grupo que consiste de halógeno, ciano, nitro, alquilo de C_1-C_4, alquenilo de C_2-C_4, alquinilo de C_2-C_4, haloalquilo de C_1-C_4, alquilcarbonilo de C_2-C_4, haloalquilcarbonilo de C_2-C_4, alcoxicarbonilo de C_2-C_4, alquilaminocarbonilo de C_2-C_4, dialquilaminocarbonilo de C_3-C_7, alcoxi de C_1-C_4, alcoxi de C_1-C_4, alcoxialquilo de C_2-C_6, $S(O)_nR^{12}$, alquilamino de C_1-C_4 y dialquilamino de C_2-C_6; o

R^1 es



Modalidad C1. Un compuesto de la Modalidad B1 en donde

R^2 es alquilo de C_2-C_6, haloalquilo de C_1-C_6, alquenilo de C_3-C_6 o haloalquenilo de C_3-C_6; o

R^2 es CR^5R^6Q; y

Q es **Q-1a**, **Q-2a**, **Q-3a**, **Q-4a** o **Q-5a**.

Modalidad D1. Un compuesto de la Modalidad C1 en donde

Z es CH=CH;

R^1 es fenilo opcionalmente sustituido con 1 ó 2 sustituyentes independientemente seleccionados del grupo que consiste de halógeno, ciano, haloalquilo de C_1-C_2, alcoxi de C_1-C_2 y haloalcoxi de C_1-C_2;

R^2 es CH_2CF_3 o CR^5R^6Q;

R^5 es H o CH_3;

Q es **Q-1a** o **Q-5a**;

R^6 es H;

R^9 es F o Cl; y

r es 1.

Modalidad E1. Un compuesto de la Modalidad C1 en donde

Z es S

R^1 es fenilo opcionalmente sustituido con 1 ó 2 sustituyentes independientemente seleccionados del

grupo que consiste de halógeno, ciano, haloalquilo de C_1-C_2, alcoxi de C_1-C_2 y haloalcoxi de C_1-C_2;

R^2 es CH_2CF_3 o CR^5R^6Q;

R^5 es H o CH_3;

Q es **Q-1a** o **Q-5a**;

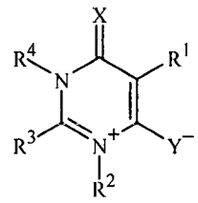
R^6 es H;

R^9 es F o Cl; y

r es 1.

Las combinaciones de las Modalidades 70-87 se ilustran por:

Modalidad A2. Un compuesto de la Fórmula **1s**



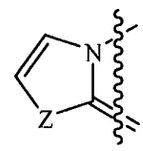
1s

en donde

X es O;

Y es O; y

R^3 y R^4 se toman juntos con los átomos de nitrógeno y carbono de enlace contiguos para formar un anillo aromático opcionalmente sustituido **R-2**

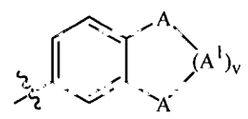


R-2

Modalidad B1. Un compuesto de la Modalidad en donde

R^1 es fenilo, naftalenilo o un anillo heteroaromático de 5 ó 6 miembros, cada uno opcionalmente sustituido con 1 a 3 sustituyentes independientemente seleccionados del grupo que consiste de halógeno, ciano, nitro, alquilo de C_1-C_4, alquenilo de C_2-C_4, alquinilo de C_2-C_4, haloalquilo de C_1-C_4, alquilcarbonilo de C_2-C_4, haloalquilcarbonilo de C_2-C_4, alcoxicarbonilo de C_2-C_4, alquilaminocarbonilo de C_2-C_4, dialquilaminocarbonilo de C_3-C_7, alcoxi de C_1-C_4, alcoxi de C_1-C_4, alcoxialquilo de C_2-C_6, $S(O)_nR^{12}$, alquilamino de C_1-C_4 y dialquilamino de C_2-C_6; o

R^1 es



Modalidad C2. Un compuesto de la Modalidad B2 en donde

R^2 es alquilo de C_2-C_6, haloalquilo de C_1-C_6, alquenilo de C_3-C_6 o haloalquenilo de C_3-C_6; o

R^2 es CR^5R^6Q; y

Q es Q-1a, Q-2a, Q-3a, Q-4a o Q-5a.

Modalidad D2. Un compuesto de la Modalidad C2 en donde

Z es CH=CH;

R^1 es fenilo opcionalmente sustituido con 1 ó 2 sustituyentes independientemente seleccionados del grupo que consiste de halógeno, ciano, haloalquilo de C_1-C_2, alcoxi de C_1-C_2 y haloalcoxi de C_1-C_2;

R^2 es CH_2CF_3 o CR^5R^6Q;

R^5 es H o CH_3;

Q es **Q-1a** o **Q-5a**;

R^6 es H;

R^9 es F o Cl; y

r es 1.

Las modalidades específicas incluyen compuestos de Fórmula **1** seleccionados del grupo que consiste de:

sal interna de 3-(2,4-difluorofenil)-2-hidroxi-4-oxo-1-(2,2,2-trifluoroetil)-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 3-(4-fluorofenil)-2-hidroxi-4-oxo-1-(2,2,2-trifluoroetil)-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 1-[(6-cloro-3-piridinil)metil]-3-(2,4-difluorofenil)-2-hidroxi-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 1-[(6-cloro-3-piridinil)metil]-3-(4-fluorofenil)-2-hidroxi-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 3-(3-clorofenil)-1-[(2-cloro-5-tiazolil)metil]-2-hidroxi-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 1-[(2-cloro-5-tiazolil)metil]-2-hidroxi-4-oxo-3-[3-(trifluorometil)fenil]-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 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]-3-(4-fluorofenil)-2-hidroxi-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*-pirido[1,2-*a*]pirimidinio;

sal interna de 1-[(2-cloro-5-tiazolil)metil]-3-(2,4-difluorofenil)-2-hidroxi-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 1-[(2-cloro-5-tiazolil)metil]-2-hidroxi-3-(4-metoxifenil)-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 1-[(2-cloro-5-tiazolil)metil]-2-hidroxi-3-(3-metoxifenil)-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 3-(4-fluorofenil)-1-[(6-fluoro-3-piridinil)metil]-2-hidroxi-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 3-(3-bromofenil)-1-[(2-cloro-5-tiazolil)metil]-2-hidroxi-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 3-(3-bromofenil)-1-[(6-cloro-3-piridinil)metil]-2-hidroxi-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 1-[(6-cloro-3-piridinil)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-4-oxo-3-[4-(trifluorometil)-2-piridinil]-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 1-[(2-cloro-5-tiazolil)metil]-3-(2-cianofenil)-2-hidroxi-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 8-[(6-cloro-3-piridinil)metil]-7-hidroxi-5-oxo-6-fenil-5*H*-tiazolo[3,2-*a*]pirimidinio;

sal interna de 8-[(6-cloro-3-piridinil)metil]-6-(4-fluorofenil)-7-hidroxi-5-oxo-5*H*-tiazolo[3,2-*a*]pirimidinio;

sal interna de 8-[(6-cloro-3-piridinil)metil]-7-hidroxi-5-oxo-6-[3-(trifluorometoxi)fenil]-5*H*-tiazolo[3,2-*a*]pirimidinio;

sal interna de 8-[(2-cloro-5-tiazolil)metil]-7-hidroxi-5-oxo-6-fenil-5*H*-tiazolo[3,2-*a*]pirimidinio;

sal interna de 8-[(2-cloro-5-tiazolil)metil]-6-(4-fluorofenil)-7-hidroxi-5-oxo-5*H*-tiazolo[3,2-*a*]pirimidinio;

sal interna de 8-[(2-cloro-5-tiazolil)metil]-7-hidroxi-5-oxo-6-[3-(trifluorometoxi)fenil]-5*H*-tiazolo[3,2-*a*]pirimidinio;

sal interna de 3-[3-(6-cloro-3-piridinil)fenil]-1-[(2-cloro-5-tiazolil)metil]-2-hidroxi-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 1-[(6-fluoro-3-piridinil)metil]-2-hidroxi-4-oxo-3-[3-(trifluorometoxi)fenil]-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 1-[(2-cloro-3-tiazolil)metil]-2-(2-fluorofenil)-5-hidroxi-9-metil-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 1-[(2-cloro-5-tiazolil)metil]-3-[2-cloro-5-(trifluorometoxi)fenil]-2-hidroxi-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 3-(4-fluorofenil)-2-hidroxi-1-[(1-metil-1*H*-pirazol-4-il)metil]-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 2-hidroxi-1-[(1-metil-1*H*-pirazol-4-il)metil]-4-oxo-3-fenil-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 1-[(2-cloro-5-tiazolil)metil]-3-(3,5-dimetoxifenil)-2-hidroxi-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 1-[(2-cloro-5-tiazolil)metil]-3-[2-fluoro-5-(trifluorometoxi)fenil]-2-hidroxi-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 3-(2-cloro-4-piridinil)-1-[(2-cloro-5-tiazolil)metil]-2-hidroxi-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 1-[(2-cloro-5-tiazolil)metil]-3-(2-fluoro-5-bromofenil)-2-hidroxi-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 1-[(2-cloro-5-tiazolil)metil]-2-hidroxi-4-oxo-3-(2,4,5-trifluorofenil)-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 3-[3-bromo-5-(trifluorometoxi)fenil]-1-[(2-cloro-5-tiazolil)metil]-2-hidroxi-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-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 1-[(2-cloro-5-tiazolil)metil]-3-[2-fluoro-5-(trifluorometil)fenil]-2-hidroxi-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 1-[(2-cloro-5-tiazolil)metil]-2-hidroxi-3-(2-metoxifenil)-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 1-[(2-cloro-5-tiazolil)metil]-3-(2-fluorofenil)-2-hidroxi-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 1-[(6-cloro-3-piridinil)metil]-3-(2-fluorofenil)-2-hidroxi-4-oxo-5*H*-tiazolo[3,2-*a*]pirimidinio;

sal interna de 2-hidroxi-4-oxo-3-fenil-1-(2,2,2-trifluoroetil)-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 3-[(6-cloro-3-piridinil)metil]-5-(4-fluorofenil)-3,6-dihydro-4-hidroxi-1,2-dimetil-6-oxopirimidinio;

sal interna de 1-[(2-cloro-5-tiazolil)metil]-3-[3-(6-fluoro-3-piridinil)-5-(trifluorometil)fenil]-2-hidroxi-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 1-[1-(6-cloro-3-piridinil)etil]-3-(4-fluorofenil)-2-hidroxi-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 1-[(2-cloro-5-tiazolil)metil]-3-(etoxicarbonil)-2-hidroxi-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 3-benzoyl-1-[(2-cloro-5-tiazolil)metil]-2-hidroxi-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 3-(2,4-difluorofenil)-1-[(6-fluoro-3-piridinil)metil]-2-hidroxi-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 1-[(6-cloro-3-piridinil)metil]-2-hidroxi-3-(3-metoxifenil)-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 1-[(6-cloro-3-piridinil)metil]-3-(2,3-difluorofenil)-2-hidroxi-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 1-[(6-cloro-3-piridinil)metil]-3-(2-fluoro-3-metoxifenil)-2-hidroxi-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 3-(3,5-dimetoxifenil)-1-[(6-fluoro-3-piridinil)metil]-2-hidroxi-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 1-[(6-fluoro-3-piridinil)metil]-2-hidroxi-4-oxo-3-[3-(trifluorometil)fenil]-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 3-(4-fluorofenil)-2-hidroxi-1-[(2-metil-5-tiazolil)metil]-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 2-hidroxi-4-oxo-3-fenil-1-[(5-tiazolil)metil]-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 3-(4-fluorofenil)-2-hidroxi-4-oxo-1-[(5-tiazolil)metil]-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 3-(2-fluorofenil)-1-[(6-fluoro-3-piridinil)metil]-2-hidroxi-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 1-[(6-cloro-3-piridinil)metil]-3-[2-cloro-5-(trifluorometil)fenil]-2-hidroxi-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 3-(2-fluoro-4-cianofenil)-1-[(6-fluoro-3-piridinil)metil]-2-hidroxi-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 1-[(6-fluoro-3-piridinil)metil]-3-[2-fluoro-5-(trifluorometil)fenil]-2-hidroxi-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio; y

sal interna de 1-[(2-cloro-5-tiazolil)metil]-2-hidroxi-4-oxo-3-[3-(6-trifluorometil-3-piridinil)fenil]-4*H*-pirido[1,2-*a*]pirimidinio.

Es de interés 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.

Es de particular interés, 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.

También son de interés 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 éstas, y por lo menos un componente adicional seleccionado del grupo que consiste de un surfactante, un diluyente sólido y un diluyente líquido; las composiciones

también comprenden, opcionalmente, por lo menos un compuesto o agente adicional biológicamente activo.

También se deben mencionar como modalidades de la presente invención las composiciones útiles para controlar una plaga invertebrada que comprenden una cantidad biológicamente eficaz de un compuesto de cualquiera de las modalidades anteriores, además de cualquier otra modalidad descrita en la presente, y cualquier combinación de éstas, y al menos un componente adicional seleccionado del grupo que consiste de un surfactante, un diluyente sólido y un diluyente líquido; esas composiciones también comprenden opcionalmente una cantidad biológicamente eficaz de al menos un agente o compuesto adicional biológicamente activo.

Las modalidades de la invención también incluyen una composición para proteger un animal que comprende un compuesto (es decir en una cantidad eficaz como parasiticida) de cualquiera de las modalidades precedentes y un vehículo.

Las modalidades de la invención también incluyen métodos 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 cualquiera de las modalidades anteriores (por ejemplo, como una composición descrita en la presente). Es de particular interés 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).

Las modalidades de la invención también incluyen una composición que comprende un compuesto de cualquiera de las modalidades anteriores, en la forma de una formulación líquida para impregnación del suelo. Las modalidades de la invención también incluyen 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.

Algunas modalidades de la presente invención también incluyen una composición atomizable para controlar una plaga invertebrada; la composición comprende una cantidad biológicamente eficaz de un compuesto de cualquiera de las modalidades anteriores y un propulsor. Algunas modalidades de la presente invención también incluyen una composición de cebo para controlar una plaga invertebrada; la composición comprende una cantidad biológicamente eficaz de un compuesto de cualquiera de las modalidades anteriores, uno o más materiales alimenticios, opcionalmente un agente atrayente, y opcionalmente un humectante. Las modalidades de la invención también incluyen 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 también incluyen 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).

Las modalidades de la invención también incluyen métodos para proteger un animal de una plaga de parásitos invertebrados, los métodos comprenden administrar al animal una cantidad eficaz como parasiticida de un compuesto de cualquiera de las modalidades precedentes.

Las modalidades de la invención también incluyen los métodos para controlar una plaga de invertebrados que comprende contactar la plaga de invertebrados o su hábitat con una cantidad biológicamente eficaz de un compuesto de la Fórmula **1**, un *N*-óxido o una sal de éste, (por ejemplo, como una composición descrita en la presente), siempre y cuando

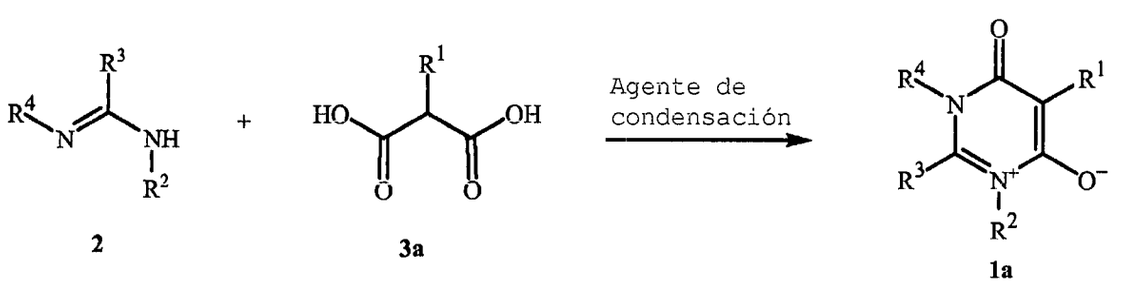
los métodos no sean métodos de tratamiento médico de un cuerpo humano o animal por terapia.

Esta invención también se relaciona con los métodos en donde la plaga de invertebrados o su hábitat 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 éste, y al menos un componente adicional seleccionado del grupo que consiste de surfactantes, diluyentes sólidos y diluyentes líquidos, dicha composición comprende además, opcionalmente, una cantidad biológicamente eficaz de al menos un agente o compuesto biológicamente activo adicional, siempre y cuando los métodos no sean métodos de tratamiento de un cuerpo humano o animal mediante terapia.

Pueden usarse uno o más de los siguientes métodos y variantes, tal como se describe en los Esquemas de reacción 1-19, para preparar los compuestos de la Fórmula **1**. Las definiciones de R^1, R^2, R^3, R^4, R^9, R^{10}, R^{18}, R^{23}, X y Y en los compuestos de las Fórmulas **1-22** a continuación son como los definidos anteriormente en la Breve descripción de la invención, a menos que se especifique de otra forma. Las Fórmulas **1a-11** son varios subconjuntos de la Fórmula **1**, y todos los sustituyentes para las Fórmulas **1a-11** son como se definieron anteriormente para la Fórmula **1** a menos que se indique de otra manera. La temperatura ambiente o del local se define como de aproximadamente 20-25 °C.

Los compuestos de la Fórmula **1a** (es decir la Fórmula **1** en donde X y Y son O) se pueden preparar por condensación de los compuestos de la Fórmula **2** apropiadamente sustituidos con ácidos malónicos (**3a**) opcionalmente sustituidos en presencia de agentes de condensación como se muestra en el Esquema de reacción 1. Los agentes de condensación pueden ser carbodiimidas tal como diciclohexil carbodiimida (ver, por ejemplo, Koch, A. et al. *Tetrahedron* **2004**, *60*, 10011-10018) u otros agentes bien conocidos en la técnica para formar enlaces de amida con o sin agentes de activación tal como *N*-hidroxibenzotriazol como se describe en *Science of Synthesis* **2005**, *21*, 17-25 y *Tetrahedron* **2005**, *61*, 10827-10852. Esta reacción es típicamente llevada a cabo en un solvente orgánico inerte, tal como diclorometano o 1,2-dicloroetano, a temperaturas desde aproximadamente 0 hasta aproximadamente 80 °C por un periodo desde 10 min a varios días.

Esquema 1

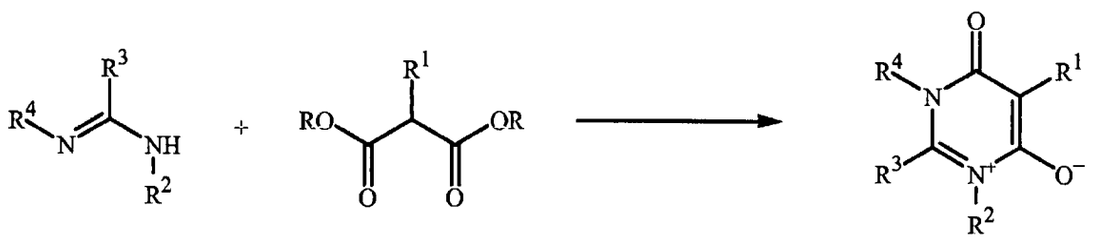


2 **3a** **1a**

Los compuestos de la Fórmula **1a** se pueden también preparar por la condensación de los compuestos de la Fórmula **2** con ésteres del ácido malónico (**3b**) en donde R es un grupo alquilo de C_1-C_5, de preferencia un grupo alquilo de C_1-C_4, como se muestra en el Esquema de reacción 2. Estas reacciones se pueden realizar solas o en presencia de solventes inertes como se describe en *Bulletin of the Chemical Society of Japan* **1999**, *72*(3), 503-509. Los solventes inertes incluyen, pero sin limitarse a, los hidrocarburos de alto punto de ebullición tales como mesitileno, tetralina o cimeno, o los éteres de alto punto de ebullición tal como el éter de difenilo. Las temperaturas típicas se encuentran en el intervalo de 50 a 250 °C. Cabe destacar las temperaturas de 150 a 200 °C, las cuales típicamente proporcionan tiempos de reacción rápidos y altos rendimientos. 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 min a varias horas.

Los compuestos de la Fórmula **3a** se pueden preparar 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** se pueden preparar por arilación de ésteres de malonato catalizados por paladio (*J. Org. Chem* **2002**, *67*, 541-555) o Copper (*Org. Lett.* **2002**, *4*, 269-272 y *Org. Lett.* **2005**, 7, 4693-4695).

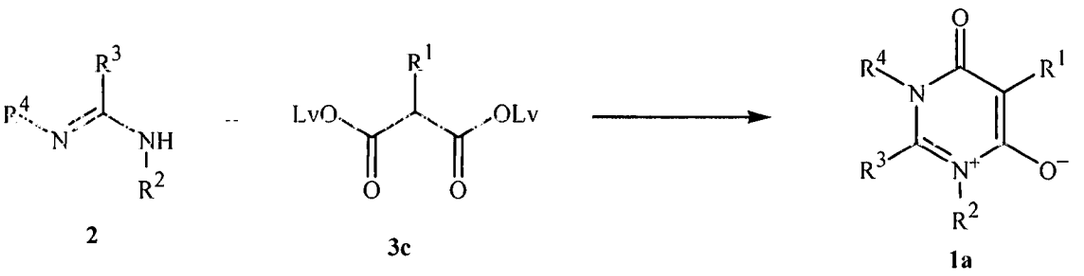
Esquema 2



2 **3b** (R es alquilo C_1-C_5) **1a**

Los compuestos de la Fórmula **1a** se pueden también preparar por el tratamiento de los compuestos de la Fórmula **2** con ésteres activados de la Fórmula **3c** en donde LvO es un grupo de salida activado como se muestra en el Esquema de reacción 3. Los ejemplos de Lv preferidos para facilitar la síntesis o la reactividad son 2,4,6-triclorofenilo, pentaclorofenilo o pentafluorofenilo como se describe en *Archiv der Pharmazie* (Weinheim, Alemania) **1991**, *324*, 863-6. Otros ésteres activados son bien conocidos en la técnica e incluyen, pero sin limitarse 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. Cabe destacar las temperaturas de 50 a 150 °C, las cuales típicamente proporcionan tiempos de reacción rápidos y altos rendimientos. 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 min a 2 h.

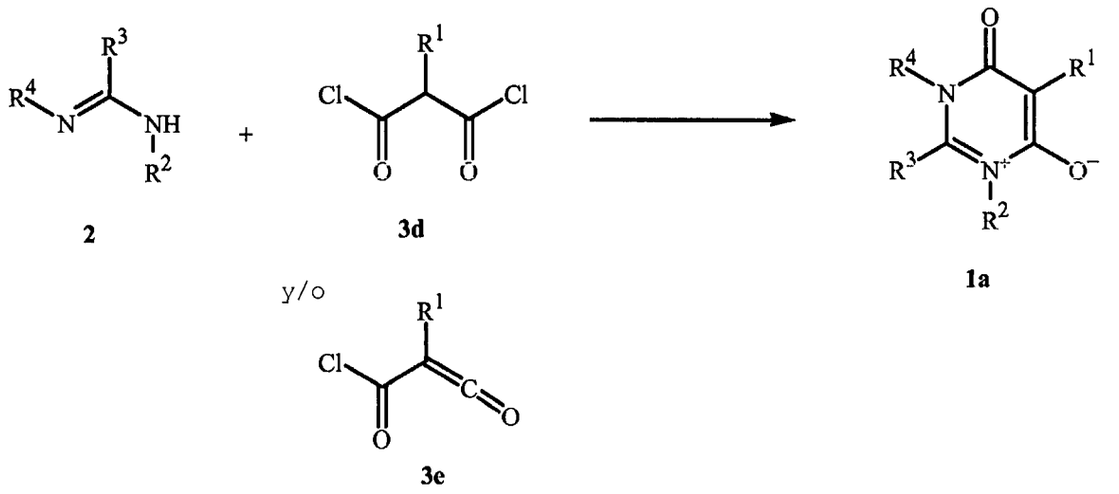
Esquema 3



Los compuestos de la Fórmula **3c** se pueden preparar, por ejemplo, a partir de los compuestos de la Fórmula **3a** (ver, por ejemplo, *J. Het. Chem.* **1980**, *17*, 337).

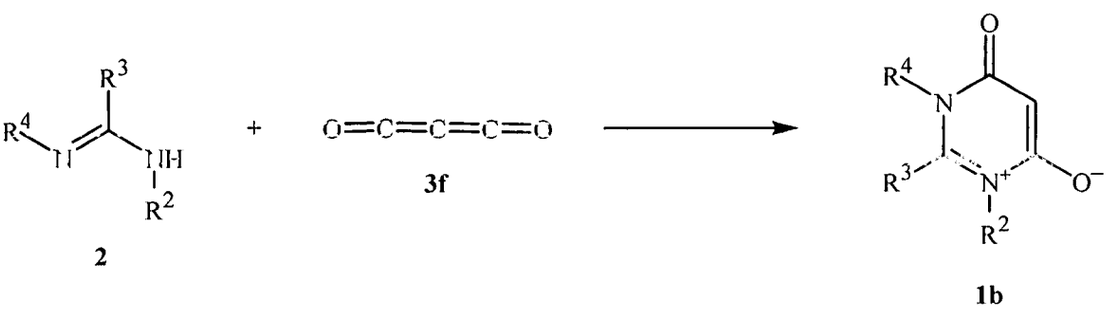
Los compuestos de la Fórmula **1a** se pueden también preparar por condensación de los compuestos de la Fórmula **2** con los compuestos de las Fórmulas **3d** o **3e**, o por condensación de los compuestos de la Fórmula **2** con las mezclas de los compuestos de las Fórmulas **3d** y **3e** como se muestra en el Esquema de reacción 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), 222-33). Los aceptores ácidos típicos incluyen, pero sin limitarse a, trietilamina, *N,N*-diisopropiletilamina, piridina y piridinas sustituidas.

Esquema 4



Los compuestos de la Fórmula **1b** (es decir La Fórmula **1a** en donde R^1 es H) se puede preparar por condensación de los compuestos de la Fórmula **2** con subóxido de carbono (**3f**) (ver, por ejemplo, *J. Org. Chem.* **1972**, 37(9), 1422-5) como se muestra en el Esquema de reacción 5. Las reacciones son realizadas típicamente en un solvente inerte tal como éter y pueden incluir el uso de un catalizador tal como $AlCl_3$.

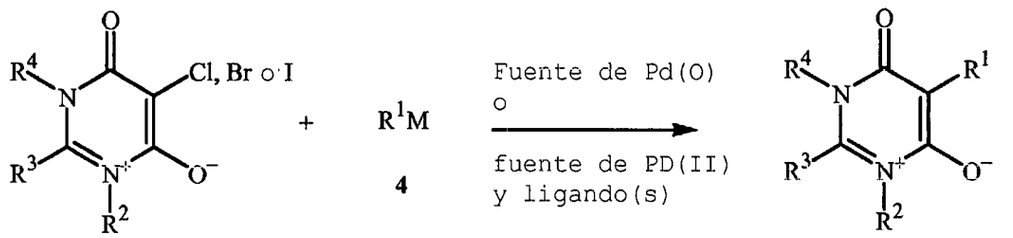
Esquema 5



Los compuestos de la Fórmula **2** se pueden preparar 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), 4315-21; Ife, R. et al. *European Journal of Medicinal Chemistry* **1989**, *24*(3), 249-57; Wagaw, S.; Buchwald, S. *Journal of Organic Chemistry* **1996**, *61*(21), 7240-7241; Shen, Q. et al. *Angewyte Chemie, International Edition* **2005**, *44*(9), 1371-1375; y Okano, K. et al. *Organic Letters* **2003**, *5*(26), 4987-4990.

Los compuestos de la Fórmula **1a** en donde R^1 es $CR^{24}=C(R^{24})R^{10}$, fenilo opcionalmente sustituido, naftalenilo, un anillo heteroaromático de 5 ó 6 miembros o un sistema anular bicíclico heteroaromático de 8 a 10 miembros se puede preparar a partir de los compuestos de la Fórmula **1d** (es decir, Fórmula **1** en donde R^1 es Cl, Br o I, de preferencia en donde R^1 es Br o I) y los compuestos de la Fórmula **4** en donde M con R^1 forma un ácido borónico, éster del ácido borónico o sal de trifluoroborato, o M es trialquilestannilo o zinc y R^1 es $CR^{24}=C(R^{24})R^{10}$, fenilo opcionalmente sustituido, naftalenilo, un anillo heteroaromático de 5 ó 6 miembros o un sistema anular bicíclico heteroaromático de 8 a 10 miembros como se muestra en el Esquema de reacción 6.

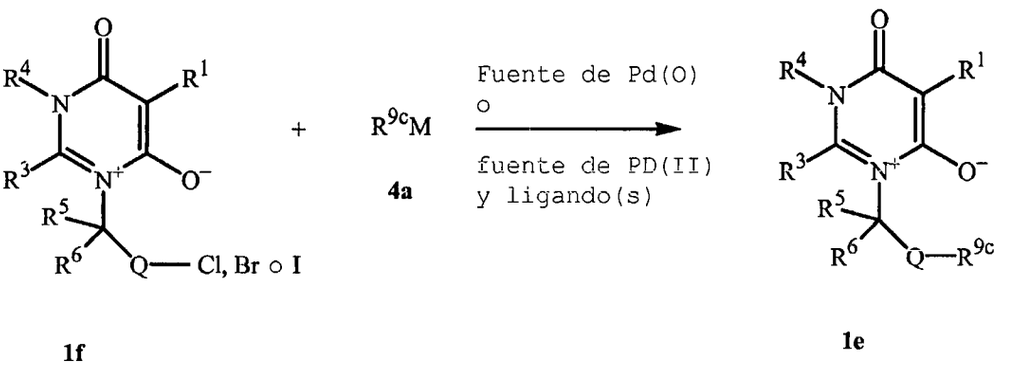
Esquema 6



1d 1a

Los compuestos de la Fórmula **1e** (es decir, la Fórmula **1a** en donde R^2 es CR^5R^6Q, y Q es un heterociclo sustituido con un fenilo o un anillo heteroaromático de 5 ó 6 miembros) se pueden preparar a partir de los compuestos de la Fórmula **1f** y los compuesto de la Fórmula **4a** en donde M con R^{9c} forma un ácido borónico, éster del ácido borónico o sal de trifluoroborato, o M es trialquilestannilo o zinc y R^{9c} es un fenilo o un anillo heteroaromático de 5 ó 6 miembros como se muestra en el Esquema de reacción 7.

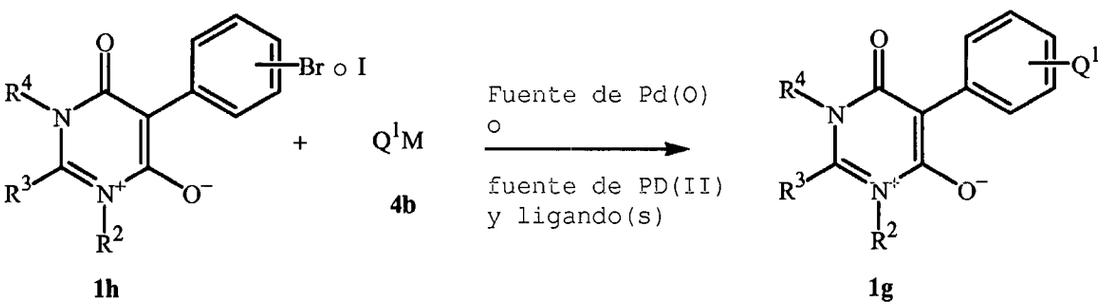
Esquema 7



1f 1e

Los compuestos de la Fórmula **1g** (es decir, la Fórmula **1a** en donde R^1 es fenilo o piridilo sustituido con GQ^1 y G es un enlace directo) se pueden preparar a partir de los compuestos de la Fórmula **1h** (es decir, la Fórmula **1a** en donde R^1 es fenilo sustituido con Br o I) y los compuestos de la Fórmula **4b** en donde M con Q^1 forma un ácido borónico, éster del ácido borónico o sal de trifluoroborato, o M es trialquilestannilo o zinc, y Q^1 es un fenilo o anillo heteroaromático de 5 ó 6 miembros) como se muestra en el Esquema de reacción 8.

Esquema 8



1h **1g**

Las reacciones de los Esquemas de reacción 6, 7 y 8 típicamente se llevan a cabo en presencia de un catalizador de paladio y una base opcionalmente en una atmósfera inerte. El catalizador de paladio que se usa para las reacciones de los Esquemas de reacción 6, 7 y 8 típicamente comprende paladio en un estado de oxidación formal de 0 (es decir, Pd(0)) o 2 (es decir, Pd(II)). Una amplia variedad de los

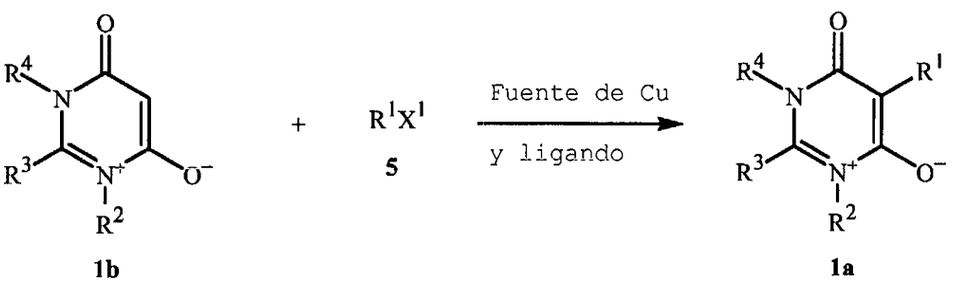
compuestos y complejos que contienen paladio son útiles como catalizadores para estas reacciones. Los ejemplos de compuestos y complejos que contienen paladio útiles como catalizadores en los métodos de los Esquemas de reacción 7, 8 y 9 incluyen $PdCl_2(PPh_3)_2$ (dicloruro de bis(trifenilfosfina)paladio (II)), $Pd(PPh_3)_4$ (tetrakis(trifenilfosfina)paladio(0)), $Pd(C_5H_7O_2)_2$ (acetilacetonato de paladio(II)), $Pd_2(dba)_3$ (tris(dibencilidenoacetona)dipaladio(0)), y [1,1'-bis(difenilfosfino)ferroceno]dicloropaladio(II). En general, los Esquemas de reacción 6, 7 y 8 se realizan en una fase líquida y, por consiguiente, para la máxima eficacia, de preferencia, el catalizador de paladio tiene 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 los Esquemas de reacción 6, 7 y 8 pueden conducirse en un amplio intervalo de temperaturas, que van de aproximadamente 25 a aproximadamente 200 °C. De particular interés son las temperaturas de aproximadamente 60 a aproximadamente 150 °C que, en general, proporcionan tiempos de reacción rápidos y rendimientos del 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 cinc respectivamente son bien conocidos en la literatura; ver, por ejemplo, E. Negishi, *Handbook of Organopalladium Chemistry for Organic Synthesis*, Wiley-Interscience, **2002**, Nueva York, Nueva York.

Los compuestos de la Fórmula **1a** en donde R^1 es fenilo opcionalmente sustituido, naftalenilo, un anillo heteroaromático de 5 ó 6 miembros o un sistema anular bicíclico heteroaromático de 8 a 10 miembros se pueden preparar a partir de los compuestos de la Fórmula **1b** (es decir, la Fórmula **1a** en donde R^1 es H) y los compuestos de la Fórmula **5** en donde X^1 es Cl, Br o I (de preferencia en donde X^1 es Br o I) como se muestra en el Esquema de reacción 9.

Esquema 9



1b **1a**

Estas reacciones son típicamente llevadas a cabo en presencia de un catalizador de cobre opcionalmente en una atmósfera inerte. Los catalizadores de cobre usados para el presente método típicamente comprenden cobre en forma metálica (por ejemplo, como un polvo) o cobre en un estado de oxidación

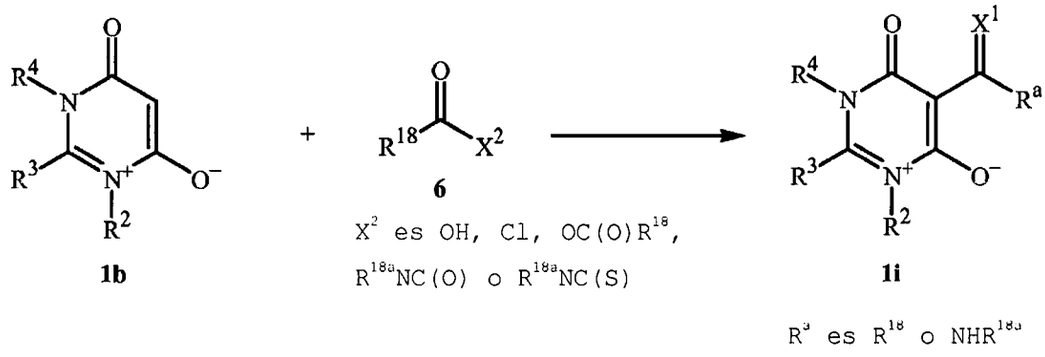
formal de 1 (es decir, Cu(I)). Los ejemplos de compuestos que contienen cobre útiles como catalizadores en el método del Esquema de reacción 9 incluyen, pero sin limitarse a, Cu, CuI, CuBr, CuC. Los solventes útiles para el método del Esquema de reacción 9 incluyen, por ejemplo, éteres tal como 1,4-dioxano, amidas tal como *N*,*N*-dimetilacetamida y sulfóxido de dimetilo.

El método del Esquema de reacción 9 se puede realizar en un amplio intervalo de temperaturas de 25 a 200 °C. Cabe destacar las temperaturas de 40 a 150 °C. El método del Esquema de reacción 9 se puede realizar en presencia de un ligando. Una amplia variedad esos compuestos que se enlazan al cobre son útiles como ligandos para el presente método. Los ejemplos de ligandos útiles incluyen, pero sin limitarse a, 1,10-fenantrolina, *N*,*N*-dimetiletilenodiamina, L-prolina y ácido 2-picolínico. Los procedimientos y métodos generales para las reacciones de acoplamiento de tipo Ullmann catalizadas con cobre son bien conocidos en la literatura; ver, por ejemplo, Xie, Ma, et al. *Org. Lett.* **2005**, 7, 4693-4695.

Los compuestos de la Fórmula **1i** se pueden preparar a partir de los compuestos de la Fórmula **1b** por carbonilación con los compuestos de la Fórmula **6** como se muestra en el Esquema de reacción 10. Los ejemplos de agentes de carbonilación de la Fórmula **6** útiles en el método del Esquema de reacción 10 incluyen, pero sin limitarse a, ácidos carboxílicos alifáticos o aromáticos, anhídrido de ácido,

haluros de ácidos, isocianatos e isotiocianatos. Típicamente, la reacción se realiza en un solvente inerte, más típicamente un solvente polar tal como *N*,*N*-dimetilacetamida o 1-metil-2-pirrolidinona. La reacción es típicamente realizada a temperaturas de 0 a 180 °C, más típicamente a temperatura ambiente hasta 150. La irradiación de microondas puede ser ventajosa para calentar la mezcla de reacción.

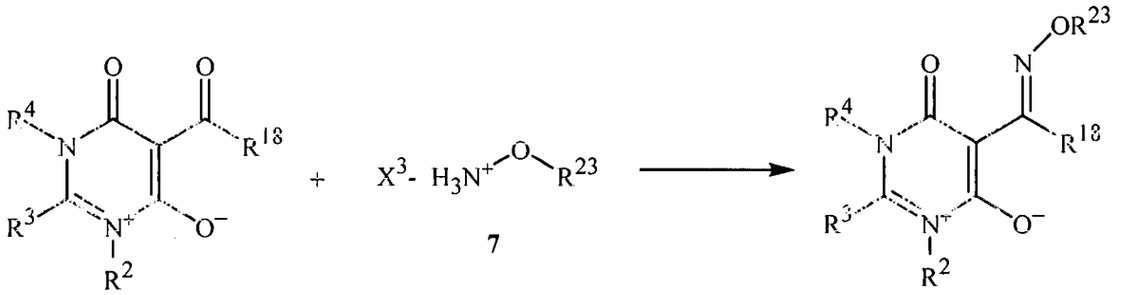
Esquema 10



Los compuestos de la Fórmula **1j** se pueden preparar por la reacción de los compuestos de la Fórmula **1i** con una sal de alcoxiamina de la Fórmula **7**, donde X^3 es un contraión tal como haluro u oxalato, como se muestra en el Esquema de reacción 11. La reacción se puede correr en un solvente alcohólico tal como etanol o propanol a temperaturas que varían de 80 °C a la temperatura de reflujo del solvente en 3 a 24 horas.

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Esquema 11

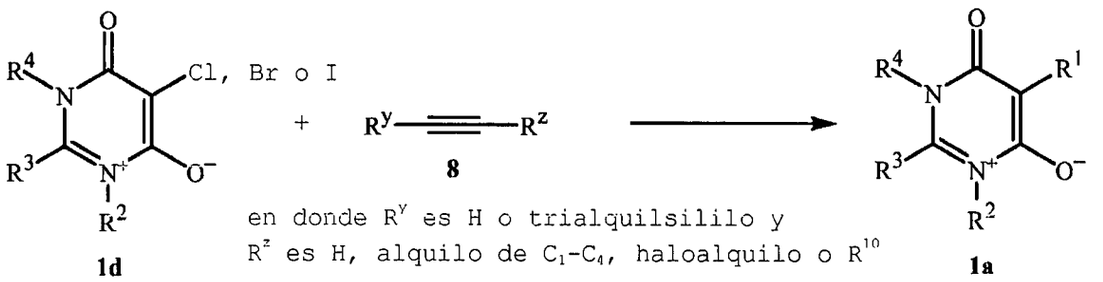


1i **7** **1j**

Los compuestos de la Fórmula **1a** en donde R^1 es $C(=NNR^{20}R^{23})R^{18}$, $C(=NNR^{20}C(O)R^{23})R^{18}$, $C(=NNR^{20}C(O)OR^{23a})R^{18}$ o $C(=NNR^{20}C(O)NR^{20}R^{23})R^{18}$ se pueden preparar a partir de los compuestos de la Fórmula **1i** y la hidrazina adecuadamente sustituida por el método mostrado en el Esquema de reacción 11.

Los compuestos de la Fórmula **1a** en donde R^1 es alquinilo de C_2-C_6, haloalquinilo de C_2-C_6 o $C{\equiv}CR^{10}$ se pueden preparar a partir de los compuestos de la Fórmula **1d** (es decir, la Fórmula **1a** en donde R^1 es Cl, Br o I) y alquinos sustituidos de la Fórmula **8** por una reacción de acoplamiento de Sonigashira como se muestra en el Esquema de reacción 12. Los acoplamientos de Sonigashira son conocidos en la literatura. Ver, por ejemplo, K. Sonogashira, *Sonogashira Alkyne Synthesis* Vol 2, pág. 493 en E. Negishi, *Handbook of Organopaladio Chemistry for Organic Synthesis*, Wiley-Interscience, **2002**, Nueva York, Nueva York.

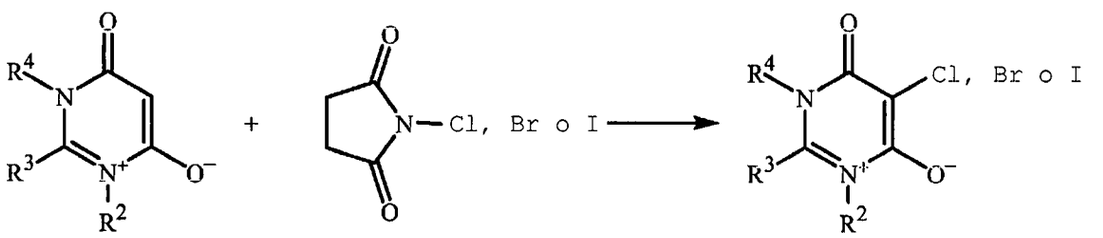
Esquema 12



1d 1a

Los compuestos de la Fórmula **1d** se pueden preparar a partir de los compuestos de la Fórmula **1b** por halogenación por medio del uso de, por ejemplo, bromuro líquido o *N*-halosuccinimidas (**9**) como se muestra en el Esquema de reacción 13. Típicamente la reacción se realiza en un solvente inerte, más típicamente un solvente halogenado tal como cloruro de metileno o 1,2-dicloroetano. La reacción es típicamente realizada a temperaturas de 0 a 80 °C, más típicamente a temperatura ambiente.

Esquema 13



1b 9 1d

Los compuestos de la Fórmula **1k** (es decir, la Fórmula **1a** en donde R^3 y R^4 se toman juntos para formar un anillo carbocíclico **R-1** opcionalmente sustituido en donde m es 2) se pueden preparar a partir de los compuestos de la Fórmula **1l** (es decir la Fórmula **1** en donde R^3 y R^4 se toman juntos para formar un anillo aromático **R-2** opcionalmente sustituido en donde Z es CH=CH) por reducción por medio del uso de hidrógeno en presencia de un catalizador de metal del grupo de platino u óxido de metal como se muestra en el Esquema de reacción 14. Típicamente, el metal del grupo de platino es platino o paladio o sus óxidos y la reducción se realiza en un solvente inerte (ver, por ejemplo, Kappe, Thomas, et al. *Heterocicles* **1995**, *40*, 681-9). Los solventes adecuados incluyen, pero sin limitarse a, metanol, etanol, tetrahidrofurano y metil t-butil éter. La reacción típicamente se realiza a temperatura ambiente y a aproximadamente 100 kPa de presión.

Esquema 14

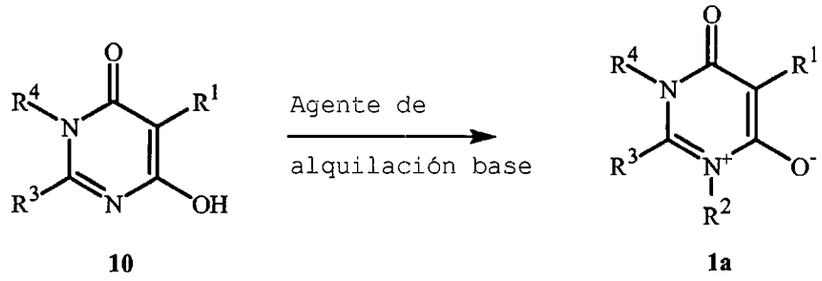


1l **1k**

Los compuestos de la Fórmula **11** se pueden preparar a partir de los compuestos de la Fórmula **2** (en donde R^3 y R^4 se toman juntos con los átomos de carbono y nitrógeno de enlace contiguos para formar el anillo **R-1** y Z es CH=CH) por los métodos mostrados en los Esquemas de reacción 1 a 5.

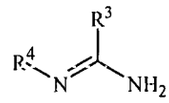
Los compuestos de la Fórmula **1a** también se pueden preparar por alquilación de los compuestos de la Fórmula **10** por medio del uso de agentes de alquilación apropiadamente sustituidos y bases tal como carbonato potásico como se muestra en el Esquema de reacción 15 (ver, por ejemplo, Kappe, T. et 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 sin limitarse 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 de reacción 15, y estas bases y solventes son bien conocidos en la técnica.

Esquema 15



10 **1a**

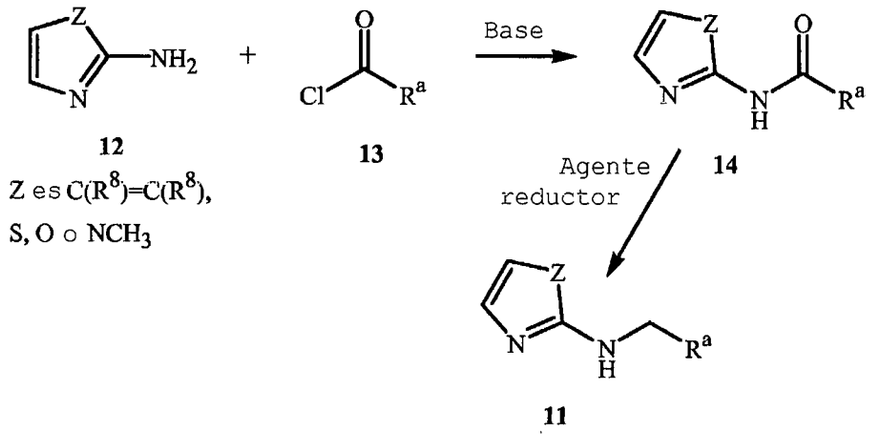
Los compuestos de la Fórmula **10** se pueden preparar a partir de los compuestos de la Fórmula **2a** por métodos análogos a aquellos mostrados en los Esquemas de reacción 1 a 5. Los compuestos de la Fórmula **2a** se encuentran comercialmente disponibles o se pueden preparar por métodos generales bien conocidos en la técnica.



2a

Una persona con experiencia en la técnica apreciará que los compuestos de la Fórmula **2** en donde R^3 y R^4 se toman juntos para formar el anillo **R**-2 y en donde R^a es el subconjunto de los sustituyentes R^2 que contiene un grupo terminal CH_2 se pueden preparar por métodos generales conocidos en la técnica. Por ejemplo, el Esquema de reacción 16 ilustra un método en donde un compuesto de la Fórmula **12** es acilado con un compuesto de la Fórmula **13** en presencia de un base adecuada. El producto intermedio resultante de la Fórmula **14** se redujo con un reactivo adecuado tal como diborano para proporcionar el compuesto de la Fórmula **11**.

Esquema 16



12

Z es $C(R^8)=C(R^8)$, S, O o NCH_3

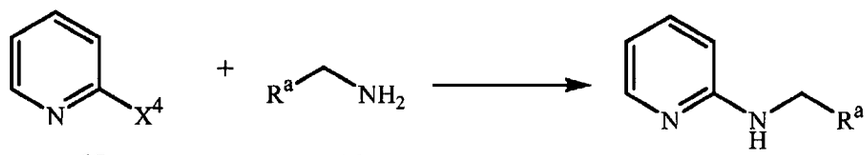
13

11

Los compuestos de la Fórmula **11a** (compuestos de la Fórmula **11** donde Z es CH=CH) se pueden preparar por reacción de desplazamiento directo de los compuestos de alfa-halopiridina de la Fórmula **15** por aminas adecuadas de la Fórmula **16** como se muestra en el Esquema de reacción 17. Los ejemplos de compuestos de alfa-halopiridina útiles en el método del Esquema de reacción 17 incluyen, pero sin limitarse a, 2-fluoropiridina y 2-cloropiridina. Los ejemplos de aminas adecuadas incluyen, pero sin limitarse a, 2,2,2-trifluoroetilamina y 5-aminometil-2-cloropiridina. Típicamente, la reacción se realiza en un solvente inerte, más típicamente un solvente polar tal como *N*,*N*-dimetilacetamida o 1-metil-2-pirrolidinona. La reacción es típicamente realizada a temperaturas de 0 a 250 °C, más típicamente a temperatura ambiente hasta 150. Alternativamente, la reacción se puede realizar en un tubo sellado en un reactor de microondas de laboratorio; las temperaturas de reacción

típicas son a partir de 200 a 240 °C. Las sales de hidrocloruro de los compuestos de la Fórmula **15** son materiales de partida adecuados para este método.

Esquema 17



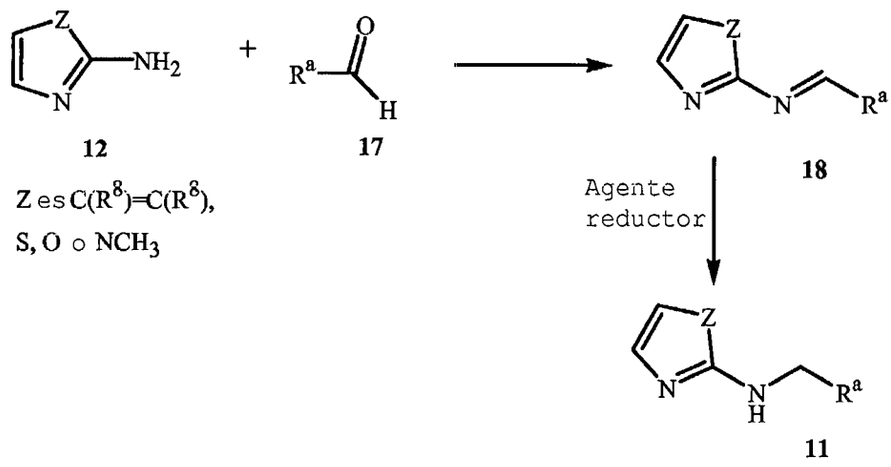
15 **16** **11a**

X^4 es halógeno

Los compuestos de la Fórmula **11** se pueden preparar por reacción de aminación reductiva entre las aminas de la Fórmula **12** y aldehídos adecuados de la Fórmula **17**. Estas reacciones se realizan en una reacción en un recipiente o por pasos a través del producto intermedio de imina de la Fórmula **18** como se muestra en el Esquema de reacción 18. Los ejemplos de compuestos de amina útiles en el método del Esquema de reacción 18 incluyen, pero sin limitarse a, 2-aminopiridina y 2-aminotiazol. Los ejemplos de aldehídos adecuados en el método del Esquema de reacción 18 incluyen, pero sin limitarse a, 6-cloronicotinaldehído. Los ejemplos de agentes reductores adecuados en el método del Esquema de reacción 18 incluyen, pero sin limitarse a, borohidruro sódico, borohidruro de zinc y cianoborohidruro de sodio. Los métodos y procedimientos generales para las reacciones de aminación

reductiva son conocidos en la literatura; ver, por ejemplo, Abdel-Magid, et al. *J. Org. Chem.* **1996**, *61(11)*, 3849-3862.

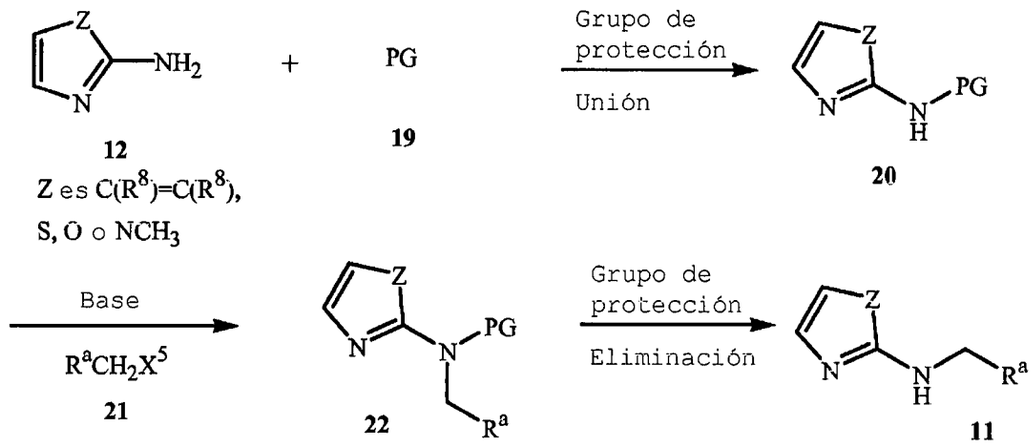
Esquema 18



Un método alternativo para la preparación de los compuestos de la Fórmula **11** se muestra en el Esquema de reacción 19. En el método del Esquema de reacción 19, los compuestos de la Fórmula **12** se protegieron con grupos de protección adecuados tal como, pero sin limitarse a, *terc*-butoxicarbonilo, acetilo o formilo para formar el producto intermedio de la Fórmula **20** en donde PG es un grupo de protección. Después, el compuesto de la Fórmula **20** se alquiló con un reactivo adecuado de la Fórmula **21** (en donde R^a es el subconjunto de los sustituyentes R^2 que contienen un grupo terminal CH_2 y X^5 es un grupo saliente tal como un halógeno) para dar un producto intermedio de la

Fórmula **22**. El grupo de protección se elimina para proporcionar un compuesto de la Fórmula **11**. 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).

Esquema 19



Los compuestos de la Fórmula **1** en donde X y/o Y son S se pueden preparar a partir de los compuestos de la Fórmula **1a** correspondientes por métodos generales conocidos en la técnica que incluyen el tratamiento con reactivos de tionación tales como P_4S_{10} o reactivo de Lawessen (2,4-bis-(4-metoxifenil)-1,3-ditia-2,4-difosfetano 2,4-disulfuro). Alternativamente, los ácidos malónicos de la Fórmula **3a** se pueden tratar con $P_2S_6(CH_3)_2$ como se describió en *J. Am.*

Chem. Soc. **1988**, *110* (4), 1316-1318. Los derivados de azufre del ácido malónico resultantes después se pueden usar para preparar los compuestos de la Fórmula **1** en donde X y/o Y son S por el método del Esquema de reacción 1.

Los Esquemas de reacción 1 a 19 ilustran los métodos para preparar los compuestos de la Fórmula **1** que tienen una variedad de sustituyentes indicados para R^1, R^2, R^3 y R^4. Los compuestos de la Fórmula **1** que tienen los sustituyentes R^1, R^2, R^3 y R^4 distintos a aquellos indicados particularmente para los Esquemas de reacción 1 a 19 se pueden preparar por métodos generales conocidos en la técnica de la química orgánica sintética, que incluyen los métodos análogos a aquellos descritos para los Esquemas de reacción 1 a 19.

Los ejemplos de productos intermedios útiles en la preparación de compuestos de esta invención se muestran en las Tablas I-1 a I-19. Las siguientes abreviaturas se usan en las Tablas que siguen: Me significa metilo, Et significa etilo y C(O)O(2,4,6-triclorofenilo) significa

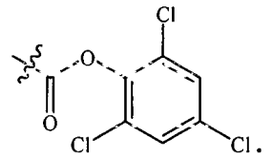
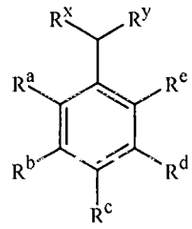


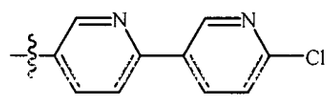
Tabla I-1



R^x es C(O)OH y R^y es H

R^a	R^b	R^c	R^d	R^e
H	H	H	H	H
F	H	H	H	H
H	F	H	H	H
H	H	F	H	H
OMe	H	H	H	H
H	OMe	H	H	H
H	H	OMe	H	H
F	F	H	H	H
F	H	F	H	H
F	H	H	F	H
F	H	H	H	F
Cl	H	H	H	H
H	Cl	H	H	H
H	H	Cl	H	H
H	Br	H	H	H
H	I	H	H	H
H	Cl	H	Cl	H

R^a	R^b	R^c	R^d	R^e
H	Cl	H	Br	H
H	Cl	H	OCF_3	H
H	Cl	H	CF_3	H
H	Br	H	Br	H
H	Br	H	OCF_3	H
H	Br	H	CF_3	H
H	H	Ciano	H	H
F	H	Ciano	H	H
H	OCF_3	H	H	H
H	CF_3	H	H	H
H	SCF_3	H	H	H
F	H	H	Cl	H
F	H	H	Br	H
F	H	H	OCF_3	H
F	H	H	CF_3	H
F	H	H	OMe	H
F	H	H	SCF_3	H
Cl	H	H	OCF_3	H
Cl	H	H	CF_3	H
H	H	H	SF_5	H
Cl	H	H	SF_5	H
H	OCF_2H	H	H	H
H	H	OCF_2H	H	H

R^a	R^b	R^c	R^d	R^e
F	F	H	F	H
F	H	F	H	F
F	H	F	F	H
F	F	F	H	H
H	OMe	H	OMe	H
F	OMe	H	H	H
OMe	H	H	Cl	H
OMe	H	H	Br	H
OMe	H	H	OCF_3	H
OMe	H	H	CF_3	H
H	CF_3	H	CF_3	H
Me	H	H	H	H
H	Me	H	H	H
H	H	Me	H	H
H	6-fluoro-3-piridinilo	H	H	H
H	6-cloro-3-piridinilo	H	H	H
H	6-bromo-3-piridinilo	H	H	H
H	6-trifluorometil-3-piridinilo	H	H	H
H		H	H	H
H	6-fluoro-3-piridinilo	H	OMe	H
H	6-cloro-3-piridinilo	H	OMe	H

R^a	R^b	R^c	R^d	R^e
H	6-bromo-3-piridinilo	H	OMe	H
H	6-trifluorometil-3-piridinilo	H	OMe	H
H	6-fluoro-3-piridinilo	H	CF_3	H
H	6-cloro-3-piridinilo	H	CF_3	H
H	6-bromo-3-piridinilo	H	CF_3	H
H	6-trifluorometil-3-piridinilo	H	CF_3	H
H	6-fluoro-3-piridinilo	H	Br	H
H	6-cloro-3-piridinilo	H	Br	H
H	6-bromo-3-piridinilo	H	Br	H
H	6-trifluorometil-3-piridinilo	H	Br	H
H	6-fluoro-3-piridinilo	H	Cl	H
H	6-cloro-3-piridinilo	H	Cl	H
H	6-bromo-3-piridinilo	H	Cl	H
H	6-trifluorometil-3-piridinilo	H	Cl	H
H	6-fluoro-3-piridinilo	OMe	H	H
H	6-cloro-3-piridinilo	OMe	H	H
H	6-bromo-3-piridinilo	OMe	H	H
H	6-trifluorometil-3-piridinilo	OMe	H	H

R^a	R^b	R^c	R^d	R^e
H	6-fluoro-3-piridinilo	F	H	H
H	6-cloro-3-piridinilo	F	H	H
H	6-bromo-3-piridinilo	F	H	H
H	6-trifluorometil-3-piridinilo	F	H	H
SCF_3	H	H	Cl	H
SCF_3	H	H	Br	H
SCF_3	H	H	OCF_3	H
SCF_3	H	H	CF_3	H
SCF_3	H	H	SCF_3	H
SCF_3	H	H	6-trifluorometil-3-piridinilo	H
SCF_3	H	H	6-fluoro-3-piridinilo	H
SCF_3	H	H	6-cloro-3-piridinilo	H
SCF_3	H	H	6-bromo-3-piridinilo	H

Tabla I-2

La Tabla I-2 se construye igual a la Tabla I-1, excepto que R^x es C(O)OMe y R^y es H.

Tabla I-3

La Tabla I-3 se construye igual a la Tabla I-1, excepto que R^x es C(O)OEt y R^y es H.

Tabla I-4

La Tabla I-4 se construye igual a la Tabla I-1, excepto que R^x es C(O)OH y R^y es C(O)OH.

Tabla I-5

La Tabla I-5 se construye igual a la Tabla I-1, excepto que R^x es C(O)OH y R^y es C(O)OMe.

Tabla I-6

La Tabla I-6 se construye igual a la Tabla I-1, excepto que R^x es C(O)OH y R^y es C(O)OEt.

Tabla I-7

La Tabla I-7 se construye igual a la Tabla I-1, excepto que R^x es C(O)OH y R^y es $C(O)OC(CH_3)_3$.

Tabla I-8

La Tabla I-8 se construye igual a la Tabla I-1, excepto que R^x es C(O)Cl y R^y es C(O)Cl.

Tabla I-9

La Tabla I-9 se construye igual a la Tabla I-1, excepto que R^x es C(O)OMe y R^y es C(O)OMe.

Tabla I-10

La Tabla I-10 se construye igual a la Tabla I-1, excepto que R^x es C(O)OEt y R^y es C(O)OEt.

Tabla I-11

La Tabla I-11 se construye igual a la Tabla I-1, excepto que R^x es $C(O)OC(CH_3)_3$ y R^y es $C(O)OC(CH_3)_3$.

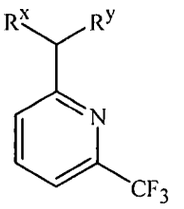
Tabla I-12

La Tabla I-12 se construye igual 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-12a

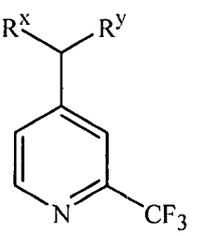
La Tabla I-12a se construye igual a la Tabla I-1, excepto que R^x es H y R^y es $C(O)OC(CH_3)_3$.

Tabla I-13



R^x	R^y	R^x	R^y
H	C(O)OH	C(O)OMe	C(O)OMe
H	C(O)OMe	C(O)OEt	C(O)OEt
H	C(O)OEt	$C(O)OC(CH_3)_3$	$C(O)OC(CH_3)_3$
C(O)OH	C(O)OH	C(O)OH	C(O)OMe
C(O)Cl	C(O)Cl	C(O)OH	C(O)OEt
C(O)O(2,4,6-triclorofenilo)	C(O)O(2,4,6-triclorofenilo)	C(O)OH	$C(O)OC(CH_3)_3$
H	$C(O)OC(CH_3)_3$		

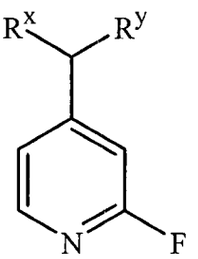
Tabla I-14



R^x	R^y	R^x	R^y
H	C(O)OH	C(O)OMe	C(O)OMe
H	C(O)OMe	C(O)OEt	C(O)OEt

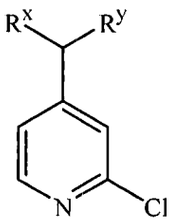
R^x	R^y	R^x	R^y
H	C(O)OEt	$C(O)OC(CH_3)_3$	$C(O)OC(CH_3)_3$
C(O)OH	C(O)OH	C(O)OH	C(O)OMe
C(O)Cl	C(O)Cl	C(O)OH	C(O)OEt
C(O)O(2,4,6-triclorofenilo)	C(O)O(2,4,6-triclorofenilo)	C(O)OH	$C(O)OC(CH_3)_3$
H	$C(O)OC(CH_3)_3$		

Tabla I-15



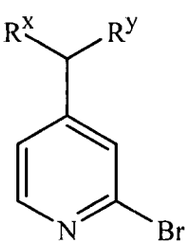
R^x	R^y	R^x	R^y
H	C(O)OH	C(O)OMe	C(O)OMe
H	C(O)OMe	C(O)OEt	C(O)OEt
H	C(O)OEt	$C(O)OC(CH_3)_3$	$C(O)OC(CH_3)_3$
C(O)OH	C(O)OH	C(O)OH	C(O)OMe
C(O)Cl	C(O)Cl	C(O)OH	C(O)OEt
C(O)O(2,4,6-triclorofenilo)	C(O)O(2,4,6-triclorofenilo)	C(O)OH	$C(O)OC(CH_3)_3$
H	$C(O)OC(CH_3)_3$		

Tabla I-16



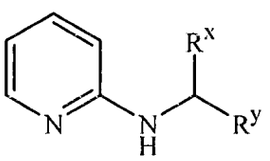
R^x	R^y	R^x	R^y
H	C(O)OH	C(O)OMe	C(O)OMe
H	C(O)OMe	C(O)OEt	C(O)OEt
H	C(O)OEt	$C(O)OC(CH_3)_3$	$C(O)OC(CH_3)_3$
C(O)OH	C(O)OH	C(O)OH	C(O)OMe
C(O)Cl	C(O)Cl	C(O)OH	C(O)OEt
C(O)O(2,4,6-triclorofenilo)	C(O)O(2,4,6-triclorofenilo)	C(O)OH	$C(O)OC(CH_3)_3$
H	$C(O)OC(CH_3)_3$		

Tabla I-17



R^x	R^y	R^x	R^y
H	C(O)OH	C(O)OMe	C(O)OMe
H	C(O)OMe	C(O)OEt	C(O)OEt
H	C(O)OEt	$C(O)OC(CH_3)_3$	$C(O)OC(CH_3)_3$
C(O)OH	C(O)OH	C(O)OH	C(O)OMe
C(O)Cl	C(O)Cl	C(O)OH	C(O)OEt
C(O)O(2,4,6-triclorofenilo)	C(O)O(2,4,6-triclorofenilo)	C(O)OH	$C(O)OC(CH_3)_3$
H	$C(O)OC(CH_3)_3$		

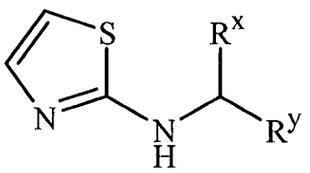
Tabla I-18



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

R^x	R^y	R^x	R^y
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

Tabla I-19



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

R^x	R^y	R^x	R^y
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

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 un experto en síntesis de sustancias químicas (véase, por ejemplo, Greene, T. W.; Wuts, P. G. M. *Protective Groups in Organic Synthesis*, 2da 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 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 también reconocerá que los compuestos de Fórmula **1** y los intermedios descritos en la presente pueden someterse a varias reacciones electrofílicas, nucleofílicas, radicales, organometálicas, de 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 del 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. Los espectros ^{1}H 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 2-hidroxi-4-oxo-3-fenil-1-(2,2,2-trifluoroetil)-4*H*-pirido[1,2-*a*]-pirimidinio

Se calentó una mezcla de dietil fenilmalonato (0,62 g, 2,7 mmol) y *N*-(2,2,2-trifluoroetil)-2-piridinamina (0,87 g, 2,7 mmol, preparada por el método de Bissell, E. R.; Swanslger, R. W. *J. Chem. Eng. Fecha.* **1981**, *26*, 234-235) a

180 °C durante 2 h. Después de enfriar, la mezcla de reacción se purificó por cromatografía en gel de sílice por elución con acetato de etilo para proporcionar el compuesto del título (compuesto número 7), un compuesto de esta invención, como un sólido amarillo (45 mg).

^{1}H NMR ($CDCl_3$) δ9,61 (dd, 1H), 8,17 (ddd, 1H), 7,74 (d, 2H), 7,55 (d, 1H), 7,45 (t, 1H), 7,39 (m, 2H), 7,21-7,25 (m, 1H), 5,10 (br s, 2H).

Ejemplo de síntesis 2

Preparación de sal interna de 1-[(2-cloro-5-tiazolil)metil]-2-hidroxi-4-oxo-4*H*-pirido[1,2-*a*]-pirimidinio y sal interna de 1-[(2-cloro-5-tiazolil)metil]-2-hidroxi-4-oxo-3-(2,2,2-trifluoroacetil)-4*H*-pirido[1,2-*a*]pirimidinio

Etapa A: Preparación de 1,1-dimetiletil *N*-[(2-cloro-5-tiazolil)metil]-*N*-2-piridinilcarbamato (alternativamente denominado butil éster del ácido 2-clorotiazol-5-ilmetil)piridin-2-il-carbámico *t*)

Se añadió hidruro sódico en aceite mineral (60 %, 2,22 g, 55,6 mmol) en forma de porciones a una solución de 1,1-dimetiletil *N*-2-piridinilcarbamato (9,0 g, 46,3 mmol, preparado por el método de Krein, D. M.; Lowary, T. L. *J. Org. Chem.* **2002**, *67*, 4965-4967) en *N*,*N*-dimetilformamida (40 ml) en un frasco de fondo redondo enfriado hasta 0 °C en un baño de hielo/agua. La suspensión se agitó vigorosamente durante unos

30 min adicionales, seguido por la adición de 2-cloro-5-(clorometil)tiazol (7,4 g, 55,6 mmol). La mezcla de reacción se calentó gradualmente hasta temperatura ambiente y se agitó durante 16-24 h. Después se añadió agua (200 ml), y la mezcla de reacción se extrajo tres veces con 50 ml de acetato de etilo. Los extractos orgánicos combinados se lavaron cuatro veces con 20 ml de agua, se secaron en Na_2SO_4, y se concentraron a presión reducida. El residuo resultante se purificó por cromatografía en gel de sílice por elución con acetato de etilo/hexano para proporcionar el compuesto del título como un aceite ámbar (9,3 g).

^{1}H NMR ($CDCl_3$) δ8,40 (d, 1H), 7,78 (d, 1H), 7,64 (t, 1H), 7,49 (s, 1H), 7,03 (t, 1H), 5,18 (s, 2H), 1,54 (s, 9 H).

Etapa B: Preparación de *N*-[(cloro-5-tiazolil)metil]-2-piridinamina (alternativamente denominado (2-clorotiazol-5-ilmetil)-piridin-2-il-amina)

Se añadió ácido trifluoroacético (13,2 ml, 171 mmol) a una solución de 1,1-dimetiletil *N*-[(2-cloro-5-tiazolil)metil]-*N*-2-piridinilcarbamato (es decir el producto de la Etapa A) (9,3 g, 28,5 mmol) en diclorometano:agua (60 ml:8 ml) en un frasco de fondo redondo, y la mezcla se agitó durante 66 h. Después la mezcla de reacción se enfrió hasta 0 °C y se neutralizó con NaOH 3 M hasta aproximadamente pH 12 antes de extraerse dos veces con 100 ml de acetato de etilo. Las capas orgánicas se combinaron, se secaron en

Na_2SO_4, y se concentraron a presión reducida para proporcionar el compuesto del título como un sólido color canela (5,0 g).

^1H NMR ($CDCl_3$) δ8,19 (d, 1H), 7,43 (m, 2H), 7,65 (t, 1H), 6,42 (d, 1H), 4,80 (s, NH), 4,67 (d, 2H).

Etapa C: Preparación de sal interna de 1-[(2-cloro-5-tiazolil)metil]-2-hidroxi-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio

Se añadió una solución de diciclohexilcarbodiimida (1,0 M en diclorometano, 26,6 ml, 26,6 mmol) a una solución de *N*-[(cloro-5-tiazolil)metil]-2-piridinamina (es decir el producto de la Etapa B) (3,0 g, 13,3 mmol) y ácido malónico (1,38 g, 13,3 mmol) en diclorometano (30 ml) en un frasco de fondo redondo. La mezcla de reacción se agitó a temperatura ambiente durante 16-24 h. Después la mezcla de reacción se filtró a través de una almohadilla de auxiliar de filtro de tierra diatomácea Celite®, y la torta de filtración se lavó con diclorometano. Las fases orgánicas combinadas se concentraron a presión reducida, y el residuo resultante se purificó por cromatografía en gel de sílice por elución con acetato de etilo/hexano para proporcionar el compuesto del título (compuesto número 125), un compuesto de esta invención, como un sólido amarillo pálido (2,90 g).

^1H NMR ($CD_3S(O)CD_3$) δ9,20 (d, 1H), 8,36 (t, 1H), 8,11 (d, 1H), 7,95 (s, 1H), 7,52 (t, 1H), 5,56 (s, 2H), 4,98 (s, 1H).

Etapa D: Preparación de sal interna de 1-[(2-cloro-5-tiazolil)metil]-2-hidroxi-4-oxo-3-(2,2,2-trifluoroacetil)-4*H*-pirido[1,2-*a*]pirimidinio

Sal interna de 1-[(2-cloro-5-tiazolil)metil]-2-hidroxi-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio (es decir el producto de la Etapa C) (300 mg, 1,02 mmol), 1,4-diazabiciclo[2.2.2]octano (11,5 mg, 0,102 mmol), y anhidrido trifluoroacético (0,14 ml, 1,02 mmol) se disolvieron en *N*-metil-2-pirrolidinona (3 ml), y la mezcla de reacción se agitó a temperatura ambiente durante 1 h. La mezcla se diluyó con diclorometano (30 ml), se lavó con agua (10 ml), bicarbonato sódico acuoso saturado (10 ml) y agua (10 ml x 4 veces), se concentró y se trituró con éter de dietilo para producir el compuesto del título (compuesto número 702), un compuesto de esta invención, como un sólido (98 mg).

^{1}H NMR (CD_3COCD_3) δ9,38 (d, 1H), 8,58 (t, 1H), 8,22 (d, 1H), 7,94 (s, 1H), 7,70 (t, 1H), 5,71 (br s, 2H).

Ejemplo de síntesis 3

Preparación de sal interna de 1-[(6-cloro-3-piridinil)metil]-3-[2-fluoro-5-(trifluorometoxi)fenil]-2-hidroxi-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio, sal interna de 1-[(6-cloro-3-piridinil)metil]-2-hidroxi-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio y sal interna de 1-[(6-cloro-3-piridinil)metil]-2-hidroxi-3-yodo-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio

Etapa A: Preparación de 6-cloro-*N*-2-piridinil-3-piridinametanamina

Una mezcla de 2-fluoropiridina (1,4 g, 15 mmol) y 6-cloro-3-piridinametanamina (alternativamente denominada 5-aminometil-2-cloropiridina) (2,55 g, 18 mmol) en *N*-metilpirrolidinona (5 ml) se calentó a 230 °C en un reactor de microondas durante 30 min. Esta reacción se repitió cuatro veces por medio del uso de la misma cantidad de materiales de partida por cada repetición. Después, las cinco mezclas de la reacción se vertieron en solución de bicarbonato sódico acuoso saturado y se extrajeron en acetato de etilo. La capa orgánica se lavó con solución de bicarbonato sódico acuoso saturado, se secó en Na_2SO_4, y se concentró a presión reducida. Después, el producto crudo se purificó por cromatografía en gel de sílice por medio del uso de 10 % acetato de etilo en hexanos como el eluente para proporcionar el compuesto del título como un aceite (5,1 g).

^{1}H NMR ($CDCl_3$) δ8,38 (s, 1H), 8,1 (m, 1H), 7,67 (d, 1H), 7,42 (dd, 1H), 7,28 (d, 1H), 6,63 (m, 1H), 6,38 (d, 1H), 4,88 (s, 1H), 4,56 (d, 2H).

Etapa B: Preparación de sal interna de 1-[(6-cloro-3-piridinil)metil]-2-hidroxi-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio

Una solución de diciclohexilcarbodiimida (4,12 g, 20 mmol en 10 ml de diclorometano) se añadió a una solución de 6-cloro-*N*-2-piridinil-3-piridinametanamina (es decir el producto de la Etapa A) (2,19 g, 10 mmol) y ácido malónico (1,04 g, 10 mmol) en diclorometano (10 ml) en un frasco de fondo redondo. La mezcla de reacción se agitó a temperatura ambiente durante 16-24 h. Después, la mezcla de reacción se filtró, y la torta de filtración se lavó con éter de dietilo. El filtrado se concentró a presión reducida, y el residuo resultante se lavó con metanol para proporcionar el compuesto del título (compuesto número 611), un compuesto de esta invención, como un sólido amarillo pálido (2,54 g).

^{1}H NMR (acetona-d_6) δ9,32 (d, 1H), 8,52 (s, 1H), 8,29 (dd, 1H), 7,79 (m, 2H), 7,52 (t, 1H), 7,42 (d, 1H), 5,63 (s, 2H), 5,03 (s, 1H).

Etapa C: Preparación de sal interna de 1-[(6-cloro-3-piridinil)metil]-2-hidroxi-3-yodo-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio

N-yodosuccinimida (1,12 g, 5 mmol) se añadió a una solución de sal interna de 1-[(6-cloro-3-piridinil)metil]-2-hidroxi-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio(es decir, el producto de la Etapa B) (1,4 g, 5 mmol) en *N*,*N*-dimetilformamida (10 ml) y se agitó durante 5 min. Se añadió agua, y la mezcla se extrajo con diclorometano. Las fases orgánicas combinadas se lavaron repetidamente con agua, se secaron en Na_2SO_4, y se concentraron a presión reducida. El producto crudo resultante (compuesto número 118), un compuesto de esta invención, (1,8 g) se usó en la siguiente etapa sin purificación adicional.

^{1}H NMR ($CDCl_3$) δ9,49 (d, 1H), 8,45 (d, 1H), 8,12 (dd, 1H), 7,40 (m, 2H), 7,32 (d, 1H), 5,50 (s, 2H).

Etapa D: Preparación de sal interna de 1-[(6-cloro-3-piridinil)metil]-3-[2-fluoro-5-(trifluorometoxi)fenil]-2-hidroxi-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio

Sal interna de 1-[(6-cloro-3-piridinil)metil]-2-hidroxi-3-yodo-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio (es decir, el producto de la Etapa C) (206 mg, 0,5 mmol), ácido 2-fluoro-5-(trifluorometoxi)bencenoborónico (224 mg, 1 mmol) y dicloruro de bis(trifenilfosfino)paladio (35 mg, 0,005 mmol) se disolvieron en dioxano (2 ml). Se añadió una solución de carbonato sódico acuoso (2 N, 1 ml) y la mezcla de reacción

se calentó en un reactor de microondas durante 10 min a 160 °C. La mezcla de reacción enfriada se vertió directamente en una columna de gel de sílice y se eluyó sucesivamente con hexanos, 30 % acetato de etilo en hexanos, 50 % acetato de etilo en hexanos, y finalmente acetato de etilo para producir el compuesto del título (compuesto número 58), un compuesto de esta invención, como un sólido (20 mg).

^{1}H NMR ($CDCl_3$) δ9,53 (d, 1H), 8,49 (s, 1H), 8,11 (dd, 1H), 7,69 (d, 1H), 7,50 (d, 1H), 7,41 (m, 2H), 7,34 (d, 1H), 7,16 (d, 2H), 7,58 (br s, 2H).

Ejemplo de síntesis 4

Preparación de sal interna de 2-hidroxi-4-oxo-3-fenil-1-(2-propen-1-il)-4*H*-pirido[1,2-*a*]pirimidinio

N-2-propen-1-il-2-piridinamina (670 mg, 5 mmol) y 1,3-bis(2,4,6-triclorofenil) 2-fenilpropanodioato (3,0 g, 6 mmol) se disolvieron en dioxano (3 ml) y se calentaron a 60 °C durante 15 min. Después la mezcla de reacción se vertió en una columna de gel de sílice, la cual se eluyó con 50 % acetato de etilo en hexanos para proporcionar el compuesto del título (compuesto número 122), un compuesto de esta invención, como un sólido (14 mg).

^{1}H NMR ($CDCl_3$) δ9,52 (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 5

Preparación de sal interna de 2-hidroxi-4-oxo-3-fenil-1-(2,2,2-trifluoroetil)-4*H*-pirido[1,2-*a*]-pirimidinio

Etapa A: Preparación de *N*-(2,2,2-trifluoroetil)-2-piridinamina

Una mezcla de 2-fluoropiridina (2,00 g, 20,6 mmol) e hidrógeno cloruro de 2,2,2-trifluoroetilamina (5,00 g, 36,9 mmol) se calentó a 220 °C durante 30 min en un reactor de microondas. La misma reacción se repitió 5 veces. Las mezclas de reacción de las 6 reacciones se enfriaron, se combinaron y se diluyeron con acetato de etilo (150 ml). La mezcla orgánica se neutralizó por lavado con bicarbonato sódico acuoso saturado, agua (30 ml) y salmuera (30 ml). La fase orgánica se secó en Na_2SO_4 y se concentró, y el residuo resultante se purificó por cromatografía en gel de sílice por medio del uso de 80 % acetato de etilo/hexano como eluente para dar el compuesto del título como un sólido blanco (17,0 g).

^{1}H NMR ($CDCl_3$) δ8,15 (d, 1H), 7,45 (dd, 1H), 6,69 (dd, 1H), 6,49 (d, 1H), 4,58 (br s, 1H), 4,11 (q, 2H).

Etapa B: Preparación de 1,3-bis(2,4,6-triclorofenil) 2-fenilpropanodioato

A una lechada de ácido fenilmalónico (5,00 g, 27,8 mmol) en diclorometano (7 ml) a temperatura ambiente se añadió una gota de *N*,*N*-dimetilformamida, seguido por la adición en forma de gotas de cloruro de oxalilo (9,09 g,

71,6 mmol) en ese intervalo para mantener el desprendimiento de gas bajo control. La mezcla de reacción se agitó durante una hora adicional a temperatura ambiente, durante ese tiempo la mezcla de reacción se clarificó. Se añadió 2,4,6-triclorofenol (15 g, 76 mmol), y la mezcla de reacción se agitó a temperatura ambiente durante 18 h. La mezcla de reacción se concentró al vacío, se añadió metanol (100 ml) al residuo, el cual resultó en la precipitación de una gran cantidad de sólido. El sólido se recogió por filtración, se enjuagó con metanol (80 ml) y se secó con aire para dar el producto del título como un sólido blanco (13 g).

^{1}H NMR ($CDCl_3$) δ7,64-7,62 (m, 2H), 7,46-7,43 (m, 3H), 7,36 (s, 4H), 5,32 (s, 1H).

Etapa C: Preparación de sal interna de 2-hidroxi-4-oxo-3-fenil-1-(2,2,2-trifluoroetil)-4*H*-pirido[1,2-*a*]-pirimidinio

Una solución de *N*-(2,2,2-trifluoroetil)-2-piridinamina (es decir, el producto de la Etapa A) (2,00 g, 11,4 mmol) y 1,3-bis(2,4,6-triclorofenil) 2-fenilpropanodioato (es decir, el producto de la Etapa B) (6,40 g, 11,9 mmol) en tolueno (40 ml) se sometió a reflujo durante 1 h. La mezcla de reacción se enfrió en un baño de agua helada con agitación durante 2 h. El sólido que precipitó se recogió por filtración, se enjuagó con éter de dietilo y se secó con aire para dar el compuesto del título (compuesto número 7), un compuesto de esta invención, como un sólido amarillo (3,44 g).

^{1}H NMR ($CD_3S(O)CD_3$) δ9,37 (d, 1H), 8,42 (m, 1H), 8,11 (d, 1H), 7,66 (d, 2H), 7,61 (m, 1H), 7,32 (t, 2H), 7,18 (t, 1H), 5,35 (q, 2H).

Ejemplo de síntesis 6

Preparación de sal interna de 8-[(6-cloro-3-piridinil)metil]-7-hidroxi-5-oxo-6-[3-(trifluorometoxi)fenil]-5*H*-tiazolo[3,2-*a*]pirimidinio

Etapa A: Preparación de *N*-[(6-cloro-3-piridinil)metileno]-2-tiazolamina

Se añadió 2-aminotiazol (0,75 g, 7,5 mmol) a 2-cloropiridina-6-carboxaldehído (1,0 g, 7,1 mmol) en diclorometano (25 ml) a temperatura ambiente. La suspensión se agitó unos 10 min adicionales y después se concentró hasta la sequedad al vacío. El residuo resultante se calentó hasta 90 °C en un evaporador rotarorio con una trampa cubeta de no retorno para facilitar la eliminación de agua. Después de 30 min, el sólido amarillo resultante se controló por NMR para verificar la finalización de la reacción (por desaparición del pico de aldehído característico a 10,10 ppm (s, 1H)). El compuesto del título se obtuvo como un sólido amarillo (1,55 g) y se usó en la siguiente etapa sin purificación adicional.

^{1}H NMR ($CDCl_3$) δ9,10 (s, 1H), 8,84 (d, 1H), 8,35-8,32 (dd, 1H), 7,72-7,70 (d, 1H), 7,48-7,46 (d, 1H), 7,32-7,31 (d, 1H).

Etapa B: Preparación de 6-cloro-*N*-2-tiazolil-3-piridinametanamina

Se añadió *N*-[(6-cloro-3-piridinil)metileno]-2-tiazolamina (es decir, el producto de la Etapa A) (0,55 g, 2,46 mmol) en forma de porciones a un exceso agitado de borohidruro sódico (0,45 g, 11,8 mmol) en metanol (30 ml). Porciones adicionales de borohidruro sódico (2 x 1 equivalente) se añadieron durante la adición de la imina para mantener una reacción exotérmica. Después que la adición se completó, la mezcla de reacción se dejó agitar durante 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. La mezcla de reacción clara se concentró, y el residuo resultante se particionó entre carbonato sódico acuoso saturado y acetato de etilo. La fase acuosa se extrajo con acetato de etilo (3 x 30 ml), y las fases orgánicas combinadas se lavaron con salmuera, se secaron ($MgSO_4$) y se concentraron para dar el compuesto del título como un polvo color canela (0,55 g).

^{1}H NMR ($CDCl_3$) δ8,39 (d, 1H), 7,71-7,68 (dd, 1H), 7,30-7,28 (d, 1H), 6,98 (d, 1H), 6,48 (d, 1H), 4,48 (s, 2H).

Etapa C: Preparación de ácido 2-[3-(trifluorometoxi)fenil] propanodióico

Se agitó dietil 3-trifluorometoxifenilmalonato (3,00 g, 9,38 mmol) en un solución de hidróxido sódico acuoso (15 g, 20 % por peso) a 65 °C durante 10 min. Después, la mezcla de

reacción se enfrió en un baño de hielo, y se añadió hielo (7 g) a la mezcla de reacción, seguido por ácido clorhídrico 6 N para ajustar el pH hasta aproximadamente 2. La mezcla acuosa se saturó con cloruro sódico y se extrajo con acetato de etilo tres veces. Las fases orgánicas combinadas se secaron ($MgSO_4$) y se concentraron para dar un sólido, el cual se trituró con una mezcla de 33 % éter de dietilo/hexano para dar el compuesto del título como un sólido blanco (2,24 g).

^1H NMR ($CD_3C(O)CD_3$) δ11,51 (br s, 2H), 7,54-7,51 (m, 3H), 7,35-7,30 (m, 1H), 4,91 (s, 1H).

Etapa D: Preparación de sal interna de 8-[(6-cloro-3-piridinil)metil]-7-hidroxi-5-oxo-6-[3-(trifluorometoxi)fenil]-5*H*-tiazolo[3,2-*a*]pirimidinio

Se añadió cloruro de oxalilo (1,0 ml, 11 mmol) en forma de gotas a temperatura ambiente a una lechada de ácido 2-[3-(trifluorometoxi)fenil] propanodióico (es decir, el producto de la Etapa C) (0,17 g, 0,66 mmol) en diclorometano (0,2 ml) que contiene una cantidad catalítica de *N*,*N*-dimetilformamida. La mezcla de reacción se agitó durante unos 10 min adicionales durante dicho tiempo cesó el desprendimiento de gas. La mezcla de reacción se concentró brevemente al vacío a temperatura ambiente. El aceite resultante se tomó en diclorometano (2 ml) y se añadió a una solución de 6-cloro-*N*-2-tiazolil-3-piridinametanamina (es decir, el producto de la Etapa B) (0,23 g, 1,02 mmol) y trietilamina (0,40 g, 3,37 mmol) en

diclorometano (4 ml) a 0 °C. Después de agitar durante 15 min, la mezcla de reacción se concentró, y el residuo resultante se purificó por cromatografía en gel de sílice por medio del uso de 50-100 % acetato de etilo/hexano como eluente para dar el compuesto del título (compuesto número 138), un compuesto de esta invención, como un sólido (0,19 g).

^{1}H NMR ($CDCl_3$) δ8,50 (s, 1H), 8,25 (d, 1H), 7,87 (d, 1H), 7,75 (d, 1H), 7,70 (s, 1H), 7,41-7,35 (m, 2H), 7,08 (d, 1H), 7,03 (d, 1H), 5,29 (s, 2H).

Ejemplo de síntesis 7

Preparación de sal interna de 2-hidroxi-4-oxo-1-propil-3-[2-(trifluorometil)fenil]-4*H*-pirido[1,2-*a*]-pirimidinio y sal interna de 2-hidroxi-4-oxo-1-propil-4*H*-pirido[1,2-*a*]-pirimidinio

Etapa A: Preparación de sal interna de 2-hidroxi-4-oxo-1-propil-4*H*-pirido[1,2-*a*]-pirimidinio

Se añadió una solución de diciclohexilcarbodiimida (15,63 g en 45 ml de diclorometano, 75,76 mmol) a una solución de *N*-propil-2-aminopiridina (5,16 g, 37,8 mmol) y ácido malónico (3,94 g, 37,8 mmol) en diclorometano (90 ml). La mezcla de reacción se agitó a temperatura ambiente durante 24 h. Después la mezcla de reacción se filtró a través de una almohadilla de Celite®, y la torta de filtración se lavó con diclorometano. Las fases orgánicas combinadas se

concentraron, y el residuo resultante se purificó por cromatografía en gel de sílice por medio del uso de 50-100 % acetato de etilo/hexano como eluente para dar el compuesto del título (compuesto número 609), un compuesto de esta invención, como un sólido amarillo pálido (5,60 g).

^{1}H NMR ($CDCl_3$) δ9,40 (d, 1H), 8,15 (t, 1H), 7,42 (d, 1H), 7,30 (t, 1H), 5,38 (s, 1H), 4,24 (t, 2H), 1,88 (m, 2H), 1,06 (t, 3H).

Etapa B: Preparación de sal interna de 2-hidroxi-4-oxo-1-propil-3-[2-(trifluorometil)fenil]-4*H*-pirido[1,2-*a*]-pirimidinio

Sal interna de 2-hidroxi-4-oxo-1-propil-4*H*-pirido[1,2-*a*]pirimidinio (es decir el producto de la Etapa A) (500 mg, 2,45 mmol), 1-yodo-2-(trifluorometil)benceno (0,34 ml, 2,45 mmol), yoduro de cobre (46,6 mg, 0,245 mmol), 1,10-fenantrolina (44,1 mg, 0,245 mmol) y carbonato de cesio (798 mg, 2,45 mmol) se combinaron en *N*,*N*-dimetilformamida (3 ml). La mezcla de reacción se calentó a 118 °C en nitrógeno durante 24 h. La mezcla de reacción se enfrió y se concentró, y el residuo resultante se purificó por cromatografía en líquido de fase inversa en una columna XTerra® C18 OBD (partícula 5 micras, 30 x 100 mm, fabricada por Waters) por medio del uso de un gradiente de 30-90 % (1:1 acetonitrilo/metanol)/agua para

proporcionar el compuesto del título (compuesto número 308), un compuesto de esta invención, como un sólido (20 mg).

^{1}H NMR ($CDCl_3$) δ9,44 (d, 1H), 8,13 (t, 1H), 7,75 (d, 1H), 7,58 (t, 1H), 7,42-7,52 (m, 3H), 7,35 (t, 1H), 4,42-4,35 (m, 1H), 4,24-4,18 (m, 1H), 1,80 (q, 2H), 1,05 (t, 3H).

Ejemplo de síntesis 8

Preparación de sal interna de 2-hidroxi-3-yodo-1-[[2-(3-metoxifenil)-5-tiazolil]metil]-4-oxo-4*H*-pirido[1,2-*a*]-pirimidinio

Etapa A: Preparación de sal interna de 1-[(2-cloro-5-tiazolil)metil]-2-hidroxi-3-yodo-4-oxo-4*H*-pirido[1,2-*a*]-pirimidinio

Se añadió *N*-yodosuccinimida (2,19 g, 9,76 mmol) a una solución de sal interna de 1-[(2-cloro-5-tiazolil)metil]-2-hidroxi-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio (es decir el producto del Ejemplo 2, Etapa C) (2,9 g, 9,76 mmol) en *N*,*N*-dimetilformamida (30 ml), y la mezcla se agitó durante 5 min. Se añadió agua, y la mezcla se extrajo con acetato de etilo. Las fases orgánicas combinadas se lavaron varias veces con agua, se secaron en Na_2SO_4 y se concentraron a presión reducida. El producto crudo resultante (compuesto número 608) (1,2 g), un compuesto de esta invención, se usó en la siguiente etapa sin purificación adicional.

^{1}H NMR (CD_3COCD_3) δ9,36 (d, 1H), 8,45(t, 1H), 8,20 (d, 1H), 7,94 (s, 1H), 7,58 (t, 1H), 5,77 (s, 2H).

Etapa B: Preparación de sal interna de 2-hidroxi-3-yodo-1-[[2-(3-metoxifenil)-5-tiazolil]metil]-4-oxo-4*H*-pirido[1,2-*a*]-pirimidinio

Sal interna de 1-[(2-cloro-5-tiazolil)metil]-2-hidroxi-3-yodo-4-oxo-4*H*-pirido[1,2-*a*]-pirimidinio (es decir, el producto de la Etapa A) (250 mg, 0,59 mmol), ácido 3-metoxibencenoborónico (89 mg, 0,59 mmol) y dicloruro de bis(trifenilfosfina)-paladio(II) (20 mg, 0,029 mmol) se disolvieron en dioxano (3 ml). Se añadió solución de carbonato sódico acuoso (2 N, 1 ml), y la mezcla de reacción se calentó en un reactor de microondas durante 15 min a 150 °C. La mezcla de reacción enfriada se vertió directamente en una columna de gel de sílice y se eluyó sucesivamente con hexanos, 30 % acetato de etilo en hexanos, 50 % acetato de etilo en hexanos, y finalmente acetato de etilo para producir el compuesto del título (compuesto número 613), un compuesto de esta invención, como un sólido (35 mg).

^{1}H NMR (CD_3COCD_3) δ9,38 (d, 1H), 8,43 (t, 1H), 8,20 (d, 1H), 8,13 (s, 1H), 7,58 (t, 1H), 7,50 (m, 2H), 7,39 (t, 1H), 7,02 (d, 1H), 5,88 (br s, 2H), 3,86 (s, 3H).

Ejemplo de síntesis 9

Preparación de sal interna de 2-hidroxi-4-oxo-1-(2,2,2-trifluoroetil)-3-[2,2,2-trifluoro-1-(metoxiimino)etil]-4*H*-pirido[1,2-*a*]-pirimidinio, sal interna de 2-hidroxi-4-oxo-1-(2,2,2-trifluoroetil)-4*H*-pirido[1,2-*a*]-pirimidinio y sal interna de 2-hidroxi-4-oxo-3-(2,2,2-trifluoroacetil)-1-(2,2,2-trifluoroetil)-4*H*-pirido[1,2-*a*]-pirimidinio

Etapa A: Preparación de sal interna de 2-hidroxi-4-oxo-1-(2,2,2-trifluoroetil)-4*H*-pirido[1,2-*a*]-pirimidinio

Se añadió una solución de diciclohexilcarbodiimida (1,0 M en diclorometano, 108 ml, 108 mmol) a una solución de *N*-(2,2,2-trifluoroetil)-2-piridinamina (es decir, el producto del Ejemplo 5, Etapa A) (9,51 g, 54,0 mmol) y ácido malónico (5,62 g, 54,0 mmol) en diclorometano (190 ml). La mezcla de reacción se agitó a temperatura ambiente durante 24 h. Después la mezcla de reacción se filtró a través de una almohadilla de Celite®, y la torta de filtración se lavó con diclorometano. Las fases orgánicas combinadas se concentraron a presión reducida, y el residuo resultante se purificó por cromatografía en gel de sílice por medio del uso de 50-100 % acetato de etilo/hexano como eluente para dar el compuesto del título (compuesto número 610), un compuesto de esta invención, como un sólido amarillo pálido (7,0 g).

^{1}H NMR ($CD_3S(O)CD_3$) δ9,22 (d, 1H), 8,42 (t, 1H), 8,11 (d, 1H), 7,59 (t, 1H), 5,25 (q, 2H), 4,96 (s, 1H).

Etapa B: Preparación de sal interna de 2-hidroxi-4-oxo-3-(2,2,2-trifluoroacetil)-1-(2,2,2-trifluoroetil)-4*H*-pirido[1,2-*a*]-pirimidinio

Sal interna de 2-hidroxi-4-oxo-1-(2,2,2-trifluoroetil)-4*H*-pirido[1,2-*a*]-pirimidinio (es decir, el producto de la Etapa A) (1,12 g, 4,57 mmol), 1,4-diazabiciclo[2.2.2]octano (132,0 mg, 1,12 mmol) y anhidrido trifluoroacético (1,50 ml, 10,92 mmol) se disolvieron en 1-metil-2-pirrolidinona (10 ml), y la mezcla de reacción se agitó a temperatura ambiente durante 18 h. La mezcla se diluyó con acetato de etilo (250 ml), se lavó con bicarbonato sódico acuoso saturado (150 ml) agua (3 x 100 ml), y se concentró. El residuo se trituró con éter de dietilo para producir el compuesto del título (compuesto número 711), un compuesto de esta invención, como un sólido (1,10 g).

^{1}H NMR ($CD_3S(O)CD_3$) δ9,25 (d, 1H), 8,58 (t, 1H), 8,10 (d, 1H), 7,65 (t, 1H), 5,25 (q, 2H).

Etapa C: Preparación de sal interna de 2-hidroxi-4-oxo-1-(2,2,2-trifluoroetil)-3-[2,2,2-trifluoro-1-(metoxiimino)etil]-4*H*-pirido[1,2-*a*]-pirimidinio

Una solución de sal interna de 2-hidroxi-4-oxo-3-(2,2,2-trifluoroacetil)-1-(2,2,2-trifluoroetil)-4*H*-pirido[1,2-*a*]-pirimidinio (es decir, el producto de la Etapa B) (75 mg, 0,22 mmol) y hidrocloruro de metoxiamina (62 mg, 0,74 mmol) en etanol (7 ml) se sometió a reflujo durante 3 h. El solvente se concentró al vacío, y se añadió acetato de etilo (60 ml). La

fase orgánica se lavó sucesivamente con una solución de hidróxido sódico diluido (3 ml de 1 N NaOH y 30 ml agua) y agua (20 ml). Después, la fase orgánica se filtró a través de un cartucho Chem Elut™ (fabricado por Varian) preempacado con Celite® y se concentró hasta un aceite crudo. El residuo resultante se purificó por cromatografía preparativa de capa fina en un Analtech Uniplate™ (20 x 20 cm, capa de 2000 micrones de gel de sílice) se eluyó con acetato de etilo para proporcionar el compuesto del título (compuesto número 637), un compuesto de esta invención, como un aceite espeso (53 mg, 1:1 mezcla de E y Z isómeros).

^{1}H NMR ($CDCl_3$). δ9,49 (d, 0,5H), 9,47 (d, 0,5H), 8,23 (t, 1H), 7,61 (d, 1H), 7,50 (m, 1H), 5,00 (m, 2H), 4,10 (s, 1,5H), 4,04 (s, 1,5H)

Ejemplo de síntesis 10

Preparación de sal interna de 1-[(6-cloro-3-piridinil)metil]-4-hidroxi-2-imino-3-(2-metoxifenil)-2*H*-pirido[1,2-*a*]pirimidinio

Etapa A: Preparación de fenil α-ciano-2-metoxibencenoacetato

A una lechada de hidruro sódico (3,39 g of 60 % en aceite mineral, 84,9 mmol) en tetrahidrofurano (200 ml) a temperatura ambiente se añadió 2-(2-metoxifenil)acetonitrilo (10,0 g, 67,9 mmol) en forma de gotas. Después la mezcla de reacción se calentó hasta reflujo y la suspensión gris se

tornó rojo oscuro en 30 min. Se añadió carbonato de difenilo (18,2 g, 84,9 mmol) en forma de porciones, y la suspensión de reacción se calentó a reflujo durante unas 18 h adicionales. La mezcla de reacción se enfrió, se vertió en HCl 1 N (200 ml) y se extrajo con acetato de etilo (3 x 200 ml). Las fases orgánicas se combinaron, se secaron en sulfato magnésico, se filtraron y se concentraron en Celite® a presión reducida. El residuo resultante se purificó por cromatografía en gel de sílice por medio del uso de 10-90 % acetato de etilo/hexano como eluente para dar el compuesto del título como un sólido amarillo claro (14,3 g).

^{1}H NMR ($CD_3S(O)CD_3$) δ7,48-7,44 (m, 3H), 7,31 (t, 1H), 7,19-7,03 (m, 4H), 6,75 (d, 1H), 5,97 (s, 1H), 3,93 (s, 3H).

Etapa B: Preparación de sal interna de 1-[(6-cloro-3-piridinil)metil]-4-hidroxi-2-imino-3-(2-metoxifenil)-2*H*-pirido[1,2-*a*]pirimidinio

A una solución que contiene 6-cloro-*N*-2-piridinil-3-piridinametanamina (0,82 g, 3,74 mmol) en xileno (100 ml) en nitrógeno se añadió fenil α-ciano-2-metoxibencenoacetato (es decir el producto de la Etapa A) (1,00 g, 3,74 mmol). La mezcla de reacción se calentó hasta reflujo durante 24 h. La mezcla se enfrió, se añadió Celite®, y el xileno se evaporó a presión reducida. El residuo resultante se purificó por cromatografía en gel de sílice por medio del uso de un gradiente de 100 % acetato de etilo a 1 % trietilamina/40 % metanol/59 % acetato

de etilo como eluente para dar el compuesto del título (compuesto número 662), un compuesto de esta invención, un sólido color crema (4,7 mg). MS (AP+) = 393.

^{1}H NMR (CD_3COCD_3) δ8,47 (d, 1H), 8,28 (s, 1H), 7,83 (t, 1H), 7,76 (d, 1H), 7,38-7,27 (m, 5H), 6,94-6,88 (m, 2H), 5,71 (s, NH), 5,62 (s, 2 H), 3,64 (s, 3H).

Ejemplo de síntesis 11

Preparación de sal interna de 1-[(6-cloro-3-piridinil)metil]-2-hidroxi-4-oxo-3-fenil-4*H*-pirido[1,2-*a*]pirimidinio

Etapa A: Preparación de etil éster del ácido *N*-[(6-cloro-3-piridinil)metil]-2-fenil-*N*-(2-piridinil)malonámico

Se preparó monoetil éster del ácido 2-fenilmalónico siguiendo el procedimiento de *Journal of Organic Chemistry* **2000**, *65*, 5834-5836. Se disolvió monoetil éster del ácido 2-fenilmalónico (1,02 g, 5,0 mmol) en diclorometano anhidro (10 ml), y se añadió cloruro de oxalilo (0,52 ml, 6,0 mmol), seguido por una gota de *N*,*N*-dimetilformamida. La mezcla de la reacción se agitó durante 30 min, después se concentró, se redisolvió en diclorometano anhidro (5 ml) y se añadió a una solución de 6-cloro-*N*-2-piridinil-3-piridinametanamina (es decir, el producto del Ejemplo 3, Etapa A) (1,1 g, 5,0 mmol) y trietil amina (0,83 ml, 6,0 mmol) en diclorometano anhidro (5 ml) a 0 °C. La mezcla de reacción agitada se dejó calentar

hasta temperatura ambiente durante 30 min. La mezcla de reacción se vertió en un cartucho de gel de sílice (Bond Elute® fabricado por Varian) y se purificó por medio del uso de un gradiente de 0-50 % acetato de etilo/hexanos. Una mezcla del producto deseado y la amina de partida se aisló (1,3 g de 33 mol% amina recuperada/67 mol% producto deseado). Se disolvió monoetil éster del ácido 2-fenilmalónico (0,54 g, 2,6 mmol) en diclorometano anhidro (3 ml), y se añadió cloruro de oxalilo (0,26 ml, 3,0 mmol), seguido por una gota de *N,N*-dimetilformamida. La mezcla de reacción se agitó hasta que el desprendimiento de gas cesó y después se concentró, se redisolvió en diclorometano anhidro (3 ml) y se añadió a la mezcla de la amina recuperada y el producto deseado aislado previamente. La mezcla de reacción se agitó durante 30 min y después se concentró, y el residuo crudo se cromatografió como se describió anteriormente para dar el compuesto del título como un sólido (0,9 g).

^{1}H NMR ($CDCl_3$) δ 8,50 (m, 1H), 8,18 (s, 1H), 7,60-7,75 (m, 2H), 7,2-7,3 (m, 5H), 7,13 (m, 2H), 6,87 (s, 1H), 5,13-4,88 (dd, 2H), 4,86 (s, 1H), 4,16 (m, 2H), 1,22 (t, 3H).

Etapa B: Preparación de sal interna de 1-[(6-cloro-3-piridinil)metil]-2-hidroxi-4-oxo-3-fenil-4*H*-pirido[1,2-*a*]pirimidinio

Etil éster del ácido *N*-[(6-cloro-3-piridinil)metil]-2-fenil-*N*-(2-piridinil)malonámico (es decir el producto de la

Etapa A) (200 mg, 0,49 mmol) se añadió a tetralina (0,5 ml) y se calentaron a 200 °C durante 30 min. La mezcla de reacción se enfrió y se concentró, y el residuo resultante se purificó por cromatografía en gel de sílice por medio del uso de 50-100 % acetato de etilo/hexano como eluente para dar el compuesto del título (compuesto número 59), un compuesto de esta invención, como un sólido (15 mg).

^{1}H NMR ($CDCl_3$) δ 9,55 (dd, 1H), 8,47 (d, 1H), 8,04 (m, 1H), 7,98 (d, 2H), 7,70 (dd, 1H), 7,2-7,4 (m, 6H), 5,58 (s, 2H).

Por medio de los procedimientos descritos en la presente, combinados con métodos conocidos en la técnica, se pueden preparar los siguientes compuestos de las Tablas 8 a 5. Las abreviaturas que se usan en las Tablas 1 a 8 se muestran a continuación como X-1 a X-128 y Y-1 a Y-71.

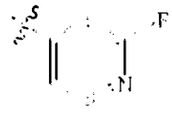
isopropilo	isobutilo	ciclopropilo	ciclobutilo	ciclopentilo
X-1	X-2	X-3	X-4	X-5

ciclohexilo	CF_3	CH_2CF_3	C_2F_5	$C(CF_3)_2F$
X-6	X-7	X-8	X-9	X-10

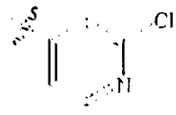
1-naftalenilo	2-naftalenilo	4-fluoro-1-naftalenilo	C(O)Me	$C(O)CF_3$
X-11	X-12	X-13	X-14	X-15

C(O)Ph	C(O)OMe	C(O)OEt	C(O)NHMe	C(O)NHPh
X-16	X-17	X-18	X-19	X-20

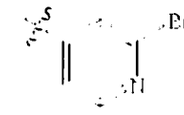
C(S)NHPh	C(O)NH(3-metoxifenilo)	C(=NOEt)CF_3
X-21	X-22	X-23



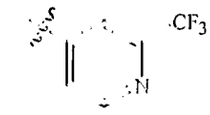
X-24



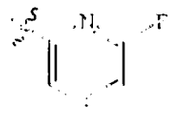
X-25



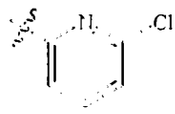
X-26



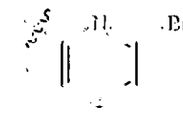
X-27



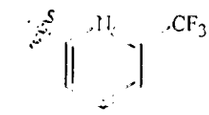
X-28



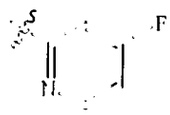
X-29



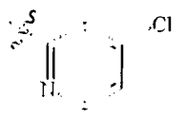
X-30



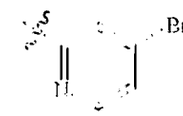
X-31



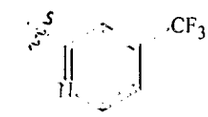
X-32



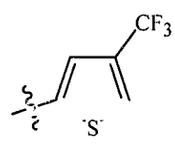
X-33



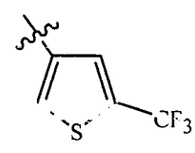
X-34



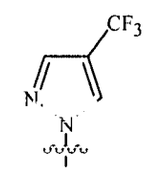
X-35



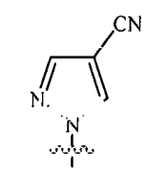
X-36



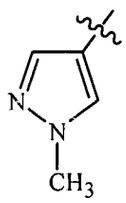
X-37



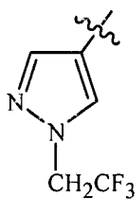
X-38



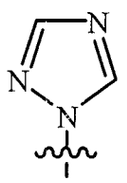
X-39



X-40

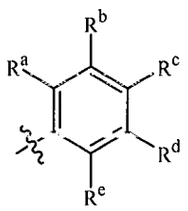


X-41



X-42

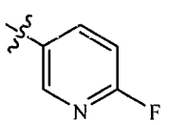
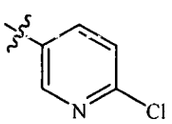
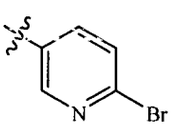
Las abreviaturas X-50 a X-128 pertenecen al anillo de fenilo sustituido como se muestra a continuación. Las entradas en blanco en la Tabla denotan un átomo de hidrógeno.



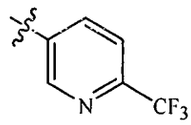
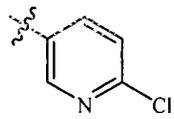
	R^a	R^b	R^c	R^d	R^e
X-50	F				
X-51	OMe				
X-52	Cl				
X-53		F			
X-54		OMe			
X-55		Cl			
X-56			F		
X-57			OMe		
X-58			Cl		

	R^a	R^b	R^c	R^d	R^e
X-59		CF_3			
X-60		OCF_3			
X-61		SCF_3			
X-62		SF_5			
X-63		Br			
X-64			Ciano		
X-65	F		CF_3		
X-66	F		OCF_3		
X-67	F		SCF_3		
X-68	F		Br		
X-69	$S(O)CF_3$				
X-70		$S(O)CF_3$			
X-71			$S(O)CF_3$		
X-72	Cl		Ciano		
X-73	Cl		CF_3		
X-74	Cl		OCF_3		
X-75	Cl		SCF_3		
X-76	Cl		Br		
X-77		Cl		CF_3	
X-78		Cl		OCF_3	
X-79		Cl		SCF_3	
X-80		Cl		Br	
X-81		Br		CF_3	

	R^a	R^b	R^c	R^d	R^e
X-82		Br		OCF_3	
X-83		Br		SCF_3	
X-84		Br		Br	
X-85	F			CF_3	
X-86	F			OCF_3	
X-87	F			SCF_3	
X-88	F			Br	
X-89	F		F		F
X-90	F		F	F	
X-91		F		CF_3	
X-92		F		OCF_3	
X-93	F	CF_3			
X-94	F	OCF_3			
X-95		F		F	
X-96	$OCHF_2$				
X-97		$OCHF_2$			
X-98			$OCHF_2$		
X-99	$SCHF_2$				
X-100		$SCHF_2$			
X-101			$SCHF_2$		
X-102	F		F		
X-103	F	F			
X-104	F				F

	R^a	R^b	R^c	R^d	R^e
X-105		CF_3		CF_3	
X-106	F			OMe	
X-107		OMe		OMe	
X-108	F	OMe			
X-109	F				OMe
X-110		OMe		CF_3	
X-111		OMe		OCF_3	
X-112		OMe		Cl	
X-113		OMe		Br	
X-114	OMe			CF_3	
X-115	OMe			OCF_3	
X-116	OMe			Cl	
X-117	OMe			Br	
X-118	OMe	F			
X-119	OMe	OMe			
X-120	OMe			F	
X-121					
X-122					
X-123					

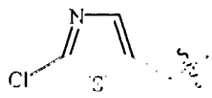
000101

	R^a	R^b	R^c	R^d	R^e
X-124					
X-125				CF_3	
X-126	$OCHF_2$				
X-127		$OCHF_2$			
X-128			$OCHF_2$		

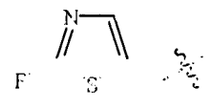
metilo	etilo	*n*-propilo	*n*-butilo
Y-1	Y-2	Y-3	Y-4
CHF_2	$CH_2CH_2CF_3$	$CH_2CH_2CF_2Cl$	isopropilo
Y-5	Y-6	Y-7	Y-8
s-butilo	*i*-butilo	$CH_2CH_2OCH_3$	$CH_2C(O)OCH_3$
Y-9	Y-10	Y-11	Y-12
$CH_2CH_2SCH_3$	$CH3CH_2S(O)CH_2$	$CH_2CH{=}CH_2$	$CH_2C{\equiv}CH$
Y-13	Y-14	Y-15	Y-16
ciclopropilo	ciclobutilo	CH_2CF_3	$CH(CH_3)CF_3$
Y-17	Y-18	Y-19	Y-20

$CH_2CF_2CF_3$ $CH_2CH_2CHFCF_2Cl$

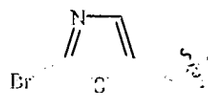
Y-21 Y-22



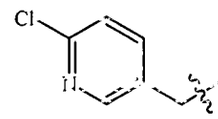
Y-30



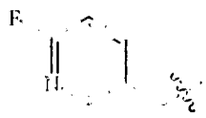
Y-31



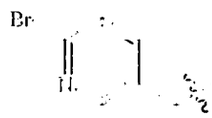
Y-32



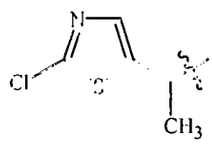
Y-33



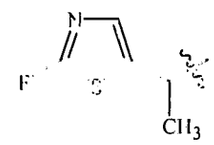
Y-34



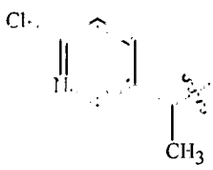
Y-35



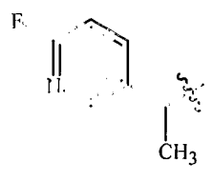
Y-36



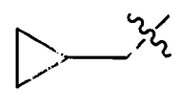
Y-37



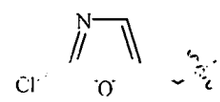
Y-38



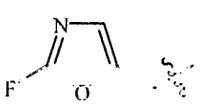
Y-39



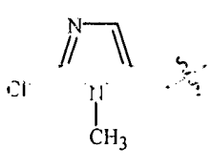
Y-40



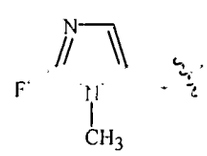
Y-41



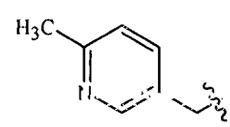
Y-42



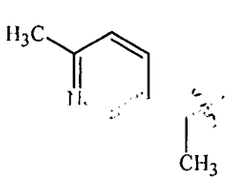
Y-43

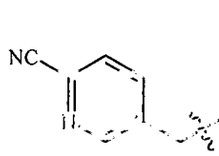


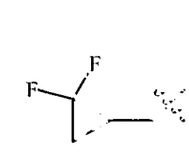
Y-44

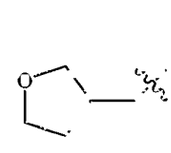


Y-45

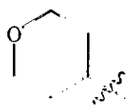
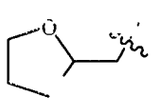
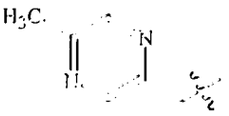
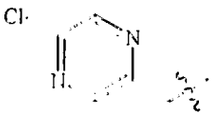




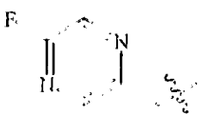
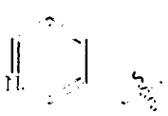


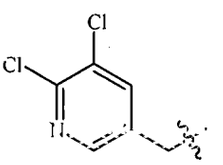


Y-46 Y-47 Y-48 Y-49

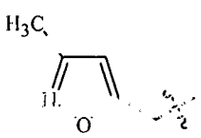
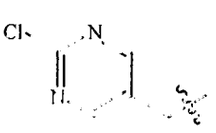
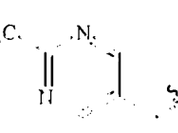





Y-50 Y-51 Y-52 Y-53

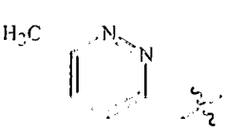





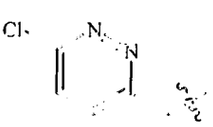
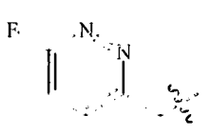
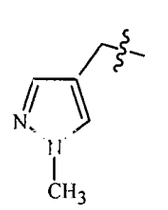
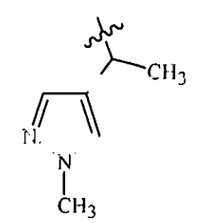
Y-54 Y-55 Y-56 Y-57

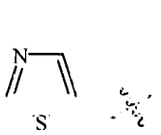
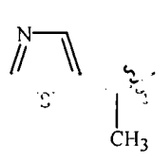
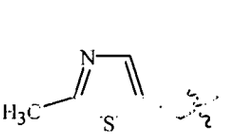
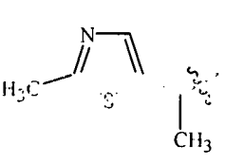
Y-58 Y-59 Y-60 Y-61

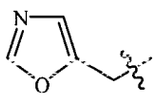


Y-62 Y-63 Y-64 Y-65

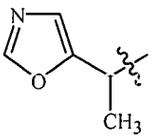





Y-66 Y-67 Y-68 Y-69

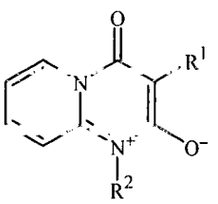


Y-70



Y-71

Tabla 1



R^2 es Y-1

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107

X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 es Y-2

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 es Y-3

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40

X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	Y-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 es Y-4

$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 es Y-5

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-1	X-2	X-3	Y-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 es Y-6

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77

X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 es Y-7

$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 es Y-8

$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10

X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 es Y-9

$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107

X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 es Y-10

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 es Y-11

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40

X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 es Y-12

$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 es Y-13

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 es Y-14

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77

X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	Y-104	Y-105	Y-106	Y-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 es Y-15

$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
Y-68	Y-69	Y-70	Y-71	Y-72	Y-73	Y-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	Y-109	Y-110	X-111	Y-112	Y-113	Y-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 es Y-16

$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10

X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 es Y-17

$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107

X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 es Y-18

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 es Y-19

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40

X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 es Y-20

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 es Y-21

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 es Y-22

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77

X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 es Y-30

$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 es Y-31

$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10

X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 es Y-32

$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107

X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 es Y-33

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 es Y-34

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40

X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 es Y-35

$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 es Y-36

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 es Y-37

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77

X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 es Y-38

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 es Y-39

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10

X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 es Y-40

$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107

X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 es Y-41

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 es Y-42

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40

X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 es Y-43

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 es Y-44

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 es Y-45

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77

X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 es Y-46

$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 es Y-47

$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10

X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 es Y-48

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107

X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 es Y-49

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 es Y-50

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40

X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 es Y-51

$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 es Y-52

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 es Y-53

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77

X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 es Y-54

$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 es Y-55

$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10

X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 es Y-56

$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107

X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 es Y-57

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 es Y-58

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40

X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 es Y-59

$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 es Y-60

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 es Y-61

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77

X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 es Y-62

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 es Y-63

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10

X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 es Y-64

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107

X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 es Y-65

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 es Y-66

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40

X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 es Y-67

$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$	$\underline{R^1}$
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 es Y-68

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 es Y-69

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77

X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

R^2 es Y-70

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10
X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-6s0	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

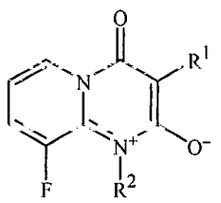
R^2 es Y-71

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-1	X-2	X-3	X-4	X-5	X-6	X-7	X-8	X-9	X-10

X-11	X-12	X-13	X-14	X-15	X-16	X-17	X-18	X-19	X-20
X-21	X-22	X-23	X-24	X-25	X-26	X-27	X-28	X-29	X-30
X-31	X-32	X-33	X-34	X-35	X-36	X-37	X-38	X-39	X-40
X-41	X-42	X-50	X-51	X-52	X-53	X-54	X-55	X-56	X-57
X-58	X-59	X-60	X-61	X-62	X-63	X-64	X-65	X-66	X-67
X-68	X-69	X-70	X-71	X-72	X-73	X-74	X-75	X-76	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-91	X-92	X-93	X-94	X-95	X-96	X-97
X-98	X-99	X-100	X-101	X-102	X-103	X-104	X-105	X-106	X-107
X-108	X-109	X-110	X-111	X-112	X-113	X-114	X-115	X-116	X-117
X-118	X-119	X-120	X-121	X-122	X-123	X-124	X-125	X-126	X-127
X-128									

Tabla 2

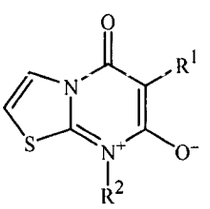
La Tabla 2 se construye igual a la Tabla 1, excepto que la estructura química debajo el encabezamiento de la Tabla 1 se reemplaza con la siguiente estructura:



Por ejemplo, el primer compuesto en la Tabla 2 es la estructura inmediatamente anterior mostrada en donde R^1 es X-1 y R^2 es Y-1 como se definió para la Tabla 1.

Tabla 3

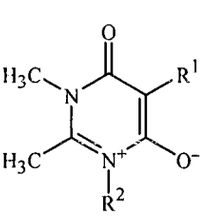
La Tabla 3 se construye igual a la Tabla 1, excepto que la estructura química debajo el encabezamiento de la Tabla 1 se reemplaza con la siguiente estructura:



Por ejemplo, el primer compuesto en la Tabla 3 es la estructura inmediatamente anterior mostrada en donde R^1 es X-1 y R^2 es Y-1 como se definió para la Tabla 1.

Tabla 4

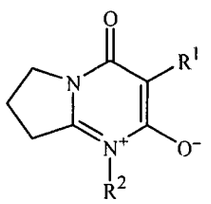
La Tabla 4 se construye igual a la Tabla 1, excepto que la estructura química debajo el encabezamiento de la Tabla 1 se reemplaza con la siguiente estructura:



Por ejemplo, el primer compuesto en la Tabla 4 es la estructura inmediatamente anterior mostrada en donde R^1 es X-1 y R^2 es Y-1 como se definió para la Tabla 1.

Tabla 5

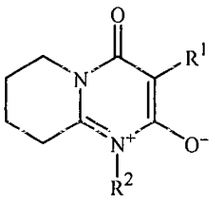
La Tabla 5 se construye igual a la Tabla 1, excepto que la estructura química debajo el encabezamiento de la Tabla 1 se reemplaza con la siguiente estructura:



Por ejemplo, el primer compuesto en la Tabla 5 es la estructura inmediatamente anterior mostrada en donde R^1 es X-1 y R^2 es Y-1 como se definió para la Tabla 1.

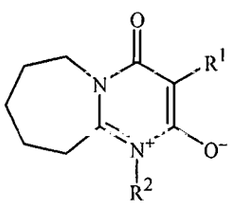
Tabla 6

La Tabla 6 se construye igual a la Tabla 1, excepto que la estructura química debajo el encabezamiento de la Tabla 1 se reemplaza con la siguiente estructura:



Por ejemplo, el primer compuesto en la Tabla 6 es la estructura inmediatamente anterior mostrada en donde R^1 es X-1 y R^2 es Y-1 como se definió para la Tabla 1.

Tabla 7



R^2 es Y-3

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-15	X-17	X-25	X-26	X-27	X-31	X-35	X-50	X-51	X-52
X-53	X-54	X-55	X-56	X-57	X-59	X-60	X-61	X-63	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-93	X-94	X-97	X-100	X-102	X-103	X-105
X-106	X-107	X-108	X-118	X-120	X-121	X-122	X-123	X-124	X-125

R^2 es Y-19

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1

X-15	X-17	X-25	X-26	X-27	X-31	X-35	X-50	X-51	X-52
X-53	X-54	X-55	X-56	X-57	X-59	X-60	X-61	X-63	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-93	X-94	X-97	X-100	X-102	X-103	X-105
X-106	X-107	X-108	X-118	X-120	X-121	X-122	X-123	X-124	X-125

R^2 es Y-20

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-15	X-17	X-25	X-26	X-27	X-31	X-35	X-50	X-51	X-52
X-53	X-54	X-55	X-56	X-57	X-59	X-60	X-61	X-63	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-93	X-94	X-97	X-100	X-102	X-103	X-105
X-106	X-107	X-108	X-118	X-120	X-121	X-122	X-123	X-124	X-125

R^2 es Y-22

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-15	X-17	X-25	X-26	X-27	X-31	X-35	X-50	X-51	X-52
X-53	X-54	X-55	X-56	X-57	X-59	X-60	X-61	X-63	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-93	X-94	X-97	X-100	X-102	X-103	X-105
X-106	X-107	X-108	X-118	X-120	X-121	X-122	X-123	X-124	X-125

R^2 es Y-30

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-15	X-17	X-25	X-26	X-27	X-31	X-35	X-50	X-51	X-52
X-53	X-54	X-55	X-56	X-57	X-59	X-60	X-61	X-63	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87

X-88	X-89	X-90	X-93	X-94	X-97	X-100	X-102	X-103	X-105
X-106	X-107	X-108	X-118	X-120	X-121	X-122	X-123	X-124	X-125

R^2 es Y-31

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-15	X-17	X-25	X-26	X-27	X-31	X-35	X-50	X-51	X-52
X-53	X-54	X-55	X-56	X-57	X-59	X-60	X-61	X-63	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-93	X-94	X-97	X-100	X-102	X-103	X-105
X-106	X-107	X-108	X-118	X-120	X-121	X-122	X-123	X-124	X-125

R^2 es Y-33

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-15	X-17	X-25	X-26	X-27	X-31	X-35	X-50	X-51	X-52
X-53	X-54	X-55	X-56	X-57	X-59	X-60	X-61	X-63	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-93	X-94	X-97	X-100	X-102	X-103	X-105
X-106	X-107	X-108	X-118	X-120	X-121	X-122	X-123	X-124	X-125

R^2 es Y-34

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-15	X-17	X-25	X-26	X-27	X-31	X-35	X-50	X-51	X-52
X-53	X-54	X-55	X-56	X-57	X-59	X-60	X-61	X-63	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-93	X-94	X-97	X-100	X-102	X-103	X-105
X-106	X-107	X-108	X-118	X-120	X-121	X-122	X-123	X-124	X-125

R^2 es Y-36

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-15	X-17	X-25	X-26	X-27	X-31	X-35	X-50	X-51	X-52
X-53	X-54	X-55	X-56	X-57	X-59	X-60	X-61	X-63	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-93	X-94	X-97	X-100	X-102	X-103	X-105
X-106	X-107	X-108	X-118	X-120	X-121	X-122	X-123	X-124	X-125

R^2 es Y-37

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-15	X-17	X-25	X-26	X-27	X-31	X-35	X-50	X-51	X-52
X-53	X-54	X-55	X-56	X-57	X-59	X-60	X-61	X-63	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-93	X-94	X-97	X-100	X-102	X-103	X-105
X-106	X-107	X-108	X-118	X-120	X-121	X-122	X-123	X-124	X-125

R^2 es Y-38

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-15	X-17	X-25	X-26	X-27	X-31	X-35	X-50	X-51	X-52
X-53	X-54	X-55	X-56	X-57	X-59	X-60	X-61	X-63	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-93	X-94	X-97	X-100	X-102	X-103	X-105
X-106	X-107	X-108	X-118	X-120	X-121	X-122	X-123	X-124	X-125

R^2 es Y-39

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-15	X-17	X-25	X-26	X-27	X-31	X-35	X-50	X-51	X-52
X-53	X-54	X-55	X-56	X-57	X-59	X-60	X-61	X-63	X-77

X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-93	X-94	X-97	X-100	X-102	X-103	X-105
X-106	X-107	X-108	X-118	X-120	X-121	X-122	X-123	X-124	X-125

R^2 es Y-40

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-15	X-17	X-25	X-26	X-27	X-31	X-35	X-50	X-51	X-52
X-53	X-54	X-55	X-56	X-57	X-59	X-60	X-61	X-63	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-93	X-94	X-97	X-100	X-102	X-103	X-105
X-106	X-107	X-108	X-118	X-120	X-121	X-122	X-123	X-124	X-125

R^2 es Y-45

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-15	X-17	X-25	X-26	X-27	X-31	X-35	X-50	X-51	X-52
X-53	X-54	X-55	X-56	X-57	X-59	X-60	X-61	X-63	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-93	X-94	X-97	X-100	X-102	X-103	X-105
X-106	X-107	X-108	X-118	X-120	X-121	X-122	X-123	X-124	X-125

R^2 es Y-49

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-15	X-17	X-25	X-26	X-27	X-31	X-35	X-50	X-51	X-52
X-53	X-54	X-55	X-56	X-57	X-59	X-60	X-61	X-63	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-93	X-94	X-97	X-100	X-102	X-103	X-105
X-106	X-107	X-108	X-118	X-120	X-121	X-122	X-123	X-124	X-125

R^2 es Y-52

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-15	X-17	X-25	X-26	X-27	X-31	X-35	X-50	X-51	X-52
X-53	X-54	X-55	X-56	X-57	X-59	X-60	X-61	X-63	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-93	X-94	X-97	X-100	X-102	X-103	X-105
X-106	X-107	X-108	X-118	X-120	X-121	X-122	X-123	X-124	X-125

R^2 es Y-53

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-15	X-17	X-25	X-26	X-27	X-31	X-35	X-50	X-51	X-52
X-53	X-54	X-55	X-56	X-57	X-59	X-60	X-61	X-63	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-93	X-94	X-97	X-100	X-102	X-103	X-105
X-106	X-107	X-108	X-118	X-120	X-121	X-122	X-123	X-124	X-125

R^2 es Y-55

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-15	X-17	X-25	X-26	X-27	X-31	X-35	X-50	X-51	X-52
X-53	X-54	X-55	X-56	X-57	X-59	X-60	X-61	X-63	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-93	X-94	X-97	X-100	X-102	X-103	X-105
X-106	X-107	X-108	X-118	X-120	X-121	X-122	X-123	X-124	X-125

R^2 es Y-64

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-15	X-17	X-25	X-26	X-27	X-31	X-35	X-50	X-51	X-52

X-53	X-54	X-55	X-56	X-57	X-59	X-60	X-61	X-63	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-93	X-94	X-97	X-100	X-102	X-103	X-105
X-106	X-107	X-108	X-118	X-120	X-121	X-122	X-123	X-124	X-125

R^2 es Y-65

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-15	X-17	X-25	X-26	X-27	X-31	X-35	X-50	X-51	X-52
X-53	X-54	X-55	X-56	X-57	X-59	X-60	X-61	X-63	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-93	X-94	X-97	X-100	X-102	X-103	X-105
X-106	X-107	X-108	X-118	X-120	X-121	X-122	X-123	X-124	X-125

R^2 es Y-66

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-15	X-17	X-25	X-26	X-27	X-31	X-35	X-50	X-51	X-52
X-53	X-54	X-55	X-56	X-57	X-59	X-60	X-61	X-63	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-93	X-94	X-97	X-100	X-102	X-103	X-105
X-106	X-107	X-108	X-118	X-120	X-121	X-122	X-123	X-124	X-125

R^2 es Y-67

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-15	X-17	X-25	X-26	X-27	X-31	X-35	X-50	X-51	X-52
X-53	X-54	X-55	X-56	X-57	X-59	X-60	X-61	X-63	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-93	X-94	X-97	X-100	X-102	X-103	X-105

X-106	X-107	X-108	X-118	X-120	X-121	X-122	X-123	X-124	X-125

R^2 es Y-68

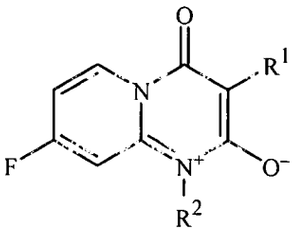
R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-15	X-17	X-25	X-26	X-27	X-31	X-35	X-50	X-51	X-52
X-53	X-54	X-55	X-56	X-57	X-59	X-60	X-61	X-63	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-93	X-94	X-97	X-100	X-102	X-103	X-105
X-106	X-107	X-108	X-118	X-120	X-121	X-122	X-123	X-124	X-125

R^2 es Y-69

R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1	R^1
X-15	X-17	X-25	X-26	X-27	X-31	X-35	X-50	X-51	X-52
X-53	X-54	X-55	X-56	X-57	X-59	X-60	X-61	X-63	X-77
X-78	X-79	X-80	X-81	X-82	X-83	X-84	X-85	X-86	X-87
X-88	X-89	X-90	X-93	X-94	X-97	X-100	X-102	X-103	X-105
X-106	X-107	X-108	X-118	X-120	X-121	X-122	X-123	X-124	X-125

Tabla 8

Tabla 8 se construye igual a la Tabla 7, excepto que la estructura química debajo el encabezamiento de la Tabla 7 se reemplaza con la siguiente estructura:



Por ejemplo, el primer compuesto en la Tabla 8 es la estructura inmediatamente anterior mostrada en donde R^1 es X-15 y R^2 es Y-3 como se definió para la Tabla 7.

En general, 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 de 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 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 concentrado emulsificable, concentrado microemulsificable, 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 emulsificables combinan las ventajas de las formulaciones concentradas emulsificables y de las formulaciones de gránulos secos. Las composiciones de alta resistencia se usan principalmente como intermedios para más formulaciones.

Por lo general, las formulaciones atomizables se dispensan en un medio apropiado antes de atomizar. Estas formulaciones líquidas y sólidas se formulan para diluirse fácilmente en el medio atomizador, comúnmente, agua. Los volúmenes de aerosol pueden variar de aproximadamente uno a varios miles de litros por hectárea, pero más habitualmente se encuentran en el intervalo de aproximadamente diez a varios cientos de litros por hectárea. Las formulaciones atomizables 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 dosificar directamente en los sistemas de irrigación por goteo o en los surcos durante la siembra. Las formulaciones líquidas y sólidas pueden aplicarse sobre semillas de cultivos y otra vegetación que se desee como tratamientos de semillas antes de la siembra para proteger las raíces en desarrollo y otras partes subterráneas de la planta y/o follaje por absorción sistémica.

Por lo general, 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 Activo	Diluyente	Surfactante
Gránulos, tabletas y polvos dispersables y solubles en agua	0,001-90	0-99,999	0-15
Soluciones, emulsiones, suspensiones y dispersiones oleosas (que incluyen concentrados emulsificables)	1-50	40-99	0-50
Polvos	1-25	70-99	0-5
Gránulos y comprimidos	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 también incluyen 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, ricino, linaza, ajonjolí, maíz, cacahuate, girasol, semilla de

uva, cártamo, semilla de algodón, frijol de soya, semilla de colza, coco y palmiste), grasas de origen animal (por ejemplo, sebo de res, sebo porcino, manteca de cerdo, aceite de hígado de bacalao, aceite de pescado), y mezclas de éstos. Los diluyentes líquidos también incluyen 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. En general, cuando se adicionan a un líquido, los surfactantes (también conocidos como "agentes superficiales activos") modifican y, con mayor 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, emulsificadores o agentes desespumantes.

Los surfactantes pueden clasificarse como no iónicos, aniónicos o catiónicos. Los surfactantes no iónicos útiles para las presentes composiciones incluyen, pero sin limitarse a: alcoxilatos de alcohol, tales como alcoxilatos de alcohol basados en 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 éstos; etoxilatos de amina, alcanolamidas y alcanolamidas etoxiladas; triglicéridos alcoxilados tales como frijol de soya, castor y aceite de semilla de colza etoxilados; 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 éstos); polímeros de bloques preparados a partir de óxido de etileno u óxido de propileno y polímeros de bloques inversos 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 éstos); 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) de alquido, polímeros de injerto o tipo peine y polímeros principales; 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 sin limitarse 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 estirilfenol; 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 sin limitarse 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 y Wood, *Encyclopedia of Surface Active Agents*, Chemical Publ. Co., Inc., Nueva York, 1964; y A. patente de Davidson y B. Milwidsky, *Synthetic Detergents*, Séptima Edición, John Wiley y Sons, New York, 1987.

Las composiciones de esta invención también pueden contener auxiliares y aditivos para las formulaciones, conocidos por los experimentados en la industria 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 formulaciones pueden controlar: el pH (reguladores), 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), los lavados (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.

Por lo general, 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 concentrados emulsificables, pueden prepararse, simplemente, mezclando los ingredientes. Si el solvente de una composición líquida destinada para usarse como concentrado emulsificable 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, se pueden moler en húmedo por medio del uso de molinos de medios a fin de obtener partículas con diámetros promedio menores que 3 µm. Las lechadas acuosas se pueden convertir en concentrados en suspensión terminados (véase, por ejemplo, la patente de los Estados Unidos núm. 3.060.084) o se pueden procesar adicionalmente con secado por aspersión para formar gránulos dispersables en agua. Habitualmente, las formulaciones secas requieren procesos de molienda en seco, que producen diámetros promedio de partícula en el intervalo de 2 a 10 µm. Los polvos pueden prepararse mediante mezcla y, generalmente, mediante trituración (tal como con un molino de martillo o un molino con energía fluida). Los gránulos y microesferas pueden prepararse por medio de la atomización del 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. patente de Woods, "The Formulator's Toolbox - Product Forms for Modern Agriculture" en *Pesticide Chemistry and Bioscience, The Food-Environment Challenge*, T. Brooks y T. R. Roberts, Eds., Actas del 9.° Congreso Internacional sobre Química de Pesticidas, The Royal Society of Chemistry, Cambridge, 1999, págs. 120-133. Véanse también la patente de los Estados Unidos núm. 3.235.361, de Col. 6, línea 16 a Col. 7, línea 19, y los Ejemplos 10-41; la patente de los Estados Unidos núm. 3.309.192, de 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 y 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, Inglaterra, 2000.

En los ejemplos siguientes, todas las formulaciones se preparan de manera convencional. Los números de los compuestos se refieren a los compuestos indicados en las Tablas A-Γ 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 7	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 %
Aluminosilicato de sodio	6,0 %
Montmorillonita (calcinada)	23,0 %

Ejemplo C

Gránulo

Compuesto 138	10,0 %
Gránulos de attapulguita (materia con baja volatilidad, 0,71/0,30 mm; Tamices estándar de los EE. UU. núms. 25-50)	90,0 %

Ejemplo D

Microesfera extrudida

Compuesto 157	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 7	10,0 %

Hexaoleato de sorbitol polioxietilenado	20,0 %
Éster metílico de ácidos grasos de C_6-C_{10}	70,0 %

Ejemplo F

Microemulsión

Compuesto 50	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 138	20,00 %
Copolímero de polivinilpirrolidona-acetato de vinilo	5,00 %
Ácido de cera de montana	5,00 %
Sulfonato de lignina de calcio	1,00 %
Copolímeros de bloque de polioxietileno/polioxipropileno	1,00 %
Alcohol estearílico (POE 20)	2,00 %
Poliorganosilano	0,20 %
Colorante rojo/tintura	0,05 %

Agua	65,75 %

Ejemplo H

Barra fertilizante

Compuesto 157	2,50 %
Copolímero de pirrolidona-estireno	4,80 %
Tristirilfenil con 16 moles de etoxilato	2,30 %
Talco	0,80 %
Almidón de maíz	5,00 %
Nitrofosca® Permanente de 15-9-15, fertilizante de liberación lenta (BASF)	36,00 %
Caolín	38,00 %
Agua	10,60 %

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 de follaje (que incluye hojas, tallos, flores y frutos), semillas, madera, fibras textiles o sangre o tejido animal, y que de este modo causan daño o perjuicios a, por ejemplo, cultivos agronómicos en crecimiento o almacenados, bosques, cultivos de invernaderos, viveros u ornamentales, comestibles

o productos de fibra almacenados, o casas u otras estructuras o su contenido, o que son nocivas para la salud pública o la salud animal. 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 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. Está utilidad incluye proteger los cultivos y otras plantas (es decir, tanto agronómicas como no agronómicas) que contienen el material genético introducido por ingeniería genética (es decir, transgénicas) o modificadas por mutagénesis para proporcionar rasgos ventajosos. Los ejemplos de estas características incluyen tolerancia a herbicidas, resistencia a plagas fitófagas (por ejemplo, insectos, ácaros, áfidos, arañas, nemátodos, caracoles terrestres, hongos, bacterias y virus patogénicos de las plantas), crecimiento mejorado de la planta, aumento de la tolerancia ante condiciones adversas de crecimiento, tales como temperaturas altas y bajas, humedad del suelo alta o baja, y alta salinidad, aumento en la floración o los frutos, mayor rendimiento de la cosecha, aceleración de la maduración, calidad o y/o valor nutricional más alto del

producto cosechado, o propiedades mejoradas 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 soya 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. Son de interés las composiciones que comprenden por lo 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 se pueden preparar al mezclar el compuesto o la composición de la presente invención con la composición fertilizante junto con los ingredientes de formulación para luego preparar la formulación usando métodos tales como granulación o extrusión. Alternativamente, las formulaciones sólidas se pueden preparar al atomizar una solución o suspensión de un compuesto o una composición de la presente invención en un solvente volátil sobre una composición fertilizante preparada previamente en la forma de mezclas

dimensionalmente estables, por ejemplo, gránulos, pequeñas tabletas o barras, y luego evaporar el solvente.

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), El gusano cogollero de la remolacha (*Spodoptera exigua* Hübner), el gusano de las hojas del algodón (*Spodoptera littoralis* Boisduval), el gusano cogollero de rayas amarillas (*Spodoptera ornithogalli* Guenée), la oruga negra (*Agrotis ipsilon* Hufnagel), la oruga de las leguminosas (*Anticarsia gemmatalis* Hübner), el gusano verde del fruto (*Lithophane antennata* Walker), el gusano cogollero de la col (*Barathra brassicae* Linnaeus), la oruga medidora de la soja (*Pseudoplusia includens* Walker), la oruga medidora de la col (*Trichoplusia ni* Hübner), la oruga del capullo del tabaco (*Heliothis virescens* Fabricius)); los barrenadores, los taladrillos, los gusanos tejedores, los gusanos de las bellotas del pino, los gusanos esqueletizadores y las orugas de la col de la familia piralidae (por ejemplo, el taladro europeo del maíz (*Ostrinia nubilalis* Hübner), gusano de la naranja de California (*Amyelois transitella* Walker), la oruga tejedora de

la raíz del maíz (*Crambus caliginosellus* Clemens), los gusanos del césped (piralidae: *Crambinae*) tales como el gusano blanco (*Herpetogramma licarsisalis* Walker), el barrenador del tallo de caña de azúcar (*Chilo infuscatellus* Snellen), el barrenador del fruto del tomate (*Neoleucinodes elegantalis* Guenée), el gusano verde enrollador de la hoja (*Cnaphalocerus medinalis*), el gusano enrollador de la uva (*Desmia funeralis* Hübner), el gusano del melón (*Diaphania nitidalis* Stoll), la larva del corazón de la col (*Helluala hydralis* Guenée),el barrenador amarillo del tallo (*Scirpophaga incertulas* Walker), el taladrador de primeros brotes (*Scirpophaga infuscatellus* Snellen), el taladrador blanco de tallo (*Scirpophaga innotata* Walker),el barrenador del brote superior (*Scirpophaga nivella* Fabricius),el barrenador de cabeza negra del tallo del arroz (*Chilo polichrysus* Meyrick), el gusano de la cabeza del la col (*Crocidolomia binotalis* English)); los enrolladores de hojas, los gusanos del capullo, los gusanos de las semillas y los gusanos de los frutos de la familia Tortricidae (por ejemplo, la polilla de la manzana (*Cydia pomonella* Linnaeus), la polilla de la uva (*Endopiza viteana* Clemens), la polilla oriental de la fruta (*Grapholita molesta* Busck), la polilla falsa de los cítricos (*Cryptophlebia leucotreta* Meyrick), el taladrador de cítricos (*Ecdytolopha aurantiana* Lima), el enrollador de hojas bandas rojas (*Argyrotaenia velutinana* Walker), el enrollador de la hoja de bandas oblicuas (*Choristoneura rosaceana* Harris), la

polilla marrón claro de la manzana (*Epiphyas postvittana* Walker), la polilla de bayas de la uva europea (*Eupoecilia ambiguella* Hübner), la polilla de brotes de la manzana (*Pandemis pirusana* Kearfott), el enrollador de hojas omnívoro (*Platynota stultana* Walsingham),el tortrícido de la corteza de los frutales (*Pandemis cerasana* Hübner), el tortrícido marrón de la manzana (*Pandemis heparana* Denis & Schiffermüller)); y muchos otros lepidópteros económicamente importantes (por ejemplo, la polilla de dorso de diamante (*Plutella xilostella* Linnaeus), la oruga rosada (*Pectinophora gossypiella* Saunders), la polilla gitana (*Lymantria dispar* Linnaeus),el barrenador del fruto del durazno (*Carposina niponensis* Walsingham), el barrenador del fruto del melocotonero (*Anarsia lineatella* Zeller), la polilla de la patata (*Phthorimaea operculella* Zeller), el minador moteado teniforme (*Lithocolletis blancardella* Fabricius), el minador asiático de las hojas del manzano (*Lithocolletis ringoniella* Matsumura), el enrollador de hojas del arroz (*Lerodea eufala* Edwards), el minador de hojas del manzano (*Leucoptera scitella* Zeller)); huevos, ninfas y adultos del orden de los blatodeos, incluyendo las cucarachas de las familias Blattellidae y Blattidae(por ejemplo, la cucaracha común o negral (*Blatta orientalis* Linnaeus), la cucaracha asiática(*Blatella asahinai* Mizukubo), la cucaracha alemana (*Blattella germanica* Linnaeus), la cucaracha de banda café (*Supella longipalpa* Fabricius), la cucaracha americana o

voladora (*Periplaneta americana* Linnaeus), la cucaracha café (*Periplaneta brunnea* Burmeister), la cucaracha de Madeira (*Leucophaea maderae* Fabricius)), la cucaracha marrón ahumada (*Periplaneta fuliginosa* Service),la cucaracha australiana (*Periplaneta australasiae* Fabr.), la cucaracha langosta (*Nauphoeta cinerea* Olivier) y la cucaracha adaptada a la vida subterránea (*Symploce pallens* Stephens)); huevos, y las larvas y adultos que se alimentan de las hojas, de los frutos, de la raíz, de la semilla y del tejido de vesicular del orden de los coleópteros, incluyendo los gorgojos de las familias Anthribidae, Bruchidae y Curculionidae(por ejemplo, el picudo del algodón (*Anthonomus grandis* Boheman), el gorgojo acuático del arroz (*Lissorhoptrus oryzophilus* Kuschel), el gorgojo del trigo (*Sitophilus granarius* Linnaeus),el gorgojo del arroz (*Sitophilus oryzae* Linnaeus)), la mala hierba de pasto azul anual (*Listronotus maculicollis* Dietz),el picudo de pasto azul (*Sphenophorus parvulus* Gillenhal), el picudo de los céspedes (*Sphenophorus venatus vestitus*), el picudo de Denver (*Sphenophorus cicatristriatus* Fahraeus)); pulguillas americanas, escarabajo del pepino, gusano de la raíz, escarabajos de las hojas, escarabajos de la patata, y minadores de la hoja de la familia Chrysomelidae (por ejemplo, el escarabajo de la patata de Colorado (*Leptinotarsa decemlineata* Say), el gusano de la raíz del maíz del oeste (*Diabrotica virgifera virgifera* LeConte)); escarabideos y otros escarabajos

de la familia Scarabaeidae (por ejemplo el escarabajo japonés (*Popillia japonica* Newman), el escarabajo oriental (*Anomala orientalis* Waterhouse, *Exomala orientalis* (Waterhouse) Baraud), el gusano blanco del norte (*Cyclocephala borealis* Arrow), gusano blanco del sur (*Cyclocephala immaculata* Olivier o *C. lurida* Bland), el escarabajo coprófago y el gusano blanco (Aphodius spp.), el escarabajo negro del césped (*Ataenius spretulus* Haldeman), el escarabajo verde de junio (*Cotinis nitida* Linnaeus), el escarabajo asiático de jardín (*Maladera castanea* Arrow), los escarabajos de mayo y junio (*Phillophaga* spp.) y el escarabido europeo (*Rhizotrogus majalis* Razoumowsky)); los escarabajos de las alfombras, de la familia Dermestidae; los gusanos alambre de la familia Elateridae; los escarabajos de la corteza de la familia Scolytidae y los escarabajos de la harina de la familia Tenebrionidae. Además, las plagas agronómicas y las no agronómicas incluyen: huevos, adultos y larvas del orden de los dermápteros incluyendo las tijerillas de la familia Forficulidae (por ejemplo, la tijerilla europea (*Forficula auricularia* Linnaeus), la tijerilla negra (*Chelisoches morio* Fabricius));)), huevos, inmaduros, adultos y ninfas del orden de los hemípteros y los homópteros tales como los insectos de plantas de la familia Miridae, las cigarras de la familia Cicadidae, los insectos saltadores (por ejemplo. *Empoasca* spp.) de la familia Cicadellidae, chinches de cama (por ejemplo, *Cimex lectularius*

Linnaeus) de la familia Cimicidae, saltadores de las 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 insectos de semillas de la familia Lygaeidae, salivazos o mosca pinta de la familia Cercopidae, chinche de la calabaza de la familia Coreidae, y chinches rojas y manchadores de la fibra del algodón de la familia pirrhocoridae. También se incluyen los huevos, larvas, ninfas y adultos del orden Acari (ácaros), tales como los ácaros arañas y los ácaros rojos de la familia Tetranychidae (por ejemplo, el ácaro rojo europeo (*Panonychus ulmi* Koch), el ácaro araña manchado (*Tetranychus urticae* Koch), los ácaros McDaniel (*Tetranychus mcdanieli* McGregor)); los ácaros del piso, en la familia Tenuipalpidae (por ejemplo, los ácaros de los cítricos (*Brevipalpus lewisi* McGregor)); ácaros de las yemas y de la erinosis en la familia Eriophyidae y otros ácaros folífagos y los ácaros importantes para la salud de los humanos y de los animales, es decir, los ácaros del polvo en la familia

Epidermoptidae, los ácaros foliculares en la familia Demodicidae, los ácaros de los granos en la familia Glycyphagidae; las garrapatas de la familia Ixodidae, comúnmente conocidas como las garrapatas duras (por ejemplo, la garrapata de pata negra o de los ciervos (*Ixodes scapularis* Say), la garrapata de Australia que produce parálisis (*Ixodes holocyclus* Neumann), la garrapata americana del perro (*Dermacentor variabilis* Say), la garrapata estrella solitaria (*Amblyomma americanum* Linnaeus)) y las garrapatas de la familia Argasidae, comúnmente conocidas como las garrapatas blandas (por ejemplo, las garrapatas de la fiebre recurrente (*Ornithodoros turicata*), las garrapatas de las aves comunes (*Argas radiatus*)); los ácaros de la sarna y del picor en las familias Psoroptidae, Pyemotidae y Sarcoptidae; huevos, adultos e inmaduros del orden de los ortópteros incluyendo los saltamontes, las langostas y los grillos (por ejemplo, el saltamontes migratorio (por ejemplo, *Melanoplus sanguinipes* Fabricius, *M. differentialis* Thomas), los saltamontes americanos (por ejemplo, *Schistocerca americana* Drury), la langosta del desierto (*Schistocerca gregaria* Forskal), la langosta migratoria (*Locusta migratoria* Linnaeus), la langosta de monte (*Zonocerus* spp.), el grillo doméstico (*Acheta domesticus* Linnaeus), los grillos topo (por ejemplo, el grillo topo leonado (*Scapteriscus vicinus* Scudder) y el grillo topo del sur (*Scapteriscus borellii* Giglio-Tos)); huevos, adultos e

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21. EXPEDIENTE No. 10-95278

54. TÍTULO _____ 3/3

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51. CLASIFICACIÓN INTERNACIONAL _____

71. SOLICITANTE _____

DOMICILIO _____

74. APODERADO _____

22. BOGOTÁ, D.C., _____

(FORMA P-10)

inmaduros del orden de los dípteros incluyendo los minadores de hojas (por ejemplo., *Liriomyza* spp. tales como el minador serpentina de la hoja de vegetal (*Liriomyza sativae* Blanchard)), mosquitos, las moscas de la fruta (Tephritidae), las moscas fritas (por ejemplo, *Oscinella frit* Linnaeus), las moscas del suelo o del sustrato, las moscas domésticas (por ejemplo, *Musca domestica* Linnaeus), las moscas domésticas menores (por ejemplo, *Fannia canicularis* Linnaeus, *F. femoralis* Stein), la mosca estable (por ejemplo, *Stomoxis calcitrans* Linnaeus), las moscas faciales, las moscas de los cuernos, las moscas de la muerte (por ejemplo., *Chrysomya* spp., *Phormia* spp.), y otras plagas de moscas muscoides, mosca del caballo (por ejemplo., *Tabanus* spp.), los colmoyotes (por ejemplo, *Gastrophilus* spp., *Oestrus* spp.), los tórsalos o gusanos blancos del ganado (por ejemplo., *Hypoderma* spp.), las mosca del ciervo (por ejemplo., *Chrysops* spp.), las mosca o piojo de la oveja (por ejemplo, *Melophagus ovinus* Linnaeus) y otros braquíceros, los mosquitos (por ejemplo., *Aedes* spp., *Anopheles* spp., *Culex* spp.), la moscanegra (por ejemplo., *Prosimulium* spp., *Simulium* spp.), los jejenes, los mosquitos simúlidos, ciáridos, y otros nematóceros; huevos, adultos y prematuros del orden de los tisanóptero incluyendo los trips de la cebolla (*Thrips tabaci* Lindeman), los pulgones de las flores (*Frankliniella* spp.), y otros pulgones de alimentación foliar; las plagas de insectos del orden de los himenópteros incluyendo

hormigas de la Familia Formicidae que incluyen la hormigas carpintero de Florida (*Camponotus floridanus* Buckley), la hormiga carpintera roja (*Camponotus ferrugineus* Fabricius), la hormiga carpintera negra (*Camponotus pennsilvanicus* De Geer), la hormiga de pie blanco (*Technomyrmex albipes* fr. Smith), las hormigas cabezonas (*Pheidole* sp.), la hormiga 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 incluyen las abejas (que incluyen las abejas carpintero), los avispones, las avispas de chaqueta amarilla, las avispas y las moscas de sierra (*Neodiprion* spp.; *Cephus* spp.); las plagas de insectos del orden de los isópteros incluyendo las termitas en 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), la termita subterránea

occidental (*Reticulitermes hesperus* Banks), la termita subterránea de Formosa (*Coptotermes formosanus* Shiraki), la termita de la madera seca de las Indias Occidentales (*Incisitermes immigrans* Snyder), la termita de los muebles (*Cryptotermes brevis* Walker), la termita de la madera seca (*Incisitermes snyderi* Light), la termita subterránea sudoriental (*Reticulitermes virginicus* Banks), la termita de la madera seca occidental (*Incisitermes minor* Hagen), las termitas arbóreas tales como *Nasutitermes* sp. y otras termitas de importancia económica; las plagas de insectos del orden de los tisanura tal como la lepisma (*Lepisma saccharina* Linnaeus) y el insecto de fuego (*Thermobia domestica* Packard); las plagas de insectos del orden de los mallofagos incluyendo el piojo de la cabeza (*Pediculus humanus capitis* De Geer), el piojo del cuerpo (*Pediculus humanus* Linnaeus), el piojo del cuerpo de la gallina (*Menacanthus stramineus* Nitszch), el piojo mordedor del perro (*Trichodectes canis* De Geer), el piojo del plumón (*Goniocotes gallinae* De Geer), el piojo del cuerpo de las ovejas (*Bovicola ovis* Schrank), el piojo de nariz corta del ganado (*Haematopinus eurysternus* Nitzsch), el piojo de nariz larga del ganado (*Linognathus vituli* Linnaeus) y otros piojos parásitos chupadores y mascadores que atacan al hombre y a los animales; las plagas de insectos del orden de los sifonápteros que incluyen la pulga de rata (*Xenopsilla cheopis* Rothschild), la pulga de gato (*Ctenocephalides felis* Bouche), la pulga de perro

(*Ctenocephalides canis* Curtis), la pulga de gallina (*Ceratophillus gallinae* Schrank), la pulga pegajosa de las aves (*Echidnophaga gallinacea* Westwood), la pulga del ser humano (*Pulex irritans* Linnaeus) y otras pulgas que afectan a los mamíferos y las aves Plagas adicionales de artrópodos cubiertas incluyen: las arañas en el orden de los arácnidos, tales como la araña café o violinista (*Loxosceles reclusa* Gertsch & Mulaik) y la araña viuda negra (*Latrodectus mactans* Fabricius), y los centípedos en el orden de los escutigeromorfos tales como el ciempiés doméstico (*Scutigera coleoptrata* Linnaeus). Las composiciones de la presente invención también pueden tener actividad sobre los miembros de las clases de nemátodos, cestodos, tremátodos y acantocéfalos incluyendo los miembros de importancia económica del orden de los estrongilidos, ascáridos, oxiuridos, rabdítidos, espiruridos y enóplidos, tales como, pero no limitado a, las plagas agrícolas de importancia económica (es decir, los nemátodos del nudo de la raíz, en el género *Meloidogyne*, los nemátodos de la lesión en el género *Pratilenchus*, los nemátodos rechonchos de la raíz, en el género *Trichodorus*, etc.) y las plagas de la salud animal y humana (es decir, todos los tremátodos, tenias y lombrices de importancia económica, tales como *Strongilus vulgaris* en los caballos, *Toxocara canis* en los perros, *Haemonchus contortus* en los ovinos, *Dirofilaria immitis* Leidy en los perros,

Anoplocephala perfoliata en los caballos, *Fasciola hepatica* Linnaeus en los rumiantes, etc.).

Los compuestos de la invención muestran actividad particularmente alta contra las plagas en el orden de los lepidópteros (por ejemplo, *Alabama argillacea* Hübner (el gusano de la hoja del algodón), *Archips argyrospila* Walker (el enrollador de hojas de los frutos), *A. rosana* Linnaeus (el enrollador de hojas europeo) y otros de la especie *Archips Chilo suppressalis* Walker (el barrenador del tallo del arroz), *Cnaphalocrosis medinalis* Guenée (el enrollador de hojas de arroz), *Crambus caliginosellus* Clemens (la oruga tejedora de la raíz del maíz), *Crambus teterrellus* Zincken (los gusanos tejedores del pasto azul), *Cydia pomonella (gusano de la pera y la manzana)* Linnaeus (la polilla de la manzana), *Earias insulana* Boisduval (oruga espinosa del algodonero), *Earias vittella* Fabricius (el gusano moteado), *Helicoverpa armigera* Hübner (el gusano Americano), *Helicoverpa zea* Boddie (el gusano de la mazorca), *Heliothis virescens* Fabricius (gusano bellotero del algodonero), *Herpetogramma licarsisalis* Walker (el gusano del césped), *Lobesia botrana* Denis & Schiffermüller (la polilla de la uva), *Pectinophora gossypiella* Saunders (el oruga rosada), *Phillocnistis citrella* Stainton (el minador de cítricos), *Pieris brassicae* Linnaeus (mariposa blanca grande de la col), *Pieris rapae* Linnaeus (mariposa blanca pequeña de la

col), *Plutella xylostella* Linnaeus (la polilla de dorso de diamante), *Spodoptera exigua* Hübner (gusano soldado del betabel), *Spodoptera litura* Fabricius (el gusano gris del tabaco, el gusano de racimo), *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 también tienen una actividad significativa en los miembros del orden de los homópteros 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 ceniciento 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), and *Toxoptera citricida* Kirkaldy (pulgón café de los cítricos); *Adelges* spp. (adélgidos); *Phylloxera devastatrix* Pergande (la filoxera del nogal americano); *Bemisia tabaci* Gennadius (la mosca blanca del tabaco, la mosca blanca del camote), *Bemisia argentifolii* Bellows & Perring (la mosca blanca de la hoja plateada), *Dialeurodes citri* Ashmead (la mosca blanca de los cítricos) y *Trialeurodes vaporariorum* Westwood (la mosca blanca de los invernaderos); *Empoasca fabae* Harris (saltador de la patata), *Laodelphax striatellus* Fallen (saltador de plantas marrón), *Macrolestes quadrilineatus* Forbes (el saltador del áster), *Nephotettix cinticeps* Uhler (el saltador verde), *Nephotettix nigropictus* Stål (el saltador del arroz), *Nilaparvata lugens* Stål (el saltador marrón), *Peregrinus maidis* Ashmead (saltador de la planta del maíz), *Sogatella furcifera* Horvath (saltador de lomo blanco), *Sogatodes orizicola* Muir (delfácidos del arroz), *Typhlocyba pomaria*

McAtee chicharrita blanca del manzano, *Erythroneoura* spp. (la chicharritas de la vid); *Magicidada septendecim* Linnaeus (la cigarra periódica); *Icerya purchasi* Maskell (escama algodonosa), *Quadraspidiotus perniciosus* Comstock (escama de San Jose); *Planococcus citri* Risso (chinches harinosas de los cítricos); *Pseudococcus* spp. (otros del complejo de chinches harinosas); *Cacopsilla piricola* Foerster (psílido del peral), *Trioza diospiri* Ashmead (psílidos del caqui).

Los compuestos de esta invención también pueden tener actividad sobre los miembros del orden de los hemípteros 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 tisanópteros (por ejemplo, *Frankliniella occidentalis* Pergande (trip occidental de las flores), *Scirthothrips citri* Moulton (el trip del cítrico), *Sericothrips variabilis* Beach (trips del frijol de soya), y *Thrips tabaci* Lindeman (trips de la cebolla); y el orden de los coleópteros (por ejemplo, *Leptinotarsa decemlineata* Say (el escarabajo de patata de Colorado), *Epilachna varivestis* Mulsant (el escarabajo mexicano del frijol) y las larvas de los géneros *Agriotes*, *Athous* o *Limonius*).

Obsérvese que algunos sistemas de clasificación contemporáneos sitúan a los homópteros como un suborden dentro del orden de los hemípteros.

Cabe destacar el uso de los compuestos de esta invención para controlar el saltador de la patata (*Empoasca fabae*). Cabe destacar el uso de los compuestos de esta invención para controlar el saltador de la planta del maíz (*Peregrinus maidis*). Es de interés el uso de compuestos de esta invención para controlar el áfido algodonoso del melón (*Aphis gossypii*). Es de interés el uso de compuestos de esta invención para controlar el pulgón verde del melocotonero (*Myzus persicae*). Es de interés el uso de compuestos de esta invención para controlar la polilla de dorso de diamante (*Plutella xylostella*). Es de interés el uso de compuestos de

esta invención para controlar el gusano cogollero (*Spodoptera frugiperda*).

Es de destacar el uso de los compuestos de esta invención para el control de la chinche verde (*Nezara viridula*), la chinche manchadora occidental (*Lygus hesperus*), el gorgojo acuático del arroz (*Lissorhoptrus oryzophilus*), saltador de plantas marrón del arroz (*Nilaparvata lugens*), el saltador verde del arroz (*Nephotettix virescens*) y el 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 consiguiente, la presente invención también se refiere a una composición que comprende una cantidad biológicamente eficaz de un compuesto de Fórmula **1**, al menos un compuesto adicional seleccionado del grupo que consiste de surfactantes, diluyentes sólidos y diluyentes líquidos y, al

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 atomizador) o, alternativamente, aplicarse secuencialmente.

Los ejemplos de esos compuestos o agentes biológicamente activos con los cuales los compuestos de esta invención pueden formularse son los insecticidas tales como abamectina, acefato, acequinocil, acetamiprid, acrinatrina, amidoflumet, amitraz, avermectina, azadiractina, metil azinfos, bifentrina, bifenazato, bistrifluron, borato, 3-bromo-1-(3-cloro-2-piridinil)-*N*-[4-ciano-2-metilo-6-[(metilamino)carbonil]fenilo]-1*H*-pirazol-5-carboxamida (ciantraniliprol), buprofezina, cadusafos, carbarilo, carbofurano, cartap, carzol, clorantraniliprol, clorfenapir, clorfluazuron, clorpirifos, clorpirifos-metilo, cromafenozida, clofentezin, clotianidina, ciflumetofeno, ciflutrina, beta-ciflutrina, cihalotrina, gamma-cihalotrina, lambda-cihalotrina, cipermetrina, alfa-cipermetrina, zeta-cipermetrina, ciromazina, deltametrina, diafentiuron,

diazinon, dieldrina, diflubenzurón, dimeflutrina, dimehipo, dimetoato, dinotefuran, diofenolan, emamectina, ensodulfán, esfenvalerato, etiprol, etofenprox, etoxazol, óxido de fenbutatina, fenotiocarb, fenoxicarb, fenpropatrina, fenvaleriato, fipronil, flonicamid, flubendiamida, flucitrinato, flufenerim, flufenoxuron, fluvalinato, tau-fluvalinato, fonofós, formetanato, fostiazato, halofenozida, hexaflumuron, hexitiazox, hidrametilnon, imidacloprid, indoxacarb, jabones insecticidas, isofenfos, lufenuron, malatión, metaflumizona, metaldehído, metamidofos, metidation, metiodicarb, metomilo, metopreno, metoxicloro, metoflutrina, monocrotofos, metoxifenozida, nitenpiram, nitiazina, novaluron, noviflumuron, oxamil, paration, paration-metilo, permetrina, forato, fosalona, fosmet, fosfamidon, 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, tiacloprid, tiametoxam, tiodicarb, tiosultap-sodio, tolfenpirad, tralometrina, triazamato, triclorfón, triflumuron, *Bacillus thuringiensis* delta-endotoxinas, bacterias entomopatogénicas, virus entomopatogénicos y hongos entomopatogénicos.

De particular interés son los insecticidas tales como abamectina, acetamiprida, acrinatrina, amitraz, avermectina, azadiractina, bifentrina, 3-bromo-1-(3-cloro-2-piridinil)-*N*-[4-ciano-2-metil-6-[(metilamino)carbonil]fenil]-1*H*-pirazol-5-carboxamida (ciantraniliprol), buprofezina, cadusafos, carbarilo, cartap, clorantraniliprol, clorfenapir, clorpirifos, clotianidina, ciflutrina, beta-ciflutrina, cihalotrina, gamma-cihalotrinaa, lambda-cihalotrina, cipermetrina, alfa-cipermetrina, zeta-cipermetrina, ciromacina, deltametrina, dieldrina, dinotefurán, diofenolan, emamectina, ensodulfán, 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, novalurón, 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 *Nucleo polyhydrosis*.

Una modalidad de agentes biológicos para mezclar con los compuestos de esta invención incluye las bacterias entomopatógenas tales como el *Bacillus thuringiensis*, y las endotoxinas delta encapsuladas de *Bacillus thuringiensis* tales como los bioinsecticidas MVP® y MVPII® preparados por el proceso de CellCap® (CellCap®, MVP® y MVPII® son marcas registradas de Mycogen Corporation, Indianapolis, Indiana, Estados Unidos); los hongos entomopatógenos tales como el hongo de la muscardina verde; y los virus entomopatógenos (tanto los de origen natural como los genéticamente modificados) incluyendo el baculovirus, el virus nucleopolihedro (VPN) tal como el virus nucleopoliedro *Helicoverpa zea* (HzNPV), el virus nucleopoliedro *Anagrapha falcifera* (AfNPV); y el virus de la granulosis (GV) tal como el virus de la granulosis *Cydia pomonella* (CpGV).

Es de particular interés 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 por lo menos otro ingrediente activo para controlar plagas de invertebrados que tenga un espectro similar de control, pero un sitio distinto de acción, será especialmente ventajoso para el manejo de la resistencia. Así, una composición de la presente invención puede comprender, también una cantidad

biológicamente eficaz de al menos un ingrediente activo para el control de plagas de invertebrados adicional que tiene un espectro de control similar, 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 sin limitarse 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 la colinestera tales como clorpirifos, metomil, oxamil, tiodicarb y triazamato; neonicotinoides tales como acetamiprid, clotianidina, dinotefuran, imidacloprid, nitenpiram, nitiazina, tiacloprid y tiametoxam; lactonas macrocíclicas insecticidas tales como espinetoram, espinosad, abamectina, avermectina y emamectina; antagonistas del canal de cloro gobernado por GABA (y -ácido aminobutírico) tales como avermectina o bloqueadores tales como etiprol y fipronil; inhibidores de la síntesis de quitina tales como buprofezina, ciromazina, flufenoxuron, hexaflumuron, lufenuron, novaluron, noviflumuron y triflumuron; mímicos de la hormona juvenil tales como diofenolan, fenoxicarb, metopreno y piriproxifeno; ligandos del receptor de octopamina tales como amitraz; inhibidores de muda y

agonistas de ecdisona tales como azadiractina, metoxifenozida y tebufenozida; ligandos del receptor de rianodina such as rianodina, diamidas antranílicos tales como clorantraniliprol (ver patente de los Estados Unidos 6.747.047, Publicaciones PCT WO 2003/015518 y WO 2004/067528) y flubendiamida (ver patente de los Estados Unidos 6.603.044); análogos de la nereistoxina tal como cartap; inhibidores del transporte de electrones en la mitocondria tales como clorfenapir, hidrametilnon y piridaben; inhibidores de la biosíntesis de lípidos tales como espirodiclofen y espiromesifeno; insecticidas de ciclodieno tales como dieldrina o ensodulfán; piretroide; carbamatos; ureas insecticidas; y agentes biológicos que incluyen virus nucleopolihedros (NPV), miembros de *Bacillus thuringiensis*, endotoxinas delta encapsuladas de *Bacillus thuringiensis*, y otros virus insecticidas que existen de manera natural o genéticamente modificados.

Otros ejemplos de compuestos o agentes biológicamente activos con los que los compuestos de esta invención se pueden formular son: fungicidas tales como acibenzolar, aldimorf, amisulbrom, azaconazol, azoxiestrobina, benalaxilo, benomilo, bentiavalicarb, bentiavalicarb-isopropilo, binomial, bifenilo, bitertanol, blasticidin-S, caldo bordelés (sulfato de cobre tribásico), boscalid/nicobifen, bromuconazol, bupirimato, butiobato,

carboxina, carpropamid, captafol, captán, carbendazim, cloroneb, clorotalonil, clozolinato, clotrimazol, oxicloruro de cobre, sales de cobre tales como sulfato de cobre y hidróxido de cobre, ciazofamid, ciflunamid, cimoxanil, ciproconazol, ciprodinil, diclofluanid, diclocimet, diclomezina, dicloran, dietofencarb, difenoconazol, dimetomorf, dimoxiestrobina, diniconazol, diniconazol-M, dinocap, discostrobina, ditianon, dodemorf, dodina, econazol, etaconazol, edifenfos, epoxiconazol, etaboxam, etirimol, etridiazol, famoxadona, fenamidona, fenarimol, fenbuconazol, fencaramid, fenfuram, fenhexamida, fenoxanil, fenpiclonil, fenpropidin, fenpropimorf, acetato de fentina, hidróxido de fentina, ferbam, ferfurazoato, ferimzona, fluazinam, fludioxonil, flumetover, fluopicolide, fluoxaestrobina, fluquinconazol, fluquinconazol, flusilazol, flusulfamida, flutolanil, flutriafol, folpet, fosetil-aluminio, fuberidazol, furalaxil, furametapir, hexaconazol, himexazol, guazatina, imazalil, imibenconazol, iminoctadina, yodicarb, ipconazol, iprobenfos, iprodiona, iprovalicarb, isoconazol, isoprotiolan, kasugamicina, kresoxim-metilo, mancozeb, mandipropamid, maneb, mapanipirin, mefenoxam, mepronil, metalaxil, metconazol, metasulfocarb, metiram, metominoestrobina/fenominoestrobina, mepanipirim, metrafenona, miconazol, miclobutanilo, neo-asozina (metanoarsonato férrico), nuarimol, octilinona, ofurace,

orisaestrobina, oxadixil, ácido oxolínico, oxpoconazol, oxicarboxina, paclobutrazol, penconazol, pencicuron, pentiopirad, perfurazoato, ácido fosfónico, ftalida, picobenzamid, picoxiestrobina, polioxina, probenazol, procloraz, procimidona, propamocarb, propamocarb-hidrocloruro, propiconazol, propineb, proquinazid, protioconazol, piracloestrobina, priazofos, pirifenox, pirimetanil, pirifenox, pirolnitrina, piroquilona, quinconazol, quinoxifeno, quintoceno, siltiofam, simeconazol, espiroxamina, estreptomicina, azufre, tebuconazol, tecraceno, tecloftalam, tecnaceno, tetraconazol, tiabendazol, tifluzamida, tiofanato, tiofanato-metilo, tiram, tiadinil, tolclofos-metilo, tolifluanid, triadimefon, triadimenol, triarimol, triazóxido, tridemorf, trimoprhamida triciclazol, trifloxiestrobina, triforina, triticonazol, uniconazol, validamicina, vinclozolina, zineb, ziram, y zoxamida; nematocida tales como aldicarb, imiciafos, oxamilo y fenamifos; bactericides tal como estreptomicina; acaricidas tales como amitraz, cinometionat, clorobencilato, cihexatina, dicofol, dienocloro, etoxazol, fenazaquina, óxido de fenbutatina, fenpropatrina, fenpiroximato, hexitiazox, propargita, piridaben y tebufenpirad.

En algunos ejemplos, las combinaciones de un compuesto de esta invención con otros compuestos o agentes biológicamente

activos (particularmente control de plagas de invertebrados) (es decir, ingredientes activos) pueden resultar en un efecto mayor que el 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 se produce una sinergia de los ingredientes activos para controlar la plaga de invertebrados con índices de aplicación que dan niveles agronómicamente satisfactorios de control de la plaga de invertebrados, estas combinaciones pueden ser ventajosas para reducir el costo de producción del cultivo y disminuir 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. patente de 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, Inglaterra, 2001.

Para modalidades en donde se utilizan uno o más de estos socios para las mezclas, la relación en peso de estos socios (en total) con el compuesto de Fórmula **1** es, por lo general, entre aproximadamente 1:3000 y aproximadamente 3000:1. Dignas de mención son las relaciones en peso entre aproximadamente 1:300 y aproximadamente 300:1 (por ejemplo, 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 enumera los agentes específicos para el control de plagas de invertebrados (por ejemplo, "abamectina" en la primera línea). La segunda columna de la Tabla A enumera el

modo de acción (de ser conocido) o la clase química de los agentes para el control de plagas de invertebrados. La tercera columna de la Tabla A enumera una o más modalidades de intervalos de relaciones en peso para concentraciones en las que puede aplicarse el agente para el control de plagas de invertebrados con respecto al compuesto de Fórmula **1** (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. Cabe destacar, 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 controlar plagas de invertebrados	Modo de acción o clase química	Relación en peso típica
Abamectina	Lactonas macrocíclicas	50:1 a 1:50

Agente para controlar plagas de invertebrados	Modo de acción o clase química	Relación en peso típica
Acetamiprida	Neonicotinoides	150:1 a 1:200
Amitraz	Ligandos del receptor 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
Ciflutrina	Moduladores del canal de	150:1 a

Agente para controlar plagas de invertebrados	Modo de acción o clase química	Relación en peso típica
	sodio	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
Ensodulfán	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

Agente para controlar plagas de invertebrados	Modo de acción o clase química	Relación en peso típica
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
Flonicamida		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

Agente para controlar plagas de invertebrados	Modo de acción o clase química	Relación en peso típica
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
Piridabén	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	100:1 a 1:120

Agente para controlar plagas de invertebrados	Modo de acción o clase química	Relación en peso típica
	rianodina	
espinetoram	Lactonas macrocíclicas	150:1 a 1:100
Spinosad	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
Tiacloprida	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	Agentes biológicos	50:1 a 1:10

Agente para controlar plagas de invertebrados	Modo de acción o clase química	Relación en peso típica
thuringiensis		
Delta endotoxinas deBacillus thuringiensis	Agentes biológicos	50:1 a 1:10
NPV (por ejemplo, Gemstar)	Agentes biológicos	50:1 a 1:10
(a)	Ligandos del receptor de rianodina	100:1 a 1:120

(a) 3-bromo-1-(3-cloro-2-piridinil)-*N*-[4-ciano-2-methyl-6-[(metilamino)carbonil]phenyl]-1*H*-pirazol-5-carboxamide (ciantraniliprol)

Es de interés 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 Fórmula **1**, con respecto al agente para control de plagas de invertebrados es, por lo general, entre 1000:1 y 1:1000 y, en una modalidad, entre 500:1 y 1:500, en otra modalidad, entre 250:1 y 1:200 y en otra modalidad, entre 100:1 y 1:50.

En la Tabla B se indican las modalidades de composiciones específicas que comprenden un compuesto de la Fórmula **1** (los números de los compuestos se refieren a los compuestos en la Tabla A-I) y un agente adicional para el control de las plagas de invertebrados.

Tabla B

Núm. de mezcla	Núm. de comp.	y	Agente para controlar plagas de invertebrados	Núm. de mezcla	Núm. de comp.	y	Agente para controlar plagas de invertebrados
A-1	7	y	Abamectina	B-1	50	y	Abamectina
A-2	7	y	Acetamiprida	B-2	50	y	Acetamiprida
A-3	7	y	Amitraz	B-3	50	y	Amitraz
A-4	7	y	Avermectina	B-4	50	y	Avermectina
A-5	7	y	Azadiractina	B-5	50	y	Azadiractina
A-6	7	y	Beta-ciflutrina	B-6	50	y	Beta-ciflutrina
A-7	7	y	Bifentrina	B-7	50	y	Bifentrina
A-8	7	y	Buprofezina	B-8	50	y	Buprofezina
A-9	7	y	Cartap	B-9	50	y	Cartap
A-10	7	y	Clorantraniliprol	B-10	50	y	Clorantraniliprol
A-11	7	y	Clorfenapir	B-11	50	y	Clorfenapir
A-12	7	y	Clorpirifos	B-12	50	y	Clorpirifos
A-13	7	y	Clotianidina	B-13	50	y	Clotianidina
A-14	7	y	Ciflutrina	B-14	50	y	Ciflutrina

Núm. de mezcla	Núm. de comp.	y	Agente para controlar plagas de invertebrados	Núm. de mezcla	Núm. de comp.	y	Agente para controlar plagas de invertebrados
A-15	7	y	Cialotrina	B-15	50	y	Cialotrina
A-16	7	y	Cipermetrina	B-16	50	y	Cipermetrina
A-17	7	y	Ciromacina	B-17	50	y	Ciromacina
A-18	7	y	Deltametrina	B-18	50	y	Deltametrina
A-19	7	y	Dieldrina	B-19	50	y	Dieldrina
A-20	7	y	Dinotefurano	B-20	50	y	Dinotefurano
A-21	7	y	Diofenolán	B-21	50	y	Diofenolán
A-22	7	y	Emamectina	B-22	50	y	Emamectina
A-23	7	y	Ensodulfán	B-23	50	y	Ensodulfán
A-24	7	y	Esfenvalerato	B-24	50	y	Esfenvalerato
A-25	7	y	Etiprol	B-25	50	y	Etiprol
A-26	7	y	Fenotiocarb	B-26	50	y	Fenotiocarb
A-27	7	y	Fenoxicarb	B-27	50	y	Fenoxicarb
A-28	7	y	Fenvalerato	B-28	50	y	Fenvalerato
A-29	7	y	Fipronil	B-29	50	y	Fipronil
A-30	7	y	Flonicamida	B-30	50	y	Flonicamida
A-31	7	y	Flubendiamida	B-31	50	y	Flubendiamida
A-32	7	y	Flufenoxurón	B-32	50	y	Flufenoxurón
A-33	7	y	Hexaflumurón	B-33	50	y	Hexaflumurón
A-34	7	y	Hidrametilnon	B-34	50	y	Hidrametilnon
A-35	7	y	Imidacloprid	B-35	50	y	Imidacloprid

Núm. de mezcla	Núm. de comp.	y	Agente para controlar plagas de invertebrados	Núm. de mezcla	Núm. de comp.	y	Agente para controlar plagas de invertebrados
A-36	7	y	Indoxacarb	B-36	50	y	Indoxacarb
A-37	7	y	Lambda cialotrina	B-37	50	y	Lambda cialotrina
A-38	7	y	Lufenurón	B-38	50	y	Lufenurón
A-39	7	y	Metaflumizona	B-39	50	y	Metaflumizona
A-40	7	y	Metomilo	B-40	50	y	Metomilo
A-41	7	y	Metopreno	B-41	50	y	Metopreno
A-42	7	y	Metoxifenozida	B-42	50	y	Metoxifenozida
A-43	7	y	Nitenpiram	B-43	50	y	Nitenpiram
A-44	7	y	Nitiazina	B-44	50	y	Nitiazina
A-45	7	y	Novalurona	B-45	50	y	Novalurona
A-46	7	y	Oxamil	B-46	50	y	Oxamil
A-47	7	y	Pimetrozina	B-47	50	y	Pimetrozina
A-48	7	y	Piretrina	B-48	50	y	Piretrina
A-49	7	y	Piridabén	B-49	50	y	Piridabén
A-50	7	y	Piridalilo	B-50	50	y	Piridalilo
A-51	7	y	Piriproxifeno	B-51	50	y	Piriproxifeno
A-52	7	y	Rianodina	B-52	50	y	Rianodina
A-53	7	y	Espinetoram	B-53	50	y	Espinetoram
A-54	7	y	Spinosad	B-54	50	y	Spinosad
A-55	7	y	Espirodiclofeno	B-55	50	y	Espirodiclofeno
A-56	7	y	Espiromesifeno	B-56	50	y	Espiromesifeno

Núm. de mezcla	Núm. de comp.	y	Agente para controlar plagas de invertebrados	Núm. de mezcla	Núm. de comp.	y	Agente para controlar plagas de invertebrados
A-57	7	y	Tebufenozida	B-57	50	y	Tebufenozida
A-58	7	y	Tiacloprida	B-58	50	y	Tiacloprida
A-59	7	y	Tiametoxam	B-59	50	y	Tiametoxam
A-60	7	y	Tiodicarb	B-60	50	y	Tiodicarb
A-61	7	y	Tiosultap-sodio	B-61	50	y	Tiosultap-sodio
A-62	7	y	Tralometrina	B-62	50	y	Tralometrina
A-63	7	y	Triazamato	B-63	50	y	Triazamato
A-64	7	y	Triflumurón	B-64	50	y	Triflumurón
A-65	7	y	*Bacillus thuringiensis*	B-65	50	y	*Bacillus thuringiensis*
A-66	7	y	*Delta endotoxinas de Bacillus thuringiensis*	B-66	50	y	*Delta endotoxinas de Bacillus thuringiensis*
A-67	7	y	NPV (por ejemplo, Gemstar)	B-67	50	y	NPV (por ejemplo, Gemstar)
A-68	7	y	(a)	B-68	50	y	(a)
C-1	138	y	Abamectina	D-1	157	y	Abamectina
C-2	138	y	Acetamiprida	D-2	157	y	Acetamiprida
C-3	138	y	Amitraz	D-3	157	y	Amitraz
C-4	138	y	Avermectina	D-4	157	y	Avermectina
C-5	138	y	Azadiractina	D-5	157	y	Azadiractina

Núm. de mezcla	Núm. de comp.	y	Agente para controlar plagas de invertebrados	Núm. de mezcla	Núm. de comp.	y	Agente para controlar plagas de invertebrados
C-6	138	y	Beta-ciflutrina	D-6	157	y	Beta-ciflutrina
C-7	138	y	Bifentrina	D-7	157	y	Bifentrina
C-8	138	y	Buprofezina	D-8	157	y	Buprofezina
C-9	138	y	Cartap	D-9	157	y	Cartap
C-10	138	y	Clorantraniliprol	D-10	157	y	Clorantraniliprol
C-11	138	y	Clorfenapir	D-11	157	y	Clorfenapir
C-12	138	y	Clorpirifos	D-12	157	y	Clorpirifos
C-13	138	y	Clotianidina	D-13	157	y	Clotianidina
C-14	138	y	Ciflutrina	D-14	157	y	Ciflutrina
C-15	138	y	Cialotrina	D-15	157	y	Cialotrina
C-16	138	y	Cipermetrina	D-16	157	y	Cipermetrina
C-17	138	y	Ciromacina	D-17	157	y	Ciromacina
C-18	138	y	Deltametrina	D-18	157	y	Deltametrina
C-19	138	y	Dieldrina	D-19	157	y	Dieldrina
C-20	138	y	Dinotefurano	D-20	157	y	Dinotefurano
C-21	138	y	Diofenolán	D-21	157	y	Diofenolán
C-22	138	y	Emamectina	D-22	157	y	Emamectina
C-23	138	y	Ensodulfán	D-23	157	y	Ensodulfán
C-24	138	y	Esfenvalerato	D-24	157	y	Esfenvalerato
C-25	138	y	Etiprol	D-25	157	y	Etiprol
C-26	138	y	Fenotiocarb	D-26	157	y	Fenotiocarb

Núm. de mezcla	Núm. de comp.	y	Agente para controlar plagas de invertebrados	Núm. de mezcla	Núm. de comp.	y	Agente para controlar plagas de invertebrados
C-27	138	y	Fenoxicarb	D-27	157	y	Fenoxicarb
C-28	138	y	Fenvalerato	D-28	157	y	Fenvalerato
C-29	138	y	Fipronil	D-29	157	y	Fipronil
C-30	138	y	Flonicamida	D-30	157	y	Flonicamida
C-31	138	y	Flubendiamida	D-31	157	y	Flubendiamida
C-32	138	y	Flufenoxurón	D-32	157	y	Flufenoxurón
C-33	138	y	Hexaflumurón	D-33	157	y	Hexaflumurón
C-34	138	y	Hidrametilnon	D-34	157	y	Hidrametilnon
C-35	138	y	Imidacloprid	D-35	157	y	Imidacloprid
C-36	138	y	Indoxacarb	D-36	157	y	Indoxacarb
C-37	138	y	Lambda cialotrina	D-37	157	y	Lambda cialotrina
C-38	138	y	Lufenurón	D-38	157	y	Lufenurón
C-39	138	y	Metaflumizona	D-39	157	y	Metaflumizona
C-40	138	y	Metomilo	D-40	157	y	Metomilo
C-41	138	y	Metopreno	D-41	157	y	Metopreno
C-42	138	y	Metoxifenozida	D-42	157	y	Metoxifenozida
C-43	138	y	Nitenpiram	D-43	157	y	Nitenpiram
C-44	138	y	Nitiazina	D-44	157	y	Nitiazina
C-45	138	y	Novalurona	D-45	157	y	Novalurona
C-46	138	y	Oxamil	D-46	157	y	Oxamil
C-47	138	y	Pimetrozina	D-47	157	y	Pimetrozina

Núm. de mezcla	Núm. de comp.	y	Agente para controlar plagas de invertebrados	Núm. de mezcla	Núm. de comp.	y	Agente para controlar plagas de invertebrados
C-48	138	y	Piretrina	D-48	157	y	Piretrina
C-49	138	y	Piridabén	D-49	157	y	Piridabén
C-50	138	y	Piridalilo	D-50	157	y	Piridalilo
C-51	138	y	Piriproxifeno	D-51	157	y	Piriproxifeno
C-52	138	y	Rianodina	D-52	157	y	Rianodina
C-53	138	y	Espinetoram	D-53	157	y	Espinetoram
C-54	138	y	Spinosad	D-54	157	y	Spinosad
C-55	138	y	Espirodiclofeno	D-55	157	y	Espirodiclofeno
C-56	138	y	Espiromesifeno	D-56	157	y	Espiromesifeno
C-57	138	y	Tebufenozida	D-57	157	y	Tebufenozida
C-58	138	y	Tiacloprida	D-58	157	y	Tiacloprida
C-59	138	y	Tiametoxam	D-59	157	y	Tiametoxam
C-60	138	y	Tiodicarb	D-60	157	y	Tiodicarb
C-61	138	y	Tiosultap-sodio	D-61	157	y	Tiosultap-sodio
C-62	138	y	Tralometrina	D-62	157	y	Tralometrina
C-63	138	y	Triazamato	D-63	157	y	Triazamato
C-64	138	y	Triflumurón	D-64	157	y	Triflumurón
C-65	138	y	*Bacillus thuringiensis*	D-65	157	y	*Bacillus thuringiensis*
C-66	138	y	*Delta endotoxinas de Bacillus*	D-66	157	y	*Delta endotoxinas deBacillus*

Núm. de mezcla	Núm. y de comp.	Agente para controlar plagas de invertebrados	Núm. de mezcla	Núm. y de comp.	Agente para controlar plagas de invertebrados
		thuringiensis NPV (por ejemplo,			*thuringiensis* NPV (por ejemplo,
C-67	138 y	Gemstar)	D-67	157 y	Gemstar)
C-68	138 y	(a)	D-68	157 y	(a)

(a) 3-bromo-1-(3-cloro-2-piridinil)-*N*-[4-ciano-2-metil-6-[(metilamino)carbonil]fenil]-1*H*-pirazol-5-carboxamida (ciantraniliprol)

Las mezclas específicas enumeradas en la Tabla B combinan, por lo general, un compuesto de Fórmula 1 con otro agente para plagas de invertebrados en las proporciones especificadas en la Tabla A.

Las plagas de invertebrados en aplicaciones agronómicas y no agronómicas se controlan al aplicar uno o más compuestos de esta invención, por lo general, 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.

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, por lo general, 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 atomización. 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. Es de interés una composición de la presente invención en la forma de una formulación líquida para la impregnación del 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. Cabe destacar, además, un método en donde el hábitat es el suelo y la composición se aplica como una formulación para la impregnación del suelo. Cabe destacar, 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 atomización directa y residual, atomización aérea, 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, por lo general, como una composición de la invención. Este tratamiento de la semilla protege la semilla contra plagas de invertebrados del suelo y, en general, 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 aquellos 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 de tratamiento de la semilla es por el atomizado o espolvoreado de la semilla con un compuesto de la invención (es decir, como una composición formulada) antes de empapar las semillas. Las composiciones formuladas para el tratamiento de semillas comprenden, en general, 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** y un formador de película o agente adhesivo. La semilla se puede recubrir mediante la atomización de concentrados fluidos en suspensión directamente sobre un lecho giratorio para semillas y luego secar las semillas. Alternativamente, se pueden atomizar sobre las semillas otros tipos de formulaciones, tales como polvos humedecidos, soluciones, suspoemulsiones, concentrados emulsificables y emulsiones en agua. Este proceso es especialmente útil para aplicar recubrimientos peliculares sobre las semillas. Existen diversos procesos y equipos de recubrimiento para una persona experimentada en la técnica. Los procesos adecuados incluyen los enumerados en P. Kosters et al., *Seed Treatment: Progress and Prospects*, 1994 BCPC Monografìa núm. 57, y las referencias enumeradas en la presente.

La semilla tratada típicamente comprende un compuesto de la presente invención en una cantidad de aproximadamente 0,1 g a 1 kg por 100 kg de semilla (es decir, de aproximadamente 0,0001 a 1 % por peso de la semilla antes del tratamiento). Una suspensión fluida formulada para tratamiento de semillas comprende, por lo general, 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 espesante, de 0 a aproximadamente 5 % de un pigmento y/o colorante, de 0 a aproximadamente 2 % de un agente antiespumante, de 0 a aproximadamente 1 % de un conservante 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. Dicha composición de cebo puede estar en forma de gránulos que comprenden (a) ingredientes activos, específicamente una cantidad biológicamente eficaz de un compuesto de la Fórmula **1**; (b) uno o más materiales alimenticios; opcionalmente (c) un agente atrayente, y opcionalmente (d) uno o más humectantes. Cabe destacar los gránulos o composiciones de cebo que comprenden aproximadamente entre 0,001-5 % de ingredientes activos,

aproximadamente 40-99 % de material alimenticio y/o agente atrayente; y opcionalmente, aproximadamente 0,05-10 % de humectantes, los 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 en lugar de 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. Es de interés 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 de 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 la presente invención pueden aplicarse sin otros adyuvantes pero, con mayor frecuencia, se aplicará una formulación que comprende uno o más ingredientes activos con vehículos, diluyentes y surfactantes adecuados y, posiblemente, combinados con un alimento en función del uso final previsto. Un método de aplicación implica atomizar una dispersión de agua o solución de aceite refinado de un compuesto de la presente invención. Las combinaciones con aceites atomizables, concentraciones de aceites atomizables, adhesivos extendedores, adyuvantes, otros solventes y sinergistas, tales como el butóxido de piperonilo, suelen aumentar la eficacia del compuesto. Para usos no agronómicos, estas atomizaciones pueden aplicarse usando recipientes para atomización, 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 atomizables pueden adoptar diversas formas, por ejemplo, atomizaciones, vaporizaciones, espumas, humos o brumas. Así, tales

composiciones de atomización pueden comprender propulsores, agentes espumantes, etc. según se necesite para la aplicación. De particular interés es una composición pulverizable que comprende una cantidad biológicamente eficaz de un compuesto o una composición de la presente invención y un vehículo. Una modalidad de dicha composición pulverizable comprende una cantidad biológicamente eficaz de un compuesto o una composición de la presente invención y un propulsor. Los propulsores ilustrativos incluyen, pero no se limitan a, metano, etano, propano, butano, isobutano, buteno, pentano, isopentano, neopentano, penteno, hidrofluorocarbonos, clorofluorocarbonos, éter dimetílico, y mezclas de éstos. Es de interés una composición atomizable (y un método que usa esa composición atomizable dosificada desde un recipiente atomizador) empleada para controlar por lo menos una plaga de invertebrados seleccionada del grupo que consiste de mosquitos, mosca negra, mosca de los establos, mosca del ciervo, mosca del caballo, avispas, avispas de chaqueta amarilla, avispones, garrapatas, arañas, hormigas, jejenes, y similares, tomadas individualmente o combinadas.

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 también incluyen 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 también incluyen 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 también incluyen 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 también incluyen 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 los parásitos cardíacos de los perros, 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.

Las composiciones y compuestos 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, la reducción del rendimiento y muerte (en términos de carne, leche, lana, pieles, huevos, miel, etc.) se reducen, de manera que la aplicación de una composición que comprende un compuesto de la presente invención permite una cría más económica y simple de los 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 grandes simios, tales como 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 los Anatidae (cisnes, patos y gansos), Columbidae (por ejemplo, palomas y pichones), Phasianidae (por ejemplo, perdices, aves silvestres y pavos), Thesienidae (por ejemplo, gallinas domésticas), Psittacines (por ejemplo, pericos, papagayos y loros), aves salvajes, y ñandúes (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, entre otros, los Anatidae, tales como cisnes, gansos y patos, Columbidae, tales como palomas y pichones domésticos, Phasianidae, tales como perdices, aves silvestres y pavos, Thesienidae, tales como gallinas domésticas, y Psittacines, tales como pericos, papagayos y loros criados para el mercado de coleccionistas o mascotas.

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. Dentro del grupo de los Teleosti se incluyen tanto el orden de los Salmoniformes (que incluye la familia de los salmónidos) como el orden de los Perciformes (que incluye la familia de los centrárquidos). Los ejemplos de receptores potenciales de peces incluyen, entre otros, los Salmonidae, Serranidae, Sparidae, Cichlidae y Centrarchidae.

También se contemplan otros animales para beneficiarse con los métodos de la invención, que incluyen marsupiales (tales como canguros), reptiles (tales como tortugas campestres), y otros animales domésticos de importancia económica para los que los métodos de la invención sean seguros y eficaces para tratar o prevenir la infección o infestación por 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, nemátodos, tremátodos, céstodos, acantocéfalos, etc.).

La enfermedad o grupo de enfermedades descritas en general como helmintiasis se deben a la infección de un huésped animal con gusanos parasitarios conocidos como helmintos. El término 'helmintos' pretende incluir los nemátodos, tremátodos, céstodos 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 nemátodos causa infecciones entendidas y a veces graves en diversas especies animales. Los nemátodos contemplados para tratarse con los compuestos de esta invención y por los métodos inventivos 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 nemátodos 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. Sin embargo, otros parásitos pueden localizarse en otros tejidos, tales como el corazón y los vasos sanguíneos, tejido subcutáneo y linfático, y similares.

Los trematodos contemplados para tratarse con los compuestos de esta invención y por los métodos inventivos incluyen, sin limitación, los siguientes géneros: Alaria, Fasciola, Nanophyetus, Opisthorchis, Paragonimus y Schistosoma.

Los céstodos contemplados para tratarse con los compuestos de esta invención y por los métodos inventivos

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. A. 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.

También se contempla que los compuestos inventivos sean eficaces contra un número de ectoparásitos de animales, por ejemplo, ectoparásitos artrópodos de mamíferos y aves aunque se reconoce 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 estables o Stomoxys calcitrans, moscas negras o Simulium spp., moscas del ciervo o Chrysops spp., moscas piojo o Melophagus ovinus 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, los mesostigmados tales como los ácaros del pollo, Dermanyssus gallinae; los ácaros del picor o la costra tales como Sarcoptidae spp. por ejemplo, Sarcoptes scabiei; los ácaros de la sarna tales como Psoroptidae spp. que incluye Chorioptes bovis y Psoroptes ovis; las niguas, por ejemplo, Trombiculidae spp. por ejemplo, la nigua de América del Norte, Trombicula alfreddugesi.

Las garrapatas incluyen, por ejemplo, las garrapatas de cuerpo blando que incluyen Argasidae spp. por ejemplo Argas

spp. y Ornithodoros spp.; las garrapatas de cuerpo duro que incluyen la Ixodidae spp., por ejemplo Rhipicephalus sanguineus, Dermacentor variabilis, Dermacentor andersoni, Amblyomma americanum, Ixodes scapularis y Boophilus spp.

Los piojos incluyen, por ejemplo, los piojos chupadores, por ejemplo, Menopon spp. y Bovicola spp.; los piojos picadores, por ejemplo, Haematopinus spp., Linognathus spp. y Solenopotes spp.

Las pulgas incluyen, por ejemplo, Ctenocephalides spp., tales como la pulga del perro (Ctenocephalides canis) y la pulga del gato (Ctenocephalides felis); Xenopsylla spp. tales como la pulga de la rata oriental (Xenopsylla cheopis); y Pulex spp. tales como la pulga humana (Pulex irritans).

Las chinches incluyen, por ejemplo, Cimicidae por ejemplo, la chinche común de la cama (Cimex lectularius); Triatominae spp. que incluye los insectos triatomas también conocidos como las chinches besadoras, por ejemplo, Rhodnius prolixus y Triatoma spp.

En general, moscas, pulgas, piojos, mosquitos, jejenes, ácaros, garrapatas y helmintos causan grandes pérdidas en el área de ganadería y animales 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. patente de 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 composiciones de esta invención también pueden ser eficaces contra varios endoparásitos protozoos de animales, que incluyen los que se resumen en la Tabla 1 a continuación.

Tabla 1

Parásitos protozoarios ilustrativos y enfermedades relacionadas en seres humanos

Grupo taxonómico	**Suborden**	**Géneros representativos**	**Enfermedad o trastorno en humanos**
Sarcomastigophora (con flagella, pseudopodia, o ambos)	Mastigophora (Flagella)	Leishmania	Infección visceral, cutánea o mucocutánea
		Trypansoma	Enfermedad del sueño
			Enfermedad de

			Chagas
		Giardia	Diarrea
		Trichomonas	Vaginitis
	Sarcodina (pseudopodia)	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
Ciliaphora (con cilios)		Balantidium	Disentería

No clasificadas		Pneumocystis	Neumonía

En particular, los compuestos de esta invención son eficaces contra los ectoparásitos, incluyendo las pulgas, tales como la *Cenocephalides felis* (la pulga del gato) y *Ctenocephalides canis* (la pulga del perro).

Los compuestos de esta invención también pueden ser eficaces contra otros ectoparásitos incluyendo las moscas, tales como *Haematobia (Lyperosia) irritans* (la mosca de los cuernos), *Stomoxys calcitrans* (la mosca estable), *Simulium* spp. (la mosca negra), *Glossina* spp. (la mosca tsé-tsé), *Hydrotaea irritans* (la mosca cabezona), *Musca autumnalis* (la mosca del rostro), *Musca domestica* (la mosca doméstica), *Morellia simplex* (la mosca del sudor), *Tabanus* spp. (la mosca del caballo), *Hypoderma bovis*, *Hypoderma lineatum*, *Lucilia sericata*, *Lucilia cuprina*(la mosca verde), *Calliphora* spp. (el moscardón), *Protophormia* spp., *Oestrus ovis* (el moscardón nasal), *Culicoides* spp. (mosquitos), *Hippobosca equine*, *Gastrophilus instestinalis*, *Gastrophilus haemorrhoidalis* y *Gastrophilus naslis*; los piojos tales como *Bovicola (Damalinia) bovis*, *Bovicola equi*, *Haematopinus asini*, *Felicola subrostratus*, *Heterodoxus spiniger*, *Lignonathus setosus* y *Trichodectes canis*; moscas chupadoras tales como *Melophagus ovinus*; los ácaros tales como *Psoroptes* spp., *Sarcoptes scabei*, *Chorioptes bovis*, *Demodex equi*, *Cheyletiella* spp., *Notoedres cati*, *Trombicula* spp. y *Otodectes cyanotis*(los

ácaros del oído); y las 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 a base de organofosfatos incluyen, por ejemplo, dicrotofos, terbufos, dimetoato, diazinón, disulfotón, triclorfón, metil azinfos, clorpirifos, malatión, metil oxidemetón, metamidofos, acefato, etil paratión, metil paratión, mevinfos, forato, carbofenotion y fosalona. También se contempla incluir las 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 las combinaciones con los pesticidas de tipo organocloro. También se contempla incluir combinaciones con pesticidas biológicos, que incluyen repelentes, piretrinas (así como variaciones sintéticas de éstas, por ejemplo, aletrina, resmetrina, permetrina, tralometrina), y nicotina, que suele emplearse como acaricida. Se contemplan otras combinaciones con pesticidas misceláneos que incluyen: bacillus thuringensis, clorobencilato, formamidinas (por ejemplo, amitraz), compuestos cúpricos (por

ejemplo, hidróxido de cobre y sulfato de oxicloruro cúprico), ciflutrina, cipermetrina, dicofol, endosulfano, esfenvaleriato, fenvaleriato, lambda cialotrina, metoxicloro 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 reguladores del crecimiento de insectos (IGR, por sus siglas en inglés) y análogos de la hormona juvenil (JHA, por sus siglas en inglés), tales como diflubenzurón, triflumurón, fluazurón, ciromacina, metopreno, etc., y de este modo proporcionar un control parasitario tanto inicial como continuado (en todos los estadios del desarrollo del insecto, incluso huevos) en el sujeto animal, así como también en el hábitat del sujeto animal.

Se contemplan los compuestos o agentes biológicamente activos útiles en las composiciones de la presente invención seleccionados de compuestos antiparasitarios dentro de la

clase de las avermectinas. Tal como se mencionó anteriormente, la familia de compuestos de las avermectinas es una serie de agentes antiparasíticos muy potentes conocidos por su utilidad contra un amplio espectro de endoparásitos y ectoparásitos en mamíferos.

Un compuesto destacado para usarse dentro del alcance de la invención es ivermectina. La ivermectina es un derivado semisintético de la avermectina y, en general, se produce como una mezcla de al menos 80 % de 22,23-dihidroavermectina B_{1a} y menos de 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 descrita como avermectina B_{1a}/B_{1b} en la patente de los Estados Unidos núm. 4.310.519. La abamectina contiene al menos 80 % de avermectina B_{1a} y una cantidad no mayor que 20 % de avermectina B_{1b}.

Otra avermectina destacada es la doramectina, también conocida como 25-ciclohexil-avermectina B_1. La estructura y preparación de la doramectina se describe en la patente de los Estados Unidos núm. 5.089.480.

Otra avermectina destacada es la moxidectina. La moxidectina, también conocida como LL-F28249 alfa, se conoce a partir de la patente de los Estados Unidos núm. 4.916.154.

Otra avermectina destacada 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 en más detalle en las patentes de los Estados Unidos núms. 3.950.360 y 3.984.564.

La emamectina (4''-deoxi-4''-epi-metilaminoavermectina B_1), que puede prepararse como se describe en las patentes de los Estados Unidos núms. 5.288.710 o 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 los Estados Unidos núm. 5.288.710, por ejemplo, sales derivadas de ácido benzoico, ácido benzoico sustituido, ácido bencenosulfónico, ácido cítrico, ácido fosfórico, ácido tartárico, ácido maleico y similares. Con la máxima preferencia, la sal de emamectina que se usa 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 ganados vacunos y grupos etarios. Fue la primera avermectina en mostrar una actividad de amplio espectro contra endo y ectoparásitos y dejar mínimos residuos en la

carne y la leche. Tiene la ventaja adicional de ser sumamente potente cuando se suministra tópicamente.

La composición de la presente invención opcionalmente comprende las combinaciones de uno o más de los siguientes compuestos antiparasitarios: compuestos de imidazo[1,2-b]piridazina como se describe en la solicitud de los Estados Unidos núm. ser. 11/019.597, presentada el 22 de diciembre de 2004; compuestos de 1-(4-mono y di-halometilsulfonilfenil)-2-acilamino-3-fluoropropanol, como se describe en la solicitud de los Estados Unidos núm. ser. No. 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 núm. ser. 11/231.423, presentada el 21 de septiembre de 2005; y derivados de *n*-[(feniloxi)fenil]-1,1,1-trifluorometanosulfonamida y *n*-[(fenilsulfanil)fenil]-1,1,1-trifluorometanosulfonamida, como se describe en la solicitud provisional de los Estados Unidos núm. ser. No. 60/688.898, presentada el 9 de junio de 2005.

Las composiciones de la presente invención también pueden comprender un fluquicida. Los fluquicidas adecuados incluyen, por ejemplo, triclabendazol, fenbendazol, albendazol, clorsulón y oxibendazol. Se comprenderá que las combinaciones anteriores también pueden incluir combinaciones de antibióticos, antiparasíticos y compuestos activos para combatir los fluquicidas.

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 adecuados para este fin incluyen, por ejemplo, los que se enumeran a continuación.

Un antibiótico de utilidad es 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. Procesos para la fabricación de estos compuestos antibióticos, e intermedios útiles en dichos procesos, se describen en las patentes de los Estados Unidos 4.311.857; 4.582.918; 4.973.750; 4.876.352; 5.227.494; 4.743.700; 5.567.844; 5.105.009; 5.382.673; 5.352.832; y

5.663.361. Se divulgan otros análogos y/o profármacos de florfenicol y esos análogos también se pueden usar en las composiciones y métodos de la presente invención (ver por ejemplo, publicación de la solicitud de patente de los Estados Unidos núm. 2004/0082553, y solicitud de patente de los Estados Unidos núm. ser. 11/016.794).

Otro compuesto antibiótico útil es la tilmicosina. La tilmicosina es un antibiótico macrólido definido químicamente como 20-dihidro-20-deoxi-20-(*cis*-3,5-dimetilpiperidin-1-il)-desmicosina y se describe en la patente de los Estados Unidos núm. 4.820.695.

Otro antibiótico útil para usarse en la presente invención es la tulatromicina. La tulatromicina se identifica también 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 para usarse en la presente invención incluyen cefalosporinas tales como, por ejemplo, ceftiofur, cefquinona, 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, tales como, 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 compuestos de la clase de los quetolidos o, más específicamente, las azalidas. Tales compuestos se describen en, por ejemplo, las patentes de los Estados Unidos núm. 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, específicamente, 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 son por medios convencionales tales como por administración enteral en forma de, por ejemplo, tabletas, cápsulas, bebidas, preparaciones para empapado, granulados, pastas, bolillas, procedimientos para pasar los alimentos, o supositorios; o por

administración parenteral, tal como por inyección (que incluye intramuscular, subcutánea, intravenosa, intraperitoneal) o implantes; por administración nasal; por administración tópica, por ejemplo, en forma de sumergido o inmersión, atomizado, lavado, revestimiento con polvo, o aplicación a un área pequeña del animal, y a través de artículos tales como collarín para el cuello, caravanas insecticidas, bandas para la cola, banda en las patas o cabestros que comprenden las 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 núm. 3.852.416, 4.224.901, 5.555.848 y 5.184.573.

Por lo general, una composición parasiticida de conformidad con la presente invención comprende una mezcla de un compuesto de Fórmula **1** 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 oral, tópica o parenteral, tal como inyectable) y de conformidad con prácticas estándar. Además, el portador adecuado se selecciona basándose en la compatibilidad con uno o más de los ingredientes activos de la composición, que incluyen consideraciones tales como la estabilidad relativa al pH y contenido de humedad. Por consiguiente, es de interés una composición para proteger a un animal contra una plaga de parásitos invertebrados que comprende una cantidad eficaz como parasiticida de un compuesto de la invención y al menos un vehículo

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, se pueden preparar 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 de sodio, sorbitol o dextrina. Las formulaciones para inyección pueden estar en forma de dosificación unitaria, por ejemplo, en ampollas o en envases de dosis múltiples. 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 pulverización 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 consiguiente, después de la ingestión por parte del animal que se protegerá, las concentraciones parasiticidamente

eficaces de los compuestos de la invención en el torrente sanguíneo del animal protegen al animal tratado contra plagas que chupan la sangre, tales como pulgas, garrapatas y piojos. Por lo tanto, es de destacar una composición para proteger un animal de una plaga de parásitos invertebrados en una forma para la administración oral (es decir, que comprende, además de una cantidad eficaz como parasiticida de un compuesto de la invención, uno o más portadores seleccionados de aglutinantes y cargas adecuados para l administración oral y portadores de concentrado de 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, por lo general, 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, por lo general, 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 administración tópica comprenden, típicamente, un compuesto de la presente invención y uno o más vehículos 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 de "descubrimiento"), el ingrediente activo migra sobre la superficie del animal para cubrir la mayor parte o toda el área de la superficie externa. En consecuencia, el animal tratado está especialmente protegido de las plagas invertebradas 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 en esas formulaciones incluyen propilenglicol, parafinas, aromáticos, ésteres tales como isopropil miristato, ésteres de glicol, alcoholes tales como etanol, *n*-propanol, 2-octil dodecanol o alcohol oleílico; Las soluciones en ésteres de

ácidos monocarboxílicos, tales como isopropil miristato, isopropil palmitato, éster oxálico del ácido láurico, éster oleico del ácido oleico, éster decilo del ácido oleico, laurato de hexilo, oleato de oleílo, oleato de decilo, ésteres del ácido caproico de alcoholes grasos saturados de longitud de cadena C_{12}-C_{18}; soluciones de ésteres de ácidos dicarboxílicos, tales como ftalato de dibutilo, isoftalato de diisopropilo, éster diisopropilo 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 vertibles de la presente invención pueden estar en la forma de un líquido, polvo, emulsión, espuma, pasta, aerosol, ungüento, bálsamo o gel. Por lo general, la formulación vertible es líquida. Estas formulaciones vertibles pueden aplicarse eficazmente a ovejas, ganado vacuno, cabras, otros rumiantes, camélidos, cerdos y caballos. Típicamente, para su aplicación, estas composiciones se vierten en una o varias líneas o en una línea media precisa 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, rociado o con una manga 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 oléico, 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, por lo general, un antioxidante, tal como BHT (hidroxitolueno butilado). En general, el antioxidante está presente 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 de atomización comunes que se usan en estas formulaciones de vertido son: IPM, IPP, ésteres del ácido cáprico/caprílico de alcoholes grasos de C_{12}-C_{18} saturatados, ácido oléico, éster de oleilo, oleato de etilo, triglicéridos,

aceite de silicona y DPM. Las formulaciones vertibles de esta invención se preparan de acuerdo con técnicas conocidas. Cuando la formulación vertible es una solución, el parasiticida/insecticida se mezcla con el portador o vehículo usando calor y agitación cuando es necesario. Los ingredientes auxiliares o adicionales pueden adicionarse a la mezcla de agente activo y portador, o pueden mezclarse con el agente activo antes de la adición del portador. Si la formulación vertible es una emulsión o suspensión, las suspensiones se preparan de la misma manera usando técnicas conocidas.

Pueden emplearse otros sistemas de suministro para compuestos farmacéuticos relativamente hidrófobos. Los liposomas y las emulsiones son ejemplos muy conocidos de vehículos o portadores de suministro para medicamentos hidrófobos. Además, si fuera necesario, pueden usarse solventes orgánicos, tales como sulfóxido de dimetilo.

Para aplicaciones agronómicas, la concentración requerida de aplicación para un control eficaz (es decir, "cantidad biológicamente eficaz") dependerá de factores tales como la especie de invertebrados que se controlará, el ciclo de vida de la plaga, el estadio de vida, su tamaño, localización, época del año, cultivo o animal huésped, conducta alimenticia, conducta de apareamiento, humedad ambiente, 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 plagas en ecosistemas agronómicos, pero puede ser suficiente una cantidad tan pequeña como 0,0001 kg/hectárea o pueden requerirse cantidades tan grandes como 8 kg/hectárea. 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 Fórmula **1** se administra en una cantidad parasiticidamente eficaz a un animal que se protegerá de una plaga de parásitos invertebrados. Una cantidad parasiticidamente eficaz 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 de experiencia en la técnica comprenderá que la dosis parasiticidamente eficaz puede variar para los diversos compuestos y composiciones de la presente invención, el efecto y duración parasíticos deseados, la especie de la plaga invertebrada objetivo, el animal que se protegerá, el modo de aplicación y similares, y la cantidad

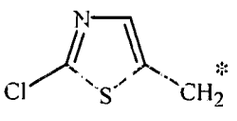
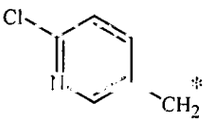
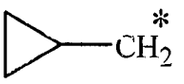
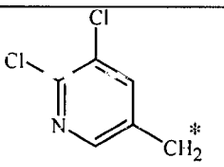
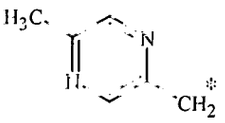
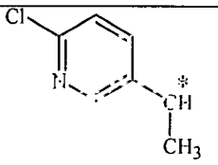
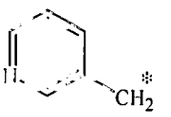
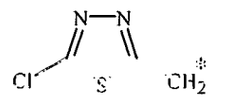
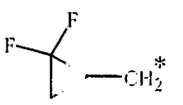
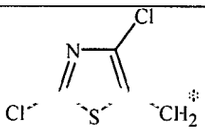
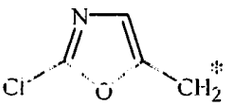
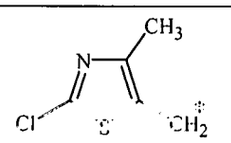
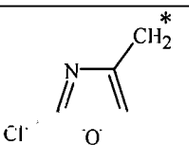
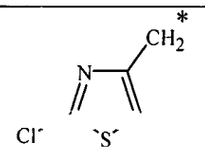
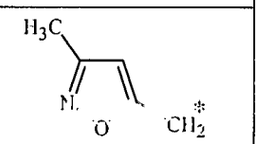
necesaria para obtener un resultado específico podrá determinarse con una experimentación simple.

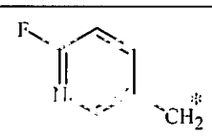
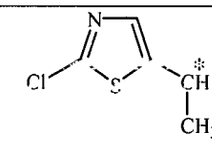
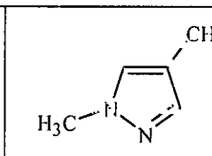
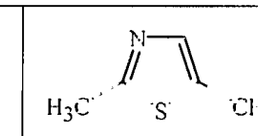
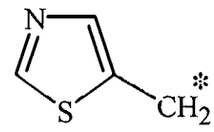
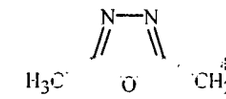
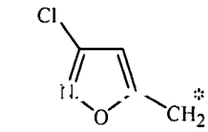
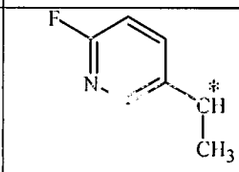
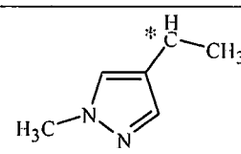
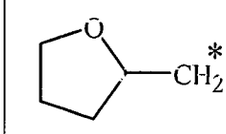
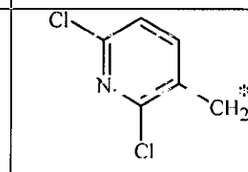
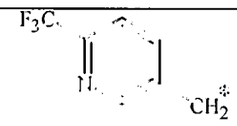
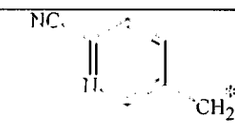
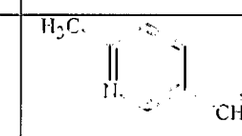
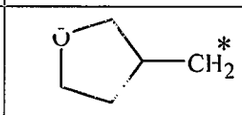
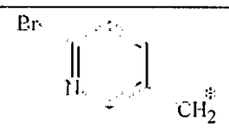
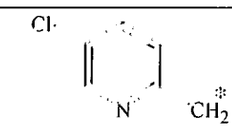
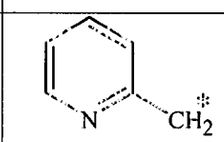
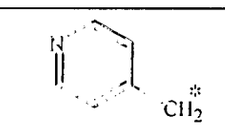
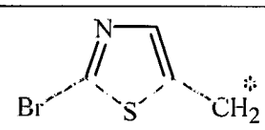
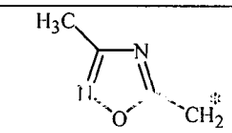
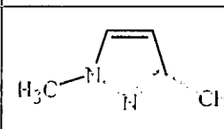
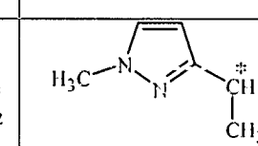
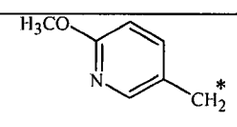
Para la administración oral a animales homeotérmicos, la dosificación diaria de un compuesto de la presente invención típicamente se encuentra en el intervalo de aproximadamente 0,01 mg/kg a aproximadamente 100 mg/kg, más típicamente de aproximadamente 0,5 mg/kg a aproximadamente 100 mg/kg, del peso corporal del animal. Para administración tópica (por ejemplo dérmica), inmersión y aerosol típicamente contienen de aproximadamente 0,5 ppm a aproximadamente 5000 ppm, más típicamente de aproximadamente 1 ppm a aproximadamente 3000 ppm, de un compuesto de la presente invención.

Los compuestos de esta invención preparados por los métodos descritos en la presente se muestran en las Tablas índice A-F. Ver en la Tabla G los datos de la ^{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 se reportaron los picos de los iones moleculares alternos (por ejemplo M+2 o M+4) que se producen con los compuestos que contienen múltiples halógenos. La variable "R^a" en la Tabla índice A representa uno o una combinación de sustituyentes como se enumeran en la Tabla índice C.

Las siguientes abreviaturas adicionales se usan en las Tablas índice que siguen: 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 metil sulfonil.

Los fragmentos A-1 a A-42 y B-1 a B-4 mostrados a continuación se indican en las Tablas índice. El asterisco * denota el punto de unión del fragmento al resto de la molécula.

			
A-1	A-2	A-3	A-4
			$ClCF_2CHFCH_2CH_2$*
A-5	A-6	A-7	A-8
			
A-9	A-10	A-11	A-12
			
A-13	A-14	A-15	A-16

			
A-17	A-18	A-19	A-20
			
A-21	A-22	A-23	A-24
	ClCF$_2$CH$_2$CH$_2$CH$_2$*		
A-25	A-26	A-27	A-28
			
A-29	A-30	A-31	A-32
			
A-33	A-34	A-35	A-36
			
A-37	A-38	A-39	A-40
			
A-41			

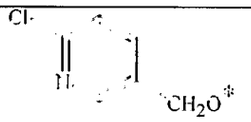
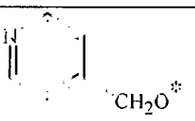
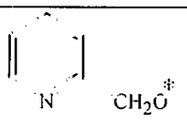
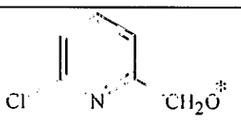
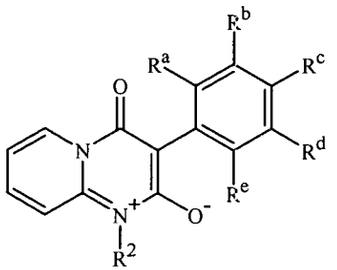
			
B-1	B-2	B-3	B-4

Tabla índice A



Compuesto	R^2	R^a	R^b	R^c	R^d	R^e	m.p. (°C)	AP+ (M+1)
1	CH_2CF_3	H	Br	H	H	H	233-234	
2	CH_2CF_3	H	OCH_3	H	H	H	124-125	
3	CH_2CF_3	H	Cl	H	H	H	*	
5	CH_2CF_3	H	H	F	H	H	205-206	
6	CH_2CF_3	H	CF_3	H	H	H	178-179	
7	CH_2CF_3	H	H	H	H	H	**	
8	$CH_2CH_2CH_3$	H	OCF_3	H	H	H	*	

000539

Compuesto	R^2	R^a	R^b	R^c	R^d	R^e	m.p. (°C)	AP+ (M+1)
9	CH_2CF_3	Cl	Cl	H	H	H	*	
10	CH_2CF_3	F	H	F	H	H	*	
11	CH_2CF_3	F	H	H	H	H	211-212	
12	$CH_2CH_2CH_3$	H	CF_3	H	H	H	*	
13	CH_2CF_3	H	OCH_2CF_3	H	H	H	162-163	
14	CH_2CF_3	F	H	H	OCF_3	H	*	
15	CH_2CF_3	H	Cl	H	Cl	H	*	
16	CH_2CF_3	H	CH_3	F	H	H	239-240	
17	CH_2CF_3	OCH_3	H	H	H	H	*	
18	A-3	H	OCF_3	H	H	H	*	
19	A-3	H	H	F	H	H	188-189	
20	$CH_2CH_2CH_3$	H	F	H	F	H	*	
21	CH_2CF_3	F	H	Cl	H	H	*	
22	A-8	H	OCF_3	H	H	H	*	
23	CH_2CF_3	H	F	F	H	H	118-119	

000560

Compuesto	R^2	R^a	R^b	R^c	R^d	R^e	m.p. (°C)	AP+ (M+1)
24	CH_2CF_3	H	Br	F	H	H	213-214	
25	CH_2CF_3	OCH_3	H	H	Cl	H	*	
26	CH_2CF_3	H	H	Cl	H	H	226-227	
27	A-8	H	H	F	H	H	*	
28	$CH_2CH_2CH_3$	H	H	H	H	H	*	
29	A-3	Cl	H	F	H	H	191-192	
30	A-8	F	H	F	H	H	*	
31	A-3	F	H	F	H	H	204-205	
32	CH_2CF_3	H	F	H	F	H	*	
33	$CH_2CF_2CF_3$	H	OCF_3	H	H	H	*	
34	$CH_2CF_2CF_3$	H	H	H	H	H	*	
35	$CH_2CF_2CF_3$	H	H	F	H	H	*	
36	$CH_2CF_2CF_3$	F	H	F	H	H	*	
37	$CH_2CF=CF_2$	H	H	H	H	H	*	
38	$CH_2CH_2CF_3$	H	H	H	H	H	*	

000191

Compuesto	R^2	R^a	R^b	R^c	R^d	R^e	m.p. (°C)	AP+ (M+1)
39	A-3	H	H	H	H	H	*	
40	CH_2CF_3	H	OCF_3	H	H	H	140-141	
41	$CH_2CH_2CH_3$	H	H	Ciano	H	H	*	
122	$CH_2CH=CH_2$	H	H	H	H	H	**	
42	A-1	H	H	F	H	H	*	
43	A-1	H	H	H	H	H	233-235	
44	A-1	F	H	F	H	H	*	
45	A-1	H	OCF_3	H	H	H	123-125	
46	A-1	H	CF_3	H	H	H	152-153	
47	A-1	H	Cl	H	H	H	235-237	
48	A-1	H	H	$OCHF_2$	H	H	182-183	
49	A-1	H	H	OCH_3	H	H	215-217	
50	A-1	F	H	H	H	H	*	
51	A-2	H	Br	H	H	H	*	
52	A-2	H	Cl	H	CF_3	H	*	

000362

Compuesto	R^2	R^a	R^b	R^c	R^d	R^e	m.p. (°C)	AP+ (M+1)
53	A-2	H	CF_3	H	H	H	*	
54	A-2	H	OCF_3	H	H	H	*	
55	A-2	H	Br	H	OCF_3	H	*	
56	A-2	H	Cl	H	H	H	*	
57	A-2	H	H	F	H	H	*	
58	A-2	F	H	H	OCF_3	H	**	
59	A-2	H	H	H	H	H	**	
60	A-2	H	H	$OCHF_2$	H	H	*	
61	A-2	H	F	H	H	H	*	
62	A-2	F	H	H	Br	H	*	
63	A-2	F	H	F	H	H	*	
64	A-2	Cl	H	F	H	H	*	
65	A-2	H	H	F	H	H	211-213	
66	A-2	F	H	H	CF_3	H	*	
67	A-2	Cl	H	H	OCF_3	H	*	

0005963

Compuesto	R^2	R^a	R^b	R^c	R^d	R^e	m.p. (°C)	AP+ (M+1)
68	A-2	F	CF_3	H	H	H	*	
70	A-2	F	H	H	Cl	H	*	
71	A-2	F	H	F	H	H	226-228	
72	A-2	F	H	H	H	H	*	
73	A-2	H	H	OCF_3	H	H	*	
74	A-2	H	CF_3	F	H	H	*	
75	A-2	H	H	OCH_3	H	H	*	
76	A-2	H	H	CF_3	H	H	*	
77	A-2	Cl	H	Cl	H	H	*	
78	A-2	F	H	F	F	H	*	
79	A-2	F	H	CF_3	H	H	*	
80	A-2	F	F	F	H	H	*	
81	A-2	H	H	H	H	H	241-243	
82	A-2	H	F	F	H	H	*	
83	A-2	H	OCH_3	H	H	H	*	

0005564

Compuesto	R^2	R^a	R^b	R^c	R^d	R^e	m.p. (°C)	AP+ (M+1)
84	A-2	H	H	CH_3	H	H	*	
85	A-2	F	H	Br	H	H	*	
86	A-2	H	CH_2CH_2O		H	H	*	
87	A-4	H	H	F	H	H	*	
88	A-2	F	H	H	F	H	*	
89	A-2	F	F	H	H	H	*	
90	A-2	CH_3	H	Ciano	H	H	*	
91	A-2	CH_3	H	Br	H	H	*	
92	A-2	H	Br	F	H	H	*	
93	A-2	H	Br	H	CF_3	H	*	
94	A-2	F	H	Ciano	H	H	*	
95	A-2	F	H	OCH_3	H	H	*	
96	A-2	CH_3	H	F	H	H	*	
97	A-5	H	OCF_3	H	H	H	*	
98	A-2	H	OCH_2O		H	H	*	

000365

Compuesto	R^2	R^a	R^b	R^c	R^d	R^e	m.p. (°C)	AP+ (M+1)
99	A-2	Br	H	F	H	H	*	
100	A-4	H	H	H	H	H	*	
101	A-2	H	OCH_3	F	H	H	*	
102	A-2	H	F	OCH_3	H	H	*	
103	A-7	H	Br	H	OCF_3	H	*	
104	A-2	H	H	Br	H	H	*	
105	A-2	H	H	Ciano	H	H	*	
106	A-2	H	H	Cl	H	H	*	
107	A-2	H	Ciano	H	H	H	*	
108	A-2	F	H	F	H	F	*	
109	A-6	H	H	F	H	H	*	
123	A-1	H	OCH_3	H	H	H	184-186	
124	A-1	H	Br	H	H	H	224-226	
161	$CH_2CH_2CH_3$	H	Br	H	H	H		359
162	$CH_2CH_2CH_3$	F	F	H	H	H		317

0003566

Compuesto	R^2	R^a	R^b	R^c	R^d	R^e	m.p. (°C)	AP+ (M+1)
163	$CH_2CH_2CH_3$	F	H	F	H	H		317
164	$CH_2CH_2CH_3$	H	H	*i*-Pr	H	H		323
165	$CH_2CH_2CH_3$	H	H	pH	H	H		357
166	A-1	F	H	H	CF_3	H		456
167	A-2	F	H	H	CF_3	H		434
168	A-1	F	H	H	H	F		406
169	A-1	H	Cl	H	Cl	H		438
170	A-9	H	H	H	H	H	208-210	
171	A-3	F	H	Ciano	H	H	*	
172	A-10	F	H	F	H	H		365
173	A-1	F	H	H	Cl	H		422
174	A-11	H	H	H	H	H		404
175	A-11	H	H	F	H	H		422
176	A-11	F	H	F	H	H		440
177	A-11	H	CF_3	H	H	H		472

000567

Compuesto	R^2	R^a	R^b	R^c	R^d	R^e	m.p. (°C)	AP+ (M+1)
178	A-12	H	H	H	H	H		354
179	A-1	H	OCH_3	H	Br	H		478
180	A-1	H	OCH_3	H	H	F		418
181	A-13	H	H	H	H	H		384
182	A-13	H	H	F	H	H		402
183	A-13	F	H	F	H	H		420
184	A-13	H	CF_3	H	H	H		452
185	A-1	H	OCH_3	H	OCH_3	H		430
186	A-1	H	CF_3	H	CF_3	H		506
187	A-3	H	CF_3	H	CF_3	H		429
188	A-3	H	CF_3	H	Br	H		439
189	CH_2CF_3	H	CF_3	H	Br	H	189-190	
190	A-14	H	H	H	H	H		354
191	A-14	H	H	F	H	H		372
192	A-1	F	OCH_3	H	H	H		418

000568

Compuesto	R^2	R^a	R^b	R^c	R^d	R^e	m.p. (°C)	AP+ (M+1)
193	A-1	H	OCF_3	H	H	F		472
194	A-15	H	H	H	H	H		370
195	A-15	H	H	F	H	H		388
196	A-16	H	H	H	H	H		334
197	A-16	H	H	F	H	H	175-177	
198	A-2	H	OCH_3	H	OCH_3	H		424
199	CH_2CF_3	H	OCH_3	H	OCH_3	H		381
200	A-1	OCH_3	H	H	H	H		400
201	A-1	OCH_3	H	OCH_3	H	H		430
202	A-17	H	OCH_3	H	OCH_3	H		408
203	A-17	H	CF_3	H	H	H		416
204	A-17	F	H	F	F	H	215-217	
205	$CH_2CF=CH_2$	H	H	F	H	H		315
206	$CH_2CF=CH_2$	H	OCF_3	H	H	H		381
207	A-17	H	H	Ciano	H	H		373

000369

Compuesto	R^2	R^a	R^b	R^c	R^d	R^e	m.p. (°C)	AP+ (M+1)
208	A-17	H	OCH_3	H	H	H		378
209	CH_2CF_3	F	OCH_3	H	H	H	*	
210	A-2	F	OCH_3	H	H	H		412
211	A-18	H	H	H	H	H		384
212	A-18	H	H	F	H	H		402
213	A-19	H	H	H	H	H		333
214	A-19	H	H	F	H	H	210-211	
215	A-1	H	CF_3	H	F	H		456
216	$CH(CH_3)CF_3$	H	H	F	H	H		353
217	$CH(CH_3)CF_3$	H	OCF_3	H	H	H		419
218	A-20	H	H	H	H	H		350
219	A-20	H	H	F	H	H		368
220	A-21	H	H	H	H	H		336
221	A-21	H	H	F	H	H		354
222	$CH(CH_3)CF_3$	F	H	F	H	H		371

Compuesto	R^2	R^a	R^b	R^c	R^d	R^e	m.p. (°C)	AP+ (M+1)
223	CH_2CF_3	F	H	Ciano	H	H		364
224	A-16	F	H	H	H	H		352
225	A-17	F	H	H	H	H		366
226	A-17	H	OCF_3	H	H	H		432
227	CH_2CHFCF_2Cl	H	H	F	H	H		387
228	CH_2CHFCF_2Cl	F	H	F	H	H		405
229	A-18	F	H	H	H	H	*	
230	A-19	H	H	Ciano	H	H		358
231	A-19	F	H	F	H	H		369
232	A-19	H	OCF_3	H	H	H		417
233	A-19	F	H	H	H	H		431
234	A-19	OCH_3	H	H	H	H		363
235	A-2	OCH_3	H	H	Br	H		474
236	A-2	Cl	H	H	CF_3	H		466
237	$CH(CH_3)CF_3$	F	H	H	H	H		353

0005771

Compuesto	R^2	R^a	R^b	R^c	R^d	R^e	m.p. (°C)	AP+ (M+1)
238	A-19	F	H	H	CF_3	H		419
239	A-19	F	H	Ciano	H	H		376
240	$CH(CH_3)CF_3$	H	Br	H	H	H		353
241	A-22	H	H	H	H	H		335
242	$CH(CH_3)CF_3$	H	Br	H	CF_3	H		481
243	$CH(CH_3)CF_3$	H	OCH_3	H	H	H		365
244	$CH(CH_3)CF_3$	OCH_3	H	H	H	H		365
245	A-1	H	SF_5	H	H	Cl		530
246	A-2	OCH_3	H	H	CF_3	H		549
247	A-2	OCH_3	H	H	Cl	H		428
248	A-23	H	OCF_3	H	H	H		438
249	A-17	OCH_3	H	H	H	H		378
250	A-2	CH_3	H	CH_3	H	CH_3		406
251	A-1	CH_3	H	CH_3	H	CH_3		412
252	$CH(CH_3)CF_3$	Cl	H	H	OCF_3	H		453

000272

Compuesto	R^2	R^a	R^b	R^c	R^d	R^e	m.p. (°C)	AP+ (M+1)
253	$CH(CH_3)CF_3$	H	Br	H	OCF_3	H		497
254	A-2	H	SF_5	H	H	H		490
255	CH_2CF_3	H	SF_5	H	H	H		447
256	A-19	H	Br	H	OCF_3	H		495
257	A-24	F	H	H	H	H		380
258	A-24	OCH_3	H	H	H	H		392
259	A-24	H	OCF_3	H	H	H		446
260	A-24	F	H	F	H	H		398
261	A-6	H	Br	H	CF_3	H		524
262	A-6	OCH_3	H	H	H	H		408
263	A-1	H	SF_5	H	H	H		497
264	A-17	Cl	H	H	OCF_3	H		466
265	A-25	H	H	H	H	H	181-183	
266	A-17	F	CF_3	H	H	H		434
267	A-25	H	OCF_3	H	H	H		431

000573

Compuesto	R^2	R^a	R^b	R^c	R^d	R^e	m.p. (°C)	AP+ (M+1)
268	A-17	OCF_3	H	H	H	H		432
269	A-17	CF_3	H	H	H	H		416
270	A-1	OCF_3	H	H	H	H		454
271	A-1	CF_3	H	H	H	H		438
272	A-6	H	OCF_3	H	H	H		462
273	A-6	F	H	H	H	H		396
274	A-1	I	H	H	H	H		496
275	A-1	H	I	H	H	H		496
276	A-1	H	Br	OCH_3	H	H		478
277	A-1	OCH_3	H	H	Br	H		478
278	CH_2CH_3	H	H	H	H	H		267
279	$CH_2CH(CH_3)_2$	H	H	H	H	H		295
280	$CH_2CH_2CH_3$	F	H	H	H	H		299
281	$CH_2CH_2CH_3$	H	H	F	H	H		299
282	$CH_2CH_2CH_3$	H	Ciano	H	H	H		306

000374

Compuesto	R^2	R^a	R^b	R^c	R^d	R^e	m.p. (°C)	AP+ (M+1)
283	$CH_2CH_2CH_3$	Ciano	H	H	H	H		306
284	$CH_2CH_2CH_3$	H	F	H	H	H		299
285	$CH_2CH_2CH_3$	CH_3	H	H	H	H		295
286	$CH_2CH_2CH_3$	H	H	CH_3	H	H		295
287	$CH_2CH_2CH_3$	H	CF_3	H	CF_3	H		417
288	$CH_2CH_2CH_3$	H	H	CF_3	H	H		349
289	$CH_2CH_2CH_3$	H	CH_3	H	H	H		295
290	$CH_2CH_2CH_2CH_3$	H	H	H	H	H		295
291	$CH_2CH_2OCH_3$	H	H	H	H	H		297
292	$CH_2CH_2CH_3$	OCH_3	H	H	H	H		311
293	$CH_2CH_2CH_3$	H	H	OCH_3	H	H		311
294	$CH_2CH_2CH_3$	H	H	Cl	H	H		315
295	$CH_2CH_2CH_3$	H	OCH_3	H	H	H		311
296	CH_2CO_2Et	H	H	H	H	H		325
297	$CH(CH_3)_2$	H	H	H	H	H		281

000575

Compuesto	R^2	R^a	R^b	R^c	R^d	R^e	m.p. (°C)	AP+ (M+1)
298	$CH_2CH_2CH_3$	H	F	F	F	H		335
299	$CH_2(CH_2)_3CH_3$	H	H	H	H	H		309
300	$CH_2CH_2CH_3$	H	Nitro	H	H	H		326
301	$CH_2CH_2CH_3$	H	Cl	H	H	H		315
302	$CH_2CH_2CH_3$	H	H	OCF_3	H	H		365
303	$CH_2CH_2CH_3$	H	NHAc	H	H	H		338
304	$CH_2CO_2CH_3$	H	H	H	H	H		311
305	$CH_2CH_2CH_3$	H	CHO	H	H	H		309
306	$CH_2CH_2CH_3$	H	Ac	H	H	H		323
307	$CH_2CH_2CH_3$	H	CO_2Et	H	H	H		353
308	$CH_2CH_2CH_3$	CF_3	H	H	H	H	**	349
309	$CH_2CH_2CH_3$	F	H	H	F	H		317
310	$CH_2CH_2CH_3$	H	Cl	H	Cl	H		349
311	CH_2CF_3	H	H	CF_3	H	H		389
312	CH_2CF_3	H	CF_3	H	CF_3	H		457

000278

Compuesto	R^2	R^a	R^b	R^c	R^d	R^e	m.p. (°C)	AP+ (M+1)
313	CH_2CF_3	H	F	H	F	H		357
314	$CH_2CH_2CH_3$	F	H	H	Br	H		377
315	$CH_2CH_2CH_3$	H	OCH_3	H	Br	H		389
316	$CH_2CH_2CH_3$	H	OCH_3	OCH_3	H	H		341
317	$CH_2CH_2CH_3$	OCH_3	H	H	F	H		329
318	$CH_2CH_2CH_3$	OCH_3	H	H	Cl	H		345
319	$CH_2CH_2CH_3$	F	CH_3	H	Cl	H		347
320	CH_2CF_3	H	OCH_3	H	Br	H		429
321	CH_2CF_3	CF_3	H	H	H	H		389
322	$CH_2CH_2CH_3$	OCF_3	H	H	H	H		365
323	CH_2CF_3	OCF_3	H	H	H	H		405
324	CH_2CF_3	H	H	OCF_3	H	H		405
325	CH_2CF_3	H	H	Ciano	H	H		346
326	$CH_2CH_2CH_3$	OCH_3	OCH_3	H	H	H		341
327	A-8	H	H	H	H	H		383

000377

Compuesto	R^2	R^a	R^b	R^c	R^d	R^e	m.p. (°C)	AP+ (M+1)
328	CH_2CF_3	H	OCH_3	OCH_3	H	H		381
329	CH_2CF_3	F	CH_3	H	Cl	H		387
330	CH_2CF_3	F	F	H	H	H		357
331	$CH_2CH_2CH_3$	H	H	SCH_3	H	H		327
332	$CH_2CH_2CH_3$	H	OCH_3	Cl	H	F		363
333	$CH_2CH_2CH_3$	H	H	*t*-Bu	H	H		337
334	$CH_2CH_2CH_3$	NHCO*t*-Bu	H	H	CH_3	H		394
335	$CH_2CH_2CH_3$	H	H	SO_2Me	H	H		359
336	CH_2CF_3	H	OCH_3	Cl	H	F		403
337	$CH_2CH_2CH_3$	H	CF_3	OCH_3	H	H		379
338	CH_2CF_3	H	CF_3	OCH_3	H	H		419
339	$CH_2CH_2CH_3$	H	*n*-Bu	H	H	H		337
340	$CH_2CH_2CH_3$	F	H	CF_3	H	H		367
341	$CH_2CH_2CH_3$	H	OCF_3	H	H	F		383
342	$CH_2CH_2CH_3$	H	H	CO_2Et	H	H		353

000278

Compuesto	R^2	R^a	R^b	R^c	R^d	R^e	m.p. (°C)	AP+ (M+1)
343	$CH_2CH_2CH_3$	H	CH_3	H	CH_3	H		309
344	$CH_2CH_2CH_3$	OCH_3	H	H	CF_3	H		379
345	$CH_2CH_2CH_3$	OCH_2CH_3	H	H	CF_3	H	*	
346	CH_2CF_3	OCH_2CH_3	H	H	CF_3	H	*	
347	$CH_2CH_2CH_3$	F	CF_3	H	H	H		367
348	$CH_2CH_2CH_3$	OCH_3	Br	H	CH_3	H	*	
349	$CH_2CH_2CH_3$	CH_3	H	H	CH_3	H	*	
350	CH_2CF_3	F	CF_3	H	H	H		407
351	A-8	H	H	Ciano	H	H	*	
352	CH_2CF_3	F	H	H	F	H	*	
353	CH_2CF_3	H	Cl	F	H	H		373
354	CH_2CF_3	H	H	*n*-Bu	H	H		377
355	CH_2CF_3	H	CF_3	F	H	H		407
356	CH_2CF_3	H	F	Ciano	H	H		338
357	CH_2CF_3	Cl	H	F	H	H		373

0005273

Compuesto	R^2	R^a	R^b	R^c	R^d	R^e	m.p. (°C)	AP+ (M+1)
358	CH_2CF_3	OCH_3	H	F	H	H		368
359	CH_2CF_3	OCH_3	H	H	CF_3	H		419
360	CH_2CF_3	H	F	H	H	H		339
361	CH_2CF_3	H	H	CH_3	H	H		335
362	CH_2CF_3	H	H	OCH_3	H	H		351
363	CH_2CF_3	H	CH_3	H	H	H		335
364	CH_2CF_3	H	H	Br	H	H		399
365	$CH_2CH_2CF_3$	H	H	F	H	H		353
366	$CH_2CH_2CF=CF_2$	H	H	F	H	H		365
367	$CH_2CH_2CF=CF_2$	H	OCF_3	H	H	H		431
368	$CH_2CH_2CF=CF_2$	F	H	F	H	H		383
369	CH_2CF_3	H	Ciano	F	H	H	*	
370	$CH_2CF_2CF_3$	H	CF_3	H	H	H		439
371	$CH_2CH_2CF=CF_2$	H	CF_3	H	H	H		415
372	A-8	H	CF_3	H	H	H		451

0005880

Compuesto	R^2	R^a	R^b	R^c	R^d	R^e	m.p. (°C)	AP+ (M+1)
373	$CH_2CH_2CF=CF_2$	Cl	H	Cl	H	H		415
374	A-8	Cl	H	Cl	H	H		451
375	$CH_2CF_2CF_3$	Cl	H	Cl	H	H		439
376	CH_2CF_3	CH_3	H	H	H	H		335
377	CH_2CF_3	Br	H	H	H	H		399
378	CH_2CF_3	Cl	H	H	H	H		355
379	CH_2CF_3	H	*n*-Bu	I	H	H		503
380	CH_2CF_3	H	*n*-Bu	H	H	H		377
381	CH_2CF_3	Cl	H	Cl	H	Cl		423
382	$CH_2CH_2CF=CF_2$	Cl	H	Cl	H	Cl		449
383	A-8	Cl	H	Cl	H	Cl		485
384	CH_2CF_3	Cl	H	H	H	Cl		389
385	CH_2CF_3	CH_3	H	CH_3	H	H		349
386	CH_2CF_3	H	Ciano	H	H	H		346
387	CH_2CF_3	*n*-Bu	H	H	H	H		377

000531

Compuesto	R^2	R^a	R^b	R^c	R^d	R^e	m.p. (°C)	AP+ (M+1)
388	$CH_2CF_2CF_3$	Cl	H	Cl	H	Cl		473
389	A-26	H	H	F	H	H		383
390	A-26	F	H	F	H	H		401
391	A-26	H	OCF_3	H	H	H		449
392	CH_2CF_3	F	F	F	H	H		375
393	CH_2CF_3	F	H	F	F	H		375
394	CH_2CF_3	F	H	F	H	F		375
395	CH_2CF_3	H	F	F	F	H		375
396	CH_2CF_3	CF_3	H	F	H	H		407
397	CH_2CF_3	H	OCF_3	H	H	Cl		439
398	CH_2CF_3	H	CHO	F	H	H		367
399	CH_2CF_3	Ciano	H	H	H	H		346
400	$CH_2CH_2CH_3$	H	Br	F	H	H		377
401	$CH_2CH_2CH_3$	H	CF_3	F	H	H		367
402	$CH_2CO_2CH_3$	H	H	F	H	H		329

000582

Compuesto	R^2	R^a	R^b	R^c	R^d	R^e	m.p. (°C)	AP+ (M+1)
403	CH_2CF_3	F	H	Br	H	H		417
404	CH_2CF_3	F	H	F	H	Br		435
405	$CH_2CH_2CH_3$	H	F	F	H	H		317
406	$CH_2CH_2CH_3$	H	Cl	F	H	H		333
407	$CH_2CH_2CH_3$	Cl	H	F	H	H		333
408	$CH_2CH_2CH_3$	F	H	F	H	H		317
409	$CH_2CH_2CH_3$	OCH_3	H	F	H	H		329
410	A-8	H	Ciano	F	H	H		426
411	A-7	H	OCF_3	H	H	H		414
412	A-7	H	H	F	H	H		348
413	A-7	F	H	F	H	H		365
414	A-7	H	H	H	H	H		330
415	A-2	CF_3	H	F	H	H		450
416	A-34	H	H	H	H	H	*	
417	A-2	H	H	SCH_3	H	H		410

0005583

Compuesto	R^2	R^a	R^b	R^c	R^d	R^e	m.p. (°C)	AP+ (M+1)
418	A-2	H	Cl	H	Cl	H	*	
419	A-2	Cl	H	F	H	H		398
420	A-2	CH_3	H	H	H	H		378
421	A-2	OCF_3	H	H	H	H		448
422	A-2	Ciano	H	H	H	H		389
423	A-2	OCH_3	H	H	H	H		394
424	A-3	H	OCH_3	H	H	H		323
425	A-2	H	SCH_3	H	H	H	*	
426	CH_2CF_3	H	Nitro	H	H	H	*	
427	A-2	H	$Si(CH_3)_3$	H	H	H	*	
428	A-27	H	H	H	H	H		323
429	A-27	F	H	F	H	H		359
430	A-2	H	H	$Si(CH_3)_3$	H	H	*	
431	CH_2CF_3	H	OCH_2O		H	H		365
432	CH_2CF_3	H	OH	H	H	H		337

000584

Compuesto	R^2	R^a	R^b	R^c	R^d	R^e	m.p. (°C)	AP+ (M+1)
433	CH_2CF_3	CH_3	H	F	H	H	*	
434	CH_2CF_3	H	OAc	H	H	H		379
435	CH_2CF_3	H	CH_2CH_2O		H	H		363
436	A-4	H	OCF_3	H	H	H	*	
437	A-4	F	H	F	H	H		434
438	CH_2CF_3	H	OCF_2O		H	H		401
439	A-27	H	H	F	H	H		341
440	A-2	H	CF_3	H	CF_3	H		500
441	A-2	H	Nitro	H	H	H		409
442	A-2	OCH_3	H	OCH_3	H	H		424
443	A-4	H	H	OCH_3	H	H	*	
444	A-4	F	H	H	H	H		416
445	A-2	H	CF_3	OCH_3	H	H		462
446	A-2	H	OCF_2O		H	H		444
447	A-2	H	OAc	H	H	H		422

0000595

Compuesto	R^2	R^a	R^b	R^c	R^d	R^e	m.p. (°C)	AP+ (M+1)
448	A-2	F	F	OCH_3	H	H		430
449	A-5	H	H	F	H	H		363
450	A-5	H	H	H	H	H		345
451	A-28	H	H	F	H	H		416
452	A-29	H	H	H	H	H		398
453	A-28	H	OCF_3	H	H	H	*	
454	A-29	H	H	F	H	H		416
455	A-29	F	H	F	H	H		434
456	A-30	H	H	H	H	H		355
457	A-30	H	H	F	H	H		373
458	A-30	F	H	F	H	H		391
459	A-2	H	OCH_2CF_3	H	H	H	*	
460	A-6	H	H	H	H	H		378
461	A-2	F	H	H	H	F		400
462	A-17	F	H	Ciano	H	H		391

000586

Compuesto	R^2	R^a	R^b	R^c	R^d	R^e	m.p. (°C)	AP+ (M+1)
463	A-31	H	H	H	H	H		344
464	A-31	H	H	F	H	H		362
465	A-31	F	H	F	H	H		380
466	A-32	H	H	H	H	H		323
467	A-32	H	H	F	H	H		341
468	A-32	F	H	F	H	H		359
469	A-1	F	H	Ciano	H	H		413
470	A-33	H	H	H	H	H		408
471	A-33	H	H	F	H	H		426
472	A-33	F	H	F	H	H		444
473	A-1	H	CF_3	F	H	H		455
474	A-1	Cl	H	F	H	H		422
475	A-1	H	H	Ciano	H	H		395
476	A-2	H	F	H	F	H		400
477	A-1	H	F	H	H	H		388

0005381

Compuesto	R^2	R^a	R^b	R^c	R^d	R^e	m.p. (°C)	AP+ (M+1)
478	A-1	H	H	CF_3	H	H		438
479	A-1	H	H	OCF_3	H	H		454
480	A-1	H	H	CH_3	H	H		384
481	A-1	Ciano	H	H	H	H		395
482	A-1	H	F	F	H	H		406
483	A-10	H	H	F	H	H	*	
484	A-10	H	OCF_3	H	H	H		413
485	A-10	H	H	H	H	H		329
486	A-1	H	OCH_3	F	H	H	*	
487	A-1	Br	H	F	H	H		466
488	A-1	CH_3	H	Ciano	H	H		409
489	A-1	Cl	H	Cl	H	H		438
490	A-1	H	OCF_3	H	H	Cl		488
491	A-1	H	OCF_3	H	Br	H	209-210	
492	A-1	F	F	F	H	H	196-198	424

000588

Compuesto	R^2	R^a	R^b	R^c	R^d	R^e	m.p. (°C)	AP+ (M+1)
493	A-1	F	H	F	F	H	195-197	424
494	A-1	H	OCH_2O		H	H	> 250	414
495	A-1	H	CH_2CH_2O		H	H	188-190	412
496	A-1	F	H	H	Br	H		466
497	A-6	F	H	F	H	H		414
498	A-1	H	CF_3	H	Br	H	188-190	516
499	A-1	H	F	H	F	H		406
500	A-1	H	F	OCH_3	H	H	205-207	418
501	A-1	F	H	F	H	F	*	
502	A-33	H	OCF_3	H	H	H	153-155	504
503	A-33	H	OCH_3	H	H	H		438
604	A-35	H	H	H	H	H		330
605	A-36	H	H	H	H	H		330
606	A-35	H	H	F	H	H	*	
618	A-1	F	F	H	H	F		424

000384

Compuesto	R^2	R^a	R^b	R^c	R^d	R^e	m.p. (°C)	AP+ (M+1)
619	A-1	H	CF_3	H	Cl	F		490
621	A-2	H	SF_5	H	H	Cl		524
622	A-1	H	OCF_3	H	Cl	H		488
623	A-37	F	H	H	H	H		432
624	A-37	H	H	F	H	H		432
625	A-37	H	OCF_3	H	H	H		498
627	A-37	H	CF_3	H	Br	H		560
629	CH_2CO_2H	H	H	H	H	H		297
631	A-38	H	H	H	H	H		335
632	A-2	I	H	H	H	H		490
634	A-1	H	CF_3	H	Cl	H		472
642	A-40	F	H	F	H	H	159-160	
648	A-40	OCH_3	H	H	H	H	*	
649	$CH_2CH_2CH(OMe)_2$	H	H	H	H	H		341
650	$CH_2CH(OMe)_2$	H	H	H	H	H		327

000590

Compuesto	R^2	R^a	R^b	R^c	R^d	R^e	m.p. (°C)	AP+ (M+1)
651	A-2	F	F	H	H	F		418
652	A-2	H	CF_3	H	Cl	F		484
654	A-1	F	H	OCH_3	H	H		418
663	A-1	F	Cl	H	H	F		440
664	A-1	OCH_3	H	H	F	F		436
665	A-2	OCH_3	H	H	F	F		430
666	A-2	F	Cl	H	H	F		434
673	A-41	H	H	H	H	H		360
674	A-41	F	H	H	H	H		378
675	A-40	F	H	H	H	H		365
676	A-41	H	H	F	H	H		378
677	A-17	H	H	F	H	H		372
678	A-41	F	H	F	H	H		396
679	A-41	H	OCF_3	H	H	H		444
720	CH_2CF_3	H	H	SF_5	H	H		447

000591

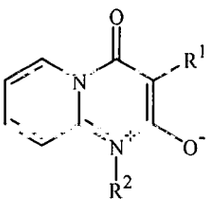
Compuesto	R^2	R^a	R^b	R^c	R^d	R^e	m.p. (°C)	AP+ (M+1)
721	A-1	H	H	SF_5	H	H		496
722	A-2	H	H	SF_5	H	H		490
737	A-37	H	Br	H	OCF_3	H		576
740	A-2	OCH_3	F	H	F	H		430
741	A-2	F	OCH_3	H	H	F		430
742	A-1	OCH_3	F	H	F	H		436
743	A-1	F	OCH_3	H	H	F		436
744	A-2	F	H	H	OCH_3	H		412
745	CH_2CF_3	F	H	H	OCH_3	H		369
746	A-17	F	F	H	H	H		384

* Ver en la Tabla índice G los datos de la ^1H RMN.

** Ver en el ejemplo de síntesis los datos de ^1H RMN.

000592

Tabla B



Compuesto	R^1	R^2	m.p. (°C)	AP+ (M+1)
110	Br	$CH_2CH_2CH_3$	*	
111	I	CH_2CF_3	*	
112	I	$CH_2CH_2CH_3$	*	
113	I	A-8	*	
114	I	$CH_2CF_2CF_3$	*	
115	$CH(CH_3)_3$	CH_2CF_3	*	
116	$CH_2CH(CH_3)_2$	CH_2CF_3	*	
117	$CH_2CH_2CF=CF_2$	CH_2CF_3	*	
118	I	A-2	**	
125	H	A-1	**	
119	6-fluoro-3-piridinilo	A-2	*	
120	6-cloro-3-piridinilo	A-2	*	
121	3-cloro-4-piridinilo	CH_2CF_3	248-249	
521	4-trifluorometil-2-piridinilo	CH_2CF_3	*	

Compuesto	R^1	R^2	m.p. (°C)	AP+ (M+1)
522	4-trifluorometil-2-piridinilo	A-17		417
537	2-bromo-4-piridinilo	A-2		443
540	2-bromo-4-piridinilo	A-1		449
547	6-trifluorometil-2-piridinilo	A-17	*	
550	2-ciano-4-piridinilo	A-1		396
552	2-piridinilo	$CH_2CH_2CH_3$		282
553	3-piridinilo	$CH_2CH_2CH_3$		282
554	fenilo	$CH_2CO_2CH(CH_3)_2$		339
555	2-naftalenilo	$CH_2CH_2CH_3$		331
556	1-naftalenilo	$CH_2CH_2CH_3$		331
561	4-piridinilo	$CH_2CH_2CH_3$		282
562	2-cloro-4-piridinilo	$CH_2CH_2CH_3$		316
564	4-fluoro-1-naftalenilo	$CH_2CH_2CH_3$	*	
570	6-cloro-3-piridinilo	CH_2CF_3		356
571	6-fluoro-3-piridinilo	CH_2CF_3		340
573	4-fluoro-1-naftalenilo	A-2		432
574	6-metoxi-2-naftalenilo	A-2		444
575	6-metoxi-3-piridinilo	A-2		395
576	5-fluoro-2-piridinilo	A-2		383

Compuesto	R^1	R^2	m.p. (°C)	AP+ (M+1)
577	5-trifluorometil-3-piridinilo	A-2		433
579	2-fluoro-3-piridinilo	A-2		383
584	3-fluoro-4-piridinilo	A-2		383
585	5-metoxi-2-piridinilo	A-2		395
586	3-fluoro-2-piridinilo	A-2		383
587	3-cloro-2-piridinilo	A-2		399
591	4-trifluorometil-2-piridinilo	A-2		433
593	6-trifluorometil-2-piridinilo	A-2		433
597	4-trifluorometil-2-piridinilo	A-1	225-227	439
598	2-cloro-4-piridinilo	A-1	242-243	405
600	6-trifluorometil-2-piridinilo	A-1	*	
608	I	A-1	**	
609	H	$CH_2CH_2CH_3$	**	
610	H	CH_2CF_3	214-215	
611	H	A-2	**	
612	H	A-7	*	
628	2-bromo-4-piridinilo	A-37		493

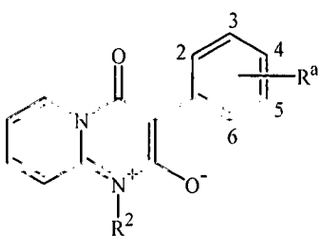
Compuesto	R^1	R^2	m.p. (°C)	AP+ (M+1)
636	2-metoxi-4-piridinilo	A-1		401
637	$C(=NOCH_3)CF_3$	CH_2CF_3	**	
638	$C(=NOCH_2CH_3)CF_3$	CH_2CF_3	*	
653	2-trifluorometil-4-piridinilo	A-1		439
656	$C(=NOCH_2CHMe_2)CF_3$	CH_2CF_3	*	
657	$C(=NOCMe_3)CF_3$	CH_2CF_3	*	
658	$C(=NOCH_2CMe_3)CF_3$	CH_2CF_3	*	
659	$C(=NOCH_2CO_2Et)CF_3$	CH_2CF_3	*	
660	C(O)NHfenilo	A-1		413
661	C(O)NH(3-metoxifenilo)	A-1		443
687	C(O)OEt	$CH_2CH_2CH_3$		277
688	C(O)NH(4-fluorofenilo)	$CH_2CH_2CH_3$		342
689	C(O)NH(2-clorofenilo)	$CH_2CH_2CH_3$		358
690	C(O)NH(3-clorofenilo)	$CH_2CH_2CH_3$		358
691	C(O)NH(4-clorofenilo)	$CH_2CH_2CH_3$		358
692	C(O)NHfenilo	$CH_2CH_2CH_3$		324
693	C(O)O(4-nitrofenilo)	$CH_2CH_2CH_3$		370
694	C(O)fenilo	$CH_2CH_2CH_3$		309
695	C(O)(2-fluorofenilo)	$CH_2CH_2CH_3$		327
696	C(O)(3-fluorofenilo)	$CH_2CH_2CH_3$		327
697	C(O)(4-fluorofenilo)	$CH_2CH_2CH_3$		327

Compuesto	R^1	R^2	m.p. (°C)	AP+ (M+1)
698	C(O)(2-metilfenilo)	$CH_2CH_2CH_3$		323
699	C(O)(3-metilfenilo)	$CH_2CH_2CH_3$		323
700	C(O)OEt	A-1	*	
701	C(O)fenilo	A-1	*	
702	$C(O)CF_3$	A-1	236-238	
703	C(O)(4-fluorofenilo)	A-1	235-237	
704	C(O)(3-fluorofenilo)	A-1	226-228	
706	C(O)(2-fluorofenilo)	A-1	190-192	
707	C(O)[3-(trifluorometil)fenilo]	A-1	225-226	
708	C(O)(2-tienilo)	A-1	214-216	
709	C(O)[4-(trifluorometil)fenilo]	A-1	242-243	
710	$C(O)CH_3$	A-1	183-185	
711	$C(O)CF_3$	CH_2CF_3	202-203	
712	$C(O)CF_3$	A-2	209-210	
713	$C(O)CF_2CF_3$	A-1	204-205	
714	$C(O)CF_2CF_3$	A-2	189-190	
715	$C(O)CF_2CF_2CF_3$	A-1	156-157	
716	$C(O)CF_2CF_2CF_3$	A-2	134-135	
729	$C(=NOC(CH_3)_3)H$	CH_2CF_3	*	
730	$C(=NOCH_2CH_3)H$	CH_2CF_3	*	

Compuesto	R^1	R^2	m.p. (°C)	AP+ (M+1)
731	$C(=NOCH_2C(CH_3)_3)H$	CH_2CF_3	*	
758	$C(=NOCH_3)H$	CH_2CF_3	*	
759	$C(=NOCH_2Ph)H$	CH_2CF_3	*	

* Ver en la Tabla índice G los datos de la ^1H RMN.
** Ver en el ejemplo de síntesis los datos de ^1H RMN.

Tabla índice C



Compuesto	R^a	R^2	m.p. (°C)	AP+ (M+1)
523	3-(3-piridinilo)	A-2		441
524	3-(6-cloro-3-piridinilo)	A-2		474
525	3-(6-fluoro-3-piridinilo)	A-2		459
527	3-(6-fluoro-3-piridinilo), 6-fluoro	A-2		477
528	4-(6-cloro-3-piridinilo)	A-2		475

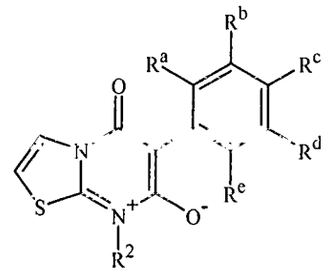
Compuesto	R^a	R^2	m.p. (°C)	AP+ (M+1)
529	3-(6-metoxi-3-piridinilo)	A-2		471
530	3-(6-cloro-3-piridinilo)	A-1		481
531	3-(6-fluoro-3-piridinilo), 5-trifluorometilo	A-2		527
534	3-(6-cloro-3-piridinilo)	$CH(CH_3)CF_3$		446
536	3-(6-fluoro-3-piridinilo), 4-fluoro	A-2		
539	3-(6-cloro-3-piridinilo), 6-metoxi	A-2		505
541	3-(6-cloro-3-piridinilo), 4-fluoro	A-1		499
542	3-(6-fluoro-3-piridinilo)	A-1		465
543	3-(6-cloro-3-piridinilo), 5-trifluorometilo	A-1		549
544	3-(6-fluoro-3-piridinilo), 5-trifluorometilo	A-1		533
545	3-(6-fluoro-3-piridinilo)	A-19		428

Compuesto	R^a	R^2	m.p. (°C)	AP+ (M+1)
546	3-(6-fluoro-3-piridinilo)	A-6		473
549	3-(6-fluoro-3-piridinilo), 5-trifluorometoxi	A-1		549
551	2-(6-cloro-3-piridinilo)	A-1		481
557	3-fenilo	$CH_2CH_2CH_3$		357
558	4-fenoxi	$CH_2CH_2CH_3$		373
559	2-fenoxi	$CH_2CH_2CH_3$		373
560	2-fenilo	$CH_2CH_2CH_3$		357
563	3-fenoxi	$CH_2CH_2CH_3$		373
565	3-benciloxi	$CH_2CH_2CH_3$		387
566	3-benciloxi	CH_2CF_3	178-179	427
567	4-benciloxi	CH_2CF_3	203-204	427
568	2-benciloxi	CH_2CF_3	165-166	427
578	2-(B-1), 4-fluoro	CH_2CF_3		480
580	3-(B-2)	CH_2CF_3	223-225	428
581	3-(B-1)	CH_2CF_3		462
582	3-(B-1)	A-2		505
594	3-(B-3), 4-fluoro	A-2		446
595	3-(B-3), 4-fluoro	CH_2CF_3		446
596	3-(B-4)	CH_2CF_3	170-172	462

Compuesto	R^a	R^2	m.p. (°C)	AP+ (M+1)
616	3-(6-bromo-3-piridinilo)	A-1		525
617	3-(6-trifluorometil-3-piridinilo)	A-1		515
626	3-(6-cloro-3-piridinilo)	A-37		525
635	3-(6-cloro-3-piridinilo), 5-trifluorometoxi	A-1		565
655	3-(6-cloro-3-piridinilo), 5-metoxi	A-1		511
682	3-(6-trifluorometil-3-piridinilo), 5-trifluorometoxi	A-1		599
684	3-(6-trifluorometil-3-piridinilo), 5-trifluorometilo	A-1		583
685	3-(6-trifluorometil-3-piridinilo), 4-metoxi	A-1		545
717	3-(6-cloro-3-piridinilo), 4-metoxi	A-1		511
734	3-(6-trifluorometil-3-piridinilo)	A-17		493

Compuesto	R^a	R^2	m.p. (°C)	AP+ (M+1)
735	3-(6-trifluorometil-3-piridinilo)	A-2		509
736	3-(6-trifluorometil-3-piridinilo)	A-37		559
738	3-(6-trifluorometil-3-piridinilo), 6-fluoro	A-1		533
739	3-(6-trifluorometil-3-piridinilo), 6-fluoro	A-37		577

Tabla índice D



Compuesto	R^2	R^a	R^b	R^c	R^d	R^e	m.p. (°C)	AP+ (M+1)
126	$CH_2CH_2CH_3$	H	H	H	H	H	161-168	
127	$CH_2CH_2CH_3$	F	H	H	H	Cl	216-219	
128	$CH_2CH_2CH_3$	H	Cl	H	Cl	H	180-183	
129	$CH_2CH_2CH_3$	Cl	Cl	H	H	H	188-192	

000303

Compuesto	R^2	R^a	R^b	R^c	R^d	R^e	m.p. (°C)	AP+ (M+1)
130	$CH_2CH_2CH_3$	F	H	H	H	F	207-210	
131	$CH_2CH_2CH_3$	CH_3	H	H	H	H	223-225	
132	$CH_2CH_2CH_3$	H	H	*i*-Pr	H	H	*	
133	CH_2CF_3	F	H	F	H	H	*	
134	CH_2CF_3	H	H	H	H	H	*	
135	CH_2CF_3	H	OCF_3	H	H	H	*	
136	A-2	H	H	H	H	H	*	
137	A-2	H	H	F	H	H	*	
138	A-2	H	OCF_3	H	H	H	**	
139	A-1	H	H	H	H	H	*	
140	A-1	H	H	F	H	H	*	
141	A-1	H	OCF_3	H	H	H	*	
142	$CH_2CH_2CH_3$	F	H	F	H	H	*	
143	$CH_2CH_2CH_3$	H	H	pH	H	H		363
144	$CH_2CO_2CH_2CH_3$	H	H	H	H	H		331
145	A-1	H	OCF_3	H	Br	H		538
146	A-1	F	H	F	H	H		412
147	A-1	H	OCH_3	H	H	H	*	
148	A-1	F	H	H	Cl	H		428
149	A-2	H	OCH_3	H	H	H		400
150	A-2	H	OCH_3	H	OCH_3	H		430
151	A-2	F	H	F	H	H		406

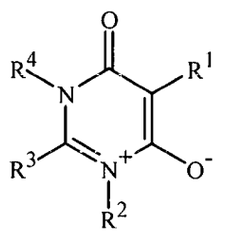
Compuesto	R^2	R^a	R^b	R^c	R^d	R^e	m.p. (°C)	AP+ (M+1)
152	A-1	F	H	H	CF_3	H	*	
153	A-2	F	H	H	CF_3	H		456
154	A-2	F	H	H	Cl	H		422
155	A-2	H	OCF_3	H	Br	H		532
156	A-1	H	OCH_3	H	OCH_3	H		436
157	A-2	F	H	H	H	H	216-218	388
158	A-2	OCH_3	H	H	H	H	99-100	
159	A-1	F	H	H	H	H	192-194	
160	A-1	OCH_3	H	H	H	H	*	
630	A-17	H	H	H	H	H	223-225	
633	A-2	I	H	H	H	H		496
639	A-17	H	OCF_3	H	H	H	*	
640	A-39	H	OCF_3	H	H	H	147-149	
641	A-17	F	H	F	H	H	235-237	
643	A-17	F	H	H	H	H	223-225	
644	A-39	F	H	H	H	H	169-171	
645	A-39	H	H	H	H	H	190-192	
646	A-17	OCH_3	H	H	H	H	*	
647	A-39	OCH_3	H	H	H	H	*	
747	A-17	H	OCH_3	H	H	H		384
748	A-2	F	F	H	H	H		406
749	A-1	F	F	H	H	H		412

Compuesto	R^2	R^a	R^b	R^c	R^d	R^e	m.p. (°C)	AP+ (M+1)
750	A-17	F	F	H	H	H		390

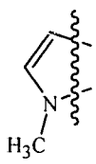
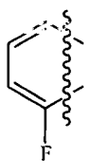
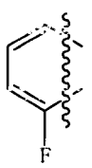
* Ver en la Tabla índice G los datos de la ^{1}H RMN.

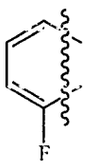
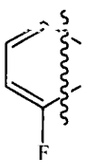
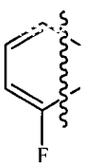
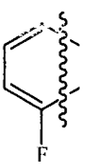
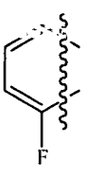
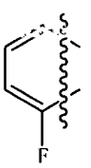
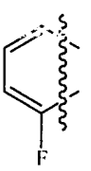
** Ver en el ejemplo de síntesis los datos de ^{1}H RMN.

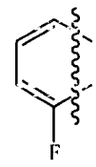
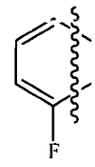
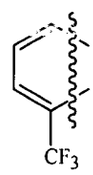
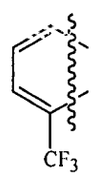
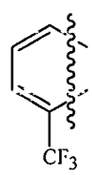
Tabla E

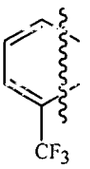
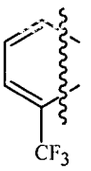
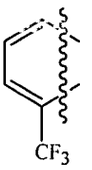


Compuesto	R^1	R^2	R^{3} **	R^{4} **	m.p. (°C)	AP+ (M+1)
504	fenilo	A-2	CH_3	fenilo		404
505	fenilo	A-2	fenilo	CH_3		404
506	4-fluorofenilo	A-2	CH_3	fenilo		422
507	fenilo	CH_2CF_3	fenilo	CH_3		361
508	4-fluorofenilo	CH_2CF_3	fenilo	CH_3		379
509	fenilo	$CH_2CH_2CH_3$	CH_3	CH_3		259
510	4-fluorofenilo	$CH_2CH_2CH_3$	CH_3	CH_3		276
511	fenilo	A-2	CH_3	CH_3	*	
512	fenilo	CH_2CF_3	CH_3	CH_3		299
513	4-fluorofenilo	A-2	CH_3	CH_3		360

Compuesto	R^1	R^2	R^{3} **	R^{4} **	m.p. (°C)	AP+ (M+1)
514	fenilo	A-1	CH_3	CH_3	222-224	388
515	3-(trifluorometoxi)-fenilo	$CH_2CH_2CH_3$	$CH_2CH_2CH_2CH_2$			369
516	4-fluorofenilo	$CH_2CH_2CH_3$	$CH_2CH_2CH_2CH_2$			303
517	fenilo	A-2				354
518	3-(trifluorometoxi)-fenilo	A-2			*	
519	fenilo	$CH_2CH_2CH_3$				284
588	4-fluorofenilo	A-2				400
589	3-(trifluorometoxi)-fenilo	A-2				466

Compuesto	R^1	R^2	R^3 ** R^4 **	m.p. (°C)	AP+ (M+1)
590	2,4-difluorofenilo	A-2			418
592	fenilo	A-2			382
667	2-fluorofenilo	A-1			406
668	2-fluorofenilo	A-2			400
669	2-metoxifenilo	A-2			412
670	2-metoxifenilo	A-1			418
671	4-fluorofenilo	A-1			406

Compuesto	R^1	R^2	R^{3} **	R^{4} **	m.p. (°C)	AP+ (M+1)
672	3-(trifluorometoxi)-fenilo	A-1				472
683	3-bromo-5-(trifluorometoxi)fenilo	A-1				550
718	2-fluorofenilo	A-2	CH_3	CH_3	249-252	360
719	2-fluorofenilo	A-1	CH_3	CH_3	190-193	366
751	2-fluorofenilo	A-2				450
752	4-fluorofenilo	A-2				450
753	3-(trifluorometoxi)-fenilo	A-2				516

Compuesto	R^1	R^2	R^3 **	R^4 **	m.p. (°C)	AP+ (M+1)
754	3-(trifluorometoxi)-fenilo	A-1				522
755	2-fluorofenilo	A-1				456
756	4-fluorofenilo	A-1				456

* Ver en la Tabla índice G los datos de la ^{1}H RMN.

** Cuando R^3 y R^4 se toman junto con los átomos de carbono y nitrógeno de enlace contiguos para formar un anillo, la línea ondulada indica que el anillo se une al resto de la molécula con la orientación mostrada a continuación.

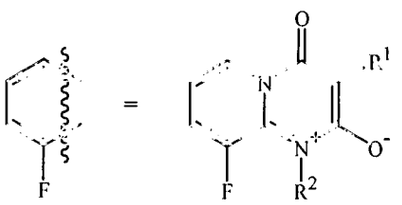
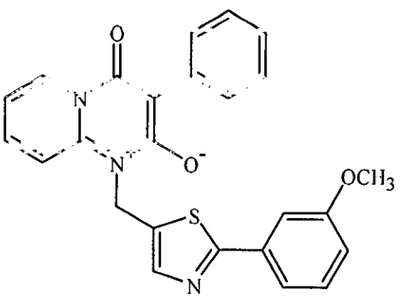
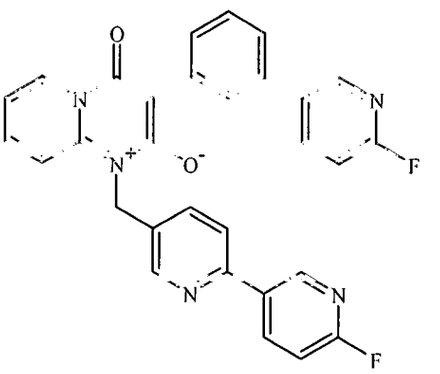
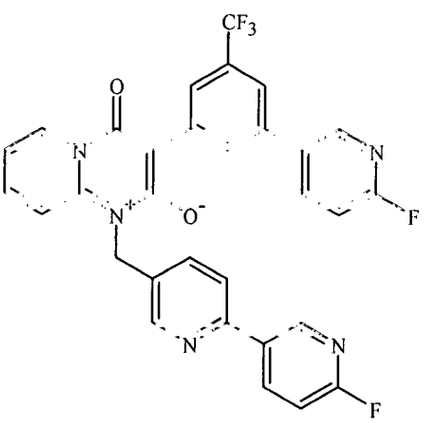
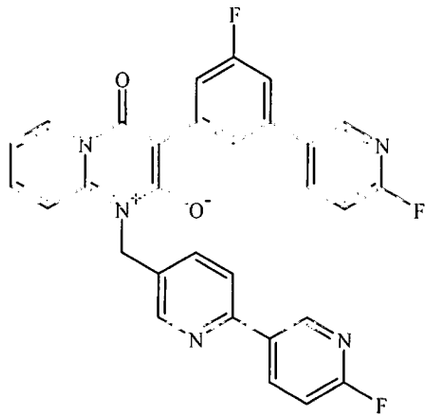
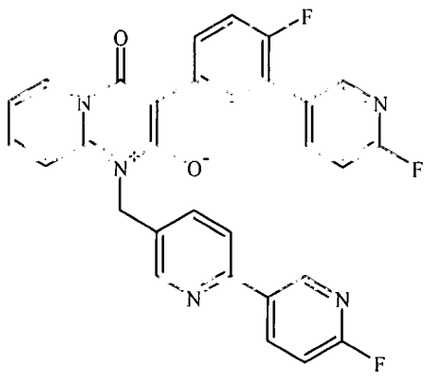
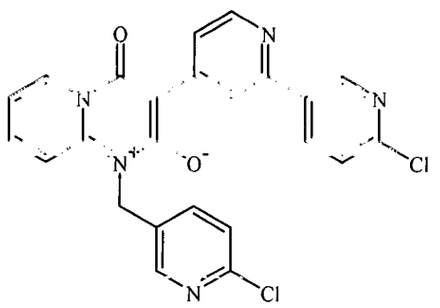
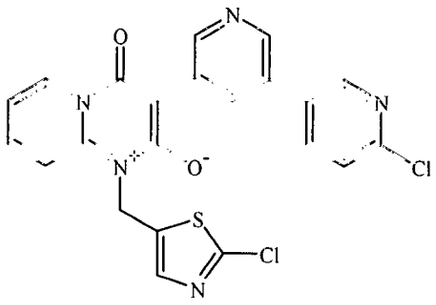
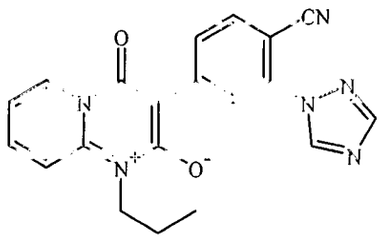
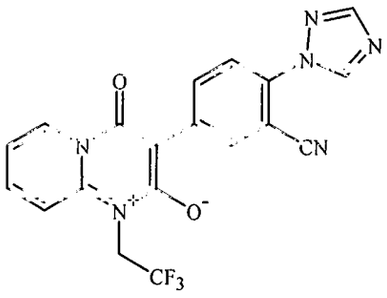
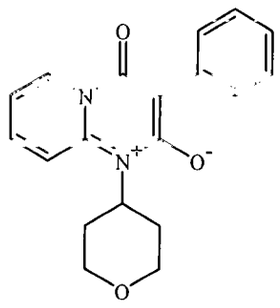


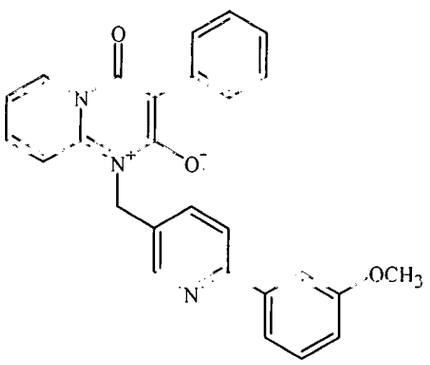
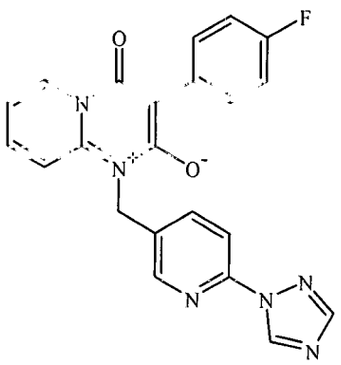
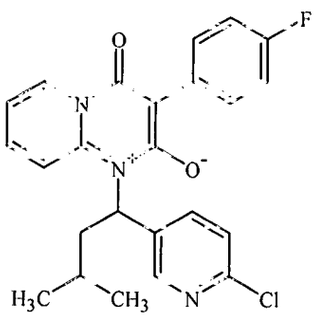
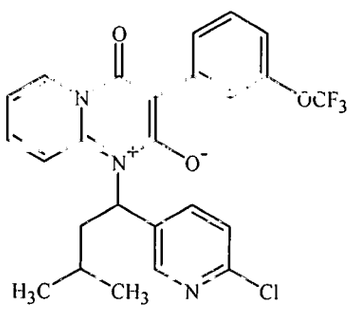
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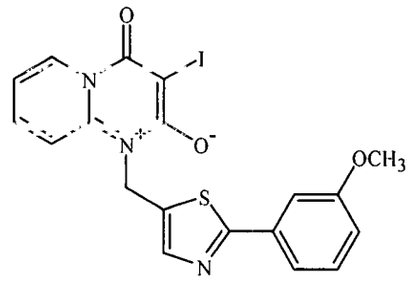
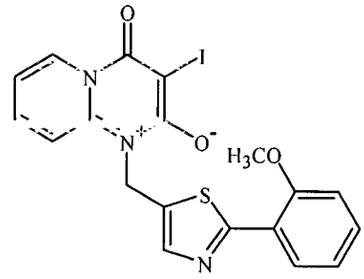
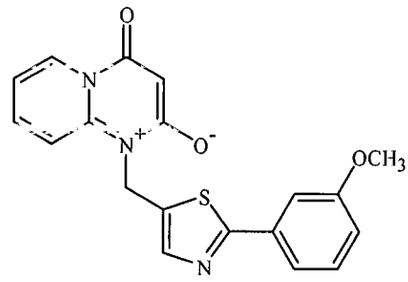
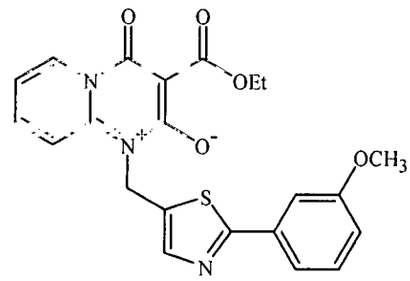
Compuesto	Estructura	m.p. (°C)	AP+ (M+1)

Compuesto	Estructura	m.p. (°C)	AP+ (M+1)
520			442
526			520
532			588

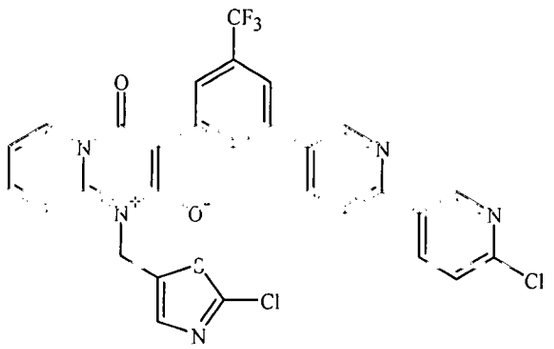
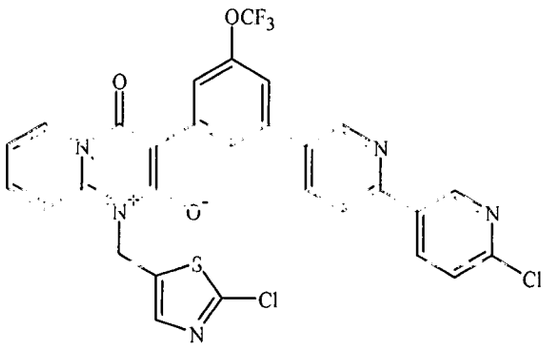
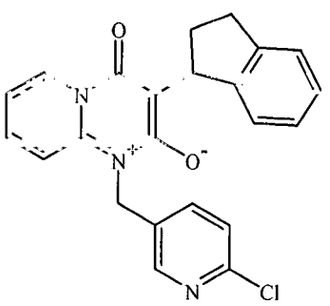
Compuesto	Estructura	m.p. (°C)	AP+ (M+1)
533			538
535			538
538			476

Compuesto	Estructura	m.p. (°C)	AP+ (M+1)
548			482
569		*	
572			413
583		*	

Compuesto	Estructura	m.p. (°C)	AP+ (M+1)
599		*	
601		*	
602			438
603			504

Compuesto	Estructura	m.p. (°C)	AP+ (M+1)
613		**	
614			492
615			366
705			438

000915

Compuesto	Estructura	m.p. (°C)	AP+ (M+1)
732			626
733			642
757			404

* Ver en la Tabla índice G los datos de la ^{1}H RMN.

** Ver en el ejemplo de síntesis los datos de ^{1}H RMN.

Tabla G

Núm. de comp.	Datos ^{1}H NMR [a, b]

3 δ (acetona-d_6) 9,5 (m, 1H), 8,5 (m, 1H), 8,1 (m, 1H), 7,97 (s, 1H), 7,75 (dd, 1H), 7,69 (m, 1H), 7,31 (t, 1H), 7,15 (m, 1H), 5,35 (br s, 2H).

8 δ 9,51 (d, 1H), 8,09 (m, 1H), 7,85-7,69 (m, 2H), 7,45 (d, 1H), 7,38 (t, 1H), 7,32 (t, 1H), 7,07 (d, 1H), 4,29 (t, 2H), 1,87-1,75 (m, 2H), 1,08 (t, 3H).

9 δ 9,57 (d, 1H), 8,23 (t, 1H), 7,61 (d, 1H), 7,49 (t, 2H), 7,41 (d, 1H), 7,29 (d, 1H), 5,2 (br s, 2H).

10 δ 9,57 (d, 1H), 8,23 (t, 1H), 7,61 (d, 1H), 7,49 (m, 2H), 6,8-6,95 (m, 2H), 5,2 (br s, 2H).

12 δ 9,52 (dd, 1H), 8,15-8,07 (m, 2H), 8,03-7,98 (m, 1H), 7,47 (t, 3H), 7,34 (m, 1H), 4,30 (t, 2H), 1,91-1,74 (m, 2H), 1,09 (t, 3H).

14 δ 9,58 (d, 1H), 8,23 (t, 1H), 7,61 (d, 1H), 7,51 (t, 1H), 7,48 (d, 1H), 7,14 (m, 2H).

15 δ 9,60 (d, 1H), 8,23 (t, 1H), 7,75 (d, 2H), 7,59 (d, 1H), 7,51 (t, 2H), 7,24 (m, 2H).

17 δ (acetona-d_6) 9,45 (d, 1H), 8,45 (m, 1H), 8,1 (d, 1H), 7,63 (t, 1H), 7,3 (d, 1H), 7,22 (m, 1H), 7,95 (dd, 1H), 6,9 (t, 1H), 5,35 (br s, 2H), 3,73 (s, 3H).

18 δ 9,5 (m, 1H), 8,1 (m, 1H), 7,75 (m, 2H), 7,63 (dd, 1H), 7,4-7,3 (m, 2H), 7,05 (m, 1H), 4,30 (m, 2H), 1,2 (m, 1H), 0,62 (m, 4H).

20 δ 9,51 (dd, 1H), 8,12 (ddd, 2H), 7,51-7,42 (m, 3H), 7,38-7,31 (m, 1H), 6,72-6,60 (m, 1H), 4,29 (t, 2H), 1,93-1,68 (m, 2H), 1,09 (t, 3H).

21 δ 9,56 (d, 1H), 8,23 (t, 1H), 7,59 (d, 1H), 7,49 (m, 2H), 7,16 (t, 2H), 5,2 (br s, 2H).

22 δ 9,57 (d, 1H), 8,19 (t, 1H), 7,78 (dd, 1H), 7,67 (dd, 1H), 7,35-7,55 (m, 3H), 7,09 (d, 1H), 4,7-5,05 (m, 2H), 4,37 (m, 1H), 2,2-2,55 (m, 2H).

25 δ 9,57 (d, 1H), 8,23 (t, 1H), 7,58 (d, 1H), 7,46 (t, 2H), 7,38(s, 1H), 6,90 (d, 1H), 3,79 (s, 3H).

27 δ 9,57 (d, 1H), 8,17 (t, 1H), 7,74 (m, 2H), 7,49 (d, 1H), 7,41 (t, 1H), 7,08 (t, 2H), 4,7-5,05 (m, 2H), 4,37 (m, 1H), 2,2-2,55 (m, 2H).

28 δ 9,53 (dd, 1H), 8,07 (ddd, 1H), 7,81-7,72 (m, 2H), 7,45 (d, 1H), 7,42-7,36 (m, 2H), 7,31 (m, 1H), 7,25-7,20 (m, 1H), 4,30 (d, 1H), 1,90-1,73 (m, 2H), 1,08 (t, 3H).

30 δ 9,54 (d, 1H), 8,21 (t, 1H), 7,51 (m, 2H), 7,42 (t, 1H), 6,9 (m, 2H), 4,7-5,05 (m, 2H), 4,37 (m, 1H), 2,2-2,55 (m, 2H).

32 δ 9,61 (d, 1H), 8,24 (t, 1H), 7,78 (dd, 1H), 7,67 (d, 1H), 7,50 (t, 1H), 7,44 (d, 2H), 6,69 (t, 1H).

33 δ 9,61 (d, 1H), 8,21 (t, 1H), 7,76 (d, 1H), 7,71 (s, 1H), 7,55 (dt, 1H), 7,49 (t, 1H), 7,39 (t, 1H), 7,10 (d, 1H).

34 δ 9,59 (d, 1H), 8,15 (t, 1H), 7,72 (d, 2H), 7,52 (d, 1H), 7,44 (t, 1H), 7,38 (t, 2H), 7,23 (t, 1H), 5,5 (br s, 2H).

35 δ 9,61 (d, 1H), 8,21 (t, 1H), 7,73 (m, 2H), 7,56 (d, 1H), 7,49 (t, 1H), 7,08 (t, 2H).

36 δ 9,59 (d, 1H), 8,23 (t, 1H), 7,58 (d, 1H), 7,50 (m, 2H), 7,50 (t, 1H), 6,85-6,95 (m, 2H).

37 δ 9,57 (d, 1H), 8,13 (t, 1H), 7,74 (d, 2H), 7,49 (d, 1H), 7,40 (m, 3H), 7,26 (m, 1H), 4,54 (t, 2H), 2,85 (m, 2H).

38 δ 9,58 (d, 1H), 8,16 (t, 1H), 7,74 (d, 2H), 7,49 (d, 1H), 7,40 (t, 3H), 7,25 (m, 1H), 4,58 (dd, 2H), 2,85 (m, 2H).

39 δ 9,49 (dd, 1H), 8,03 (ddd, 1H), 7,73 (d, 2H), 7,58 (d, 1H), 7,36 (t, 2H), 7,28 (m, 1H), 7,23-7,17 (m, 1H), 4,28 (d, 2H), 1,24-1,09 (m, 1H), 0,69-0,45 (m, 4H).

41 δ 9,51 (dd, 1H), 8,13 (ddd, 1H), 8,03-7,97 (m, 2H), 7,68-7,62 (m, 2H), 7,48 (d, 1H), 7,39-7,33 (m, 1H), 4,29 (t, 2H), 1,90-1,72 (m, 2H), 1,09 (t, 3H).

42 δ 9,55 (m, 1H), 8,15 (m, 1H), 7,75 (m, 2H), 7,67 (s, 1H), 7,6 (dd, 1H), 7,42 (m, 1H), 7,1 (m, 2H), 5,58 (br s, 2H).

44 δ 9,5 (m, 1H), 8,15 (m, 1H), 7,65 (s, 1H), 7,6 (dd, 1H), 7,5 (m, 1H), 7,4 (m, 1H), 6,9 (m, 2H), 5,55 (br s, 2H).

50 δ (acetona-d_6) 9,4 (m, 1H), 8,45 (m, 1H), 8,1 (dd, 1H), 7,95 (s, 1H), 7,6 (m, 1H), 7,55 (m, 1H), 7,3 (m, 1H), 7,1 (m, 2H), 5,74 (d, 2H).

51 δ 9,54 (d, 1H), 8,47 (s, 1H), 8,07 (dd, 1H), 7,99 (s, 1H), 7,76 (d, 1H), 7,68 (d, 1H), 7,25-7,45 (m, 5H), 5,58 (br s, 2H).

52 δ 9,55 (d, 1H), 8,48 (s, 1H), 8,10 (m, 3H), 7,67 (d, 1H), 7,48 (s, 1H), 7,42 (m, 2H), 7,36 (d, 1H), 5,59 (br s, 2H).

53 δ 9,56 (d, 1H), 8,48 (s, 1H), 8,14 (s, 1H), 8,09 (dd, 1H), 8,07 (d, 1H), 7,69 (dd, 1H), 7,51 (m, 2H), 7,40 (m, 2H), 5,6 (br s, 2H).

54 δ 9,56 (d, 1H), 8,47 (s, 1H), 8,08 (dd, 1H), 7,81 (d, 1H), 7,77 (s, 1H), 7,68 (dd, 1H), 7,3-7,45 (m, 4H), 7,12 (d, 1H), 5,59 (br s, 2H).

55 δ 9,52 (d, 1H), 8,47 (s, 1H), 8,10 (dd, 1H), 8,04 (s, 1H), 7,78 (s, 1H), 7,66 (dd, 1H), 7,3-7,45 (m, 4H), 5,57 (br s, 2H).

56 δ 9,55 (d, 1H), 8,48 (s, 1H), 8,08 (dd, 1H), 7,83 (d, 1H), 7,77 (dd, 1H), 7,3-7,45 (m, 4H), 7,24 (d, 1H), 5,59 (br s, 2H).

57 δ 9,56 (d, 1H), 8,48 (s, 1H), 8,05 (dd, 1H), 7,79 (d, 1H), 7,77 (dd, 1H), 7,3-7,45 (m, 4H), 7,24 (d, 1H), 5,59 (br s, 2H).

59 δ 9,56 (d, 1H), 8,48 (s, 1H), 8,05 (dd, 1H), 7,79 (d, 2H), 7,70 (dd, 1H), 7,2-7,45 (m, 6H), 5,59 (br s, 2H).

60 δ 9,56 (d, 1H), 8,48 (s, 1H), 8,05 (dd, 1H), 7,81 (d, 2H), 7,69 (dd, 1H), 7,3-7,45 (m, 3H), 7,17 (d, 2H), 6,52 (t, 1H), 5,59 (br s, 2H).

61 δ 9,56 (d, 1H), 8,48 (s, 1H), 8,08 (dd, 1H), 7,70 (dd, 1H), 7,63 (d, 1H), 7,58 (m, 1H), 7,3-7,45 (m, 4H), 6,95 (td, 1H), 5,58 (br s, 2H).

000621

62 δ 9,51 (d, 1H), 8,48 (s, 1H), 8,10 (dd, 1H), 7,10 (m, 2H), 7,3-7,45 (m, 4H), 7,03 (dd, 1H), 5,58 (br s, 2H).

63 δ 9,51 (d, 1H), 8,48 (s, 1H), 8,08 (dd, 1H), 7,69 (ddd, 1H), 7,54 (q, 1H), 7,3-7,45 (m, 4H), 6,85-7,0 (m, 2H), 5,57 (br s, 2H).

64 δ 9,52 (d, 1H), 8,48 (s, 1H), 8,10 (dd, 1H), 7,70 (d, 1H), 7,48 (dd, 1H), 7,42 (m, 2H), 7,34 (d, 1H), 7,25 (d, 1H), 7,06 (td, 1H), 5,59 (br s, 2H).

66 δ 9,53 (d, 1H), 8,49 (s, 1H), 8,12 (dd, 1H), 7,90 (d, 1H), 7,69 (dd, 1H), 7,65 (m, 1H), 7,2-7,45 (m, 4H), 5,59 (br s, 2H).

67 δ 9,53 (d, 1H), 8,48 (s, 1H), 8,11 (dd, 1H), 7,79 (d, 1H), 7,70 (dd, 1H), 7,51 (d, 1H), 7,4 (m, 3H), 7,35 (d, 1H), 7,15 (d, 1H), 5,75 (br d, 1H), 5,4 (br d, 1H).

68 δ 9,53 (d, 1H), 8,48 (s, 1H), 8,11 (dd, 1H), 7,79 (t, 1H), 7,69 (dd, 1H), 7,25-7,45 (m, 4H), 5,58 (br s, 2H).

70 δ 9,52 (d, 1H), 8,49 (s, 1H), 8,10 (dd, 1H), 7,69 (dd, 1H), 7,56 (dd, 1H), 7,4 (m, 2H), 7,09 (t, 1H), 5,58 (br s, 2H).

72 δ 9,50 (d, 1H), 8,47 (s, 1H), 8,03 (dd, 1H), 7,69 (dd, 1H), 7,57 (td, 1H), 7,26-7,45 (m, 4H), 7,19 (t, 1H), 7,12 (dd, 1H), 5,56 (br s, 2H).

73 δ 9,56 (d, 1H), 8,48 (s, 1H), 8,08 (dd, 1H), 7,86 (d, 2H), 7,69 (dd, 1H), 7,3-7,45 (m, 3H), 7,26 (d, 1H), 5,59 (br s, 2H).

74 δ 9,54 (d, 1H), 8,47 (s, 1H), 8,0-8,15 (m, 3H), 7,67 (dd, 1H), 7,4 (m, 2H), 7,33 (d, 1H), 7,21 (dd, 1H), 5,59 (br s, 2H).

75 δ 9,56 (d, 1H), 8,48 (s, 1H), 8,03 (dd, 1H), 7,74 (d, 2H), 7,69 (dd, 1H), 7,3-7,4 (m, 3H), 6,97 (d, 2H), 5,59 (br s, 2H).

76 δ 9,56 (d, 1H), 8,48 (s, 1H), 8,10 (dd, 1H), 7,97 (d, 2H), 7,6-7,75 (m, 3H), 7,41 (m, 2H), 7,33 (d, 1H), 5,60 (br s, 2H).

77 δ 9,50 (d, 1H), 8,47 (s, 1H), 8,08 (dd, 1H), 7,68 (dd, 1H), 7,50 (d, 1H), 7,3-7,45 (m, 5H), 5,7 (br d, 1H), 5,4 (br d, 1H).

78 δ 9,52 (d, 1H), 8,48 (s, 1H), 8,11 (dd, 1H), 7,68 (dd, 2H), 7,35-7,45 (m, 3H), 7,34 (d, 1H), 6,95-7,05 (m, 1H), 5,57 (br s, 2H).

79 δ 9,52 (d, 1H), 8,48 (s, 1H), 8,12 (dd, 1H), 7,65-7,75 (m, 2H), 7,47 (d, 1H), 7,42 (t, 2H), 7,37 (d, 1H), 5,58 (br s, 2H).

80 δ 9,51 (d, 1H), 8,48 (s, 1H), 8,12 (dd, 1H), 7,69 (dd, 1H), 7,4-7,5 (m, 2H), 7,33 (d, 1H), 7,27 (m, 1H), 7,02 (dd, 1H), 5,58 (br s, 2H).

82 δ 9,55 (d, 1H), 8,48 (s, 1H), 8,09 (dd, 1H), 7,65-7,75 (m, 2H), 7,6 (m, 1H), 7,41 (m, 2H), 7,33 (d, 1H), 7,17 (q, 1H), 5,58 (br s, 2H).

83 δ 9,56 (d, 1H), 8,48 (s, 1H), 8,05 (dd, 1H), 7,70 (d, 1H), 7,28-7,40 (m, 6H), 6,84 (m, 1H), 5,59 (br s, 2H), 3,84 (s, 3H).

84 δ 9,56 (d, 1H), 8,48 (s, 1H), 8,03 (dd, 1H), 7,68 (m, 3H), 7,36 (m, 1H), 7,31 (d, 1H), 7,23 (d, 1H), 5,58 (br s, 2H), 2,37 (s, 3H).

85 δ 9,52 (d, 1H), 8,48 (s, 1H), 8,10 (dd, 1H), 7,68 (dd, 2H), 7,3-7,5 (m, 6H), 5,57 (br s, 2H).

86 δ (acetona-d_6) 9,45 (d, 1H), 8,55 (d, 1H), 8,25 (m, 1H), 7,9-7,8 (m, 2H), 7,75 (s, 1H), 7,6 (dd, 1H), 7,5 (m, 1H), 7,4 (d, 1H), 6,65 (d, 1H), 5,72 (br s, 2H), 4,53 (m, 2H), 3,2 (m, 2H).

87 δ 9,59 (d, 1H), 8,38 (s, 1H), 8,10 (dd, 1H), 7,8 (m, 3H), 7,42 (t, 1H), 7,36 (d, 1H), 7,11 (t, 2H), 5,59 (br s, 2H).

88 δ 9,53 (d, 1H), 8,49 (s, 1H), 8,10 (dd, 1H), 7,70 (dd, 1H), 7,26-7,45 (m, 4H), 7,10 (td, 1H), 7,00 (m, 1H), 5,58 (br s, 2H).

89 δ 9,51 (d, 1H), 8,48 (s, 1H), 8,10 (dd, 1H), 7,70 (dd, 1H), 7,28-7,45 (m, 4H), 7,12 (m, 2H), 5,57 (br s, 2H).

90 δ 9,53 (d, 1H), 8,47 (s, 1H), 8,13 (dd, 1H), 7,68 (d, 1H), 7,60 (s, 1H), 7,53 (s, 2H), 7,43 (m, 2H), 7,35 (d, 1H) 5,60 (br d, 2H).

91 δ 9,52 (d, 1H), 8,46 (s, 1H), 8,06 (dd, 1H), 7,68 (d, 1H), 7,3-7,55 (m, 5H), 7,25 (d, 1H), 5,6 (br dd, 2H), 2,26 (s, 3H).

92 δ 9,54 (d, 1H), 8,48 (s, 1H), 8,1 (m, 2H), 7,75 (m, 1H), 7,68 (dd, 1H), 7,4 (m, 3H), 7,37 (d, 1H), 7,15 (t, 1H), 5,58 (br s, 2H).

93 δ 9,55 (d, 1H), 8,48 (s, 1H), 8,25 (s, 1H), 8,14 (s, 1H), 8,11 (dd, 1H), 7,66 (m, 2H), 7,4 (m, 2H), 7,34 (d, 1H), 5,59 (br s, 2H).

94 δ 9,53 (d, 1H), 8,48 (s, 1H), 8,14 (t, 1H), 7,75 (t, 1H), 7,68 (dd, 1H), 7,51 (d, 1H), 7,4 (m, 3H), 7,34 (d, 1H), 5,58 (br s, 2H).

95 δ 9,53 (d, 1H), 8,48 (s, 1H), 8,07 (t, 1H), 7,71 (d, 1H), 7,47 (t, 1H), 7,38 (m, 2H), 7,32 (d, 1H), 6,75 (m, 2H), 5,58 (br s, 2H).

96 δ 9,53 (d, 1H), 8,47 (s, 1H), 8,08 (dd, 1H), 7,69 (t, 1H), 7,3-7,45 (m, 4H), 6,9-7,05 (m, 2H), 5,6 (br dd, 2H), 2,28 (s, 3H).

97 δ 9,52 (d, 1H), 8,77 (s, 1H), 8,34 (t, 1H), 8,08 (dd, 1H), 7,98 (d, 1H), 7,78 (m, 2H), 7,4 (m, 2H), 7,10 (d, 1H), 5,67 (br s, 2H), 2,55 (s, 3H).

98 δ 9,55 (dd, 1H), 8,48 (d, 1H), 8,04 (ddd, 1H), 7,69 (dd, 1H), 7,40-7,34 (m, 2H), 7,32 (d, 1H), 7,29-7,26 (m, 2H), 6,88 (d, 1H), 5,95 (s, 2H), 5,58 (br s, 2H).

99 δ 9,52 (d, 1H), 8,48 (s, 1H), 8,10 (dd, 1H), 7,72 (dd, 1H), 7,3-7,5 (m, 5H), 7,11 (td, 1H), 5,8 (br d, 1H), 5,4 (br d, 1H).

100 δ 9,58 (d, 1H), 8,38 (s, 1H), 8,07 (dd, 1H), 7,8 (m, 3H), 7,3-7,45 (m, 4H), 7,26 (m, 1H), 5,57 (br s, 2H).

101 δ 9,56 (d, 1H), 8,48 (s, 1H), 8,07 (dd, 1H), 7,69 (d, 1H), 7,49 (d, 1H), 7,3-7,45 (m, 4H), 7,12 (dd, 1H), 5,59 (br s, 2H), 3,93 (s, 3H).

102	δ 9,55 (d, 1H), 8,48 (s, 1H), 8,05 (dd, 1H), 7,55-7,95 (m, 3H), 7,3-7,45 (m, 3H), 7,02 (dd, 1H), 5,58 (br s, 2H), 3,91 (s, 3H).
103	δ 9,53 (d, 1H), 8,69 (s, 1H), 8,60 (d, 1H), 8,07 (m, 2H), 7,80 (s, 1H), 7,66 (d, 1H), 7,4 (m, 2H), 7,25 (m, 2H), 5,63 (br s, 2H).
104	δ 9,55 (d, 1H), 8,47 (s, 1H), 8,07 (dd, 1H), 7,65-7,75 (m, 3H), 7,53 (d, 2H), 7,51 (d, 1H), 7,4 (m, 2H), 7,32 (d, 1H), 5,58 (br s, 2H).
105	δ 9,56 (d, 1H), 8,48 (s, 1H), 8,12 (dd, 1H), 8,02 (d, 2H), 7,67 (d, 2H), 7,4 (m, 3H), 7,34 (d, 1H), 5,59 (br s, 2H).
106	δ 9,55 (d, 1H), 8,48 (s, 1H), 8,07 (dd, 1H), 7,78 (d, 2H), 7,69 (dd, 1H), 7,4 (m, 4H), 7,32 (d, 1H), 5,59 (br s, 2H).
107	δ 9,53 (d, 1H), 8,48 (s, 1H), 8,20 (s, 1H), 8,11 (m, 2H), 7,68 (dd, 1H), 7,4-7,55 (m, 4H), 7,34 (d, 1H), 5,59 (br s, 2H).
108	δ 9,51 (d, 1H), 8,48 (s, 1H), 8,11 (dd, 1H), 7,68 (dd, 1H), 7,4 (m, 3H), 7,34 (d, 1H), 6,76 (dd, 2H), 5,58 (br s, 2H).
109	δ 9,57 (d, 1H), 8,46 (s, 1H), 7,88 (dd, 1H), 7,77 (m, 2H), 7,57 (dd, 1H), 7,3 (m, 3H), 7,1 (m, 3H), 5,35 (br m, 1H), 2,00 (s, 3H).

110 δ 9,46 (dd, 1H), 8,14 (ddd, 1H), 7,47 (d, 1H), 7,36 (m, 1H), 4,31 (t, 2H), 1,87-1,70 (m, 2H), 1,07 (t, 3H).

111 δ 9,54 (d, 1H), 8,26 (dd, 1H), 7,61 (d, 1H), 7,49 (t, 1H), 5,12 (br s, 2H).

112 δ 9,45 (d, 1H), 8,14 (dd, 1H), 7,49 (d, 1H), 7,33 (t, 1H), 4,32 (t, 2H), 1,78 (m, 2H), 1,07 (t, 3H).

113 δ 9,50 (d, 1H), 8,23 (dd, 1H), 7,52 (d, 1H), 7,41 (t, 1H), 4,96 (m, 1H), 4,77 (m, 1H), 4,40 (m, 1H), 2,40 (m, 1H), 2,25 (m, 1H).

114 δ (acetona-d_6) 9,42 (d, 1H), 8,53 (m, 1H), 8,09 (d, 1H), 7,69 (t, 1H), 5,42 (br s, 2H).

115 δ 8,12 (d, 1H), 7,45 (dd, 1H), 6,68 (dd, 1H), 6,48 (d, 1H), 4,6 (br s, 2H), 2,4 (m, 1H), 1,01 (d, 6H).

116 δ 8,12 (d, 1H), 7,45 (dd, 1H), 6,68 (dd, 1H), 6,49 (d, 1H), 4,6 (br s, 2H), 1,6 (m, 1H), 0,92 (d, 6H).

117 δ 9,51 (d, 1H), 8,18 (dd, 1H), 7,58 (d, 1H), 7,46 (t, 1H), 5,10 (br s, 2H), 2,91 (t, 2H), 2,60 (m, 2H).

118 δ 9,49 (d, 1H), 8,45 (s, 1H), 8,12 (dd, 1H), 7,65 (dd, 1H), 7,4 (m, 2H), 7,32 (d, 1H), 5,60 (br s, 2H).

119 δ 9,55 (d, 1H), 8,71 (s, 1H), 8,47 (s, 1H), 8,30 (dd, 1H), 8,11 (dd, 1H), 7,67 (dd, 1H), 7,42 (m, 2H), 7,33 (d, 1H), 5,60 (br s, 2H).

120 δ 9,56 (d, 1H), 8,92 (s, 1H), 8,47 (s, 1H), 8,21 (dd, 1H), 8,11 (dd, 1H), 7,3-7,45 (m, 4H), 5,59 (br s, 2H).

132 δ 8,23 (d, 1H), 7,64 (d, 2H), 7,23 (d, 2H), 4,06 (dd, 2H), 2,89 (m, 1H), 1,89 (q, 2H), 1,25 (d, 6H), 1,06 (t, 3H).

133 δ 8,30 (d, 1H), 7,46 (m, 1H), 7,16 (d, 1H), 6,8-6,9 (m, 2H), 4,8 (br s, 2H).

134 δ 8,32 (d, 1H), 7,72 (d, 2H), 7,38 (dd, 2H), 7,23 (dd, 1H), 7,13 (d, 1H), 4,81 (q, 2H).

135 δ 8,32 (d, 1H), 7,73 (d, 1H), 7,69 (s, 1H), 7,37 (t, 1H), 7,15 (d, 1H), 7,08 (d, 1H), 4,81 (q, 2H).

136 δ 8,52 (d, 1H), 8,28 (d, 1H), 7,91 (dd, 1H), 7,72 (d, 2H), 7,35-7,4 (m, 3H), 7,25 (m, 1H, parcialmente oscurecido por el pico del solvente), 7,03 (d, 1H), 5,31 (s, 2H).

137 δ (acetona-d_6) 8,61 (d, 1H), 8,20 (d, 1H), 7,98 (d, 1H), 7,89 (m, 2H), 7,55 (dd, 1H), 7,47 (m, 1H), 7,03 (dd, 2H), 5,46 (s, 2H).

138 δ 8,49 (d, 1H), 8,23 (d, 1H), 7,86 (dd, 1H), 7,75 (d, 1H), 7,70 (s, 1H), 7,37 (dd, 2H), 7,08 (d, 1H), 7,03 (d, 1H), 5,29 (s, 2H).

139 δ 8,29 (d, 1H), 7,67-7,72 (m, 3H), 7,25 (m, 1H, parcialmente oscurecido por el pico del solvente), 7,09 (d, 1H), 5,34 (s, 2H).

140 δ 8,28 (d, 1H), 7,67-7,73 (m, 3H), 7,04-7,11 (m, 3H), 5,33 (s, 2H).

141 δ 8,25 (s, 1H), 7,65-7,75 (m, 3H), 7,38 (dd, 1H), 7,08 (d, 1H), 5,32 (d, 1H).

142 δ 8,01 (d, 1H), 7,39 (m, 1H), 6,95 (d, 1H), 6,92-6,88 (m, 2H), 4,31 (m, 2H), 1,70 (m, 2H), 0,92 (t, 3H).

147 δ 8,27 (d, 1H), 7,67 (s, 1H), 7,31 (m, 3H), 7,07 (d, 1H), 6,80 (m, 1H), 5,33 (s, 2H), 3,82 (s, 3H).

152 δ 8,27 (d, 1H), 7,82 (m, 1H), 7,69 (s, 1H), 7,56 (m, 1H), 7,23 (m, 1H), 7,14 (d, 1H), 5,35 (s, 2H).

160 δ (acetona-d_6) 8,17 (d, 1H), 7,88 (s, 1 H), 7,59 (d, 1H), 7,21-7,29 (m, 2H), 6,99 (d, 1H), 6,88 (t, 1H), 5,45 (d, 2H), 3,76 (s, 3H).

171 δ 9,49 (dd, 1H), 8,18 (t, 1H), 7,65-7,75 (m, 2H), 7,38-7,49 (m, 2H), 4,31 (d, 2H), 1,15-1,22 (m, 1H), 0,62 (m, 4H).

209 δ (acetona-d_6) 9,45 (d, 1H), 8,48 (t, 1 H), 8,13 (d, 1H), 7,63 (t, 1H), 6,98-7,08 (m, 3H), 5,38 (br d, 2H), 3,87 (s, 3H).

229 δ 9,58 (d, 1H), 8,02 (t, 1 H), 7,47-7,59 (m, 3H), 7,38 (t, 1H), 7,15-7,35 (m, 4H), 2,01 (d, 3H).

345 δ 9,47 (dd, 1H), 8,08 (d, 1H), 7,68 (m, 1H), 7,45-7,55 (m, 3H), 7,31 (t, 2H), 7,00 (d, 2H), 4,15-4,4 (m, 2H), 4,11 (q, 2H), 1,7-1,85 (m, 2H), 1,31 (t, 3H), 1,07 (t, 3H).

346 δ 9,55 (dd, 1H), 8,17 (t, 1H), 7,67 (d, 1H), 7,59 (d, 1H), 7,52 (dd, 1H), 7,45 (t, 1H), 6,99 (d, 1h), 5,3 (br s, 1H), 4,9 (br s, 1H), 4,10 (q, 2H), 1,31 (t, 3H).

348 δ 9,49 (dd, 1H), 8,11 (t, 1H), 7,48 (d, 1H), 7,34 (m, 2H), 7,13 (s, 1H), 4,32 (m, 2H), 3,71 (s, 3H), 2,29 (s, 3H),1,7-1,85 (m, 2H), 1,06 (t, 3H).

349	δ 9,49 (d, 1H), 8,05 (t, 1H), 7,48 (d, 1H), 7,29 (t, 1H), 7,16 (m, 2H), 7,01 (d, 1H) 4,31 (m, 2H), 2,31 (s, 3H), 2,20 (s, 3H) 1,7-1,85 (m, 2H), 1,06 (t, 3H).
351	δ 9,55 (d, 1H), 8,23 (t, 1H), 7,98 (d, 2H), 7,66 (d, 2H), 7,52 (d, 1H), 7,44 (t, 1H), 4,85-5,05 (m, 1H), 4,75 (m, 1H), 4,40 (m, 1H), 2,2-2,6 (m, 2H).
352	δ 9,59 (dd, 1H), 8,25 (t, 1H), 7,59 (d, 1H), 7,50 (t, 1H), 7,23-7,28 (m, 1H), 7,07 (td, 1H), 7,04 (m, 1H), 5,10 (br s, 2H).
369	δ 9,60 (dd, 1H), 8,26 (t, 1H), 8,16 (d, 1H), 8,12 (m, 1H), 7,62 (d, 1H), 7,53 (t, 1H), 7,20 (t, 1H), 5,10 (br s, 2H).
416	δ 9,51 (d, 1H), 8,50 (s, 1H), 8,08 (d, 2H), 7,75 (d, 2H), 7,66 (dd, 2H), 7,3-7,45 (m, 3H), 7,2-7,25 (m, 1H), 5,69 (s, 2H).
418	δ 9,54 (dd, 1H), 8,47 (d, 1H), 8,10 (m, 1H), 7,79 (d, 1H), 7,67(dd, 1H), 7,33-7,43 (m, 2H), 7,2-7,3 (m, 3H), 5,58 (br s, 2H).
425	δ 9,56 (d, 1H), 8,48 (s, 1H), 8,06 (t, 1H), 7,74 (s, 1H), 7,66 (dd, 2H), 7,3-7,4 (m, 3H), 7,19 (d, 1H), 5,59 (br s, 1H), 2,51 (s, 3H).

426 δ 9,62 (dd, 1H), 8,75 (t, 1H), 8,26 (m, 1H), 8,20 (d, 1H), 7,63 (d, 1H), 7,53 (m, 2H), 5,3 (br s, 2H).

427 δ 9,57 (dd, 1H), 8,48 (s, 1H), 8,04 (m, 1H), 7,93 (s, 1H), 7,70 (dd, 2H), 7,42 (s, 2H), 7,35 (m, 3H), 5,60 (br s, 2H), 0,29 (s, 9H).

430 δ 9,56 (dd, 1H), 8,76 (s, 1H), 8,04 (m, 1H), 7,93 (d, 1H), 7,7-7,8 (m, 3H), 7,60 (m, 3H), 7,34 (m, mH), 5,65 (br s, 2H), 0,28 (s, 9H).

433 δ 9,58 (d, 1H), 8,22 (m, 1H), 7,61 (d, 1H), 7,48 (t, 1H), 7,30 (m, 1H), 6,98 (d, 1H), 6,93 (m, 1H), 5,2 (br s, 2H), 2,24 (s, 3H).

436 δ 9,58 (dd, 1H), 8,38 (s, 1H), 8,11 (t, 1H), 7,80 (m, 3H), 7,42 (m, 2H), 7,36 (d, 1H), 7,11 (d, 1H), 5,58 (br s, 2H).

443 δ 9,59 (dd, 1H), 8,38 (s, 1H), 8,07 (t, 1H), 7,82 (s, 1H), 7,74 (d, 2H), 7,40 (t, 1H), 7,37 (d, 1H), 6,98 (d, 2H), 5,58 (br s, 2H), 3,84 (s, 3H).

453 δ 9,58 (dd, 1H), 8,12 (m, 1H), 7,82 (d, 1H), 7,78 (s, 1H), 7,49 (d, 1H), 7,42 (m, 2H), 7,26 (m, 2H), 7,12 (d, 1H), 5,67 (br s, 2H).

459	δ 9,55 (d, 1H), 8,45 (d, 1H), 8,05 (t, 1H), 7,66 (dd, 1H), 7,52 (d, 1H), 7,42 (s, 1H), 7,31-7,39 (m, 4H), 6,85 (d, 1H), 5,59 (br s, 2H), 4,38 (q, 2H).
483	δ 9,57 (dd, 1H), 8,15 (m, 1H), 7,74 (m, 2H), 7,59 (d, 1H), 7,40 (t, 1H), 7,08(t, 2H), 5,0 (m, 1H), 4,0 (m, 1H), 2,07 (m, 1H), 1,5-1,65 (m, 4H).
486	δ (acetona-d_6) 9,43 (d, 1H), 8,41 (t, 1H), 8,18 (d, 1H), 7,96 (s, 1H), 7,75 (d, 1H), 7,59 (t, 1H), 7,50-7,56 (m, 1H), 7,05 (dd, 1H), 5,77 (s, 2H), 3,88 (s, 3H).
501	δ 9,51 (d, 1H), 8,21 (t, 1H), 7,67 (s, 1H), 7,62 (d, 1H), 7,42 (t, 1H), 6,75 (t, 2H), 5,59 (s, 2H).
511	δ (acetona-d_6) 7,87 (dd, 1H), 7,84-7,82 (m, 2H), 7,50 (d, 1H), 7,45 (d, 1H), 7,25-7,21 (m, 2H), 7,10-7,05 (m, 1H), 5,58 (s, 2H), 3,64 (s, 3H), 2,29 (s, 3H).
518	δ (acetona-d_6) 8,60 (d, 1H), 8,13 (s, 1 H), 8,04 (s, 1H), 8,00 (d, 1H), 7,92-7,97 (m, 2H), 7,43 (d, 1H), 7,38 (t, 1H), 7,03 (d, 1H), 5,42 (s, 2H).

521 δ (acetona-d_6) 9,50 (d, 1H), 8,85 (d, 1 H), 8,55 (t, 1H), 8,17 (d, 1H), 7,92 (s, 1H), 7,71 (t, 1H), 7,45 (d, 1H), 5,39 (br d, 2H).

547 δ (acetona-d_6) 9,41 (d, 1H), 8,35-8,43 (m, 2H), 7,98-8,05 (m, 2H), 7,88-7,92 (m, 2H), 7,63 (d, 1H), 7,59 (t, 1H), 7,05 (d, 1H), 5,74 (s, 2H).

564 δ 9,53 (dd, 1H), 8,14 (m, 1H), 7,73 (m, 2H), 7,4-7,6 (m, 4H), 7,36 (t, 2H), 7,20 (m, 1H), 5,58 (br s, 2H), 4,32 (m, 2H), 1,84 (m, 2H), 1,09 (t, 3H).

569 δ 9,48 (dd, 1H), 8,70 (s, 1H), 8,43 (d, 1H), 8,22 (d, 1H), 8,17 (m, 2H), 7,78 (d, 1H), 7,52 (d, 1h), 7,37 (t, 1H) 4,3 (t, 2H), 1,83 (m, 2H), 1,09 (t, 3H).

583 δ (acetona-d_6) 9,42 (dd, 1H), 8,35 (t, 1H), 8,15 (d, 1H), 7,82 (d, 2H), 7,52 (t, 1H), 7,25-7,31 (m, 2H), 7,13 (t, 1H), 5,34 (Br s, 1H), 4,05 (dd, 2H), 3,58 (td, 2H), 2,91 (qd, 2H), 1,80 (dd, 2H).

599 δ 9,57 (dd, 1H), 8,76 (d, 1H), 8,03 (m, 1H), 7,7-7,83 (m, 4H), 7,34-7,57 (m, 6H), 7,2-7,3 (m, 2H), 6,98 (dd, 1H), 5,65 (br s, 2H).

600 δ (acetona-d_6) 9,41 (d, 1H), 8,45 (t, 1H), 8,20 (d, 1H), 7,95-8,05 (m, 2H), 7,88 (d, 1H), 7,59-7,65 (m, 2H), 5,75 (br s, 2H).

601 δ 9,57 (dd, 1H), 9,14 (s, 1H), 8,52 (s, 1H), 8,05-8,10 (m, 2H), 7,91 (s, 26H), 7,78-7,82 (m, 2H), 7,38-7,43 (d, 2H), 7,11 (t, 2H), 5,67 (Br s, 2H).

606 δ 9,49 (d, 1H), 8,53 (d, 1H), 8,05 (s, 2H), 7,78 (m, 2H), 7,68 (t, 1H), 7,55 (d, 1H), 7,33 (m, 1H), 7,09 (t, 2H), 5,68 (br s, 2H).

612 δ 9,44 (dd, 1H), 8,65 (d, 1H), 8,57 (dd, 1H), 8,03 (m, 1H), 7,62 (dt, 1H), 7,27-7,37 (m, 3H), 5,55 (br s, 2H), 5,47 (s, 1H).

638 δ 9,49 (d, 0,5H), 9,47 (d, 0,5H), 8,23 (t, 1H), 7,61 (d, 1H), 7,50 (m, 1H), 5,00 (m, 2H), 4,35 (q, 1H), 4,32 (q, 1H), 1,35 (t, 1,5H), 1,28 (t, 1,5H) (1:1 mezcla de los isómeros E y Z).

639 δ (acetona-d_6) 8,45 (d, 1H), 8,19 (m, 1H), 8,10 (m, 1H), 8,00 (m, 2H), 7,53 (m, 1H), 7,38 (m, 1H), 7,10-7,00 (m, 2H), 5,45 (s, 2H).

646 δ (acetona-d_6) 8,45 (s, 1H), 8,10 (m, 2H), 7,50 (m, 1H), 7,30 (m, 1H), 7,20 (m, 1H), 7,15 (m, 1H), 6,95 (m, 1H), 6,90 (m, 1H), 5,50-5,30 (dd, 2H), 3,76 (s, 3H).

647 δ (acetona-d_6) 8,10 (m, 1H), 7,70 (s, 1H), 7,55 (s, 1H), 7,50 (d, 1H), 7,25 (m, 1H), 7,20 (m, 1H), 6,95 (m, 1H), 6,90 (m, 1H), 5,13 (m, 2H), 3,83 (s, 3H), 3,74 (s, 3H).

648 δ (acetona-d_6) 9,40 (m, 1H), 8,10 (m, 1H), 7,70 (m, 1H), 7,60 (dd, 1H), 7,45-7,35 (m, 3H), 7,20 (m, 1H), 7,05 (m, 1H), 6,95 (m, 1H), 6,90 (m, 1H), 3,83 (m, 3H), 3,75 (m, 3H), 1,90 (m, 3H).

656 δ 9,50 (m, 1H), 8,23 (t, 1H), 7,61 (d, 1H), 7,50 (m, 1H), 5,00 (m, 2H), 4,09 (d, 2H), 2,00 (m, 1H), 0,98 (d, 4H), 0,89 (d, 2H) (2:1 mezcla de los isómeros E y Z).

657 δ 9,50 (d, 1H), 8,23 (t, 1H), 7,61 (d, 1H), 7,50 (t, 1H), 5,00 (m, 2H), 1,38 (s, 9H) (isómero único).

658 δ 9,50 (m, 1H), 8,23 (t, 1H), 7,61 (d, 1H), 7,50 (m, 1H), 5,00 (m, 2H), 4,00 (s, 2H), 0,99 (s, 6H), 0,89 (s, 3H) (2:1 mezcla de los isómeros E y Z).

659 δ 9,50 (m, 1H), 8,23 (t, 1H), 7,61 (d, 1H), 7,50 (m, 1H), 5,00 (m, 2H), 4,82 (s, 0,66H), 4,76 (s, 1,34H), 4,22 (q, 0,66H), 4,20 (q, 1,34H), 1,29 (t, 1H), 1,27 (t, 2H) (2:1 mezcla de los isómeros E y Z).

700	δ 9,44 (d, 1H), 8,22 (t, 1H), 7,64 (s, 1H), 7,58 (d, 1H), 7,42 (t, 1H), 5,55 (br s, 2H), 4,42 (q, 2H), 1,04 (t, 3H).
701	δ 9,44 (d, 1H), 8,26 (t, 1H), 7,91 (d, 2H), 7,65 (s, 1H), 7,63 (d, 1H), 7,55 (t, 1H), 7,44 (m, 3H), 5,56 (br s, 2H).
729	δ 9,49 (d, 1H), 8,23 (s, 1H), 8,21 (t, 1H), 7,52 (d, 1H), 7,47 (t, 1H), 5,05 (br m, 2H), 1,38 (s, 9H).
730	δ 9,53 (d, 1H), 8,42 (s, 1H), 8,21 (t, 1H), 7,53 (d, 1H), 7,46 (t, 1H), 5,05 (br m, 2H), 4,22 (q, 2H), 1,32 (t, 3H).
731	δ 9,53 (d, 1H), 8,44 (s, 1H), 8,21 (t, 1H), 7,53 (d, 1H), 7,49 (t, 1H), 5,05 (br m, 2H), 3,93 (s, 2H), 0,98 (s, 9H).
758	δ 9,53 (d, 1H), 8,40 (s, 1H), 8,22 (t, 1H), 7,54 (d, 1H), 7,50 (t, 1H), 5,05 (br m, 2H), 3,91 (s, 3H).
759	δ 9,53 (d, 1H), 8,41 (s, 1H), 8,18 (t, 1H), 7,47 (m, 3H), 7,37 (m, 3H), 7,30 (t, 1H), 5,24 (s, 2H), 5,05 (br m, 2H).

[a] ^1H NMR. Los datos están en ppm a campo abajo con respecto al tetrametilsilano. La solución de $CDCl_3$ a menos que se indique de otra manera; "acetona-d_6" es $CD_3C(=O)CD_3$. Los acoplamientos se designan por (s)-singulete, (d)-doblete, (t)-triplete, (m)-multiplete, (dd)-doblete de doblete,

(ddd)-doblete de doblete de dobletes, (dt)-doblete de tripletes, (td)-triplete de dobletes, (br)-amplio.

b ^{1}H NMR el espectro de los compuestos en donde R^2 es CH_2CF_3 a menudo no muestran picos que correspondan a los protones CH_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. Ver Tablas índice A-F para las descripciones de los compuestos.

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. Esta planta se infestó previamente con ~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 movieron sobre la planta de prueba después de introducidas 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 (Lovely Industries, Inc. Greeley, Colorado, Estados Unidos). Los compuestos formulados se aplicaron en 1 ml de líquido por una boquilla atomizadora SUJ2 que tiene un cuerpo hecho a medida de 1/8, JJ, (Spraying Systems Co. Wheaton, Illinois, Estados Unidos) colocada 1,27 cm (0,5 pulgadas) por encima de la parte superior de cada unidad de prueba. Los compuestos de prueba se atomizaron a 250 ***ppm*** y las pruebas se repitieron tres veces. Después de atomizar 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 durante 6 días en una cámara de crecimiento a 25 °C y 70 % de humedad relativa. El daño por la alimentación de la planta se evaluó visualmente en base al follaje consumido.

De los compuestos probados de la Fórmula **1**los siguientes proporcionaron niveles de eficacia de control desde muy buenos a excelentes (40 % o menos de daño por alimentación y/o 100 % de mortalidad): 1, 2, 3, 5, 6, 7, 8, 9, 10, 12, 13, 14, 15, 16, 17, 18, 19, 20, 22, 23, 24, 25, 26, 27, 28, 32, 33, 37, 39, 40, 42, 43, 44, 45, 46, 47, 48, 49, 50, 51, 52, 53, 54, 55, 56, 57, 58, 59, 60, 61, 62, 63, 64, 65, 66, 67, 68, 70, 72, 73, 74, 75, 76, 77, 78, 79, 80, 81, 82, 83, 84, 85, 86, 87, 88, 89, 90, 91, 92, 93, 95, 97, 98, 100, 101, 102, 103, 104, 106, 107, 108, 109, 120, 121, 122, 123, 128, 135, 136, 137, 138, 139, 140,

141, 145, 146, 147, 148, 149, 150, 152, 153, 154, 155, 156, 159, 161, 162, 164, 166, 167, 168, 169, 170, 173, 178, 179, 180, 185, 186, 187, 188, 189, 190, 192, 193, 196, 197, 198, 199, 200, 201, 202, 203, 205, 206, 207, 208, 209, 210, 211, 212, 215, 216, 217, 218, 219, 220, 221, 222, 226, 227, 229, 232, 235, 236, 237, 240, 242, 243, 245, 246, 247, 248, 252, 253, 254, 255, 256, 257, 259, 261, 262, 263, 264, 266, 267, 270, 271, 272, 273, 274, 275, 276, 277, 278, 279, 281, 282, 284, 286, 287, 288, 289, 290, 291, 293, 294, 295, 297, 298, 299, 300, 301, 302, 307, 310, 311, 312, 313, 314, 319, 321, 323, 324, 327, 330, 332, 339, 340, 341, 342, 343, 347, 350, 351, 352, 353, 355, 359, 361, 362, 363, 364, 366, 367, 370, 371, 372, 374, 377, 379, 380, 386, 389, 391, 400, 401, 405, 406, 412, 414, 418, 421, 422, 424, 426, 427, 431, 436, 438, 440, 441, 446, 459, 460, 461, 463, 469, 470, 471, 472, 473, 474, 475, 476, 477, 478, 479, 480, 481, 482, 483, 484, 485, 486, 487, 488, 489, 490, 491, 492, 493, 494, 495, 496, 498, 499, 500, 501, 502, 503, 511, 515, 524, 525, 527, 528, 529, 530, 531, 532, 534, 536, 537, 539, 540, 541, 542, 544, 545, 546, 548, 549, 550, 551, 557, 562, 563, 566, 567, 575, 581, 582, 589, 596, 597, 598, 600, 603, 608, 609, 613, 616, 617, 618, 619, 620, 621, 622, 623, 624, 625, 626, 627, 628, 630, 632, 634, 635, 636, 639, 640, 651, 652, 653, 654, 655, 663, 664, 666, 667, 670, 671, 672, 679, 682, 683, 684, 685, 701, 702, 713, 715, 717, 732, 733, 753, 754, 755 y 756.

Prueba B

Para evaluar el control del gusano cogollero (*Spodoptera frugiperda*), la 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 atomizaron a 250 ppm como se describió para la Prueba A. Las aplicaciones se repitieron tres veces. Después de atomizar, las unidades de prueba se mantuvieron en una cámara de crecimiento a 25 °C y 70 % de humedad relativa y después se clasificaron visualmente como se describió para la Prueba A.

De los compuestos probados de Fórmula **1** los siguientes proporcionaron niveles de eficacia de control desde muy buenos a excelentes (40 % o menos de daño por alimentación y/o 100 % de mortalidad): 1, 3, 8, 15, 18, 22, 40, 42, 43, 44, 45, 46, 47, 48, 49, 50, 51, 53, 54, 55, 56, 58, 59, 60, 62, 65, 66, 68, 70, 73, 74, 75, 76, 79, 81, 83, 84, 92, 93, 95, 103, 123, 141, 145, 166, 168, 169, 173, 179, 180, 185, 186, 187, 188, 189, 192, 193, 196, 200, 215, 217, 226, 232, 240, 242, 245, 252, 253, 254, 255, 256, 261, 270, 272, 275, 276, 277, 310, 312, 372, 418, 427, 436, 440, 451, 461, 473, 475, 476, 477, 478, 479, 480, 481, 482, 484, 486, 489, 490, 491, 493, 495, 496,

498, 499, 500, 501, 502, 524, 525, 530, 531, 534, 540, 541, 542, 544, 549, 550, 574, 598, 609, 616, 617, 618, 619, 620, 622, 623, 624, 625, 626, 627, 628, 634, 635, 636, 652, 653, 654, 655, 663, 671, 672, 682, 683, 684, 685, 717, 733 y 754.

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. Esta unidad se infestó previamente al colocar sobre una hoja de la planta de prueba de 30 a 40 áfidos en un trozo de hoja cortada de una planta de cultivo (método de hoja cortada). Los áfidos se desplazaron sobre la planta de prueba cuyo 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 atomizaron a 250 ppm como se describió para la Prueba A. Las aplicaciones se repitieron tres veces. Después de atomizar 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 durante 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 probados de la Fórmula **1**, los siguientes resultaron en al menos 80 % de mortalidad: 5, 10, 19, 29, 30, 31, 42, 43, 44, 49, 50, 53, 57, 59, 60, 63, 64, 65, 66, 68, 71, 72, 74, 75, 77, 78, 79, 80, 82, 83, 87, 94, 99, 100, 101, 102, 105, 108, 109, 111, 118, 119, 120, 123, 139, 140, 151, 153, 157, 166, 171, 172, 173, 178, 180, 185, 192, 193, 196, 197, 198, 200, 203, 207, 213, 214, 216, 218, 220, 224, 231, 232, 238, 256, 277, 357, 365, 373, 389, 390, 394, 396, 397, 404, 407, 411, 422, 437, 443, 444, 445, 463, 464, 469, 471, 472, 476, 477, 481, 482, 483, 486, 491, 492, 493, 495, 496, 498, 499, 500, 501, 503, 513, 530, 531, 536, 537, 540, 544, 549, 550, 575, 577, 590, 593, 597, 598, 600, 608, 617, 623, 626, 627, 628, 636, 651, 653, 654, 655, 660, 700, 714 y 717.

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 atomizaron a 250 ppm, tal como se describió para la Prueba C. Las aplicaciones se repitieron tres veces Después del atomizado,

las unidades de prueba se mantuvieron en una cámara de crecimiento y después se evaluaron visualmente tal como se describió para la prueba C.

De los compuestos probados de la Fórmula **1**, los siguientes resultaron en al menos 80 % de mortalidad: 5, 10, 30, 31, 49, 54, 66, 75, 87, 94, 95, 166, 167, 192, 193, 198, 204, 210, 213, 214, 220, 230, 231, 235, 238, 264, 277, 486, 490, 540, 544, 550, 617, 619, 623, 627, 636, 653 y 654.

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. Se añadió arena blanca sobre el suelo antes de la aplicación. Los compuestos de prueba se formularon y atomizaron a 250 y 50 ppm y la prueba se repitió tres veces, tal como se describió para la Prueba A. Después de atomizar, 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 éstas con un salero sobre la arena. Se colocó una tapa de tela metálica negra en la parte superior del cilindro. Las unidades de prueba se mantuvieron durante 6 días en una cámara de crecimiento 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 250 ppm, los siguientes resultaron en al menos 80 % de mortalidad: 1, 2, 3, 5, 6, 7, 8, 9, 10, 11, 12, 13, 14, 15, 16, 17, 18, 19, 21, 22, 23, 24, 25, 26, 27, 29, 30, 31, 32, 34, 35, 36, 37, 38, 39, 40, 41, 42, 43, 44, 45, 46, 47, 48, 49, 50, 51, 52, 53, 54, 55, 56, 57, 58, 59, 60, 61, 62, 63, 64, 65, 66, 67, 68, 70, 71, 72, 73, 74, 75, 76, 77, 78, 79, 80, 81, 82, 83, 84, 85, 86, 87, 88, 89, 90, 91, 93, 94, 95, 96, 97, 98, 99, 100, 101, 103, 104, 105, 106, 107, 108, 109, 111, 114, 115, 116, 118, 119, 120, 121, 122, 123, 161, 162, 163, 237, 278, 279, 280, 281, 282, 283, 284, 285, 289, 290, 291, 292, 293, 294, 295, 296, 297, 298, 299, 301, 302, 304, 306, 308, 309, 314, 317, 318, 319, 320, 321, 322, 323, 324, 325, 327, 329, 330, 336, 340, 341, 343, 344, 345, 346, 347, 348, 349, 350, 351, 352, 353, 356, 357, 358, 359, 360, 361, 362, 363, 364, 365, 366, 368, 375, 376, 377, 378, 384, 386, 389, 390, 392, 393, 394, 396, 397, 399, 400, 402, 403, 404, 406, 407, 408, 409, 411, 412, 413, 414, 415, 416, 419, 420, 421, 422, 423, 424, 425, 426, 428, 431, 433, 435, 436, 437, 438, 441, 442, 443, 444, 445, 446, 447, 449, 450, 459, 460, 461, 462, 463, 464, 465, 466, 467, 468, 469, 470, 471, 472, 474, 475, 476, 477, 479, 481, 482, 483, 484, 485, 519, 556, 562, 564, 568, 570, 571, 573, 574, 575,

576, 577, 579, 582, 583, 584, 586, 587, 588, 590, 591, 592, 593, 594, 604, 606, 608, 611, 612, 613, 687 y 757.

De los compuestos de la Fórmula **1** probados a 50 ppm los siguientes proporcionaron niveles de eficacia de control desde muy buenos a excelentes (80 % o más de mortalidad): 1, 2, 3, 5, 6, 7, 8, 9, 10, 11, 12, 13, 14, 16, 17, 18, 19, 20, 21, 23, 24, 25, 26, 27, 28, 29, 30, 31, 32, 36, 38, 39, 40, 42, 43, 44, 45, 46, 47, 48, 49, 50, 51, 52, 53, 54, 55, 56, 57, 58, 59, 60, 61, 62, 63, 64, 65, 66, 67, 68, 70, 71, 72, 73, 74, 75, 76, 77, 78, 79, 80, 81, 82, 83, 84, 85, 86, 87, 88, 89, 90, 91, 92, 93, 94, 95, 96, 97, 98, 99, 100, 101, 102, 103, 104, 105, 107, 108, 109, 111, 118, 119, 120, 121, 123, 124, 125, 134, 136, 137, 138, 139, 140, 141, 146, 147, 148, 149, 150, 151, 154, 156, 157, 161, 166, 167, 168, 171, 172, 173, 180, 185, 192, 193, 196, 197, 198, 199, 200, 201, 202, 203, 204, 207, 208, 209, 210, 212, 213, 214, 215, 216, 217, 218, 219, 220, 221, 222, 223, 224, 225, 226, 229, 230, 231, 232, 233, 234, 235, 236, 237, 238, 239, 240, 241, 243, 244, 245, 246, 247, 248, 249, 252, 254, 255, 256, 257, 259, 260, 262, 264, 266, 278, 280, 284, 289, 290, 291, 292, 293, 295, 297, 301, 304, 314, 318, 319, 320, 321, 323, 324, 325, 327, 330, 343, 344, 345, 346, 347, 348, 349, 350, 351, 352, 357, 359, 360, 361, 362, 363, 365, 376, 377, 378, 390, 392, 393, 394, 399, 400, 403, 404, 408, 411, 412, 413, 414, 419, 420, 421, 422, 423, 424, 425, 426, 431, 433, 435, 436, 437, 438, 441,

diluyó en sangre bovina a una velocidad final de prueba de 30 ppm. La sangre tratada se colocó en un tubo con la parte inferior del tubo cubierta con una membrana. Se dejó que aproximadamente 10 pulgas de gato adultas traspasaran la membrana en la sangre tratada. Las pulgas adultas se evaluaron con respecto a la mortalidad 72 h más tarde.

De los compuestos probados de la Fórmula **1**, los siguientes compuestos resultaron en 50 % o mayor de mortalidad: 1, 2, 3, 5, 6, 8, 9, 10, 12, 14, 16, 17, 19, 21, 26, 29, 34, 36, 37, 38, 39, 41, 42, 43, 44, 45, 46, 47, 48, 49, 50, 52, 53, 54, 55, 56, 57, 58, 59, 61, 62, 65, 66, 71, 72, 75, 76, 78, 81, 82, 83, 88, 90, 92, 98, 100, 101, 103, 104, 105, 106, 107, 114, 118, 119, 120, 121, 123, 124, 125, 134, 135, 136, 137, 138, 149, 150, 153, 154, 155, 156, 157, 161, 166, 167, 169, 172, 179, 186, 188, 189, 190, 191, 192, 193, 196, 199, 203, 204, 206, 207, 208, 210, 211, 216, 217, 218, 219, 223, 224, 225, 230, 280, 281, 289, 290, 294, 295, 301, 312, 314, 318, 319, 323, 324, 327, 331, 343, 346, 348, 350, 360, 361, 362, 363, 364, 369, 371, 372, 373, 374, 378, 382, 383, 385, 389, 391, 393, 406, 411, 420, 421, 422, 423, 431, 435, 438, 440, 461, 466, 468, 469, 470, 471, 473, 475, 476, 477, 478, 479, 480, 490, 491, 492, 493, 494, 495, 496, 497, 498, 500, 503, 511, 513, 521, 528, 589, 590, 592, 596, 597, 599, 604 y 606.

Prueba I

Para evaluar el control de la pulga del gato (*Ctenocephalides felis*), se solubilizó un compuesto de prueba en acetona:agua (75:25) hasta una concentración final de la prueba de 500 ppm. Seguidamente, se aplicaron 20 µL de la solución a 500 ppm a un papel de filtro en la parte inferior de un tubo. El tubo se dejó secar por 3 horas. Luego, se añadieron aproximadamente 10 pulgas adultas en el tubo y el tubo se tapó. Se evaluó la mortalidad de las pulgas después de 48 horas.

De los compuestos probados de la Fórmula **1**, los siguientes compuestos resultaron en 50 % o mayor de mortalidad: 5, 6, 10, 12, 19, 21, 22, 23, 26, 27, 28, 38, 39, 40, 41, 42, 43, 44, 45, 47, 48, 50, 54, 56, 57, 59, 61, 62, 63, 65, 70, 71, 72, 75, 81, 82, 83, 102, 107, 108, 114, 115, 121, 124, 136, 138, 141, 151, 154, 161, 166, 173, 186, 193, 196, 197, 203, 204, 208, 211, 216, 218, 224, 229, 232, 281, 290, 291, 295, 301, 310, 321, 343, 350, 353, 357, 360, 361, 364, 408, 419, 424, 437, 446, 450, 461, 464, 466, 468, 470, 471, 474, 476, 483, 485, 487, 490, 491, 492, 493, 494, 496, 498, 501, 507, 520, 521, 576, 597 y 599.

Prueba J

Para evaluar el control de la pulga de gato (*Ctenocephalides felis*), posterior a la administración oral del compuesto de prueba a un ratón, se administró a un ratón CD-1® (aproximadamente 30 g, macho, obtenido de Charles River

442, 443, 444, 446, 448, 449, 450, 456, 459, 460, 461, 462, 463, 464, 466, 468, 469, 470, 471, 472, 473, 474, 475, 476, 477, 481, 482, 483, 485, 486, 487, 488, 489, 490, 491, 492, 493, 495, 496, 497, 498, 499, 500, 501, 502, 503, 511, 513, 514, 522, 523, 524, 525, 527, 530, 531, 536, 537, 539, 540, 542, 544, 546, 547, 570, 571, 573, 574, 575, 576, 577, 579, 584, 586, 587, 588, 591, 592, 593, 597, 598, 599, 600, 604, 608, 613, 621, 622, 623, 625, 626, 630, 631, 632, 634, 636, 637, 639, 640, 641, 643, 645, 651, 652, 663, 664, 665, 666, 677, 700, 701, 702, 708, 713, 718, 751, 752, 756 y 757.

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 atomizaron a 250 y 50 ppm y las pruebas se repitieron tres veces, tal como se describió para la Prueba A. Después de la atomización, 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 18-21 días de edad). Se colocó una tapa de tela metálica negra en la parte superior del cilindro.

Las unidades de prueba se mantuvieron durante 6 días en una cámara de crecimiento 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 250 ppm los siguientes proporcionaron niveles de eficacia de control desde muy buenos a excelentes (80 % o más de mortalidad): 1, 2, 3, 5, 6, 7, 8, 9, 10, 11, 12, 13, 14, 16, 17, 18, 19, 21, 23, 24, 25, 26, 27, 28, 29, 30, 31, 36, 37, 38, 39, 40, 41, 42, 43, 44, 45, 46, 47, 48, 49, 50, 51, 52, 53, 54, 55, 56, 57, 57, 58, 59, 60, 61, 62, 63, 64, 65, 66, 67, 67, 68, 70, 71, 72, 73, 73, 74, 75, 76, 77, 78, 79, 80, 81, 82, 83, 84, 86, 87, 88, 89, 90, 91, 92, 93, 94, 95, 96, 97, 98, 99, 100, 101, 102, 104, 105, 106, 108, 109, 111, 113, 116, 117, 118, 120, 120, 122, 123, 163, 163, 237, 278, 279, 280, 281, 283, 285, 286, 288, 289, 291, 292, 295, 297, 302, 308, 311, 314, 315, 317, 318, 324, 325, 327, 328, 330, 340, 341, 343, 344, 345, 347, 349, 352, 357, 358, 359, 360, 361, 362, 363, 364, 365, 369, 375, 376, 377, 378, 381, 385, 389, 390, 392, 393, 394, 396, 397, 399, 400, 403, 404, 405, 406, 407, 408, 409, 410, 411, 415, 416, 417, 419, 422, 423, 424, 425, 426, 431, 433, 435, 437, 438, 443, 444, 445, 446, 449, 450, 459, 460, 461, 462, 463, 464, 465, 466, 467, 468, 469, 470, 471, 472, 473, 474, 475, 476, 477, 478, 479, 480, 481, 482, 483, 484, 485, 515, 568, 570, 571, 573, 574, 575, 581, 588, 591, 593, 604, 606, 608, 609 y 613.

De los compuestos de la Fórmula **1** probados a 50 ppm los siguientes proporcionaron niveles de eficacia de control desde muy buenos a excelentes (80 % o más de mortalidad): 1, 2, 3, 5, 6, 7, 9, 10, 11, 12, 13, 14, 16, 17, 19, 21, 23, 24, 25, 26, 27, 28, 29, 30, 31, 40, 41, 42, 43, 44, 45, 46, 47, 48, 49, 50, 51, 52, 53, 54, 55, 56, 57, 58, 59, 60, 61, 62, 63, 64, 65, 67, 68, 70, 71, 72, 73, 74, 75, 76, 77, 78, 79, 80, 81, 82, 83, 84, 85, 86, 87, 88, 89, 90, 91, 92, 93, 94, 95, 96, 97, 98, 99, 100, 101, 102, 104, 105, 106, 108, 109, 111, 118, 119, 120, 123, 124, 136, 137, 138, 139, 140, 141, 146, 147, 151, 153, 155, 156, 157, 160, 166, 167, 168, 171, 172, 173, 178, 180, 185, 186, 189, 192, 193, 194, 196, 197, 198, 199, 200, 201, 202, 203, 204, 207, 208, 209, 210, 211, 212, 213, 214, 215, 216, 217, 218, 219, 220, 222, 223, 224, 225, 226, 232, 233, 235, 236, 237, 238, 240, 243, 244, 245, 246, 247, 252, 254, 255, 256, 258, 259, 260, 261, 262, 264, 266, 273, 274, 277, 280, 318, 324, 327, 330, 340, 341, 357, 359, 361, 362, 363, 364, 369, 374, 377, 381, 389, 390, 392, 394, 396, 397, 399, 403, 404, 407, 408, 411, 416, 417, 423, 424, 431, 433, 435, 437, 449, 460, 461, 462, 463, 464, 466, 467, 469, 470, 471, 472, 473, 474, 475, 476, 477, 479, 480, 481, 482, 483, 486, 487, 488, 489, 490, 491, 492, 493, 494, 495, 496, 497, 498, 500, 501, 502, 503, 514, 515, 524, 525, 530, 531, 534, 536, 537, 539, 540, 541, 542, 544, 545, 551, 570, 575, 591, 597, 598, 600, 608, 609, 617, 618, 619, 620, 621, 622, 623, 624,

198000

627, 634, 635, 641, 645, 651, 652, 653, 654, 663, 666, 671, 672, 682, 702, 711, 713, 715, 717, 753, 755 y 756.

Prueba G

Para evaluar el control del trip occidental de las flores (*Frankliniellla occidentalis*) a través de medios 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 atomizaron a 250 ppm y la prueba se repitió tres veces, tal como se describió para la Prueba A. Después de la atomización, las unidades de prueba se dejaron secar por 1 hora y después se añadieron 22-27 trips adultos en cada unidad y, luego, se colocó una tapa negra con malla en la parte superior. Las unidades de prueba se mantuvieron durante 7 días a 25 °C y 45-55 % de humedad relativa.

De los compuestos probados de la Fórmula **1** los siguientes proporcionaron niveles de eficacia de control desde muy buenos a excelentes (30 % o menos de daño a la planta y/o 100 % de mortalidad): 158, 211, 212, 229, 235, 257, 258, 262, 273, 497, 528, 531, 544, 549, 579, 608, 617, 635 y 682.

Prueba H

Para evaluar el control de la pulga de gato (*Ctenocephalides felis*), se solubilizó un compuesto de prueba en propilenglicol/glicerol formal (60:40) y luego se

Laboratories, Wilmington, MA) una dosis por vía oral de un compuesto de prueba en una cantidad de 10 mg/kg solubilizado en propilenglicol/glicerol formal (60:40). Dos horas después de la administración oral del compuesto de prueba, se aplicaron de aproximadamente 8 a 16 pulgas adultas en cada ratón. Seguidamente, se evaluó la mortalidad de las pulgas 48 horas después de haberlas aplicado al ratón.

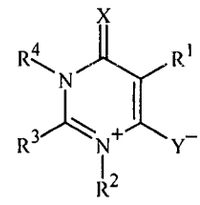
De los compuestos probados de la Fórmula **1**, los siguientes compuestos resultaron en 20 % o mayor de mortalidad: 2, 10, 19, 41, 42, 43, 44, 45, 46, 47, 51, 56, 57, 59, 61, 63, 72, 75, 80, 81, 82, 83, 88, 92, 96, 101, 104, 105, 106, 107, 111, 119, 120, 121, 123, 124, 136, 137, 140, 148, 151, 154, 169, 186, 319, 461, 475, 476, 477, 493, 497, 498, 588 y 599.

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 Fórmula **1**,



1

caracterizado porque

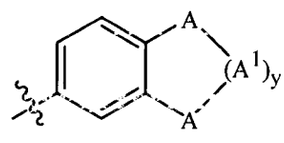
X es O o S;

Y es O o S;

R^1 es H, halógeno, alquilo de C_1-C_6, haloalquilo de C_1-C_6, alquenilo de C_2-C_6, haloalquenilo de C_2-C_6, alquinilo de C_2-C_6, haloalquinilo de C_2-C_6, $CR^{24}=C(R^{24})R^{10}$ o $C\equiv CR^{10}$; o

R^1 es cicloalquilo de C_3-C_7, cicloalquiloalquilo de C_4-C_8 o cicloalquenilo de C_5-C_7, cada uno opcionalmente sustituido con 1 a 4 sustituyentes independientemente seleccionados del grupo que consiste de halógeno, alquilo de C_1-C_2, alcoxi de C_1-C_2, 1 ciclopropilo, 1 CF_3 y 1 OCF_3; o

R^1 es fenilo, naftalenilo o un anillo heteroaromático de 5 ó 6 miembros, cada uno opcionalmente sustituido con 1 a 3 sustituyentes independientemente seleccionados del grupo que consiste de halógeno, ciano, nitro, alquilo de C_1-C_4, alquenilo de C_2-C_4, alquenilo de C_2-C_4, haloalquilo de C_1-C_4, haloalquenilo de C_2-C_4, alquilcarbonilo de C_2-C_4, haloalquilcarbonilo de C_2-C_4, alcoxicarbonilo de C_2-C_4, alquilaminocarbonilo de C_2-C_4, dialquilaminocarbonilo de C_3-C_7, $C(O)N$-(-$CH_2Z^2CH_2$-)-, alcoxi de C_1-C_4, haloalcoxi de C_1-C_4, alcoxialquilo de C_2-C_6, $S(O)_nR^{12}$, $S(O)_2R^{13}$, alquilamino de C_1-C_4, dialquilamino de C_2-C_6, SF_5, $Si(CH_3)_3$, CHO, hidroxi, $OC(O)R^{19}$ y $N(R^{20})C(O)R^{19}$; o R^1 es



; o

R^1 es $C(X^1)R^{18}$, $C(=NOR^{23})R^{18}$, $C(O)NR^{16}R^{18a}$, $C(=NNR^{20a}R^{23})R^{18}$, $C(=NNR^{20a}C(O)R^{23})R^{18}$, $C(=NNR^{20a}C(O)OR^{23a})R^{18}$ o $C(=NNR^{20a}C(O)NR^{20a}R^{23})R^{18}$; o

R^1 es un sistema anular bicíclico heteroaromático de 8 a 10 miembros opcionalmente sustituido en miembros del anillo de carbono con hasta 3 sustituyentes independientemente seleccionados del grupo que consiste de halógeno, ciano, nitro, SF_5, alquilo de C_1-C_4, alquenilo de C_2-C_4, alquinilo de C_2-C_4, haloalquilo de C_1-C_4, alquilocarbonilo de C_2-C_4, haloalquilocarbonilo de C_2-C_4, alcoxicarbonilo de C_2-C_4, alquiloaminocarbonilo de C_2-C_4, dialquiloaminocarbonilo de C_3-C_7, $C(O)N$-(-$CH_2Z^2CH_2$-)-, alcoxi de C_1-C_4, haloalcoxi de C_1-C_4, alcoxialquilo de C_2-C_6, $S(O)_nR^{12}$, $S(O)_2R^{13}$, alquiloamino de C_1-C_4, dialquiloamino de C_2-C_6, $Si(CH_3)_3$, CHO, hidroxi, $OC(O)R^{19}$ y $N(R^{20})C(O)R^{19}$, y opcionalmente sustituido, además, en los miembros del anillo de nitrógeno con metilo; o

R^1 es fenilo o un anillo heteroaromático de 5 ó 6 miembros, cada uno sustituido con GQ^1, cada uno opcionalmente sustituido con 1 Q^2 y cada uno opcionalmente sustituido con 1 ó 2 sustituyentes independientemente seleccionados del grupo que consiste de halógeno, ciano, nitro, SF_5, alquilo de C_1-C_4, alquenilo de C_2-C_4, alquinilo de C_2-C_4, haloalquilo de C_1-C_4, alquilcarbonilo de C_2-C_4,

haloalquilcarbonilo de C_2-C_4, alcoxicarbonilo de C_2-C_4, alquilaminocarbonilo de C_2-C_4, dialquilaminocarbonilo de C_3-C_7, C(O)N-(-$CH_2Z^2CH_2$-)-, alcoxi de C_1-C_4, haloalcoxi de C_1-C_4, alcoxialquilo de C_2-C_6, $S(O)_nR^{12}$, $S(O)_2R^{13}$, alquilamino de C_1-C_4, dialquilamino de C_2-C_6, $Si(CH_3)_3$, CHO, hidroxi, $OC(O)R^{19}$ y $N(R^{20})C(O)R^{19}$; o R^1 es fenilo o un anillo heteroaromático de 5 ó 6 miembros, cada uno sustituido con LQ^1 y opcionalmente sustituido con 1 ó 2 sustituyentes independientemente seleccionados del grupo que consiste de halógeno, ciano, nitro, alquilo de C_1-C_4, alquenilo de C_2-C_4, alquinilo de C_2-C_4, haloalquilo de C_1-C_4, alquilcarbonilo de C_2-C_4, haloalquilcarbonilo de C_2-C_4, alcoxicarbonilo de C_2-C_4, alquilaminocarbonilo de C_2-C_4, dialquilaminocarbonilo de C_3-C_7, C(O)N-(-$CH_2Z^2CH_2$-)-, alcoxi de C_1-C_4, haloalcoxi de C_1-C_4, alcoxialquilo de C_2-C_6, $S(O)_nR^{12}$, $S(O)_2R^{13}$, alquilamino de C_1-C_4 y dialquilamino de C_2-C_6;

cada A es independientemente $C(R^{16})_2$, O, $S(O)_n$ o NR^{15};

cada A^1 es independientemente $C(R^{17})_2$;

X^1 es O o S;

G es un enlace directo, O, $S(O)_n$, NH, $N(CH_3)$, CH_2, CH_2O, OCH_2, C(O), C(O)O, OC(O), C(O)NH o NHC(O);

L es un fenilo o anillo heteroaromático de 5 ó 6 miembros opcionalmente sustituido con 1 ó 2 sustituyentes independientemente seleccionados del grupo que consiste de halógeno, ciano, nitro, alquilo de C_1-C_4, alquenilo de C_2-C_4, alquinilo de C_2-C_4, haloalquilo de C_1-C_4, alquilcarbonilo de C_2-C_4, haloalquilcarbonilo de C_2-C_4, alcoxicarbonilo de C_2-C_4, alquilaminocarbonilo de C_2-C_4, dialquilaminocarbonilo de C_3-C_7, C(O)N-(-$CH_2Z^2CH_2$-)-, alcoxi de C_1-C_4, haloalcoxi de C_1-C_4, alcoxialquilo de C_2-C_6, $S(O)_nR^{12}$, $S(O)_2R^{13}$, alquilamino de C_1-C_4 y dialquilamino de C_2-C_6;

Q^1 es fenilo o un anillo heteroaromático de 5 ó 6 miembros, cada uno opcionalmente sustituido con 1 a 3 sustituyentes independientemente seleccionados del grupo que consiste de halógeno, ciano, nitro, alquilo de C_1-C_4, alquenilo de C_2-C_4, alquinilo de C_2-C_4, haloalquilo de C_1-C_4, alquilcarbonilo de C_2-C_4, haloalquilcarbonilo de C_2-C_4, alcoxicarbonilo de C_2-C_4, alquilaminocarbonilo de C_2-C_4, dialquilaminocarbonilo de C_3-C_7, C(O)N-(-$CH_2Z^2CH_2$-)-, alcoxi de C_1-C_4, haloalcoxi de C_1-C_4,

alcoxialquilo de C_2-C_6, $S(O)_nR^{12}$, $S(O)_2R^{13}$, alquilamino de C_1-C_4, dialquilamino de C_2-C_6, SF_5, $Si(CH_3)_3$, CHO, hidroxi, $OC(O)R^{19}$ y $N(R^{20})C(O)R^{19}$;

Q^2 es fenilo o un anillo heteroaromático de 5 ó 6 miembros, cada uno opcionalmente sustituido con 1 ó 2 sustituyentes independientemente seleccionados del grupo que consiste de halógeno, ciano, nitro, alquilo de C_1-C_4, alquenilo de C_2-C_4, alquinilo de C_2-C_4, haloalquilo de C_1-C_4, alquilcarbonilo de C_2-C_4, haloalquilcarbonilo de C_2-C_4, alcoxicarbonilo de C_2-C_4, alquilaminocarbonilo de C_2-C_4, dialquilaminocarbonilo de C_3-C_7, $C(O)N$-(-$CH_2Z^2CH_2$-)-, alcoxi de C_1-C_4, haloalcoxi de C_1-C_4, alcoxialquilo de C_2-C_6, $S(O)_nR^{12}$, $S(O)_2R^{13}$, alquilamino de C_1-C_4 y dialquilamino de C_2-C_6;

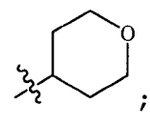
R^2 es alquilo de C_2-C_6, haloalquilo de C_1-C_6, alquenilo de C_3-C_6, haloalquenilo de C_3-C_6, alquinilo de C_3-C_6, haloalquinilo de C_3-C_6, $CH_2CO_2R^{21}$, $CR^5R^6CH_2OR^{21}$, $CR^5R^6CH_2CH_2OR^{21}$, $CR^5R^6CH_2S(O)_nR^{21}$ o $CR^5R^6CH_2CH_2S(O)_nR^{21}$; o

R^2 es cicloalquilo de C_3-C_6 o cicloalquilalquilo de C_4-C_7, cada uno opcionalmente sustituido con 1 a 4 sustituyentes seleccionados del grupo que

consiste de halógeno, alquilo de C_1-C_2, 1 ciclopropilo y 1 CF_3; o

R^2 es CR^5R^6Q; o

R^2 es



;

R^3 es H, alquilo de C_1-C_6, haloalquilo de C_1-C_6, alquenilo de C_2-C_6, haloalquenilo de C_2-C_6, alquinilo de C_2-C_6, haloalquinilo de C_2-C_6 o $C{\equiv}CR^{10}$; o

R^3 es cicloalquilo de C_3-C_6 o cicloalquilalquilo de C_4-C_7, cada uno opcionalmente sustituido con 1 a 4 sustituyentes independientemente seleccionados del grupo que consiste de halógeno, alquilo de C_1-C_2, 1 ciclopropilo y 1 CF_3; o

R^3 es fenilo, naftalenilo o un anillo heteroaromático de 5 ó 6 miembros, cada uno opcionalmente sustituido con 1 ó 2 sustituyentes independientemente seleccionados del grupo que consiste de halógeno, ciano, nitro, alquilo de C_1-C_4, alquenilo de C_2-C_4, alquinilo de C_2-C_4, haloalquilo de C_1-C_4, alquilcarbonilo de C_2-C_4,

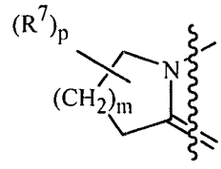
haloalquilcarbonilo de C_2-C_4, alcoxicarbonilo de C_2-C_4, alquilaminocarbonilo de C_2-C_4, dialquilaminocarbonilo de C_3-C_7, C(O)N-(-$CH_2Z^2CH_2$-)-, alcoxi de C_1-C_4, haloalcoxi de C_1-C_4, alcoxialquilo de C_2-C_6, $S(O)_nR^{12}$, $S(O)_2R^{13}$, alquilamino de C_1-C_4 y dialquilamino de C_2-C_6;

R^4 es alquilo de C_1-C_6, haloalquilo de C_1-C_6, alquenilo de C_2-C_6, haloalquenilo de C_2-C_6, alquinilo de C_2-C_6, haloalquinilo de C_2-C_6 o $C{\equiv}CR^{10}$; o

R^4 es cicloalquilo de C_3-C_6 o cicloalquilalquilo de C_4-C_7, cada uno opcionalmente sustituido con 1 a 4 sustituyentes independientemente seleccionados del grupo que consiste de halógeno, alquilo de C_1-C_2, 1 ciclopropilo y 1 CF_3; o

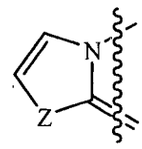
R^4 es fenilo, naftalenilo o un anillo heteroaromático de 5 ó 6 miembros, cada uno opcionalmente sustituido con 1 ó 2 sustituyentes independientemente seleccionados del grupo que consiste de halógeno, ciano, nitro, alquilo de C_1-C_4, alquenilo de C_2-C_4, alquinilo de C_2-C_4, haloalquilo de C_1-C_4, alquilcarbonilo de C_2-C_4, haloalquilcarbonilo de C_2-C_4, alcoxicarbonilo de C_2-C_4, alquilaminocarbonilo de C_2-C_4, dialquilaminocarbonilo de C_3-C_7, C(O)N-(-$CH_2Z^2CH_2$-

)-, alcoxi de C_1-C_4, haloalcoxi de C_1-C_4, alcoxialquilo de C_2-C_6, $S(O)_nR^{12}$, $S(O)_2R^{13}$, alquilamino de C_1-C_4 y dialquilamino de C_2-C_6; o R^3 y R^4 se toman juntos con los átomos de nitrógeno y carbono de enlace contiguos para formar un anillo **R-1** opcionalmente sustituido



R-1

o un anillo **R-2**



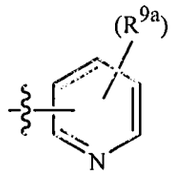
R-2 ;

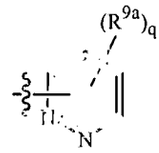
Z es $C(R^{8a})=C(R^{8b})$, S, O o NCH_3, siempre y cuando la porción de $C(R^{8a})=C(R^{8b})$ se oriente de manera que el átomo de carbono enlazado a R^{8b} se conecte como R^3 en la Fórmula **1**;

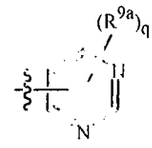
cada R^5 es independientemente H, F, Cl, ciano o alquilo de C_1-C_4;

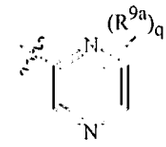
cada R^6 es independientemente H, F, Cl o CH_3;

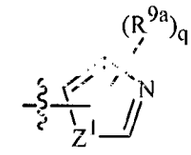
Q es

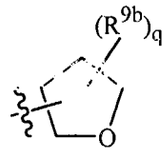

Q-1

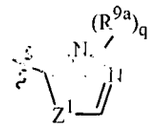

Q-2

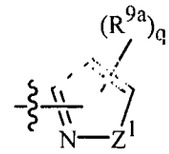

Q-3

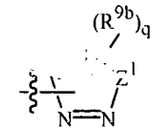

Q-4

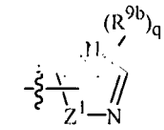

Q-5

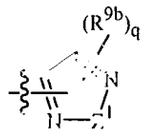

Q-6

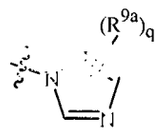

Q-8

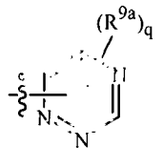

Q-9

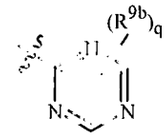

Q-10

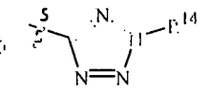

Q-11


Q-12


Q-13


Q-14


Q-15


Q-16

Z^1 es O, S o NR^{14};

cada R^7 es independientemente H, halógeno, ciano, CF_3, alquilo de C_1-C_3 o cicloalquilo de C_3-C_6;

R^{8a} es H o F;

R^{8b} es H, F, CF_2H o CF_3;

cada R^{9a} es independientemente H, halógeno, ciano, nitro, 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, alcoxi

de C_1-C_4, haloalcoxi de C_1-C_4, alquilcarbonilo de C_2-C_4, haloalquilcarbonilo de C_2-C_4, alcoxicarbonilo de C_2-C_4, haloalcoxicarbonilo de C_2-C_4, $C(O)NH_2$, alquilaminocarbonilo de C_2-C_4, dialquilaminocarbonilo de C_3-C_7, $C(O)N$-(-$CH_2Z^2CH_2$-)-, haloalquilaminocarbonilo de C_2-C_4, halodialquilaminocarbonilo de C_3-C_7, SF_5, $S(O)_nR^{12}$ o $S(O)_2R^{13}$; o C_3-C_6 cicloalquilo o cicloalquiloalquilo de C_4-C_7, cada uno opcionalmente sustituido con 1 a 4 sustituyentes seleccionados del grupo que consiste de halógeno, alquilo de C_1-C_2, 1 ciclopropilo y 1 CF_3; o fenilo o un anillo heteroaromático de 5 ó 6 miembros, cada uno opcionalmente sustituido con 1 ó 2 sustituyentes independientemente seleccionados del grupo que consiste de halógeno, ciano, nitro, alquilo de C_1-C_4, alquenilo de C_2-C_4, alquinilo de C_2-C_4, haloalquilo de C_1-C_4, alquilcarbonilo de C_2-C_4, haloalquilcarbonilo de C_2-C_4, alcoxicarbonilo de C_2-C_4, alquilaminocarbonilo de C_2-C_4, dialquilaminocarbonilo de C_3-C_7, $C(O)N$-(-$CH_2Z^2CH_2$-)-, alcoxi de C_1-C_4, haloalcoxi de C_1-C_4, alcoxialquilo de C_2-C_6, $S(O)_nR^{12}$, $S(O)_2R^{13}$, alquilamino de C_1-C_4 y dialquilamino de C_2-C_6;

cada R^{9b} es independientemente H, halógeno, ciano, alquilo de C_1-C_4, haloalquilo de C_1-C_4, alcoxi de C_1-C_4 o haloalcoxi de C_1-C_4 o fenilo o piridinilo, cada uno opcionalmente sustituido con 1 ó 2 sustituyentes independientemente seleccionados del grupo que consiste de halógeno, ciano, alquilo de C_1-C_4, haloalquilo de C_1-C_4, alcoxi de C_1-C_4, haloalcoxi de C_1-C_4, $S(O)_nR^{12}$ y $S(O)_2R^{13}$;

cada R^{10} es independientemente $Si(R^{11})_3$; o fenilo o piridinilo, cada uno opcionalmente sustituido con 1 a 3 sustituyentes independientemente seleccionados del grupo que consiste de halógeno, ciano, nitro, SF_5, alquilo de C_1-C_4, alquenilo de C_2-C_4, alquinilo de C_2-C_4, haloalquilo de C_1-C_4, alquilcarbonilo de C_2-C_4, haloalquilcarbonilo de C_2-C_4, alcoxicarbonilo de C_2-C_4, alquilaminocarbonilo de C_2-C_4, dialquilaminocarbonilo de C_3-C_7, $C(O)N$-(-$CH_2Z^2CH_2$-)-, alcoxialquilo de C_2-C_6, alcoxi de C_1-C_4, haloalcoxi de C_1-C_4, $S(O)_nR^{12}$, $S(O)_2R^{13}$, alquilamino de C_1-C_4 y dialquilamino de C_2-C_6;

cada R^{11} es independientemente alquilo de C_1-C_4;

cada R^{12} es independientemente alquilo de C_1-C_4 o haloalquilo de C_1-C_4;

cada R^{13} es independientemente alquilamino de C_1-C_4, dialquilamino de C_2-C_6 o $-N-(-CH_2Z^2CH_2-)-$;

R^{14} es H, alquilo de C_1-C_4, haloalquilo de C_1-C_4, alcoxicarbonilo de C_2-C_5, alquilaminocarbonilo de C_2-C_5, dialquilaminocarbonilo de C_3-C_7 $C(O)N-(-CH_2Z^2CH_2-)-$, $S(O)_nR^{12}$ o $S(O)_2R^{13}$; o fenilo o piridinilo, cada uno opcionalmente sustituido con 1 a 3 sustituyentes independientemente seleccionados del grupo que consiste de halógeno, ciano, alquilo de C_1-C_4, alcoxi de C_1-C_4, haloalcoxi de C_1-C_4, $S(O)_nR^{12}$ y $S(O)_2R^{13}$;

cada R^{15} es independientemente alquilo de C_1-C_4;

cada R^{16} es independientemente H o alquilo de C_1-C_4;

cada R^{17} es independientemente H, F o CH_3;

R^{18} es H, alquilo de C_1-C_6, haloalquilo de C_1-C_6, cicloalquilo de C_3-C_6, alcoxi de C_1-C_6, alquilamino de C_1-C_6 o dialquilamino de C_2-C_7; o fenilo o un anillo heteroaromático de 5 ó 6 miembros, cada uno opcionalmente sustituido con 1 a 3 sustituyentes independientemente seleccionados del grupo que consiste de halógeno, alquilo de C_1-C_4, alcoxi de C_1-C_4, haloalcoxi de C_1-C_4, $S(O)_nR^{12}$ y $S(O)_2R^{13}$;

R^{18a} es fenilo o un anillo heteroaromático de 5 ó 6 miembros, cada uno opcionalmente sustituido con 1 a 3 sustituyentes independientemente seleccionados del grupo que consiste de halógeno, alquilo de C_1-C_4, alcoxi de C_1-C_4, haloalcoxi de C_1-C_4, $S(O)_nR^{12}$ y $S(O)_2R^{13}$;

cada R^{19} es independientemente alquilo de C_1-C_4;

cada R^{20} es independientemente H o alquilo de C_1-C_4;

cada R^{20a} es independientemente alquilo de C_1-C_4;

cada R^{21} es independientemente H o alquilo de C_1-C_4;

R^{23} es H, alquilo de C_1-C_6, haloalquilo de C_1-C_6, alquenilo de C_3-C_6, haloalquenilo de C_3-C_6, alquinilo de C_3-C_6, haloalquinilo de C_3-C_6 o $CH_2CO_2R^{21}$; o

R^{23} es cicloalquilo de C_3-C_6 o cicloalquilalquilo de C_4-C_7, cada uno opcionalmente sustituido con 1 a 4 sustituyentes independientemente seleccionados del grupo que consiste de halógeno, alquilo de C_1-C_2, 1 ciclopropilo y 1 CF_3; o

R^{23} es fenilo o un anillo heteroaromático de 5 ó 6 miembros, cada uno opcionalmente sustituido con 1 a 3 sustituyentes independientemente seleccionados del grupo que consiste de

halógeno, ciano, nitro, alquilo de C_1-C_4, alquenilo de C_2-C_4, alquinilo de C_2-C_4, haloalquilo de C_1-C_4, alquilcarbonilo de C_2-C_4, haloalquilcarbonilo de C_2-C_4, alcoxicarbonilo de C_2-C_4, alquilaminocarbonilo de C_2-C_4, dialquilaminocarbonilo de C_3-C_7, C(O)N-(-$CH_2Z^2CH_2$-)-, alcoxi de C_1-C_4, haloalcoxi de C_1-C_4, alcoxialquilo de C_2-C_6, $S(O)_nR^{12}$, $S(O)_2R^{13}$, alquilamino de C_1-C_4 y dialquilamino de C_2-C_6;

R^{23a} es alquilo de C_1-C_6, haloalquilo de C_2-C_6, alquenilo de C_3-C_6 o cicloalquilo de C_3-C_6;

cada R^{24} es independientemente H, F o CH_3;

m es 0, 1, 2 ó 3;

cada n es independientemente 0, 1 ó 2;

p es 0, 1, 2, 3 ó 4;

cada q es independientemente 0, 1 ó 2;

y es 1 ó 2; y

cada Z^2 es independientemente CH_2CH_2, $CH_2CH_2CH_2$ o CH_2OCH_2

siempre y cuando

(a) cuando R^2 es $CH_2CH=CH_2$, R^3 y R^4 se toman juntos para formar el anillo **R-2**, Z es CH=CH, X es O y Y es O, entonces R^1 es diferente de H, $CH(CH_3)_2$, $CH_2CH_2CH_2CH_3$, $CH_2CH=CH_2$ o fenilo insustituido;

(b) cuando R^1 es H, R^3 y R^4 se toman juntos para formar el anillo **R-2**, Z es CH=CH, X es O y Y es O, entonces R^2 es diferente de $CH_2CH=CH_2$ o $CH_2C\equiv CH$;

(c) cuando R^1 es CH_2CH_3, R^3 y R^4 se toman juntos para formar el anillo **R-2**, Z es CH=CH, X es O y Y es O, entonces R^2 es diferente de $CH_2(CH_2)_3CH_3$;

(d) cuando R^3 es H, R^2 y R^4 son ambos ciclohexilo, X es O y Y es O, entonces R^1 es diferente de CH_3;

(e) cuando R^1 es H o C_1-C_3 *n*-alquilo, R^3 y R^4 se toman juntos para formar el anillo **R-2**, Z es S, X es O y Y es O, entonces R^2 es diferente de alquilo de C_1-C_6 o alcoxialquilo de C_3-C_4;

(f) cuando R^2 es ciclopropilmetilo, R^3 y R^4 se toman juntos para formar el anillo **R-2**, Z es S, X es O y Y es O, entonces R^1 es diferente de CH_3, CH_2CH_3 o $CH_2CH_2CH_3$;

(g) cuando R^1 es fenilo insustituido, R^3 y R^4 se toman juntos para formar el anillo **R-2**, Z es S, X es O y Y es O, entonces R^2 es diferente de alcoxialquilo de C_3-C_4; y

(h) cuando R^1 es Br, R^3 y R^4 se toman juntos para formar el anillo **R-2**, Z es S, X es O y Y es O, entonces R^2 es diferente de CH_2CH_3.

2. Un compuesto de conformidad con la reivindicación 1, caracterizado además porque

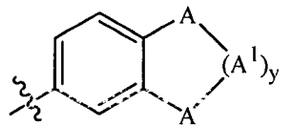
X es O;

Y es O;

R^1 es H o halógeno; o

R^1 es fenilo o un anillo heteroaromático de 6 miembros, cada uno opcionalmente sustituido con 1 a 3 sustituyentes independientemente seleccionados del grupo que consiste de halógeno, ciano, nitro, alquilo de C_1-C_4, alquenilo de C_2-C_4, alquinilo de C_2-C_4, haloalquilo de C_1-C_4, haloalquenilo de C_2-C_4, alquilcarbonilo de C_2-C_4, haloalquilcarbonilo de C_2-C_4, alcoxicarbonilo de C_2-C_4, alquilaminocarbonilo de C_2-C_4, dialquilaminocarbonilo de C_3-C_7, $C(O)N$-(-$CH_2Z^2CH_2$-)-, alcoxi de C_1-C_4, haloalcoxi de C_1-C_4, alcoxialquilo de C_2-C_6, $S(O)_nR^{12}$, $S(O)_2R^{13}$, alquilamino de C_1-C_4, dialquilamino de C_2-C_6, SF_5, $Si(CH_3)_3$, CHO, hidroxi, $OC(O)R^{19}$ y $N(R^{20})C(O)R^{19}$; o

R^1 es



; o

R^1 es $C(X^1)R^{18}$ o $C(=NOR^{23})R^{18}$; o

R^1 es un sistema anular bicíclico heteroaromático de 8 a 10 miembros opcionalmente sustituido en los miembros del anillo de carbono con hasta 3 sustituyentes independientemente seleccionados del grupo que consiste de halógeno, ciano, nitro, SF_5, alquilo de C_1-C_4, alquenilo de C_2-C_4, alquinilo de C_2-C_4, haloalquilo de C_1-C_4, alquilocarbonilo de C_2-C_4, haloalquilocarbonilo de C_2-C_4, alcoxicarbonilo de C_2-C_4, alquiloaminocarbonilo de C_2-C_4, dialquiloaminocarbonilo de C_3-C_7, $C(O)N$-(-$CH_2Z^2CH_2$-)-, alcoxi de C_1-C_4, haloalcoxi de C_1-C_4, alcoxialquilo de C_2-C_6, $S(O)_nR^{12}$, $S(O)_2R^{13}$, alquiloamino de C_1-C_4, dialquiloamino de C_2-C_6, $Si(CH_3)_3$, CHO, hidroxi, $OC(O)R^{19}$ y $N(R^{20})C(O)R^{19}$, y opcionalmente sustituido en los miembros del anillo de nitrógeno con metilo; o

R^1 es fenilo o un anillo heteroaromático de 5 ó 6 miembros, cada uno sustituido con GQ^1, cada uno opcionalmente sustituido con 1 Q^2 y cada uno

opcionalmente sustituido con 1 ó 2 sustituyentes independientemente seleccionados del grupo que consiste de halógeno, ciano, nitro, SF_5, alquilo de C_1-C_4, alquenilo de C_2-C_4, alquinilo de C_2-C_4, haloalquilo de C_1-C_4, alquilcarbonilo de C_2-C_4, halcoalquilcarbonilo de C_2-C_4, alcoxicarbonilo de C_2-C_4, alquilaminocarbonilo de C_2-C_4, dialquilaminocarbonilo de C_3-C_7, $C(O)N$-(-$CH_2Z^2CH_2$-)-, alcoxi de C_1-C_4, haloalcoxi de C_1-C_4, alcoxialquilo de C_2-C_6, $S(O)_nR^{12}$, $S(O)_2R^{13}$, alquilamino de C_1-C_4, dialquilamino de C_2-C_6, $Si(CH_3)_3$, CHO, hidroxi, $OC(O)R^{19}$ y $N(R^{20})C(O)R^{19}$;

G es un enlace directo;

Q^1 es fenilo o un anillo heteroaromático de 5 ó 6 miembros, cada uno opcionalmente sustituido con 1 a 3 sustituyentes independientemente seleccionados del grupo que consiste de halógeno, ciano, nitro, alquilo de C_1-C_4, alquenilo de C_2-C_4, alquinilo de C_2-C_4, haloalquilo de C_1-C_4, alquilcarbonilo de C_2-C_4, haloalquilcarbonilo de C_2-C_4, alcoxicarbonilo de C_2-C_4, alquilaminocarbonilo de C_2-C_4, dialquilaminocarbonilo de C_3-C_7, $C(O)N$-(-$CH_2Z^2CH_2$-)-, alcoxi de C_1-C_4, haloalcoxi de C_1-C_4, alcoxialquilo de C_2-C_6, $S(O)_nR^{12}$, $S(O)_2R^{13}$,

alquilamino de C_1-C_4, dialquilamino de C_2-C_6, SF_5, $Si(CH_3)_3$, CHO, hidroxi, $OC(O)R^{19}$ y $N(R^{20})C(O)R^{19}$;

R^2 es alquilo de C_2-C_6, haloalquilo de C_1-C_6, $CH_2CO_2R^{21}$, $CR^5R^6CH_2OR^{21}$, $CR^5R^6CH_2CH_2OR^{21}$, $CR^5R^6CH_2S(O)_nR^{21}$ o $CR^5R^6CH_2CH_2S(O)_nR^{21}$; o

R^2 es cicloalquilo de C_3-C_6 o cicloalquilalquilo de C_4-C_7, cada uno opcionalmente sustituido con 1 a 4 sustituyentes seleccionados del grupo que consiste de halógeno, alquilo de C_1-C_2, 1 ciclopropilo y 1 CF_3; o

R^2 es CR^5R^6Q;

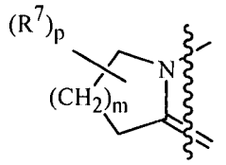
R^3 es H, alquilo de C_1-C_6, haloalquilo de C_1-C_6, alquenilo de C_2-C_6, haloalquenilo de C_2-C_6, alquinilo de C_2-C_6, haloalquinilo de C_2-C_6 o $C\equiv CR^{10}$; o

R^3 es cicloalquilo de C_3-C_6 o cicloalquilalquilo de C_4-C_7, cada uno opcionalmente sustituido con 1 a 4 sustituyentes independientemente seleccionados del grupo que consiste de halógeno, alquilo de C_1-C_2, 1 ciclopropilo y 1 CF_3;

R^4 es alquilo de C_1-C_6, haloalquilo de C_1-C_6, alquenilo de C_2-C_6, haloalquenilo de C_2-C_6, alquinilo de C_2-C_6, haloalquinilo de C_2-C_6 o $C\equiv CR^{10}$; o

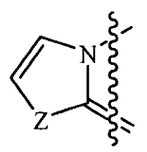
R^4 es cicloalquilo de C_3-C_6 o cicloalquilalquilo de C_4-C_7, cada uno opcionalmente sustituido con 1 a 4 sustituyentes independientemente seleccionados del grupo que consiste de halógeno, alquilo de C_1-C_2, 1 ciclopropilo y 1 CF_3; o

R^3 y R^4 se toman juntos con los átomos de nitrógeno y carbono de enlace contiguos para formar un anillo **R-1** opcionalmente sustituido



R-1

o un anillo **R-2**



R-2 ;

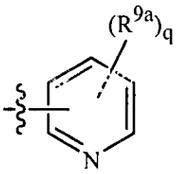
Z es $C(R^{8a})=C(R^{8b})$ o S, siempre y cuando la porción de $C(R^{8a})=C(R^{8b})$ se oriente de manera que

el átomo de carbono enlazado a R^{8b} se conecte como R^3 en la Fórmula **1**;

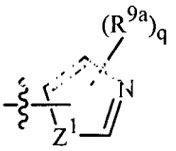
cada R^5 es independientemente H, F, Cl, ciano o alquilo de C_1-C_4;

cada R^6 es independientemente H, F, Cl o CH_3; y

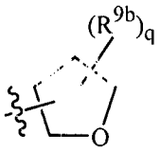
Q es



Q-1

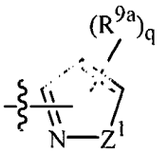


Q-5



Q-6

o



Q-9

3. Un compuesto de conformidad con la reivindicación 2, caracterizado además porque

R^3 es alquilo de C_1-C_6 o haloalquilo de C_1-C_6; y

R^4 es alquilo de C_1-C_6 o haloalquilo de C_1-C_6.

4. Un compuesto de conformidad con la reivindicación 3, caracterizado además porque

R3 es CH^3; y

R^4 es CH_3.

5. Un compuesto de conformidad con la reivindicación 2, caracterizado además porque

R^3 y R^4 se toman juntos con los átomos de nitrógeno y carbono de enlace contiguos para formar un anillo **R-1** opcionalmente sustituido;

m es 2 ó 3; y

p es 0.

6. Un compuesto de conformidad con la reivindicación 2, caracterizado además porque

R^3 y R^4 se toman juntos con los átomos de nitrógeno y carbono de enlace contiguos para formar un anillo **R-2** opcionalmente sustituido; y

Z es CH=CH o CH=CF, siempre y cuando la porción CH=CF se oriente de manera que el átomo de carbono enlazado a F se conecte como R^3 en la Fórmula **1**.

7. Un compuesto de conformidad con la reivindicación 2, caracterizado además porque

R^3 y R^4 se toman juntos con los átomos de nitrógeno y carbono de enlace contiguos para formar un anillo **R-2** opcionalmente sustituido; y

Z es S.

8. Un compuesto de conformidad con la reivindicación 2, caracterizado además porque

R^1 es H o halógeno.

9. Un compuesto de conformidad con cualquiera de las reivindicaciones 3 a 7, caracterizado además porque

R^1 es fenilo o piridinilo, cada uno opcionalmente sustituido con 1 a 3 sustituyentes independientemente seleccionados del grupo que consiste de halógeno, ciano, nitro, alquilo de C_1-C_4, alquenilo de C_2-C_4,

alquinilo de C_2-C_4, haloalquilo de C_1-C_4, haloalquenilo de C_2-C_4, alquilocarbonilo de C_2-C_4, haloalquilocarbonilo de C_2-C_4, alcoxicarbonilo de C_2-C_4, alquiloaminocarbonilo de C_2-C_4, dialquiloaminocarbonilo de C_3-C_7 C(O)N-(-$CH_2Z^2CH_2$-)-, alcoxi de C_1-C_4, haloalcoxi de C_1-C_4, alcoxialquilo de C_2-C_6, $S(O)_nR^{12}$, $S(O)_2R^{13}$, alquiloamino de C_1-C_4, dialquiloamino de C_2-C_6, SF_5, $Si(CH_3)_3$, CHO, hidroxi, $OC(O)R^{19}$ y $N(R^{20})C(O)R^{19}$.

10. Un compuesto de conformidad con la reivindicación 9, caracterizado además porque

R^1 es fenilo o piridinilo, cada uno opcionalmente sustituido con 1 a 3 sustituyentes independientemente seleccionados del grupo que consiste de halógeno, ciano, alquilo de C_1-C_4, haloalquilo de C_1-C_4, alquilocarbonilo de C_2-C_4, alcoxicarbonilo de C_2-C_4, alquiloaminocarbonilo de C_2-C_4, dialquiloaminocarbonilo de C_3-C_7 C(O)N-(-$CH_2Z^2CH_2$-)-, alcoxi de C_1-C_4, haloalcoxi de C_1-C_4, alcoxialquilo de C_2-C_6, $S(O)_nR^{12}$ y $S(O)_2R^{13}$.

11. Un compuesto de conformidad con cualquiera de las reivindicaciones 3 a 7, caracterizado además porque

R^1 es $C(X^1)R^{18}$ o $C(=NOR^{23})R^{18}$; y

X^1 es O.

12. Un compuesto de conformidad con cualquiera de las reivindicaciones 3 a 7, caracterizado además porque

R^1 es fenilo o piridinilo, cada uno sustituido con GQ^1 y opcionalmente sustituido, además, con 1 o 2 sustituyentes independientemente seleccionados del grupo que consiste de halógeno, ciano, nitro, SF_5, alquilo de C_1-C_4, alquenilo de C_2-C_4, alquinilo de C_2-C_4, haloalquilo de C_1-C_4, alquilocarbonilo de C_2-C_4, haloalquilocarbonilo de C_2-C_4, alcoxicarbonilo de C_2-C_4, alquiloaminocarbonilo de C_2-C_4, dialquiloaminocarbonilo de C_3-C_7 $C(O)N$-(-$CH_2Z^2CH_2$-)-, alcoxi de C_1-C_4, haloalcoxi de C_1-C_4, alcoxialquilo de C_2-C_6, $S(O)_nR^{12}$, $S(O)_2R^{13}$, alquiloamino de C_1-C_4, dialquiloamino de C_2-C_6, $Si(CH_3)_3$, CHO, hidroxi, $OC(O)R^{19}$ y $N(R^{20})C(O)R^{19}$.

13. Un compuesto de conformidad con la reivindicación 12, caracterizado además porque

R^1es fenilo o piridinilo, cada uno sustituido con GQ^1 y opcionalmente sustituido, además, con 1 o 2 sustituyentes independientemente seleccionados del grupo que consiste de halógeno, ciano, SF_5, alquilo de C_1-C_4, haloalquilo de C_1-C_4, alquilocarbonilo de C_2-C_4, alcoxicarbonilo de C_2-C_4, alquiloaminocarbonilo

de C_2-C_4, dialquiloaminocarbonilo de C_3-C_7 C(O)N-(-$CH_2Z^2CH_2$-)-, alcoxi de C_1-C_4, haloalcoxi de C_1-C_4, alcoxialquilo de C_2-C_6, $S(O)_nR^{12}$ y $S(O)_2R^{13}$; y

Q^1 es fenilo o piridinilo, cada uno opcionalmente sustituido con 1 a 3 sustituyentes independientemente seleccionados del grupo que consiste de halógeno, ciano, alquilo de C_1-C_4, haloalquilo de C_1-C_4, alquilocarbonilo de C_2-C_4, alcoxicarbonilo de C_2-C_4, alquiloaminocarbonilo de C_2-C_4, dialquiloaminocarbonilo de C_3-C_7 C(O)N-(-$CH_2Z^2CH_2$-)-, alcoxi de C_1-C_4, haloalcoxi de C_1-C_4, alcoxialquilo de C_2-C_6, $S(O)_nR^{12}$ y $S(O)_2R^{13}$.

14. Un compuesto de conformidad con cualquiera de las reivindicaciones 3 a 7, caracterizado además porque

R^2 es alquilo de C_2-C_6, haloalquilo de C_1-C_6 o $CR^5R^6CH_2OR^{21}$; o

R^2 es cicloalquiloalquilo de C_4-C_7 opcionalmente sustituido con 1 a 4 sustituyentes seleccionados del grupo que consiste de halógeno, C_1-C_2 alquilo, 1 ciclopropilo y 1 CF_3.

15. Un compuesto de conformidad con cualquiera de las reivindicaciones 3 a 7, caracterizado además porque R^2 es CR^5R^6Q.

16. Un compuesto de conformidad con la reivindicación 15, caracterizado además porque

Q es **Q-1**, **Q-5**, **Q-6** o **Q-9**;

R^5 es H o metilo;

R^6 es H;

cada R^{9a} es independientemente H, halógeno, ciano, alquilo de C_1-C_4, haloalquilo de C_1-C_4, alcoxi de C_1-C_4, haloalcoxi de C_1-C_4, SF_5 o $S(O)_nR^{12}$; o C_3-C_6 cicloalquilo o cicloalquiloalquilo de C_4-C_7, cada uno opcionalmente sustituido con 1 a 4 sustituyentes seleccionado del grupo que consiste de halógeno, alquilo de C_1-C_2, 1 ciclopropilo y 1 CF_3; y

cada R^{9b} es independientemente H, halógeno, ciano, alquilo de C_1-C_4, haloalquilo de C_1-C_4, alcoxi de C_1-C_4 o haloalcoxi de C_1-C_4.

17. Un compuesto de conformidad con la reivindicación 1, caracterizado además porque se selecciona del grupo que consiste de

sal interna de 3-(2,4-difluorofenil)-2-hidroxi-4-oxo-1-(2,2,2-trifluoroetil)-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 3-(4-fluorofenil)-2-hidroxi-4-oxo-1-(2,2,2-trifluoroetil)-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 1-[(6-cloro-3-piridinil)metil]-3-(2,4-difluorofenil)-2-hidroxi-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 1-[(6-cloro-3-piridinil)metil]-3-(4-fluorofenil)-2-hidroxi-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 3-(3-clorofenil)-1-[(2-cloro-5-tiazolil)metil]-2-hidroxi-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 1-[(2-cloro-5-tiazolil)metil]-2-hidroxi-4-oxo-3-[3-(trifluorometil)fenil]-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 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]-3-(4-fluorofenil)-2-hidroxi-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*-pirido[1,2-*a*]pirimidinio;

sal interna de 1-[(2-cloro-5-tiazolil)metil]-3-(2,4-difluorofenil)-2-hidroxi-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 1-[(2-cloro-5-tiazolil)metil]-2-hidroxi-3-(4-metoxifenil)-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 1-[(2-cloro-5-tiazolil)metil]-2-hidroxi-3-(3-metoxifenil)-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 3-(4-fluorofenil)-1-[(6-fluoro-3-piridinil)metil]-2-hidroxi-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 3-(3-bromofenil)-1-[(2-cloro-5-tiazolil)metil]-2-hidroxi-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 3-(3-bromofenil)-1-[(6-cloro-3-piridinil)metil]-2-hidroxi-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 1-[(6-cloro-3-piridinil)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-4-oxo-3-[4-(trifluorometil)-2-piridinil]-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 1-[(2-cloro-5-tiazolil)metil]-3-(2-cianofenil)-2-hidroxi-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 8-[(6-cloro-3-piridinil)metil]-7-hidroxi-5-oxo-6-fenil-5*H*-tiazolo[3,2-*a*]pirimidinio;

sal interna de 8-[(6-cloro-3-piridinil)metil]-6-(4-fluorofenil)-7-hidroxi-5-oxo-5*H*-tiazolo[3,2-*a*]pirimidinio;

sal interna de 8-[(6-cloro-3-piridinil)metil]-7-hidroxi-5-oxo-6-[3-(trifluorometoxi)fenil]-5*H*-tiazolo[3,2-*a*]pirimidinio;

sal interna de 8-[(2-cloro-5-tiazolil)metil]-7-hidroxi-5-oxo-6-fenil-5*H*-tiazolo[3,2-*a*]pirimidinio;

sal interna de 8-[(2-cloro-5-tiazolil)metil]-6-(4-fluorofenil)-7-hidroxi-5-oxo-5*H*-tiazolo[3,2-*a*]pirimidinio;

sal interna de 8-[(2-cloro-5-tiazolil)metil]-7-hidroxi-5-oxo-6-[3-(trifluorometoxi)fenil]-5*H*-tiazolo[3,2-*a*]pirimidinio;

sal interna de 3-[3-(6-cloro-3-piridinil)fenil]-1-[(2-cloro-5-tiazolil)metil]-2-hidroxi-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 1-[(6-fluoro-3-piridinil)metil]-2-hidroxi-4-oxo-3-[3-(trifluorometoxi)fenil]-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 1-[(2-cloro-3-tiazolil)metil]-2-(2-fluorofenil)-5-hidroxi-9-metil-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 1-[(2-cloro-5-tiazolil)metil]-3-[2-cloro-5-(trifluorometoxi)fenil]-2-hidroxi-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 3-(4-fluorofenil)-2-hidroxi-1-[(1-metil-1*H*-pirazol-4-yl)metil]-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 2-hidroxi-1-[(1-metil-1*H*-pirazol-4-yl)metil]-4-oxo-3-fenil-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 1-[(2-cloro-5-tiazolil)metil]-3-(3,5-dimetoxifenil)-2-hidroxi-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 1-[(2-cloro-5-tiazolil)metil]-3-[2-fluoro-5-(trifluorometoxi)fenil]-2-hidroxi-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 3-(2-cloro-4-piridinil)-1-[(2-cloro-5-tiazolil)metil]-2-hidroxi-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 1-[(2-cloro-5-tiazolil)metil]-3-(2-fluoro-5-bromofenil)-2-hidroxi-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 1-[(2-cloro-5-tiazolil)metil]-2-hidroxi-4-oxo-3-(2,4,5-trifluorofenil)-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 3-[3-bromo-5-(trifluorometoxi)fenil]-1-[(2-cloro-5-tiazolil)metil]-2-hidroxi-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-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 1-[(2-cloro-5-tiazolil)metil]-3-[2-fluoro-5-(trifluorometil)fenil]-2-hidroxi-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 1-[(2-cloro-5-tiazolil)metil]-2-hidroxi-3-(2-metoxifenil)-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 1-[(2-cloro-5-tiazolil)metil]-3-(2-fluorofenil)-2-hidroxi-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 1-[(6-cloro-3-piridinil)metil]-3-(2-fluorofenil)-2-hidroxi-4-oxo-5*H*-tiazolo[3,2-*a*]pirimidinio;

sal interna de 2-hidroxi-4-oxo-3-fenil-1-(2,2,2-trifluoroetil)-4*H*-pirido[1,2-*a*]pirimidinio; y

sal interna de 3-[(6-cloro-3-piridinil)metil]-5-(4-fluorofenil)-3,6-dihidro-4-hidroxi-1,2-dimetil-6-oxopirimidinio;

sal interna de 1-[(2-cloro-5-tiazolil)metil]-3-[3-(6-fluoro-3-piridinil)-5-(trifluorometil)fenil]-2-hidroxi-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 1-[1-(6-cloro-3-piridinil)etil]-3-(4-fluorofenil)-2-hidroxi-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 1-[(2-cloro-5-tiazolil)metil]-3-(etoxicarbonil)-2-hidroxi-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 3-benzoil-1-[(2-cloro-5-tiazolil)metil]-2-hidroxi-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 3-(2,4-difluorofenil)-1-[(6-fluoro-3-piridinil)metil]-2-hidroxi-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 1-[(6-cloro-3-piridinil)metil]-2-hidroxi-3-(3-metoxifenil)-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 1-[(6-cloro-3-piridinil)metil]-3-(2,3-difluorofenil)-2-hidroxi-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 1-[(6-cloro-3-piridinil)metil]-3-(2-fluoro-3-metoxifenil)-2-hidroxi-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 3-(3,5-dimetoxifenil)-1-[(6-fluoro-3-piridinil)metil]-2-hidroxi-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 1-[(6-fluoro-3-piridinil)metil]-2-hidroxi-4-oxo-3-[3-(trifluorometil)fenil]-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 3-(4-fluorofenil)-2-hidroxi-1-[(2-metil-5-tiazolil)metil]-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 2-hidroxi-4-oxo-3-fenil-1-[(5-tiazolil)metil]-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 3-(4-fluorofenil)-2-hidroxi-4-oxo-1-[(5-tiazolil)metil]-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 3-(2-fluorofenil)-1-[(6-fluoro-3-piridinil)metil]-2-hidroxi-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 1-[(6-cloro-3-piridinil)metil]-3-[2-cloro-5-(trifluorometil)fenil]-2-hidroxi-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 3-(2-fluoro-4-cianofenil)-1-[(6-fluoro-3-piridinil)metil]-2-hidroxi-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio;

sal interna de 1-[(6-fluoro-3-piridinil)metil]-3-[2-fluoro-5-(trifluorometil)fenil]-2-hidroxi-4-oxo-4*H*-pirido[1,2-*a*]pirimidinio; y

sal interna de 1-[(2-cloro-5-tiazolil)metil]-2-hidroxi-4-oxo-3-[3-(6-trifluorometil-3-piridinil)fenil]-4*H*-pirido[1,2-*a*]pirimidinio.

18. Una composición caracterizada porque comprende un compuesto de la reivindicación 1 y al menos un componente adicional seleccionado del grupo que consiste de surfactantes, diluyentes sólidos y diluyentes líquidos, la composición comprende, opcionalmente, además, al menos un agente o compuesto adicional biológicamente activo.

19. La composición de conformidad con la reivindicación 18 caracterizada porque el al menos un agente o compuesto adicional biológicamente activo se selecciona del grupo que consiste de abamectina, acefato, acequinocil, acetamiprid, acrinatrina, amidoflumet, amitraz, avermectina, azadiractina, azinfos-metil, bifentrina, bifenazato, bistrifluron, borato, 3-bromo-1-(3-cloro-2-piridinil)-*N*-[4-ciano-2-metil-6-[(metilamino)carbonil]fenil]-1*H*-pirazol-5-carboxamida (ciantraniliprol), buprofezina, cadusafos, carbarilo, carbofurano, cartap, carzol, clorantraniliprol,

clorfenapir, clorfluazuron, clorpirifos, clorpirifos-metil, cromafenozida, clofentezina, clotianidina, ciflumetofeno, ciflutrina, beta-ciflutrina, cihalotrina, gamma-cihalotrina, lambda-cihalotrina, cipermetrina, alfa-cipermetrina, zeta-cipermetrina, ciromazina, deltametrina, diafentiuron, diazinon, dieldrina, diflubenzurón, dimeflutrina, dimehipo, dimetoato, dinotefuran, diofenolan, emamectina, ensodulfán, esfenvalerato, etiprol, etofenprox, etoxazol, óxido de fenbutatina, fenotiocarb, fenoxicarb, fenpropatrina, fenvaleriato, fipronil, flonicamid, flubendiamida, flucitrinato, flufenerim, flufenoxuron, fluvalinato, tau-fluvalinato, fonofós, formetanato, fostiazato, halofenozida, hexaflumuron, hexitiazox, hidrametilnon, imidacloprid, indoxacarb, jabones insecticidas, isofenfos, lufenuron, malatión, metaflumizona, metaldehído, metamidofos, metidation, metiodicarb, metomilo, metopreno, metoxiclor, metoflutrina, monocrotofós, metoxifenozida, nitenpiram, nitiazina, novaluron, noviflumuron, oxamilo, parationa, parationa-metil, permetrina, forato, fosalona, fosmet, fosfamidón, pirimicarb, profenofos, proflutrina, propargita, protrifenbute, pimetrozina, piraflupro1, piretrina, piridaben, piridalil, pirifluquinazon, piriprol, piriproxifeno, rotenona, rianodina, espinetoram, espinosad, espirodiclofen, espiromesifen, espirotetramat, sulprofos, sulfoxaflor, tebufenozide, tebufenpirad, teflubenzuron, teflutrina, terbufos,

tetraclorvinfos, tetrametrina, tiacloprid, tiametoxam, tiodicarb, tiosultap-sodio, tolfenpirad, tralometrina, triazamato, triclorfon, triflumuron, *Bacillus thuringiensis* delta-endotoxinas, bacterias entomopatogénicas, virus entomopatogénicos y hongos entomopatogénicos.

20. La composición de conformidad con la reivindicación 19, caracterizada además porque el al menos un agente o compuesto adicional biológicamente activo se selecciona del grupo que consiste de abamectina, acetamiprid, acrinatrina, amitraz, avermectina, azadiractina, bifentrina, 3-bromo-1-(3-cloro-2-piridinil)-*N*-[4-ciano-2-metil-6-[(metilamino)carbonil]fenil]-1*H*-pirazol-5-carboxamida (ciantraniliprol), buprofezina, cadusafos, carbarilo, cartap, clorantraniliprol, clorfenapir, clorpirifos, clotianidina, ciflutrina, beta-ciflutrina, cihalotrina, gamma-cihalotrina, lambda-cihalotrina, cipermetrina, alfa-cipermetrina, zeta-cipermetrina, ciromazina, deltametrina, dieldrina, dinotefuran, diofenolan, emamectina, ensodulfán, 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, novalurón, oxamil, pimetrozina, piretrina, piridabén, piridalilo, piriproxifén,

rianodina, espinetoram, espinosad, espirodiclofen, espiromesifen, espirotetramat, tebufenozida, tetrametrina, tiacloprid, tiametoxam, tiodicarb, tiosultap-sodio, tralometrina, triazamato, triflumuron, *Bacillus thuringiensis* delta-endotoxinas, todas las cepas de *Bacillus thuringiensis* y todas las cepas de los virus de *Nucleo polyhydrosis*

21. 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 la reivindicación 1 y al menos un portador.

22. La composición de conformidad con la reivindicación 21, caracterizada además porque la composición está en una forma de administración oral.

23. La composición de conformidad con la reivindicación 21, caracterizada además porque la composición está en una forma de administración tópica.

24. 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.

25. El método de acuerdo con la reivindicación 24, caracterizado además porque el hábitat es una planta.

26. El método de acuerdo con la reivindicación 24, caracterizado además porque el hábitat es un animal.

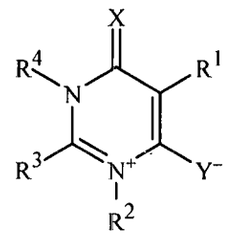
27. El método de acuerdo con la reivindicación 24, caracterizado además porque el hábitat es una semilla.

28. El método de acuerdo con la reivindicación 27, caracterizado además porque la semilla está recubierta con una composición que comprende un compuesto de la reivindicación 1 y opcionalmente un agente adhesivo o formador de película.

29. Una semilla tratada caracterizada porque comprende un compuesto de la reivindicación 1 en una cantidad de aproximadamente 0,0001 a 1 % por peso de la semilla antes del tratamiento.

RESUMEN DE LA INVENCIÓN

Se describen compuestos de Fórmula **1**,



1

en donde

X es O o S;

Y es O o S;

y R^1, R^2, R^3 y R^4 son como se definieron en la 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.

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

---

[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. Medley-Whitney

CERTIFICACIÓN NOTARIAL

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

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**

AUTENTICACIÓN DE COPIA DE ORIGINAL
ARTÍCULO 260 DECRETO 960 DE 1970
ARTÍCULO 2.2.6.12.3.2 DECRETO 1069 DE 2015
EL PRESENTE FOLIO ES COPIA FIEL Y TOMADA DE SU ORIGINAL
30 AGO 2010
Eduardo Duran Gomez
NOTARIO 38 DE BOGOTA D.C.

JAIME A. GARAVITO B
Traductor
Res. 034 de enero 11 de 1983
Ministerio de Justicia

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

[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

BOGDM5 # 107285

JAIME A. GARAVITO B

AUTENTICACIÓN DE COPIA DE ORIGINAL
COMPARADO TEXTUAL Y ÍNTEGRAMENTE CON EL ORIGINAL
CERTIFICO QUE PREVIO EL COTEJO RESPECTIVO LA
PRESENTE COPIA CORRESPONDE CON EL DOCUMENTO PRESENTADO A LA VISTA
03 AGO 2010
Eduardo Durán Gómez
NOTARIO 38 DE BOGOTÁ, 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

AUTENTICACION COPIA FIEL DEL ORIGINAL

03 AGO 2008

Eduardo Durán Gómez

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

000698

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 28 day of February of 2008

Signature of the person issuing the Power



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 [redacted]/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 Patanella, 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 especificamente con expresión de sus fechas y de su origen o procedencia:

El Notario Público

03 AGO 2008

Eduardo Durán Gómez
NOTARIO 38 DE BOGOTA, D.C.

Industria y Comercio
SUPERINTENDENCIA

SUPERINTENDENCIA DE INDUSTRIA Y COMERCIO

No. 10-095278- -00000-0000

Fecha: 2010-08-04 16:43:13 Dep: 2020 DIR.NUEVASCR
Tra: 11 PATENTEIYII Eve: 378 FASENACIONALI
Act: 411 PRESENTACION Folios: 699

PATENTE DE INVENCIÓN ☐ **MODELO DE**

- ☐ Indicación que se solicita una patente.
- ☐ Datos de identificación del solicitante o de la persona que presenta la solicitud
- ☐ Descripción de la invención
- ☐ Dibujos de ser estos pertinentes
- ☐ Comprobante de pago de las tasas establecidas (De ser el caso formato de descuento)

Completa ☐ Incompleta ☐

PATENTE DE INVENCIÓN PCT ☑ **MODELO DE UTILIDAD PCT** ☐ **Art.33 Decisión 486/00, Circular Única**

- ☑ Indicación que se solicita una PCT
- ☑ Copia de la solicitud en español, tal como fue presentada inicialmente (capítulo descriptivo, reivindicatorio, resumen)
- ☐ Dibujos de ser estos pertinentes
- ☑ Comprobante de pago de las tasas establecidas (de ser el caso formato de descuento)

Completa ☑ Incompleta ☐

DISEÑO INDUSTRIAL ☐ **(Art. 119 Decisión 486/00)**

- ☐ Indicación que se solicita Diseño industrial
- ☐ Datos de identificación del solicitante o de la persona que presenta la solicitud
- ☐ Representación gráfica y fotográfica del Diseño industrial o muestra del material que incorpora el diseño
- ☐ Comprobante de pago de las tasas establecidas

Completa ☐ Incompleta ☐

ESQUEMA DE TRAZADO ☐ **(Art. 92 Decisión 486/00)**

- ☐ Indicación que se solicita un esquema de trazado
- ☐ Datos de identificación del solicitante o de la persona que presenta la solicitud
- ☐ Representación gráfica de un esquema de trazado
- ☐ Comprobante de pago de las tasas establecidas

Completa ☐ Incompleta ☐

Industria y Comercio
SUPERINTENDENCIA

Bogotá D.C.,
2020

Doctor(a)
CORREA ORDOÑEZ ALVARO
Apoderado(a) y/o Representante de
E.I. DU PONT DE NEMOURS AND COMPANY

REFERENCIA	Radicación No.	10 095278
	Solicitud internacional	PCT/US2009/032584
	Fecha inicio fase nacional	06/09/2010
	Trámite	11
	Evento	378
	Actuación	430
	Oficio No.	12541

Como resultado del examen de forma, realizado con fundamento en el artículo 36 de la Decisión 486 de la Comisión de la Comunidad Andina, artículo 27 del Tratado de Cooperación en Materia de Patentes (PCT), regla 51 bis del Reglamento y Circular Única de la Superintendencia de Industria y Comercio, se le comunica que:

1. El solicitante debe presentar un nuevo titulo que permita identificar la materia de la cual trata la solicitud, ya que el titulo relacionado no se encuentra con la precisión necesaria para identificar claramente el objeto de la solicitud de patente. (Regla 4.3 PCT, Art 26-27 D-486, Circular única 5.2.9)

2. La solicitud no contiene la copia del documento en que consta la cesión del derecho a la patente del inventor al solicitante o a su causante, conforme a lo establecido por el artículo 26 literal k) de la Decisión 486 de la Comisión de la Comunidad Andina. Cuando se trate de documentos otorgados en el extranjero, estos deberán legalizarse de conformidad con lo dispuesto por los artículos 259 y 260 del Código de Procedimiento Civil. Cuando se trate de las declaraciones a que hace referencia la Regla 4.17 ii) del Tratado de Cooperación en Materia de Patentes PCT., el alcance de las tales declaraciones se determinará según indiquen claramente una cesión de derechos del inventor al solicitante o su causante, de conformidad con lo dispuesto en el numeral 5.2.15 del Capítulo Quinto, Título X de la Circular Única de Superintendencia de Industria y Comercio.

En cumplimiento de lo dispuesto por el artículo 29 de la Decisión 486, se le requiere para que dentro del término de dos meses siguientes a la fecha de notificación del presente oficio, complete los requisitos anteriormente enunciados. En caso de no dar cumplimiento al presente requerimiento, la solicitud se considerará abandonada y perderá su prelación.

Continua en la página siguiente...

Notifíquese el presente oficio conforme a lo dispuesto en el numeral 5.2, literal e), del capítulo quinto del título primero de la Circular Unica No.10 de 2001.

ALIX CARMENZA CESPEDES DE VERGEL
Directora de Nuevas Creaciones (c)

El anterior requerimiento fue notificado por fijación en lista de fecha 24 SET. 2010.
adpa11